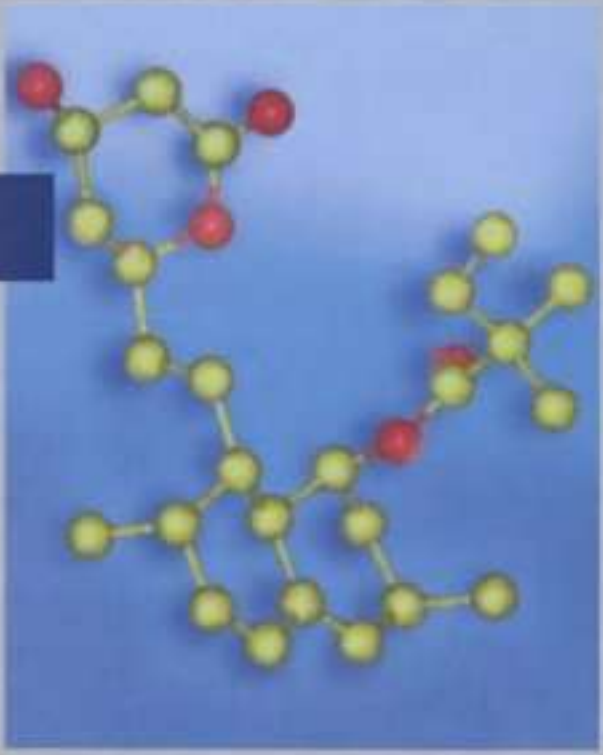
Pharmaceutical Substances

Syntheses
Patents
Applications

A. Kleemann
J. Engel
B. Kutscher
D. Reichert

A-M



4th Edition

Thieme

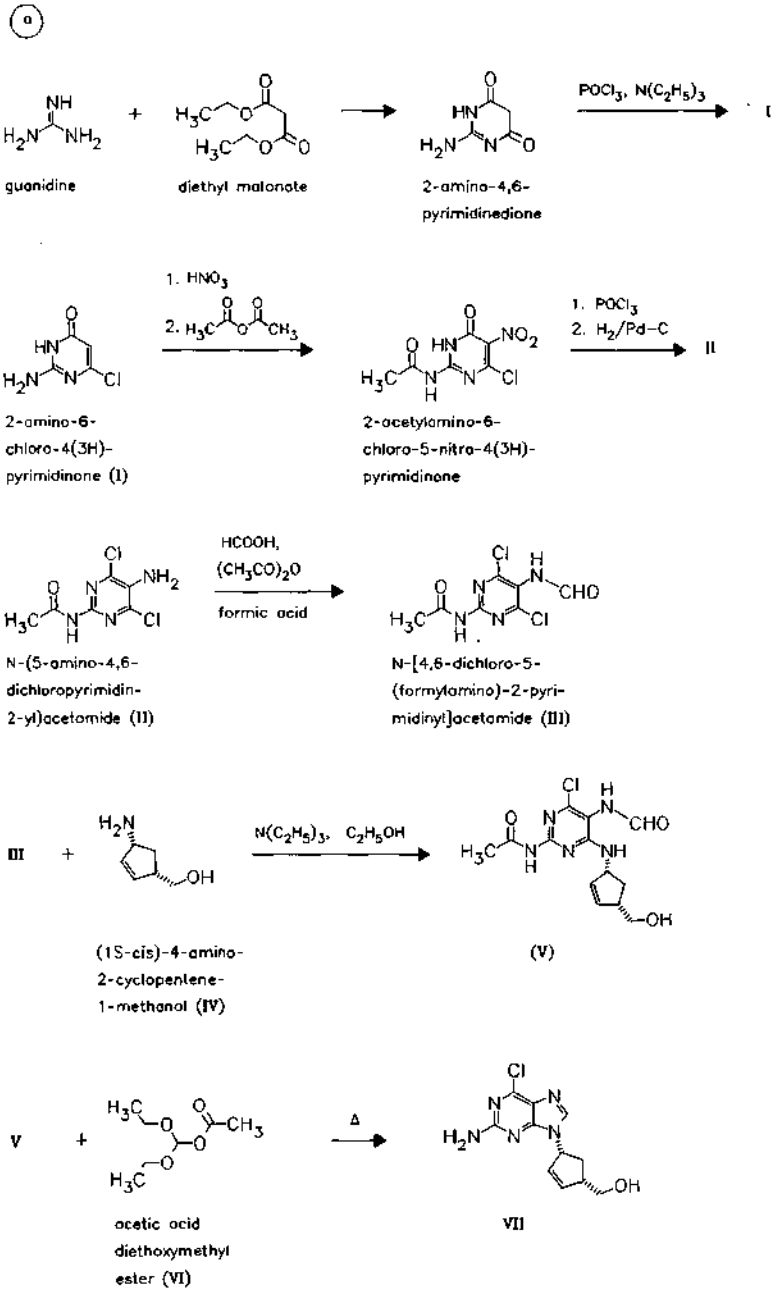
Abacavir

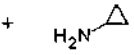
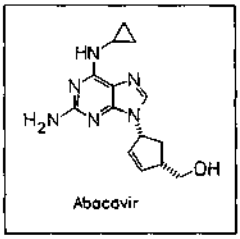
(1592U89)

ATC: J05AF06
Use: antiviral, anti HIV, reverse transcriptase inhibitor

RN: 136470-78-5 MF: $C_{14}H_{18}N_6O$ MW: 286.34
CN: (1*S*,4*R*)-4-[2-Amino-6-(cyclopropylamino)-9*H*-purin-9-yl]-2-cyclopentene-1-methanol

succinate
RN: 168146-84-7 MF: $C_{14}H_{18}N_6O \cdot C_4H_6O$ MW: 356.43
sulfate
RN: 188062-50-2 MF: $C_{14}H_{18}N_6O \cdot 1/2H_2SO_4$ MW: 670.76

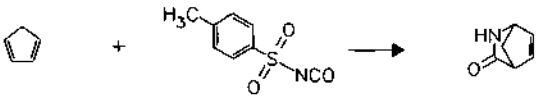


VII +  → 

cyclopropyl-amine (VIII)

Abacavir

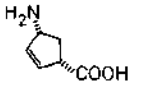
(aa) synthesis of (1S-cis)-4-amino-2-cyclopentene-1-methanol (IV)



cyclo-pentadiene

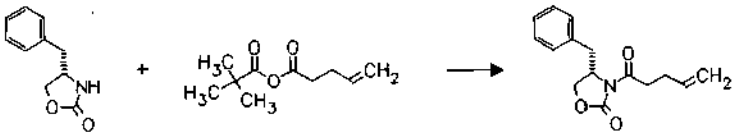
4-methylbenzene-sulfonyl isocyanate

2-azabicyclo-[2.2.1]hept-5-en-3-one (IX)

IX —(β-lactamase, pH 7, enzymatic, stereoselective hydrolysis)→  —($LiAlH_4$)→ IV

cis-4-amino-2-cyclopentene-1-carboxylic acid

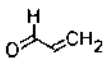
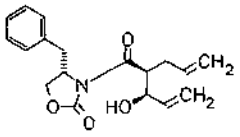
(b)



4(S)-benzyl-oxazolidin-2-one

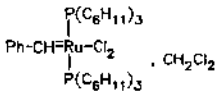
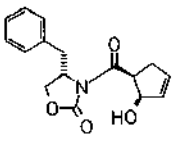
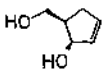
4-pentenoic pivalic anhydride

(X)

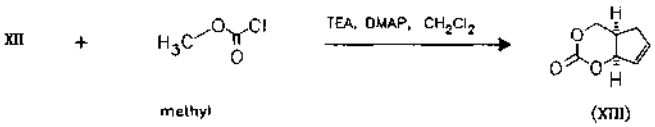
X +  —(Bu_2BOTf, dibutylboryl triflate)→ 

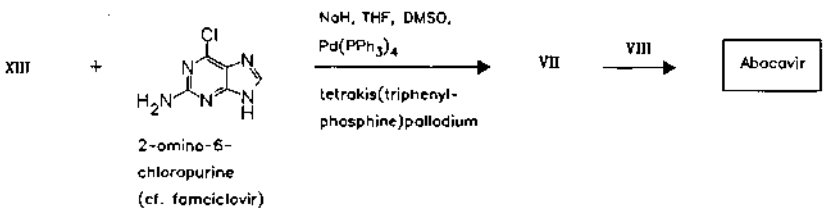
acrolein

(XI)

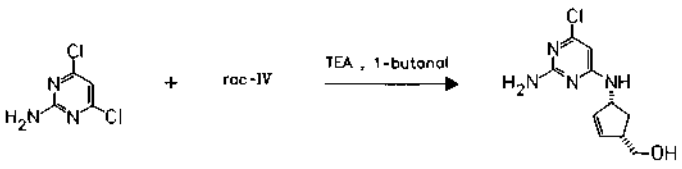
XI —( , CH_2Cl_2; Grubb's catalyst)→  —($LiBH_4$, THF, CH_3OH)→ 

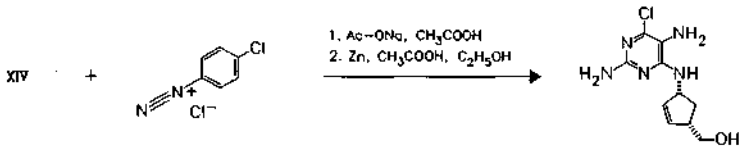
5(R)-(hydroxy-methyl)-2-cyclopenten-1(R)-ol (XII)

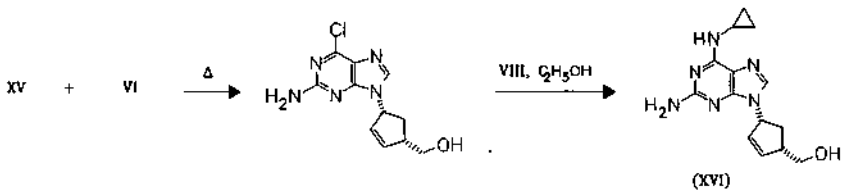

XII
+
TEA, DMAP, CH_2Cl_2
methyl chloroformate
(XIII)

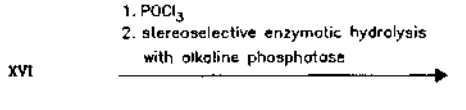

XIII
+
NaH, THF, DMSO,
$Pd(PPh_3)_4$
tetrakis(triphenyl-phosphine)palladium
VII
VIII
Abacavir
2-amino-6-chloropurine
(cf. famciclovir)

(c)

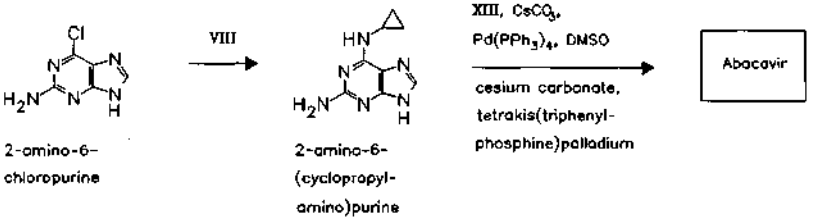

+
rac-IV
TEA , 1-butanol
2-amino-4,6-dichloropyrimidine
(±)-cis-4-[(2-amino-4-chloro-6-pyrimidinyl)-amino]-2-cyclopentene-1-methanol (XIV)


XIV
+
1. Ac-ONa, CH_3COOH
2. Zn, CH_3COOH, C_2H_5OH
4-chlorobenzene-diazonium chloride
(±)-cis-4-[(2,5-diamino-4-chloro-6-pyrimidinyl)-amino]-2-cyclopentene-1-methanol (XV)


XV
+
VI
Δ
VIII, C_2H_5OH
(XVI)


XVI
1. $POCl_3$
2. stereoselective enzymatic hydrolysis with alkaline phosphatase
Abacavir

(d)



Reference(s):

a EP 434 450 (Wellcome Found.; 26.6.1991; appl. 21.12.1990; USA-prior. 22.12.1989).
Crimmins, M.T. et al.: J. Org. Chem. (JOCEAH) **61** 4192 (1996).
aa EP 424 064 (Enzymatix; appl. 24.4.1991; GB-prior. 16.10.1989).
b Olivo, H.F. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1998**, 391.
c US 5 034 394 (Welcome Found.; 23.7.1991; appl. 22.12.1989; GB-prior. 27.6.1988).
d WO 9 924 431 (Glaxo; appl. 12.11.1998; WO-prior. 12.11.1997).

alternative syntheses:
EP 878 548 (Lonza; appl. 13.5.1998; CH-prior. 13.5.1997).

condensation of pyrimidines *with* cyclopentylamine IV:
Vince, R.; Hua, M.: J. Med. Chem. (JMCMAR) **33** (1), 17 (1990).
EP 349 242 (Wellcome Found.; appl. 26.6.1989; GB-prior. 27.6.1988).
EP 366 385 (Wellcome Found.; appl. 23.10.1989; GB-prior. 24.10.1988).
Grumam, A. et al.: Tetrahedron Lett. (TELEAY) **36** (42), 7767 (1995).
JP 1 022 853 (Asahi Glass Co.; appl. 17.7.1987).

alternative preparation of 4-amino-2-cyclopentene-1-methanol:
EP 926 131 (Lonza; appl. 24.11.1998; CH-prior. 27.11.1997).
WO 9 745 529 (Lonza; appl. 30.5.1997; CH-prior. 30.5.1996).

abacavir succinate *as antiviral agent:*
WO 9 606 844 (Wellcome; 7.3.1996; appl. 25.8.1995; GB-prior. 26.8.1994).

synergistic combinations for treatment of HIV infection:
WO 9 630 025 (Wellcome; 3.10.1996; appl. 28.3.1996; GB-prior. 30.3.1995).

Formulation(s): oral sol. 20 mg/ml; tabl. 300 mg (as sulfate)

Trade Name(s):
D: Ziagen (Glaxo Wellcome; 1999) USA: Ziagen (Glaxo Wellcome)

Abciximab

(7E3; C7E3; C7E3 Fab; C7E3-F(ab')2)

ATC: B01AC13
Use: platelet antiaggregation inhibitor, antianginal, GPIIb/IIIa-receptor antagonist

RN: 143653-53-6 MF: unspecified MW: unspecified
CN: immunoglobulin G (human-mouse monoclonal c7E3 clone p7E3V_Hh$C\gamma_4$ Fab fragment antihuman glycoprotein IIb/IIIa receptor), disulfide with human-mouse monoclonal c7E3 clone p7E3V_KhC_K light chain

Reference(s):
Gold, H.K. et al.: Circulation Suppl. (CISUAQ) **80**(4) (1989), Abst. 1063.

Formulation(s): vial 10 mg/5 ml

Trade Name(s):

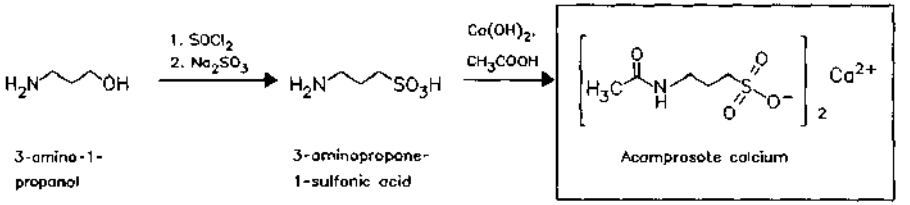
D:	ReoPro (Lilly)	GB:	Reopro (Lilly)
F:	ReoPro (Lilly)	USA:	ReoPro (Lilly)

Acamprosate calcium

ATC: V03AA
Use: alcohol deterrent

RN: 77337-73-6 MF: $C_{10}H_{20}CaN_2O_8S_2$ MW: 400.49 EINECS: 278-665-3
LD_{50}: >10 g/kg (M, p.o.)
CN: 3-(acetylamino)-1-propanesulfonic acid calcium salt (2:1)

free acid
RN: 77337-76-9 MF: $C_5H_{11}NO_4S$ MW: 181.21 EINECS: 278-667-4



Reference(s):
DE 3 019 350 (Lab. Meram; appl. 21.5.1980; F-prior. 23.5.1979).

synthesis of 3-aminopropane-1-sulfonic acid:
JP 46 002 012 (Kowa; appl. 19.1.1971).
Fujii, A. et al.: J. Med. Chem. (JMCMAR) **18**, 502 (1975).
WO 8 400 958 (Mitsui; appl. 15.3.1984; J-prior. 7.9.1982, 19.7.1983, 8.9.1982).

Formulation(s): tabl. 333 mg

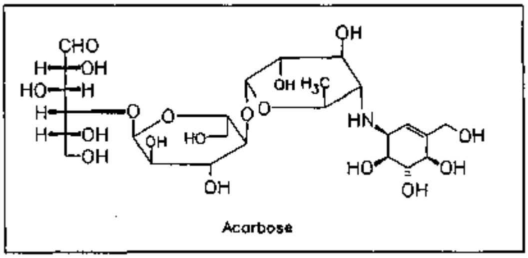
Trade Name(s):

D:	Campral (Lipha)	F:	Aotal (Meram)	GB:	Campral (Lipha)

Acarbose
(Bay-g-5421)

ATC: A10BF01
Use: antidiabetic, α-glucosidase inhibitor, hypoglycemic

RN: 56180-94-0 MF: $C_{25}H_{43}NO_{18}$ MW: 645.61 EINECS: 260-030-7
LD_{50}: >500.000 SIE/kg (M, i.v.); >1000.000 SIE/kg (M, p.o.);
478.000 SIE/kg (R, i.v.); >1000.000 SIE/kg (R, p.o.)
65.000 SIE = 1g (SIE = saccharase inhibitory units)
CN: [1*S*-(1α,4α,5β,6α)]-*O*-4,6-dideoxy-4-[[4,5,6-trihydroxy-3-(hydroxymethyl)-2-cyclohexen-1-yl]amino]-α-D-glucopyranosyl(1→4)-*O*-α-D-glucopyranosyl-(1→4)-D-glucose



Fermentation of *Actinoplanes* SE50/110.

Reference(s):
US 4 062 950 (Bayer; 13.12.1977; D-prior. 22.9.1973).
DOS 2 347 782 (Bayer; appl. 21.9.1973).
Schmidt, D.D. et al.: Naturwissenschaften (NATWAY) **64**, 535 (1977).

total synthesis:
Ogawa, S.; Shibata, Y.: Chem. Commun. (CCOMA8) **1988**, 605.

review:
Tschesche, H. in Arzneimittel, Fortschritte 1972-1985 (Ed. A. Kleemann, E. Lindner, J. Engel), p. 87, VCH Verlagsgesellschaft, Weinheim 1987.

Formulation(s): tabl. 50 mg, 100 mg

Trade Name(s):

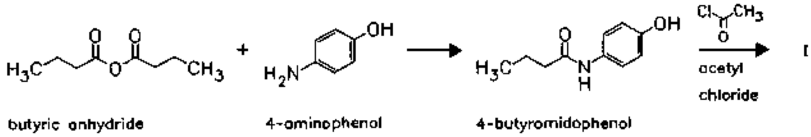
D:	Glucobay (Bayer; 1990)	GB:	Glucobay (Bayer)	USA:	Precose (Bayer)
F:	Glucor (Bayer)	J:	Glucobay (Bayer)		

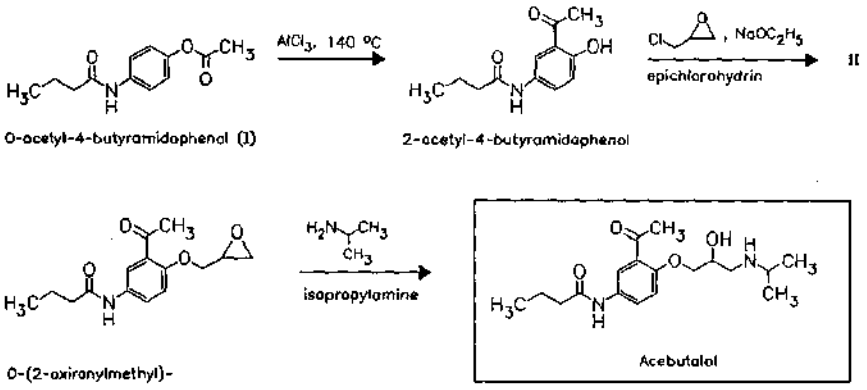
Acebutolol

ATC: C07AB04; C07BB04
Use: β-adrenergic receptor blocker

RN: 37517-30-9 MF: $C_{18}H_{28}N_2O_4$ MW: 336.43 EINECS: 253-539-0
LD_{50}: 75.2 mg/kg (M, i.v.);
4 mg/kg (dog, i.v.)
CN: (±)-*N*-[3-acetyl-4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenyl]butanamide

(*R*)-base
RN: 68107-81-3 MF: $C_{18}H_{28}N_2O_4$ MW: 336.43
(*S*)-base
RN: 68107-82-4 MF: $C_{18}H_{28}N_2O_4$ MW: 336.43
(*RS*)-monohydrochloride
RN: 34381-68-5 MF: $C_{18}H_{28}N_2O_4 \cdot HCl$ MW: 372.89 EINECS: 251-980-3
LD_{50}: 185 mg/kg (M, i.p.); 53 mg/kg (M, i.v.); 4050 mg/kg (M, p.o.); 291 mg/kg (M, s.c.);
222 mg/kg (R, i.p.); 103 mg/kg (R, i.v.); 6620 mg/kg (R, p.o.); 1310 mg/kg (R, s.c.);
41 mg/kg (rabbit, i.v.); 296 mg/kg (rabbit, p.o.)





O-acetyl-4-butyramidophenol (I)

2-acetyl-4-butyramidophenol

O-(2-oxiranylmethyl)-
2-acetyl-4-butyramidophenol (II)

Reference(s):
GB 1 247 384 (May & Baker; appl. 22.12.1967).
DAS 1 815 808 (May & Baker; appl. 19.12.1968; GB-prior. 22.12.1967, 14.5.1968, 2.8.1968).
US 3 726 919 (May & Baker; appl. 19.12.1968; GB-prior. 22.12.1967, 14.5.1968, 2.8.1968).
US 3 857 952 (May & Baker; appl. 3.8.1972).

preparation of 4-butyramidophenol:
Kuhn; Koehler; Koehler: Hoppe-Seyler's Z. Physiol. Chem. (HSZPAZ) **247**, 197, 216 (1937).
Verma, K.K.; Tyagi, P.: Anal. Chem. (ANCHAM) **56** (12), 2157 (1984).
US 2 824 838 (Esso Research & Eng. Co.; 25.2.1958; appl. 13.1.1955).

Formulation(s): amp. 25 mg; tabl. 200 mg, 400 mg (as hydrochloride)

Trade Name(s):

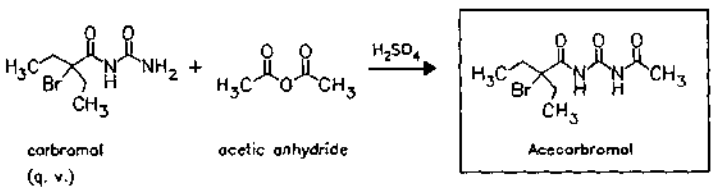
D:	Prent (Bayer; 1977)		Sectral (Rhône-Poulenc Rorer; 1975)	J:	Acetanol (Rhodia; 1984)
	Sali-Prent (Bayer; 1982)-comb.	I:	Acecor (SPA)		Sectral (Kanebo; 1981)
	Tredalat (Bayer)-comb.		Alol (SIT)	USA:	Sectral (Wyeth-Ayerst; 1985)
F:	Sectral (Specia; 1976)		Prent (Bayropharm; 1981)		
GB:	Secadrex (Rhône-Poulenc Rorer; 1982)-comb.		Sectral (Rhône-Poulenc Rorer; 1980)		

Acecarbromal

(Acetylcarbromal; Acetcarbromal)

ATC: N05CM
Use: sedative, hypnotic

RN: 77-66-7 MF: $C_9H_{15}BrN_2O_3$ MW: 279.13 EINECS: 201-047-1
LD_{50}: 1600 mg/kg (M, p.o.)
CN: *N*-[(acetylamino)carbonyl]-2-bromo-2-ethylbutanamide



carbromal (q. v.)

acetic anhydride

Reference(s):
DRP 225 710 (Bayer; 1910).

alternative syntheses:
DRP 286 760 (Bayer; 1913).
DRP 327 129 (Bayer; 1917).

Formulation(s): drg. 100 mg

Trade Name(s):

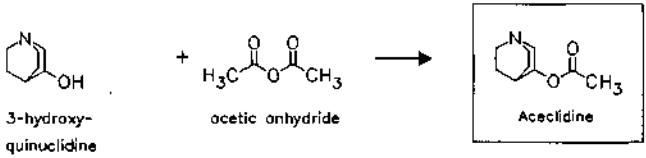
D:	Abasin (Bayer); wfm	USA:	Carbased (Mallard); wfm
	Afrodor (Farco-Pharma)		Sedamyl (Riker); wfm

Aceclidine

ATC: S01EB08; S01EB58
Use: antiglaucoma, miotic

RN: 827-61-2 MF: $C_9H_{15}NO_2$ MW: 169.22 EINECS: 212-574-1
LD_{50}: 78 mg/kg (M, i.p.); 36 mg/kg (M, i.v.); 165 mg/kg (M, p.o.); 102 mg/kg (M, s.c.); 45 mg/kg (R, i.v.); 225 mg/kg (R, s.c.)
CN: 1-azabicyclo[2.2.2]octan-3-ol acetate (ester)

hydrochloride
RN: 6109-70-2 MF: $C_9H_{15}NO_2 \cdot HCl$ MW: 205.69 EINECS: 228-071-5
LD_{50}: 27 mg/kg (M, i.v.); 165 mg/kg (M, p.o.); 45 mg/kg (R, i.v.)
salicylate (1:1)
RN: 6821-59-6 MF: $C_9H_{15}NO_2 \cdot C_7H_6O_3$ MW: 307.35
LD_{50}: 113 mg/kg (M, s.c.)



Reference(s):
US 2 648 667 (Roche; 1953; prior. 1951).
Grob, C.A. et al.; Helv. Chim. Acta (HCACAV) **40**, 2170 (1957).

Formulation(s): eye drops 200 mg (as hydrochloride), 20 mg

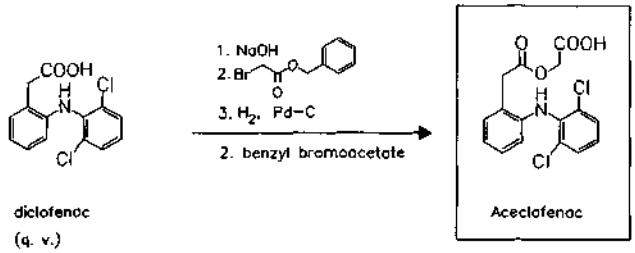
Trade Name(s):

D:	Glaucotat (Chibret)		Glaucostat (Merck Sharp &
F:	Glaucadrine (Merck Sharp & Dohme-Chibret)-comb.	I:	Dohme-Chibret) Glaunorm (Farmigea)

Aceclofenac

ATC: M01AB16
Use: non-steroidal anti-inflammatory, analgesic, antipyretic, prostaglandin synthesis inhibitor

RN: 89796-99-6 MF: $C_{16}H_{13}Cl_2NO_4$ MW: 354.19
LD_{50}: 121 mg/kg (M, p.o.)
CN: 2-[(2,6-dichlorophenyl)amino]benzeneacetic acid carboxymethyl ester



diclofenac
(q. v.)

Reference(s):
EP 119 932 (Prodes; appl. 19.3.1984; E-prior. 21.3.1983).
US 4 548 952 (Prodes; 22.10.1985; appl. 15.3.1984; E-prior. 21.3.1983).

alternative synthesis:
ES 2 020 146 (Prodesfarma; appl. 29.5.1990).

Formulation(s): cream 1.5 %; vial 150 mg; tabl. 100 mg

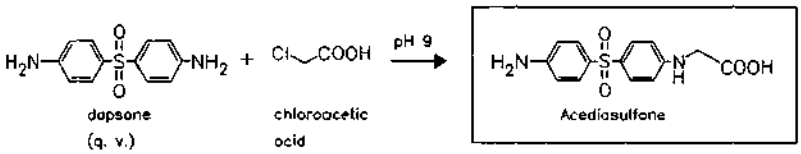
Trade Name(s):
GB: Preservex (Bristol-Myers Squibb; 1992)

Acediasulfone

ATC: S02
Use: antibacterial, cytotoxic agent

RN: 80-03-5 MF: $C_{14}H_{14}N_2O_4S$ MW: 306.34 EINECS: 201-243-7
CN: *N*-[4-[(4-aminophenyl)sulfonyl]phenyl]glycine

monosodium salt
RN: 127-60-6 MF: $C_{14}H_{13}N_2NaO_4S$ MW: 328.32 EINECS: 204-852-6



(q. v.)

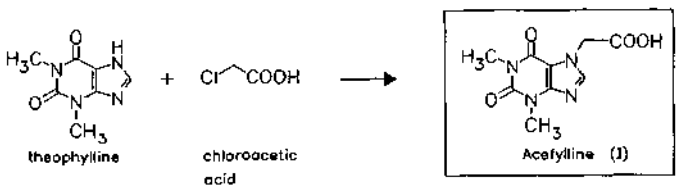
Reference(s):
CH 254 803 (Cilag; appl. 1946).
CH 278 482 (Cilag; appl. 1949).
US 2 589 211 (Parke Davis; 1952; appl. 1948).
US 2 454 835 (Parke Davis; 1948; prior. 1943).
US 2 751 382 (Cilag; 1956; D-prior. 6.7.1953).

Trade Name(s):
D: Ciloprin (Cilag-Chemie)-comb.; wfm

Acefylline

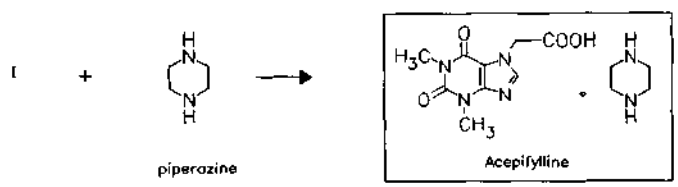
ATC: R03B
Use: cardiotonic, diuretic, antispasmodic, bronchodilator

RN: 652-37-9 MF: $C_9H_{10}N_4O_4$ MW: 238.20 EINECS: 211-490-2
LD_{50}: 1180 mg/kg (M, i.p.); 2733 mg/kg (M, p.o.)
CN: 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-7*H*-purine-7-acetic acid



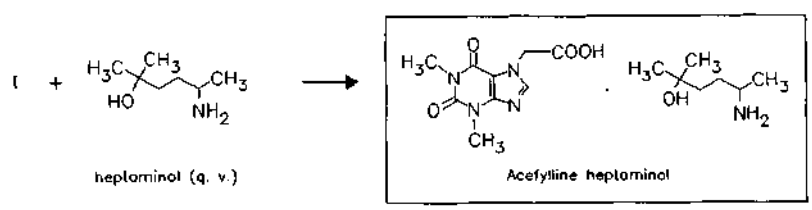
Acepifylline

RN: 18833-13-1 MF: $C_9H_{10}N_4O_4 \cdot xC_4H_{10}N_2$ MW: unspecified EINECS: 242-614-3
CN: 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-7*H*-purine-7-acetic acid compd. with piperazine



Acefylline heptaminol

RN: 59989-20-7 MF: $C_9H_{10}N_4O_3 \cdot C_8H_{19}NO$ MW: 367.45 EINECS: 262-012-4
CN: 1,2,3,6-tetrahydro-1,3-dimethyl-2,6-dioxo-7*H*-purine-7-acetic acid compd. with 6-amino-2-methyl-2-heptaminol (1:1)



Reference(s):
Blaisse, J.: Bull. Soc. Chim. Fr. (BSCFAS) **1949**, 769.

Formulation(s): amp. 500 mg/200 ml; drg. 250 mg; suppos. 500 mg; tabl. 250 mg (acepifylline); drg. 250 mg; inj. 0.5 g; suppos. 0.5-1 g

Trade Name(s):

D: Etaphydel (Delalande; as acepifylline); wfm

F: Sureptil (Synthélabo; as acefylline-heptaminol)-comb.

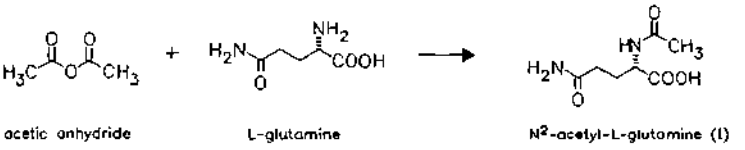
GB: Etophylate (Delalande; as acepifylline); wfm

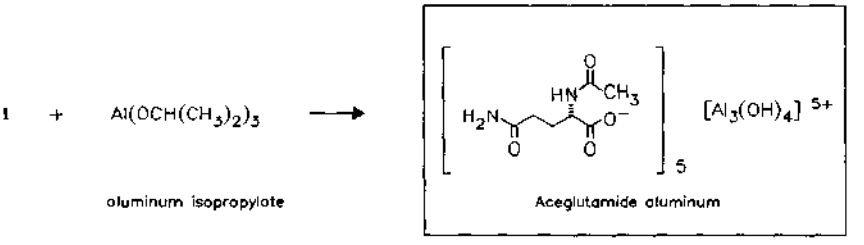
I: Sureptil (Delalande Isnardi)-comb.

Aceglutamide aluminum

ATC: A02AB; N06B
Use: peptic ulcer therapeutic

RN: 12607-92-0 MF: $C_{35}H_{59}Al_3N_{10}O_{24}$ MW: 1084.85
LD_{50}: 460 mg/kg (M, i.v.); 13.1 g/kg (M, p.o.);
400 mg/kg (R, i.v.); >14.5 g/kg (R, p.o.)
CN: pentakis(N^2-acetyl-L-glutaminato)tetrahydroxytrialuminum





Reference(s):
DOS 2 127 176 (Kyowa Hakko; appl. 1.6.1971; J-prior. 5.6.1970).
US 3 787 466 (Kyowa Hakko; 22.1.1974; J-prior. 5.6.1970).

preparation of N^2-acetyl-L-glutamine:
Reddy, A.V; Ravindranath, B.: Synth. Commun. (SYNCAV) **22** (2), 257 (1992).
Synge: Biochem. J. (BIJOAK) **33**, 673 (1939).

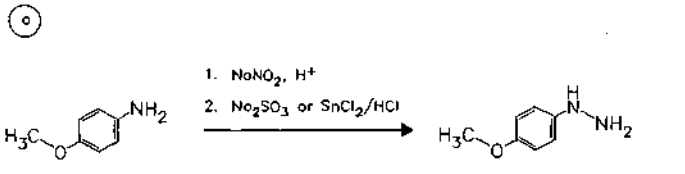
Formulation(s): gran. 700 mg

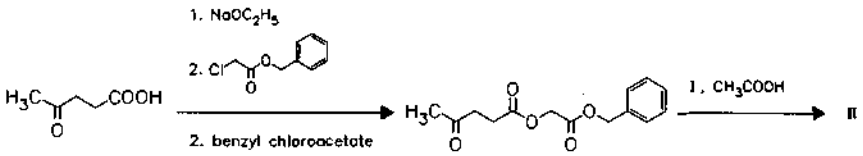
Trade Name(s):
J: Glumal (Kyowa Hakko)

Acemetacin

ATC: M01AB11
Use: non-steroidal anti-inflammatory

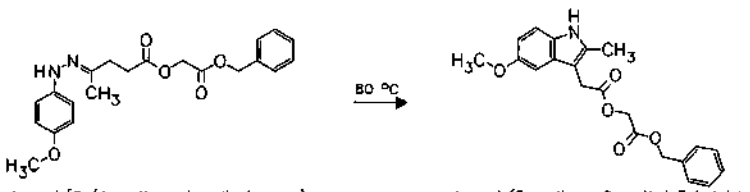
RN: 53164-05-9 MF: $C_{21}H_{18}ClNO_6$ MW: 415.83 EINECS: 258-403-4
LD_{50}: 55 mg/kg (Mm, p.o.); 18.42mg/kg (Mf, p.o.);
24.2 mg/kg (Rm, p.o.); 30.1 mg/kg (Rf, p.o.)
CN: 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indole-3-acetic acid carboxymethyl ester





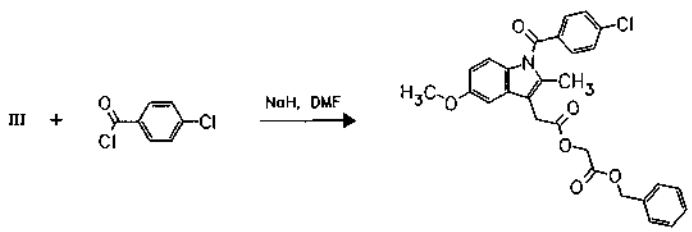
levulinic acid

benzyl levulinoyloxyacetate



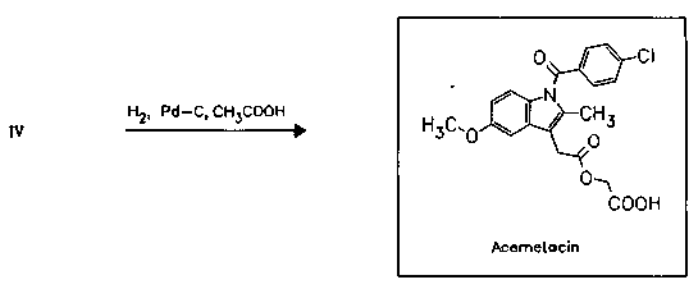
benzyl [2-(4-methoxyphenylhydrozono)-valeryloxy]acetate (II)

benzyl (5-methoxy-2-methyl-3-indolyl-acetaxy)acetate (III)

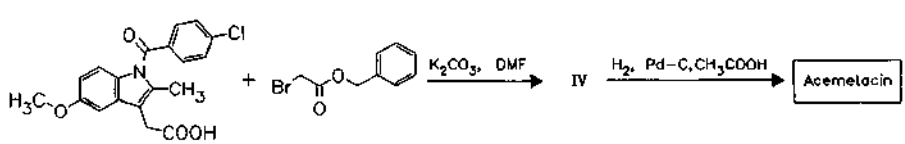


4-chloro-benzoyl chloride

benzyl [1-(4-chlorobenzoyl)-5-methoxy-2-methyl-3-indolylacetoxy]acetate (IV)



(b)



indometacin (q. v.)

benzyl bromo-acetate

Reference(s):

DOS 2 234 651 (Tropon; appl. 14.7.1972).
FR 2 192 828 (Tropon; appl. 13.7.1973; D-prior. 14.7.1972).
US 3 910 952 (Troponwerke Dinklage; 7.10.1975; appl. 28.6.1973; D-prior. 14.7.1972).

preparation of 4-methoxyphenylhydrazine *from* 4-methoxyaniline (*p*-anisidine):
Lee, A.-R. et al.: J. Heterocycl. Chem. (JHTCAD) **32** (1), 1-12 (1995).
Clade, D.W. et al.: J. Chem. Soc., Perkin Trans. 2 (JCPKBH) , 909-916 (1982).
DE 70 459 (Riedel; 12.11.1891).
Altschul: Ber. Dtsch. Chem. Ges. (BDCGAS) **25**, 1849 (1892).

preparation of benzyl levulinoyloxyacetate:
Boltze, K.-H.; Brendler, O.; Jacobi, H.; Opitz, W.; Raddatz, S. et al.: Arzneim.-Forsch. (ARZNAD) **30** (8a), 1314-1325 (1980).

Formulation(s): cps. 30 mg, 60 mg; s. r. cps. 90 mg

Trade Name(s):

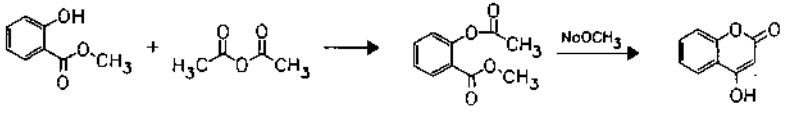
D:	Rantudil (Bayer; 1980)	I:	Acemix (Bioprogress)	J:	Rantudil (Kowa; 1984)
GB:	Emflex (Merck)		Solar (Bioindustria)		

Acenocoumarol

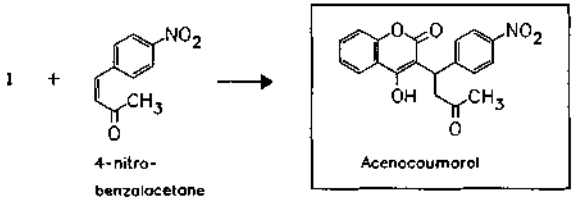
(Acenocumarin; Nicoumalone)

ATC: B01AA07
Use: anticoagulant

RN: 152-72-7 MF: $C_{19}H_{15}NO_6$ MW: 353.33 EINECS: 205-807-3
LD_{50}: 115 mg/kg (M, i.p.); 1470 mg/kg (M, p.o.);
513 mg/kg (R, p.o.)
CN: 4-hydroxy-3-[1-(4-nitrophenyl)-3-oxobutyl]-2*H*-1-benzopyran-2-one



methyl salicylate (wintergreen oil) | acetic anhydride | methyl acetylsalicylate | 4-hydroxycoumarin (I)



I | 4-nitrobenzalacetone | Acenocoumarol

Reference(s):
US 2 648 862 (Geigy; 1953; CH-prior. 1950).

Formulation(s): tabl. 1 mg, 4 mg

Trade Name(s):

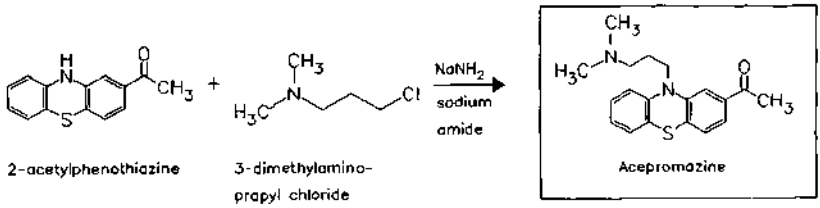
D:	Sintrom (Geigy); wfm	GB:	Sinthrome (Geigy)	J:	Sintrom (Ciba-Geigy)
F:	Sintrom (Novartis)	I:	Sintrom (Novartis)	USA:	Sintrom (Geigy); wfm

Acepromazine

ATC: N05AA04
Use: neuroleptic, anti-emetic, tranquilizer

RN: 61-00-7 MF: $C_{19}H_{22}N_2OS$ MW: 326.46 EINECS: 200-496-0
LD_{50}: 59 mg/kg (M, i.v.)
CN: 1-[10-[3-(dimethylamino)propyl]-10*H*-phenothiazin-2-yl]ethanone

maleate (1:1)
RN: 3598-37-6 MF: $C_{19}H_{22}N_2OS \cdot C_4H_4O_4$ MW: 442.54 EINECS: 222-748-9
LD_{50}: 65 mg/kg (M, i.v.);
95 mg/kg (R, i.v.); 400 mg/kg (R, p.o.)



Reference(s):
DE 1 049 865 (Bayer; appl. 7.9.1955).
Schmitt, J. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1957**, 938, 1474.

Formulation(s): drops 1 mg/10 drops; syrup 2.5 mg; tabl. 10 mg (as maleate)

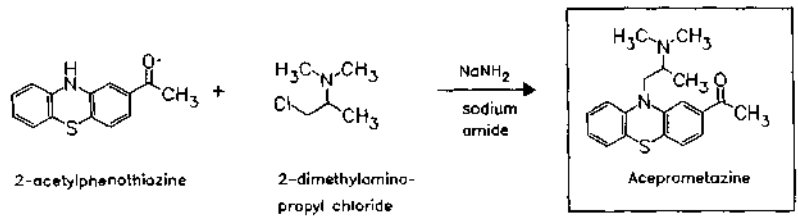
Trade Name(s):
F: Noctran (Menarini)-comb. J: Plebal (Fujinaga-Sankyo)-comb.

Acepromethazine

ATC: N05AA
Use: neuroleptic, antitussive

RN: 13461-01-3 MF: $C_{19}H_{22}N_2OS$ MW: 326.46 EINECS: 236-661-9
LD_{50}: 517 mg/kg (M, p.o.)
CN: 1-[10-[2-(dimethylamino)propyl]-10*H*-phenothiazin-2-yl]ethanone

maleate
RN: 7455-18-7 MF: $C_{19}H_{22}N_2OS \cdot C_4H_4O_4$ MW: 442.54



Reference(s):
DE 1 049 865 (Bayer; appl. 7.9.1955).

Formulation(s): tabl. 13.55 mg (as maleate in combination with 400 mg meprobramate)

Trade Name(s):

D:	Clindorm (Midy)-comb.		Noctran (Menarini)-comb.
F:	Mépronizine (Sanofi)-comb.	J:	Noctran (Clin-Midy-Sanofi); wfm

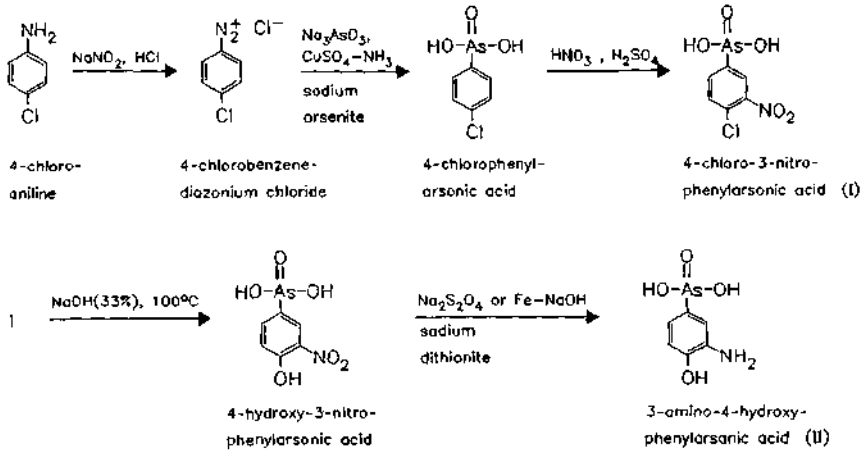
Acetarsol

(Acetarsone)

ATC: A07AX02; G01AB01; P01CD02
Use: antiprotozoal (trichomonas)

RN: 97-44-9 MF: $C_8H_{10}AsNO_5$ MW: 275.09 EINECS: 202-582-3
LD_{50}: 180 mg/kg (M, i.v.); 4 mg/kg (M, p.o.)
CN: [3-(acetylamino)-4-hydroxyphenyl]arsonic acid

monosodium salt
RN: 5892-48-8 MF: $C_8H_9AsNNaO_5$ MW: 297.07 EINECS: 227-573-1



Reference(s):
Raiziss, G.W.; Gavron, J.L.: J. Am. Chem. Soc. (JACSAT) **43**, 583 (1921).
Raiziss, G.W.; Fisher, B.C.: J. Am. Chem. Soc. (JACSAT) **48**, 1323 (1926).
DRP 250 264 (H. Bart; appl. 1910).
DRP 245 536 (Hoechst; appl. 1911).
DRP 224 953 (Hoechst; appl. 1909).

Formulation(s): collutorium (mouth wash) 0.5 mg/100 g

Trade Name(s):

F:	Arpha collutoire (Fournier)-comb.; wfm	Gynoplix (Doms-Adrian); wfm	Humex collutoire (Fournier)-comb.; wfm
	Collargent acétarsol (Sarbach)-comb.; wfm	Gynoplix (Théraplix)-comb.; wfm	Humex Fournier collutoire (Fournier)-comb.; wfm

	Polygynax (Innothéra)-comb.; wfm		Sanogyl (Pharmascience)-comb.; wfm	I:	Gynoplix (Vaillant)
	Polygynax Virgo (Innothéra)-comb.; wfm	GB:	Sanogyl (Vilette); wfm Pyorex (Bengue)-comb.; wfm	J:	Neo Osvarsan (Banyu) Osvarsan (Banyu)
	Pyorex (Bailly-Speab)-comb.; wfm		S. V. C. (May & Baker)		

Acetazolamide

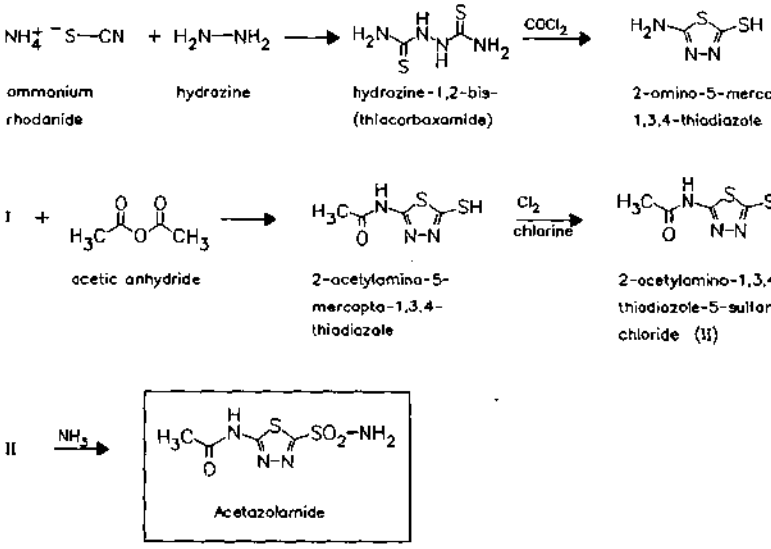
(Acetazoleamide)

ATC: S01EC01
Use: diuretic

RN: 59-66-5 MF: $C_4H_6N_4O_3S_2$ MW: 222.25 EINECS: 200-440-5

LD_{50}: 1175 mg/kg (M, i.p.); >3000 mg/kg (M, i.v.); 4300 mg/kg (M, p.o.); >3000 mg/kg (M, s.c.); 2750 mg/kg (R, i.p.); >1500mg/kg (g. p., s.c.) >2000 mg/kg (dog, i.v.);

CN: *N*-[5-(aminosulfonyl)-1,3,4-thiadiazol-2-yl]acetamide



Reference(s):

US 2 554 816 (American Cyanamid; 1951; prior. 1950).

Roblin, R.O.; Clapp, J.W.: J. Am. Chem. Soc. (JACSAT) **72**, 4890 (1950).

similar process:

US 2 980 679 (Omikron-Gagliardi; 18.4.1961; I-prior. 4.4.1957).

Formulation(s): amp. 500 mg; cream 10 %; lyo. 500 mg; powder 500 mg; s. r. cps. 500 mg; tabl. 125 mg, 250 mg

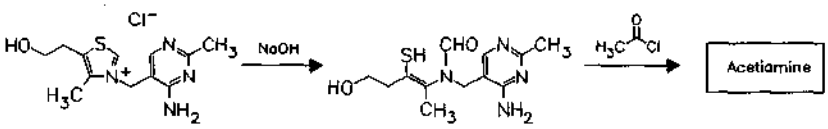
Trade Name(s):

D:	Diamox (Lederle)		Diamox Sustets (Lederle); wfm		Diamox S. R. (Lederle-Takeda)
	Diuramid (medpharm)	I:	Diamox (Cyanamid)		Didoc (Sawai)
	Glaupax (CIBA Vision)	J:	Acetamox (Santen)		Donmox (Hotta)
F:	Défiltran (Labs. Jumer)		Atenezol (Tsuruhara)		Zohnox (Konto)
	Diamox (Théraplix)		Diamox (Lederle-Takeda)	USA:	Diamox (Lederle)
GB:	Diamox (Storz)				

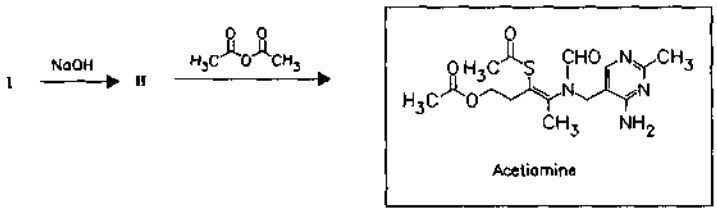
Acetiamine

ATC: A11
Use: vitamin B_1-derivative, neurotropic analgesic

RN: 299-89-8 MF: $C_{16}H_{22}N_4O_4S$ MW: 366.44
CN: ethanethioic acid *S*-[1-[2-(acetyloxy)ethyl]-2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]formylamino]-1-propenyl] ester



thiamine (I) (q. v.) (II)



Reference(s):
US 2 752 348 (Takeda; 1956; J-prior. 1952).
Matsukawa, T.; Kawasaki, H.: Yakugaku Zasshi (YKKZAJ) **23**, 705 (1953).
Gauthier, B. et al.: Ann. Pharm. Fr. (APFRAD) **21**, 655 (1963).

Formulation(s): drg. 50 mg

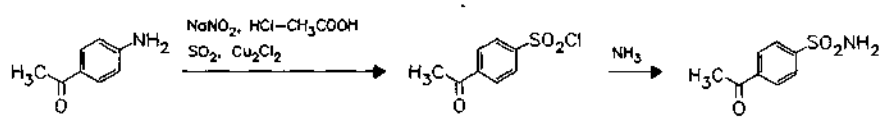
Trade Name(s):

D:	Thianeurone (Rhône-Poulenc); wfm	F:	Algo-Névriton (Pharmuka); wfm

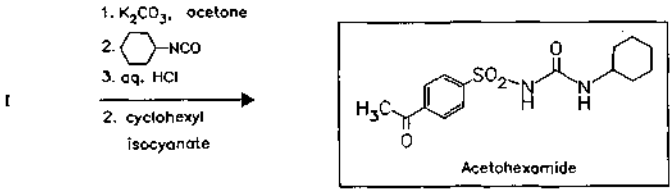
Acetohexamide
(Cyclamide)

ATC: A10BB31
Use: antidiabetic

RN: 968-81-0 MF: $C_{15}H_{20}N_2O_4S$ MW: 324.40 EINECS: 213-530-4
LD_{50}: >2500 mg/kg (M, p.o.); 5g/kg (R, p.o.)
CN: 4-acetyl-*N*-[(cyclohexylamino)carbonyl]benzenesulfonamide



4-amino-acetophenone — 4-acetylphenyl-sulfonyl chloride — 4-acetylbenzene-sulfonamide (I)



Reference(s):
US 3 320 312 (Lilly; 16.5.1967; prior. 28.4.1960).
DE 1 177 631 (Lilly; appl. 21.4.1961; USA-prior. 28.4.1960).
DE 1 135 891 (Hoechst; appl. 30.6.1960).

Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):

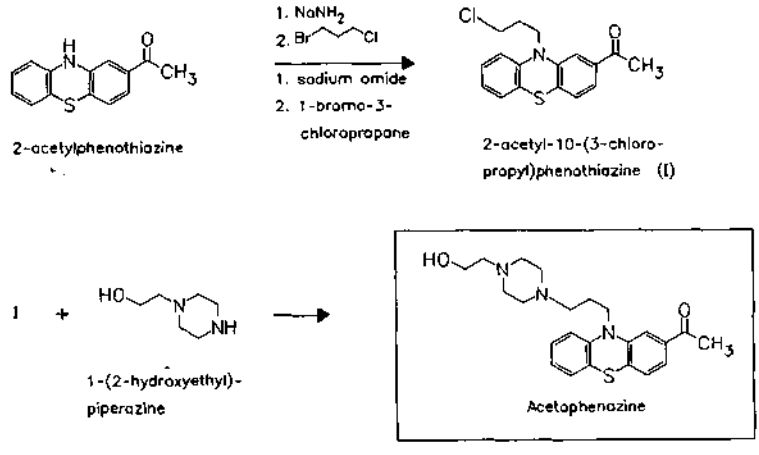
GB:	Dimelor (Lilly); wfm	J:	Dimelin (Shionogi)
I:	Dimelor (Lilly); wfm	USA:	Dymelor (Lilly)

Acetophenazine

ATC: N05AB07
Use: neuroleptic, antipsychotic

RN: 2751-68-0 MF: $C_{23}H_{29}N_3O_2S$ MW: 411.57
CN: 1-[10-[3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl]-10*H*-phenothiazin-2-yl]ethanone

maleate (1:2)
RN: 5714-00-1 MF: $C_{23}H_{29}N_3O_2S \cdot 2C_4H_4O_4$ MW: 643.71 EINECS: 227-202-3
LD_{50}: 71 mg/kg (M, i.v.);
60 mg/kg (R, i.p.); 39 mg/kg (R, i.v.); 415 mg/kg (R, p.o.)



Reference(s):
US 2 985 654 (Schering Corp.; 23.5.1961; prior. 21.9.1956).

Formulation(s): tabl. 20 mg (as dimaleate)

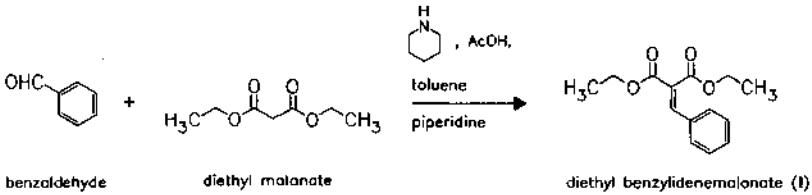
Trade Name(s):
USA: Tindal (Schering); wfm

Acetorphan

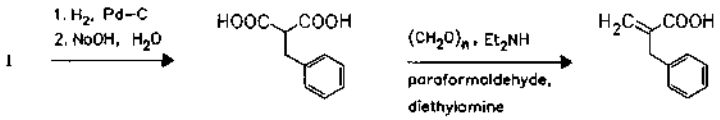
(Racecadotril)

ATC: A07XA04
Use: antisecretory, enkephalinaseinhibitor

RN: 81110-73-8 MF: $C_{21}H_{23}NO_4S$ MW: 385.48
CN: (±)-*N*-[2-[(Acetylthio)methyl]-1-oxo-3-phenylpropyl]glycine phenylmethyl ester

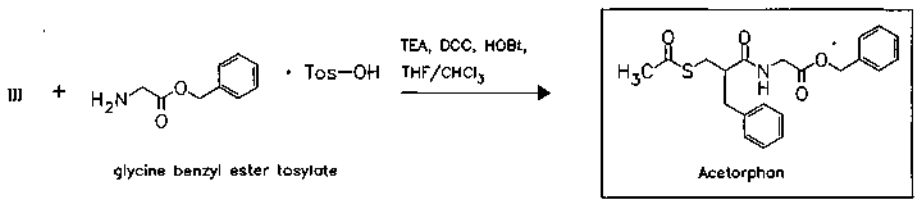


benzaldehyde diethyl malonate diethyl benzylidenemalonate (I)

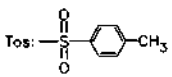


benzylmalonic acid 2-benzylacrylic acid (II)

thioacetic acid (±)-2-acetylthiomethyl-3-phenylpropionic acid (III)



glycine benzyl ester tosylate



Reference(s):
EP 38 758 (Roques, B. et al.; appl. 17.4.1981; F-prior. 17.4.1980).
EP 729 936 (Soc. Civile Bioprojet; appl. 1.3.1996; F-prior. 3.3.1995).

synthesis of III:
Mannich, C.; Ritsert, K.: Ber. Dtsch. Chem. Ges. (BDCGAS) **57**, 1116 (1924).

Formulation(s): cps. 100 mg

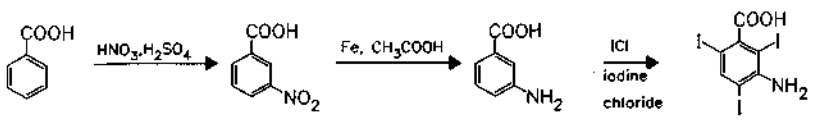
Trade Name(s):
F: Tiorfan (Bioprojet; 1993)

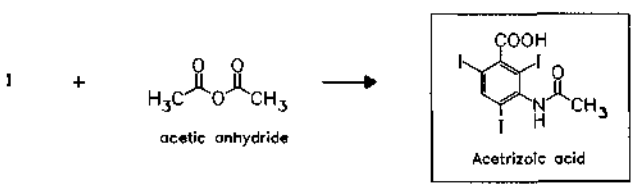
Acetrizoic acid

ATC: V08AA07
Use: X-ray contrast medium

RN: 85-36-9 MF: $C_9H_6I_3NO_3$ MW: 556.86 EINECS: 201-600-7
LD_{50}: 8000 mg/kg (M, i.v.); 20 g/kg (M, p.o.)
CN: 3-(acetylamino)-2,4,6-triiodobenzoic acid

meglumine salt (1:1)
RN: 22154-43-4 MF: $C_9H_6I_3NO_3 \cdot C_7H_{17}NO_5$ MW: 752.08
LD_{50}: 10.1 g/kg (M, i.v.)
sodium salt
RN: 129-63-5 MF: $C_9H_5I_3NNaO_3$ MW: 578.85 EINECS: 204-956-1
LD_{50}: 12156 mg/kg (M, i.m.); 7800 mg/kg (M, i.v.);
6400 mg/kg (R, i.v.);
5200 mg/kg (rabbit, i.v.);
5600 mg/kg (cat, i.v.);
6300 mg/kg (dog, i.v)





Reference(s):
US 2 611 786 (Mallinckrodt; 1952; appl. 1950; prior. 21.7.1948).
Wallingford et al.: J. Am. Chem. Soc. (JACSAT) **74**, 4365 (1952).

3-amino-2,4,6-triiodobenzoic acid:
Kretzer: Ber. Dtsch. Chem. Ges. (BDCGAS) **30**, 1944 (1897).

Formulation(s): vial. 250 mg/ml, 500 mg/ml

Trade Name(s):

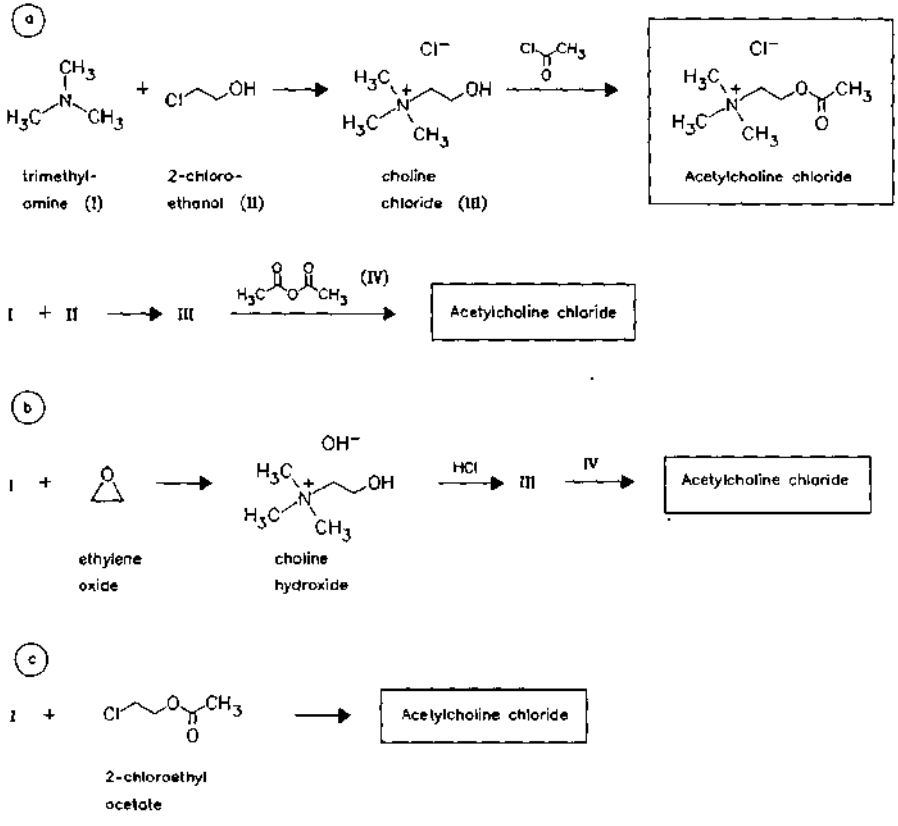
F:	Vasurix (Guerbet); wfm	J:	Diaginol (Banyu); wfm	Pyelokon-R (Mallinckrodt); wfm
GB:	Diaginol (May & Baker); wfm	USA:	Cystocon (Mallinckrodt); wfm	Salpix (Ortho); wfm

Acetylcholine chloride

ATC: S01EB09
Use: parasympathomimetic, miotic, vasodilator (peripheral)

RN: 60-31-1 MF: $C_7H_{16}ClNO_2$ MW: 181.66 EINECS: 200-468-8
LD_{50}: 10 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
22 mg/kg (R, i.v.); 2500 mg/kg (R, p.o.)
CN: 2-(acetyloxy)-*N*,*N*,*N*-trimethylethanaminium chloride

hydroxide
RN: 56-13-3 MF: $C_7H_{17}NO_3$ MW: 163.22
bromide
RN: 66-23-9 MF: $C_7H_{16}BrNO_2$ MW: 226.11 EINECS: 200-622-4
LD_{50}: 170 mg/kg (M, s.c.)



Reference(s):
Baeyer, A. v.: Justus Liebigs Ann. Chem. (JLACBF) **142**, 235 (1867).
Nothnagel: Arch. Pharm. (Weinheim, Ger.) (ARPMAS) **232**, 265 (1894).
Fourneau, E.; Page, H.J.: Bull. Soc. Chim. Fr. [4] **15**, 544 (1914).
DE 801 210 (BASF; appl. 1948).
US 1 957 443 (Merck & Co.; 1934; appl. 1931).
US 2 012 268 (Merck & Co.; 1935; appl. 1931).
US 2 013 536 (Merck & Co.; 1935; appl. 1931).

Formulation(s): amp. 20 mg; eye drops 1 %

Trade Name(s):

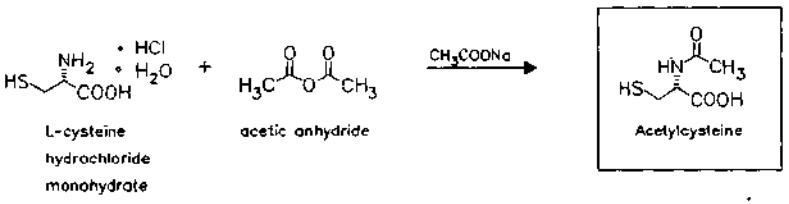
D:	Miochol-E (CIBA Vision)	J:	Acetylcholine (Roche)
I:	Farmigea acetilcolina (Farmigea); wfm		Neucholin-A (Zeria); wfm
			Ovisot (Daiichi); wfm

Acetylcysteine

ATC: R05CB01; S01XA08; V03AB23
Use: mucolytic agent

RN: 616-91-1 MF: $C_5H_9NO_3S$ MW: 163.20 EINECS: 210-498-3
LD_{50}: 400 mg/kg (M, i.p.); 3800 mg/kg (M, i.v.); 7888 mg/kg (M, p.o.);
1140 mg/kg (R, i.v.); 5050 mg/kg (R, p.o.);
700 mg/kg (dog, i.p.); 700 mg/kg (dog, i.v.); >1 g/kg (dog, p.o.)
CN: *N*-acetyl-L-cysteine

monosodium salt
RN: 19542-74-6 MF: $C_5H_8NNaO_3S$ MW: 185.18 EINECS: 243-143-6
LD_{50}: 3800 mg/kg (M, i.v.);
2559 mg/kg (R,i.v.)
monoammonium salt
RN: 50807-78-8 MF: $C_5H_9NO_3S \cdot H_3N$ MW: 180.23



Reference(s):
US 3 091 569 (Mead Johnson;. 28.5.1963; appl. 26.8.1960).
US 3 184 505 (Mead Johnson; 18.5.1965; appl. 18.6.1962).
Smith, H.A.; Gorin, G.: J. Org. Chem. (JOCEAH) **26**, 820 (1961).

ammonium salt (mucolysis of bronchial mucus by nebulization):
DOS 2 305 271 (Bristol-Myers; appl. 2.2.1973; USA-prior. 3.2.1972).

Formulation(s): amp. 300 mg (as monosodium salt); cps. 200 mg; eff. tabl. 100 mg, 200 mg, 600 mg; f. c. tabl. 100 mg, 200 mg, 600 mg; gran. 10 mg, 100 mg, 200 mg, 600 mg; lyo. for syrup 100 mg; syrup 200 mg/10 ml; tabl. 100 mg, 200 mg, 600 mg

Trade Name(s):

D:
ACC (Hexal)
Acemuc (betapharm)
Fluimucil-100/-200 (Zambon)
Rinofluimucil (Inpharzam)-comb.
numerous combination and generic preparations

F:
Broncoclar (Oberlin)
Codotussyl (Whitehall)
Euronac (Europhta)
Exomuc (Bouchara)
Fluimucil (Zambon)
Fluimucil Antibiotic 750 (Zambon)
Genac (Génévrier)
Mucolator (Abbott)
Mucomyst (Bristol-Myers Squibb)
Mucothiol (SCAT)
Rhinofluimucil (Débat)-comb.
Solmucol (Génévrier)
Tixair (Byk)

GB:
Ilube (Alcon)-comb.
Parvolex (Evans)

I:
Brunac (Bruschettini)
Fluimucil (Zambon)
Mucisol (Deca)
Rinofluimucil (Zambon)-comb.

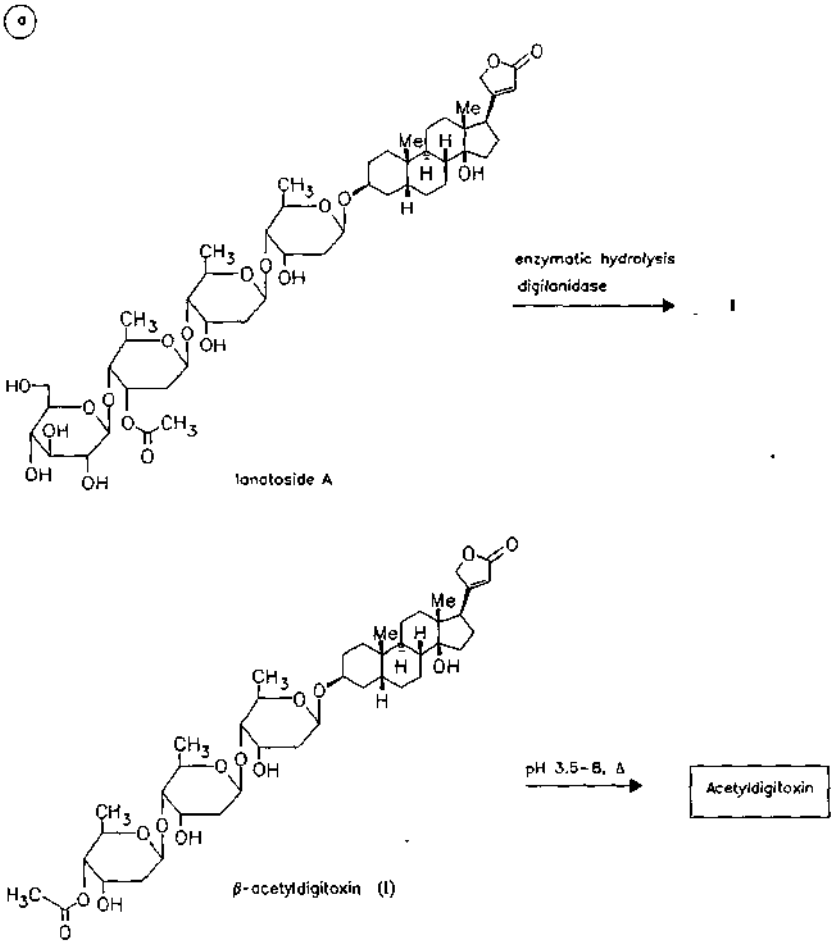
J:
Acetein (Senju)
Mucofilin Sol. (Eisai)

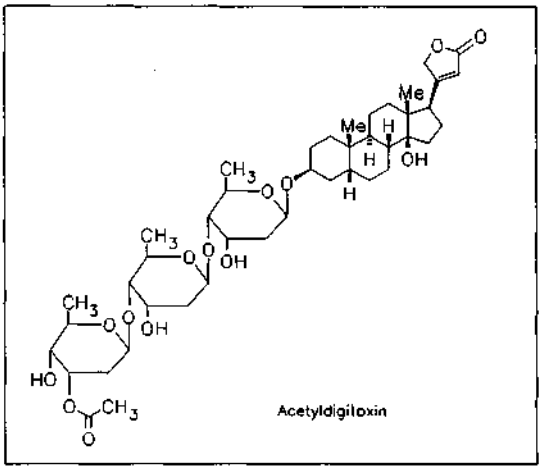
USA:
Mucosit (Dey)

Acetyldigitoxin

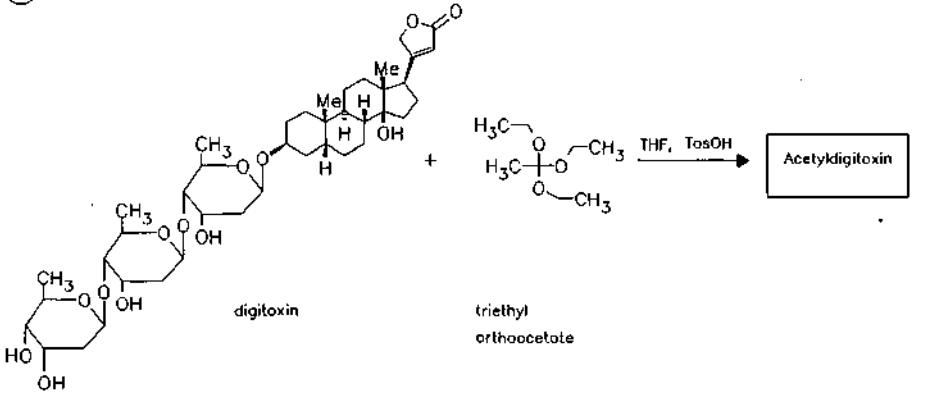
ATC: C01AA01
Use: cardiotonic, cardiac glycoside

RN: 1111-39-3 MF: $C_{43}H_{66}O_{14}$ MW: 806.99 EINECS: 214-178-4
LD_{50}: >30 mg/kg (g. p., p.o.);
514 µg/kg (cat, i.v.); 250 µg/kg (cat, p.o.)
CN: (3β,5β)-3-[(*O*-3-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-14-hydroxycard-20(22)-enolide





b



Reference(s):

a Stoll, A. et al.: Helv. Chim. Acta (HCACAV) **34**, 397 (1951).
Gisvold, O.: J. Pharm. Sci. (JPMSAE) **61**, 1320 (1972).
HU 155 716 (Richter Gedeon; appl. 20.1.1968).
DE 925 047 (Sandoz; appl. 1954; CH-prior. 1952).

b DE 2 010 422 (Boehringer Ing.; appl. 5.3.1970).

alternative synthesis:

DE 2 206 737 (Boehringer Mannh.; appl. 12.2.1972) (α-Acetyldigoxin, q. v.).

Formulation(s): tabl. 0.2 mg

Trade Name(s):

D: Acylanid (Sandoz); wfm F: Acylanid (Sandoz); wfm USA: Acylanid (Sandoz); wfm

α-Acetyldigoxin

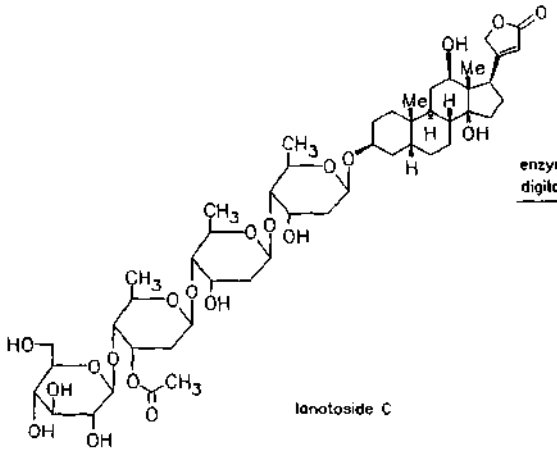
ATC: C01AA02
Use: cardiotonic, cardiac glycoside

RN: 5511-98-8 MF: $C_{43}H_{66}O_{15}$ MW: 822.99 EINECS: 226-855-1

LD_{50}: 3300 µg/kg (g. p., p.o.);
200 µg/kg (cat, p.o.)

CN: (3β,5β,12β)-3-[(*O*-3-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-12,14-dihydroxycard-20(22)-enolide

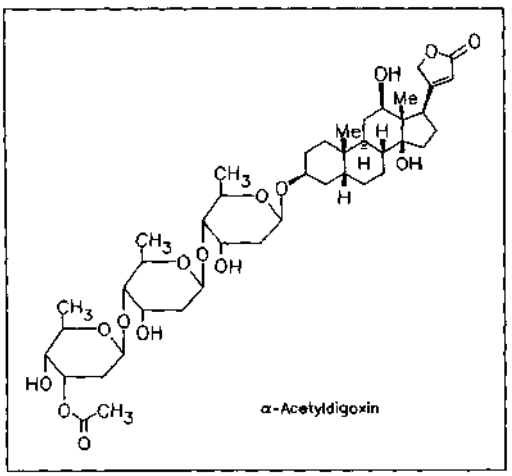
a)



lanatoside C

enzymatic hydrolysis
digilanidose

α-Acetyldigoxin



α-Acetyldigoxin

b)

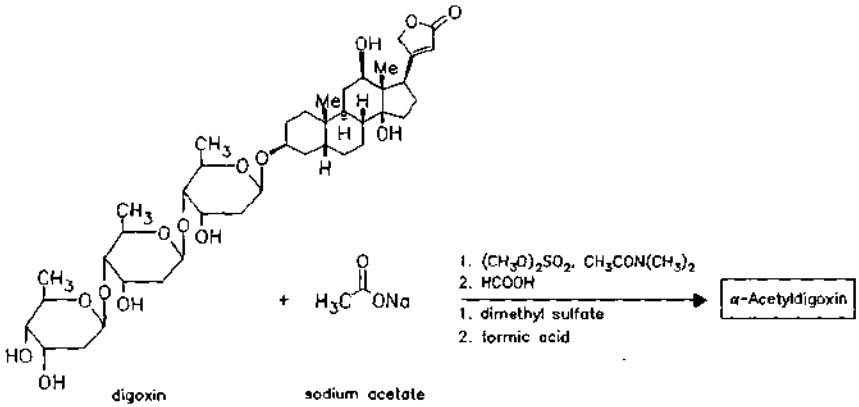
digilanide
(lanatoside A, B, C)

enzymatic hydrolysis

acetyldigitoxin
+
acetyldigoxin
+
acetylgitoxin

partition of the methanol solution between H_2O and $CHCl_3$

α-Acetyldigoxin



Reference(s):

a Fieser, L.F.; Fieser, M.: Steroide, p. 801, Verlag Chemie, Weinheim 1961.

b GB 1 162 614 (Heilmittelwerke Wien; appl. 1.2.1968; A-prior. 7.2.1967).
Gisvold, O.: J. Pharm. Sci. (JPMSAE) **61**, 1320 (1972).

alternative syntheses:

DE 2 010 422 (Boehringer Ing.; appl. 5.3.1970). (Acetyldigitoxin, q. v.).
Rietbrock, N.; Kuhlmann, J.: Naunyn-Schmiedeberg's Arch. Pharmacol. (NSAPCC) **279**, 413 (1973).

Formulation(s): sol. 0.5 mg/ml; tabl. 0.25 mg, 0.2 mg

Trade Name(s):

D: Card-Hydergin (Sandoz)-comb.; wfm
Digi-Complamin (Beecham-Wülfing)-comb.; wfm
Lanadigin (Promonta); wfm
Lanadigin EL (Promonta); wfm
Lanadigin + Theophyllin (Promonta)-comb.; wfm
Nitro-Sandolanid (Sandoz)-comb.; wfm
Sandolanid (Sandoz)

F: Acygoxine (Sandoz); wfm

I: Cedigossina (Sandoz)

β-Acetyldigoxin

ATC: C01AA02
Use: cardiotonic, cardiac glycoside

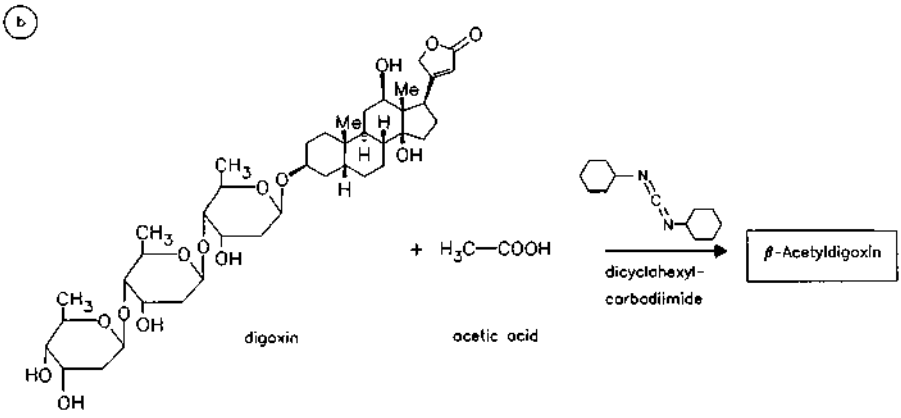
RN: 5355-48-6 MF: $C_{43}H_{66}O_{15}$ MW: 822.99 EINECS: 226-337-5

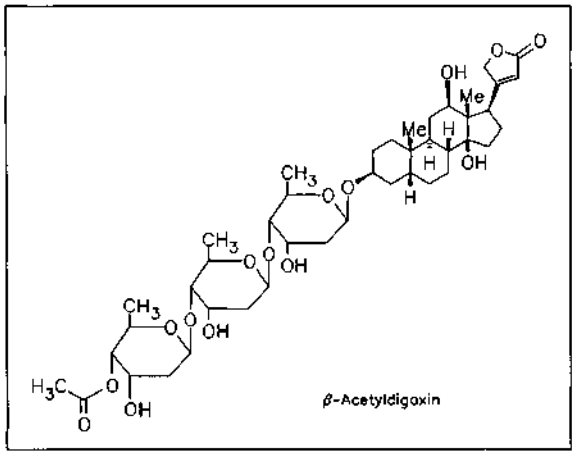
LD_{50}: 2400 µg/kg (g. p., p.o.);
422 µg/kg (dog, p.o.)

CN: (3β,5β,12β)-3-[(*O*-4-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-12,14-dihydroxycard-20(22)-enolide

(a) isolation and extraction from the leaves of Digitalis lanata

(b)





Reference(s):

a Hopponen, R.E.; Gisvold, O.: J. Am. Pharm. Assoc. (JPHAA3) **41**, 146 (1952).
Rangaswami, S. et al.: Indian J. Pharm. (IJPAAO) **17**, 253 (1955).

b HU 7 147 (Richter Gedeon; appl. 5.6.1972).

alternative syntheses:

Haberland, G.: Arzneim.-Forsch. (ARZNAD) **15**, 481 (1965).
Graf, E.; Pfaff, J.: Arch. Pharm. (Weinheim, Ger.) (ARPMAS) **307**, 943 (1974).
DOS 2 826 532 (LEK tovarna farm.; appl. 16.6.1978; YU-prior. 22.6.1977).

medical use:

DOS 1 921 307 (Boehringer Ing.; appl. 25.4.1969) addition to DOS 1 767 553.

Formulation(s): tabl. 0.1 mg, 0.2 mg

Trade Name(s):

D: Beta-Acetyldigoxin (ratiopharm)
Beta-Acetyldigoxin R.A.N. = glycotop (R.A.N.)
Beta-Acetyldigoxin-ratiopharm 0,1 mg/0,2 mg (ratiopharm)
Digostada 0.2/-mite (Stadapharm)
Digostade (Stada)
Digotab (ASTA Medica AWD)
Digox (ct-Arzneimittel)
Digoxin-Didier (Hormosan)
Gladixol (Corax)

Kardiamed (Medice) Novodigal (Beiersdorf) Stillacor (Wolff)	I:	numerous combination preparations Beta-Acigoxia (Inverni della Beffa); wfm	Cardioreg (Nattermann); wfm

Acetylsalicylic acid

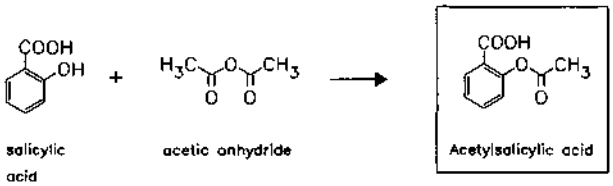
(Acidum acetylsalicylicum; Aspirin)

ATC: A01AD05; B01AC06; M01BA03; N02BA01; N02BA51

Use: analgesic, antipyretic, antirheumatic, platelet aggregation inhibitor

RN: 50-78-2 MF: $C_9H_8O_4$ MW: 180.16 EINECS: 200-064-1
LD_{50}: 280 mg/kg (M, i.p.); 250 mg/kg (M, p.o.); 1520 mg/kg (M, s.c.);
340 mg/kg (R, i.p.); 200 mg/kg (R, p.o.); 1600 mg/kg (R, s.c.);
1075 mg/kg (g. p., p.o.);
1010 mg/kg (rabbit, p.o.);
681 mg/kg (dog, i.v.); 700 mg/kg (dog, p.o.)
CN: 2-(acetyloxy)benzoic acid

aluminum salt
RN: 147-31-9 MF: $C_{27}H_{21}AlO_{12}$ MW: 564.44
calcium salt
RN: 69-46-5 MF: $C_{18}H_{14}CaO_8$ MW: 398.38 EINECS: 200-707-6
lithium salt
RN: 552-98-7 MF: $C_9H_7LiO_4$ MW: 186.09 EINECS: 209-029-5
sodium salt
RN: 493-53-8 MF: $C_9H_7NaO_4$ MW: 202.14 EINECS: 207-777-7
LD_{50}: 730 mg/kg (M, i.p.);
1450 mg/kg (R, i.p.)
magnesium salt
RN: 132-49-0 MF: $C_{18}H_{14}MgO_8$ MW: 382.61 EINECS: 205-062-4
LD_{50}: 620 mg/kg (M, s.c.)
lysine salt (1:1)
RN: 62952-06-1 MF: $C_9H_8O_4 \cdot C_6H_{14}N_2O_2$ MW: 326.35
LD_{50}: 950 mg/kg (M, i.v.); 3270 mg/kg (M, p.o.);
1525 mg/kg (R, i.v.); 4350 mg/kg (R, p.o.)



Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **13**, 90.
US 3 235 583 (Norwich Pharmacal; 15.2.1966; appl. 22.7.1964).

acetylation in presence of pyridine *for avoidance of formation of* acetylsalicylic anhydride *and* acetylsalicylsalicylic acid*:*
DOS 2 635 540 (A. L. de Week, H. Bundgaard; appl. 6.8.1976).

acetylation in presence of H_2SO_4*:*
US 2 731 492 (J. Kamlet; 1956; appl. 1954).

crystallization:
US 2 890 240 (Monsanto; 1959; appl. 1957).

aluminium salts:
DRP 585 986 (Chinoin; appl. 1931; H.-prior. 1931).
US 2 698 332 (Reheis Comp.; 1954; appl. 1951).
US 2 918 485 (Keystone Chemurgic Corp.; 1959; appl. 1955).
GB 888 666 (Hardman & Holden; appl. 1959).

aluminum acetylsalicylate glutaminate:
DOS 2 909 829 (Kyowa Hakko; appl. 13.3.1979; J-prior. 13.3.1978).

Formulation(s): cps. 325 mg, 500 mg, suppos. 125 mg, 150 mg, 300 mg; tabl. 50 mg, 75 mg, 100 mg, 300 mg, 500 mg

Trade Name(s):

D: Alka-Seltzer (Bayer)
Aspirin (Bayer; 1899)
Aspisol (Bayer; as DL-lysine salt)
Aspro (Roche Nicholas)
ASS Dura (durachemie)
ASS-ratiopharm (ratiopharm)
Godamed (Pfleger)
Micristin (OPW)
Miniasal (OPW)
Romigal (Romogal-Werk)
Santasal (Merckle)
Togal (Togal)
numerous combination preparations

F: Actron (Bayer)-comb.
Afebry (Galephar)-comb.
Alka-Seltzer (Bayer)-comb.
Antigrippine (SmithKline Beecham)-comb.
Aspégic 500 (Synthélabo; as lysine salt)
Aspirine Bayér (Bayer)
Aspirine duRhône (Bayer)
Aspirine pH 8 (3M Santé)
Aspirine Upsa (UPSA)
Aspirine Upsa Vitamine C (UPSA)-comb.
Aspirine Vitamine C (Oberlin)-comb.
Aspirisucre (Arkomedika)
Aspro (Nicholas)
Catalgine (Schwarz)
Claragine (Nicholas)
Kardégic (Synthélabo)
Rhonal (Théraplix)
Sargépirine (ASTA Médica)
Solupsan (UPSA)
numerous combination preparations

GB: Angettes (Bristol-Myers)
Aspan (Hoechst)-comb.
Aspirin (Bayer)
Caprin (Sinclair)
Disprin CO (Reckitt & Colman)
Nu-Seals Aspirin (Lilly)
Post MI (Ashbourne)
numerous combination preparations

I: Ac Acsal (Formulario Naz.; Tariff. Nazionale; Scfm; Ierna; Farmacologico Milanese)
Acesal (Geymonat)
Alupir (Farmacologico Milanese; as aluminum salt)
Aspergum (Farmades)
Aspirina (Bayer)
Aspirinetta (Bayer)
Aspro (Roche)
Bufferin (Bristol-Myers Squibb)
Cemirit (Bayer)
Endydol (Guidotti)
Kilios (Carlo Erba)
numerous combination preparations

J: generic preparations

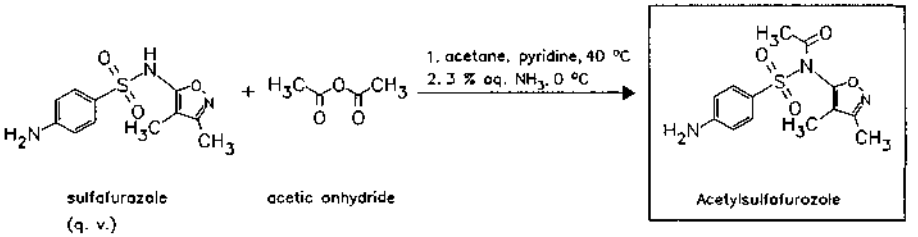
USA: Acuprin (Richwood)
Ecotrin (SmithKline Beecham Consumer)
Equagesic (Wyeth-Ayerst)
Fiorinal (Novartis)
Halfprin (Kramer)
Norgeric (3M)
Percotan (Endo)
Roboxisal (Robins)

Acetylsulfafurazole

(Acetylsulfisoxazole; Sulfisoxazole Acetyl)

ATC: S01AB
Use: antibacterial

RN: 80-74-0 MF: $C_{13}H_{15}N_3O_4S$ MW: 309.35 EINECS: 201-305-3
CN: *N*-[(4-aminophenyl)sulfonyl]-*N*-(3,4-dimethyl-5-isoxazolyl)acetamide



Reference(s):
US 2 721 200 (Roche; 1955; appl. 1953).

Formulation(s): susp. 500 mg/5 ml

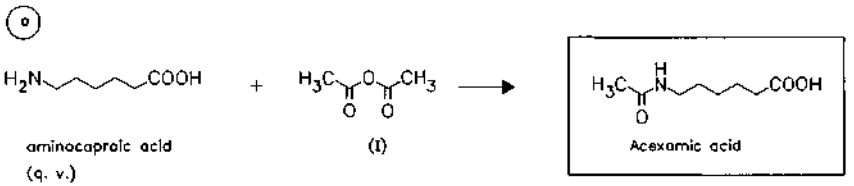
Trade Name(s):
USA: Eryzole (Alra) Pediazole (Ross)

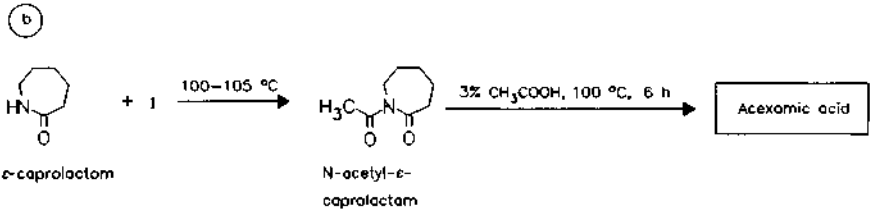
Acexamic acid
(Acide acexamique)

ATC: D03A
Use: antifibrinolytic

RN: 57-08-9 MF: $C_8H_{15}NO_3$ MW: 173.21 EINECS: 200-310-8
CN: 6-(acetylamino)hexanoic acid

sodium salt
RN: 7234-48-2 MF: $C_8H_{14}NNaO_3$ MW: 195.19 EINECS: 230-635-0





Reference(s):
Offe, H.A.: Z. Naturforsch., B: Anorg. Chem., Org. Chem., Biochem., Biophys., Biol. (ZENBAX) **2**, 182 (1947).
FR-M 2 332 (Rowa; appl. 1963).

Formulation(s): amp. 5 g (as sodium salt); cps. 300 mg (as zinc salt); ointment 5 % (as sodium salt); susp. 300 mg (as zinc salt)

Trade Name(s):
F: Plasténan (Isopharm) Plasténan Néomycine (Isopharm)-comb.
I: Plastenan (Italfarmaco); wfm

Aciclovir

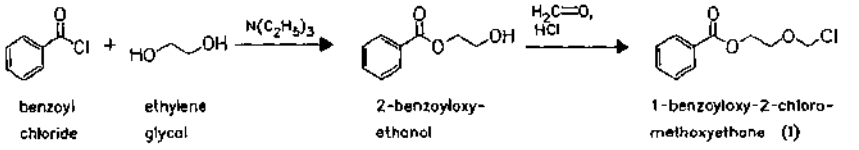
(Acyclovir; Acycloguanosine)

ATC: D06BB03; J05AB01; S01AD03
Use: antiviral

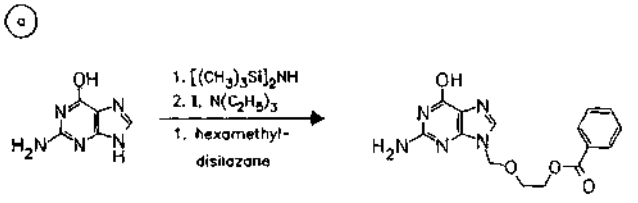
RN: 59277-89-3 MF: $C_8H_{11}N_5O_3$ MW: 225.21 EINECS: 261-685-1
LD_{50}: 1000 mg/kg (M, i.p.); 1118 mg/kg (M, i.v.); >10000 mg/kg (M, p.o.); 1118 mg/kg (M, s.c.); 860 mg/kg (R, i.p.); 910 mg/kg (R, i.v.); >20000 mg/kg (R, p.o.); 620 mg/kg (R, s.c.)
CN: 2-amino-1,9-dihydro-9-[(2-hydroxyethoxy)methyl]-6*H*-purin-6-one

monosodium salt

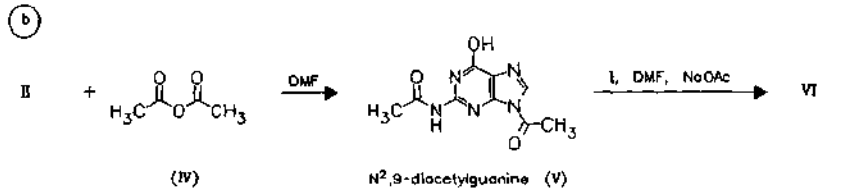
RN: 69657-51-8 MF: $C_8H_{10}N_5NaO_3$ MW: 247.19
LD_{50}: 999 mg/kg (M, i.p.); >10000 mg/kg (M, p.o.); 1210 mg/kg (R, i.p.); >600 mg/kg (R, i.v.); >20000 mg/kg (R, p.o.); 650 mg/kg (R, s.c.)



benzoyl chloride — ethylene glycol — 2-benzoyloxy-ethanol — 1-benzoyloxy-2-chloro-methoxyethane (I)

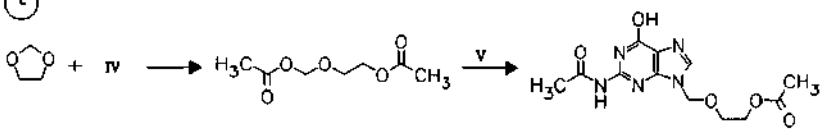


guanine (II)



N^2-acetyl-9-(2-benzoyloxy-ethoxymethyl)guanine (VI)

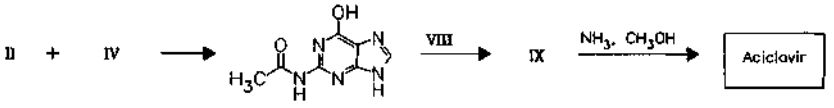
c



1,3-dioxolane (VII) — 2-acetoxyethyl acetoxymethyl ether (VIII) — "diacetylaciclovir" (IX)

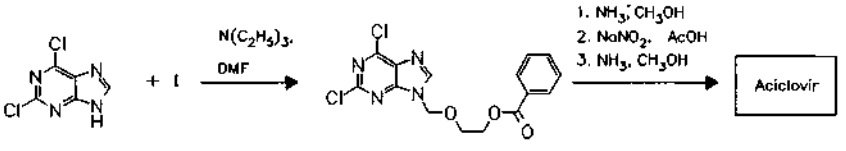
IX $\xrightarrow{NH_3,\ CH_3OH}$ Aciclovir

d



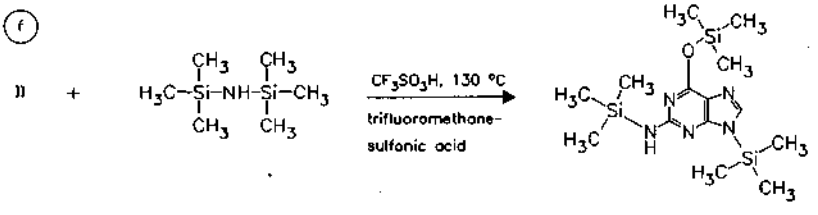
N^2-acetylguanine

e

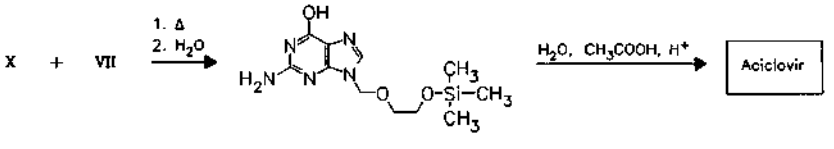


2,6-dichloropurine

f

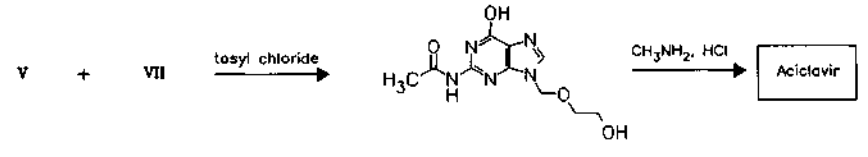


hexamethyldisilazane — (X)



9-(2-trimethylsilyloxyethoxymethyl)guanine

g



"monoacetylaciclovir"

Reference(s):
Schaeffer, H.J. et al.: Nature (London) (NATUAS) **272**, 583 (1978).
DE 2 539 963 (Wellcome; appl. 2.9.1975; GB-prior. 2.9.1974).
US 4 199 574 (Wellcome; 22.4.1980; GB-prior. 2.9.1974).
GB 1 523 865 (Burroughs Wellcome; GB-prior. 2.9.1974).
c GB 1 567 671 (Wellcome; appl. 26.8.1977; USA-prior. 27.8.1976).
Matsumoto, H. et al.: Chem. Pharm. Bull. (CPBTAL) **36**, 1153 (1988).
f EP 709 385 (Roche; appl. 13.7.1995; USA-prior. 26.7.1994, 27.4.1995).

alternative synthesis from 4-aminoimidazole-5-carboxamide:
WO 9 011 283 (GEA Farm.; 4.10.1990; DK-prior. 20.3.1989).

alternative synthesis via formylguanine:
WO 9 507 281 (Recordati; appl. 3.2.1994; I-prior. 10.9.1993).

synthesis using 1,3-dioxolane:
US 5 567 816 (Syntex; appl. 27.4.1995; USA-prior. 27.7.1994).

improved procedures:
DE 19 536 164 (Boehringer Ingelheim; D-prior. 28.9.1995).
WO 9 724 357 (Mallinckrodt; appl. 17.12.1996; USA-prior. 28.12.1995).
DE 19 604 101 (B. Lehmann; 6.2.1996).
EP 806 425 (Lupin Lab.; EP-prior. 9.4.1996).
US 5 792 868 (Ajinomoto; appl. 18.3.1994; J-prior. 18.9.1991).

Formulation(s): cps. 200 mg; cream 50 mg/g; eye ointment 30 mg/g; susp. 8 %; tabl. 200 mg, 400 mg, 800 mg; vial 250 mg, 500 mg

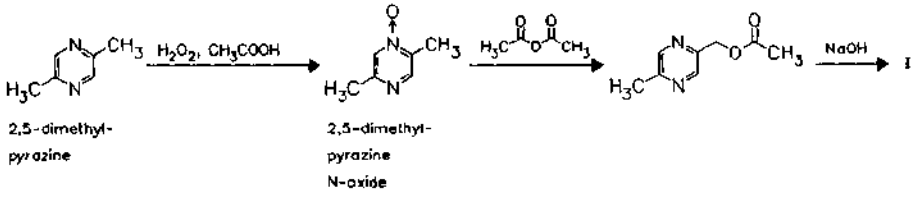
Trade Name(s):

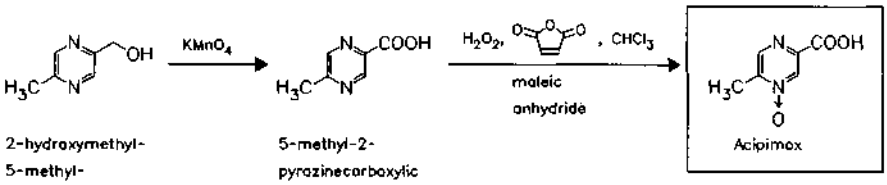
D:	Zovirax (Glaxo Wellcome; 1983)	Alovir (Foletto)			Sifiviral (SIFI)
		Avirase (Lampugnani)			Zovirax (Wellcome; 1984)
F:	Activir (Warner-Lambert)	Avyclor (Bioprogress)		J:	Zovirax (Seimitomo-Wellcome; 1985)
	Zovirax (Wellcome; 1983)	Cycloviran (Sigma-Tau)			
GB:	Herpetad (Boehringer Ing.)	Dravyr (Drug Research)		USA:	Zovirax (Glaxo Wellcome; 1985)
	Zovirax (Glaxo Wellcome; 1981)	Efrivir (Aesculapius-Bs)			
		Esavir (Boniscontro & Gazzone)			
I:	Aciviran (Ripari-Gero)	Neviran (Coli)			
	Acyvir (Delalande Isnardi)				

Acipimox

ATC: C10AD06
Use: antihyperlipoproteinemic

RN: 51037-30-0 MF: $C_6H_6N_2O_3$ MW: 154.13 EINECS: 256-928-3
LD_{50}: 3500 mg/kg (M, p.o.)
CN: 5-methylpyrazinecarboxylic acid 4-oxide





2-hydroxymethyl-5-methylpyrazine (I)

5-methyl-2-pyrazinecarboxylic acid

Reference(s):
US 4 002 750 (Carlo Erba; 11.1.1977; I-prior. 28.4.1972).
US 4 051 245 (Carlo Erba; 27.9.1977; I-prior. 28.4.1972).
DOS 2 319 834 (Carlo Erba; appl. 18.4.1973; I-prior. 28.4.1972).
GB 1 361 967 (Carlo Erba; appl. 12.4.1973; I-prior. 28.4.1972).
Brubrogi, V. et al.: Eur. J. Med. Chem. (EJMCA5) **15**, 157 (1980).

5-methyl-2-pyrazinecarboxylic acid:
Pitré, D. et al.: Chem. Ber. (CHBEAM) **99**, 364 (1966).

2-hydroxymethyl-5-methylpyrazine:
Klein, B. et al.: J. Org. Chem. (JOCEAH) **26**, 129 (1961).

Formulation(s): cps. 25 mg, 250 mg

Trade Name(s):
I: Olbetam (Pharmacia & Upjohn; 1985)

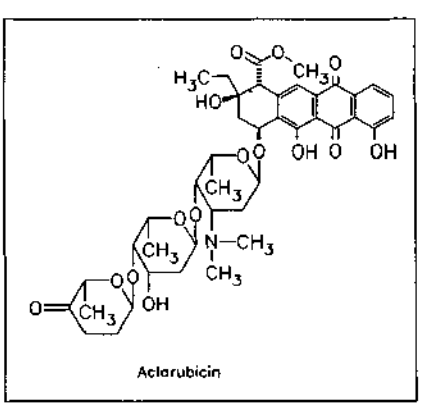
Aclarubicin

(Aclacinomycin A)

ATC: L01DB04
Use: antineoplastic

RN: 57576-44-0 MF: $C_{42}H_{53}NO_{15}$ MW: 811.88 EINECS: 260-824-3
LD_{50}: 22.6 mg/kg (M, i.p.); 33.7 mg/kg (M, i.v.)
CN: [1*R*-(1α,2β,4β)]-2-ethyl-1,2,3,4,6,11-hexahydro-2,5,7-trihydroxy-6,11-dioxo-4-[[2,3,6-trideoxy-4-*O*-[2,6-dideoxy-4-*O*-[(2*R*-*trans*)-tetrahydro-6-methyl-5-oxo-2*H*-pyran-2-yl]-α-L-*lyxo*-hexopyranosyl]-3-(dimethylamino)-α-L-*lyxo*-hexopyranosyl]oxy]-1-naphthacenecarboxylic acid methyl ester

hydrochloride
RN: 75443-99-1 MF: $C_{42}H_{53}NO_{15} \cdot HCl$ MW: 848.34



By fermentation of *Streptomyces galilaeus* MA 144-M1 (ATCC 3113); separation of aclacinomycin A and B by column chromatography.

Reference(s):
DOS 2 532 568 (Zaidanhojin Biseibutsu Kagaku Kenkykai; appl. 21.1.1975; J-prior. 27.7.1974).
US 3 988 315 (Zaidanhojin Biseibutsu Kagaku Kenkykai, 26.10.1976; J-prior. 27.7.1974).

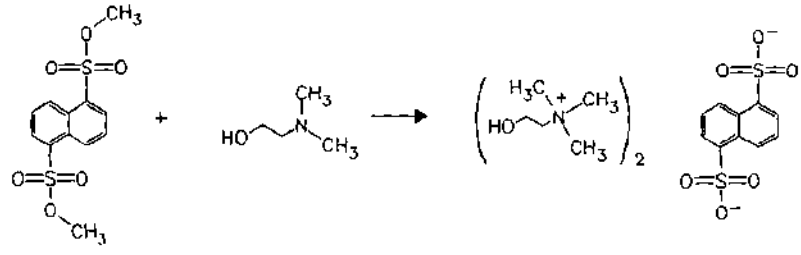
Formulation(s): powder 20 mg; vial 20 mg (as hydrochloride)

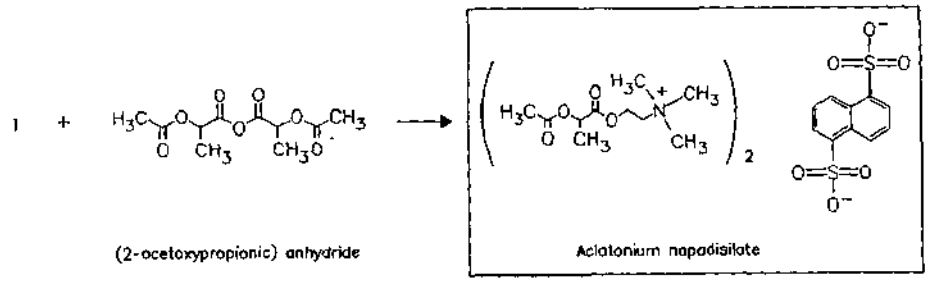
Trade Name(s):
D: Aclaplastin (medac) F: Aclacinomycine (Roger Bellon); wfm J: Aclacinon (Sanraku)

Aclatonium napadisilate

ATC: A03AB
Use: antispasmodic, cholinergic

RN: 55077-30-0 MF: $C_{10}H_{20}NO_4 \cdot 1/2C_{10}H_6O_6S_2$ MW: 722.83
LD_{50}: 41.9 mg/kg (M, i.v.); 15 g/kg (M, p.o.);
46 mg/kg (R, i.v.); >13.9 g/kg (R, p.o.);
>10 g/kg (dog, p.o.)
CN: 2-[2-(acetyloxy)-1-oxopropoxy]-*N*,*N*,*N*-trimethylethanaminium 1,5-naphthalenedisulfonate (2:1)





Reference(s):
DE 2 425 983 (Toyama; appl. 30.5.1974; J-prior. 12.6.1973).
US 3 903 137 (Toyama; 2.9.1975; J-prior. 12.6.1973, 20.6.1973).

Formulation(s): cps. 25 mg, 50 mg

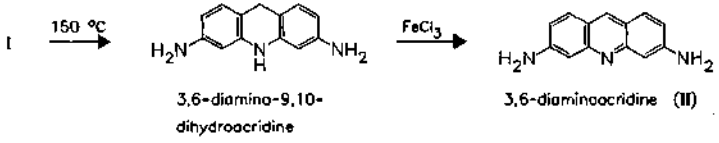
Trade Name(s):
J: Abovis (Toyama; 1981)

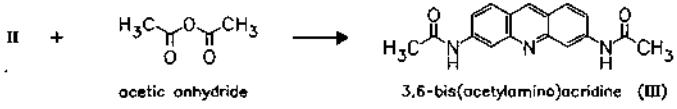
Acriflavinium chloride

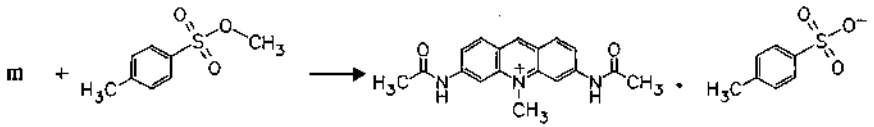
(Acriflavine hydrochloride)

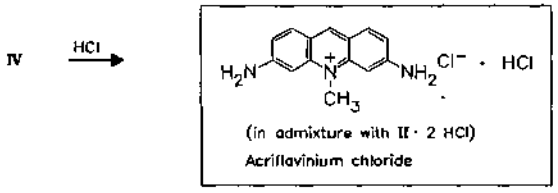
ATC: R02AA13
Use: antiseptic, chemotherapeutic (local infections)

RN: 8063-24-9 MF: $C_{14}H_{14}ClN_3 \cdot C_{13}H_{11}N_3 \cdot 3HCl$ MW: 578.38
CN: 3,6-diamino-10-methylacridinium chloride monohydrochloride mixt. with 3,6-acridinediamine dihydrochloride









Reference(s):
FR 686 606 (I. G. Farben; 1929).

Formulation(s): sol. 150 mg/100 g; tabl. 0.15 mg (comb. with 5 mg benzocaine)

Trade Name(s):

D:	Nordapanin (Michallik)-comb.	F:	Chromargon (M. Richard)-comb.	J:	Isravin (Takeda); wfm

Acrivastine

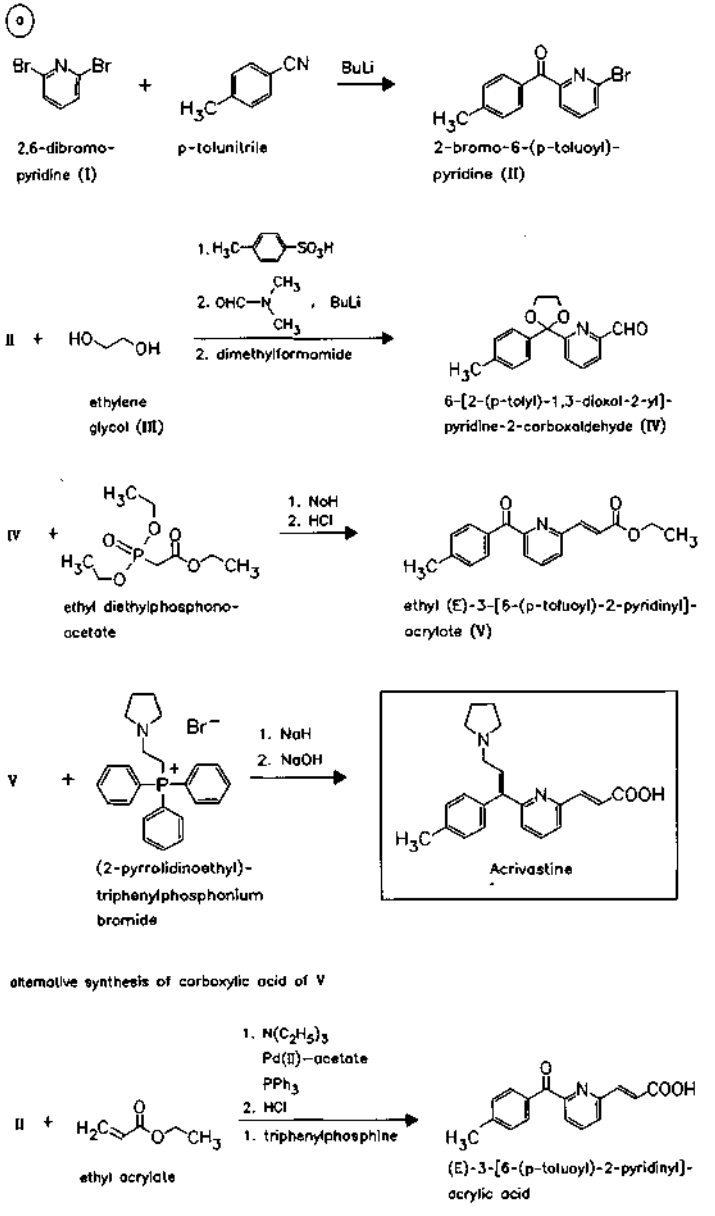
(BW-825C)

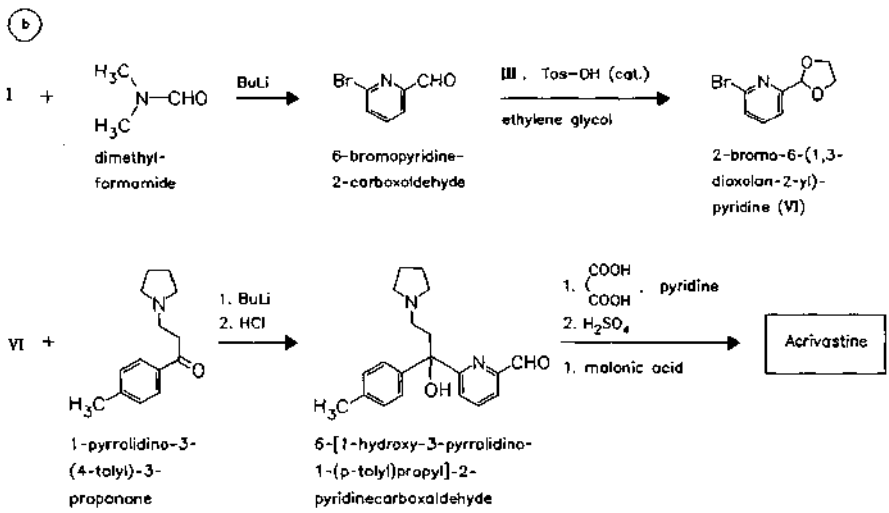
ATC: R06AX18

Use: non-sedative antihistaminic (for treatment of allergic rhinitis, urticaria)

RN: 87848-99-5 MF: $C_{22}H_{24}N_2O_2$ MW: 348.45

CN: (*E*,*E*)-3-[6-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]-2-pyridinyl]-2-propenoic acid





Reference(s):
EP 85 959 (Wellcome; appl. 3.2.1983; GB-prior. 4.2.1983).
US 4 501 893 (Burroughs Wellcome; 26.2.1985; GB-prior. 4.2.1982).
US 4 562 258 (Burroughs Wellcome; 31.12.1985; GB-prior. 4.2.1982).
US 4 650 807 (Burroughs Wellcome; 17.3.1987; GB-prior. 4.2.1982).
US 4 657 918 (Burroughs Wellcome; 14.4.1987; GB-prior. 4.2.1982).
EP 249 950 (Wellcome; appl. 3.2.1983; GB-prior. 4.2.1982, 18.10.1982).

preparation of 2,6-dibromopyridine:
Nakagawa, H. et al.: Chem. Pharm. Bull. (CPBTAL) **46** (10), 1656-1657 (1998).
Malinowski, M., Kczmarek, L.: Synthesis (SYNTBF) **11** 1013-1015 (1987).
den Hertog; Wibaut: Recl. Trav. Chim. Pays-Bas (RTCPA3) **51** 940, 947 (1932).
McElvain; Goese: J. Am. Chem. Soc. (JACSAT) **65** 2227, 2230 (1943).

preparation of 2-bromo-6-(1,3-dioxolan-2-yl)pyridine:
Davies, S.R. et al.: J. Organomet. Chem. (JORCAI) **550** (1-2), 29 (1998).
Niemitz, J.: Synth. Commun. (SYNCAV) **11** (4), 273 (1981)
Heirtzler, F.R.; Neuberger, N.; Zehnder, Margareta; Constable, E.G.: Liebigs Ann./Recl. (LIARFV) (2), 297-302 (1997)

preparation of 6-bromopyridine-6-carboxaldehyde:
Meth-Cohn, O.; Jiang, H.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **22**, 3737 (1998).
Uenishi, J.; Nishiwaki, K.; Hata, S., Nakamura, K.: Tetrahedron Lett. (TELEAY) **35** (43), 7973 (1994).
Ashimori, A. et al.: Chem. Pharm. Bull. (CPBTAL) **38** (9), 2446 (1990).

preparation of 1-pyrrolidino-3-(4-tolyl)-3-propanone *via Mannich-condensation from p*-methylacetophenone:
Adamson et al.: J. Chem. Soc. (JCSOA9) 312, 322 (1958).
Huang, Y.; Hall, I: Pharmazie (PHARAT) **51** (4), 199-206 (1996).

Formulation(s): cps. 8 mg; syrup 4 mg

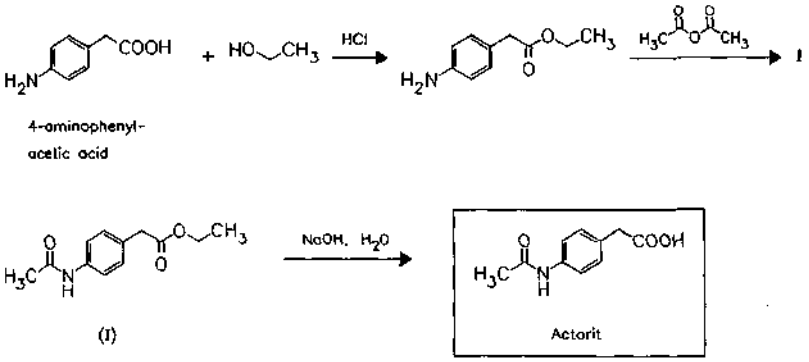
Trade Name(s):

GB:	Benadryl (Warner-Lambert Consumer)	I:	Semprex (Calmic; 1988) Semprex (Wellcome)	USA:	Semprex-D (Medeva)

Actarit
(MS 932)

ATC: M01
Use: analgesic (non-opoid), antirheumatic, immunomodulator, antiarthritic

RN: 18699-02-0 MF: $C_{10}H_{11}NO_3$ MW: 193.20 EINECS: 242-511-3
LD_{50}: 14.7 g/kg (M, p.o.);
14.8 g/kg (R, p.o.);
>6.05 g/kg (dog, p.o.)
CN: 4-(acetylamino)benzeneacetic acid



Reference(s):
DE 3 317 107 (Mitsubishi Chem. Ind.; appl. 24.11.1983; J-prior. 11.5.1982).
EP 94 599 (Mitsubishi Chem. Ind.; appl. 23.11.1983; J-prior. 11.5.1982).
Yoshida, H. et al.: Int. J. Immunother. (IJIMET) 3(4), 261 (1987).

Formulation(s): tabl. 100 mg

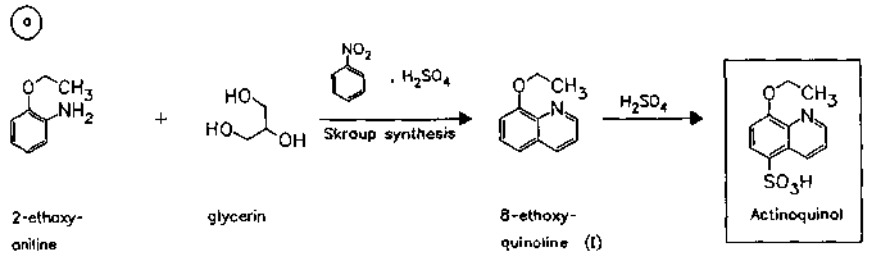
Trade Name(s):
J: Mover (Mitsubishi Chem./ Nikken Chem.); Orel (Nippon Shinyaku; 1994)

Actinoquinol
(Etoquinol)

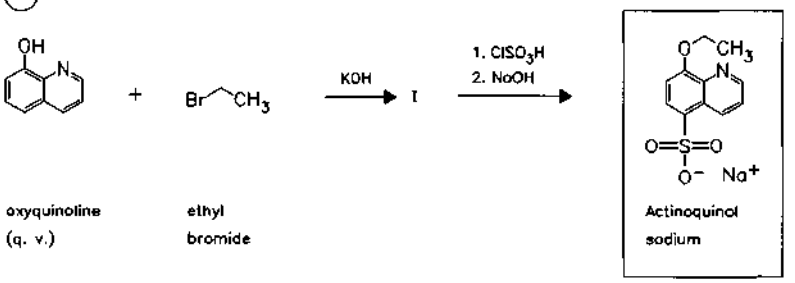
ATC: D02B
Use: light protection agent

RN: 15301-40-3 MF: $C_{11}H_{11}NO_4S$ MW: 253.28 EINECS: 239-334-9
CN: 8-ethoxy-5-quinolinesulfonic acid

sodium salt
RN: 7246-07-3 MF: $C_{11}H_{10}NNaO_4S$ MW: 275.26 EINECS: 230-651-8



(b)



oxyquinoline (q. v.) | ethyl bromide | Actinoquinol sodium

Reference(s):
Ghosh, T.N.; Roy, A.C.: J. Indian Chem. Soc. (JICSAH) **22**, 39 (1945).

Formulation(s): eye drops 0.3 %

Trade Name(s):

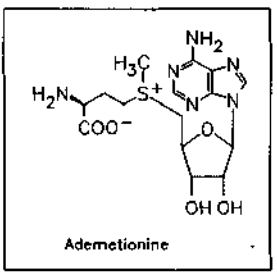
D:	dura Ultra (durachemie)-comb.	Idrilsine (Winzer)-comb.	I:	Fotofil (Intes)-comb.
		Tele-Stulln (Stulln)-comb.		

Ademetionine

(Adenosylmethionine; Methioninyl adenylate; SAM)

ATC: A16AA02
Use: antirheumatic (degenerative arthropathy)

RN: 29908-03-0 MF: $C_{15}H_{22}N_6O_5S$ MW: 398.44 EINECS: 249-946-8
CN: 5'-[[(3*S*)-3-amino-3-carboxypropyl]methylsulfonio]-5'-deoxyadenosine inner salt



Ademetionine

Preparation by fermentation of *Saccharomyces cerevisiae* (baker yeast) with addition of L- or DL-methionine, lyse of cells with ethyl acetate and purification by ion-exchange chromatography.

Reference(s):
fermentation and isolation:
Schlenk: Enzymologia (ENZYAS) **29**, 283 (1965).
DE 1 803 978 (Boehringer Mannh.; appl. 18.10.1968).
US 3 962 034 (Ajinomoto; 8.6.1976; J.-prior. 27.11.1973).
DOS 3 231 569 (Nippon Zeon; appl. 25.8.1982).
DOS 3 304 468 (Nippon Zeon; appl. 9.2.1983; J.-prior. 25.2.1982, 26.2.1982).
DOS 3 329 218 (Nippon Zeon; appl. 12.8.1983; J.-prior. 13.8.1982).

stable salts:
4-toluenesulfonates:
DOS 2 336 401 (Errekappa Euroterapici; appl. 17.7.1973; I-prior. 2.8.1972).
US 3 893 999 (Bioresearch; 8.7.1975; I-prior. 2.8.1972).

4-toluenesulfonate sulfates:
US 3 954 726 (Bioresearch; 4.5.1976; I-prior. 27.6.1973; 24.5.1974).

other sulfonates:
DOS 2 530 898 (Bioresearch; appl. 10.7.1975; I-prior. 12.7.1974).
US 4 057 686 (Bioresearch; 8.11.1977; I-prior. 12.7.1974).
US 4 465 672 (Bioresearch; 14.8.1984; I-prior. 24.8.1981).
EP 72 980 (Bioresearch; appl. 12.8.1982; I-prior. 24.8.1981).
EP 162 323 (Bioresearch; appl. 25.4.1985; I-prior. 16.5.1984).
EP 162 324 (Bioresearch; appl. 25.4.1985; I-prior. 16.5.1984).

other salts:
EP 73 376 (Bioresearch; appl. 12.8.1982; I-prior. 24.8.1981).
EP 74 555 (Bioresearch; appl. 30.8.1982; I-prior. 11.9.1981).
EP 108 817 (Kanegafuchi; appl. 6.11.1982).
EP 141 462 (Tecofar; appl. 19.10.1984; I-prior. 26.10.1983).

formulations:
injection form:
EP 136 463 (Bioresearch; appl. 1.8.1984; I-prior. 24.8.1983).

gastric juice resistant form:
EP 136 464 (Bioresearch; appl. 1.8.1984; I-prior. 24.8.1983).

Formulation(s): amp. 384 mg; tabl. 384 mg (as bisulfate)

Trade Name(s):

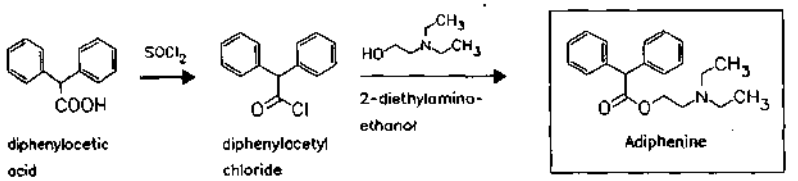
D:	Gumbaral (ASTA Medica AWD)	Ergen (San Carlo)	Turin (San Carlo)
		Samyr (Bioresearch)	
I:	Donamet (Knoll)	Transmetil (Bioresearch)	

Adiphenine

ATC: A03AA
Use: antispasmodic, anticholinergic

RN: 64-95-9 MF: $C_{20}H_{25}NO_2$ MW: 311.43 EINECS: 200-599-0
LD_{50}: 182 mg/kg (M, i.p.); 21.5 mg/kg (M, i.v.); 600 mg/kg (M, p.o.); 400 mg/kg (M, s.c.);
27 mg/kg (R, i.v.);
35 mg/kg (dog, i.v.);
30 mg/kg (rabbit, i.v.)
CN: α-phenylbenzeneacetic acid 2-(diethylamino)ethylester

hydrochloride
RN: 50-42-0 MF: $C_{20}H_{25}NO_2 \cdot HCl$ MW: 347.89 EINECS: 200-036-9
LD_{50}: 185 mg/kg (M, i.p.); 500 mg/kg (M, p.o.); 650 mg/kg (M, s.c.);
250 mg/kg (R, i.p.); 17.3 mg/kg (R, i.v.)



Reference(s):
DE 626 539 (Ciba; 1934).

Formulation(s): drg. 20 mg, 25 mg; suppos. 40 mg, 50 mg

Trade Name(s):

F:	Spasmo-Cibalgine (Ciba)-comb.; wfm	I:	Nisidina (De Angeli)-comb.; wfm	USA:	Trasentine (Ciba); wfm

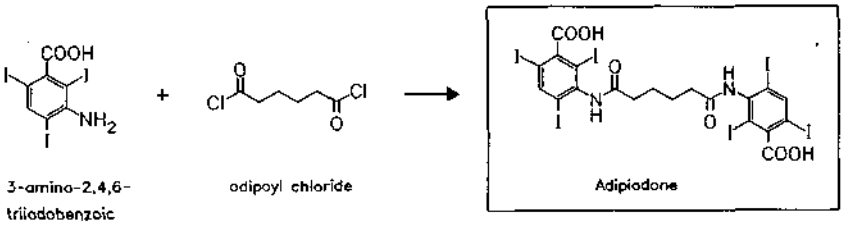
Adipiodone

(Iodipamide)

ATC: V08AC04
Use: X-ray contrast medium

RN: 606-17-7 MF: $C_{20}H_{14}I_6N_2O_6$ MW: 1139.76 EINECS: 210-105-5
LD_{50}: 2440 mg/kg (M, i.v.)
CN: 3,3'-[(1,6-dioxo-1,6-hexanediyl)diimino]bis[2,4,6-triiodobenzoic acid]

disodium salt
RN: 2618-26-0 MF: $C_{20}H_{12}I_6N_2Na_2O_6$ MW: 1183.73 EINECS: 220-049-3
LD_{50}: 3400 mg/kg (R, i.v.)
meglumine salt (1:2)
RN: 3521-84-4 MF: $C_{20}H_{14}I_6N_2O_6 \cdot 2C_7H_{17}NO_5$ MW: 1530.19 EINECS: 222-534-5
LD_{50}: 3195 mg/kg (M, i.v.);
5000 mg/kg (R, i.v.); 1921 mg/kg (R, parenteral);
1446 mg/kg (rabbit, parenteral);
1200 mg/kg (dog, i.v.)



3-amino-2,4,6-triiodobenzoic acid (cf. acetrizoic acid synthesis)

adipoyl chloride

Reference(s):
US 2 776 241 (Schering AG; 1957; D-prior. 1952).
DE 936 928 (Schering AG; appl. 1952).
DE 962 698 (Schering AG; appl. 1952).
DE 962 699 (Schering AG; appl. 1953).
DE 1 006 428 (Schering AG; appl. 1955).

starting material:
Kretzer, H.: Ber. Dtsch. Chem. Ges. (BDCGAS) **30**, 1944 (1897).

Formulation(s): amp. 20 ml with 300 mg meglumine salt/ml

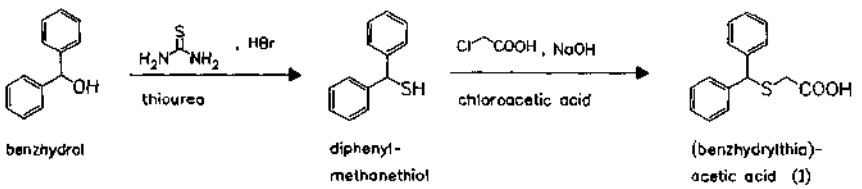
Trade Name(s):

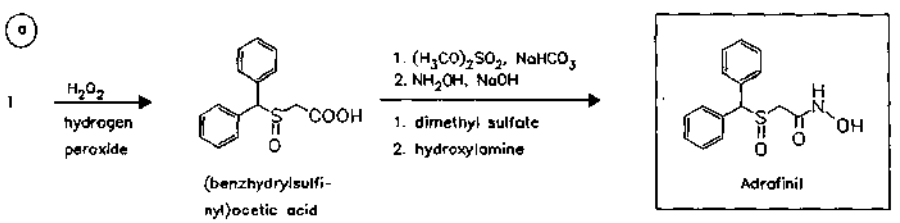
D:	Biligrafin (Schering); wfm Endografin (Schering); wfm		Endografin (Schering Chemicals); wfm		Endografin (Schering-Nichidoku; as meglumine injection)
F:	Transbilix (Guerbet; as meglumine salt)	I:	Biligrafin (Schering); wfm Endocistobil (Bracco); wfm	USA:	Cholografin (Squibb); wfm Cholografin Meglumin (Squibb); wfm
GB:	Biligrafin (Schering Chemicals); wfm	J:	Biligrafin (Schering-Nichidoku Yakuhin)		

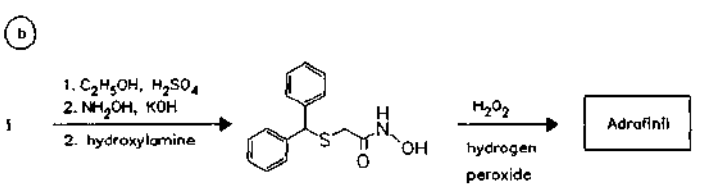
Adrafinil
(CRL-40028)

ATC: N06BX17
Use: α-adrenergic agonist (for symptomatic treatment of vigilance and depressive manifestations), antidepressant

RN: 63547-13-7 MF: $C_{15}H_{15}NO_3S$ MW: 289.36 EINECS: 264-303-1
LD_{50}: >2048 mg/kg (M, i.p.); 1950 mg/kg (M, p.o.)
CN: 2-[(diphenylmethyl)sulfinyl]-*N*-hydroxyacetamide







Reference(s):
DOS 2 642 511 (Lab. Lafon; appl. 22.9.1976; GB-prior. 2.10.1975).
US 4 066 686 (Lab. Lafon; 3.1.1978; GB-prior. 2.10.1975).
US 4 098 824 (Lab. Lafon; 4.7.1978; GB-prior. 2.10.1975).

Formulation(s): cps. 300 mg

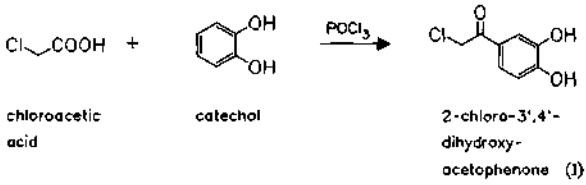
Trade Name(s):
F: Olmifon (Lafon; 1985)

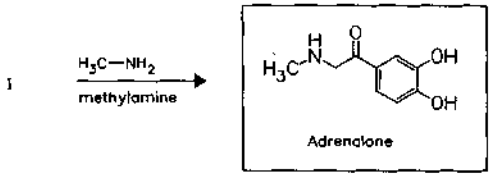
Adrenalone

ATC: A01AD06; B02BC05
Use: sympathomimetic, vasoconstrictor, hemostyptic

RN: 99-45-6 MF: $C_9H_{11}NO_3$ MW: 181.19 EINECS: 202-756-9
LD_{50}: 275 mg/kg (M, i.v.)
CN: 1-(3,4-dihydroxyphenyl)-2-(methylamino)ethanone

hydrochloride
RN: 62-13-5 MF: $C_9H_{11}NO_3 \cdot HCl$ MW: 217.65 EINECS: 200-525-7
LD_{50}: 902 mg/kg (M, i.p.)





Reference(s):
DRP 152 814 (Hoechst; 1903).

Formulation(s): 60 mg/stick

Trade Name(s):

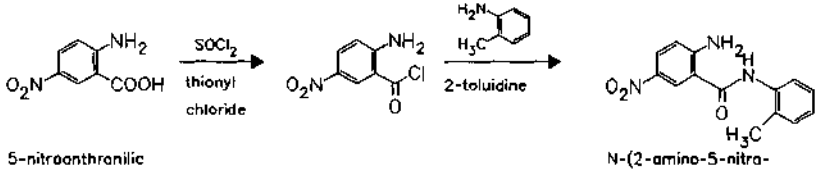
D:	Stryphnasal (Sertürner)	F:	Adrénalone Tétracaine Guillon (Pharmascience)-comb.; wfm		Hémorrodine (Rocher)-comb.; wfm

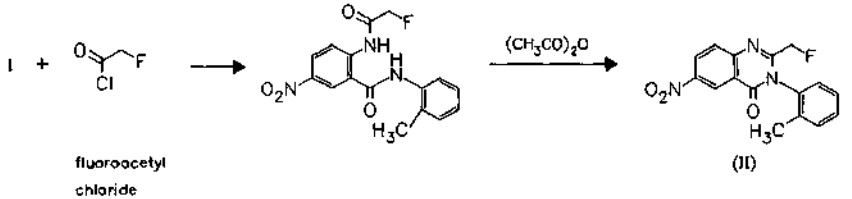
Afloqualone

ATC: M03A
Use: muscle relaxant

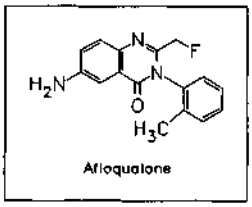
RN: 56287-74-2 MF: $C_{16}H_{14}FN_3O$ MW: 283.31
LD_{50}: 397 mg/kg (M, p.o.);
249 mg/kg (R, p.o.)
CN: 6-amino-2-(fluoromethyl)-3-(2-methylphenyl)-4(3*H*)-quinazolinone

hydrochloride
RN: 56287-75-3 MF: $C_{16}H_{14}FN_3O \cdot xHCl$ MW: unspecified





II $\xrightarrow{H_2/Pd-C \text{ or } SnCl_2}$



Reference(s):
DOS 2 449 113 (Tanabe; appl. 15.10.1974; J-prior. 15.10.1973).
US 3 966 731 (Tanabe; 29.6.1976; J-prior. 15.10.1973).
Tani, J. et al.: J. Med. Chem. (JMCMAR) **22**, 95 (1979).

Formulation(s): tabl. 20 mg

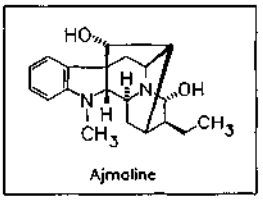
Trade Name(s):
J: Aflospan (Kyowa) Arofuto (Tanabe; 1983)

Ajmaline
(Rauwolfine)

ATC: C01BA05
Use: antiarrhythmic

RN: 4360-12-7 MF: $C_{20}H_{26}N_2O_2$ MW: 326.44 EINECS: 224-439-4
LD_{50}: 75 mg/kg (M, i.p.); 21 mg/kg (M, i.v.); 255 mg/kg (M, p.o.); 180 mg/kg (M, s.c.); 94 mg/kg (R, i.p.); 26 mg/kg (R, i.v.); 360 mg/kg (R, p.o.); 216 mg/kg (R, s.c.)
CN: (17*R*,21α)-ajmalan-17,21-diol

monohydrochloride
RN: 4410-48-4 MF: $C_{20}H_{26}N_2O_2 \cdot HCl$ MW: 362.90 EINECS: 224-562-3
LD_{50}: 105 mg/kg (M, i.p.); 26 mg/kg (M, i.v.); 205 mg/kg (M, p.o.); 86 mg/kg (R, i.p.); 19.3 mg/kg (R, i.v.); 290 mg/kg (R, p.o.); 135 mg/kg (g. p., p.o.)



By extraction from the pulverized roots of *Rauwolfia serpentina* (L.) Beuth.

Reference(s):
Siddiqui, S.; Siddiqui, R.H.: J. Indian Chem. Soc. (JICSAH) **8**, 667 (1931); **9**, 539 (1932); **12**, 37 (1935).

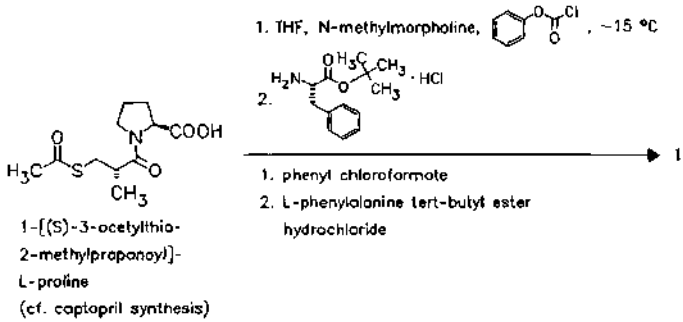
Formulation(s): amp. 2 mg/2 ml, 50 mg/2 ml, 10 mg/10 ml, 50 mg/10 ml

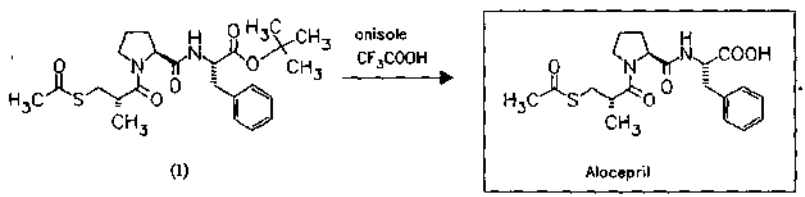
Trade Name(s):
D: Gilurytmal (Solvay Arzneimittel)
Tachmalin (ASTA Medica AWD)
F: Cardiorythmine (Servier); wfm
Dipaxan (Innothéra)-comb.; wfm
I: Aritmina (UCM)
Ritmosedina (Inverni della Beffa)-comb.
J: Gilurytmal (Giulini-Tokyo Tanabe)

Alacepril
(DU-1219)

ATC: C09A
Use: antihypertensive (ACE inhibitor), metabolizes partly to captopril

RN: 74258-86-9 MF: $C_{20}H_{26}N_2O_5S$ MW: 406.50
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: (*S*)-*N*-[1-[3-(acetylthio)-2-methyl-1-oxopropyl]-L-prolyl]-L-phenylalanine





Reference(s):
US 4 248 883 (Dainippon Pharmac. Co.; 3.2.1981; J-prior. 6.7.1978).
EP 7 477 (Dainippon Pharmac Co.; appl. 3.7.1979; J-prior. 6.7.1978).

pharmacology:
Takeyama, K. et al.: Arzneim.-Forsch. (ARZNAD) **35**, 1502 (1985).

metabolism:
Matsumoto, K. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 40 (1986).

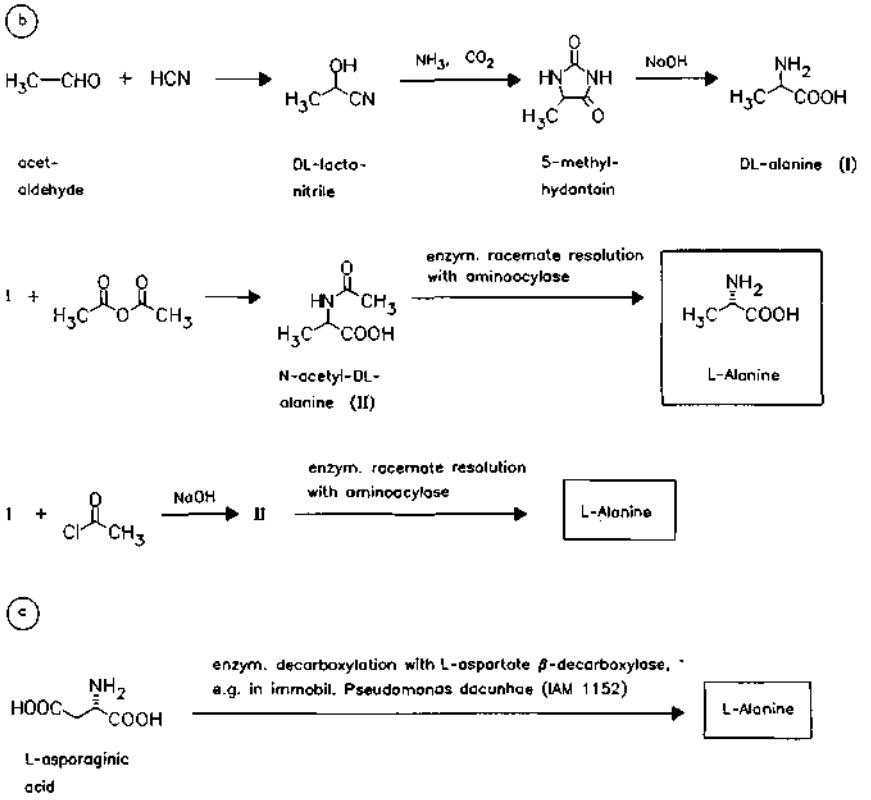
Formulation(s): tabl. 12.5 mg, 25 mg

Trade Name(s):
J: Cetapril (Dainippon; 1988)

L-Alanine

Use: non-essential proteinogenic amino acid (part of infusion solutions)

RN: 56-41-7 MF: $C_3H_7NO_2$ MW: 89.09 EINECS: 200-273-8
CN: L-alanine



Reference(s):

review:

Ullmann's Encyclopedia of Industrial Chemistry, 5th Ed., Vol. **A2**, 69.
Kaneko, T.; Izumi, Y.; Chibata, I.; Itoh, T.: Synthetic Production and Utilization of Amino Acids, Kodansha Ltd. and John Wiley & Sons, Tokyo, New York, p. 62 (1974).
c US 3 898 128 (Tanabe; 5.8.1975; J-prior. 20.11.1972).
Yamamoto, K. et al.: Biotechnol. Bioeng. (BIBIAU) **22**, 2045 (1980).

Formulation(s): tabl. 400 mg

Trade Name(s):
F: Theraplix (Abufene)

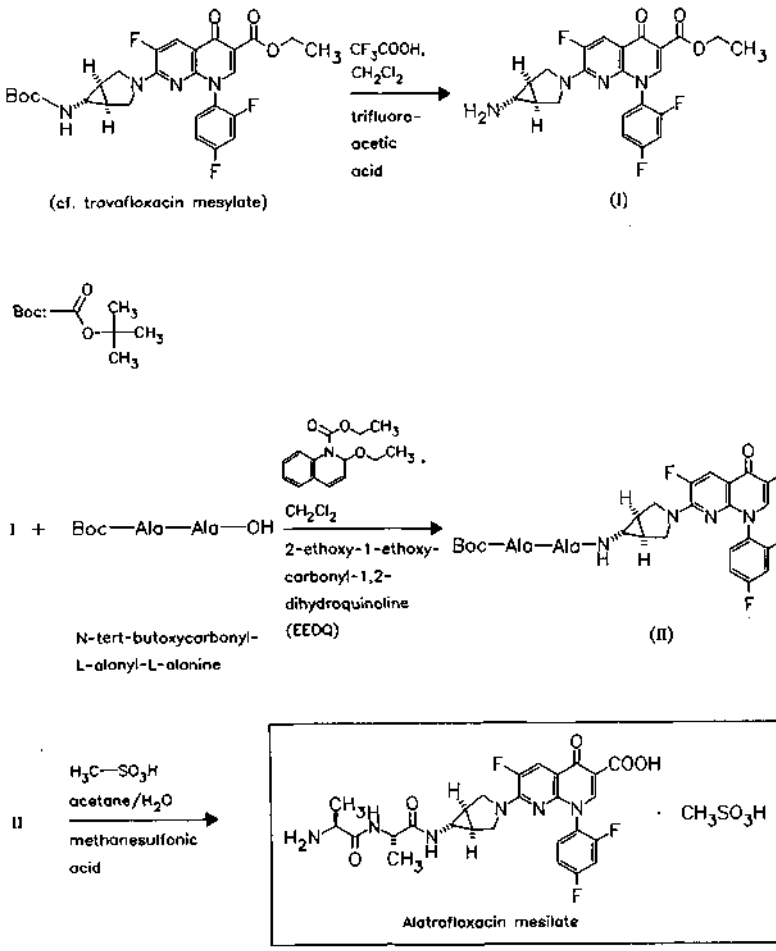
Alatrofloxacin mesilate

(CP 116517; CP 116517-27)

ATC: J01MA
Use: antibacterial, prodrug of trovafloxacin

RN: 146961-77-5 MF: $C_{26}H_{25}F_3N_6O_5 \cdot CH_4O_3S$ MW: 654.62
CN: L-Alanyl-*N*-[(1α,5α,6α)-3-[6-carboxy-8-(2,4-difluorophenyl)-3-fluoro-5,8-dihydro-5-oxo-1,8-naphthyridin-2-yl]-3-azabicyclo[3.1.0]hex-6-yl]-L-alaninamide monomethanesulfonate

base
RN: 146961-76-4 MF: $C_{26}H_{25}F_3N_6O_5$ MW: 558.52



Reference(s):
US 5 164 402 (Pfizer; 17.11.1992; appl. 4.2.1991; WO-prior. 16.8.1989).
WO 9 700 268 (Pfizer; appl. 27.3.1996; USA-prior. 15.6.1995).

Formulation(s): vials 200 mg/40 ml, 300 mg/60 ml (5 mg/ml) (as mesilate)

Trade Name(s):

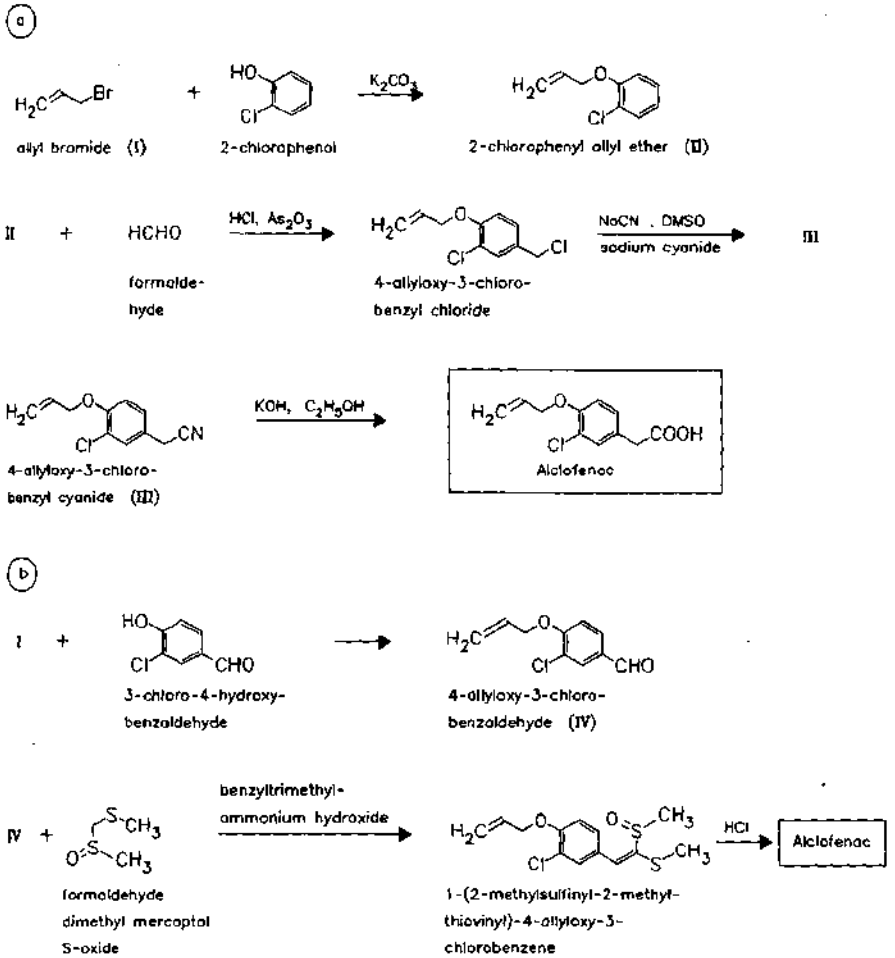
D:	TROVAN (Pfizer); wfm	GB:	Turvel (Pfizer); wfm	USA:	Trovan (Pfizer); wfm
F:	Turvel (Pfizer); wfm	I:	Turvel (Pfizer); wfm		

Alclofenac

ATC: M01AB06
Use: analgesic, antipyretic, anti-inflammatory

RN: 22131-79-9 MF: $C_{11}H_{11}ClO_3$ MW: 226.66 EINECS: 244-795-4
LD_{50}: 508 mg/kg (M, i.p.); 1100mg/kg (M, p.o.);
465 mg/kg (R, i.p.); 1050 mg/kg (R, p.o.)
CN: 3-chloro-4-(2-propenyloxy)benzeneacetic acid

sodium salt
RN: 24049-18-1 MF: $C_{11}H_{10}ClNaO_3$ MW: 248.64
LD_{50}: 530 mg/kg (R, i.p.); 1050 mg/kg (R, p.o.)



Reference(s):

a BE 704 368 (Madan; appl. 27.9.1967).
BE 718 930 (Madan; appl. 1.8.1968; prior. 27.9.1967).
GB 1 174 535 (Madan; appl. 28.8.1968; B-prior. 27.9.1967, 1.8.1968).

b GB 1 504 828 (Sagami; appl. 26.11.1976; J-prior. 1.12.1975).

lysine salt:
DOS 2 711 964 (Biochefarm; appl. 18.3.1977).

Formulation(s): amp. 833 mg; tabl. 1 g, 500 mg

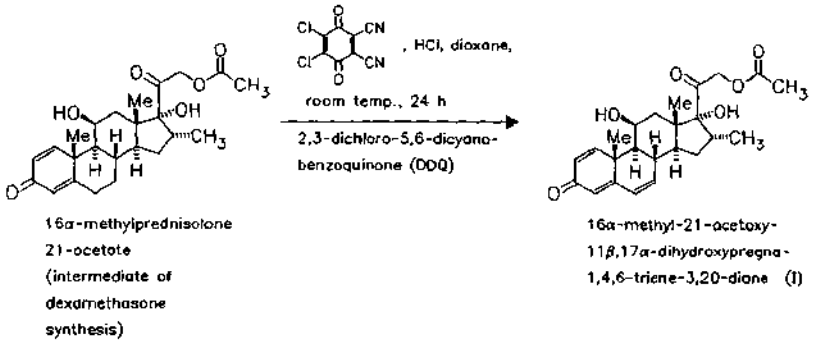
Trade Name(s):

D:	Neoston (Beiersdorf); wfm	I:	Rentenac (Tosi); wfm	J:	Allopydin (Chugai)
GB:	Prinalgin (Berk); wfm		Zumaril (Sidus); wfm		Epinal (Mitsubishi Yuka)

Alclometasone dipropionate

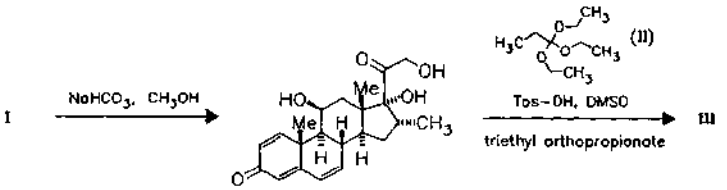
ATC: D07AB; S01BA
Use: topical steroidal anti-inflammatory (glucocorticoid)

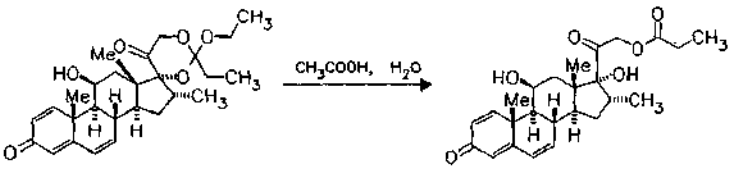
RN: 66734-13-2 MF: $C_{28}H_{37}ClO_7$ MW: 521.05 EINECS: 266-464-3
LD_{50}: 2506 mg/kg (M, s.c.);
3593 mg/kg (R, s.c.)
CN: (7α,11β,16α)-7-chloro-11-hydroxy-16-methyl-17,21-bis(1-oxopropoxy)pregna-1,4-diene-3,20-dione



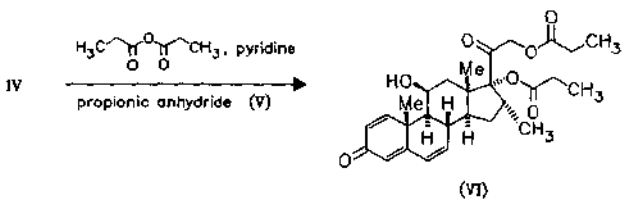
16α-methylprednisolone 21-acetate (intermediate of dexamethasone synthesis)

16α-methyl-21-acetoxy-11β,17α-dihydroxypregna-1,4,6-triene-3,20-dione (I)

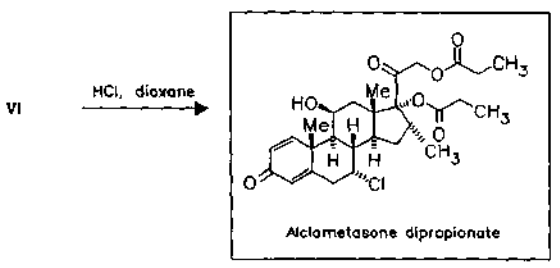




(III) (IV)



(VI)



Reference(s):

Shue, H.-J.; Green, M.J.: J. Med. Chem. (JMCMAR) **23**, 430 (1980).
US 4 124 707 (Schering Corp.; 7.11.1978; prior. 12.12.1976, 7.11.1977).
US 4 076 708 (Schering Corp.; 28.2.1978; prior. 22.12.1976).
DOS 2 756 550 (Scherico; appl. 19.12.1977; USA-prior. 22.12.1976).

Formulation(s): cream and ointment 0.5 mg/1 g

Trade Name(s):

D:	Delonal (Essex Pharma; 1985)	GB:	Modrasone (Dominion; 1986)	J:	Almeta (Shionogi)
F:	Aclosone (Schering-Plough)	I:	Legederm (Schering-Plough; 1988)	USA:	Aclovate (Glaxo Wellcome; 1986)

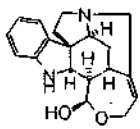
Alcuronium chloride

ATC: M03AA01
Use: muscle relaxant

RN: 15180-03-7 MF: $C_{44}H_{50}Cl_2N_4O_2$ MW: 737.82 EINECS: 239-229-8

LD_{50}: 610 µg/kg (M, i.p.); 240 µg/kg (M, i.v.); 38500 µg/kg (M, p.o.); 610 µg/kg (M, s.c.); 270 µg/kg (R, i.p.); 27600 µg/kg (R, p.o.); 280 µg/kg (R, s.c.)

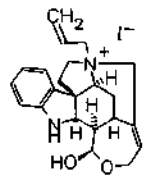
CN: [1*R*-(1α,3a*S**,10α,11aβ,12α,14a*S**,19aα,20bα,21α,22aβ,23*E*,26*E*)]-2,3,11,11a,13,14,22,22a-octahydro-23,26-bis(2-hydroxyethylidene)-1,12-di-2-propenyl-10*H*,19a*H*,20b*H*,21*H*-1,21:10,12-diethanodipyrrolo[3,2-*f*:3',2'-*f*'][1,5]diazocino[3,2,1-*jk*:7,6,5-*j'k'*]dicarbazolium dichloride



Wieland–Gumlich aldehyde
(degradation product of strychnine)

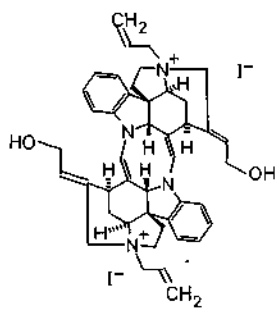
\+ H_2C=CH–CH$_2$–I

allyl iodide



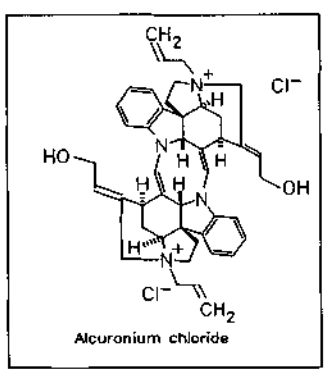
N(b)-allyl-heminortoxiferine iodide (I)

I $\xrightarrow{CH_3COONa,\ CH_3COOH}$



diallylnortoxiferin diiodide (II)

II $\xrightarrow{IRA-400\ (Cl\ form)}$



Reference(s):
US 3 080 373 (Roche; 5.3.1963; F-prior. 29.8.1960).
Karrer, P. et al.: Angew. Chem. (ANCEAD) **70**, 644 (1958).

Formulation(s): amp. 5 ml, 10 ml (1 mg/ml); inj. sol. 10 mg/2 ml

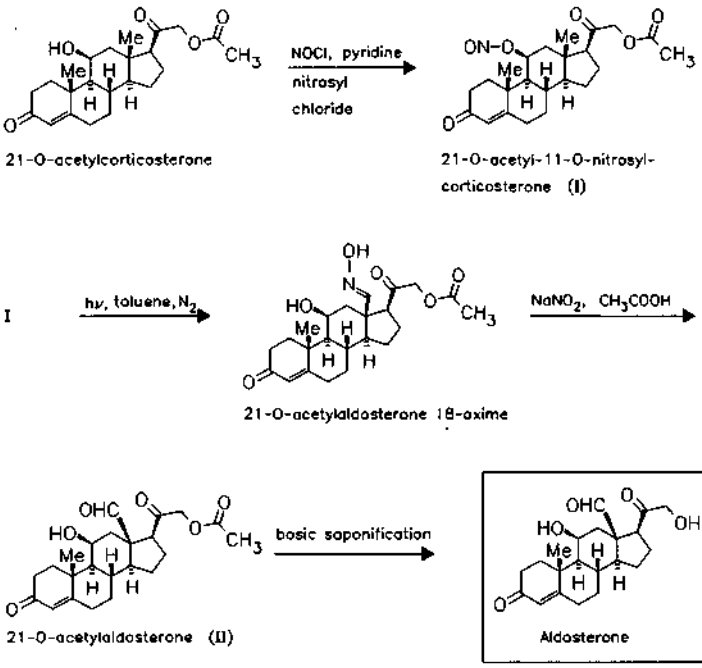
Trade Name(s):

D:	Alloferin Amp. (Roche)	GB:	Alloferin (Roche); wfm	USA:	Alloferin (Roche); wfm
F:	Alloférine (Roche); wfm	J:	Dialferin (Roche)		

Aldosterone

ATC: H02AA01
Use: mineralocorticoid

RN: 52-39-1 MF: $C_{21}H_{28}O_5$ MW: 360.45 EINECS: 200-139-9
CN: (11β)-11,21-dihydroxy-3,20-dioxopregn-4-en-18-al



Reference(s):
Barton, D.H.R.; Beaton, J.M.: J. Am. Chem. Soc. (JACSAT), **82**, 2641 (1960).

starting material:
The Merck Index, 2513 (Rahway 1976).

alternative syntheses:
US 3 002 972 (Ciba; 3.10.1961; appl. 28.11.1958; CH-prior. 5.12.1957).
US 3 014 029 (Ciba; 19.12.1961; appl. 16.6.1959; CH-prior. 18.6.1958).
US 3 049 539 (Wisconsin Alumni Res. Found.; 14.8.1962; appl. 29.7.1957).
Wettstein, A. et al.: Helv. Chim. Acta (HCACAV) **44**, 502 (1961).
Reichstein, T. et al.: Helv. Chim. Acta (HCACAV) **38**, 1432 (1957).

review:
Fieser, L.F.; Fieser, M.: Steroide p. 766 ff, Verlag Chemie, Weinheim 1961.

total synthesis:
Johnson, P.S. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 2585 (1958).
Blickenstaff, R.T.; Ghosh, A.C.; Wolf, G.C.: Total Synthesis of Steroids (Organic Chemistry Vol. **30**) p. 187 ff, Academic Press, New York, London 1974.

Formulation(s): tabl. 500 mg, 750 mg

Trade Name(s):

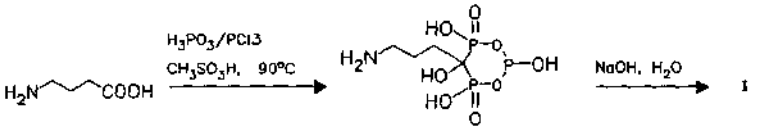
D:	Aldocorten (Ciba); wfm	USA:	Aldocortin (Burroughs Wellcome); wfm
GB:	Aldocorten (Ciba); wfm		Electrocortin (Ciba-Geigy); wfm
I:	Sinsurrene Forte (Parke Davis)-comb.		

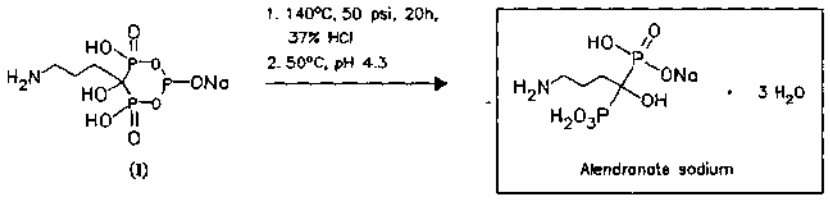
Alendronate sodium

ATC: M05BA04
Use: treatment of osteoporosis

RN: 121268-17-5 MF: $C_4H_{12}NNaO_7P_2 \cdot 3H_2O$ MW: 325.12
LD_{50}: >4 g/kg (dog, p. o.)
CN: (4-Amino-1-hydroxybutylidene)bis[phosphonic acid] monosodium salt trihydrate

acid
RN: 66376-36-1 MF: $C_4H_{13}NO_7P_2$ MW: 249.10
anhydrous monosodium salt
RN: 129318-43-0 MF: $C_4H_{12}NNaO_7P_2$ MW: 271.08





Reference(s):
WO 9 506 052 (Merck & Co.; USA-prior. 25.8.1993).
WO 9 533 756 (Merck & Co.; appl. 2.6.1995; USA-prior. 6.6.1994).
US 5 510 517 (Merck & Co.; 2.3.1995; USA-prior. 25.8.1993).
DE 3 016 289 (Henkel KG; D-prior. 28.4.1980).
BE 896 453 (Ist. Gentili s. p. a.; appl. 14.4.1983; I-prior. 15.4.1982, 16.2.1983).
BE 903 513 (Ist. Gentili s. p. a.; appl. 25.10.1985; I-prior. 29.10.1984).
EP 494 844 (Ist. Gentili s. p. a.; appl. 2.1.1992; I-prior. 8.1.1991).
US 4 621 077 (Ist. Gentili s. p. a.; 8.6.1984; I-prior. 15.4.1982).
US 5 019 651 (Merck & Co.; 27.12.1991; USA-prior. 20.6.1990).
US 4 922 007 (Merck & Co.; 1.5.1990; USA-prior. 9.6.1989).

alternative process for the production of alendronate:
WO 9 834 940 (Apotex Inc.; CA-prior. 11.2.1997).

Formulation(s): amp. 5 mg, 10 mg; tabl. 5 mg, 10 mg, 40 mg (as sodium salt)

Trade Name(s):

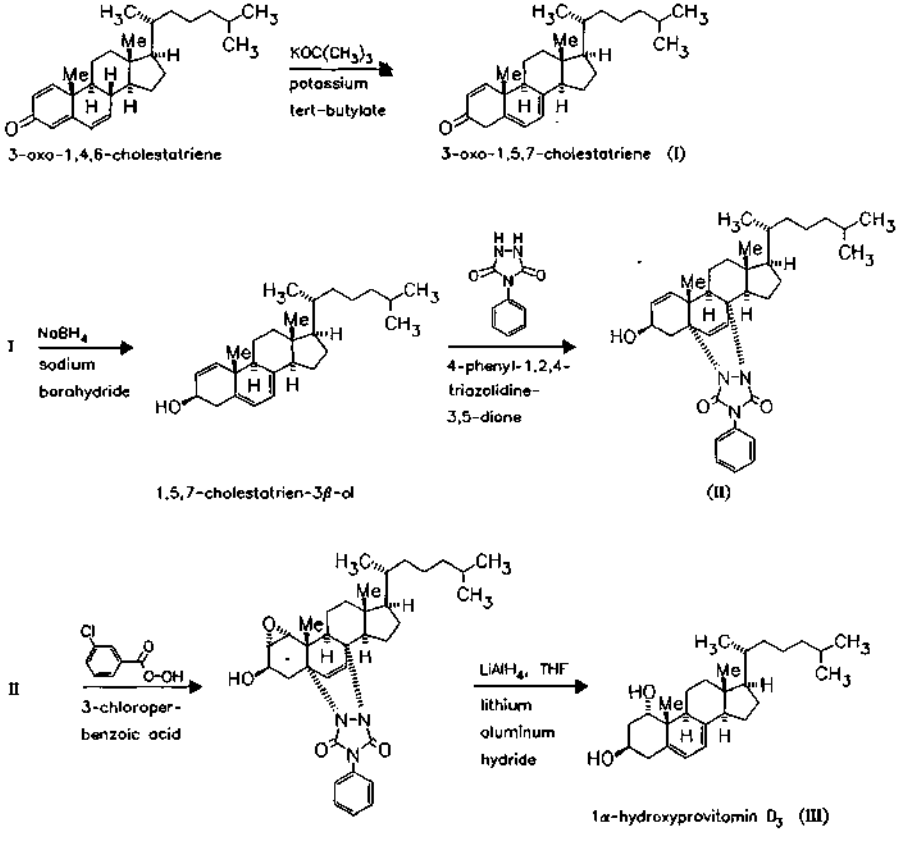
D:	Fosamax (Merck Sharp & Dohme)		Alendros (Gentili)		Teiroc (Teijin)
GB:	Fosamax (Merck Sharp & Dohme)		Dronal (Sigmatau)	USA:	Fosamax (Merck Sharp & Dohme; 1993)
			Fosamax (Merck Sharp & Dohme)		
I:	Adronat (Neopharmed)	J:	Onclast (Banyu)		

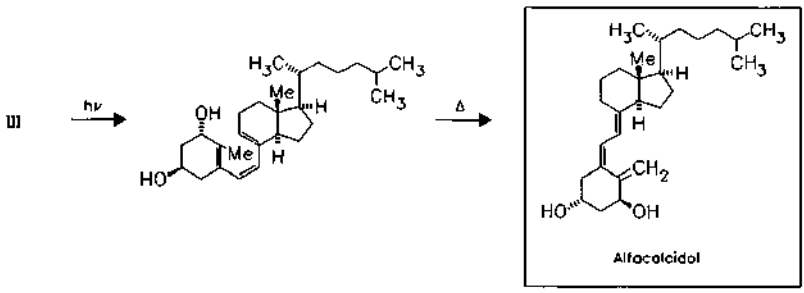
Alfacalcidol

(1α-Hydroxycholecalciferol; 1α-Hydroxy-vitamin D_3)

ATC: A11CC03
Use: calcium metabolism regulator, vitamin D-derivative

RN: 41294-56-8 MF: $C_{27}H_{44}O_2$ MW: 400.65 EINECS: 255-297-1
LD_{50}: 440 g/kg (M, p.o.);
340 g/kg (R, p.o.)
CN: (1α,3β,5*Z*,7*E*)-9,10-secocholesta-5,7,10(19)-triene-1,3-diol





Reference(s):
US 3 929 770 (Wisconsin Alumni Res.; 30.12. 1975; J-prior. 3.12.1973).

alternative syntheses:
Holick, M.F. et al.: Science (Washington, D.C.) (SCIEAS) **180**, 190 (1973).
Barton, D.H.R. et al.: J. Am. Chem. Soc. (JACSAT) **95**, 2748 (1973).
Fürst, A. et al.: Helv. Chim. Acta (HCACAV) **56**, 1708 (1973).
US 3 966 777 (Yeda Res. & Devel.; 29.6.1976; IL-prior. 22.10.1974).
DOS 2 259 661 (Wisconsin Alumni Res.; appl. 1.12.1972; USA-prior. 2.12.1971).
BE 877 356 (Wisconsin Alumni Res.; appl. 28.6.1979; USA-prior. 15.1.1979, 21.5.1979).
GB 1 553 321 (Merck & Co.; valid from 30.6.1977; USA-prior. 1.7.1976).
DOS 2 923 953 (Upjohn; appl. 13.6.1979; USA-prior. 19.6.1978).

total synthesis:
Harrison, R.G. et al.: Tetrahedron Lett. (TELEAY) **1973**, 3649.

synthesis of intermediates:
US 4 046 760 (Merck & Co., 6.9.1977; prior. 1.7.1976).

pharmaceutical formulation:
JP-appl. 78 136 512 (Chugai; appl. 28.4.1977).
US 4 164 569 (Chugai; 14.8.1979; J-prior. 8.4.1977).

use as anti-inflammatory:
FR 2 389 377 (J. Brohult, appl. 6.5.1977).

Formulation(s): amp. 0.001 mg, 0.002 mg; cps. 0.001 mg, 0.0025 mg, 1 mg; inj. 2 µg/ml

Trade Name(s):

D:	Bondiol (Gry)		One Alpha (Leo)		Diseon (Smith Kline &
	Eins Alpha (Leo)	I:	Dediol (Rhône-Poulenc		French)
F:	Un-Alfa (Leo)		Rorer)	J:	Alfarol (Chugai)
GB:	Alfa D (Berk)				

Alfadolone acetate

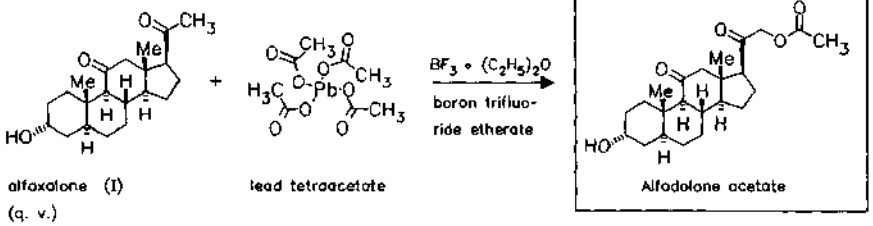
(Alphadolone acetate)

ATC: N01A
Use: anesthetic (intravenous)

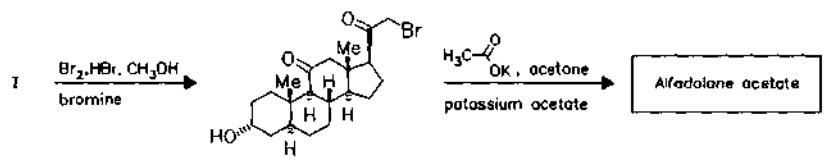
RN: 23930-37-2 MF: $C_{23}H_{34}O_5$ MW: 390.52 EINECS: 245-942-5
LD_{50}: >30 mg/kg (rabbit, i.v.)
CN: (3α,5α)-21-(acetyloxy)-3-hydroxypregnane-11,20-dione

alfadolone
RN: 14107-37-0 MF: $C_{21}H_{32}O_4$ MW: 348.48 EINECS: 237-961-2
LD_{50}: 59 mg/kg (M, i.v.)

a



b



Reference(s):
DE 2 030 402 (Glaxo; appl. 19.6.1970; GB-prior. 20.6.1969; 11.6.1970).
ZA 703 861 (Glaxo; appl. 8.6.1970; GB-prior. 20.6.1969)
(alternative synthesis).
Browne, P.A.; Kirk, D.N.: J. Chem. Soc. (JCSOA9) **1969**, 1653.

Formulation(s): amp. 0.5 mg/ml

Trade Name(s):

D:	Aurantex (Glaxo)-comb.; wfm	GB:	Althesin (Glaxo)-comb.; wfm
F:	Alfatesine (Glaxo)-comb.; wfm	I:	Althesin (Glaxo)-comb.; wfm

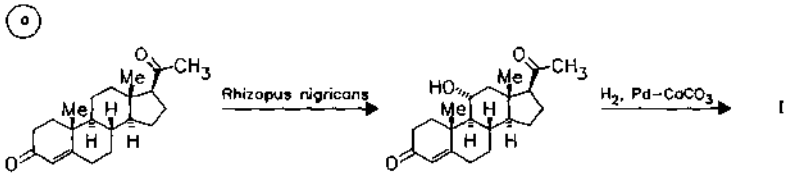
Alfaxalone
(Alphaxalone)

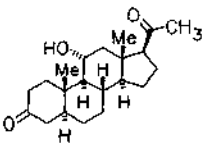
ATC: N01AX05
Use: anesthetic (intravenous)

RN: 23930-19-0 MF: $C_{21}H_{32}O_3$ MW: 332.48
LD_{50}: 430 mg/kg (M, i.p.); 36.9 mg/kg (M, i.v.); 880 mg/kg (M, p.o.); 5220 mg/kg (M, s.c.); 116 mg/kg (R, i.p.); 19.4 mg/kg (R, i.v.); 297 mg/kg (R, p.o.); >2200 mg/kg (R, s.c.); 9.36 mg/kg (rabbit, i.v.)
CN: (3α,5α)-3-hydroxypregnane-11,20-dione

acetate
RN: 51267-69-7 MF: $C_{23}H_{34}O_4$ MW: 374.52

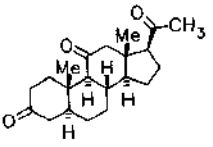
a





11α-hydroxy-5α-pregnane-3,20-dione (I)

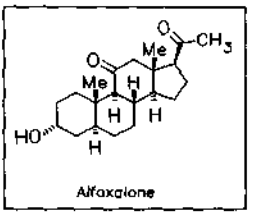
CrO_3, HOAc



3,11,20-trioxo-5α-pregnane (II)

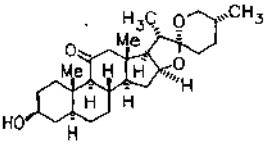
II H_2IrCl_6, $P(OCH_3)_3$, $(CH_3)_2CHOH$ (Henbest reduction)

hexachloro-iridic acid — trimethyl phosphite



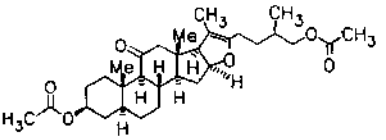
Alfaxalone

(b)



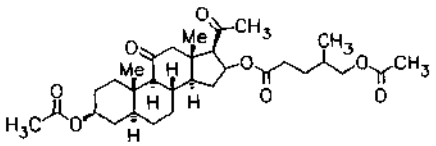
11-oxotigogenin (from hecogenin)

$H_3C(CO)OC(CO)CH_3$, TosOH, Δ, 200 °C



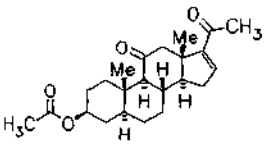
3β,26-diacetoxy-5α-furost-20(22)-en-11-one (III)

III CrO_3, AcOH



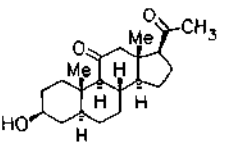
3β-acetoxy-16-(5-acetoxy-4-methyl-pentanoyl)-5α-pregnane-11,20-dione

AcOH, Δ IV



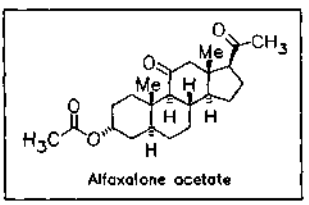
3β-acetoxy-11,20-dioxo-16-pregnene (IV)

1. H_2, Pd-C
2. NaOH



11,20-dioxo-3β-hydroxy-5α-pregnane (V)

V 1. TosCl, pyridine
2. $H_3C(CO)OK$, DMF



Alfaxalone acetate

Reference(s):

a Browne, P.A.; Kirk, D.N.: J. Chem. Soc. C (JSOOAX) **1969**, 1653.

b Nagata, W. et al.: Helv. Chim. Acta (HCACAV) **42**, 1399 (1959).

medical use:
DE 2 030 402 (Glaxo; appl. 19.6.1970; GB-prior. 20.6.1969, 11.6.1970).

Formulation(s): amp. 5 ml, 10 ml, 0.3 %

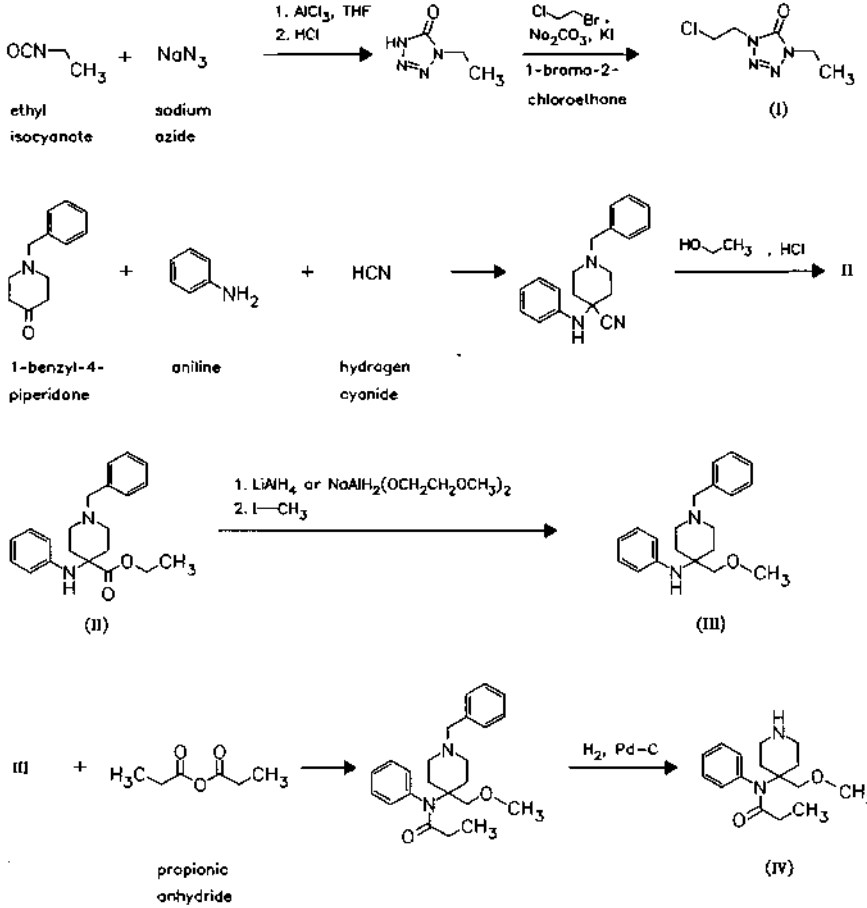
Trade Name(s):
J: Alphadione (Shin Nihon Jitsugyo)

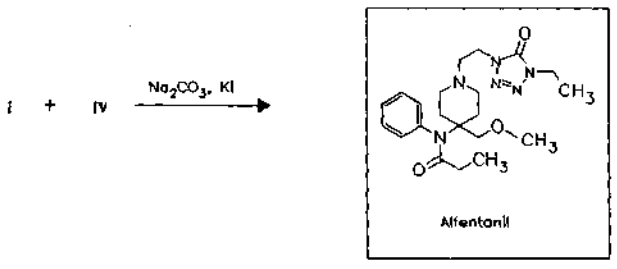
Alfentanil

ATC: N01AH02
Use: analgesic, short-time anesthetic (for basal narcosis)

RN: 71195-58-9 MF: $C_{21}H_{32}N_6O_3$ MW: 416.53
CN: *N*-[1-[2-(4-ethyl-4,5-dihydro-5-oxo-1*H*-tetrazol-1-yl)ethyl]-4-(methoxymethyl)-4-piperidinyl]-*N*-phenylpropanamide

monohydrochloride
RN: 69049-06-5 MF: $C_{21}H_{32}N_6O_3 \cdot HCl$ MW: 452.99 EINECS: 273-846-3
monohydrochloride monohydrate
RN: 70879-28-6 MF: $C_{21}H_{32}N_6O_3 \cdot HCl \cdot H_2O$ MW: 471.00





Reference(s):
GB 1 598 872 (Janssen; appl. 3.5.1978; USA-prior. 5.5.1977).
DOS 2 819 873 (Janssen; appl. 5.5.1978; USA-prior. 5.5.1977, 13.3.1978).
US 4 167 574 (Janssen; 11.9.1979; appl. 25.10.1978; prior. 13.3.1978).

Formulation(s): amp. 500 µg/ml; inj. sol. 1 mg/2 ml, 5 mg/10 ml; intensive care inj. 5 mg/ml

Trade Name(s):

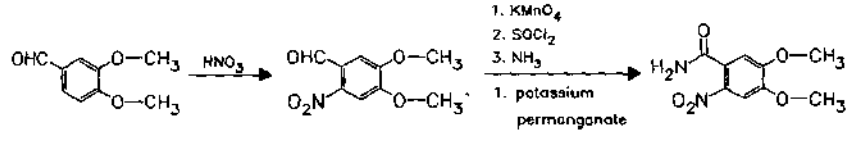
D:	Rapifen (Janssen-Cilag; 1983)	GB:	Rapifen (Janssen-Cilag; 1983)
F:	Rapifen (Janssen-Cilag)	USA:	Alfenta (Janssen; 1987)

Alfuzosin

ATC: C02CA; G04CB01
Use: antihypertensive, α_1-antagonist, treatment of benign prostatic hypertrophy

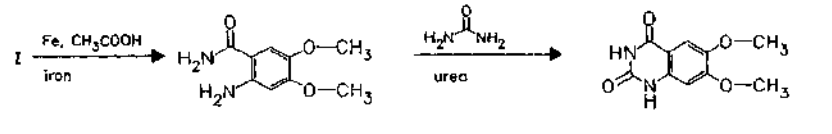
RN: 81403-80-7 MF: $C_{19}H_{27}N_5O_4$ MW: 389.46
CN: (±)-*N*-[3-[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]propyl]tetrahydro-2-furancarboxamide

monohydrochloride
RN: 81403-68-1 MF: $C_{19}H_{27}N_5O_4 \cdot HCl$ MW: 425.92



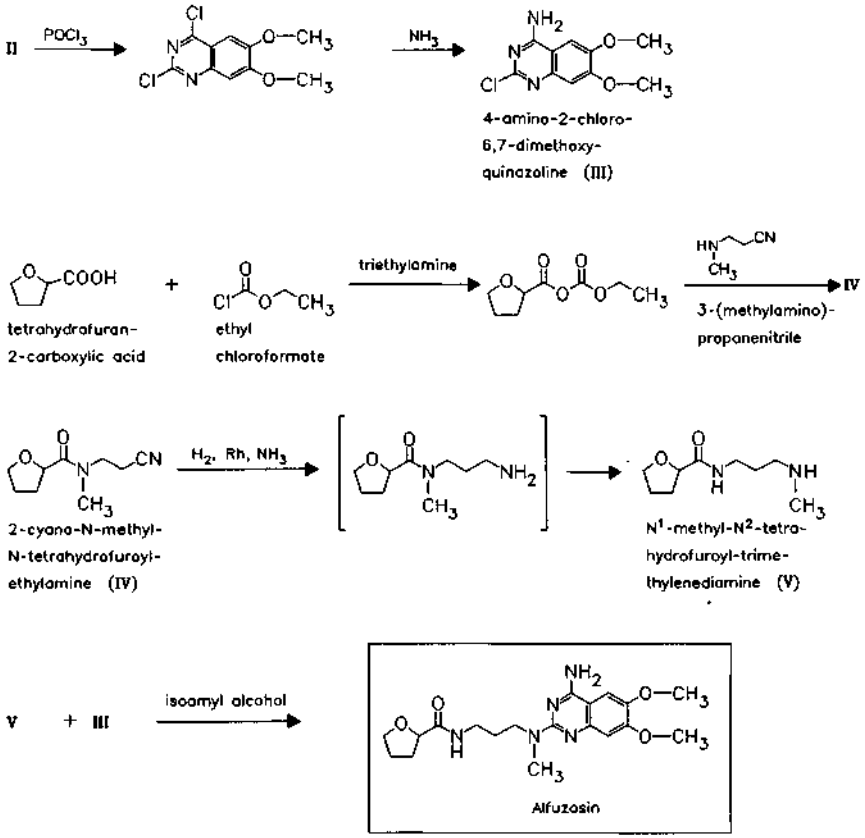
3,4-dimethoxybenzaldehyde (veratroldehyde)

(I)



2-amino-4,5-dimethoxybenzamide

6,7-dimethoxyquinazoline-2,4-dione (II)



Reference(s):
US 4 315 007 (Synthelabo; 9.2.1982; F-prior. 6.2.1978, 29.12.1978).
DE 290 445 (Synthelabo; appl. 16.8.1979; F-prior. 6.2.1978, 29.12.1978).
Manoury, P.M. et al.: J. Med. Chem. (JMCMAR) **29**, 19 (1986).

synthesis of 6,7-dimethoxyquinazoline-2,4-dione:
Althuis, T.H.; Hess, H.J.: J. Med. Chem. (JMCMAR) **20**, 146 (1977).

Formulation(s): tabl. 2.5 mg (as hydrochloride)

Trade Name(s):

D:	Urion (Byk Gulden)		Xatral (Synthélabo; 1989)	Xatral (Synthelabo)
	Uroxatral (Synthelabo)	GB:	Xatral (Lorex)	
F:	Urion (Zambon)	I:	Mittoval (Schering)	

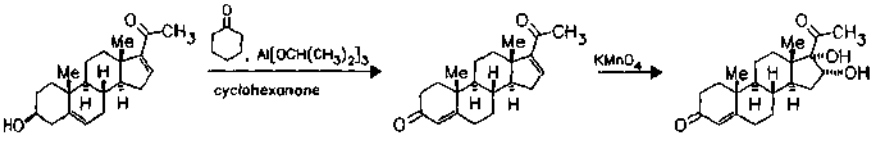
Algestone acetophenide

(Alfasone acetophenide; Alphasone acetophenide)

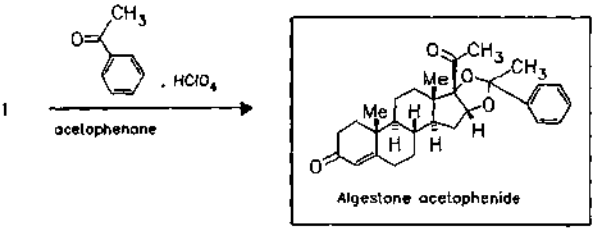
ATC: D10AX; G03DA
Use: antiacne, progestogen

RN: 24356-94-3 MF: $C_{29}H_{36}O_4$ MW: 448.60 EINECS: 246-195-8
CN: [16α(*R*)]-16,17-[(1-phenylethylidene)bis(oxy)]pregn-4-ene-3,20-dione

algestone
RN: 595-77-7 MF: $C_{21}H_{30}O_4$ MW: 346.47 EINECS: 209-869-2



16-dehydropregnenolone | 16-dehydroprogesterone | 16α,17α-dihydroxy-progesterone (I)



Reference(s):
DE 1 125 423 (Olin Mathieson; appl. 1959; USA-prior. 1958).
Fried, J. et al.: Chem. Ind. (London) (CHINAG) **1961**, 465.

alternative synthesis:
US 3 008 958 (Olin Mathieson; 1961; prior. 1961).

synthesis of intermediates:
US 2 727 909 (Searle; 1955; prior. 1954).
US 3 165 541 (Olin Mathieson; 12.1.1965; prior. 20.5.1963).
Cooley, G. et al.: J. Chem. Soc. (JCSOA9) **1955**, 4373.
Inhoffen, H.H. et al.: Chem. Ber. (CHBEAM) **87**, 593 (1954).
Hydorn, A.E. et al.: Steroids (STEDAM) **3**, 493 (1964).

injection solution:
US 3 164 520 (Olin Mathieson; 5.1.1965; prior. 29.10.1962).

medical use as contraceptive:
GB 1 060 632 (Olin Mathieson; appl. 16.8.1963; USA-prior. 11.11.1962).

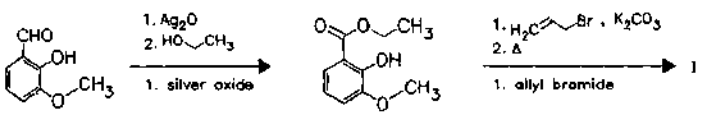
Formulation(s): cream 2 %

Trade Name(s):
I: Neolutin Depos. (Medici)

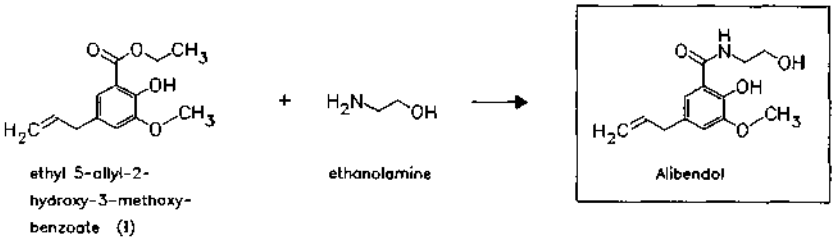
Alibendol

ATC: C10A; A03
Use: antispasmodic, choleretic, cholekinetic

RN: 26750-81-2 MF: $C_{13}H_{17}NO_4$ MW: 251.28 EINECS: 247-960-9
LD_{50}: >3000 mg/kg (M, p.o.); >2000 mg/kg (M, s.c.)
CN: 2-hydroxy-*N*-(2-hydroxyethyl)-3-methoxy-5-(2-propenyl)benzamide



2-hydroxy-3-methoxybenzaldehyde | ethyl 2-hydroxy-3-methoxybenzoate



Reference(s):
DE 1 768 615 (Roussel-Uclaf; appl. 1968; F-prior. 1967).
Clemence, F. et al.: Chim. Ther. (CHTPBA) **5**, 188 (1970).

Formulation(s): tabl. 100 mg

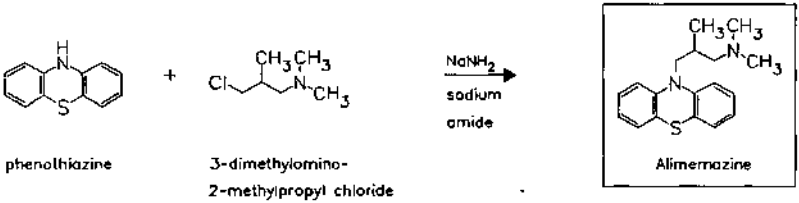
Trade Name(s):
F: Cebera (Irex)

Alimemazine
(Trimeprazine)

ATC: R06AD01
Use: antihistaminic, psychosedative

RN: 84-96-8 MF: $C_{18}H_{22}N_2S$ MW: 298.45 EINECS: 201-577-3
LD_{50}: 33 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 210 mg/kg (R, p.o.)
CN: *N*,*N*,β-trimethyl-10*H*-phenothiazine-10-propanamine

tartrate (2:1)
RN: 4330-99-8 MF: $C_{18}H_{22}N_2S \cdot 1/2C_4H_6O_6$ MW: 746.99 EINECS: 224-368-9
LD_{50}: 33 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 210 mg/kg (R, p.o.)



Reference(s):
US 2 837 518 (Rhône-Poulenc; 1958; F-prior. 1954).
DE 1 034 639 (Rhône-Poulenc; appl. 1955; GB-prior. 1954 and 1955).

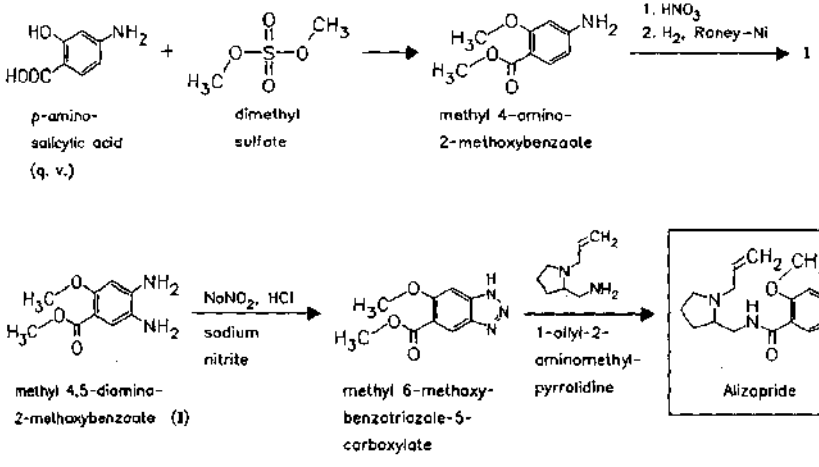
Formulation(s): drops 40 mg; tabl. 2.5 mg, 5 mg (as tartrate)

Trade Name(s):
D: Repeltin (Bayer)
F: Théralène (Evans Medical)
Théralène Pectoral (Evans Medical)-comb.
GB: Vallergan (Rhône-Poulenc Rorer; as tartrate)
I: in comb. with prednisolone
J: Alimezine (Daiichi)

Alizapride

ATC: A03FA05; A04AD
Use: anti-emetic, neuroleptic

RN: 59338-93-1 MF: $C_{16}H_{21}N_5O_2$ MW: 315.38 EINECS: 261-710-6
LD_{50}: 92.7 mg/kg (M, i.v.)
CN: 6-methoxy-*N*-{[1-(2-propenyl)-2-pyrrolidinyl]methyl}-1*H*-benzotriazole-5-carboxamide



Reference(s):
DE 2 500 919 (Delagrange; appl. 11.1.1975).
US 4 039 672 (Delagrange; 2.8.1977; D-prior. 11.1.1975).

synthesis of methyl 4-amino-2-methoxybenzoate:
DOS 1 966 212 (Yamanouchi; appl. 29.12.1969; J-prior. 2.12.1968, 9.12.1968, 4.4.1969).

Formulation(s): amp. 50 mg/2 ml; drinking amp. 360 mg; suppos. 50 mg; tabl. 50 mg

Trade Name(s):

D:	Vergentan (Synthelabo)	I:	Limican (Synthelabo)
F:	Plitican (Synthélabo)		Nausilen (Baldacci)

Allantoin

ATC: D03; D05
Use: wound remedy, antipsoriatic, adstringent, web stimulant, keratolytic, antacid

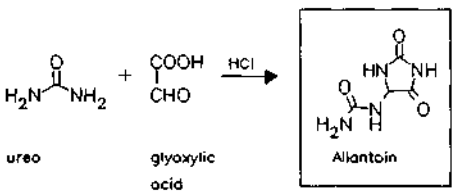
RN: 97-59-6 MF: $C_4H_6N_4O_3$ MW: 158.12 EINECS: 202-592-8
CN: (2,5-dioxo-4-imidazolidinyl)urea

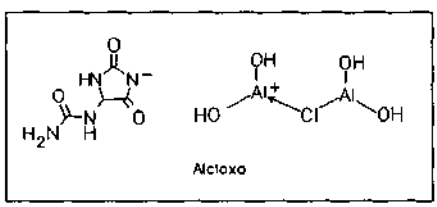
Alcloxa

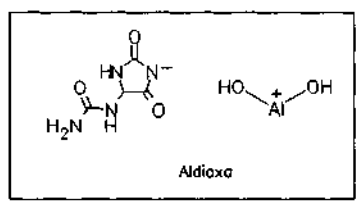
RN: 1317-25-5 MF: $C_4H_9Al_2ClN_4O_7$ MW: 314.55 EINECS: 215-262-3
LD_{50}: >8 g/kg (M,R, p.o.)
CN: chloro[(2,5-dioxo-4-imidazolidinyl)uretato]tetrahydroxyaluminum

Aldioxa

RN: 5579-81-7 MF: $C_4H_7AlN_4O_5$ MW: 218.11 EINECS: 226-964-4
LD_{50}: >8 g/kg (M, p.o.)
CN: [(2,5-dioxo-4-imidazolidinyl)ureato]dihydroxyaluminum







Reference(s):
DOS 1 939 924 (BASF; appl. 6.8.1969).

from glyoxal via "in situ"-glyoxylic acid:
DOS 2 714 938 (Akad. d. Wiss. der DDR; appl. 2.4.1977; DDR-prior. 29.10.1976).

from chloral hydrate *via "in situ"*-glyoxylic acid:
DOS 2 717 698 (Akad. d. Wiss. der DDR; appl. 21.4.1977; DDR-prior. 29.10.1976).

by oxidation of uric acid with PbO, *or* H_2O_2 *or* potassium permanganate:
Org. Synth. (ORSYAT) **13** 1 (1933).

by oxidation of glycoluril *with* H_2O_2:
Biltz, H.; Schiemann, G.: J. Prakt. Chem. (JPCEAO) **113**, 92 (1926).
US 2 802 011 (Carbogen Corp.; 1957; appl. 1956).

by condensation of glyoxylic acid esters or glyoxylic acid acetal esters with urea:
US 2 158 098 (Merck & Co.; 1939; appl. 1937).

Formulation(s): cream 0.2 %; ointment 2 %; powder 0.5 %; tabl. 100 mg

Trade Name(s):

D: more than 70 combination preparations
allantoin
Brand- und Wundgel (Eu Rho Arznei)-comb.
Contractubex Gel (Merz & Co.)-comb.
Ellsurex (Galderma)-comb.
Essaven (Nattermann)-comb.
HAEMO-Exhirud (Sanofi Winthrop)-comb.
Hydro Cordes (Block Drug Company; Ichthyol)-comb.
Lipo Cordes (Block Drug Company)-comb.
Psoralon (Hermal)-comb.
Psoriasis-Salbe M (Balneopharm)
Ulcurilen (Spitzner)-comb.
alcloxa
Ansudor (Basotherm)-comb.
aldioxa
Ansudor (Basotherm)-comb.
Dexa-Mederma Akne (Merz & Co.)-comb.
Elmedal (Thiemann)-comb.
Mederima (Merz & Co.)-comb.
ZeaSorb Puder (Stiefel)-comb.

F: *alcloxa*
Ulfon (Lafon)-comb.
aldioxa
Ulfon (Lafon)-comb.

GB: *allantoin*
Actinac (Hoechst)-comb. with chloramphenicol and hydrocortisone

Alphosyl (Stafford-Miller)-comb.
Aphosyl HC (Stafford-Miller)-comb. with hydrocortisone
Dermalex (Sanofi Winthrop)-comb. with squalene and hexachlorophane

I: *allantoin*
Alphosyle (Poli)-comb.
Antiacne Samil (Samil)-comb.
Apsor pomata (IDI Farmaceutici)-comb.

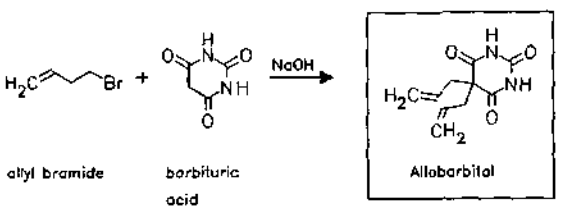
J: *aldioxa*
Aldioxa (Isei)
Chlokale (Sawai)

USA: *allantoin*
Alphosyl (Reed & Carnrick)-comb.; wfm
Bahnex (Maxsil)-comb.; wfm
Cervex (Medics)-comb.; wfm
Cutemol Creme (Summers); wfm
Herpecin-L (Campbell)-comb.; wfm
Sufamal (Milex)-comb.; wfm
Vagilia (Lemmon)-comb.; wfm

Allobarbital
(Allobarbitone)

ATC: N05CA21
Use: hypnotic, sedative

RN: 52-43-7 MF: $C_{10}H_{12}N_2O_3$ MW: 208.22 EINECS: 200-140-4
LD_{50}: 218 mg/kg (M, i.v.)
CN: 5,5-di-2-propenyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione



Reference(s):
DRP 268 158 (Ciba; appl. 1911).
DRP 526 854 (Hoffmann-La Roche; appl. 1930).

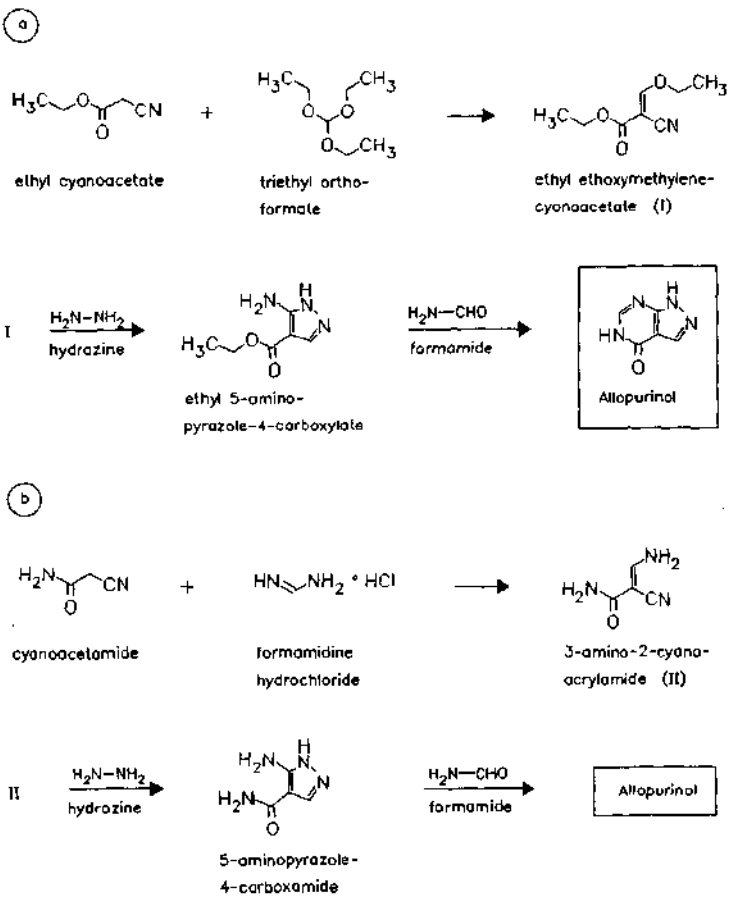
Formulation(s): tabl. 30 mg, 100 mg, 300 mg

Trade Name(s):
D: Toximer (Merckle)-comb.; wfm
F: Spasmo-Cibalgine (Ciba)-comb.; wfm
I: Allobarb (Tariff. Integrativo)
USA: Diadol (Durst); wfm

Allopurinol

ATC: M04AA01
Use: uricosuric agent

RN: 315-30-0 MF: $C_5H_4N_4O$ MW: 136.11 EINECS: 206-250-9
LD_{50}: >1 g/kg (M, p.o.)
CN: 1,5-dihydro-4*H*-pyrazolo[3,4-*d*]pyrimidin-4-one



Reference(s):

a US 2 868 803 (Ciba; 13.1.1959; CH-prior. 10.2.1956).
US 3 624 205 (Burroughs Wellcome; 30.11.1971; USA-prior. 25.4.1967).

b DAS 1 720 024 (Wellcome Found; appl. 12.7.1967; GB-prior. 14.7.1966).

similar process:

DAS 1 904 894 (Wellcome Found; appl. 31.1.1969; GB-prior. 2.2.1968).
US 4 146 713 (Burroughs Wellcome; 27.3.1979; GB-prior. 2.2.1968).

alternative syntheses:

US 3 474 098 (Burroughs Wellcome; 21.10.1969; prior. 29.3.1956).
DAS 2 224 382 (Henning Berlin; appl. 18.5.1972).
DE 1 118 221 (Wellcome Found; appl. 4.8.1956; GB-prior. 10.8.1955).
DAS 1 814 082 (Wellcome Found; appl. 11.12.1968).
DAS 1 950 075 (Henning Berlin; appl. 3.10.1969).
DOS 2 018 345 (Delmar Chemicals; appl. 16.4.1970; GB-prior. 17.4.1969).

combination with benzbromarone:

GB 1 493 237 (Henning Berlin; appl. 11.5.1976; D-prior. 10.12.1975).

Formulation(s): tabl. 100 mg, 200 mg, 300 mg

Trade Name(s):

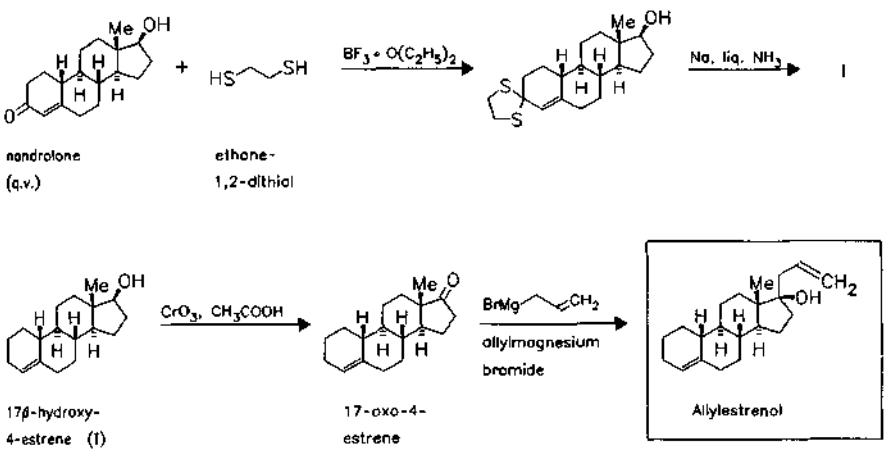
D: Allo-300-Tablinen (ct-Arzneimittel)
Allomaron (Nattermann)-comb.
Allo-Puren 100/-300 (Isis Puren)
Bleminol (gepepharm)

Cellidrin (Henning)
dura Al 300 (durachemie)
Foligan (Henning Berlin)
Remid 100/-300 (TAD)
Suspendol (Merckle)
Uribenz 300 (R.A.N.)
Uripurinol 100/300 (Azupharma)
Urosin (Boehringer Mannh.)
Zyloric (Glaxo Wellcome; 1966)
combination preparations
F: Zyloric (Glaxo Wellcome; 1968)
GB: Zyloric (Glaxo Wellcome; 1966)
I: Allopuri (Formulario Naz.)
Allurit (RBS Pharma)
Allurit (Rhône-Poulenc Rorer)
Uricemil (ICT)
Uricodue (IFI)-comb.
Zyloric (Wellcome; 1969)
J: Zyloric (Tanabe; 1969)
USA: Zyloprim (Glaxo Wellcome; 1966)

Allylestrenol
(Allyloestrenol)

ATC: G03DC01
Use: progestogen

RN: 432-60-0 MF: $C_{21}H_{32}O$ MW: 300.49 EINECS: 207-082-9
LD_{50}: >640 mg/kg (M, p.o.)
CN: (17β)-17-(2-propenyl)estr-4-en-17-ol



Reference(s):
GB 841 411 (Organon; appl. 2.4.1958; NL-prior. 10.4.1957).

alternative syntheses:
GB 875 549 (Organon; appl. 31.12.1959; NL-prior. 13.1.1959).
US 2 878 267 (Organon; appl. 16.4.1958; NL-prior. 1.5.1957).

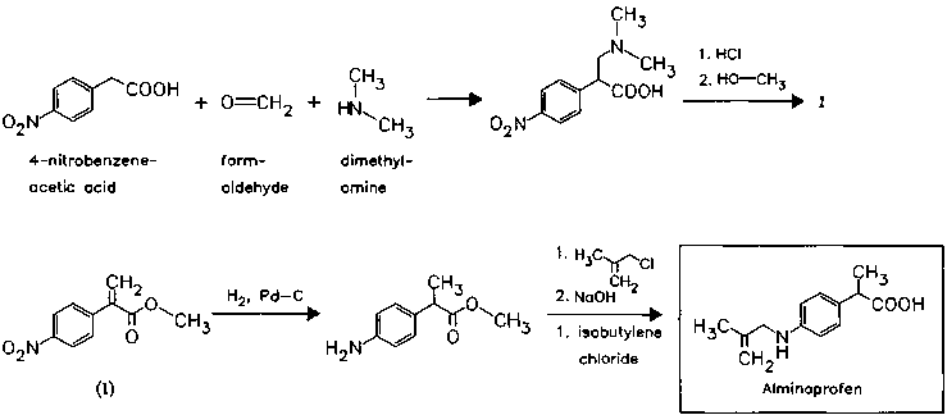
Formulation(s): tabl. 5 mg

Trade Name(s):
D: Gestanon (Organon); wfm
GB: Gestanin (Organon); wfm
I: Gestanon (Organon Italia)
J: Gestanon (Sankyo)

Alminoprofen

ATC: M01AE16
Use: non-steroidal anti-inflammatory, analgesic

RN: 39718-89-3 MF: $C_{13}H_{17}NO_2$ MW: 219.28 EINECS: 254-604-6
LD_{50}: 2400 mg/kg (M, p.o.)
CN: α-methyl-4-[(2-methyl-2-propenyl)amino]benzeneacetic acid



Reference(s):
Dumaitre, B. et al.: Eur. J. Med. Chem. (EJMCA5) **14**, 207 (1979).

alternative synthesis:
FR 2 289 180 (Lab. Bouchara; appl. 17.5.1971).

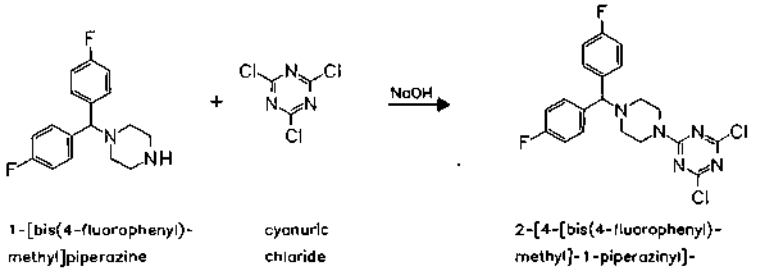
Formulation(s): tabl. 150 mg, 300 mg

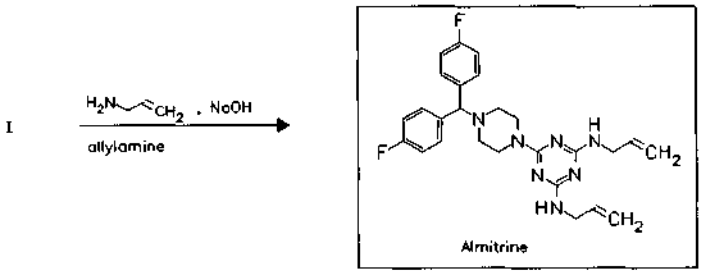
Trade Name(s):
F: Minalfène (Bouchara) J: Minalfen (Fujirebio)

Almitrine

ATC: R07AB07
Use: analeptic, respiratory stimulant

RN: 27469-53-0 MF: $C_{26}H_{29}F_2N_7$ MW: 477.56 EINECS: 248-475-5
CN: 6-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-*N*,*N*'-di-2-propenyl-1,3,5-triazine-2,4-diamine





Reference(s):
FR 2 019 646 (Science Union; appl. 22.9.1969; GB-prior. 2.10.1968).
DOS 1 947 332 (Science Union; appl. 18.9.1969; GB-prior. 2.10.1968).
US 3 647 794 (Science Union; 7.3.1972; GB-prior. 2.10.1968).
GB 1 256 513 (Science Union; appl. 2.10.1968; valid from 30.9.1969).

Formulation(s): f. c. tabl. 50 mg; vial 15 mg/5 ml; tabl. 50 mg

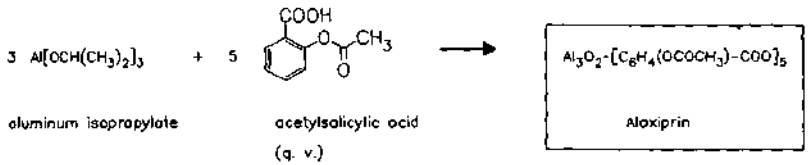
Trade Name(s):
D: Vectarion (Servier; 1984) F: Duxil (Therval Médical; 1979)-comb. Vectarion (Euthérapie; 1983)

Aloxiprin

ATC: B01AC15; N02BA02
Use: analgesic

RN: 9014-67-9 MF: unspecified MW: unspecified
CN: aloxiprin

polymeric condensation product of aluminum oxide and acetylsalicylic acid



Reference(s):
Cummings, A.J. et al.: J. Pharm. Pharmacol. (JPPMAB) **15**, 56 (1963).

Formulation(s): tabl. 400 mg, 450 mg, 600 mg

Trade Name(s):
GB: Palaprin (Nicholas); wfm Palaprin forte (Nicholas); wfm

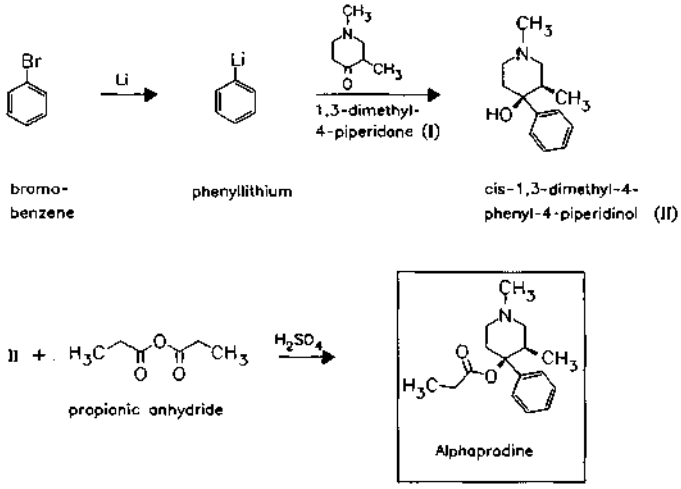
Alphaprodine

(Alfaprodina)

ATC: N02AB
Use: analgesic

RN: 77-20-3 MF: $C_{16}H_{23}NO_2$ MW: 261.37 EINECS: 201-011-5
CN: *cis*-1,3-dimethyl-4-phenyl-4-piperidinol propanoate (ester)

hydrochloride
RN: 561-78-4 MF: $C_{16}H_{23}NO_2 \cdot HCl$ MW: 297.83
LD_{50}: 32 mg/kg (M, i.v.);
25 mg/kg (R, i.v.); 90 mg/kg (R, p.o.);
36.2 mg/kg (dog, i.v.)



Reference(s):
US 2 498 433 (Hoffmann-La Roche; 1950; prior. 1946).

starting material:

1,3-dimethyl-4-piperidone:
Howton: J. Org. Chem. (JOCEAH) **10**, 277 (1945).

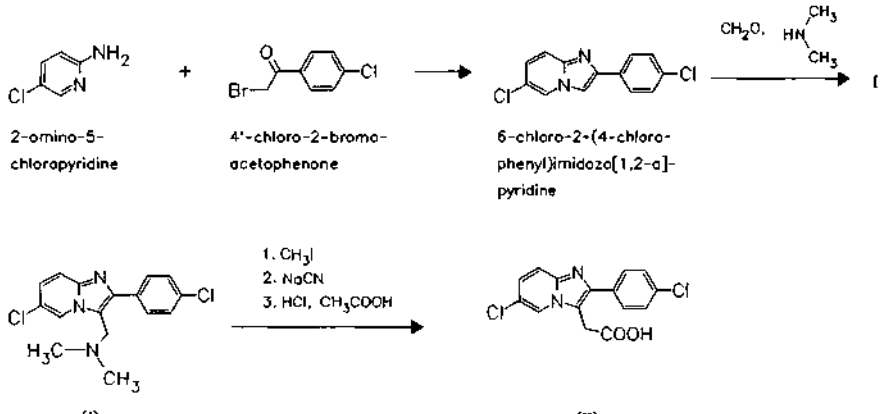
Formulation(s): amp. 4 %, 6 %

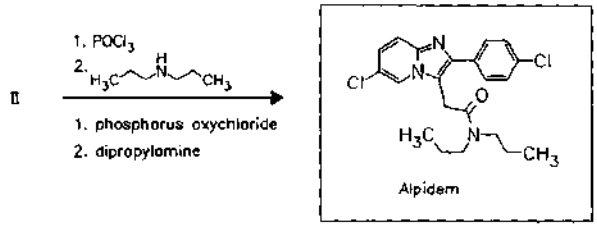
Trade Name(s):
USA: Nisentil (Roche); wfm

Alpidem

ATC: N05B
Use: anxiolytic, ω_1-agonist

RN: 82626-01-5 MF: $C_{21}H_{23}Cl_2N_3O$ MW: 404.34
CN: 6-chloro-2-(4-chlorophenyl)-*N*,*N*-dipropylimidazo[1,2-*a*]pyridine-3-acetamide





Reference(s):
EP 50 563 (Synthelabo; appl. 15.10.1981; F-prior. 22.10.1980).
US 4 382 938 (Synthelabo; 10.5.1983; F-prior. 22.10.1980).
US 4 460 592 (Synthelabo; 17.7.1984; F-prior. 22.10.1980).

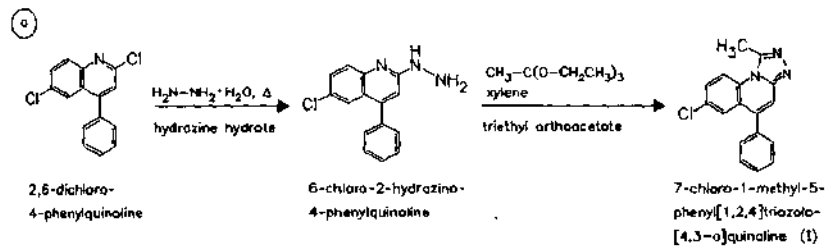
Formulation(s): tabl. 50 mg

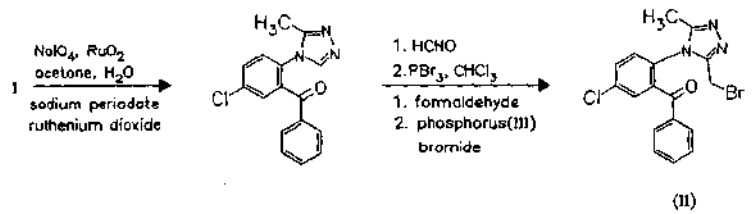
Trade Name(s):
F: Anaxyl (Synthélabo; 1991);
wfm

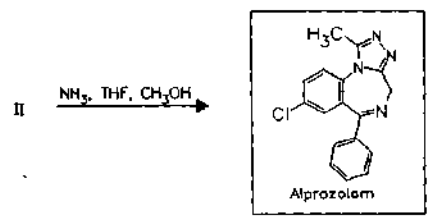
Alprazolam

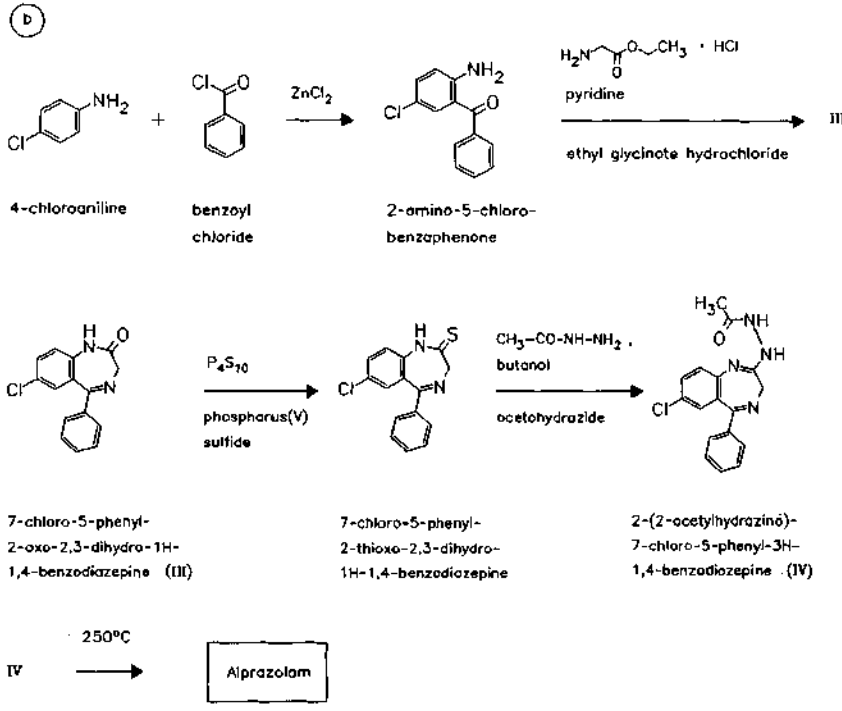
ATC: N05BA12
Use: tranquilizer

RN: 28981-97-7 MF: $C_{17}H_{13}ClN_4$ MW: 308.77 EINECS: 249-349-2
LD_{50}: 770 mg/kg (M, p.o.);
1220 mg/kg (R, p.o.)
CN: 8-chloro-1-methyl-6-phenyl-4*H*-[1,2,4]triazolo[4,3-*a*][1,4]benzodiazepine









Reference(s):

US 3 987 052 (Upjohn; 19.10.1976; appl. 29.10.1969; USA-prior. 17.3.1969).
US 3 980 789 (Upjohn; 14.9.1976; appl. 19.6.1972; USA-prior. 29.3.1971).
DE 1 955 349 (Takeda; D-prior. 4.11.1969).
GB 1 298 364 (Upjohn; GB-prior. 27.10.1969).

a DOS 2 203 782 (Upjohn; appl. 27.1.1972; USA-prior. 9.2.1971).
US 3 709 898 (Upjohn; 9.1.1973; prior. 9.2.1971).
US 3 781 289 (Upjohn; 25.12.1973; prior. 11.5.1972).

b DOS 2 012 190 (Upjohn; appl. 14.3.1970; USA-prior. 17.3.1969).

Formulation(s): tabl. 0.25 mg, 0.5 mg, 1 mg, 1 g

Trade Name(s):

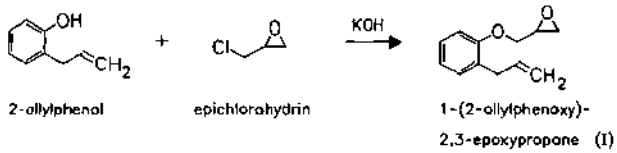
D:	Cassadan 0,25/0,5/1 (ASTA Medica AWD) Tafil 0,5/1,0 Tabletten (Pharmacia & Upjohn; 1984) Xanax (Pharmacia & Upjohn)	F:	Xanax (Upjohn; 1984)		Xanax (Upjohn; 1985)
		GB:	Xanax (Pharmacia & Upjohn; 1983)	J:	Constan (Takeda; 1984) Solanax (Upjohn-Sumitomo; 1984)
		I:	Frontal (UCM) Mialin (Biomedica Foscama) Valeans (Valeas)	USA:	Xanax (Pharmacia & Upjohn; 1981)

Alprenolol

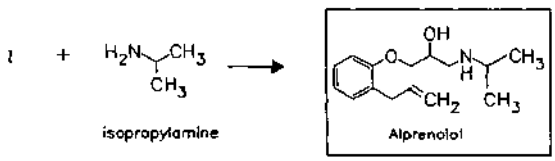
ATC: C07AA01
Use: beta blocking agent

RN: 13655-52-2 MF: $C_{15}H_{23}NO_2$ MW: 249.35 EINECS: 237-140-9
LD_{50}: 20 mg/kg (M, i.v.)
CN: 1-[(1-methylethyl)amino]-3-[2-(2-propenyl)phenoxy]-2-propanol

hydrochloride
RN: 13707-88-5 MF: $C_{15}H_{23}NO_2 \cdot HCl$ MW: 285.82 EINECS: 237-244-4
LD_{50}: 29 mg/kg (M, i.v.); 184 mg/kg (M, p.o.);
17 mg/kg (R, i.v.); 590 mg/kg (R, p.o.);
18 mg/kg (dog, i.v.); 383 mg/kg (dog, p.o.)



2-allylphenol epichlorohydrin 1-(2-allylphenoxy)-2,3-epoxypropane (I)



isopropylamine Alprenolol

Reference(s):
US 3 466 376 (AB Hässle; 9.9.1969; prior. 18.1.1966, 17.6.1966).
Brandström, A.: Acta Pharm. Suec. (APSXAS) **1966**, 303.

2-allylphenol *by rearrangement of* allyl phenyl ether:
DOS 2 746 002 (Firestone; appl. 13.10.1977; USA-prior. 18.10.1976).

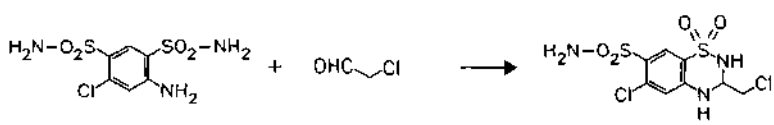
Formulation(s): cps. 10 mg, 20 mg, 40 mg, 50 mg; lyo. for inf. 42.6 mg; tabl. 200 mg

Trade Name(s):
D: Aptin-Duriles (Astra)
J: Apllobal (Fujisawa; as hydrochloride)

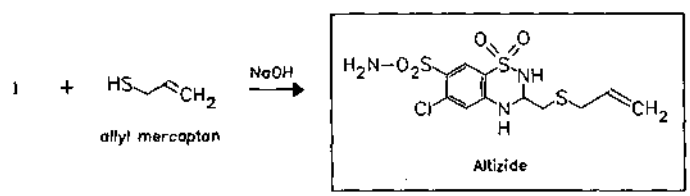
Altizide
(Althiazide)

ATC: C03EA01; C03EA04
Use: diuretic, antihypertensive

RN: 5588-16-9 MF: $C_{11}H_{14}ClN_3O_4S_3$ MW: 383.90 EINECS: 226-994-8
CN: 6-chloro-3,4-dihydro-3-[(2-propenylthio)methyl]-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



6-amino-4-chloro-benzene-1,3-disulfamide chloroacetoldehyde (I)



allyl mercaptan Altizide

Reference(s):
GB 902 658 (Pfizer; appl. 10.1.1961; USA-prior. 27.9.1960).

Formulation(s): cps. 0.25 mg, 0.5 mg; drops 1 mg; sol. 0.1 mg/ml; tabl. 0.25 mg, 0.5 mg, 1 mg, 2 mg

Trade Name(s):

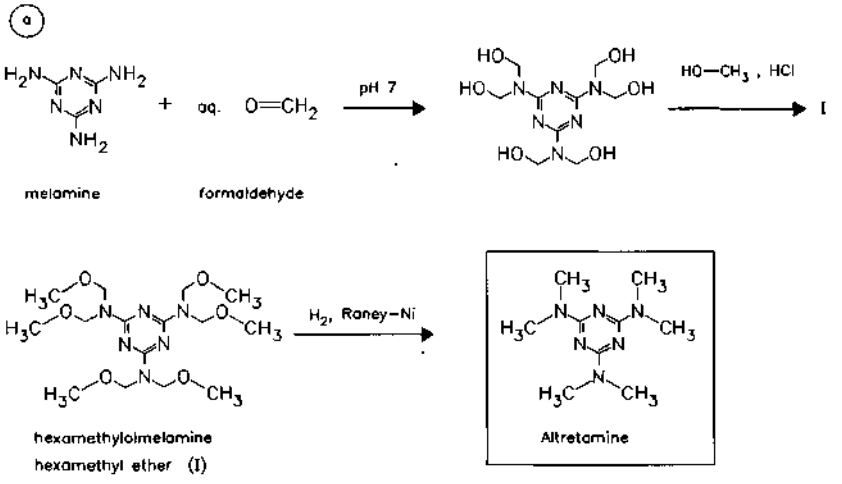
F:	Aldactazine (Monsanto)-comb.	Prinactizide (Dakota)-comb.	I:	Aldatense (SPA)-comb.; wfm
	Practazin (Cardel)-comb.	Spiroctazine (Boehringer Mannh.)-comb.	USA:	Aldactazide (Searle)-comb.; wfm

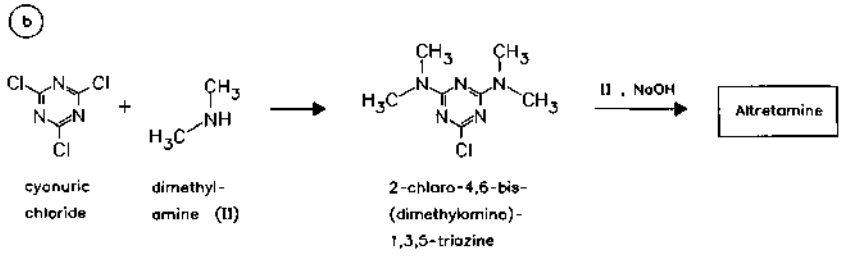
Altretamine
(Hexamethylmelamine)

ATC: L01XX03
Use: antineoplastic

RN: 645-05-6 MF: $C_9H_{18}N_6$ MW: 210.29 EINECS: 211-428-4
LD_{50}: 350 mg/kg (R, p.o.)
CN: *N,N,N',N',N'',N''*-hexamethyl-1,3,5-triazine-2,4,6-triamine

hydrochloride
RN: 15468-34-5 MF: $C_9H_{18}N_6 \cdot xHCl$ MW: unspecified
LD_{50}: 100 mg/kg (M, i.v.)





Reference(s):
a DE 1 240 870 (Cassella; appl. 17.11.1965).
b Gunduz, T.: Commun. Fac. Sci. Univ. Ankara, Ser. B: Chim. (CAKBA9) **15**, 69 (1968).
Cumber, A.J.; Ross, W.C.J.: Chem.-Biol. Interact. (CBINA8) **17**, 349 (1977).

synthesis of hexamethylolmelamine hexamethyl ether:
Gams, A. et al.: Helv. Chim. Acta (HCACAV) **24**, 302 (1941).
US 3 322 762 (Pittsburgh Plate Glass; 30.5.1967; prior. 27.2.1962; 8.4.1964).

Formulation(s): cps. 50 mg, 100 mg

Trade Name(s):

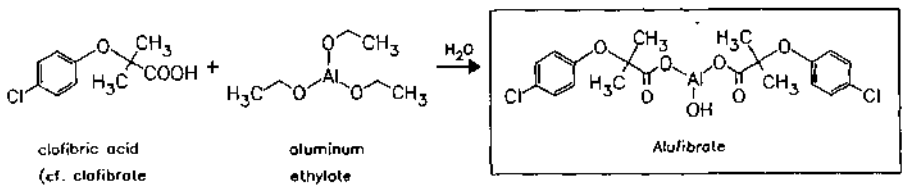
D:	Hexamethylmelamin (Rhône-Poulenc); wfm	F:	Hexastat (Roger Bellon); wfm	I:	Hexastat (Rhône-Poulenc Rorer)
		GB:	Hexalen (Speywood)	USA:	Hexalen (U.S. Bioscience)

Alufibrate

(Aluminium clofibrate)

ATC: C01AB03
Use: cholesterol depressant

RN: 24818-79-9 MF: $C_{20}H_{21}AlCl_2O_7$ MW: 471.27 EINECS: 246-477-0
CN: bis[2-(4-chlorophenoxy-κ*O*)-2-methylpropanoato-κ*O*]hydroxyaluminum



Reference(s):
GB 860 303 (ICI; appl. 20.6.1958).

Formulation(s): tabl. 500 mg

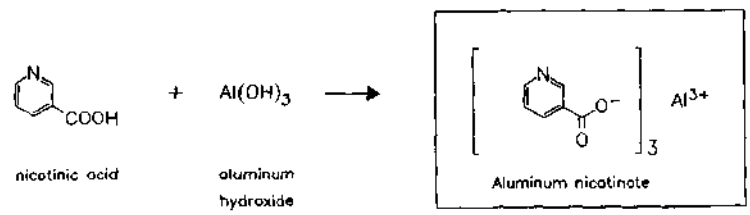
Trade Name(s):

D:	Atherolipin (Schwarz); wfm	F:	Athérolip (Millot-Solac); wfm

Aluminum nicotinate

ATC: C10AD04
Use: antihyperlipidemic, vasodilator (peripheral)

RN: 1976-28-9 MF: $C_{18}H_{12}AlN_3O_6$ MW: 393.29 EINECS: 217-832-7
CN: 3-pyridinecarboxylic acid aluminum salt



Reference(s):
US 2 970 082 (Walker Labs.; 31.1.1961; appl. 7.10.1958).

Formulation(s): tabl. 125 mg

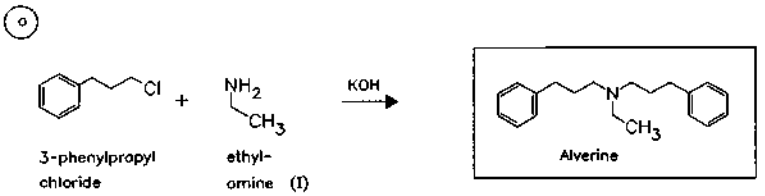
Trade Name(s):
USA: Nicalex (Merrell-National);
wfm

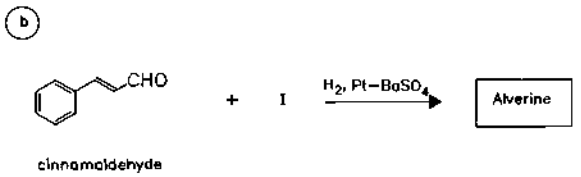
Alverine
(Dipropyline; Fenpropamine)

ATC: A03AX08
Use: antispasmodic

RN: 150-59-4 MF: $C_{20}H_{27}N$ MW: 281.44 EINECS: 205-763-5
CN: *N*-ethyl-*N*-(3-phenylpropyl)benzenepropanamine

citrate (1:1)
RN: 5560-59-8 MF: $C_{20}H_{27}N \cdot C_6H_8O_7$ MW: 473.57 EINECS: 226-929-3





Reference(s):
a Külz, F. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **72**, 2161 (1939).
b Stühmer, W.; Elbrächter, E.-A.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **287**, 139 (1954).

Formulation(s): inj. sol. 40 mg/2 ml; suppos. 80 mg; tabl. 40 mg

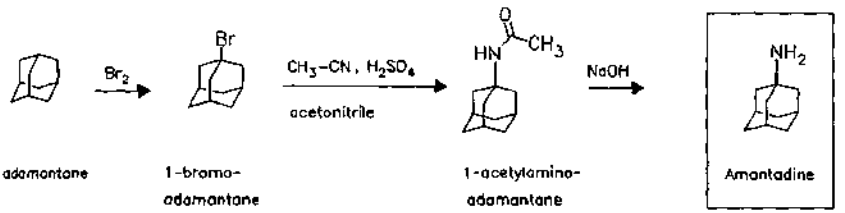
Trade Name(s):
D: Spasmocol (Norgine)-comb.; wfm
F: Hepatoum (Hepatoum)-comb.
Météospasmyl (Mayoly-Spindler)-comb.
Schoum comprimés (Pharmysiène)-comb.
Spasmavérine (Théraplix)
Spasmavérine suppos. (Théraplix)-comb.
GB: Alvercol (Norgine; as citrate)-comb.
Spasmonal (Norgine; as citrate)
I: Profenil (Ipti); wfm
Spasmaverine (Roger Bellon); wfm
USA: Spacolin (Philips Roxane); wfm

Amantadine

ATC: J05AC; N04BB01
Use: antiparkinsonian, antiviral

RN: 768-94-5 MF: $C_{10}H_{17}N$ MW: 151.25 EINECS: 212-201-2
LD_{50}: 700 mg/kg (M, p.o.);
900 mg/kg (R, p.o.)
CN: tricyclo[3.3.1.1^{3,7}]decan-1-amine

hydrochloride
RN: 665-66-7 MF: $C_{10}H_{17}N \cdot HCl$ MW: 187.71 EINECS: 211-560-2
LD_{50}: 95 mg/kg (M, i.v.); 700 mg/kg (M, p.o.);
90 mg/kg (R, i.v.); 800 mg/kg (R, p.o.);
37 mg/kg (dog, i.v.)
sulfate (2:1)
RN: 31377-23-8 MF: $C_{10}H_{17}N \cdot 1/2H_2SO_4$ MW: 400.58 EINECS: 250-604-5



Reference(s):
Stetter, H. et al.: Chem. Ber. (CHBEAM) **93**, 226 (1960).
US 3 310 469 (Du Pont; 21.3.1967; prior. 28.8.1961, 15.4.1963, 22.10.1963).

synthesis from adamantane, HCN *and* H_2SO_4:
US 3 152 180 (Studiengesellschaft Kohle; 6.10.1964, D-prior. 25.8.1960).

combination with molindone *(antidepressant):*
US 4 148 896 (Du Pont; 10.4.1979; appl. 22.2.1978).

Formulation(s): f. c. tabl. 100 mg, 150 mg; cps. 100 mg; amp. 200 mg/500 ml (as sulfate); syrup 50 mg/5 ml

Trade Name(s):

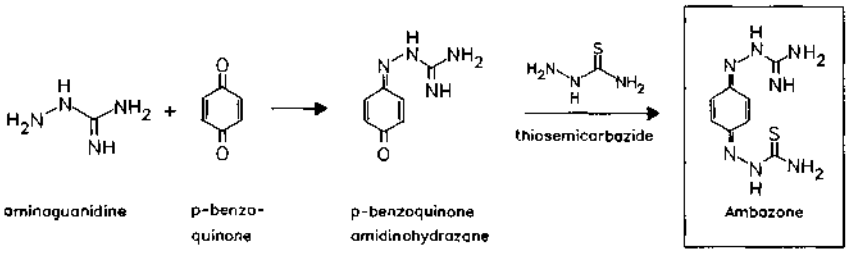
D:	Amantadin (ratiopharm) PK-Merz (Merz & Co.)	GB:	Symmetrel (Geigy; as hydrochloride)	J:	Symmetrel (Fujisawa-Novartis)
F:	Mantadix (Du Pont)	I:	Mantadan (Boehringer Ing.)	USA:	Symmetrel (Endo)

Ambazone

ATC: R02AA01
Use: antiseptic, disinfectant (oral and pharyngeal chemotherapeutic), antineoplastic

RN: 539-21-9 MF: $C_8H_{11}N_7S$ MW: 237.29 EINECS: 208-713-0
LD_{50}: 1 g/kg (M, p.o.);
750 mg/kg (R, p.o.)
CN: 2-[4-[(aminoiminomethyl)hydrazono]-2,5-cyclohexadien-1-ylidene]hydrazinecarbothioamide

monohydrate
RN: 6011-12-7 MF: $C_8H_{11}N_7S \cdot H_2O$ MW: 255.31



Reference(s):
DE 965 723 (Bayer; appl. 1953).

Formulation(s): tabl. 10 mg, 100 mg

Trade Name(s):

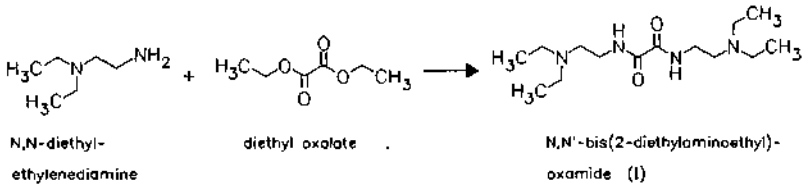
D:	Iversal (Bayer); wfm	GB:	Iversal (Bayer); wfm
F:	Iversal (Bayer); wfm	I:	Primar (Bayer); wfm

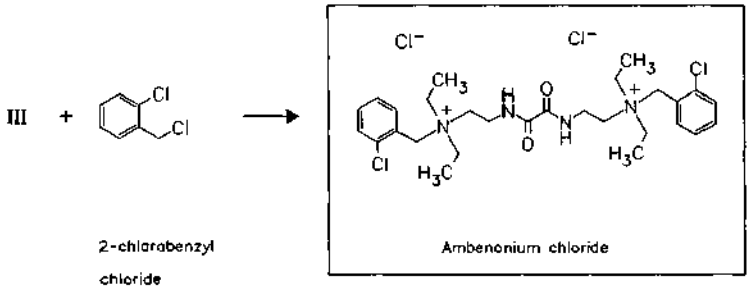
Ambenonium chloride

ATC: N07AA30
Use: cholinesterase inhibitor

RN: 115-79-7 MF: $C_{28}H_{42}Cl_4N_4O_2$ MW: 608.48 EINECS: 204-107-5
LD_{50}: 1510 g/kg (M, i.v.); 145 mg/kg (M, p.o.); 2720 g/kg (R, i.v.); 18.5 mg/kg (R, p.o.)
CN: *N,N'*-[(1,2-dioxo-1,2-ethanediyl)bis(imino-2,1-ethanediyl)]bis[2-chloro-*N,N*-diethylbenzenemethanaminium] dichloride

hydroxide
RN: 470-78-0 MF: $C_{28}H_{44}Cl_2N_4O_4$ MW: 571.59





Reference(s):
DE 1 024 517 (Sterling Drug; appl. 1954; USA-prior. 1953).
US 3 096 373 (Sterling Drug; 2.7.1963; appl. 1956).
Phillips, A.P.: J. Am. Chem. Soc. (JACSAT) **73**, 5822 (1951).

oxamide intermediate:
US 2 438 200 (Du Pont; 1948; appl. 1946).

Formulation(s): cps. 10 mg; tabl. 10 mg

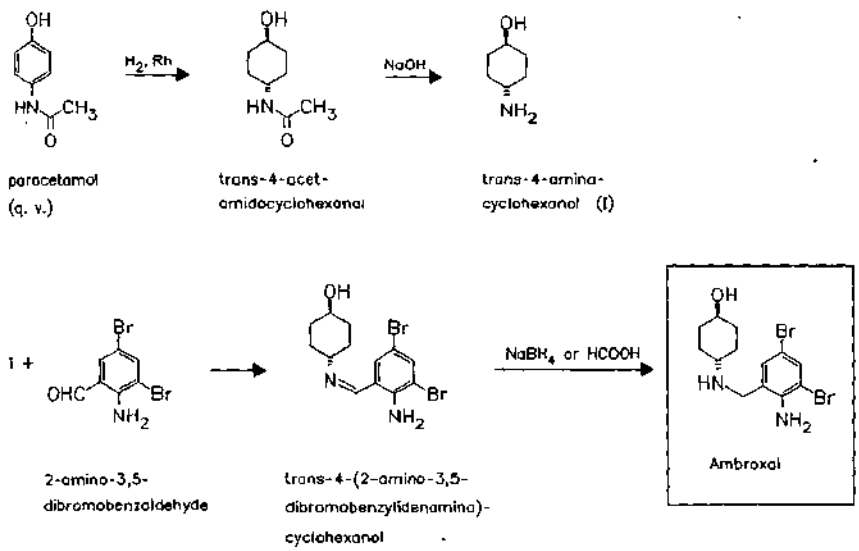
Trade Name(s):

D:	Mytelase Tabletten (Winthrop); wfm	GB:	Mytelase (Winthrop); wfm	J:	Mytelase (Winthrop-Nippon Shoji)
F:	Mytélase (Sanofi Winthrop)	I:	Mytelase (Winthrop); wfm	USA:	Mytelase (Winthrop); wfm

Ambroxol

ATC: R05CB
Use: expectorant

RN: 18683-91-5 MF: $C_{13}H_{18}Br_2N_2O$ MW: 378.11 EINECS: 242-500-3
LD_{50}: 138 mg/kg (M, i.v.); 2720 mg/kg (M, p.o.); 13.4 g/kg (R, p.o.)
CN: *trans*-4-{[(2-amino-3,5-dibromophenyl)methyl]amino}cyclohexanol



Reference(s):
GB 1 178 034 (Boehringer Ingelh.; appl. 10.5.1967; D-prior. 10.5.1966).
US 3 536 713 (Boehringer Ingelh.; 27.10.1970; appl. 10.5.1967; S-prior. 10.5.1966).
DE 1 593 579 (Thomae; appl. 10.5.1966).
DOS 2 218 647 (Thomae; appl. 18.4.1972).
DOS 2 223 193 (Thomae; appl. 12.5.1972).
Keck, J.: Justus Liebigs Ann. Chem. (JLACBF) **707**, 107 (1967).

Formulation(s): amp. 15 mg; cps. 75 mg; drops 7.5 mg, 30 mg; eff. tabl. 30 mg, 60 mg; f. c. tabl. 30 mg, 60 mg; inhalation sol. 7,5 mg; inj. 1000 mg; syrup 15 mg, 30 mg; tabl. 30 mg, 60 mg (as hydrochloride)

Trade Name(s):

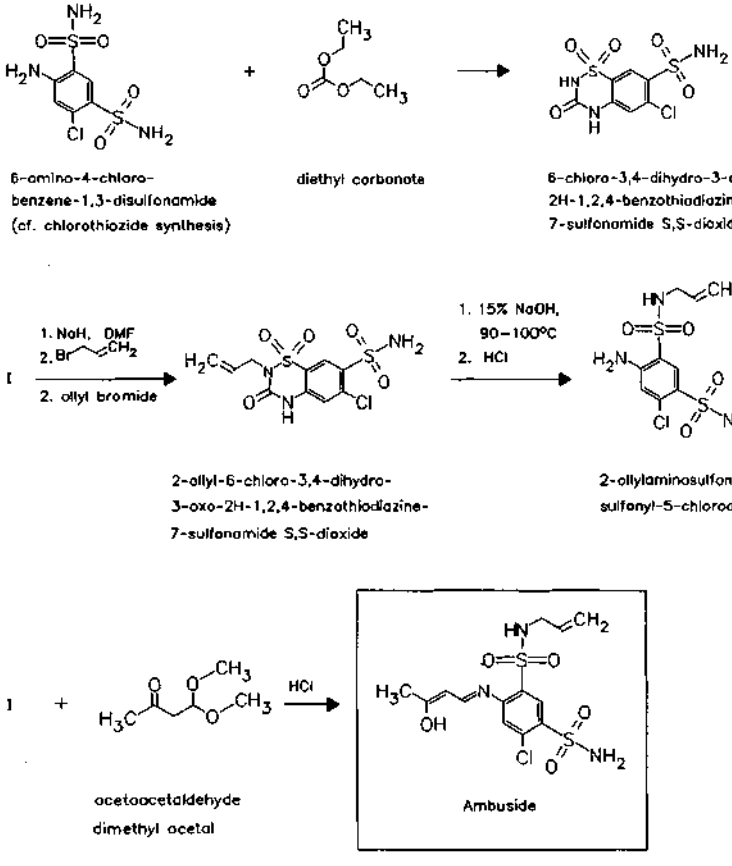
D: Ambril (Glaxo Wellcome)
Bronchopront (Mack, Illert.)
duramucal (durachemie)
frenopect (Hefa Pharma)
Lindoxyl (Lindopharm)
Mucoclear (Mundipharma)
Mucophlogat (Azuchemie)
Mucosolvan (Boehringer Ing.; 1979)
Mucotablin-Tropfen (Sanorania)

Mucotectan (Boehringer Ing.)-comb.
stas-Hustenlöser (Stada)
I: Amobronc (Ist. Chim. Inter.)
Atus (Metapharma)
Broxol (Pulitzer)
Fluibron (Chiesi)
Fluixol (Ripari-Gero)
Lisopulm (Esseti)
Muciclar (Piam)
Mucobron (OFF)
Mucosolvan (Boehringer Ing.; 1982)
Secretil (Caber)
Surfacatal (Boehringer Ing.)
Tauxolo (SIT)
Viscomucil (ABC-Torino)
J: Mucosolvan (Teijin; 1984)

Ambuside

ATC: C02L
Use: diuretic, antihypertensive

RN: 3754-19-6 MF: $C_{13}H_{16}ClN_3O_5S_2$ MW: 393.87 EINECS: 223-158-4
CN: 4-chloro-6-[(3-hydroxy-2-butenylidene)amino]-N^1-2-propenyl-1,3-benzenedisulfonamide



Reference(s):
US 3 188 329 (Colgate-Palmolive; 8.6.1965; appl. 10.4.1962).

intermediates:
Close, W.J. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 1132 (1960).

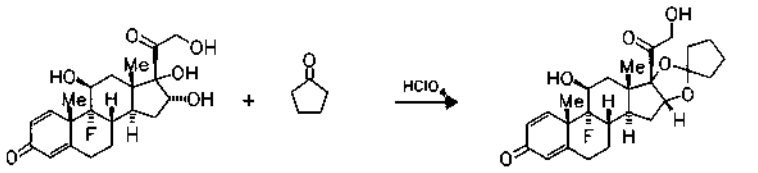
Trade Name(s):
F: Hydrion (Robert et Carrière); wfm

Amcinonide

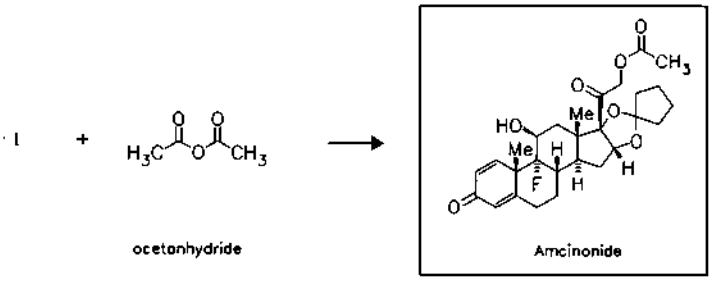
(Triamcinolone acetate cyclopentanoide)

ATC: D07AC11; H02AB
Use: topical glucocorticoid

RN: 51022-69-6 MF: $C_{28}H_{35}FO_7$ MW: 502.58 EINECS: 256-915-2
LD_{50}: >5 g/kg (M, p.o.);
>2 g/kg (R, p.o.)
CN: (11β,16α)-21-(acetyloxy)-16,17-[cyclopentylidenebis(oxy)]-9-fluoro-11-hydroxypregna-1,4-diene-3,20-dione



triomcinolone (q. v.) + cyclopentanone → triomcinolone cyclopentanonide (I)



I + acetanhydride → Amcinonide

Reference(s):
GB 1 442 925 (American Cyanamid; USA-prior. 17.8.1973).
DOS 2 437 847 (American Cyanamid; appl. 6.8.1974; USA-prior. 17.8.1973).
BE 818 929 (American Cyanamid; appl. 16.8.1974; USA-prior. 17.8.1973).
US 4 158 055 (American Cyanamid; 12.6.1979; USA-prior. 6.6.1975).

Formulation(s): cream 0.1 %; lotion 0.1 %; ointment 0.1 %

Trade Name(s):

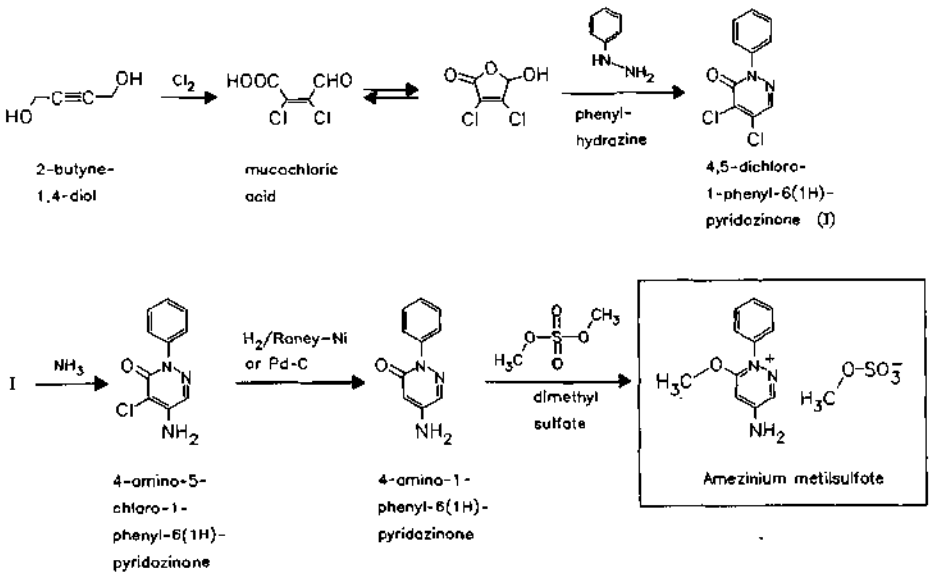
D:	Amciderm (Hermal/Merck; 1985)		Penticort Neomycine (Wyeth-Lederle)-comb.	USA:	Cyclocort (Fujisawa; 1979)
F:	Penticort (Wyeth-Lederle; 1980)	I:	Amcinil (Crosara)		
		J:	Visderm (Lederle; 1982)		

Amezinium metilsulfate

ATC: C01CA00
Use: selective noradrenergic antihypotensive

RN: 30578-37-1 MF: $C_{11}H_{12}N_3O \cdot CH_3O_4S$ MW: 313.33 EINECS: 250-248-0
LD_{50}: 28 mg/kg (M, i.v.); 1330 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 1410 mg/kg (R, p.o.);
60 mg/kg (dog, i.v.); 100 mg/kg (dog, p.o.)
CN: 4-amino-6-methoxy-1-phenylpyridazinium methyl sulfate

chloride
RN: 51410-15-2 MF: $C_{11}H_{12}ClN_3O$ MW: 237.69



Reference(s):
Reicheneder, F. et al.: Arzneim.-Forsch. (ARZNAD) **31** (II), 1529 (1981).
DE 1 912 941 (BASF; appl. 14.3.1969).
DOS 2 139 687 (BASF; appl. 7.8.1971).
DOS 2 211 662 (BASF; appl. 10.3.1972).
DOS 3 114 496 (BASF; appl. 10.4.1981).
EP 63 267 (BASF; appl. 31.3.1982; D-prior. 10.4.1981).

precursors:
DE 2 100 685 (BASF; appl. 8.1.1971).

Formulation(s): amp. 5 mg; tabl. 10 mg

Trade Name(s):
D: Regulton (Knoll) Supratonin (Grünenthal)

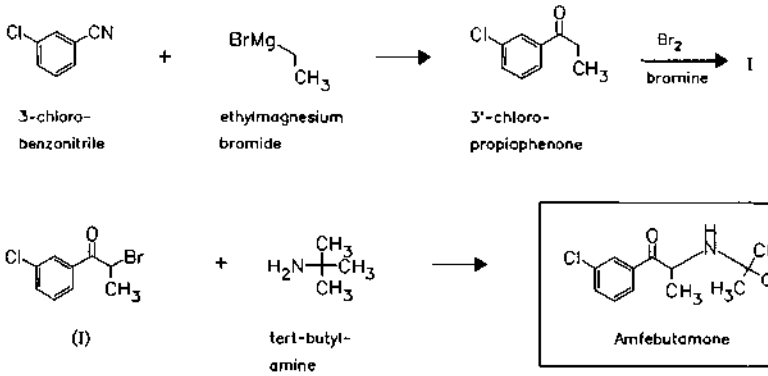
Amfebutamone

(Bupropion)

ATC: N06AE
Use: antidepressant

RN: 34911-55-2 MF: $C_{13}H_{18}ClNO$ MW: 239.75
LD_{50}: 544 mg/kg (M, p.o.)
CN: (±)-1-(3-chlorophenyl)-2-[(1,1-dimethylethyl)amino]-1-propanone

hydrochloride
RN: 31677-93-7 MF: $C_{13}H_{18}ClNO \cdot HCl$ MW: 276.21 EINECS: 250-759-9
LD_{50}: 230 mg/kg (M, i.p.); 575 mg/kg (M, p.o.);
210 mg/kg (R, i.p.); 600 mg/kg (R, p.o.)



Reference(s):
DOS 2 059 618 (Wellcome; appl. 3.12.1970; GB-prior. 4.12.1969).
DOS 2 064 934 (Wellcome; appl. 3.12.1970; GB-prior. 4.12.1969).
CA 977 778 (Wellcome; appl. 15.11.1970).

Formulation(s): s. r. tabl. 100 mg, 150 mg (as hydrochloride); tabl. 75 mg, 100 mg

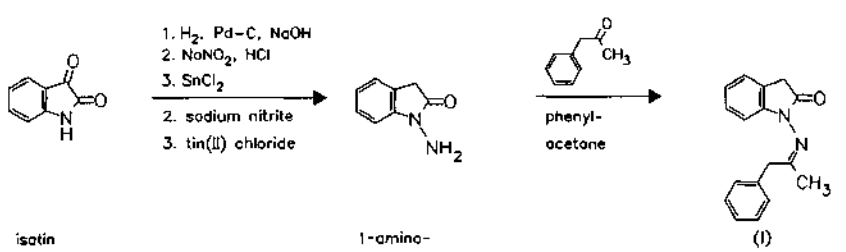
Trade Name(s):
USA: Wellbutrin (Glaxo Wellcome) Zyban (Glaxo Wellcome)

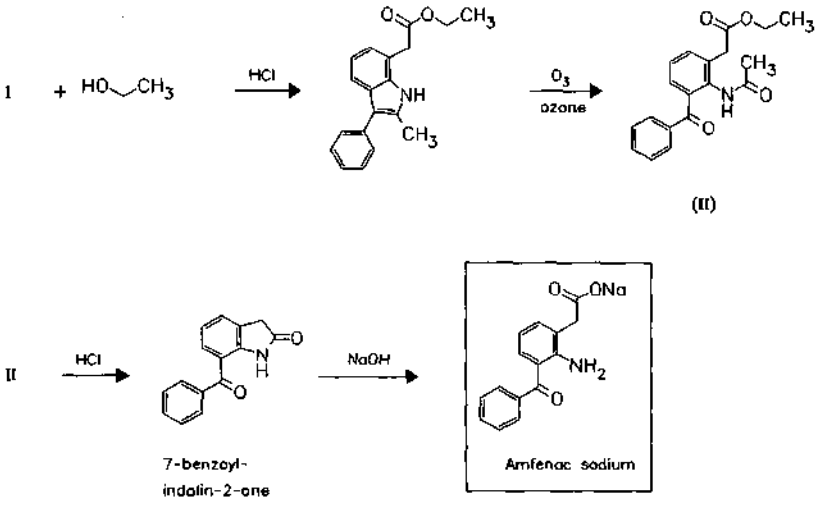
Amfenac sodium

ATC: M01AB
Use: non-steroidal anti-inflammatory, analgesic

RN: 61941-56-8 MF: $C_{15}H_{12}NNaO_3$ MW: 277.26
LD_{50}: 550 mg/kg (M, i.v.); 615 mg/kg (M, p.o.);
277 mg/kg (R, i.v.); 311 mg/kg (R, p.o.)
CN: 2-amino-3-benzoylbenzeneacetic acid monosodium salt

monohydrate
RN: 61618-27-7 MF: $C_{15}H_{12}NNaO_3 \cdot H_2O$ MW: 295.27
amfenac
RN: 51579-82-9 MF: $C_{15}H_{13}NO_3$ MW: 255.27
LD_{50}: 615 mg/kg (M, p.o.);
311 mg/kg (R, p.o.)





Reference(s):
DOS 2 324 768 (Robins; appl. 16.5.1973; USA-prior. 17.5.1972).
US 4 045 576 (Robins; USA-prior. 17.5.1972)
Welstead, W.J. et al.: J. Med. Chem. (JMCMAR) **22**, 1074 (1979).

1-aminoindolin-2-one:
Lora Tamayo, M. et al.: Org. Prep. Proced. Int. (OPPIAK) **8**, 45 (1976).

Formulation(s): tabl. 5 mg

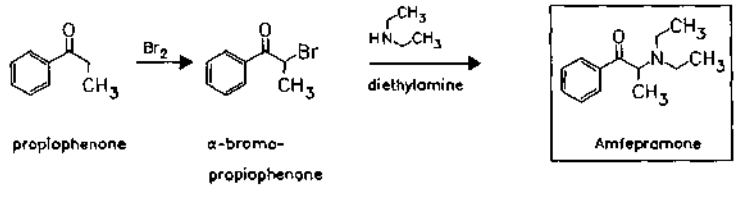
Trade Name(s):
J: Fenazox (Meiji Seika)

Amfepramone
(Diethylpropion)

ATC: A08AA03
Use: appetite depressant

RN: 90-84-6 MF: $C_{13}H_{19}NO$ MW: 205.30 EINECS: 202-019-1
LD_{50}: 160 mg/kg (M, p.o.);
>400 mg/kg (R, p.o.)
CN: 2-(diethylamino)-1-phenyl-1-propanone

hydrochloride
RN: 134-80-5 MF: $C_{13}H_{19}NO \cdot HCl$ MW: 241.76 EINECS: 205-156-5
LD_{50}: 50 mg/kg (M, i.v.); 385 mg/kg (M, p.o.);
400 mg/kg (R, p.o.)



Reference(s):
US 3 001 910 (Temmler-Werke; 26.9.1961; D-prior. 16.4.1958).

Formulation(s): cps. 25 mg, 75 mg; s. r. cps. 375 mg; s. r. tabl. 75 mg; tabl. 25 mg, 75 mg

Trade Name(s):

D:	Regenon retard (Temmler) Tenuate (Synomed)	GB:	Apisate (Wyeth)-comb.; wfm Tenuate (Merrell); wfm Tenuate Dospan (Merrell); wfm	USA:	Tenuate (Merrell-National); wfm Tepanil (Riker); wfm
F:	Modératan (Théranol-Deglaude) Préfamone (Dexo) Tenuate-Dospan (Marion Merrell)	I:	Linea Valeas (Valeas) Tenuate Dospan (Lepetit)		

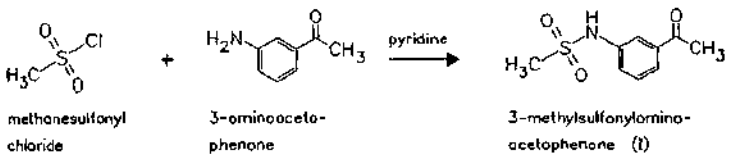
Amidephrine mesilate

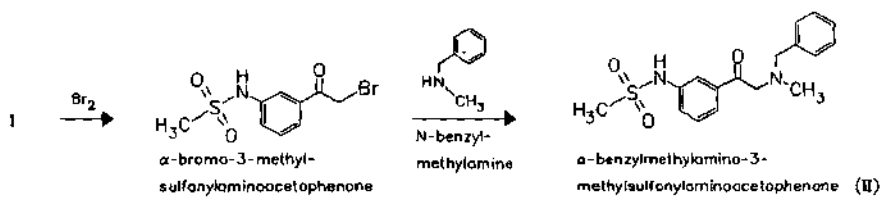
(Amidefrine mesilate)

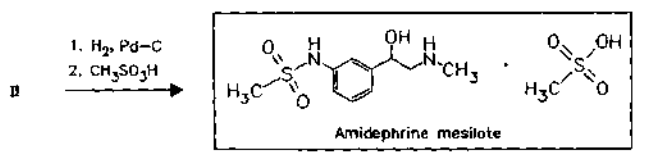
ATC: R03A
Use: rhinological therapeutic, vasoconstrictor, sympathomimetic

RN: 1421-68-7 MF: $C_{10}H_{16}N_2O_3S \cdot CH_4O_3S$ MW: 340.42
LD_{50}: 190 mg/kg (M, i.v.); 2284 mg/kg (M, p.o.);
13 mg/kg (R, p.o);
1400 g/kg (dog, i.v.)
CN: (+)-*N*-[3-[1-hydroxy-2-(methylamino)ethyl]phenyl]methanesulfonamide monomethanesulfonate

amidephrine
RN: 37571-84-9 MF: $C_{10}H_{16}N_2O_3S$ MW: 244.32







Reference(s):
FR-M 3 027 (Mead Johnson; appl. 23.1.1963; USA-prior. 24.1.1962, 14.12.1962).

Formulation(s): sol. 0.1 %

Trade Name(s):
GB: Dricol (Bristol); wfm

Amidotrizoic acid

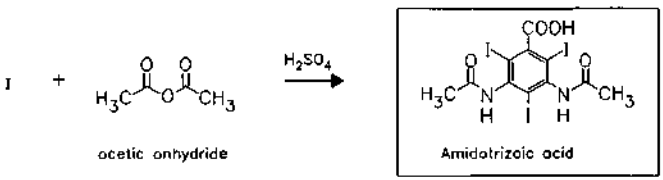
(Diatrizoic acid)

ATC: V08AA01
Use: X-ray contrast medium

RN: 117-96-4 MF: $C_{11}H_9I_3N_2O_4$ MW: 613.92 EINECS: 204-223-6
LD_{50}: 8900 mg/kg (M, i.v.);
>12.3 g/kg (R, i.v.)
CN: 3,5-bis(acetylamino)-2,4,6-triiodobenzoic acid

monosodium salt
RN: 737-31-5 MF: $C_{11}H_8I_3N_2NaO_4$ MW: 635.90 EINECS: 212-004-1
LD_{50}: 14 g/kg (M, i.v.); >7 g/kg (M,R, p.o.);
11.4 g/kg (R, i.v.);
13.2 g/kg (dog, i.v.)
meglumine salt
RN: 8064-12-8 MF: $C_{11}H_8I_3N_2NaO_4 \cdot C_{11}H_9I_3N_2O_4 \cdot C_7H_{17}NO_5$ MW: 1445.03
LD_{50}: 11.5 g/kg (M, i.v.);
29.2 mg/kg (R, i.v.)

3,5-dinitrobenzoic acid — 3,5-diaminobenzoic acid — 3,5-diamino-2,4,6-triiodobenzoic acid (I)



acetic anhydride — Amidotrizoic acid

Reference(s):
Larsen, A.A. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 3210 (1956).
GB 748 319 (Schering AG; appl. 1954; D-prior. 1953).
GB 782 313 (Mallinckrodt; appl. 1955; USA-prior. 1954).
US 3 076 024 (Sterling Drug; 29.1.1963; appl. 19.2.1954).
DE 1 260 477 (Schering AG; appl. 1954; USA-prior. 1953).

salts with amino acids:
DAS 2 261 584 (Dr. F. Köhler Chemie; appl. 15.12.1972).

Formulation(s): amp. 0.65 g/ml; inj. sol. 31 %-73 %

Trade Name(s):

D: Angiografin (Schering)
Gastrografin (Schering)
Peritrast (Köhler; as lysine salt)
Urografin (Schering)
Urovison (Schering)

F: Angiografine (Schering)
Gastrografine (Schering)
Radiosélectan (Schering)

GB: Gastrografin (Schering Chemicals); wfm
Hypaque (Winthrop); wfm
Urografin (Schering Chemicals); wfm

I: Gastrografin (Schering)-comb.
Selectografin (Schering)-comb.

J: Urografin (Schering-Nichidoku Yakuhin)

USA: Cardiografin (Squibb); wfm
Cystografin (Squibb); wfm
Gastrografin (Squibb); wfm
Hypaque-Cysto (Winthrop); wfm
Hypaque-Diu (Winthrop); wfm

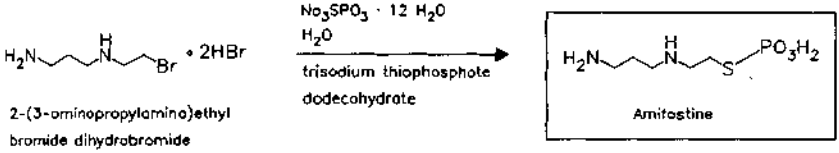
Hypaque Sodium (Winthrop); wfm
Meglumine Diatrizoate (Squibb); wfm
Reno-M-30 (Squibb); wfm
Reno-M-60 (Squibb); wfm
Reno-M-DIP (Squibb); wfm
Renovist (Squibb); wfm
Sinografin (Squibb)-comb. with adipiodon; wfm

Amifostine

(Ethiophos; Gammaphos; NSC-296961; WR 2721)

ATC: V03AF05
Use: mucolytic agent, radioprotector, reduction of cisplatin induced renal toxicity

RN: 20537-88-6 MF: $C_5H_{15}N_2O_3PS$ MW: 214.23
LD_{50}: 557 mg/kg (M, i.v.); 842 mg/kg (M, p.o.); 826 mg/kg (R, p.o.)
CN: 2-[(3-aminopropyl)amino]ethanethiol dihydrogen phosphate (ester)



Reference(s):
DD 289 448 (Amt für Atomsicherheit; appl. 29.7.1982; DDR-prior. 29.7.1982).
DD 289 449 (Amt für Atomsicherheit; appl. 29.7.1983; DDR-prior. 29.7.1983).

composition having improved stability:
WO 9 403 179 (US Bioscience; appl. 30.7.1993; USA-prior. 31.7.1992).

preparation of monohydrate:
JP 54 046 722 (Yamanouchi; appl. 12.4.1979; J-prior. 21.9.1977).

preparation via 2-(3-aminopropylamino)ethyl bromide:
SU 751 030 (Kortun; 30.6.1981; SU-prior. 4.1.1979).

use for protection during radio- and chemotherapy:
US 5 298 499 (Res. Triangle Inst.; appl. 5.7.1991; USA-prior. 5.7.1991).
WO 8 907 942 (US Bioscience; appl. 21.2.1989; USA-prior. 23.2.1988).
US 5 167 947 (Southwest Res. Inst.; appl. 26.10.1989; USA-prior. 26.10.1989).
US 3 892 824 (Southern Res. Inst.; appl. 16.12.1988; USA-prior. 16.12.1988).

use for reducing side effects with azidothymidine:
WO 9 014 007 (US Bioscience; appl. 9.5.1990; USA-prior. 24.5.1989).

use for prevention of cytostatic alopecia:
DE 3 509 071 (ASTA-Werke; appl. 14.3.1985; D-prior. 29.3.1984).

Formulation(s): amp. 500 mg; vial 500 mg dry substance for inj.

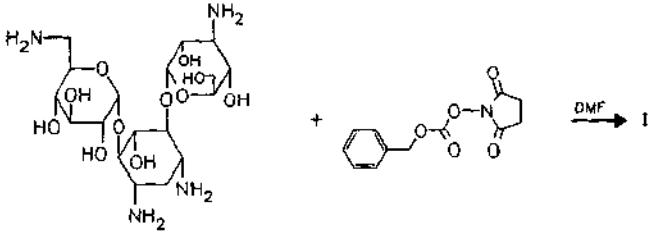
Trade Name(s):
D: Ethyol (Essex Pharma; 1995)
GB: Ethyol (Schering-Plough)

Amikacin

ATC: D06AX12; J01GB06; S01AA21
Use: aminoglycoside antibiotic

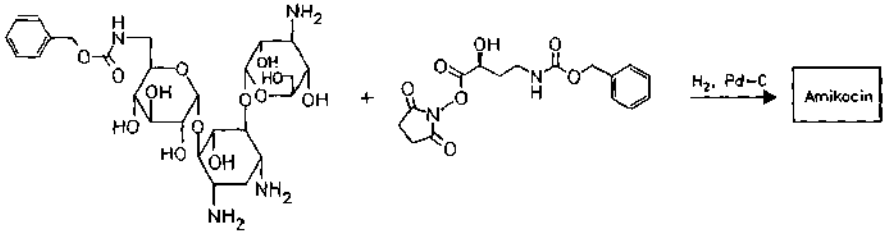
RN: 37517-28-5 MF: $C_{22}H_{43}N_5O_{13}$ MW: 585.61 EINECS: 253-538-5
LD_{50}: 280 mg/kg (M, i.v.); >6 g/kg (M, p.o.)
CN: (*S*)-*O*-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-*O*-[6-amino-6-deoxy-α-D-glucopyranosyl-(1→4)]-N^1-(4-amino-2-hydroxy-1-oxobutyl)-2-deoxy-D-streptamine

sulfate (1:2)
RN: 39831-55-5 MF: $C_{22}H_{43}N_5O_{13} \cdot 2H_2SO_4$ MW: 781.76 EINECS: 254-648-6
LD_{50}: 181 mg/kg (M, i.v.); >10.679 g/kg (M, p.o.);
234 mg/kg (R, i.v.); >4 g/kg (R, p.o.);
383 mg/kg (dog, i.v.)



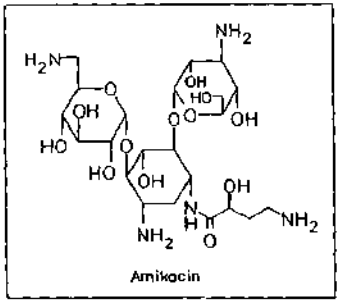
kanamycin A (q. v.)

N-(benzyloxycarbonyloxy)succinimide



N^6-(benzyloxycarbonyl)kanamycin A (I)

L(-)-γ-benzyloxycarbonylamino-α-hydroxybutyric acid succinimido ester



Reference(s):
GB 1 401 221 (Bristol Myers; appl. 13.7.1972; USA-prior. 13.7.1971).
DE 2 234 315 (Bristol-Myers; appl. 12.7.1972; USA-prior. 27.1.1972, 13.7.1971).
US 3 781 268 (Bristol-Myers; 25.12.1973; prior. 27.1.1972, 13.7.1971).
Kawaguchi, H. et al.: J. Antibiot. (JANTAJ) **25**, 695 (1972).

alternative syntheses:
NL 7 401 517 (Bristol-Myers; appl. 4.2.1974; USA-prior. 7.2.1973).
NL 7 414 668 (Bristol-Myers; appl. 11.11.1974; USA-prior. 14.11.1973, 23.5.1974).
US 3 974 137 (Bristol-Myers; 10.8.1976; prior. 23.5.1974).
DOS 2 432 644 (Takeda; appl. 8.7.1974; J-prior. 12.7.1973).
DOS 2 716 533 (Pfizer; appl. 14.4.1977; GB-prior. 14.4.1976).
DOS 2 818 822 (Bristol-Myers; appl. 28.4.1978; USA-prior. 28.4.1977, 20.3.1978).
DOS 2 818 992 (Bristol-Myers; appl. 28.4.1978; USA-prior. 28.4.1977; 20.3.1978).

disulfate pentahydrate:
FR 2 308 373 (Bristol-Myers; appl. 22.3.1976; USA-prior. 23.4.1975).

review:
Kawaguchi, H.; Hiroshi: Drug Action Drug Resist. Bact. (DADRBY) **2**, 45 (1975).

Formulation(s): cream 2.5 %, 5 %; eye drops 0.3 %, 0.5 %; gel 5.5; vial 100 mg/2 ml, 250 mg/2 ml, 500 mg/2 ml

Trade Name(s):

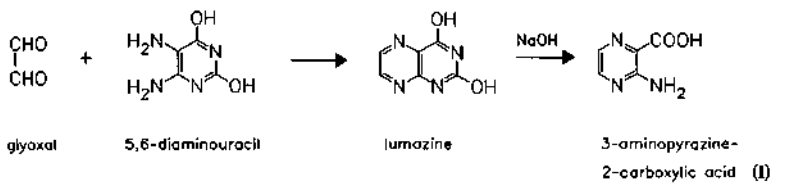
D: Biklin (Bristol-Myers Squibb; 1976)
F: Amiklin (Bristol-Myers Squibb)
GB: Amikin (Bristol-Myers Squibb; 1976)
I: Amicasil (Biotekfarma)
Bb-k8 (Bristol; 1978)
Chemacin (CT)
Likacin (Lisapharma; 1981)
Lukadin (San Carlo)
Migracin (SmithKline Beecham)
Mikavir (Salus Research; 1986)
Pierami (Pierrel; 1980)
Sifamic (SIFI)
J: Amikacin Sulfate (Banyu)
Biklin (Banyu-Bristol-Myers Squibb)
USA: Amikin (BMS; 1976)

Amiloride

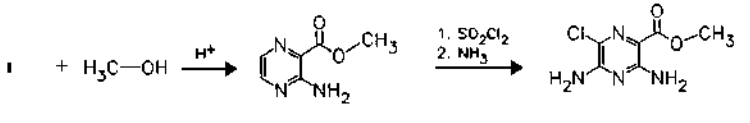
ATC: C03DB01
Use: diuretic, antihypertonic

RN: 2609-46-3 MF: $C_6H_8ClN_7O$ MW: 229.63 EINECS: 220-024-7
CN: 3,5-diamino-*N*-(aminoiminomethyl)-6-chloropyrazinecarboxamide

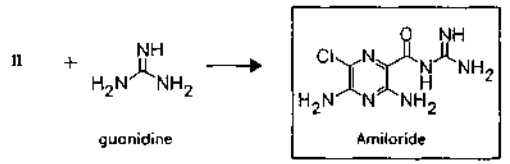
monohydrochloride
RN: 2016-88-8 MF: $C_6H_8ClN_7O \cdot HCl$ MW: 266.09 EINECS: 217-958-2



glyoxal 5,6-diaminouracil lumazine 3-aminopyrazine-2-carboxylic acid (I)



methanol methyl 3-amino-pyrazine-2-carboxylate methyl 6-chloro-3,5-diaminopyrazine-2-carboxylate (II)



Reference(s):
DE 1 470 053 (Mercle & Co.; appl. 28.10.1963; USA-prior. 30.10.1962).
US 3 313 813 (Merck & Co.; 11.4.1967; prior. 30.10.1962, 7.10.1963).
GB 1 066 855 (Merck & Co.; appl. 24.10.1963; USA-prior. 30.10.1962, 7.10.1963).
Bicking, J.B. et al.: J. Med. Chem. (JMCMAR) **8**, 638 (1965).
Cragoe, E.J. et al.: J. Med. Chem. (JMCMAR) **10**, 66 (1967).

improved method for 5,6-diaminouracil:
DOS 2 831 037 (Lonza; appl. 14.7.1978; CH-prior. 20.7.1977).

combination with etacrynic acid:
US 3 781 430 (Merck & Co.; 25.12.1973; prior. 30.10.1962, 7.10.1963, 7.2.1966, 18.2.1969, 21.12.1971).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg in comb. with hydrochlorothiazide (as hydrochloride)

Trade Name(s):

D: Amiduret (Trommsdorff; 1985)-comb.
Diaphal (Pierre Fabre Pharma)-comb.
Diursan (TAD)-comb.
Esmalorid (Merck)-comb.
Moducrin (MSD; 1978)-comb.
Moduretik, -mite (Du Pont Pharma; 1973)-comb.
Rhefluin, -mite (Kytta-Siegfried)-comb.

F: Logiréne (Pharmacia & Upjohn SA)-comb.
Modamide (Merck Sharp & Dohme; 1973)
Moducren (Merck Sharp & Dohme-Chibret; 1979)-comb.
Modurétic (Merck Sharp & Dohme; 1973)-comb.

GB: Amilco (Baker Norton; 1983)-comb. with hydrochlorothiazole
Burinex A (Leo)-comb.
FruCo (Baker Norton)-comb.
Frumil (Rhône-Poulenc Rorer; 1983)-comb.
Kalten (Zeneca; 1985)-comb.
Lasoride (Hoechst; 1987)-comb.
Moducren (Morson; 1981)-comb.
Moduret-25 (Du Pont; 1984)-comb.
Moduretic (Du Pont; 1970)
Navispare (Novartis)-comb.

I: Moduretic (Merck Sharp & Dohme; 1975)-comb.

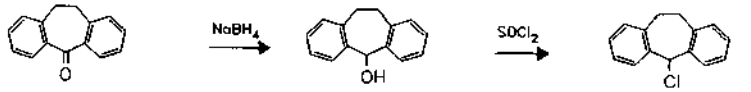
USA: Midamor (Merck Sharp & Dohme; 1981)
Moduretic (Merck Sharp & Dohme; 1981)-comb.

Amineptine

ATC: N06AA19
Use: psychoanaleptic, CNS stimulant

RN: 57574-09-1 MF: $C_{22}H_{27}NO_2$ MW: 337.46 EINECS: 260-818-0
LD_{50}: 115 mg/kg (M, i.p.)
CN: 7-[(10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-yl)amino]heptanoic acid

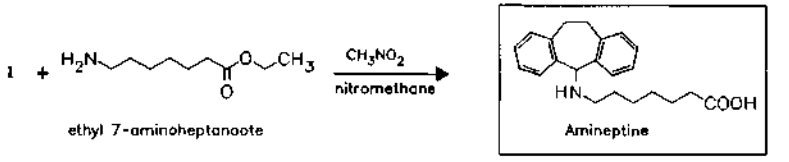
hydrochloride
RN: 30272-08-3 MF: $C_{22}H_{27}NO_2 \cdot HCl$ MW: 373.92 EINECS: 250-107-3
LD_{50}: 405 mg/kg (M, p.o.)



5-oxo-10,11-dihydro-5H-dibenzo[a,d]cycloheptene

5-hydroxy-10,11-dihydro-5H-dibenzo[a,d]cycloheptene

5-chloro-10,11-dihydro-5H-dibenzo[a,d]cycloheptene (I)



ethyl 7-aminoheptanoate

Amineptine

Reference(s):
DOS 2 011 806 (Science Union; appl. 12.3.1970; GB-P. 27.3.1969).
US 3 758 528 (Science Union; 11.9.1973; appl. 13.3.1970).
US 3 821 249 (Science Union; 28.6.1974; prior. 13.3.1970, 30.10.1972).

Formulation(s): tabl. 100 mg (as hydrochloride)

Trade Name(s):

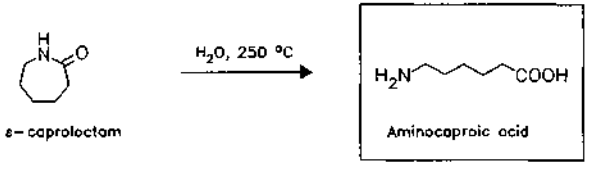
F:	Survector (Euthérapic; 1978); wfm 1999	I:	Maneon (Poli; 1983) Survector (Stroder; 1983)

Aminocaproic acid

(Acide aminocaproique; Epsilcapramin)

ATC: B02AA01
Use: antifibrinolytic, plasmin inhibitor

RN: 60-32-2 MF: $C_6H_{13}NO_2$ MW: 131.18 EINECS: 200-469-3
LD_{50}: 4900 mg/kg (M, i.v.); 14.3 g/kg (M, p.o.);
3300 mg/kg (R, i.v.);
>7 g/kg (dog, p.o.)
CN: 6-aminohexanoic acid



ε-caprolactam

Aminocaproic acid

Reference(s):
US 2 453 234 (American Enka Corp.; 1948; NL-prior. 1946).

Formulation(s): inj. flask 250 mg/ml; syrup 25 %; tabl. 500 mg

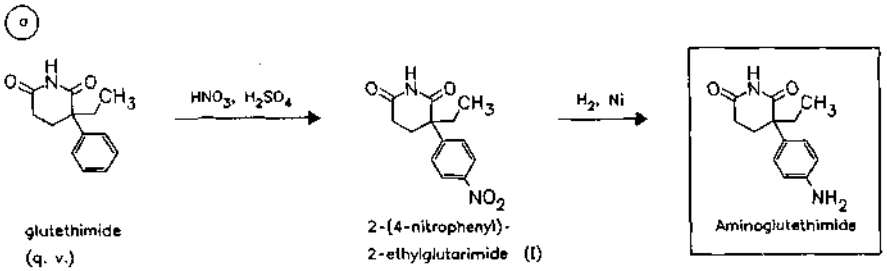
Trade Name(s):

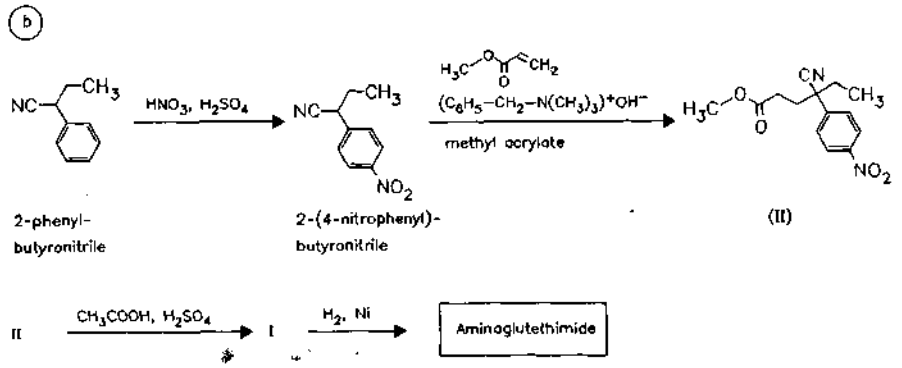
D:	Epsilon-Aminocapronsäure "Roche" (Roche); wfm Epsilon-Tachostypan (Hormon-Chemie)-comb.; wfm	F: I: J:	Hexalense (Leurquin) Caprolisin (Malesci) Capusumine (Nichiiko) Hemotin (Hokuriku) Ipsilon (Daiichi)	USA:	Resplamin (Kyorin) Amicar (Immunex)

Aminoglutethimide

ATC: J04AA01
Use: antineoplastic (aromatase inhibitor)

RN: 125-84-8 MF: $C_{13}H_{16}N_2O_2$ MW: 232.28 EINECS: 204-756-4
LD_{50}: 625 mg/kg (M, i.p.)
CN: 3-(4-aminophenyl)-3-ethyl-2,6-piperidinedione





Reference(s):
US 2 848 455 (Ciba; 1958; CH-prior. 1955).

racemate resolution:
Finch, N. et al.: Experientia (EXPEAM) **31**, 1002 (1975).

Formulation(s): tabl. 250 mg

Trade Name(s):

D:	Orimeten (Novartis Pharma) Rodazol (Novartis Pharma)	F: GB: I:	Orimétène (Novartis) Orimeten (Novartis) Orimeten (Novartis)	USA:	Cytadren (Novartis)

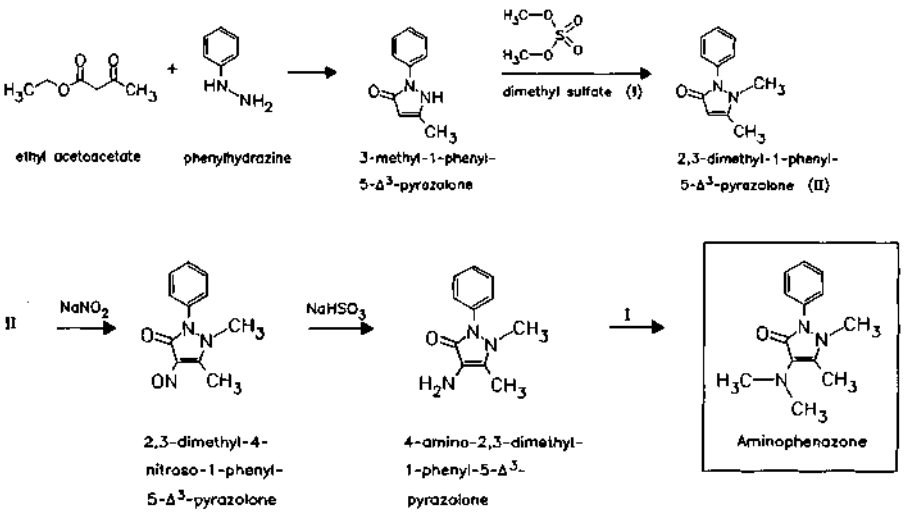
Aminophenazone

(Amidophenazon; Amidopyrin; Aminopyrine)

ATC: N02BB03
Use: analgesic, antipyretic, anti-inflammatory

RN: 58-15-1 MF: $C_{13}H_{17}N_3O$ MW: 231.30 EINECS: 200-365-8
LD_{50}: 78 mg/kg (M, i.v.); 350 mg/kg (M, p.o.);
98 mg/kg (R, i.v.); 285 mg/kg (R, p.o.);
121 mg/kg (dog, i.v.); 220 mg/kg (dog, p.o.)
CN: 4-(dimethylamino)-1,2-dihydro-1,5-dimethyl-2-phenyl-3*H*-pyrazol-3-one

ascorbate
RN: 23635-43-0 MF: $C_{13}H_{17}N_3O \cdot C_6H_8O_6$ MW: 407.42



Reference(s):
DRP 193 632 (E. Scheitlin; 1907).
Ehrhart, Ruschig **I**, 171.

Formulation(s): suppos. 200 mg, 500 mg; tabl. 100 mg, 300 mg

Trade Name(s):

D:	Compretten (Cascan); wfm		Pyramidon (Hoechst); wfm		numerous combination
	Dimametten (Hormosan); wfm	I:	Farmidone (Farmitalia)		preparations
			Fugantil (Ghimas)	J:	Neophyllin (Nippon Eisai)

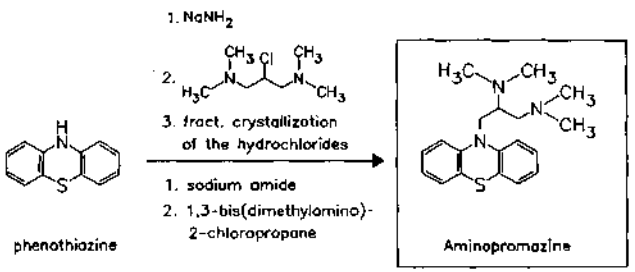
Aminopromazine

Use: antispasmodic

(Proquamezine)

RN: 58-37-7 MF: $C_{19}H_{25}N_3S$ MW: 327.50 EINECS: 200-378-9
CN: *N,N,N',N'*-tetramethyl-3-(10*H*-phenothiazin-10-yl)-1,2-propanediamine

fumarate (2:1)
RN: 3688-62-8 MF: $C_{19}H_{25}N_3S \cdot 1/2C_4H_4O_4$ MW: 771.06 EINECS: 222-987-9



Reference(s):
GB 800 635 (Rhône-Poulenc; appl. 1954).
DE 1 034 637 (Rhône-Poulenc; appl. 1955; F-prior. 1954).

Trade Name(s):
D: Lorusil (Bayer); wfm F: Lispamol (Specia); wfm

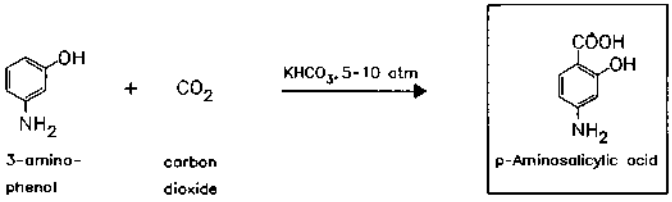
p-Aminosalicylic acid

(Aminosalylum; PAS)

ATC: J04AA01
Use: tuberculostatic

RN: 65-49-6 MF: $C_7H_7NO_3$ MW: 153.14 EINECS: 200-613-5
LD_{50}: 3898 mg/kg (M, i.v.); 4 g/kg (M, p.o.)
CN: 4-amino-2-hydroxybenzoic acid

calcium salt (2:1)
RN: 133-15-3 MF: $C_{14}H_{12}CaN_2O_6$ MW: 344.34 EINECS: 205-095-4
LD_{50}: 6500 mg/kg (M, p.o.)
monosodium salt
RN: 133-10-8 MF: $C_7H_6NNaO_3$ MW: 175.12 EINECS: 205-091-2
LD_{50}: 3380 mg/kg (M, i.v.); 6900 mg/kg (M, p.o.); 8 g/kg (R, p.o.)



Reference(s):
US 2 540 104 (Parke Davis; 1951; prior. 1949).

purification:
US 2 844 625 (Miles, 1958; appl. 1954).

Formulation(s): vial,13.49 g (as monosodium salt)

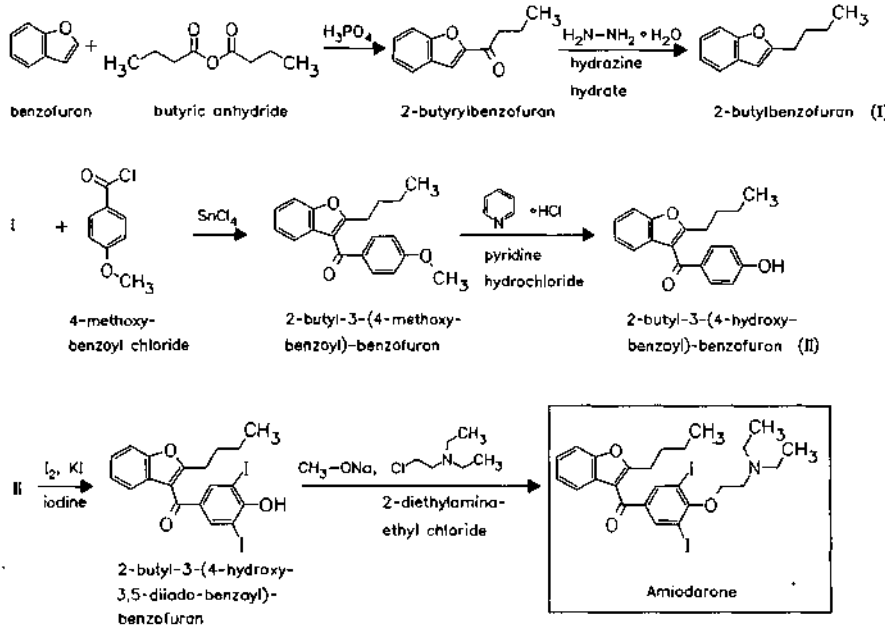
Trade Name(s):
D: Pas-Fatol N (Fatol)
F: B-PAS (Salvoxyl-Wander); wfm
PAS Elbiol (Pharmacotechnie); wfm
GB: Asacol (SmithKline Beecham)
Pentasal (Yamanouchi)
Salofalk (Thames)
I: Eupasal sodico (Bieffe Medital; as sodium salt)
Salf-Pas (Salf; as sodium salt)
J: PAS Calcium (Sumitomo); wfm
Sanpas Cal. (Sankyo); wfm
USA: Paser (Jacobus)

Amiodarone

ATC: C01BD01
Use: antiarrhythmic

RN: 1951-25-3 MF: $C_{25}H_{29}I_2NO_3$ MW: 645.32 EINECS: 217-772-1
LD_{50}: 178 mg/kg (M, i.v.); >4 g/kg (M, p.o.)
CN: (2-butyl-3-benzofuranyl)[4-[2-(diethylamino)ethoxy]-3,5-diiodophenyl]methanone

hydrochloride
RN: 19774-82-4 MF: $C_{25}H_{29}I_2NO_3 \cdot HCl$ MW: 681.78



Reference(s):
FR 1 339 389 (Labaz; appl. 22.11.1962).
US 3 248 401 (Labaz; 26.4.1966; prior. 24.11.1961).

2-butylbenzofuran:
Buu-Hoï, N.P. et al.: J. Chem. Soc. (JCSOA9) **1964**, 173.

Formulation(s): inj. sol. 150 mg/3ml; tabl. 200 mg

Trade Name(s):

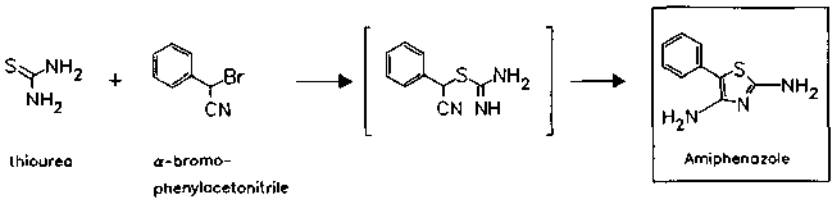
D:	Cordarex (Sanofi Winthrop) Tachydaron (ASTA Medica AWD)	F:	Cordarone (Sanofi Winthrop)	I:	Amiodar (Midy) Cordarone (Sigma-Tau)
		GB:	Cordarone X (Sanofi Winthrop)	USA:	Cordarone (Wyeth-Ayerst; as hydrochloride)

Amiphenazole

ATC: R07A
Use: respiratory stimulant, morphine antagonist, antidote (barbiturate poisonings)

RN: 490-55-1 MF: $C_9H_9N_3S$ MW: 191.26 EINECS: 207-713-8
LD_{50}: 400 mg/kg (M, p.o.)
CN: 5-phenyl-2,4-thiazolediamine

monohydrochloride
RN: 942-31-4 MF: $C_9H_9N_3S \cdot HCl$ MW: 227.72 EINECS: 213-389-9
LD_{50}: 372 mg/kg (M, p.o.)



Reference(s):
Davis, W. et al.: J. Chem. Soc. (JSCOA9) **1955**, 3491.
Chase, B.H. et al.: J. Chem. Soc. (JSCOA9) **1955**, 4443.

Formulation(s): inj. flask 150 mg

Trade Name(s):

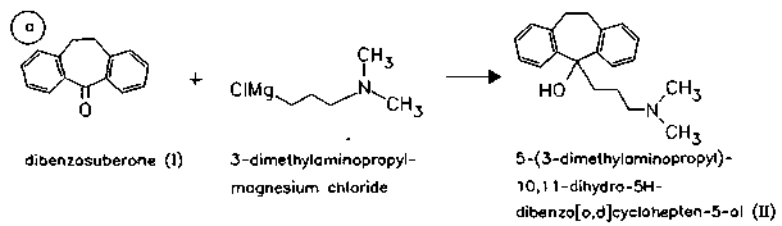
D:	Daptazile 100 (Nicholas); wfm	Daptazile Injektion (Nicholas); wfm	GB:	Daptazole (Nicholas); wfm	

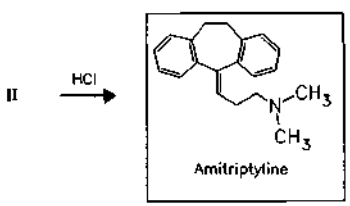
Amitriptyline

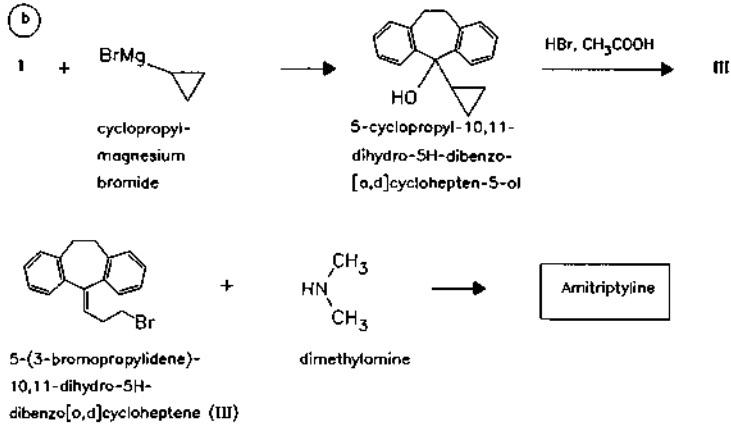
ATC: N06AA09
Use: antidepressant

RN: 50-48-6 MF: $C_{20}H_{23}N$ MW: 277.41 EINECS: 200-041-6
LD_{50}: 16 mg/kg (M, i.v.); 140 mg/kg (M, p.o.);
320 mg/kg (R, p.o.)
CN: 3-(10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-ylidene)-*N*,*N*-dimethyl-1-propanamine

hydrochloride
RN: 549-18-8 MF: $C_{20}H_{23}N \cdot HCl$ MW: 313.87 EINECS: 208-964-6
LD_{50}: 21 mg/kg (M, i.v.); 140 mg/kg (M, p.o.);
14 mg/kg (R, i.v.); 240 mg/kg (R, p.o.);
>27 mg/kg (dog, i.v.)







Reference(s):

a GB 858 187 (Hoffmann-La Roche; appl. 24.3.1959; CH-prior. 3.4.1958).
DE 1 109 166 (Hoffmann-La Roche; appl. 16.3.1959; CH-prior. 3.4.1958).
BE 584 061 (Merck & Co.; appl. 27.10.1959; USA-prior. 31.10.1958).
BE 609 095 (Kefalas A/S; appl. 12.10.1961; DK-prior. 12.10.1960).
b Hoffsommer, R.D. et al.: J. Org. Chem. (JOCEAH) 27, 4134 (1962).

alternative synthesis:

DAS 1 468 138 (Kefalas; appl. 12.3.1963; GB-prior. 23.3.1962, 9.11.1962).
US 3 205 264 (Merck & Co.; 7.9.1965; appl. 15.6.1962).

Formulation(s): amp. 56.6 mg; f. c. tabl. 10 mg, 25 mg, 50 mg; drg. 11.32 mg, 28.3 mg; drops 40 mg/1 ml; inj. 50 mg/2 ml; tabl. 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D:	Amineurin (Neuro Hexal) Limbatril (ICN) Saroten (Bayer Vital)	I:	Adepril (Lepetit) Amilit-ifi (IFI) Amitript (Formulario Naz.) Diapatol (Teofarma)-comb. Laroxyl (Roche) Limbitryl (Roche)-comb. Sedans (Ganassini)-comb. Triptizol (Merck Sharp & Dohme) combination preparations	J:	Tryptanol (Merck-Banyu; as hydrochloride)
F:	Elavil (Merck Sharp & Dohme-Chibret) Laroxyl (Roche)			USA:	Elavil (Zeneca; as hydrochloride) Etrafon (Schering) Limbitrol (Roche Products; as hydrochloride) Triavil (Merck; as hydrochloride) generics
GB:	Lentizol (Parke Davis) Triptafen (Goldshield)-comb. Tryptizol (Morson)				

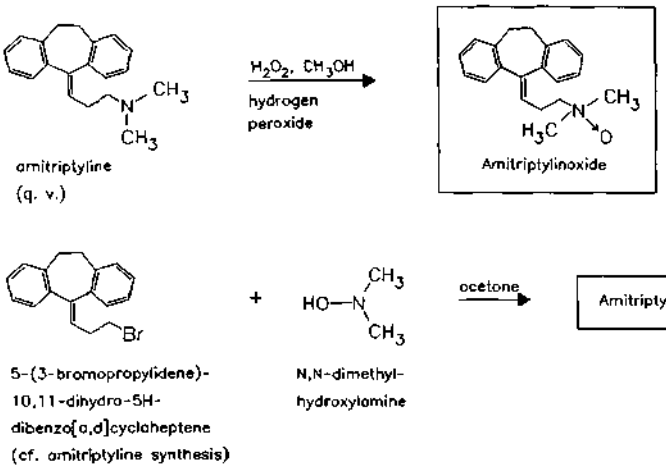
Amitriptylinoxide

ATC: N06AA09
Use: antidepressant

RN: 4317-14-0 MF: $C_{20}H_{23}NO$ MW: 293.41

LD_{50}: 320 mg/kg (M, i.p.); 87 mg/kg (M, i.v.); 330 mg/kg (M, p.o.); 120 mg/kg (R, i.p.); 25 mg/kg (R, i.v.); 1800 mg/kg (R, p.o.); 330-460 mg/kg (rabbit, p.o.); 330 mg/kg (dog, p.o.)

CN: 3-(10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-ylidene)-*N*,*N*-dimethyl-1-propanamine *N*-oxide



Reference(s):
DE 1 243 180 (Dumex; appl. 15.2.1964; GB-prior. 20.2.1963).
FR-M 3 222 (Dumex; appl. 20.2.1964; GB-prior. 20.2.1963).
NL-appl. 6 511 947 (Merck & Co., appl. 14.9.1965; USA-prior. 14.9.1964).

Formulation(s): tabl. 30 mg, 60 mg, 90 mg, 120 mg

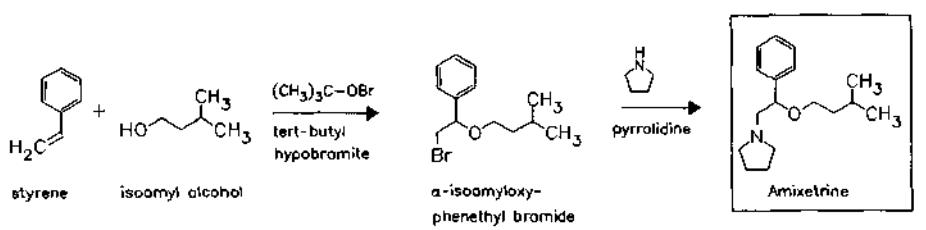
Trade Name(s):
D: Equilibrin (Rhône-Poulenc Rorer)

Amixetrine

ATC: N06A; R03BB
Use: anticholinergic, antidepressant, antispasmodic

RN: 24622-72-8 MF: $C_{17}H_{27}NO$ MW: 261.41
CN: 1-[2-(3-methylbutoxy)-2-phenylethyl]pyrrolidine

hydrochloride
RN: 24622-52-4 MF: $C_{17}H_{27}NO \cdot HCl$ MW: 297.87 EINECS: 246-365-1



Reference(s):
DOS 1 811 767 (Mauvernay; appl. 29.11.1968; F-prior. 15.12.1967).

Formulation(s): tabl. 50 mg

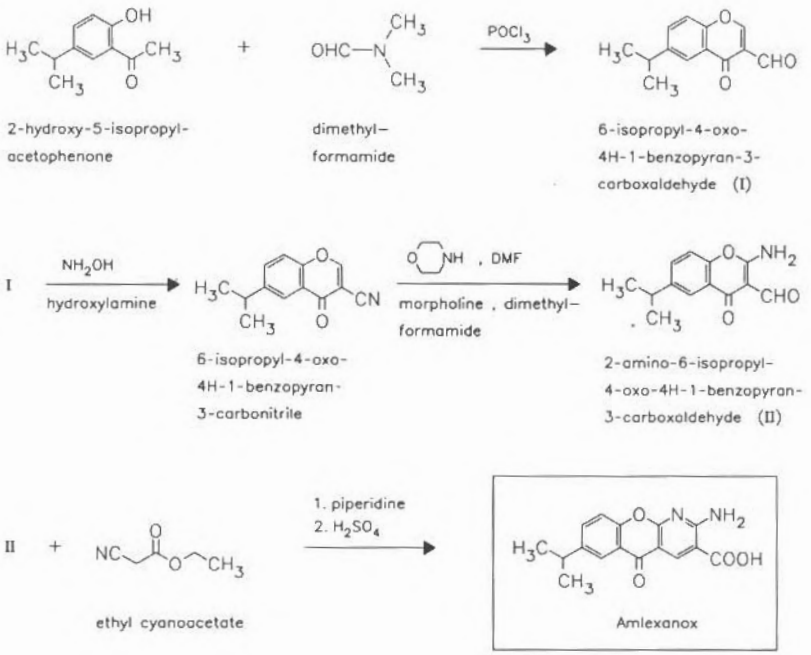
Trade Name(s):
F: Somagest (Riom); wfm

Amlexanox

(AA-673)

ATC: R03DX01; R06AX
Use: antiallergic, antiasthmatic

RN: 68302-57-8 MF: $C_{16}H_{14}N_2O_4$ MW: 298.30
LD_{50}: 2320 mg/kg (M, p.o.);
10 g/kg (R, p.o.)
CN: 2-amino-7-(1-methylethyl)-5-oxo-5*H*-[1]benzopyrano[2,3-*b*]pyridine-3-carboxylic acid



Reference(s):
DOS 2 809 720 (Takeda; appl. 7.3.1978; J-prior. 8.3.1977, 20.12.1977).
US 4 143 042 (Takeda; 6.3.1979; J-prior. 8.3.1977, 20.12.1977).
US 4 255 576 (Takeda; 10.3.1981; J-prior. 8.3.1977, 10.12.1977).
US 4 299 963 (Takeda; 10.11.1981; J-prior. 8.3.1977, 10.12.1977).
Nohara, A. et al.: J. Med. Chem. (JMCMAR) **28**, 559 (1985).

synthesis of 6-isopropyl-4*H*-1-benzopyran-3-carbonitrile:
US 3 896 114 (Takeda Chemical Ind.; appl. 22.7.1975; J-prior. 12.4.1972, 14.4.1972).
DE 2 317 899 (Takeda Chemical Ind.; appl. 25.10.1973; J-prior. 12.4.1972).

Formulation(s): cream 5 %; tabl. 100 mg

Trade Name(s):
J: Solfa (Takeda; 1989)
USA: Aphthasol (Block Drug Company)

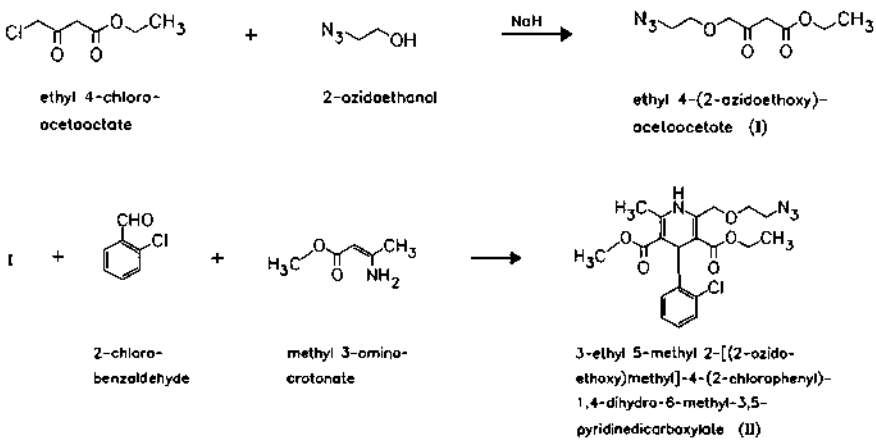
Amlodipine

ATC: C02DE; C08CA01
Use: calcium antagonist, antianginal, antihypertensive

RN: 88150-42-9 MF: $C_{20}H_{25}ClN_2O_5$ MW: 408.88
CN: 2-[(2-aminoethoxy)methyl]-4-(2-chlorophenyl)-1,4-dihydro-6-methyl-3,5-pyridinedicarboxylic acid 3-ethyl 5-methyl ester

maleate (1:1)

RN: 88150-47-4 MF: $C_{20}H_{25}ClN_2O_5 \cdot C_4H_4O_4$ MW: 524.95



Reference(s):
EP 89 167 (Pfizer; appl. 8.3.1983; GB-prior. 11.3.1982).
EP 599 220 (Lek; appl. 19.11.1993; SI-prior. 26.11.1992).
CA 2 188 071 (Apotex; appl. 17.10.1996; NZ-prior. 1.11.1995).

besylate salt:
EP 244 944 (Pfizer; appl. 31.3.1987; GB-prior. 4.4.1986).

racemate resolution:
EP 331 315 (Pfizer; appl. 16.2.1989; GB-prior. 27.2.1988).
Arrowsmith, J.E. et al.: J. Med. Chem. (JMCMAR) **29**, 1696 (1986).

combination with ACE-inhibitors:
WO 9 628 185 (Pfizer; appl. 26.2.1996; USA-prior. 16.3.1995).

Formulation(s): cps. 5 mg, 15 mg, 20 mg; tabl. 2.5 mg, 5 mg, 10 mg

Trade Name(s):

D:	Norvasc (Mack, Illert; Pfizer)	I:	Antacal (Errekappa Euroter.; 1991)	J:	Amlodin (Sumitomo)
F:	Amlor (Pfizer)		Monopina (Bioindustria; 1991)		Norvasc (Pfizer)
GB:	Istin (Pfizer; 1990)		Norvasc (Pfizer; 1990)	USA:	Lotrel (Novartis)
					Norvasc (Pfizer; 1991)

Amobarbital

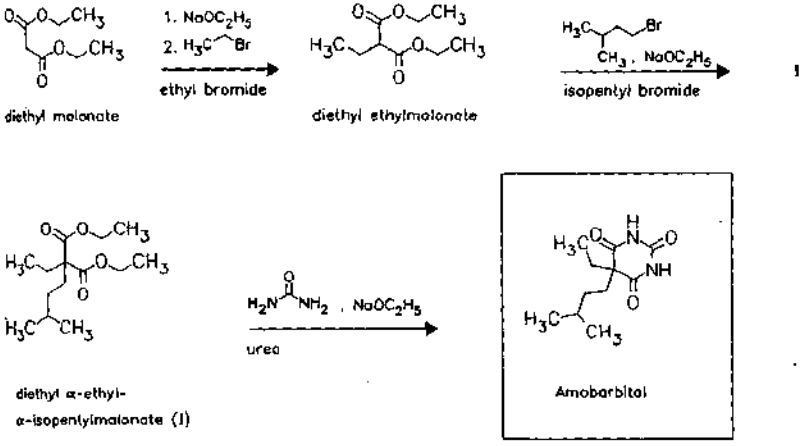
(Amylobarbitone)

ATC: N05CA02
Use: hypnotic

RN: 57-43-2 MF: $C_{11}H_{18}N_2O_3$ MW: 226.28 EINECS: 200-330-7
LD_{50}: 345 mg/kg (M, p.o.);
250 mg/kg (R, p.o.);
58 mg/kg (dog, i.v.)
CN: 5-ethyl-5-(3-methylbutyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt

RN: 64-43-7 MF: $C_{11}H_{17}N_2NaO_3$ MW: 248.26 EINECS: 200-584-9
LD_{50}: 505 mg/kg (M, p.o.);
128 mg/kg (R, i.v.); 275 mg/kg (R, p.o.);
75 mg/kg (dog, i.v.); 99 mg/kg (dog, p.o.)



Reference(s):
GB 191 008 (E. Layraud; 1922; F-prior. 1921).
US 1 856 792 (Eli Lilly; 1932; prior. 1929).

Formulation(s): tabl. 15 mg, 30 mg, 50 mg, 100 mg

Trade Name(s):

D: Ansudoral (Basotherm)-comb.; wfm
Jalonac (Röhm Pharma)-comb.; wfm
Metrotonin (Temmler)-comb.; wfm
Stadadorm Tabl. (Stada); wfm

F: Binoctal (Houdé)-comb.; wfm
Carlytène amobarbital (Dedieu)-comb.; wfm
Météoxane (Gallier)-comb.; wfm
Nardyl (Vernin)-comb.; wfm
Noctadiol (Millot-Solac)-comb.; wfm
Supponoctal (Houdé)-comb.; wfm
Tensophoril (Synlab)-comb.; wfm
Viscéralgine comprimés (Riom)-comb.; wfm

GB: Amytal (Flynn)
Sodium Amytal (Flynn)
Tuinal (Flynn)-comb.

I: Amobarb (Tariff. Integrativo)

J: Amytal (Yamanouchi)
Isomytal (Nippon Shinyaku)

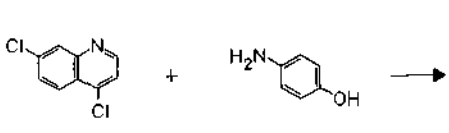
USA: Amytal (Lilly)
Amytal Sodium (Lilly)
Tuinal (Lilly)

Amodiaquine

ATC: P01BA06
Use: antimalarial

RN: 86-42-0 MF: $C_{20}H_{22}ClN_3O$ MW: 355.87 EINECS: 201-669-3
LD_{50}: 550 mg/kg (M, p.o.)
CN: 4-[(7-chloro-4-quinolinyl)amino]-2-[(diethylamino)methyl]phenol

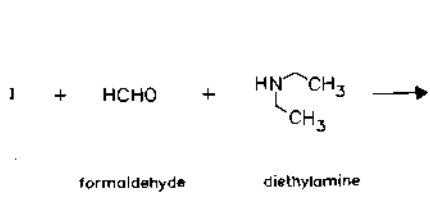
dihydrochloride dihydrate
RN: 69-44-3 MF: $C_{20}H_{22}ClN_3O \cdot 2HCl \cdot 2H_2O$ MW: 464.82 EINECS: 200-706-0



4,7-dichloroquinoline (cf. chloroquine synthesis)

4-aminophenol

7-chloro-4-(4-hydroxyphenylamino)quinoline (I)



formaldehyde

diethylamine

Amodiaquine

Reference(s):
US 2 474 821 (Parke Davis; 1949; prior. 1945).
Burckhalter, J.F. et al.: J. Am. Chem. Soc. (JACSAT) **68**, 1894 (1946).

Formulation(s): tabl. 200 mg (as dihydrochloride dihydrate)

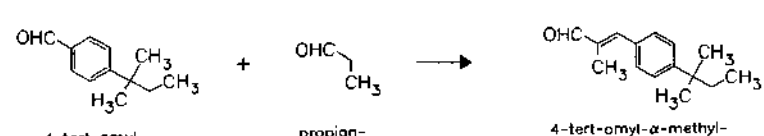
Trade Name(s):
F: Flavoquine (Roussel Diamant)
GB: Camoquin (Parke Davis); wfm
USA: Camoquin (Parke Davis); wfm

Amorolfine

ATC: D01AE16
Use: topical antimycotic

RN: 78613-35-1 MF: $C_{21}H_{35}NO$ MW: 317.52
CN: *cis*-(±)-4-[3-[4-(1,1-dimethylpropyl)phenyl]-2-methylpropyl]-2,6-dimethylmorpholine

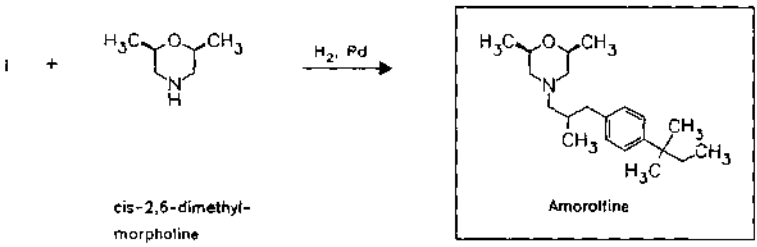
hydrochloride
RN: 78613-38-4 MF: $C_{21}H_{35}NO \cdot HCl$ MW: 353.98



4-tert-amylbenzaldehyde

propionaldehyde

4-tert-amyl-α-methylcinnamaldehyde (I)



Reference(s):
DE 2 752 135 (Hoffmann-La Roche; appl. 22.11.1976).
EP 24 334 (Hoffmann-La Roche; appl. 7.8.1980; CH-prior. 17.8.1979, 29.5.1980).

antimycotic nail varnish:
EP 389 778 (Hoffmann-La Roche; appl. 15.2.1990; CH-prior. 9.11.1989, 24.2.1989).

Formulation(s): cream 0.25 %, sol. 5 %

Trade Name(s):

D:	Loceryl (Roche)	GB:	Loceryl (Roche; 1992 as hydrochloride)	J:	Pekiron (Kyorin)
F:	Loceryl (Roche)				

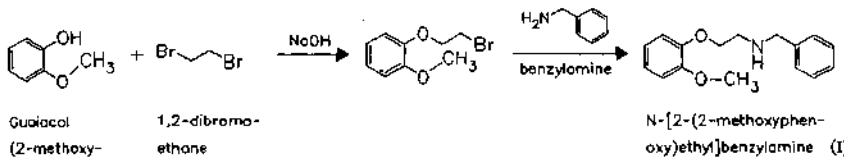
Amosulalol

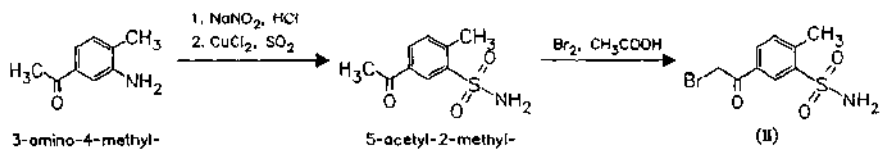
(YM-09538)

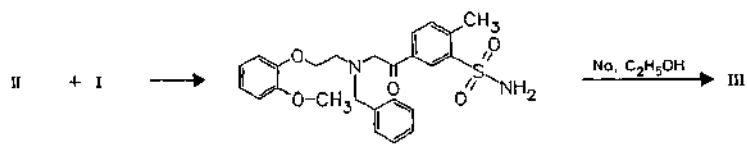
ATC: C02CB
Use: α- and β-adrenoceptor blocker, antihypertensive

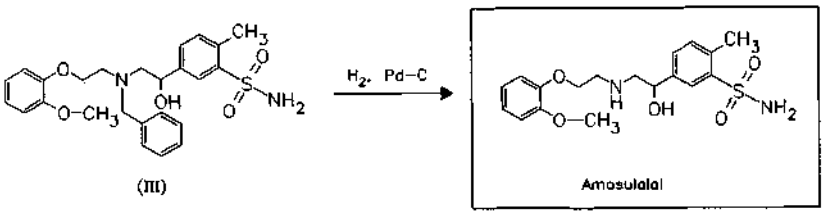
RN: 85320-68-9 MF: $C_{18}H_{24}N_2O_5S$ MW: 380.47
CN: (±)-5-[1-hydroxy-2-[[2-(2-methoxyphenoxy)ethyl]amino]ethyl]-2-methylbenzenesulfonamide

monohydrochloride
RN: 70958-86-0 MF: $C_{18}H_{24}N_2O_5S \cdot HCl$ MW: 416.93









Reference(s):
DOS 2 843 016 (Yamanouchi; appl. 3.10.1978; J-prior. 12.10.1977, 26.10.1977, 23.12.1977, 21.6.1978).
GB 2 006 772 (Yamanouchi; appl. 12.10.1978; J-prior. 12.10.1976, 26.10.1977, 23.12.1977, 21.6.1978).

synthesis of I:
Augstein, J. et al.: J. Med. Chem. (JMCMAR) **8**, 365 (1965).

synthesis of II:
EP 162 404 (Seitetsu Kagaku; appl. 14.5.1985; J-prior. 15.5.1984, 18.9.1984, 3.4.1985).

synthesis of ^{14}C-amosulalol:
Arima, H.; Tamazawa, K.: J. Labelled Compd. Radiopharm. (JLCRD4) **20**, 803 (1983).

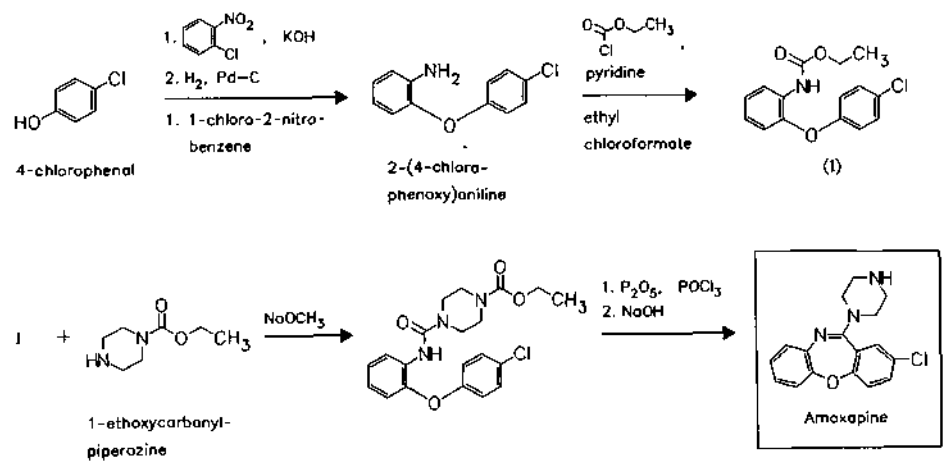
Formulation(s): tabl. 10 mg

Trade Name(s):
J: Lowgan (Yamanouchi; 1988 as hydrochloride)

Amoxapine

ATC: N06AA17
Use: antidepressant

RN: 14028-44-5 MF: $C_{17}H_{16}ClN_3O$ MW: 313.79 EINECS: 237-867-1
LD_{50}: 122 mg/kg (M, i.p.); 112 mg/kg (M, p.o.)
CN: 2-chloro-11-(1-piperazinyl)dibenzo[*b,f*][1,4]oxazepine



Reference(s):
US 3 681 357 (American Cyanamide; 16.5.1972; prior. 20.5.1966).
US 3 444 169 (American Cyanamide; 13.5.1969; prior. 17.1.1966).
GB 1 177 956 (American Cyanamide; prior. 23.12.1966).
GB 1 192 812 (American Cyanamide; USA-prior. 20.5.1966).
DE 1 645 954 (American Cyanamide; appl. 17.1.1967; USA-prior. 17.1.1966).
GB 1 157 957 (American Cyanamide; prior. 15.9.1965).
US 3 663 696 (American Cyanamide; 16.5.1972; prior. 28.2.1964, 20.5.1966, 22.7.1970).
Schmutz, J. et al.: Helv. Chim. Acta (HCACAV) **50**, 245 (1967).
Schmutz, J. et al.: Chim. Ther. (CHTPBA) **2**, 424 (1967).

preparation of 2-(4-chlorophenoxy)aniline:
DE 216 642 (Bayer; 1908).
Wassmundt, F.W.; Pedemonte, R.P.: J. Org. Chem. (JOCEAH) **60** (16), 4991 (1995).

Formulation(s): sol. 5 %; sol. 5 %; tabl. 25 mg, 50 mg, 100 mg, 150 mg

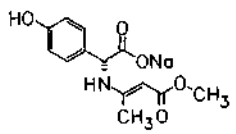
Trade Name(s):
F: Défanyl (Wyeth-Lederle) J: Amoxan (Lederle; 1981) USA: Asendin (Lederle Labs.; 1980)
GB: Asendis (Wyeth)

Amoxicillin
(Amoxycillin)

ATC: J01CA04
Use: antibiotic

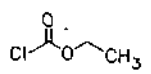
RN: 26787-78-0 MF: $C_{16}H_{19}N_3O_5S$ MW: 365.41 EINECS: 248-003-8
LD_{50}: >25 g/kg (M, p.o.);
>15 g/kg (R, p.o.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[[amino(4-hydroxyphenyl)acetyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

(a)



sodium D(-)-α-(4-hydroxyphenyl)-α-(2-methoxycarbonyl-1-methylethenylamino)acetate (DANE salt; cf. ampicillin, method (c))

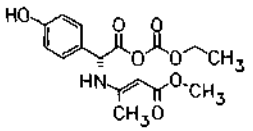
+



ethyl chloroformate

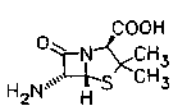
N-methylmorpholine →

I



D-α-(4-hydroxyphenyl)-α-(2-methoxycarbonyl-1-methylethenylamino)acetic acid anhydride with monoethyl carbonate (I)

+

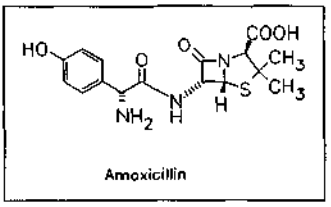


6-aminopenicillanic acid (II)

1. $(CH_3)_3SiCl$, $N(C_2H_5)_3$,
2. H^+, pH 1.1–1.2 →

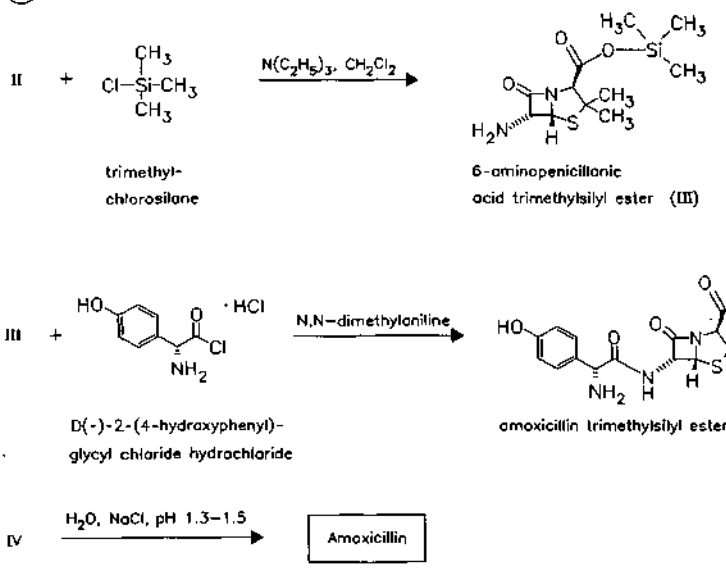
1. trimethylchlorosilane

Amoxicillin



Amoxicillin

(b)



trimethylchlorosilane

6-aminopenicillanic acid trimethylsilyl ester (III)

D(-)-2-(4-hydroxyphenyl)-glycyl chloride hydrochloride

amoxicillin trimethylsilyl ester (IV)

Reference(s):

"racemic amoxicillin":

US 3 674 776 (Beecham; 4.7.1972; prior. 23.8.1968).
GB 1 241 844 (Beecham; appl. 18.8.1969; prior. 23.8.1968).
DE 1 942 693 (Beecham; appl. 18.8.1969; GB-prior. 23.8.1968).
GB 978 178 (Beecham; appl. 2.11.1962; valid from 25.10.1963).
US 3 192 198 (Beecham; 29.6.1965; GB-prior. 2.11.1962).

amoxicillin:

Long, A.A.W. et al.: J. Chem. Soc. C (JSOOAX) **1971**, 1920.
US 3 674 776 (Beecham; 4.7.1972; appl. 18.8.1969; GB-prior. 23.8.1968).
DOS 1 942 693 (Beecham; appl. 21.8.1969; GB-prior. 23.8.1968).
GB 1 241 844 (Beecham; appl. 23.8.1968; valid from 20.8.1969).

a US 4 128 547 (Gist-Brocades; 5.12.1978; NL-prior. 6.9.1977).
GB 1 339 605 (Beecham; appl. 1.4.1971; valid from 28.3.1972).
preparation of "DANE salt":
DE 2 400 489 (Upjohn Co.; appl. 5.1.1974; USA-prior. 12.1.1973).
US 3 904 606 (Upjohn Co.; prior. 12.1.1973).
Dane, E. et al.: Angew. Chem. (ANCEAD) **76**, 342 (1964).
Dane, E. et al.: Chem. Ber. (CHBEAM) **98**, 789 (1965).

b DAS 2 611 286 (Bristol-Myers; appl. 17.3.1976; USA-prior. 17.3.1975).
preparation of D(-)-2-(4-hydroxyphenyl)glycyl chloride hydrochloride:
CA 1 024 507 (Bristol Myers Co.; appl. 16.1.1974; USA-prior. 18.1.1973).

alternative syntheses:
US 4 053 360 (Bristol-Myers; 11.10.1977; GB-prior. 5.6.1974, 19.3.1975).
DOS 2 454 841 (Archifar; appl. 19.11.1974; I-prior. 17.5.1974).
DOS 2 755 903 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).
GB 1 535 291 (Bristol-Myers; appl. 5.3.1976; USA-prior. 17.3.1975).
US 4 098 796 (Novo; 4.7.1978; appl. 7.6.1976).
BE 867 414 (Antibioticos S.A.; appl. 24.5.1978; E-prior. 4.6.1977).

microbiologic acylation of 6-APA with methyl D-α-(4-hydroxyphenyl)-glycinate hydrochloride *by means of Aphanocladium aranearum* (ATCC 20453):
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 14.5.1975).

sodium salt:
GB 1 543 317 (Beecham; valid from 4.8.1976; prior. 27.9.1975).
DOS 2 729 112 (Beecham; appl. 28.6.1977; GB-prior. 7.7.1976).

trihydrate:
DAS 2 611 286 (Bristol-Myers; appl. 17.3.1976; USA-prior. 17.3.1975).
DOS 2 732 528 (Bristol-Myers; appl. 19.7.1977; GB-prior. 20.7.1976).

water soluble salts with arginine *or* lysine:
GB 1 504 767 (Beecham; valid from 23.8.1976; prior. 2.7.1975, 30.9.1975; 3.11.1975).
GB 1 539 510 (Beecham; valid from 23.8.1976; prior. 23.8.1975, 30.9.1975, 3.11.1975).

"*amorphous*" amoxicillin:
DAS 2 112 634 (Beecham; appl. 16.3.1971; GB-prior. 16.3.1970).

formulation for injection solutions:
GB 1 532 993 (Beecham; appl. 7.3.1975; valid from 9.2.1976).

O-acetylamoxicillin:
US 4 053 360 (Bristol-Myers; 11.10.1977; GB-prior. 5.6.1974, 19.3.1975).

Formulation(s): syrup 500 mg/5 ml, 2.5 %, 5 %, 10 %; tabl. 500 mg, 750 mg, 1 g

Trade Name(s):

D:
Amagesan (Pharbita)
Amoxi-Diolan (Engelhard)
Amoxillat (Azupharma)
Amoxypen (Grünenthal)
Augmentan (SmithKline Beecham; 1982)-comb.
Clamoxyl (SmithKline Beecham; 1974)
dura AX (durachemie)
Flanamox (Wolff)
Sigamopen (Kytta-Siegfried)

F:
Agram (Inava)
Amodex (Bouchara)
Amophar (Dakota)
Amoxine (Negma)
Augmentin (SmithKline Beecham; 1984)-comb.
Bactox (Innotech International)
Bristamox (Bristol-Myers Squibb)
Ciblor (Inava)-comb.
Clamoxyl (SmithKline Beecham; 1974)
Flemoxine (Yamanouchi Pharma)
Gramidil (EG Labo)
Hiconcil (Bristol-Myers Squibb)
Zamocilline (Zambon)

GB:
Amoran (Eastern)
Amoxil (Bencard; 1972)
Augmentin (SmithKline Beecham; 1984)-comb.
Galenamox (Galen)

I:
Alfamox (Alfa Wassermann)
Am-73 (Medici)
Amoflux (Lampugnani)
Amox (Salus Research)
Amoxina (Magis)
Amoxipen (Metapharma)
Cabermox (Caber)
Ibiamox (IBI; as trihydrate)
Isimoxin (ISI)
Mopen (Firma)
Pamocil (Farma Uno)
Simoxil (Herdel)
Sintopen (Mitim)
Velamox (SmithKline Beecham)
Zimox (Carlo Erba)
generics and numerous combination preparations

J:
Amolin (Takeda)
Clamoxyl (SmithKline Beecham; 1975)
Delacillin (Sankyo)
Efpenix (Toyo Jozo)
Hiconcil (Bristol)
Himinomax (Kaken)
Pacetocin (Kyowa)
Sawacillin (Fujisawa)
Widecillin (Meiji)
generics

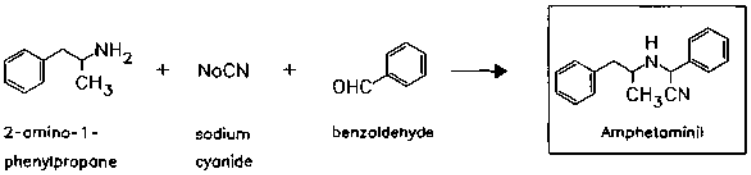
USA:
Amoxil (SmithKline Beecham; 1974)
Wymox (Wyeth-Ayerst; 1978)

Amphetaminil

(Amfetaminil)

Use: psychotonic

RN: 17590-01-1 MF: $C_{17}H_{18}N_2$ MW: 250.35 EINECS: 241-560-8
LD_{50}: 182 mg/kg (M, p.o.);
37.6 mg/kg (R, p.o.)
CN: α-[(1-methyl-2-phenylethyl)amino]benzeneacetonitrile



Reference(s):
AT 223 606 (Dr. H. Voigt; appl. 25.4.1961; valid from 15.3.1962).
Klosa, J.: J. Prakt. Chem. (JPCEAO) **20**, 275 (1963).

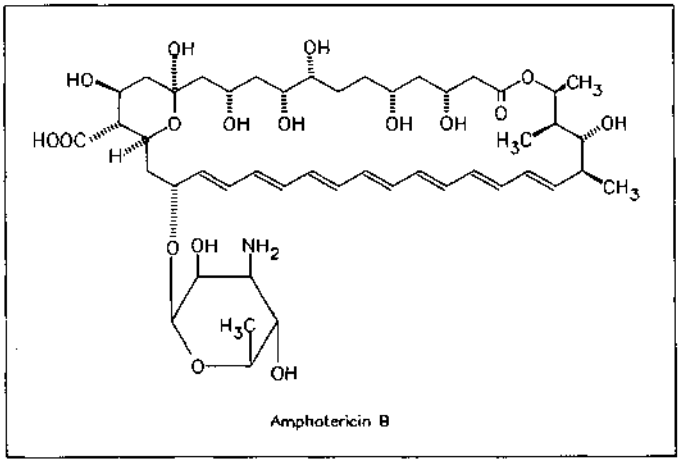
Formulation(s): amp. 20 mg, 60 mg

Trade Name(s):
D: AN 1 (Voigt); wfm Ton-O_2 (Voigt)-comb.; wfm Vit-O_2 (Voigt)-comb.; wfm

Amphotericin B

ATC: A01AB04; G01AA03; J02AA01
Use: fungicidal antibiotic

RN: 1397-89-3 MF: $C_{47}H_{73}NO_{17}$ MW: 924.09 EINECS: 215-742-2
LD_{50}: 1200 µg/kg (M, i.v.); >8 g/kg (M, p.o.);
1600 µg/kg (R, i.v.); >5 g/kg (R, p.o.);
6 mg/kg (dog, i.v.)
CN: [1*R*-(1*R**,3*S**,5*R**,6*R**,9*R**,11*R**,15*S**,16*R**,17*R**,18*S**,19*E*,21*E*,23*E*,25*E*,27*E*,29*E*,31*E*,33*R**,35*S**,36*R**,37*S**)]-33-[(3-amino-3,6-dideoxy-β-D-mannopyranosyl)oxy]-1,3,5,6,9,11,17,37-octahydroxy-15,16,18-trimethyl-13-oxo-14,39-dioxabicyclo[33.3.1]nonatriaconta-19,21,23,25,27,29,31-heptaene-36-carboxylic acid



Fermentatively from *Streptomyces nodosus*.

Reference(s):
US 2 908 611 (Olin Mathieson; 1959; prior. 1954).

Formulation(s): caramels 10 mg; cream 30 mg/g; ointment 30 mg/1 g; powder 50 mg; susp. 100 mg, 500 mg; tabl. 10 mg, 100 mg; liposome-encapsulated amphotericin B in a complex with dimyristoyl phosphatidylcholine and dimyristoyl phosphatidylglycerol, vials 20 ml

Trade Name(s):

D: AmBisome (NeXstar; 1999)
Ampho-Moronal (Bristol-Myers Squibb)
Amphotericin B zur Infusion (Bristol-Myers Squibb)
Mysteclin (Bristol-Myers Squibb)-comb.

F: Amphocycline (Bristol-Myers Squibb)-comb.

Fungizone (Squibb)

GB: Abelcet (Liposome Co.)
Ambisone (NeXstar)
Amphicol (Zeneca)
Fungilin r (Squibb)
Fungizone (Squibb)

I: Fungilin (Mead Johnson)
Fungizone (Bristol-Myers Squibb)

J: Fungizone (Bristol-Myers Squibb-Sankyo)

USA: Abelcet Injection (Liposome Co.)
Amphotec for Injection (Sequus)
Fungizone (Bristol-Myers Squibb, Oncology/ Immunology)

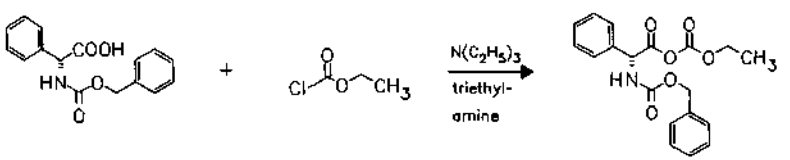
Ampicillin

ATC: J01CA01; S01AA19
Use: antibiotic

RN: 69-53-4 MF: $C_{16}H_{19}N_3O_4S$ MW: 349.41 EINECS: 200-709-7
LD_{50}: 4600 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
6200 mg/kg (R, i.v.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

trihydrate
RN: 7177-48-2 MF: $C_{16}H_{19}N_3O_4S \cdot 3H_2O$ MW: 403.46
LD_{50}: 15.2 g/kg (M, p.o.);
10 g/kg (R, p.o.)
monosodium salt
RN: 69-52-3 MF: $C_{16}H_{18}N_3NaO_4S$ MW: 371.39 EINECS: 200-708-1
LD_{50}: >5314 mg/kg (M,R, p.o.)
monopotassium salt
RN: 23277-71-6 MF: $C_{16}H_{18}KN_3O_4S$ MW: 387.50 EINECS: 245-550-4

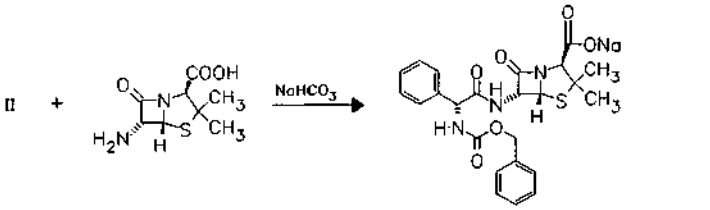
a

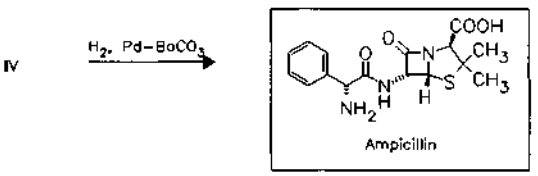


D(-)-Cbo-phenylglycine

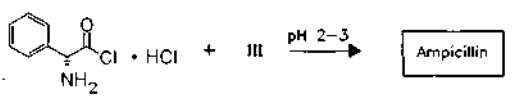
ethyl chloro-formate (I)

D-Cbo-phenylglycine anhydride with monoethyl carbonate (II)



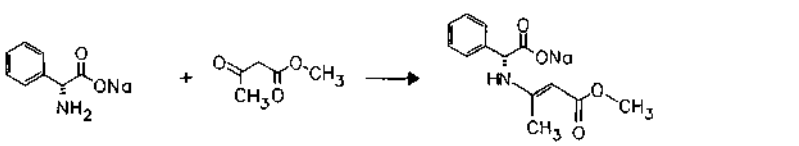


(b)



D(-)-α-phenylglycine
chloride hydrochloride

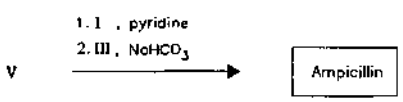
(c)



D(-)-phenylglycine
sodium salt

methyl
acetoacetate

N-(2-methoxycarbonyl-1-methyl-
ethenyl)-D(-)-phenylglycine sodium salt (V)



Reference(s):

a GB 893 049 (Beecham; appl. 6.10.1958, 12.5.1959).
GB 902 703 (Beecham; valid from 19.5.1961; prior. 25.8.1960).
US 2 985 648 (Beecham; 23.5.1961; GB-prior. 6.10.1958).
DAS 1 139 844 (Beecham; appl. 6.10.1959; GB-prior. 6.10.1958, 12.5.1960).
DE 1 156 078 (Beecham; appl. 29.5.1961; GB-prior. 25.8.1960).
b US 3 140 282 (Bristol-Myers; 7.7.1964; appl. 5.3.1962).
c GB 991 586 (Beecham; appl. 28.2.1963, 3.12.1963; valid from 13.2.1964).

alternative syntheses:

DE 1 168 910 (Beecham; appl. 3.7.1962; GB-prior. 21.7.1961).
US 3 144 445 (American Home; 11.8.1964; appl. 26.12.1962).
DAS 1 445 506 (Bristol-Myers; appl. 24.10.1963; USA-prior. 29.10.1962).
DAS 1 545 534 (Astra; appl. 4.3.1965; S-prior. 6.3.1964).
DAS 2 029 195 (Yamanouchi; appl. 13.6.1970; J-prior. 16.6.1969).
DAS 1 800 698 (American Home Products; appl. 2.10.1968; USA-prior. 2.10.1967).
DOS 2 755 903 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

enzymatic and microbiological methods:
US 3 079 307 (Bayer; 26.2.1963; D-prior. 7.10.1961).
DE 1 966 521 (Kyowa Hakko; appl. 9.9.1969; J-prior. 18.9.1968, 8.10.1968).
DAS 1 967 074 (Kyowa Hakko; appl. 9.9.1969; J-prior. 18.9.1968, 8.10.1968).
DAS 2 050 983 (Kyowa Hakko; appl. 16.10.1970; J-prior. 16.10.1969).
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 14.5.1975).

ampicillin salts:
DE 1 197 460 (Bayer; appl. 4.9.1962).
DOS 1 670 111 (Bristol-Myers; appl. 16.7.1966).
DE 1 670 191 (Beecham; appl. 24.2.1967; GB-prior. 3.3.1966).
DAS 1 795 129 (Beecham; appl. 14.8.1968; USA-prior. 18.8.1967).
DE 1 903 388 (American Home Products; appl. 23.1.1969; USA-prior. 23.1.1968).
DAS 2 623 835 (Boehringer Ing.; appl. 28.5.1976).

trihydrate:
US 3 157 640 (Bristol-Myers; 17.11.1964; appl. 21.3.1963).

Formulation(s): amp. 0.5 g, 1 g, 2 g, 5 g; lyo. 532 mg, 1060 mg, 2128 mg, 5320 mg

Trade Name(s):

D: Binotal (Grünenthal)
Jenampin (Jenapharm)
Unacid (Pfizer)

F: Ampicilline (Arkodex; Panpharma)
Proampi (Stafford-Miller)
Totapen (Bristol-Myers Squibb)
Unacim (Jouveinal)-comb.

GB: Ampiclox (Beecham)
Magnapen (Beecham)-comb.
Penbritin (Beecham)

I: Ampici (Formulario Naz.)
Ampicillina (Pierrel)
Ampilisa (Lisapharma)
Ampilux (Allergan)
Ampiplus Simplex (Menarini)
Amplital (Farmitalia)
Amplizer (OFF)
Citicil (CT)
Ibimicyn (IBI)
Lampocillina Orale (Salus Research)
Pentrexyl (Bristol It. Sud)
Platocillina (Crosara)
generics and numerous combination preparations

J: Acucillin (Fuji)-comb.
Adobacillin (Tobishi)
Amipenix (Toyo Jozo)
Bionacillin (Takata)
Bonapicillin (Taiho)
Cilleral (Bristre-Banyu)
Combipenix (Toyo Jozo)-comb.
Domicillin (Marupi)
Isocillin (Kanto)
Obtecin (Kyowa)
Penbritin (Beecham-Fujisawa)
Penimic (SS Seiyaku)
Pentrex (Banyu)
Pharcillin (Toyo Pharmar)
Solcillin (Takeda)-comb.
Synpenin (Sankyo)
Tokiocillin (Isei)
Totacillin (Beecham)
Totaclox (Beecham)-comb.
Viccillin (Meiji)

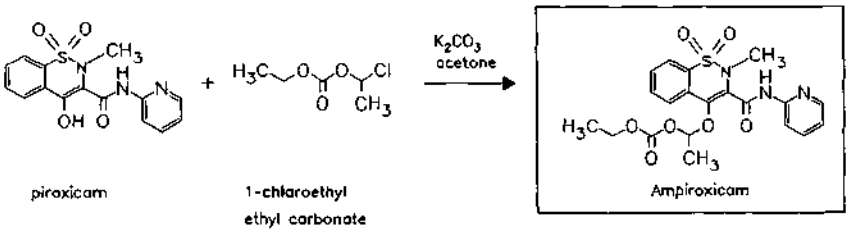
USA: Amcill (Parke Davis)
Omnipen (Wyeth-Ayerst)
Unosyn for Injection (Pfizer)
generics

Ampiroxicam

(CP-65703)

ATC: M01
Use: anti-inflammatory, prodrug of piroxicam

RN: 99464-64-9 MF: $C_{20}H_{21}N_3O_7S$ MW: 447.47
LD_{50}: 747 mg/kg (R, p.o.)
CN: carbonic acid ethyl 1-[[2-methyl-3-[(2-pyridinyl)aminocarbonyl]-2*H*-1,2-benzothiazin-4-yl]oxy]ethyl ester *S*,*S*-dioxide



Reference(s):
EP 147 177 (Pfizer Inc.; appl. 19.12.1984; USA-prior. 21.12.1983).

topical preparations:
JP 07 316 075 (Pola Kasei Kogyo; appl. 26.5.1994; J-prior. 26.5.1994).

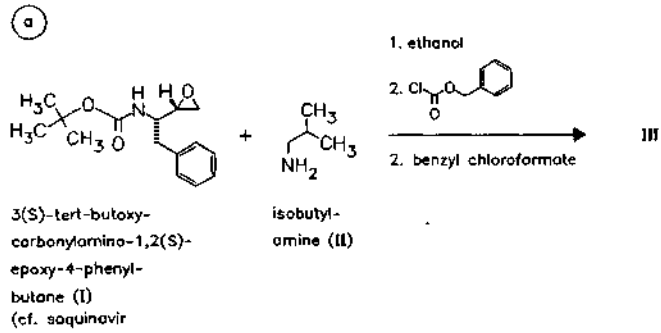
Formulation(s): cps. 13.5 mg, 27 mg

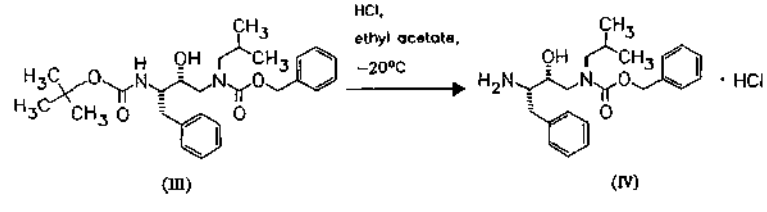
Trade Name(s):
J: Flucam (Pfizer/Toyama; 1994) Nacyl (Toyama)

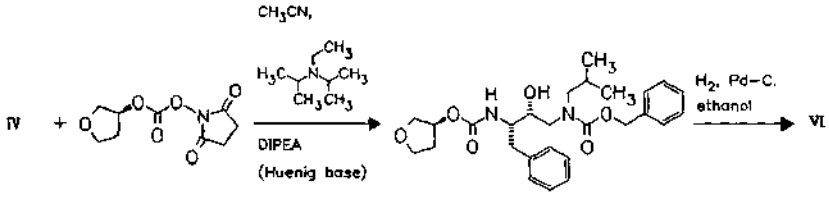
Amprenavir
(KUX 478; UX 478; 141W94)

ATC: J05AE05
Use: antiviral, HIV protease inhibitor

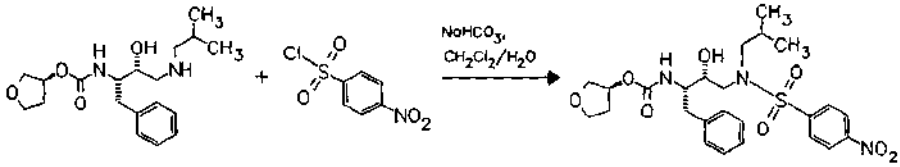
RN: 161814-49-9 MF: $C_{25}H_{35}N_3O_6S$ MW: 505.64
CN: [(1*S*,2*R*)-3-[[(4-Aminophenyl)sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]carbamic acid (3*S*)-tetrahydro-3-furanyl ester



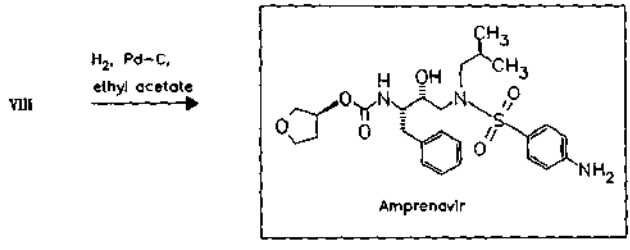




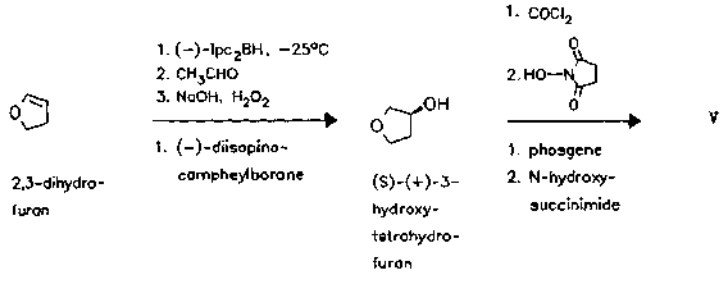
succinimido (S)-3-tetrahydrofuryl carbonate (V)



(VI) p-nitrobenzenesulfonyl chloride (VII) (VIII)

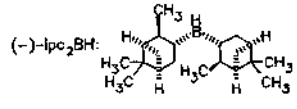


(oo) synthesis of V

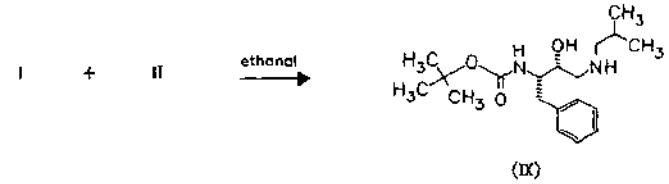


2,3-dihydrofuran (S)-(+)-3-hydroxytetrahydrofuran

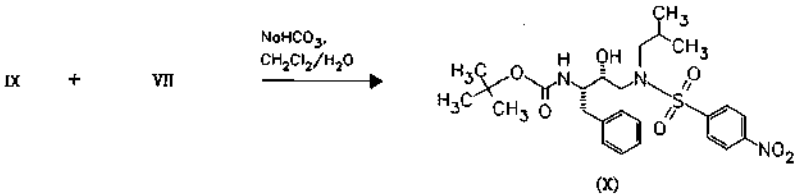
(–)-Ipc$_2$BH:

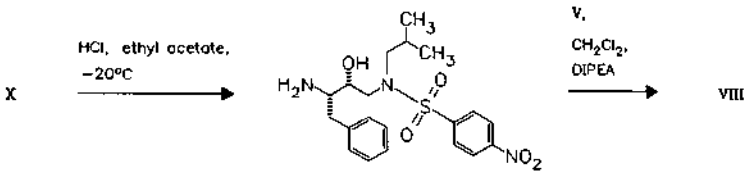


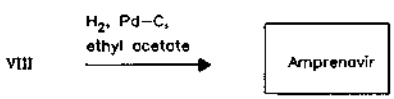
(b)



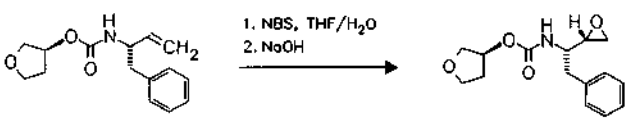
(IX)

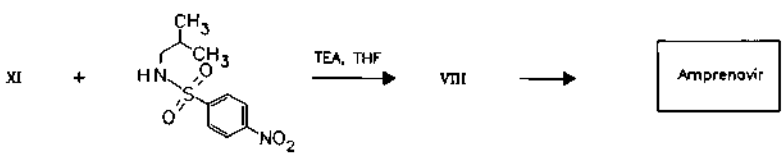






(c)





Reference(s):

a WO 9 405 639 (Vertex Pharm.; appl. 7.9.1993; USA-prior. 8.9.1992).

aa Brown, H.C. et al.: J. Am. Chem. Soc. (JACSAT) **108**, 2049-2054 (1986).

b WO 9 633 184 (Vertex Pharm.; appl. 18.4.1996; USA-prior. 19.4.1995; 8.9.1992).

c JP 09 124 630 (Kissei Pharm.; appl. 26.10.1995).

nanocrystalline formulations:
WO 9 902 665 (Nanosystems; appl. 9.7.1998; USA-prior. 9.7.1997).

stable crystal polymorphs:
WO 9 857 648 (Vertex Pharm.; appl. 16.6.1998; USA-prior. 16.6.1997).

novel crystal form V:
WO 9 856 781 (Glaxo; 17.12.1998; appl. 11.6.1998; USA-prior. 13.6.1997).

combination with AZT:
WO 9 720 554 (Vertex Pharm.; 12.6.1997; appl. 5.12.1996; USA-prior. 5.12.1995).

crystallization of amprenavir:
JP 09 071 575 (Kissei Pharm.; appl. 7.9.1995).

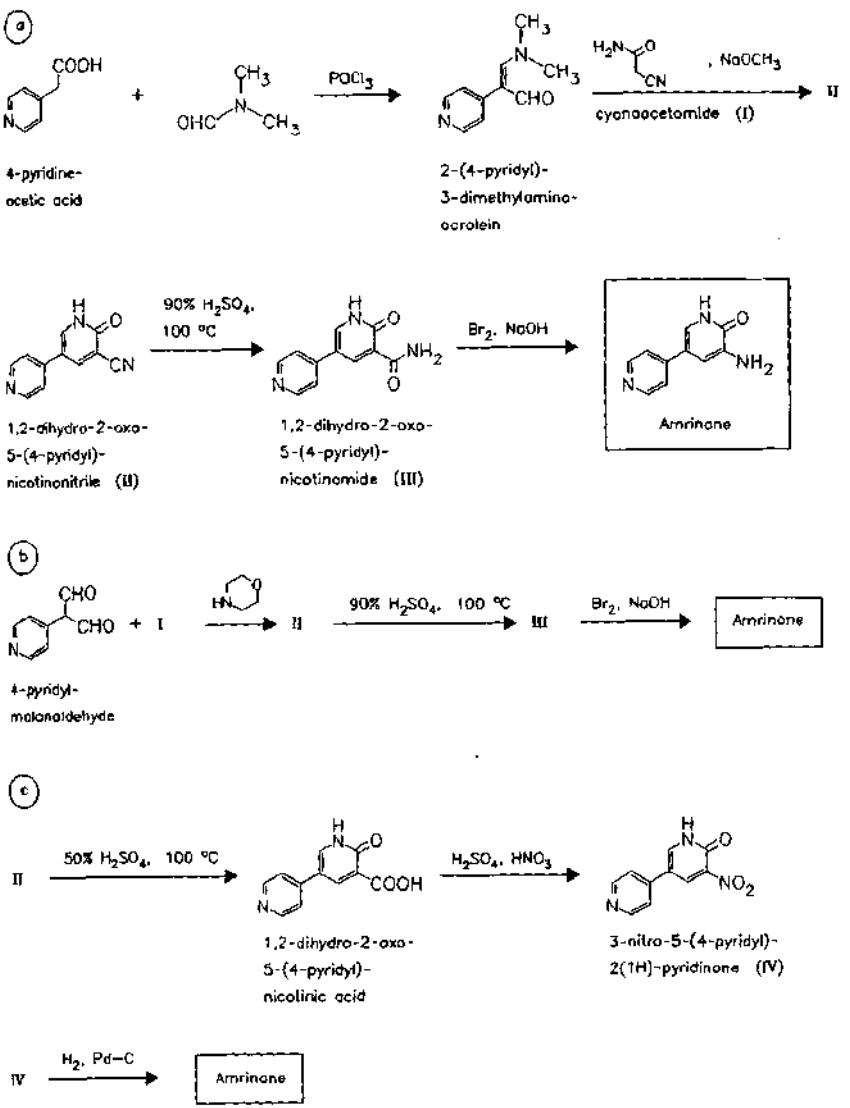
Formulation(s): cps. 50 mg, 150mg, oral sol. 15 mg/ml

Trade Name(s):
USA: Agenerase (Glaxo Wellcome; 1999)

Amrinone

ATC: C01CE01
Use: cardiotonic (positive inotropic effect)

RN: 60719-84-8 MF: $C_{10}H_9N_3O$ MW: 187.20 EINECS: 262-390-0
LD_{50}: 150 mg/kg (M, i.v.); 288 mg/kg (M, p.o.); 75 mg/kg (R, i.v.); 102 mg/kg (R, p.o.)
CN: 5-amino[3,4'-bipyridin]-6(1*H*)-one



Reference(s):
US 4 072 746 (Sterling Drug; 7.2.1978; appl. 21.7.1976; prior. 14.10.1975).
GB 1 512 129 (Sterling Drug; appl. 28.9.1976; USA-prior. 14.10.1975).
DE 2 646 469 (Sterling Drug; appl. 21.7.1976; USA-prior. 14.10.1975).
US 4 004 012 (Sterling Drug; 18.1.1977; appl. 14.10.1975).

improved method analogous to **a**:
GB 2 070 008 (Sterling Drug; appl. 20.2.1981; USA-prior. 26.2.1980).

preparation of 4-pyridineacetic acid *from* 4-acetylpyridine:
Katritzky: J. Chem. Soc. (JCSOA9) **1955**, 2586, 2592.

preparation of 4-pyridyl-malondialdehyde *from* 4-methylpyridine *and* DMF:
Niedrich,H.; Heyne,H.-U.; Schroetter,E.; Jaensch,H.-J.; Heidrich,H.-J. et al.: Pharmazie (PHARAT) 41(3), 173 (1986).

Formulation(s): amp. 5 mg/ml, 100 mg

Trade Name(s):

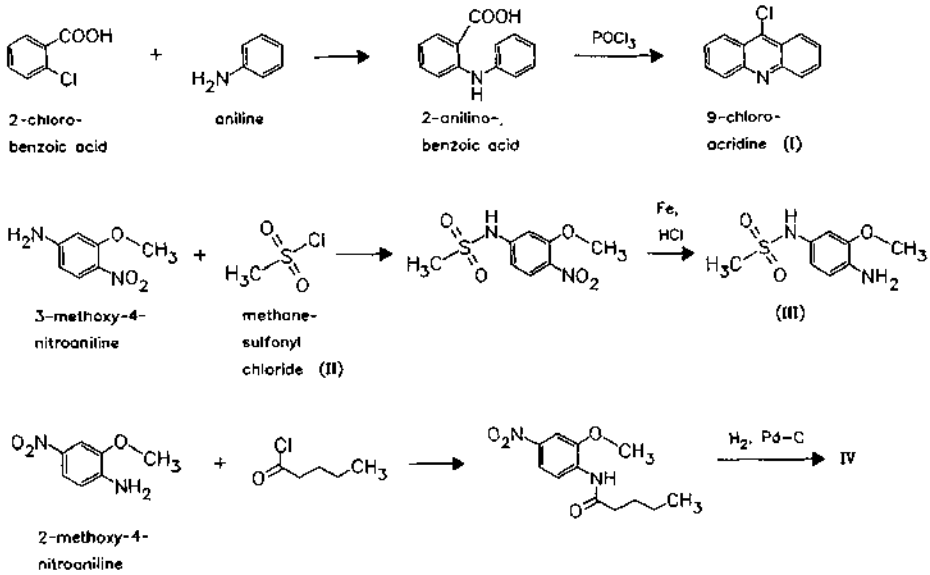
D:	Wincoram (Sanofi Winthrop; 1984)	I:	Inocor (Maggioni-Winthrop)	Vesistol (Inverni della Beffa)

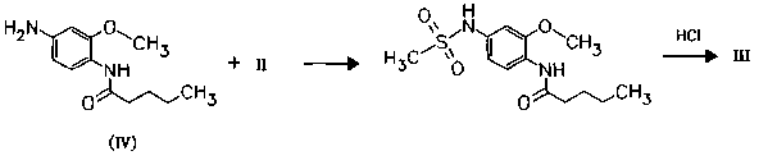
Amsacrine
(m-AMSA)

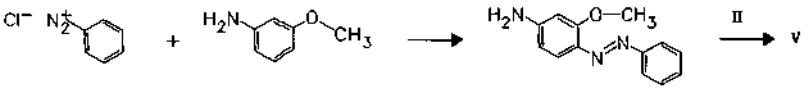
ATC: L01XX01
Use: antineoplastic

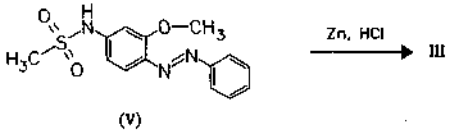
RN: 51264-14-3 MF: $C_{21}H_{19}N_3O_3S$ MW: 393.47 EINECS: 257-094-3
LD_{50}: 33.7 mg/kg (M, i.v.); 53.42 mg/kg (M, p.o.);
6.25 mg/kg (dog, i.v.); 50 mg/kg (dog, p.o.)
CN: *N*-[4-(9-acridinylamino)-3-methoxyphenyl]methanesulfonamide

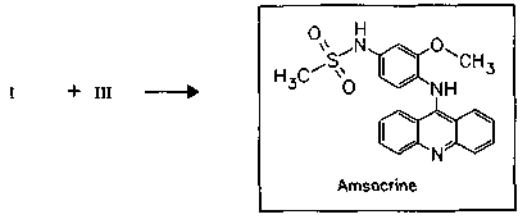
monohydrochloride
RN: 54301-15-4 MF: $C_{21}H_{19}N_3O_3S \cdot HCl$ MW: 429.93
LD_{50}: 60 mg/kg (M, i.p.)











Reference(s):
Cain, B.F. et al.: J. Med. Chem. (JMCMAR) **18**, 1110 (1975); **20**, 987 (1977).
Denny, W.A. et al.: J. Med. Chem. (JMCMAR) **21**, 5 (1978).
Rewcastle, G.W. et al.: J. Med. Chem. (JMCMAR) **25**, 1231 (1982).

preparation of III from benzenediazonium chloride:
Wilson, W.R. et al.: J. Med. Chem. (JMCMAR) **32**, 23 (1989).

Formulation(s): amp. 85 mg/1.7 ml, 75 mg/1.5 ml

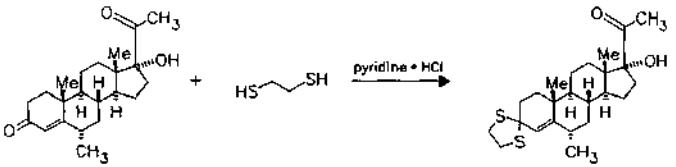
Trade Name(s):
D: Amsidyl (Gödecke) F: Inocor (Sanofi Winthrop) GB: Amsidine (Goldshield)

Anagestone acetate

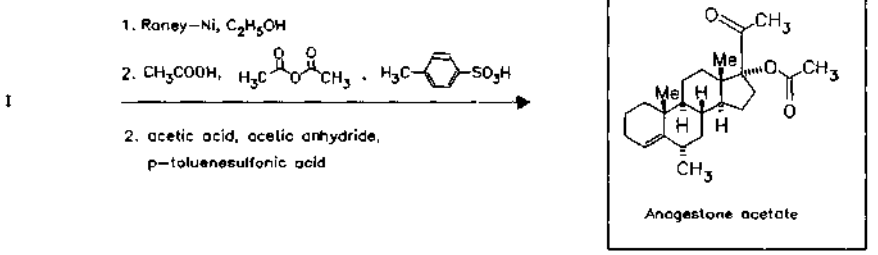
ATC: G03DA
Use: progestogen

RN: 3137-73-3 MF: $C_{24}H_{36}O_3$ MW: 372.55 EINECS: 221-535-8
CN: (6α)-17-(acetyloxy)-6-methylpregn-4-en-20-one

anagestone
RN: 2740-52-5 MF: $C_{22}H_{34}O_2$ MW: 330.51



medroxyprogesterone (q.v.) + 1,2-ethanedithiol → 3,3-ethylenedithio-17-hydroxy-6α-methyl-4-pregnen-20-one (I)



Reference(s):
BE 624 370 (Ortho; appl. 31.10.1962; USA-prior. 6.6.1962, 24.7.1961; F-prior. 23.7.1962).

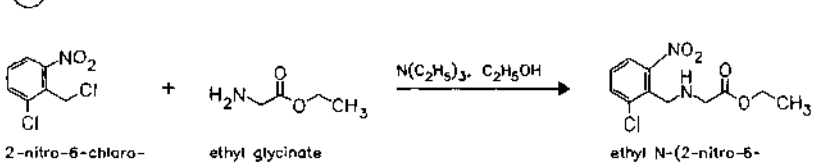
Trade Name(s):
USA: Anatropin (Ortho); wfm

Anagrelide hydrochloride
(BL-4162A; BMY-26538-01)

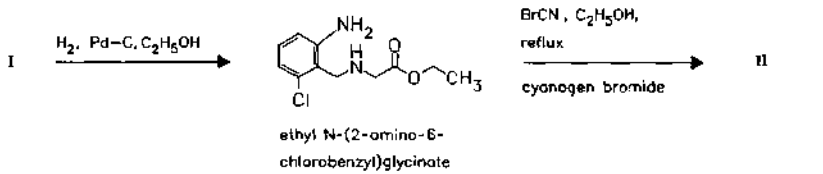
ATC: B01AC14
Use: antithrombotic, phosphodiesterase III (PDEIII)-inhibitor that reduces platelet counts

RN: 58579-51-4 MF: $C_{10}H_7Cl_2N_3O \cdot HCl$ MW: 292.55
CN: 6,7-Dichloro-1,5-dihydroimidazo[2,1-*b*]quinazolin-2(3*H*)-one hydrochloride

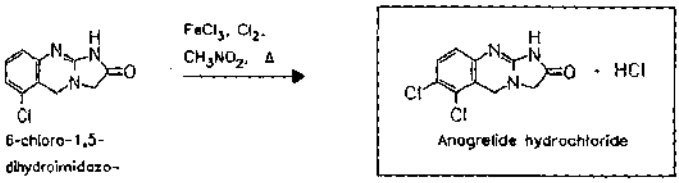
base
RN: 68475-42-3 MF: $C_{10}H_7Cl_2N_3O$ MW: 256.09



2-nitro-6-chlorobenzyl chloride + ethyl glycinate → ethyl N-(2-nitro-6-chlorobenzyl)glycinate (I)

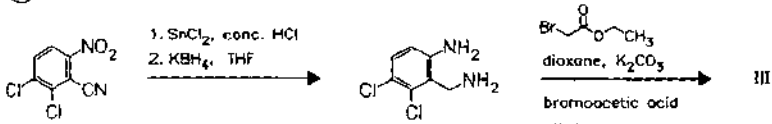


ethyl N-(2-amino-6-chlorobenzyl)glycinate



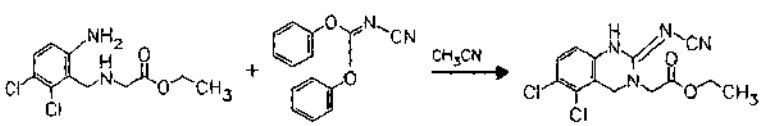
6-chloro-1,5-dihydroimidazo-[2,1-b]quinazolin-2-one (II)

(b)



2,3-dichloro-6-nitro-benzonitrile

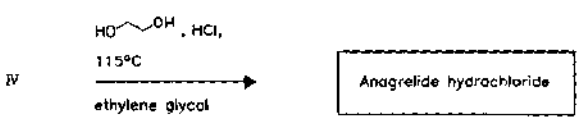
bromoacetic acid ethyl ester



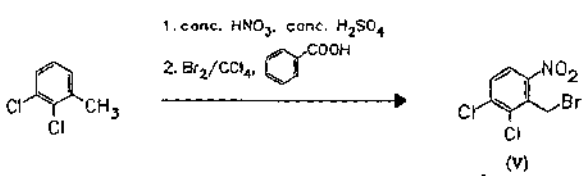
ethyl N-(2,3-dichloro-6-aminobenzyl)glycinate

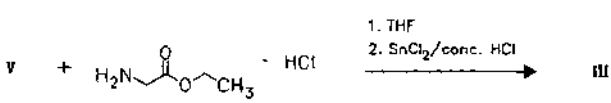
diphenyl N-cyano-imidocarbonate

ethyl (2-cyanoimino-5,6-dichloro-1,4-dihydro-quinazolin-3-yl)acetate (IV)



alternative synthesis of anagrelide precursor III





glycine ethyl ester hydrochloride

Reference(s):

a US 3 932 407 (Bristol Myers Co.; 13.1.1976; USA-prior. 4.2.1972).
alternative cyclization:
US 4 208 521 (Bristol Myers Co.; 17.6.1980; USA-prior. 31.7.1978).
alternative syntheses from 5-chloroisatine *or* 1,2,3-trichlorobenzene:
US 4 146 718 (Bristol Myers Co.; 27.3.1979; USA-prior. 10.4.1978).

b EP 514 917 (EGIS Gyogyszergyar; appl. 22.5.1992; HU-prior. 22.5.1991).
Trinka, P.; Reiter, J.: J. Prakt. Chem./Chem.-Ztg. (JPCCEM) **338** (8), 750 (1997).

synthesis of ethyl *N*-(2,3-dichloro-6-aminobenzyl)glycinate:
HU 60 998 (Egis Gyogyszergyar; HU-prior. 22.5.1991)
Trinka, P.; Slegel, P.; Reiter, J.: J. Prakt. Chem./Chem.-Ztg. (JPCCEM) **338** (7), 675 (1996).
synthesis of 2,3-dichloro-6-nitrobenzonitrile *from* 1,2,3-trichlorobenzene:
Trinka, P.; Berecz, G.; Reiter, J.: J. Prakt. Chem./Chem.-Ztg. (JPCCEM) **338** (7), 679 (1996).

synthesis of anagrelide *precursor*
EP 778 258 (Roberts Lab.; appl. 8.3.1996; USA-prior. 4.12.1995).

pharmaceutical compositions:
US 4 357 330 (Bristol-Myers Co.; 2.11.1982; USA-prior. 30.7.1981).

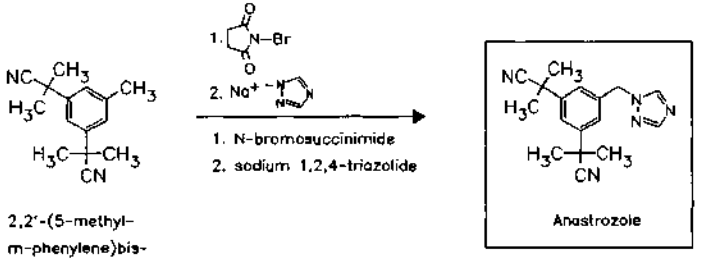
Formulation(s): cps. 0.5 mg, 1 mg (as hydrochloride hydrate)

Trade Name(s):
USA: Agrylin (Roberts Pharmaceutical; 1998)

Anastrozole
(ICI-D1033; ZD-1033)

ATC: L02BG03
Use: antineoplastic, non-steroidal aromatase inhibitor

RN: 120511-73-1 MF: $C_{17}H_{19}N_5$ MW: 293.37
CN: α,α,α',α'-tetramethyl-5-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-benzenediacetonitrile



Reference(s):
EP 296 749 (ICI; appl. 14.6.1988; GB-prior. 16.6.1987).

combination with 5α-reductase inhibitors:
WO 9 218 132 (Merck & Co.; appl. 4.6.1992; USA-prior. 17.4.1991).

Formulation(s): tabl. 1 mg

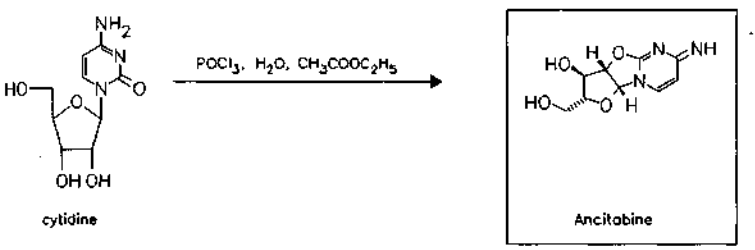
Trade Name(s):
D: Arimidex (Zeneca)
F: Arimidex (Zeneca)
GB: Arimidex (Zeneca)
USA: Arimidex (Zeneca)

Ancitabine
(Cyclooxytidine)

ATC: L01BC
Use: antineoplastic

RN: 31698-14-3 MF: $C_9H_{11}N_3O_4$ MW: 225.20
LD_{50}: 800 mg/kg (M, i.v.); 3400 mg/kg (M, p.o.);
820 mg/kg (R, i.v.); >7 g/kg (R, p.o.);
CN: [2*R*-(2α,3β,3aβ,9aβ)]-2,3,3a,9a-tetrahydro-3-hydroxy-6-imino-6*H*-furo[2',3':4,5]oxazolo[3,2-*a*]pyrimidine-2-methanol

monohydrochloride
RN: 10212-25-6 MF: $C_9H_{11}N_3O_4 \cdot HCl$ MW: 261.67 EINECS: 233-515-6
LD_{50}: 800 mg/kg (M, i.v.); >7 g/kg (M, p.o.);
820 mg/kg (R, i.v.); >7 g/kg (R, p.o.);
344 mg/kg (dog, i.v.)



Reference(s):
Kanai, T. et al.: Chem. Pharm. Bull. (CPBTAL) **18**, 2569 (1970).

alternative syntheses:
Walwick, E.R. et al.: Proc. Chem. Soc., London (PCSLAW) **1959**, 84.
Doerr, L.L.; Fox, J.J.: J. Org. Chem. (JOCEAH) **31**, 1465 (1967).
Ruyle, W.V.; Shenn, T.Y.: J. Med. Chem. (JMCMAR) **10**, 331 (1967).
Kugawa, K.K.; Ichino, M.: Tetrahedron Lett. (TELEAY) **1970**, 867.
The Merck Index, 11th Ed., 663 (Rahway 1991).

Formulation(s): amp. 10 mg, 500 mg (as hydrochloride)

Trade Name(s):
J: Cyclo-C (Kohjin; as hydrochloride)

Ancrod

ATC: C04A
Use: anticoagulant, fibrinolytic

RN: 9046-56-4 MF: unspecified MW: unspecified EINECS: 232-933-6
CN: proteinase, agkistrodon serine

Fibrinolytic effecting protease enzyme with glycoprotein structure; relative mol mass ca. 30000. Isolation from the poison secretion (venom) of *Agkistrodon rhodostoma* (malayan pit viper) with chromatographic purification.

Reference(s):
US 3 657 416 (Natl. Res. Dev. Corp., London; 18.4.1982; GB-prior. 21.2.1964).

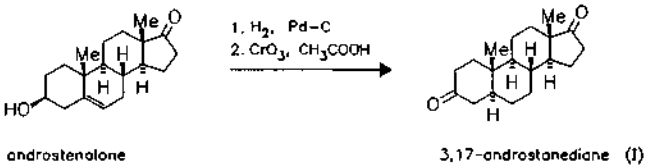
Formulation(s): amp. 70 iu.

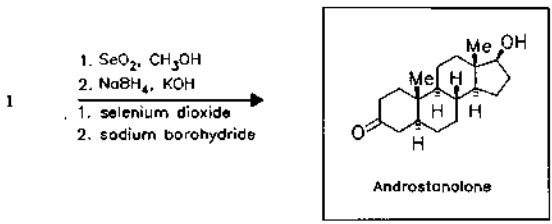
Trade Name(s):
D: Arwin (Knoll); wfm GB: Arvin (Armour); wfm

Androstanolone
(Stanolone)

ATC: G03BB02
Use: androgen

RN: 521-18-6 MF: $C_{19}H_{30}O_2$ MW: 290.45 EINECS: 208-307-3
CN: (5α,17β)-17-hydroxyandrostan-3-one





Reference(s):
US 2 927 921 (Schering; 8.3.1960; prior. 19.5.1954, 24.1.1952).

alternative syntheses:
Butenandt, A. et al.: Chem. Ber. (CHBEAM) **68**, 2097 (1935).
Ruzicka, L. et al.: Helv. Chim. Acta (HCACAV) **20**, 1557 (1937); **24**, 1151 (1941).

Formulation(s): amp. 2 %, 5 %; gel 2.5 %; tabl. 5 mg, 25 mg

Trade Name(s):
D: Ophthovitol (Dr. Winzer)-comb.; wfm
F: Andractim (Besins-Iscovesco)
I: Anabolex (Samil); wfm
J: Apeton (Fujisawa); wfm
USA: Neodrel (Pfizer); wfm

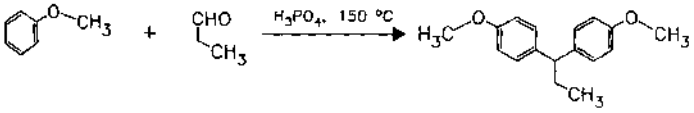
Anethole

ATC: A16AX02
Use: expectorant, carminative, aroma

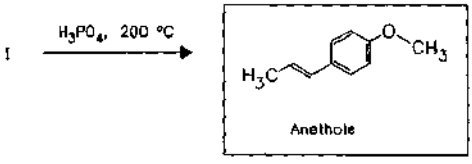
RN: 4180-23-8 MF: $C_{10}H_{12}O$ MW: 148.21 EINECS: 224-052-0
CN: (*E*)-1-methoxy-4-(1-propenyl)benzene

a Isolation from essential oils, e. g. anise oil (80-90 %), staranise oil (>90 %), fennel oil (up to 80 %).
b From American sulfatterpentinol.

c Synthetic:



anisole propion-oldehyde 1,1-bis(4-methoxyphenyl)-propane (I)



Reference(s):
review:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **20**, 241.
DE 2 418 974 (Haarmann & Reimer; appl. 19.4.1974).

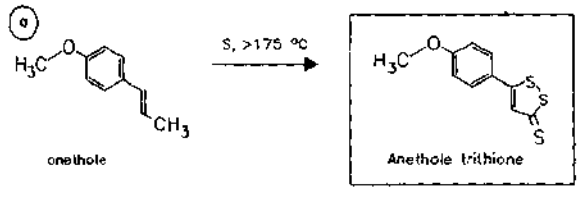
Formulation(s): cps. 75 mg; sol. 4 g/100 g

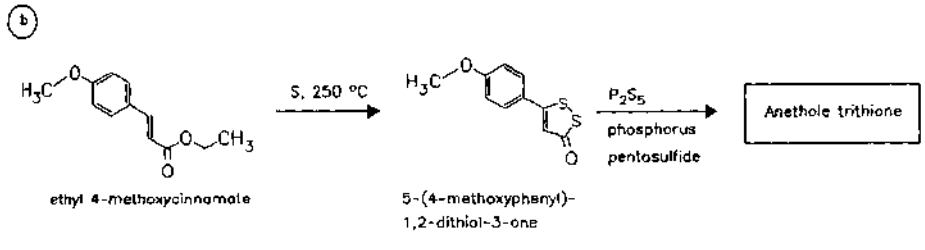
Trade Name(s):
D: Pinimenthol (Spitzner)-comb. Rowatinex (Rowa-Wagner)-comb.
GB: Rowatinex (Rowa)-comb.

Anethole trithione

ATC: A16AX02
Use: choleretic

RN: 532-11-6 MF: $C_{10}H_8OS_3$ MW: 240.37 EINECS: 208-528-5
LD_{50}: 1480 mg/kg (M, p.o.)
CN: 5-(4-methoxyphenyl)-3*H*-1,2-dithiole-3-thione





Reference(s):

a DE 855 865 (B. Böttcher; appl. 1942).
DE 869 799 (B. Böttcher; appl. 1940).

b DE 874 447 (B. Böttcher; appl. 1944).
Schmidt, U. et al.: Justus Liebigs Ann. Chem. (JLACBF) **631**, 129 (1960).

Formulation(s): cps. 4 mg, 75 mg; sol. 4 g/100 g

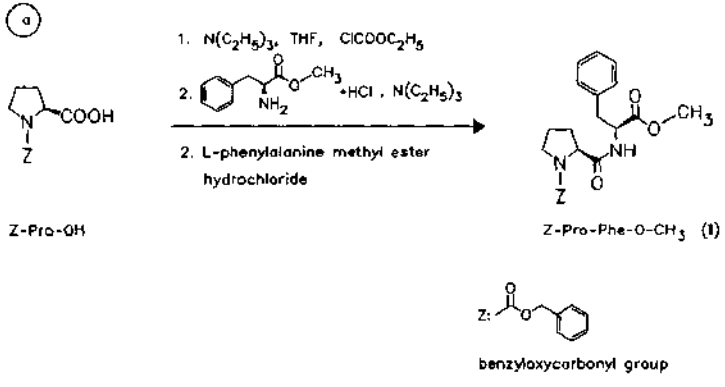
Trade Name(s):

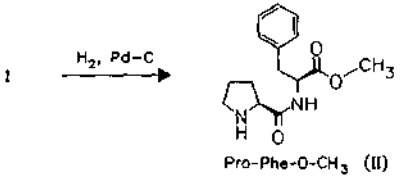
D: Mucinol (Sanofi Winthrop)
F: Sulfarlem (Solvay Pharma)
I: Liverin (Perkins)-comb.; wfm
Liverin (Sir)-comb.; wfm
Sulfalerm (Farmades); wfm
Sulfalerm (Sir); wfm
J: Felviten (Nippon Shinyaku)

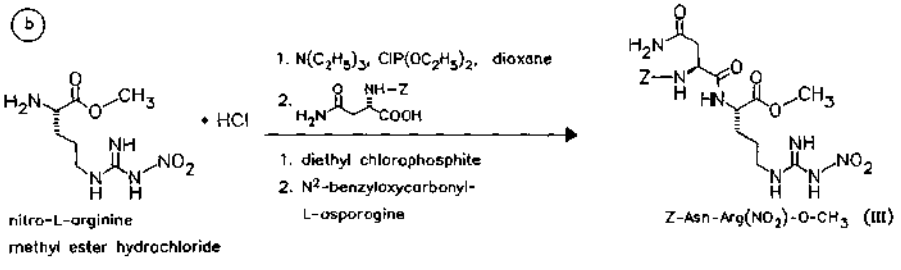
Angiotensinamide

ATC: C01CX06
Use: hypertensive

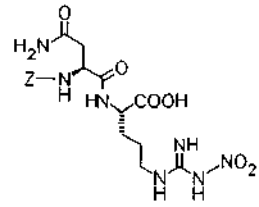
RN: 53-73-6 MF: $C_{49}H_{70}N_{14}O_{11}$ MW: 1031.19 EINECS: 200-182-3
CN: 1-L-asparagine-5-L-valineangiotensin II





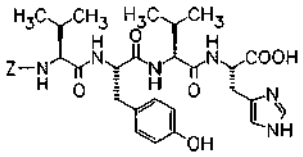


III —(1n NaOH)→



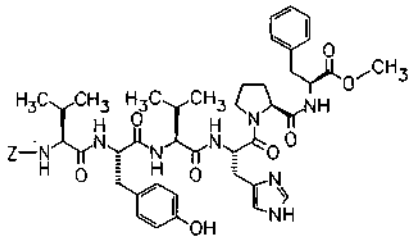
Z-Asn-Arg(NO_2)-OH (IV)

(c)



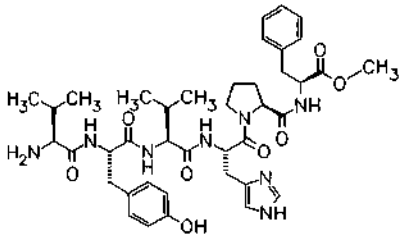
+ II —(DMF, 1-cyclohexyl-3-morpholinylethyl-carbodiimide)→ V

N-benzyloxycarbonyl-L-volyl-L-tyrosyl-L-valyl-L-histidine



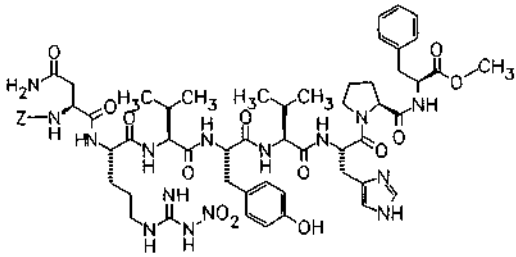
—(HBr, CH_3COOH)→ VI

Z-Val-Tyr-Val-His-Pro-Phe-O-CH_3 (V)



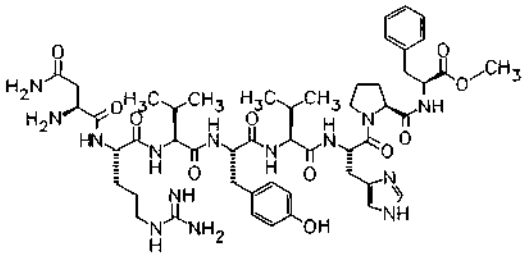
+ IV —(DMF, 1-cyclohexyl-3-morpholinyl-ethyl-carbodiimide)→ VII

H-Val-Tyr-Val-His-Pro-Phe-O-CH_3 (VI)

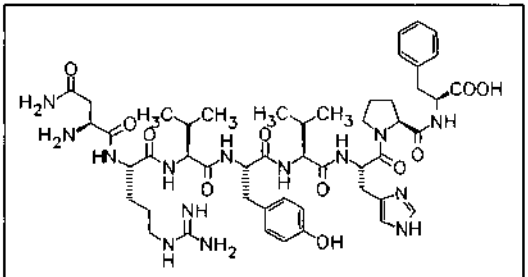


—(H_2, Pd–C, CH_3OH)→ VIII

Z-Asn-Arg(NO_2)-Val-Tyr-Val-His-Pro-Phe-O-CH_3 (VII)



H-Asn-Arg-Val-Tyr-Val-His-Pro-Phe-O-CH_3 (VIII)



Reference(s):
DE 1 125 942 (Ciba; appl. 2.9.1957; CH-prior. 6.9.1956, 8.2.1957, 6.3.1957, 31.7.1957).

Formulation(s): amp. 2.5 mg

Trade Name(s):

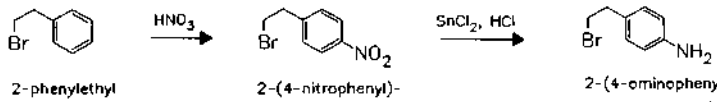
D:	Hypertensin (Ciba); wfm	Hypertensin CIBA (Ciba); wfm	GB:	Hypertensin CIBA (Ciba); wfm	

Anileridine

ATC: N01AH05; N01AX
Use: analgesic

RN: 144-14-9 MF: $C_{22}H_{28}N_2O_2$ MW: 352.48
CN: 1-[2-(4-aminophenyl)ethyl]-4-phenyl-4-piperidinecarboxylic acid ethyl ester

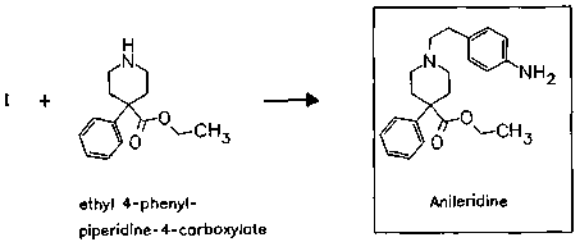
dihydrochloride
RN: 126-12-5 MF: $C_{22}H_{28}N_2O_2 \cdot 2HCl$ MW: 425.40 EINECS: 204-770-0
LD_{50}: 22 mg/kg (M, i.v.); 229 mg/kg (M, p.o.);
175 mg/kg (R, p.o.)
phosphate (1:1)
RN: 4268-37-5 MF: $C_{22}H_{28}N_2O_2 \cdot H_3PO_4$ MW: 450.47



2-phenylethyl bromide

2-(4-nitrophenyl)-ethyl bromide

2-(4-aminophenyl)-ethyl bromide (I)



Reference(s):
US 2 966 490 (Merck & Co.; 27.12.1960; prior. 26.5.1955).

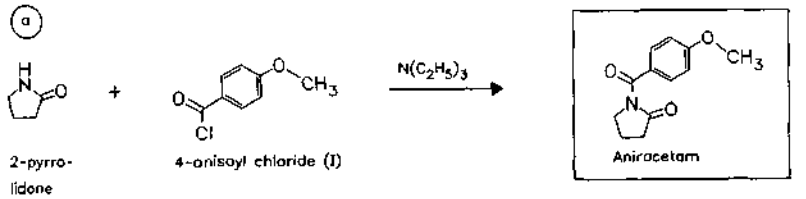
Formulation(s): amp. 25 mg; tabl. 25 mg

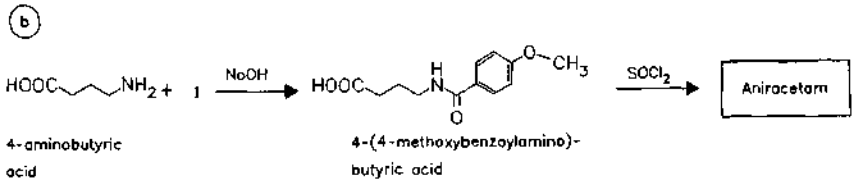
Trade Name(s):
USA: Leritine (Merck Sharp & Dohme); wfm

Aniracetam
(Ro-13-5057)

ATC: N06BX11
Use: nootropic (against senile dementia and cerebral insufficiency), cognition enhancer

RN: 72432-10-1 MF: $C_{12}H_{13}NO_3$ MW: 219.24
LD_{50}: >100 mg/kg (M, i.v.); >5000 mg/kg (M, p.o.)
>50 mg/kg (R, i.v.); 4500 mg/kg (R, p.o.)
CN: 1-(4-methoxybenzoyl)-2-pyrrolidinone





Reference(s):
EP 5 143 (Hoffmann-La Roche, Sparamedica; appl. 9.2.1979; CH-prior. 10.2.1978, 22.11.1978).
EP 44 088 (Hoffmann-La Roche; appl. 9.2.1979; CH-prior. 10.2.1978, 22.11.1978).
(also alternative synthesis).

medical use for treatment of claudicatio intermittens:
EP 243 336 (UCB; appl. 10.4.1987; GB-prior. 14.4.1986).

Formulation(s): powder 1.5 g; tabl. 100 mg, 200 mg, 750 mg

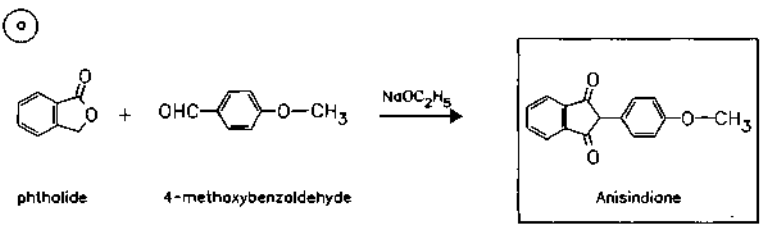
Trade Name(s):

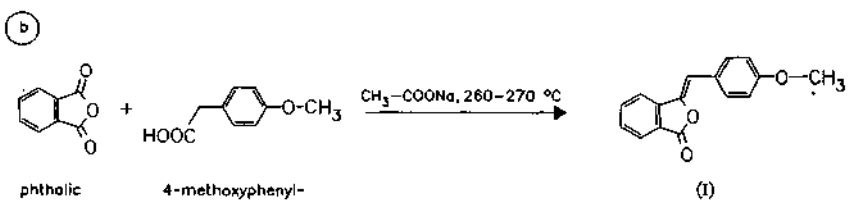
I:	Ampamet (Menarini)	Reset (Biomedica	J:	Draganon (Nippon Roche)
	Draganon (Roche; 1992)	Foscama)		Sarpul (Toyama Chem.)

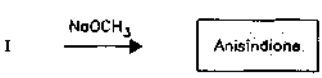
Anisindione

Use: anticoagulant

RN: 117-37-3 MF: $C_{16}H_{12}O_3$ MW: 252.27 EINECS: 204-186-6
LD_{50}: 300 mg/kg (M, p.o.)
CN: 2-(4-methoxyphenyl)-1*H*-indene-1,3(2*H*)-dione







Reference(s):
US 2 899 358 (Schering Corp.; 11.8.1959; prior. 23.2.1956).

Formulation(s): tabl. 75 mg, 100 mg, 300 mg

Trade Name(s):

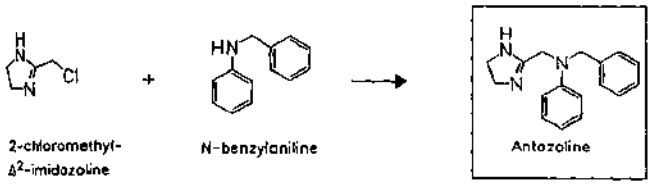
F:	Midone (Cétrane); wfm	Unidone (Unilabo); wfm	USA:	Miradon (Schering)

Antazoline

ATC: R01AC04; R06AX05
Use: antihistaminic

RN: 91-75-8 MF: $C_{17}H_{19}N_3$ MW: 265.36 EINECS: 202-094-0
LD_{50}: 61 mg/kg (M, i.v.); 398 mg/kg (M, p.o.)
CN: 4,5-dihydro-*N*-phenyl-*N*-(phenylmethyl)-1*H*-imidazole-2-methanamine

monohydrochloride
RN: 2508-72-7 MF: $C_{17}H_{19}N_3 \cdot HCl$ MW: 301.82 EINECS: 219-719-8
LD_{50}: 30 mg/kg (dog, i.v.)
sulfate (1:1)
RN: 24359-81-7 MF: $C_{17}H_{19}N_3 \cdot H_2SO_4$ MW: 363.44
monomesylate
RN: 3131-32-6 MF: $C_{17}H_{19}N_3 \cdot CH_4O_3S$ MW: 361.47 EINECS: 221-523-2



Reference(s):
US 2 449 241 (Ciba; 1948; CH-prior. 1944).

Formulation(s): eye drops 0.15 mg/ml, 0.5 mg/ml, 5 mg/ml

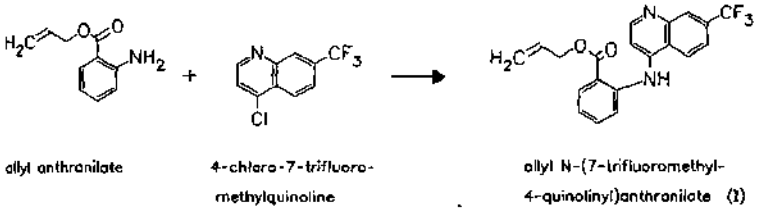
Trade Name(s):

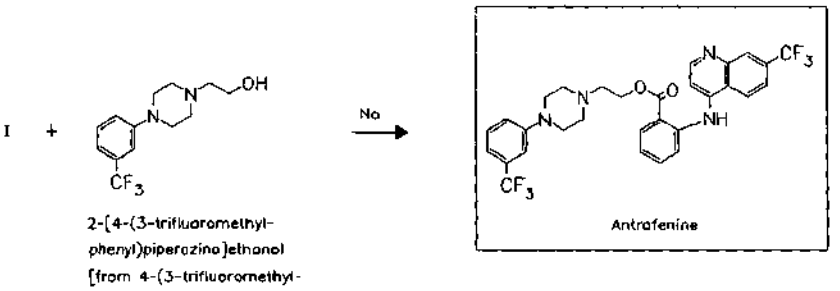
D:	Allergopos (Ursapharm)-comb.	F:	Alcolène (Alcon)-comb.; wfm		Zincoimidazyl (Allergan)-comb.
	Antistin-Privin (CIBA Vision)-comb.	GB:	Otrivine-Antistin (CIBA Vision)-comb.	USA:	Arithmin (Lannett); wfm
	Ophtalmin (Winzer)-comb.	I:	Antistin Privina (Novartis)-comb.		Azolone (Smith, Miller & Patch); wfm
	Spersallerg (CIBA Vision)-comb.		Eubetal (SIFI)-comb.		

Antrafenine

ATC: S02DA
Use: analgesic, anti-inflammatory

RN: 55300-29-3 MF: $C_{30}H_{26}F_6N_4O_2$ MW: 588.55
LD_{50}: 4 g/kg (M, p.o.)
CN: 2-[[7-(trifluoromethyl)-4-quinolinyl]amino]benzoic acid 2-[4-[3-(trifluoromethyl)phenyl]-1-piperazinyl]ethyl ester





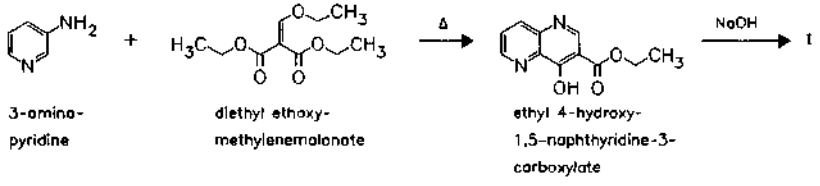
Reference(s):
DOS 2 415 982 (Synthelabo; appl. 2.4.1974; F-prior. 6.4.1973, 9.5.1973, 17.12.1973).

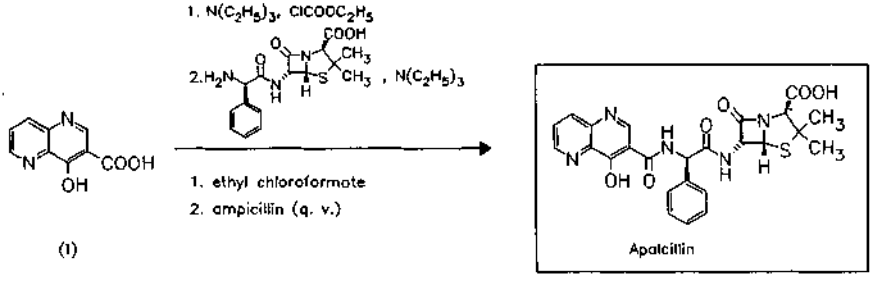
Trade Name(s):
F: Stakane (Dausse); wfm

Apalcillin

ATC: J01CA
Use: semisynthetic β-lactam antibiotic

RN: 63469-19-2 MF: $C_{25}H_{23}N_5O_6S$ MW: 521.55
LD_{50}: 1300 mg/kg (M, i.v.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[[[[(4-hydroxy-1,5-naphthyridin-3-yl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid





Reference(s):
US 3 864 329 (Sumitomo; 4.2.1975; J-prior. 29.12.1970).
US 4 005 075 (Sumitomo; 25.1.1977; J-prior. 5.4.1973).
DOS 2 416 449 (Sumitomo; appl. 4.4.1974; J-prior. 5.4.1973).
US 3 945 995 (Sumitomo; 23.3.1976; J-prior. 5.4.1973).

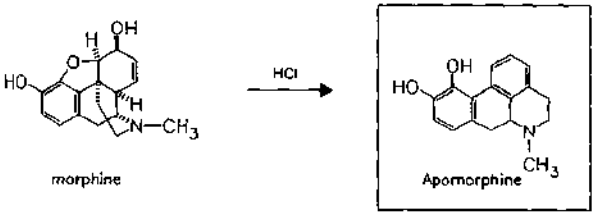
Formulation(s): lyo. 1042 mg, 3126 mg

Trade Name(s):
D: Lumota (Thomae); wfm

Apomorphine

ATC: N04BC07
Use: emetic, expectorant

RN: 58-00-4 MF: $C_{17}H_{17}NO_2$ MW: 267.33 EINECS: 200-360-0
LD_{50}: 56 mg/kg (M, i.v.); >100 mg/kg (M, p.o.)
CN: (*R*)-5,6,6a,7-tetrahydro-6-methyl-4*H*-dibenzo[*de*,*g*]quinoline-10,11-diol



Reference(s):
Small, L. et al.: J. Org. Chem. (JOCEAH) **5**, 334 (1940).

Formulation(s): amp. 10 mg; inj. sol. 10 mg/1 ml, 5 mg/1 ml; tabl. 3 mg

Trade Name(s):

F:	Apokinon (Aguettant)	I:	Apomor (Tariff. Integrativo; as hydrochloride)
GB:	Britagel (Britannia; as hydrochloride)		

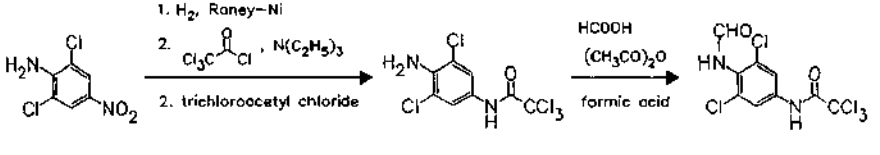
Apraclonidine
(Aplonidine)

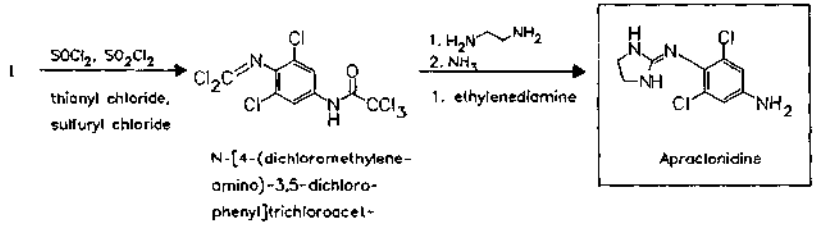
ATC: S01EA03
Use: selective α_2-agonist (for postsurgical control of intraocular pressure)

RN: 66711-21-5 MF: $C_9H_{10}Cl_2N_4$ MW: 245.11
CN: 2,6-dichloro-N^1-2-imidazolidinylidene-1,4-benzenediamine

monohydrochloride
RN: 73218-79-8 MF: $C_9H_{10}Cl_2N_4 \cdot HCl$ MW: 281.57
LD_{50}: 6 mg/kg (M, i.v.); 3 mg/kg (M, p.o.); 9 mg/kg (R, i.v.); 38 mg/kg (R, p.o.)

dihydrochloride
RN: 73217-88-6 MF: $C_9H_{10}Cl_2N_4 \cdot 2HCl$ MW: 318.04





Reference(s):
EP 81 924 (Alcon; appl. 19.11.1982; USA-prior. 20.11.1981).
EP 81 923 (Alcon; appl. 19.11.1982; USA-prior. 20.11.1981).
US 4 461 904 (Alcon; 24.7.1984; prior. 20.11.1981).
Ronot, B.; Leclerc, G.: Bull. Soc. Chim. Fr. (BSCFAS) **Pt. 2**, 520 (1979).

combination with β-receptor antagonist:
EP 365 662 (Alcon; 26.4.1989; USA-prior. 26.4.1988).

preparation of 2,6-dichloro-4-nitroaniline:
Goldschmidt; Strohmenger: Ber. Dtsch. Chem. Ges. (BDCGAS) **55**, 2455 (1922).
Pausadeer; Scroggie: Aust. J. Chem. (AJCHAS) **12**, 430, 432 (1959).
Fluerscheim: J. Chem. Soc. (JCSOA9) **93** 1774 (1908).
Datta; Müller: J. Am. Chem. Soc. (JACSAT) **41**, 2036 (1919).
Koerner: Gazz. Chim. Ital. (GCITA9) **4**, 376 (1874).
Kohn; Pfeifer: Monatsh. Chem. (MOCMB7) **48**, 236 (1927).

Formulation(s): eye drops 0.5 %, 1 %; ophthalmic sol. 10 mg/ml

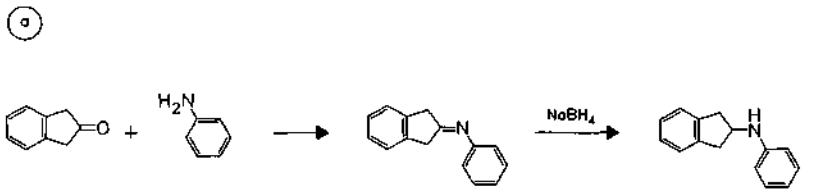
Trade Name(s):
D: Iopidine (Alcon)
F: Iopidine (Alcon)
GB: Iopidine (Alcon)
USA: Iopidine (Alcon; 1988); wfm

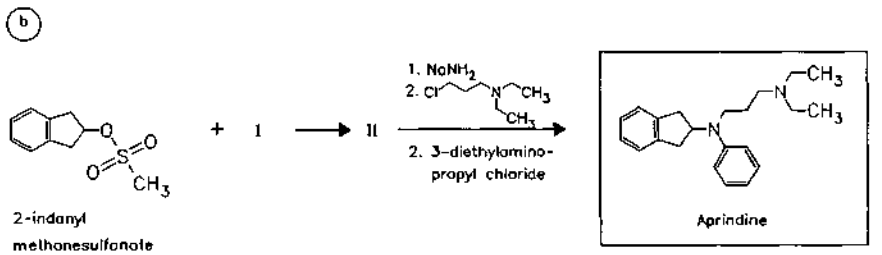
Aprindine

ATC: C01BB04
Use: antiarrhythmic

RN: 37640-71-4 MF: $C_{22}H_{30}N_2$ MW: 322.50
LD_{50}: 274 mg/kg (M, p.o.)
CN: *N*-(2,3-dihydro-1*H*-inden-2-yl)-*N'*,*N'*-diethyl-*N*-phenyl-1,3-propanediamine

monohydrochloride
RN: 33237-74-0 MF: $C_{22}H_{30}N_2 \cdot HCl$ MW: 358.96 EINECS: 251-418-7
LD_{50}: 17.1 mg/kg (M, i.v.); 262 mg/kg (M, p.o.);
16.6 mg/kg (R, i.v.); 525 mg/kg (R, p.o.)





Reference(s):
DE 2 060 721 (Christiaens S. A.; appl. 10.12.1970; GB-prior. 19.12.1968, 26.11.1970).

Formulation(s): cps. 50 mg; inj. sol. 200 mg/20 ml

Trade Name(s):

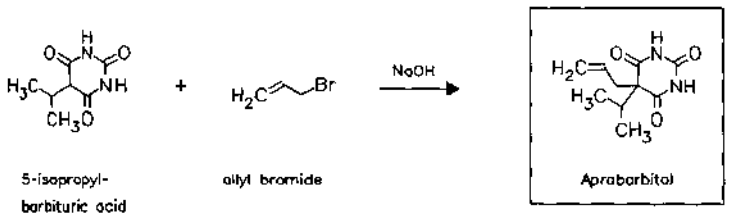
D:	Amidonal (PCR Arzneimittel)	F:	Fiboran (Nycomed)
		J:	Aspenone (Mitsui)

Aprobarbital

ATC: N05CA05
Use: hypnotic, sedative

RN: 77-02-1 MF: $C_{10}H_{14}N_2O_3$ MW: 210.23 EINECS: 200-997-4
LD_{50}: 200 mg/kg (M, i.p.); 350 mg/kg (M, s.c.)
CN: 5-(1-methylethyl)-5-(2-propenyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt
RN: 125-88-2 MF: $C_{10}H_{13}N_2NaO_3$ MW: 232.22 EINECS: 204-760-6
LD_{50}: 85 mg/kg (R, i.p.)



Reference(s):
US 1 444 802 (Hoffmann-La Roche; 1923; appl. 1921).

Formulation(s): elixir 40 mg; tabl. 20 mg, 40 mg, 80 mg

Trade Name(s):

D:	Allional (Hoffmann-La Roche)-comb.; wfm		Nervisal (Lappe)-comb.; wfm		Vita-Dor (Steigerwald)-comb.; wfm
	Mandotrilan-"forte" (Henk)-comb.; wfm		Nervolitan (Kettelhack)-comb.; wfm	USA:	Alurate (Roche); wfm
	Nervinum Stada (Stada)-comb.; wfm		Resedorm (Lappe)-comb.; wfm		

Aprotinine
(Trasylol; Triazinin; Zymofren)

ATC: B02AB
Use: proteinase inhibitor, kallikrein inhibitor

RN: 9087-70-1 MF: $C_{284}H_{432}N_{84}O_{79}S_7$ MW: 6511.55 EINECS: 232-994-9
LD_{50}: >50 ml/kg (M, i.p.); >50 ml/kg (M, s.c.); >40 ml/kg (R, i.p.); >40 ml/kg (R, s.c.)
CN: trypsin inhibitor (ox pancreas basic)

antagosan
RN: 9050-74-2 MF: $C_{284}H_{432}N_{84}O_{79}S_7$ MW: 6511.55
iniprol
RN: 11004-21-0 MF: $C_{284}H_{432}N_{84}O_{79}S_7$ MW: 6511.55

ox pancreas basic
RN: 12407-79-3 MF: $C_{284}H_{432}N_{84}O_{79}S_7$ MW: 6511.55
ox pancreas basic reduced
RN: 11061-94-2 MF: $C_{284}H_{438}N_{84}O_{79}S_7$ MW: 6517.60

By extraction of animal lymph glands, parotid glands, pancreas, liver, milt and blood serum with diluted acetic acid-ethanol-mixtures upon removal of fat and proteins.

Reference(s):
US 2 890 986 (Bayer; 16.6.1959; D-prior. 29.5.1954).

Formulation(s): amp. 200000 KIU; inj. sol. 100000 KIU/10 ml, 500000 KIU/50 ml

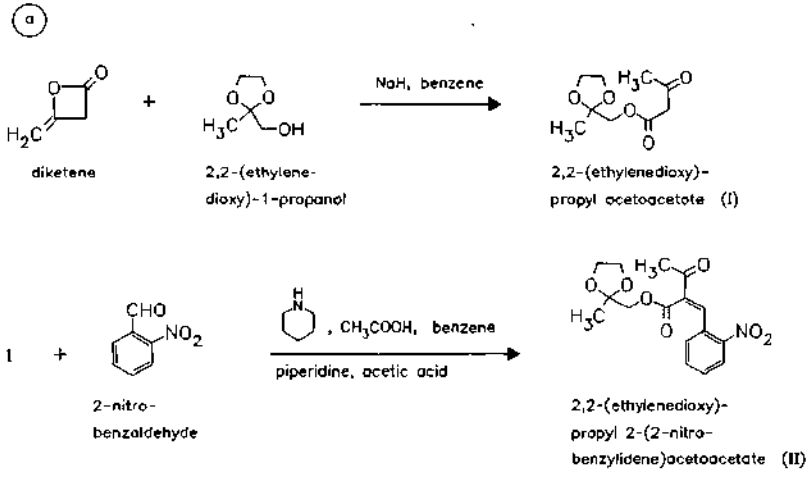
Trade Name(s):

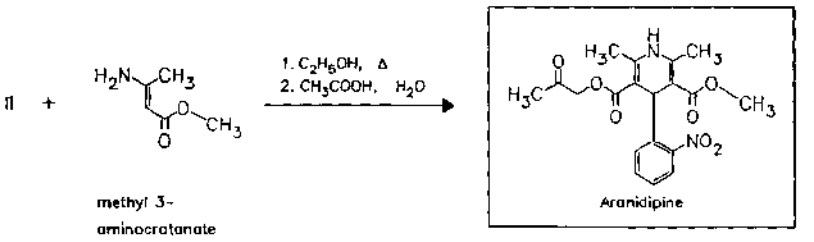
D:	Antagosan (Hoechst)		Biscol (Lab. Français du		Trasylol (Bayer)
	Beriplast (Centeon Pharma)-comb.		Fractionement et des Biotechnologies)-comb.	J:	Trasylol (Bayer-Yoshitomi; as solution)
	Tissucol (Immuno)		Trasylol (Bayer-Pharma)	USA:	Trasylol Injection (Bayer)
	Trasylol (Bayer Vital)	I:	Antagosan (Behring)		
F:	Antagosan (Hoechst Houdé)		Fase (Astra-Simes)		
			Kir Richter (Lepetit)		

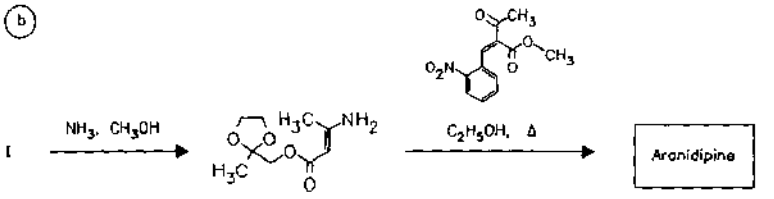
Aranidipine
(MPC-1304)

ATC: C04
Use: antihypertensive, calcium channel blocker

RN: 86780-90-7 MF: $C_{19}H_{20}N_2O_7$ MW: 388.38
LD_{50}: 143 mg/kg (M, p.o.);
1459 mg/kg (R, p.o.);
3333 mg/kg (dog, p.o.)
CN: 1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-3,5-pyridinedicarboxylic acid methyl 2-oxopropyl ester







Reference(s):
a Ohno, S. et al.: Chem. Pharm. Bull. (CPBTAL) **34**(4), 1589-1606 (1986).
b FR 2 514 761 (Maruko Seiyaku; appl. 19.10.1982; J-prior. 19.10.1981).

topical ophthalmic formulation:
WO 9 323 082 (Alcon Lab.; appl. 12.5.1993; USA-prior. 13.5.1992).

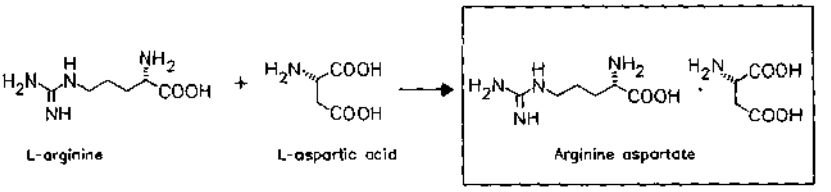
Formulation(s): gran. 20 mg/g (2 %)

Trade Name(s):
J: Bec (Maruko; Bristol-Myers Squibb); Sapresta (Taiho)

Arginine aspartate

ATC: A13A
Use: liver dysfunction therapeutic, tonic

RN: 7675-83-4 MF: $C_6H_{14}N_4O_2$ MW: 174.20 EINECS: 231-656-8
CN: L-aspartic acid compd. with L-arginine (1:1)



Very pure preparations are obtained by treatment of L-aspartic acid loaded strong basic anion-exchange resins with an aqueous solution of L-arginine hydrochloride.

Reference(s):
DAS 1 518 033 (Mundipharma; appl. 17.9.1965; F-prior. 14.1.1965).

Formulation(s): tabl. 1 g; sol. 1 g/10 ml, 1 g/5 ml; tabl. 500 mg, 1 g

Trade Name(s):
D: Argihepar (Chephasaar); wfm
F: Sargenor (ASTA Medica)
I: Glutargin (Terapeutico M.R.)-comb.

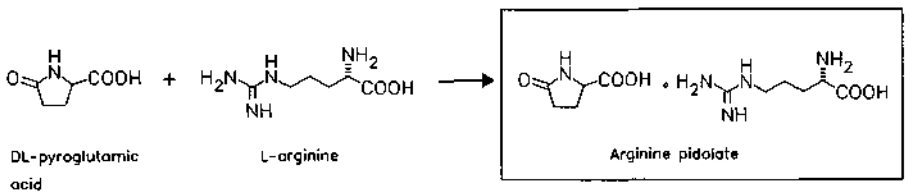
Sargenor (ASTA Medica)

Arginine pidolate

(Arginine pyroglutamate)

ATC: A13A
Use: tonic, cerebrostimulant

RN: 64855-91-0 MF: $C_6H_{14}N_4O_2 \cdot C_5H_7NO_3$ MW: 303.32 EINECS: 265-253-3
CN: 5-oxo-proline compd. with L-arginine (1:1)



Reference(s):
DAS 2 416 339 (Manetti Roberts; appl. 4.4.1974; I-prior. 4.4.1973).
GB 1 421 089 (Manetti Roberts; appl. 27.3.1974; I-prior. 4.4.1973).
Provenzano, P.M. et al.: Arzneim.-Forsch. (ARZNAD) **27**, 1553 (1977).

use as sexual tonic:
DOS 3 125 512 (Manetti Roberts; appl. 29.6.1981; I-prior. 30.6.1980).

Formulation(s): lyo. 500 mg, 1 g; tabl. 500 mg

Trade Name(s):
I: Adiuvant (Manetti Roberts)
Detoxergon Fiale (Baldacci)-comb.
Detoxergon Polvere (Baldacci)-comb.
Neoiodarsolo (Baldacci)-comb.

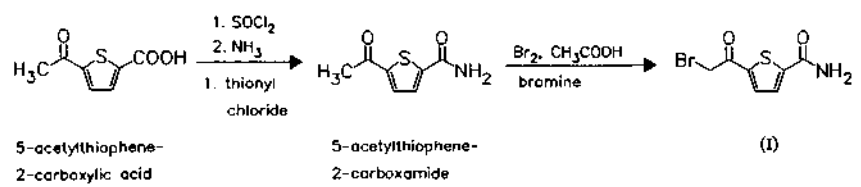
Arotinolol

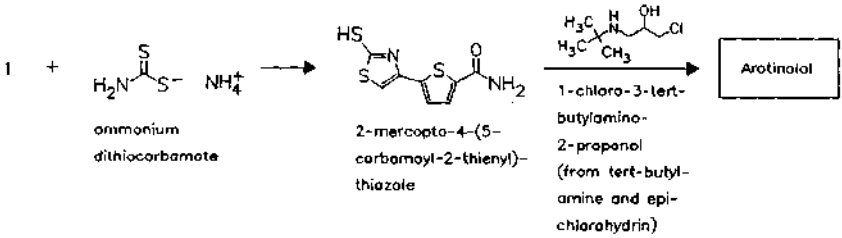
(S-596)

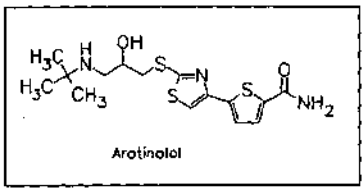
ATC: C07AA
Use: β-adrenoceptor blocker, antihypertensive, antianginal

RN: 52560-77-7 MF: $C_{15}H_{21}N_3O_2S_3$ MW: 371.55
LD_{50}: >360 mg/kg (M, i.p.); 86 mg/kg (M, i.v.); >5000 mg/kg (M, p.o.)
CN: 5-[2-[[3-[(1,1-dimethylethyl)amino]-2-hydroxypropyl]thio]-4-thiazolyl]-2-thiophenecarboxamide

hydrochloride
RN: 80416-73-5 MF: $C_{15}H_{21}N_3O_2S_3 \cdot xHCl$ MW: unspecified







Reference(s):
DOS 2 341 753 (Sumitomo; appl. 17.8.1973; J-prior. 17.8.1972, 5.4.1973).
US 3 932 400 (Sumitomo; 13.1.1976; J-prior. 17.8.1972, 5.4.1973).
Hara, Y. et al.: J. Pharm. Sci. (JPMSAE) **67**, 1334 (1978).

Formulation(s): tabl. 10 mg

Trade Name(s):
J: Almarl (Sumitomo; 1986)

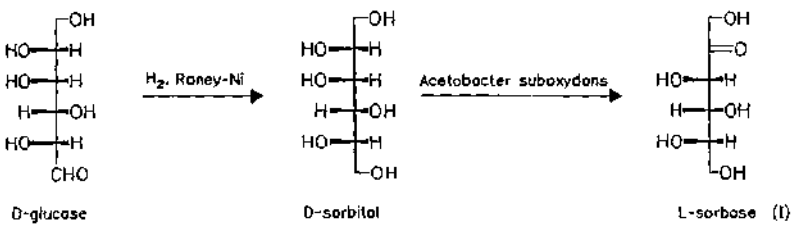
Ascorbic acid

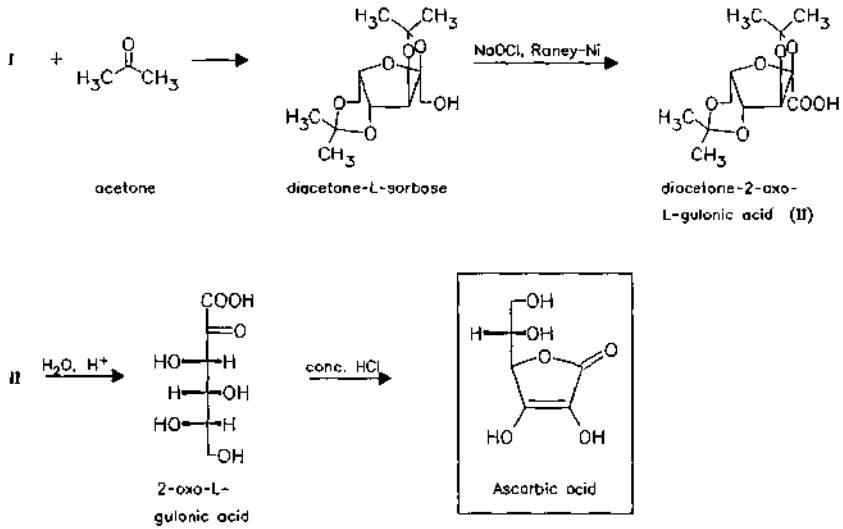
(Acide ascorbique; Vitamin C)

ATC: A11GA01
Use: antiscorbutical vitamin, antioxidant

RN: 50-81-7 MF: $C_6H_8O_6$ MW: 176.12 EINECS: 200-066-2
LD_{50}: 518 mg/kg (M, i.v.); 3367 mg/kg (M, p.o.);
>4 g/kg (R, i.v.); 11.9 g/kg (R, p.o.)
CN: L-ascorbic acid

monopotassium salt
RN: 15421-15-5 MF: $C_6H_7KO_6$ MW: 214.21 EINECS: 239-432-1
monosodium salt
RN: 134-03-2 MF: $C_6H_7NaO_6$ MW: 198.11 EINECS: 205-126-1
calcium salt (2:1)
RN: 5743-27-1 MF: $C_{12}H_{14}CaO_{12}$ MW: 390.31 EINECS: 227-261-5
magnesium salt (2:1)
RN: 15431-40-0 MF: $C_{12}H_{14}MgO_{12}$ MW: 374.54 EINECS: 239-442-6
Fe(II) salt (2:1)
RN: 24808-52-4 MF: $C_{12}H_{14}FeO_{12}$ MW: 406.08





Reference(s):
Reichstein, T.; Grüssner, A.: Helv. Chim. Acta (HCACAV) **17**, 311 (1934).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **18**, 223 ff.
Kirk-Othmer Encycl. Chem. Technol., 2. Ed. (15SWA8), Vol. **2**, (1963-1971), p. 747 ff.

Formulation(s): amp. 562 mg; eff. tabl. 1 g; powder 1 g; tabl. 50 mg, 200 mg, 500 mg

Trade Name(s):

D: Ascorvit (Jenapharm)
Cebion (Merck)
Cetebe (SmithKline Beecham)
Cevitt (Hermes)
Vitamin C Phytopharma (OTW)
numerous combination preparations

F: Ascofer (Gerda; as iron-salt)
Laroscorbine (Roche Nicholas)
Midy Vitamine C (SmithKline Beecham)
Vitascorbol (Théraplix)
generics (as salts) and numerous combination preparations

GB: Ferfolic SV (Sinclair)-comb.
Redoxon (Roche Consumer)

I: Acidylina (Ist. Italiano Ferm.)
Agrumina (Also)
Agruvit (Lepetit)
Ascomed (Ripari-Gero)
Ascorgil (Biomedica Foscama)
Aster C (Corvi)
Bio-Ci (Ceccarelli)
C-Lisa (Lisapharma)
C-Tard (Eurand-Mi)
Cebion (Bracco)
Cecon (Abbott)
Cevit (Italfarmaco)
Duo-C (Geymonat)
Idro-C (Blue Cross)
Lemonvit (Molteni)
Redoxon (Roche)
Vicifte (Iacopo Monico)
Vicitina (CT)
Vitamina C Vca (Bergamon)
Vitamina C Vita (Synthelabo)
combination preparations

J: Ascoyl (Shionogi); wfm
Hicee (Takeda); wfm
Viscorin (Daiichi); wfm
Vitacimin (Takeda); wfm
numerous combination preparations

USA: ACES Antioxidant Soft Gels (Carlson)
Ce-Vi-Sol (Mead Johnson)
Cevi-Bid (Geriatric Pharm.)
Chromagen (Savage)
CitraDerm (Pedinol)
Ferancee (Stuart)
Fero-Folic-500 (Abbott)
Fero-Grad-500 (Abbott)
Fetrin (Lunsco)
Irospam (Fielding)
Materna (Lederle Labs.)
Trinsicon (UCB)
Vi-Daylin ADC (Ross)
Vitron-C (Fisons)
numerous combination preparations

Asparaginase
(L-Asparaginase)

ATC: L01XX; L01XX02
Use: antineoplastic

RN: 9015-68-3 MF: unspecified MW: unspecified EINECS: 232-765-3
LD_{50}: 136 g/kg (M, i.v.);
7568 mg/kg (R, i.v.);
227 mg/kg (dog, i.v.)
CN: asparaginase

L-Asparagine-amidohydrolase.
Relative mol mass 133000 ± 5000.
By separation from bacterial culture such as *Escherichia coli*, *Serratia marcescens*, *Erwinia aroideae*, *Erwinia atroseptica*, *Erwinia carotovora*.

Reference(s):
Ho et al.: J. Biol. Chem. (JBCHA3) **245**, 3708 (1970).
DAS 1 642 615 (Bayer; appl. 27.12.1967).
DAS 1 942 833 (Secret. of State for Social Services, London; appl. 22.8.1969; GB-prior. 23.8.1968).
DAS 1 942 900 (Secret. of State for Social Services, London; appl. 22.8.1969; GB-prior. 23.8.1968).

Formulation(s): inj. powder 10000 iu/2.5 ml

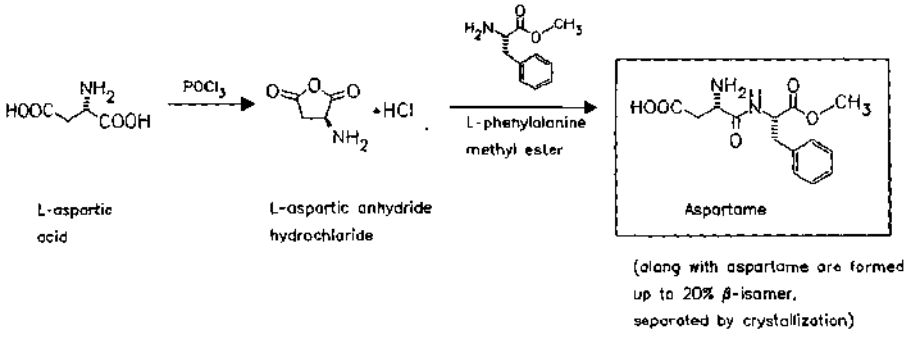
Trade Name(s):

D:	Erwinase (Ipsen Pharma)	I:	Crasnitin (Bayer); wfm	USA:	Elspar (Merck)
F:	Kidrolase (Bellon)	J:	Leunase (Kyowa Hakko)		

Aspartame

Use: sweetener (pharmaceutical agent)

RN: 22839-47-0 MF: $C_{14}H_{18}N_2O_5$ MW: 294.31 EINECS: 245-261-3
CN: *N*-L-α-aspartyl-L-phenylalanine 1-methyl ester



Reference(s):
DE 1 692 768 (Searle & Co.; prior. 16.2.1968).
Mazur, R.H. et al.: J. Am. Chem. Soc. (JACSAT) **91**, 264 (1969).
Ariyoshi, Y. et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **46**, 1893 (1973).
DE 2 104 620 (Ajinomoto; appl. 1.2.1971; J-prior. 31.1.1970, 23.2.1970, 26.6.1970).
DAS 2 152 111 (Ajinomoto; appl. 19.10.1971; J-prior. 26.10.1970).
DAS 2 233 535 (Ajinomoto; appl. 7.7.1972; J-prior. 9.7.1972).
US 3 492 131 (Searle; 27.1.1970; appl. 18.4.1966).

alternative synthesis:
US 4 238 392 (Pfizer; 9.12.1980; appl. 29.10.1979).
US 4 173 562 (Monsanto; 6.11.1979; prior. 27.12.1976, 31.3.1978).
EP 143 881 (Gema; appl. 6.7.1984; CH-prior. 7.9.1983).

fermentative preparation from L-aspartic acid *and* L-phenylalanine methyl ester:
US 4 506 011 (Toyo Soda; 19.3.1985; J-prior. 5.9.1981; 14.10.1981, 18.1.1982).

purification:
US 3 798 207 (Ajinomoto; 19.3.1974; J-prior. 26.10.1970).

Formulation(s): eff. tabl. 20 mg; tabl. 18 mg

Trade Name(s):

D:	Canderel (Wander)	Bil Aspatame dolaf (Pietrasanta)	Hermesetas Gold (Milanfarma)
F:	Candérel (Monsanto; 1980)	Dietoman aspartame (Sterling Midy)	Snel Miel (Fea)
I:	Aspardolce Dolafic (Ganassini)	Dolcor aspartame (Gazzoni)	Suaviter (Boehringer Mannh.)
	Asparel Dietason (Formenti)	Futura aspartame (Farmacologico Milanese)	Tac Aspartame (Also)
	Aspartina (Ilex)		J: Pal-Sweet (Ajinomoto)

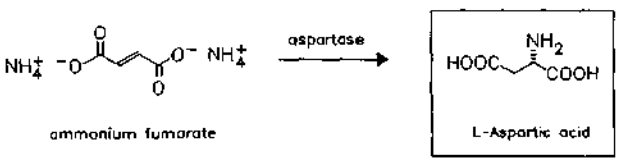
L-Aspartic acid

(L-2-Aminosuccinic acid; Acide aspartique)

Use: non-essential proteinogenic amino acid (for infusion solutions and as salt former)

RN: 56-84-8 MF: $C_4H_7NO_4$ MW: 133.10 EINECS: 200-291-6
LD_{50}: 6 g/kg (M, i.p.)
CN: L-aspartic acid

monopotassium salt
RN: 1115-63-5 MF: $C_4H_6KNO_4$ MW: 171.19 EINECS: 214-226-4
monosodium salt
RN: 3792-50-5 MF: $C_4H_6NNaO_4$ MW: 155.09 EINECS: 223-264-0
magnesium salt (2:1)
RN: 2068-80-6 MF: $C_8H_{12}MgN_2O_8$ MW: 288.50 EINECS: 218-191-6
magnesium salt (2:1) tetrahydrate
RN: 7018-07-7 MF: $C_8H_{12}MgN_2O_8 \cdot 4H_2O$ MW: 360.56



Reference(s):
with immovable aspartase:
Tosa, T. et al.: Biotechnol. Bioeng. (BIBIAU) **15**, 69 (1973).

with immovable E. coli (ATCC 11303):
Sato, T. et al.: Biotechnol. Bioeng. (BIBIAU) **17**, 1797 (1975).
US 3 791 926 (Tanabe; 12.2.1974; J.-prior. 28.10.1971).
US 4 138 292 (Tanabe, 6.2.1979; J-prior. 2.7.1976).
Fusee, M.C. et al.: Appl. Environ. Microbiol. (AEMIDF) **42**, 672 (1981).
US 4 436 813 (Purification Engineering; 13.3.1984; appl. 16.3.1982).
US 4 560 653 (Grace; 24.12.1985; appl. 6.6.1983).
EP 110 422 (Tanabe; appl. 2.12.1983; J.-prior. 3.12.1982).

Formulation(s): many different formulations

Trade Name(s):

D: Eubiol (Chephasaar)
numerous combination preparations

F: Mégamag (Mayoly-Spindler; as magnesium salt)
Sargenon (ASTA Medica; with arginine)

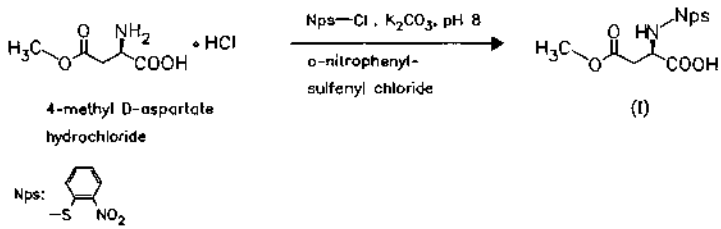
numerous combination preparations

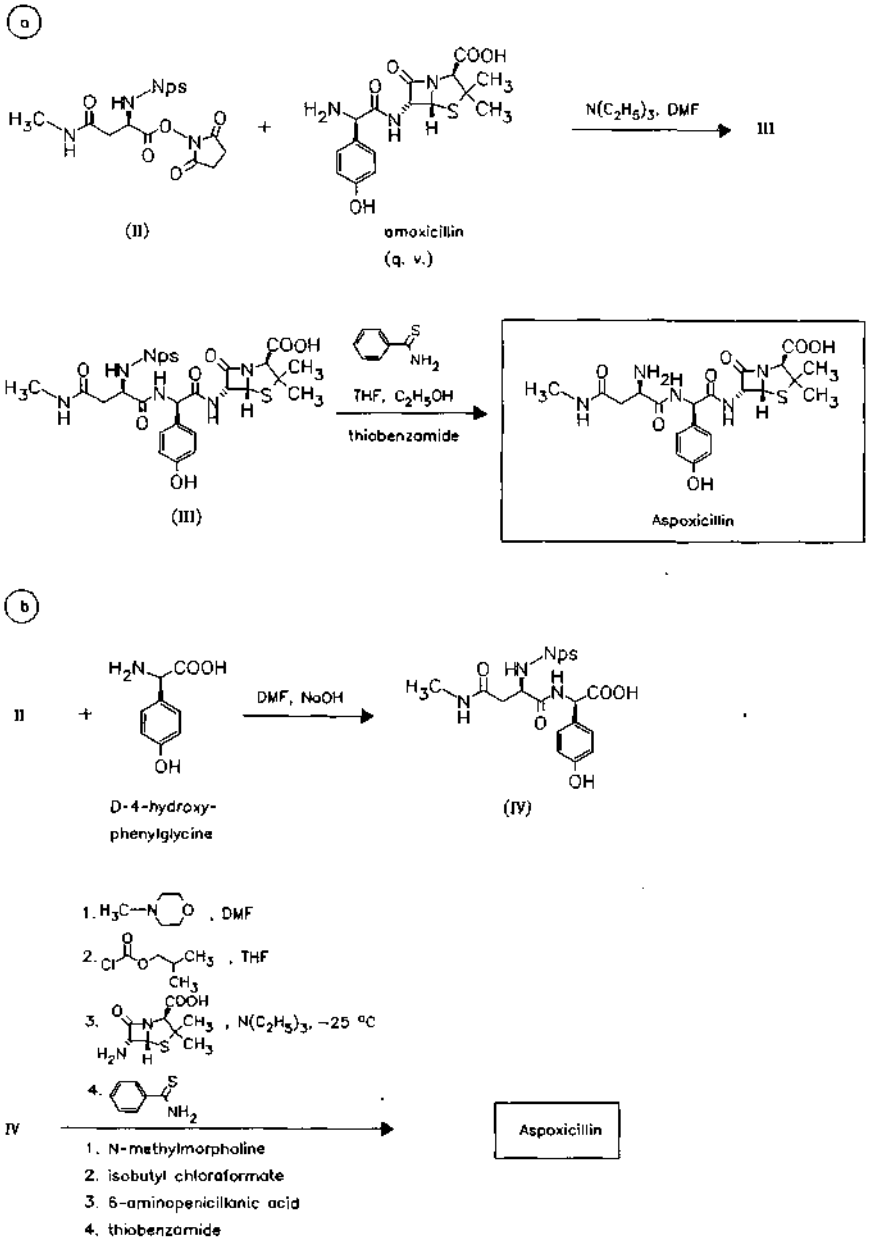
I: Oral K (Sclavo)-comb.
Polase (Wyeth)-comb.

Aspoxicillin
(TA-058)

ATC: J01
Use: semisynthetic penicillin (for parenteral administration), derivative of amoxicillin

RN: 63358-49-6 MF: $C_{21}H_{27}N_5O_7S$ MW: 493.54
LD_{50}: >10 g/kg (M, i.v.)
CN: [2*S*-(2α,5α,6β)]-*N*-methyl-D-asparaginyl-*N*-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-(4-hydroxyphenyl)glycinamide





Reference(s):

Wagatsuma, M. et al.: J. Antibiot. (JANTAJ) **36**, 147 (1983).
US 4 053 609 (Tanabe Seiyaku; 11.10.1977; UK-prior. 12.9.1975; J-prior. 27.12.1975, 29.12.1975).
GB 1 533 413 (Tanabe Seiyaku; appl. 12.9.1975 and 16.8.1976).
GB 1 533 414 (Tanabe Seiyaku; appl. 3.12.1976; J-prior. 27.12.1975).
DOS 2 638 067 (Tanabe Seiyaku; appl. 24.8.1976; GB-prior. 12.9.1975, 27.12.1975, 29.12.1975).

purification:

US 4 313 875 (Tanabe Seiyaku; 2.2.1982; J-prior. 11.9.1979).
EP 25 233 (Tanabe Seiyaku; appl. 10.9.1980; J-prior. 11.9.1979).

trihydrate:

US 4 866 170 (Tanabe Seiyaku; 12.9.1989; J-prior. 24.9.1986).
EP 261 823 (Tanabe Seiyaku; appl. 3.9.1987; J-prior. 24.9.1986).

lyophilized preparation:
US 4 966 899 (Tanabe Seiyaku; 30.10.1990; J-prior. 14.1.1987).

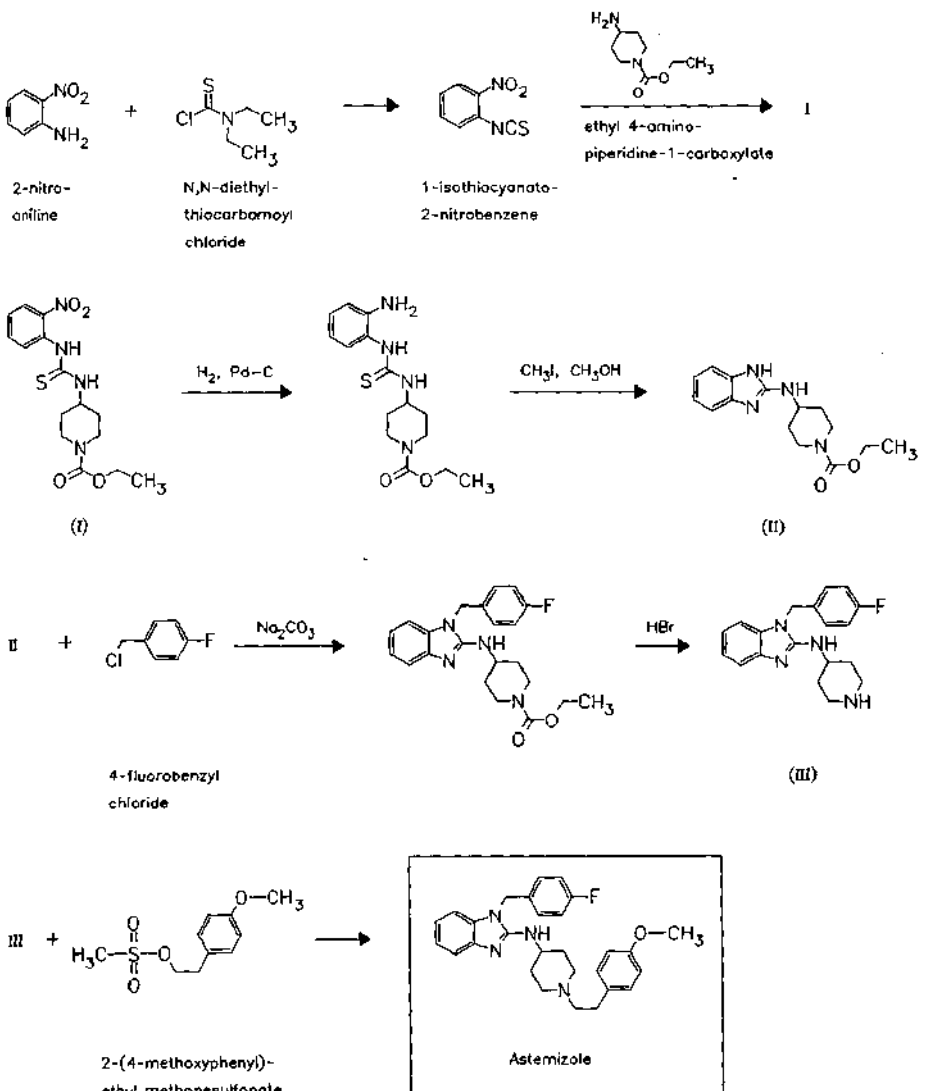
Formulation(s): powder in vial 1 g, 2 g

Trade Name(s):
J: Doyle (Tanabe; 1987)

Astemizole
(R 43512)

ATC: R06AX11
Use: antihistaminic, antiallergic

RN: 68844-77-9 MF: $C_{28}H_{31}FN_4O$ MW: 458.58 EINECS: 272-441-9
LD_{50}: 35 mg/kg (M, i.v.); 2560 mg/kg (M, p.o.);
28.2 mg/kg (R, i.v.); >2560 mg/kg (R, p.o.);
21.8 mg/kg (dog, i.v.); >320 mg/kg (dog, p.o.)
CN: 1-[(4-fluorophenyl)methyl]-*N*-[1-[2-(4-methoxyphenyl)ethyl]-4-piperidinyl]-1*H*-benzimidazol-2-amine



Reference(s):
US 4 219 559 (Janssen; 26.8.1980; prior. 10.1.1979).
EP 5 318 (Janssen; appl. 30.3.1979; USA-prior. 10.1.1979; 3.4.1978).

synthesis of 1-isothiocyanato-2-nitrobenzene:
Sayigh, A.A.R.: J. Org. Chem. (JOCEAH) **30**, 2465 (1965).

synthesis of N,N-diethylthiocarbamoyl chloride:
Goerdeler, J.; Luedke, H.: Chem. Ber. (CHBEAM) **103**, 3393 (1970).
v. Braun: Ber. Dtsch. Chem. Ges. (BDCGAS) **36**, 2274 (1903).
Billeter: Ber. Dtsch. Chem. Ges. (BDCGAS) **26**, 1686 (1893).
Goshorn et al.: Org. Synth. (ORSYAT) **35**, 55 (1955).
US 2 466 276 (Sharples Chemicals Inc.; 5.4.1949; appl. 2.2.1946).

Formulation(s): drops 2 mg/ml; susp. 30 ml (0.2 %); tabl. 10 mg

Trade Name(s):

D:	Hismanal (Janssen-Cilag; 1985)	GB:	Hismanal (Janssen-Cilag; 1983)	J:	Hismanal (Mochida)
F:	Hismanal (Janssen-Cilag; 1986)	I:	Hismanal (Janssen; 1987) Histamen (Polifarma)	USA:	Hismanal (Janssen)

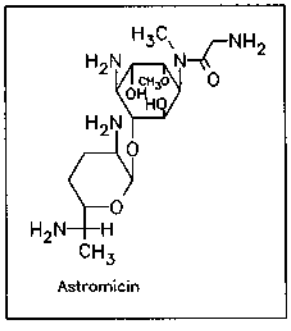
Astromicin

(Fortimicin A)

ATC: J01G .
Use: aminoglycoside antibiotic

RN: 55779-06-1 MF: $C_{17}H_{35}N_5O_6$ MW: 405.50
LD_{50}: 380 mg/kg (M, i.v.); 400 mg/kg (M, p.o.)
CN: 4-amino-1-[(aminoacetyl)methylamino]-1,4-dideoxy-3-*O*-(2,6-diamino-2,3,4,6,7-pentadeoxy-β-L-*lyxo*-heptopyranosyl)-6-*O*-methyl-L-*chiro*-inositol

sulfate (1:2)
RN: 72275-67-3 MF: $C_{17}H_{35}N_5O_6 \cdot 2H_2O_4S$ MW: 601.65
LD_{50}: 94 mg/kg (M, i.v.); 13.6 g/kg (M, p.o.);
86 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
214 mg/kg (dog, i.v.)



Astromicin

Preparation by fermentation of *Micromonospora olivoasterospora* FERM-P1560 (identical with *Micromonospora sp.* MK-70; ATCC 31009 and ATCC 31010) and isolation/purification on ion-exchanger and column chromatography.

Reference(s):
Nara, T. et al.: J. Antibiot. (JANTAJ) **30**, 533 (1977).
Okachi, R. et al.: J. Antibiot. (JANTAJ) **30**, 541 (1977).
US 3 976 768 (Abbott; 24.8.1976; appl. 22.7.1974; J.-prior. 23.7.1973).
GB 1 473 356 (Abbott; appl. 22.7.1974; J.-prior. 23.7.1973).
FR 2 238 502 (Kyowa Hakko; appl. 22.7.1974; J.-prior. 23.7.1973).
DE 2 435 160

structure:
Egan, R.S. et al.: J. Antibiot. (JANTAJ) **30**, 552 (1977).

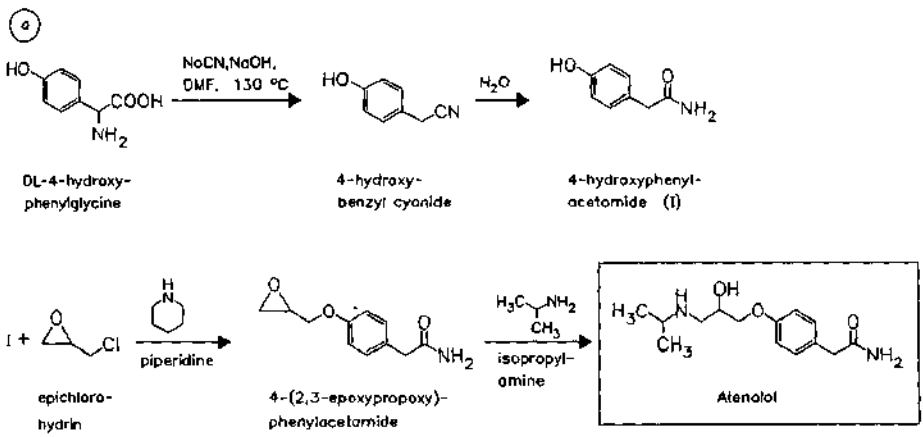
Formulation(s): amp. 200 mg

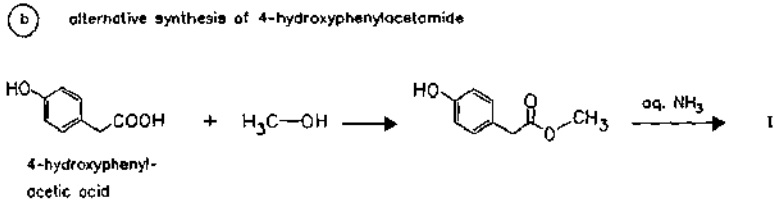
Trade Name(s):
J: Fortimicin (Kyowa Hakko; 1985)

Atenolol

ATC: C07AA; C07AB03
Use: antiadrenergic (β-receptor), antihypertensive

RN: 29122-68-7 MF: $C_{14}H_{22}N_2O_3$ MW: 266.34 EINECS: 249-451-7
LD_{50}: >57 mg/kg (M, i.v.); 2 g/kg (M, p.o.); 77 mg/kg (R, i.v.); 2 g/kg (R, p.o.)
CN: 4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]benzeneacetamide.





Reference(s):
US 3 934 032 (ICI; 20.1.1976; prior. 10.3.1972).
US 3 663 607 (ICI; 16.5.1972; GB-prior. 21.2.1969).
US 3 836 671 (ICI; 17.9.1974; GB-prior. 21.2.1969, 24.9.1969, 18.11.1970 and 19.11.1970).
DOS 2 007 751 (ICI; appl. 19.2.1970; GB-prior. 21.2.1969 and 24.9.1969).
GB 1 285 038 (ICI; appl. 21.2.1969; valid from 24.9.1969).

alternative synthesis:
GB 1 391 444 (ICI; appl. 13.7.1971; valid from 19.6.1972).

4-hydroxybenzyl cyanide:
GB 1 522 477 (ICI; appl. 13.8.1974; valid from 11.11.1975).
US 4 154 757 (ICI; 15.5.1979; appl. 22.5.1978).

Formulation(s): amp. 5 mg/10 ml; f. c. tabl. 25 mg, 50 mg, 100 mg

Trade Name(s):

D:	Atebeta (betapharm)		Bêta-Adalate (Bayer)-comb.		Tenoret-50 (Zeneca)-comb.
	duratenol (durachemie)		Tenordate (Zeneca)-comb.		Tenoretic (Zeneca)-comb.
	Falitonsin (ASTA Medica AWD)		Ténormine (Zeneca; 1979)		Tenormin (Zeneca; 1976)
	Tenormin (Zeneca; 1976)	GB:	Beta-adalat (Bayer)-comb.		Totamol (CP Pharm.)
	Tri-Normin (Zeneca; 1984)		Kalten (Zeneca)-comb.	J:	Tenormin (ICI-Sumitomo Chem.; 1984)
F:	Betatop (EG Labo)		Tenben (Galen)-comb.	USA:	Tenoretic (Zeneca; 1984)
			Tenif (Zeneca)-comb.		Tenormin (Zeneca; 1981)

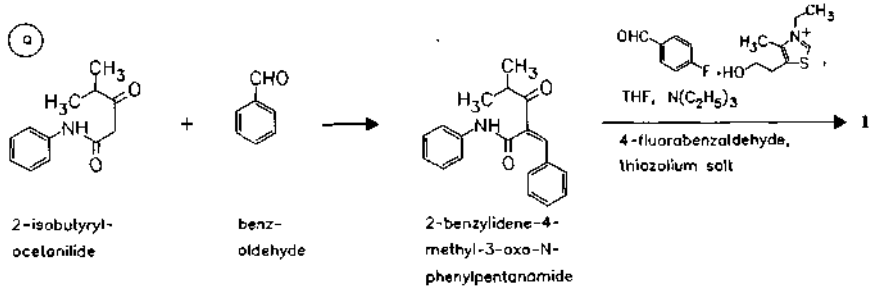
Atorvastatin calcium

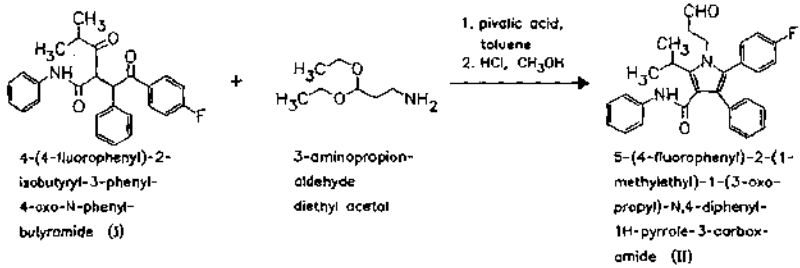
(CI-981; YM-548)

ATC: C10AA05
Use: hyperlipidemic, HMG-CoA-reductase inhibitor

RN: 134523-03-8 MF: $C_{66}H_{68}CaF_2N_4O_{10}$ MW: 1155.36
CN: [*R*-(*R**,*R**)]-2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1*H*-pyrrole-1-heptanoic acid calcium salt (2:1)

free acid
RN: 134523-00-5 MF: $C_{33}H_{35}FN_2O_5$ MW: 558.65

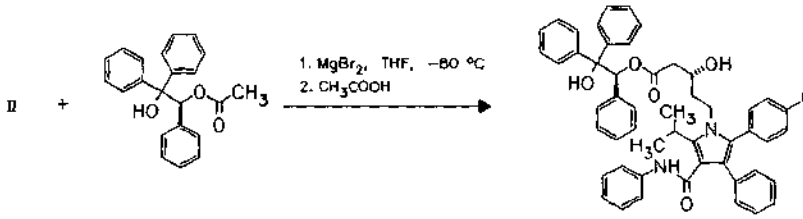




4-(4-fluorophenyl)-2-isobutyryl-3-phenyl-4-oxo-N-phenyl-butyramide (I)

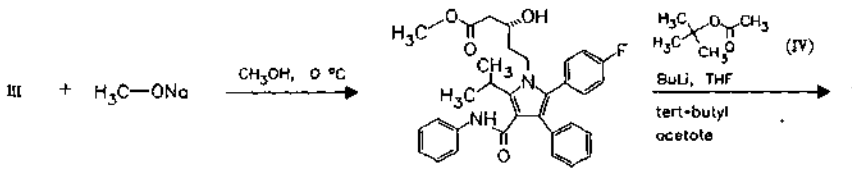
3-aminopropion-aldehyde diethyl acetal

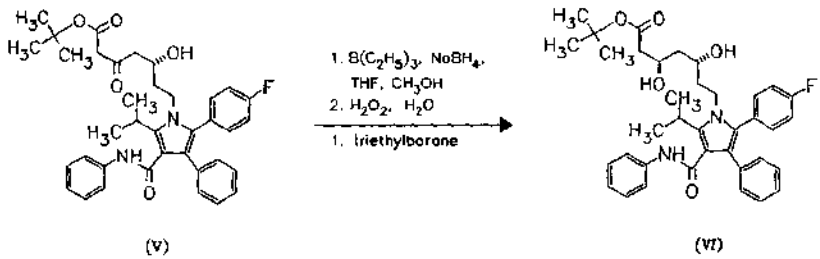
5-(4-fluorophenyl)-2-(1-methylethyl)-1-(3-oxo-propyl)-N,4-diphenyl-1H-pyrrole-3-carbox-amide (II)



(S)-(+)-2-acetoxy-1,1,2-triphenyl-ethanol

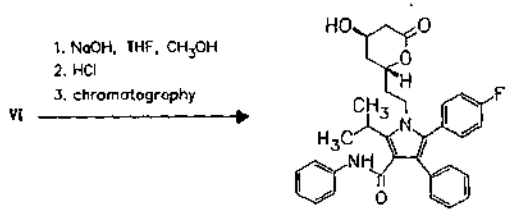
(III)



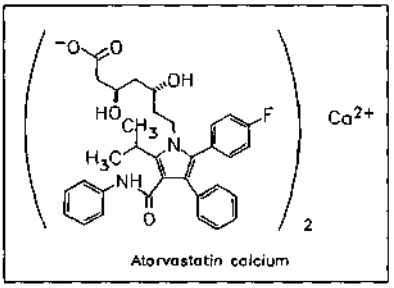


(V)

(VI)

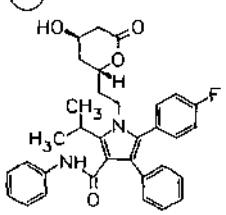


(2R-trans)-5-(4-fluoro-phenyl)-2-(1-methylethyl)-N,4-diphenyl-1-[2-(tetra-hydro-4-hydroxy-6-oxo-2H-pyran-2-yl)ethyl]-1H-pyrrole-3-carboxamide (VII)

VII —(1. NaOH, CH_3OH, H_2O; 2. $CaCl_2$, H_2O)→ 

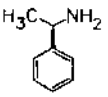
Atorvastatin calcium

(b)

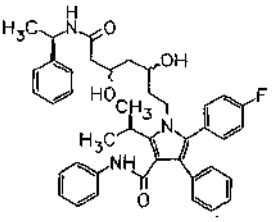


(±)

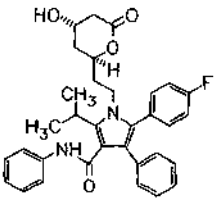
+



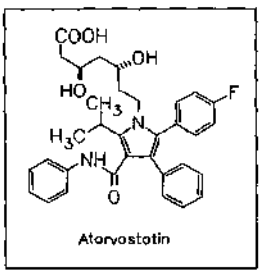
(R)-(+)-α-methylbenzylamine



(VIII)

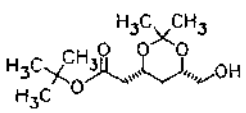
VIII —(1. separation by HPLC or crystallization; 2. NaOH; 3. reflux, toluene)→ 

(IX)

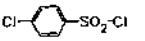
IX ⇢ 

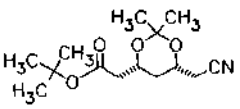
Atorvastatin

(c)

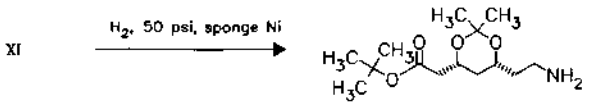


tert-butyl (4R,6S)-2-(6-hydroxymethyl-2,2-dimethyl-1,3-dioxan-4-yl)acetate

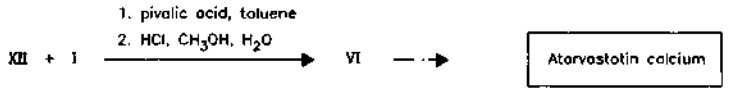
(1.  ; 2. NaCN (X), DMSO; 1. p-chlorophenylsulfonyl chloride)→



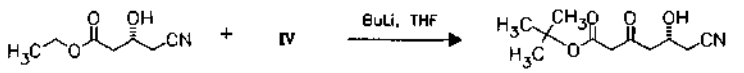
tert-butyl (4R,6R)-2-(6-cyanomethyl-2,2-dimethyl-1,3-dioxan-4-yl)acetate (XI)



tert-butyl (4R,6R)-2-[6-(2-aminoethyl)-2,2-dimethyl-1,3-dioxan-4-yl]acetate (XII)

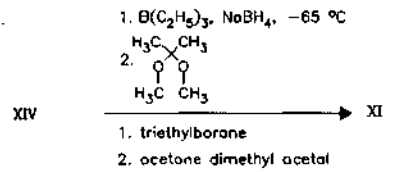


(ca) alternative synthesis of intermediate XI:

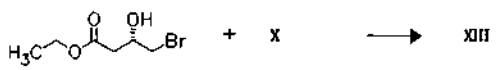


ethyl 3(R)-hydroxy-4-cyanobutyrate (XIII)

tert-butyl 5(R)-hydroxy-6-cyano-3-oxohexanoate (XIV)

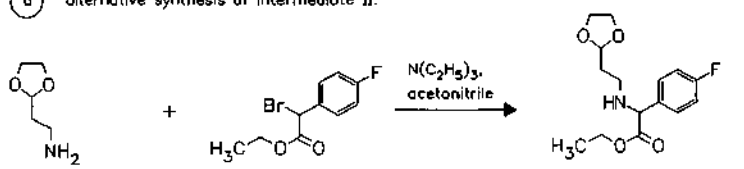


(cb) synthesis of the starting material XIII:



ethyl 4-bromo-3(S)-hydroxybutonoate

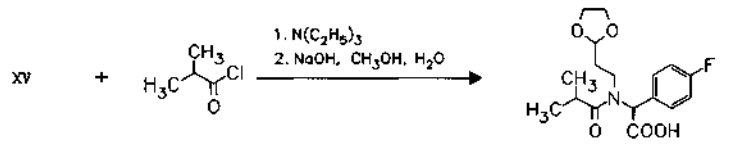
(d) alternative synthesis of intermediate II:



2-(1,3-dioxolan-2-yl)ethylamine

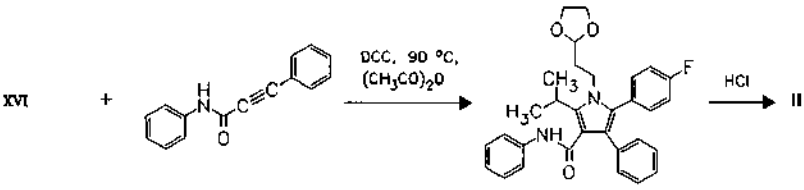
ethyl 2-bromo-2-(4-fluorophenyl)-acetate

ethyl 2-[2-(1,3-dioxolan-2-yl)ethylamino]-2-(4-fluorophenyl)acetate (XV)



isobutyryl chloride

(XVI)



N,3-diphenylpropynamide

1-[2-(1,3-dioxolan-2-yl)ethyl]-5-(4-fluorophenyl)-2-(1-methylethyl)-N,4-diphenyl-1H-pyrrole-3-carboxamide

Reference(s):

a,b US 4 681 893 (Warner-Lambert; appl. 21.7.1987; USA-prior. 30.5.1986).
EP 409 281 (Warner-Lambert; appl. 23.1.1991; USA-prior. 21.7.1989, 26.2.1991).
EP 680 320 (Warner-Lambert; appl. 8.11.1995; USA-prior. 19.1.1993).

c Naeminga, T. et al.: Tetrahedron Lett. (TELEAY) **33**, 2279-2282 (1992).
WO 9 703 960 (Warner-Lambert; appl. 6.2.1997; USA-prior. 17.7.1995).

ca Baumann, K.L. et al.: Tetrahedron Lett. (TELEAY) **33**, 2283-2284 (1992).

cb Isbell, H. et al.: Carbohydr. Res. (CRBRAT) **72**, 301-304 (1972).

d Roth, B.D. et al.: J. Med. Chem. (JMCMAR) **34**, 357-366 (1991).
preparation of N,3-diphenylpropynamide:
Gadwhal, S. et al.: Indian J. Chem., Sect. B: Org. Chem. Incl. Med. Chem. (IJSBDB) **37B** (8), 725-727 (1998).

preparation of intermediates:
WO 9 932 434 (Warner-Lambert; appl. 2.12.1998; USA-prior. 19.12.1997).
WO 9 957 109 (Kaneka Corp.; appl. 28.4.1999; J-prior. 30.4.1998).
WO 9 804 543 (Warner-Lambert; appl. 1.7.1997; USA-prior. 29.7.1996).
US 5 155 251 (Warner-Lambert; appl. 13.10.1992; 11.10.1991).
US 5 103 024 (Warner-Lambert; prior. 17.10.1990).

new crystalline forms of atorvastatin:
WO 9 703 959 (Warner-Lambert; appl. 8.7.1996; USA-prior. 17.7.1995).
WO 9 703 958 (Warner-Lambert; appl. 6.2.1997; USA-prior. 17.7.1995).

stable oral formulation:
WO 9 416 693 (Warner-Lambert; appl. 4.8.1994; USA-prior. 19.1.1993).

Formulation(s): tabl. 10 mg, 20 mg, 40 mg

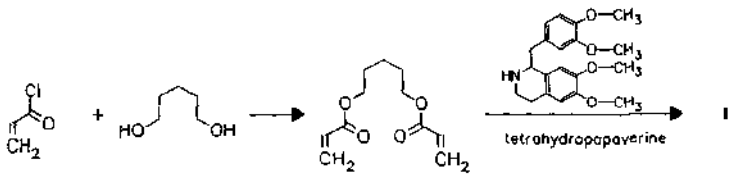
Trade Name(s):

D:	Sotis (Parke Davis/ Gödecke; Mack, Illert.)	J:	Lipitor (Warner-Lambert)		Xavator (Parke Davis)
			Torvast (Pfizer)	USA:	Lipitor (Parke Davis; Pfizer)
GB:	Lipitor (Parke Davis)		Tozalip (Guidotti)		

Atracurium besilate

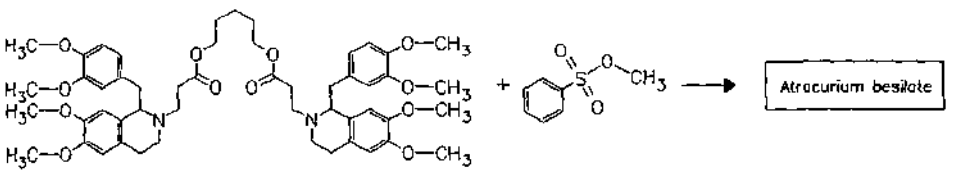
ATC: M03AC04
Use: skeletal muscle relaxant

RN: 64228-81-5 MF: $C_{53}H_{72}N_2O_{12} \cdot 2C_6H_5O_3S$ MW: 1243.50 EINECS: 264-743-4
CN: 2,2'-[1,5-pentanediylbis[oxy(3-oxo-3,1-propanediyl)]]bis[1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-2-methylisoquinolinium] dibenzenesulfonate



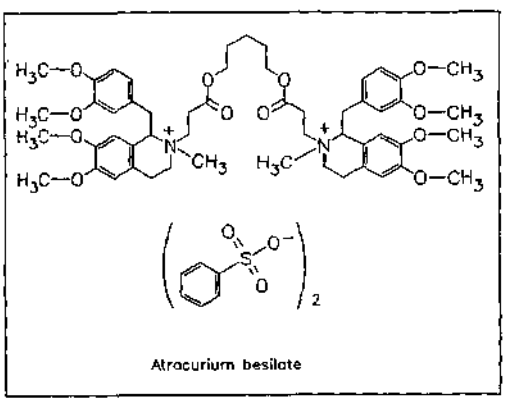
acryloyl chloride

pentane-1,5-diol



(I)

methyl benzene-sulfonate



Reference(s):
DOS 2 655 883 (Wellcome; appl. 9.12.1976; GB-prior. 10.12.1975, 29.10.1976).
US 4 179 507 (Wellcome; 18.12.1979, GB-prior. 10.12.1975, 29.10.1976).
Stenkale, J.B. et al.: Eur. J. Med. Chem. (EJMCA5) **16**, 515 (1981).

Formulation(s): amp. 25 mg/2.5 ml, 50 mg/5 ml

Trade Name(s):

D:	Tracrium (Glaxo Wellcome; 1987)	F:	Tracrium (Glaxo Wellcome)	I:	Tracrium (Wellcome)
		GB:	Tracrium (Wellcome; 1982)	USA:	Tracrium (Glaxo Wellcome; 1983)

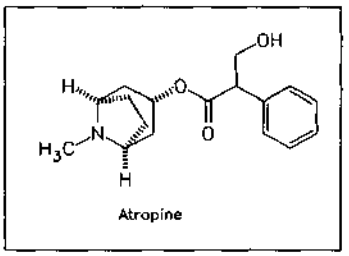
Atropine

(DL-Hyoscyamine)

ATC: A03BA01; S01FA01
Use: anticholinergic, mydriatic, antispasmodic

RN: 51-55-8 MF: $C_{17}H_{23}NO_3$ MW: 289.38 EINECS: 200-104-8
LD_{50}: 30 mg/kg (M, i.v.); 75 mg/kg (M, p.o.);
73 mg/kg (R, i.v.); 500 mg/kg (R, p.o.)
CN: *endo*-(±)-α-(hydroxymethyl)benzeneacetic acid 8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester

borate (1:1)
RN: 51460-78-7 MF: $C_{17}H_{23}NO_3 \cdot H_3BO_3$ MW: 351.21
sulfate (2:1)
RN: 55-48-1 MF: $C_{17}H_{23}NO_3 \cdot 1/2H_2SO_4$ MW: 676.83 EINECS: 200-235-0
LD_{50}: 31 mg/kg (M, i.v.); 468 mg/kg (M, p.o.);
37 mg/kg (R, i.v.); 600 mg/kg (R, p.o.);
60 mg/kg (dog, i.v.)



Atropine

By extraction of Solanacean drugs, especially *Atropa belladonna, Hyoscyamus niger* or other species. On careful extraction L-hyoscyamine is obtained first, which can be racemized to atropine by addition of alkali in ethanolic solution.

Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **3**, 201 f.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **7**, 151.

Formulation(s): amp. for inj. 100 mg; eye drops 10 mg; inj. sol. 0.25 mg, 0.5 mg, 1 mg, 2 mg; tabl. 0.5 mg

Trade Name(s):

D: Angiocardyl (Rhenomed)
Atropin in der Ophtiole (Mann)
Atropinol Augentropfen (Winzer)
Atropin POS (Ursapharm)
Borotropin Augentropfen (Winzer)
Cansat (Sanofi Winthrop)
generics and combination preparations

F: Atropine Aguettant (Aguettant)
Atropine Lavoisier (Caix et du Marais)
Atropine Martinet (CIBA Vision Ophthalmics)
Chibro-Atropine (Merck Sharp & Dohme-Chibret)
generics and numerous combination preparations

GB: Lomotil (Searle)-comb.

I: Atropina Aolfato (Scfm)
Atropina Lux (Allergan; as sulfate)
Atropi S (Formulario Naz.; Tariff. Nazionale; Bieffe Medital; Bioindustria; Collalto; Farge; Galenica (Senese); Jacobo Monicol; Ogna; Salf)
Atrop S (Sifra)
Atro S (Farge)
Liotropina (SIFI; as sulfate)
generics (Farmigea; Scfm) and combination preparations

J: generics

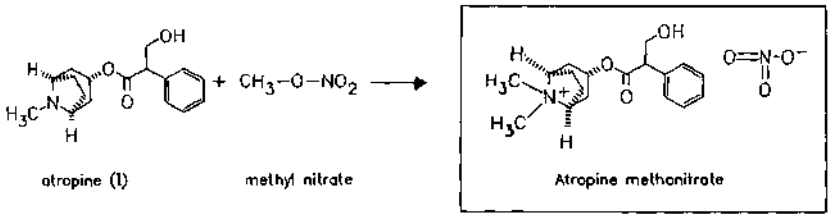
USA: Arco-Lase Plus (Arco)
Atrohist Plus (Medeva)
Bellatal (Richwood)
Donnatal (Robins)
Enlon-Plus (Ohmeda)
Larox (Geneva)
Lomotil (Searle)
Motofen (Carnrick)
Prosed/DS (Star)
Urised (PolyMedica)

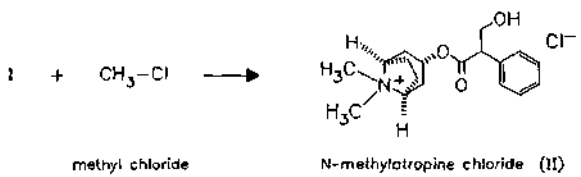
Atropine methonitrate

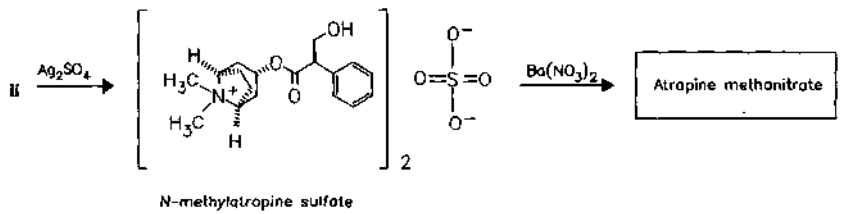
(Atropinmethylnitrat; Methylatropine Nitrate; Methonitrate d'atropine)

ATC: A03BB02
Use: anticholinergic, mydriatic, antispasmodic

RN: 52-88-0 MF: $C_{18}H_{26}N_2O_6$ MW: 366.41 EINECS: 200-156-1
LD_{50}: 9300 µg/kg (M, i.v.); 1320 mg/kg (M, p.o.);
1902 mg/kg (R, p.o.)
CN: *endo*-(±)-3-(3-hydroxy-1-oxo-2-phenylpropoxy)-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane nitrate







Reference(s):
DRP 137 622 (Bayer; 1901).
DRP 138 443 (Bayer; 1901).

Formulation(s): drops

Trade Name(s):

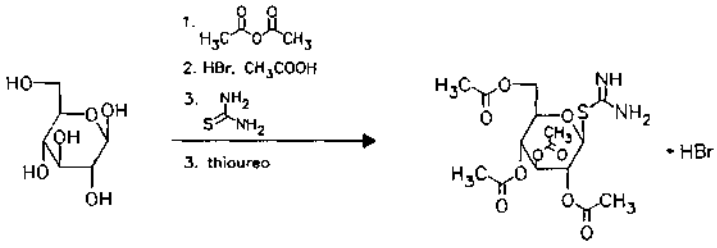
D: Afdosa (Hefa-Frenon)-comb.; wfm
Afpred (Hefa-Frenon)-comb.; wfm
Ansudoral (Basotherm)-comb.; wfm
Bronchovydrin Inhalationslösung (Searle-Endopharm)-comb.; wfm
Brox (Redel)-comb.; wfm
Myocardetten (Byk Gulden)-comb.; wfm
Myocardon (Byk Gulden)-comb.; wfm
Perphyllon (Homburg)-comb.; wfm
Tonaton (Luitpold)-comb.; wfm

USA: Festalan (Hoechst-Roussel)-comb.

Auranofin

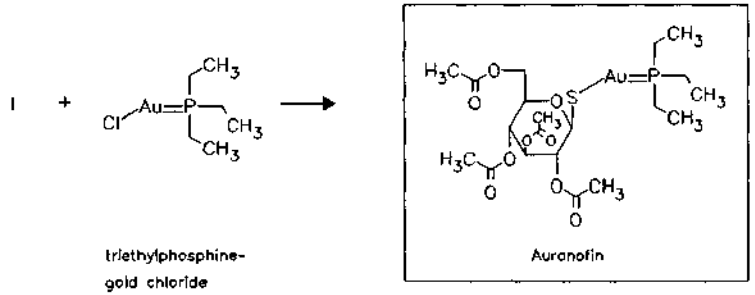
ATC: M01CB03
Use: rheumatoid arthrosis therapeutic

RN: 34031-32-8 MF: $C_{20}H_{34}AuO_9PS$ MW: 678.52 EINECS: 251-801-9
LD_{50}: 310 mg/kg (M, p.o.);
265 mg/kg (R, p.o.)
CN: (2,3,4,6-tetra-*O*-acetyl-1-thio-β-D-glucopyranosato-*S*)(triethylphosphine)gold



β-D-glucopyranose

S-(2,3,4,6-tetra-O-acetyl-β-D-glucopyranosyl)-thiuronium bromide (I)



triethylphosphine-gold chloride

Reference(s):
US 3 635 945 (Smith Kline & French; 18.1.1972; prior. 28.10.1969).
DE 2 051 495 (Smith Kline & French; appl. 20.10.1970; USA-prior. 28.10.1969).
US 3 708 579 (Smith Kline & French; 2.1.1973; prior. 28.10.1969, 1.10.1971).
Sutton, B.M. et al.: J. Med. Chem. (JMCMAR) **15**, 1095 (1972).

synthesis of S-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)thiuronium bromide:
Bommer, W.A.; Kahn, J.R.: J. Am. Chem. Soc. (JACSAT) **73**, 2241 (1951).
DOS 2 215 653 (Konishiroku; appl. 30.3.1972).
Horton, D.: Methods Carbohydr. Chem. (MCACAL) **3**, 435 (1963).

Formulation(s): f. c. tabl. 3 mg

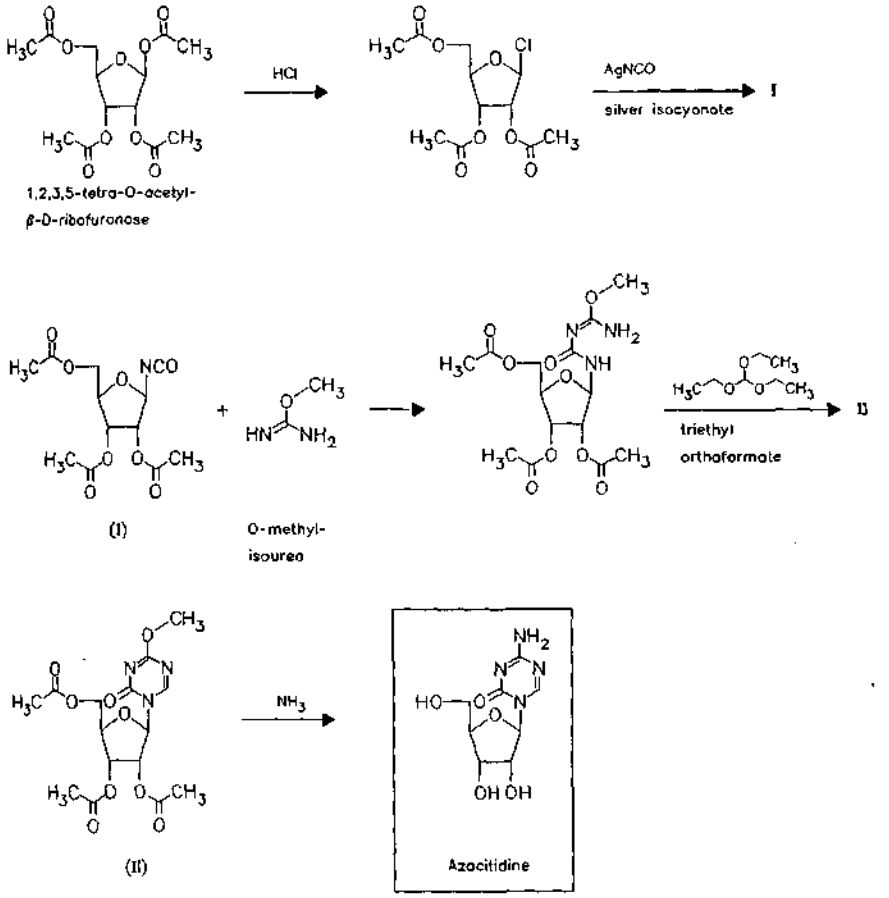
Trade Name(s):

D:	Ridaura (Yamanouchi; 1982)	GB:	Ridaura (Yamanouchi; 1987)	J:	Ridaura (Fujisawa; 1986)
F:	Ridauran (Robapharm)	I:	Ridaura (Smith Kline & French; 1984)	USA:	Ridaura (SmithKline Beecham; 1985)

Azacitidine

ATC: L01BC
Use: antineoplastic

RN: 320-67-2 MF: $C_8H_{12}N_4O_5$ MW: 244.21 EINECS: 206-280-2
LD_{50}: 1159 mg/kg (M, i.v.); 572.3 mg/kg (M, p.o.)
CN: 4-amino-1-β-D-ribofuranosyl-1,3,5-triazin-2(1*H*)-one



Reference(s):
Piskala, A.; Sorm, F.: Collect. Czech. Chem. Commun. (CCCCAK) **29**, 2060 (1964).
US 3 350 388 (F. Sorm, A. Piskala; 1967; prior. 1965).

formation from Streptoverticillium ladakanus:
Hanka, L.J. et al.: Antimicrob. Agents Chemother. (AACHAX) **1966**, 619.

isolation and structure elucidation:
Bergy, M.E.; Herr, R.R.: Antimicrob. Agents Chemother. (AACHAX) **1966**, 625.

Trade Name(s):
USA: Mylosar (Upjohn); wfm

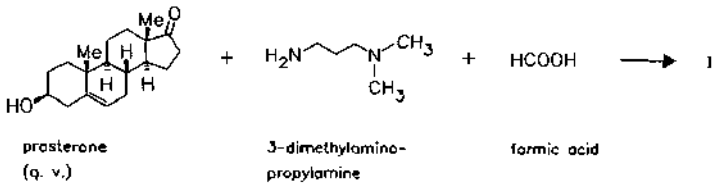
Azacosterol

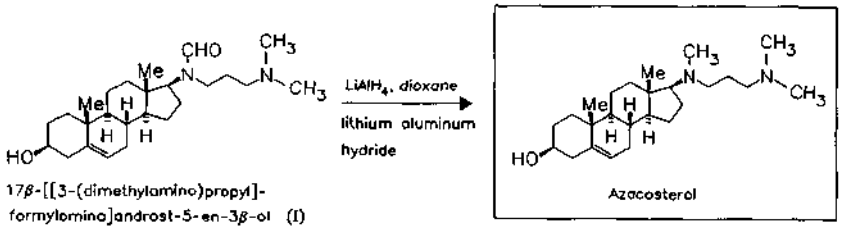
Use: cholesterol depressant

(Diazasterol)

RN: 313-05-3 MF: $C_{25}H_{44}N_2O$ MW: 388.64
LD_{50}: 90 mg/kg (M, p.o.)
CN: (3β,17β)-17-[[3-(dimethylamino)propyl]methylamino]androst-5-en-3-ol

dihydrochloride
RN: 1249-84-9 MF: $C_{25}H_{44}N_2O \cdot 2HCl$ MW: 461.56
LD_{50}: 380 mg/kg (M, p.o.);
470 mg/kg (R, p.o.)





Reference(s):
US 3 084 156 (Searle; 2.4.1963; prior. 30.11.1961, 28.3.1961).
Counsell, R.E. et al.: J. Med. Pharm. Chem. (JMPCAS) **5**, 1224 (1962).

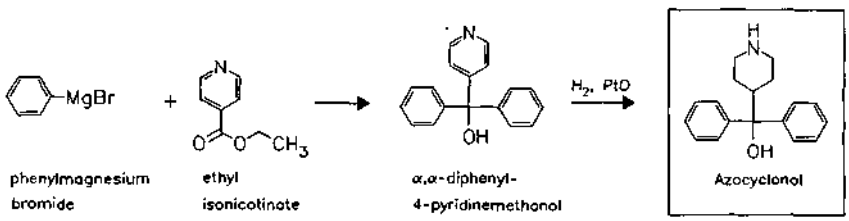
Trade Name(s):
USA: Ornitrol (Searle); wfm

Azacyclonol

Use: anxiolytic

RN: 115-46-8 MF: $C_{18}H_{21}NO$ MW: 267.37 EINECS: 204-092-5
LD_{50}: 177 mg/kg (M, i.v.); 650 mg/kg (M, p.o.)
CN: α,α-diphenyl-4-piperidinemethanol

hydrochloride
RN: 1798-50-1 MF: $C_{18}H_{21}NO \cdot HCl$ MW: 303.83 EINECS: 217-284-9
LD_{50}: 121 mg/kg (M, i.v.); 650 mg/kg (M, p.o.)



Reference(s):
US 2 804 422 (Merrell; 1957; prior. 1954).

Formulation(s): amp. 5 mg/ml (as hydrochloride); tabl. 20 mg

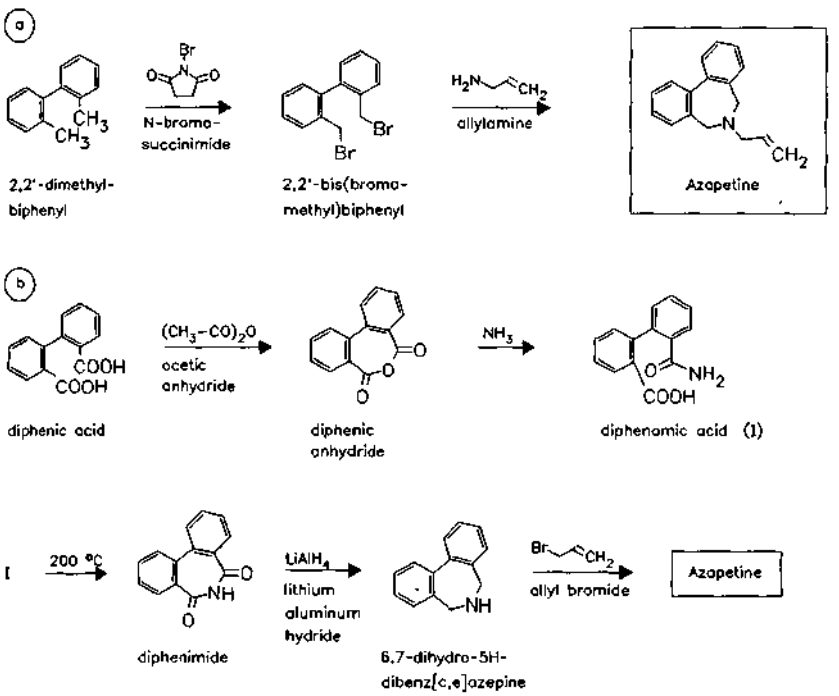
Trade Name(s):
F: Frenquel (Merrell) J: Frenquel (Shionogi)

Azapetine

ATC: C04AX30
Use: sympatholytic, vasodilator

RN: 146-36-1 MF: $C_{17}H_{17}N$ MW: 235.33 EINECS: 205-667-3
LD_{50}: 27 mg/kg (M, i.v.); 460 mg/kg (M, p.o.);
50 mg/kg (dog, i.v.)
CN: 6,7-dihydro-6-(2-propenyl)-5*H*-dibenz[*c*,*e*]azepine

phosphate (1:1)
RN: 130-83-6 MF: $C_{17}H_{17}N \cdot H_3PO_4$ MW: 333.32 EINECS: 204-997-5
LD_{50}: 26 mg/kg (M, i.v.); 460 mg/kg (M, p.o.);
50 mg/kg (dog, i.v.)



Reference(s):
a US 2 619 484 (Hoffmann-La Roche; 1952; appl. 1950).
b US 2 693 465 (Hoffmann-La Roche; 1954; appl. 1953).

Formulation(s): tabl. 25 mg

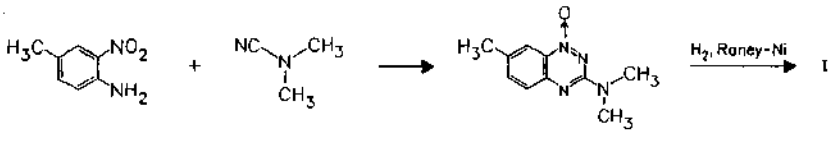
Trade Name(s):
D: Ilidar (Roche); wfm

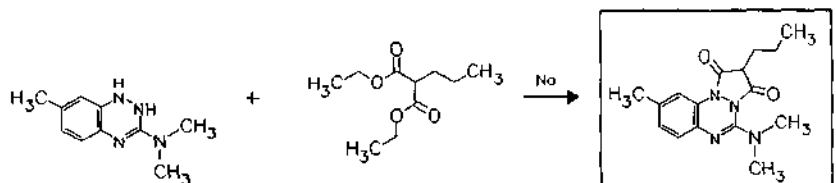
Azapropazone

(Apazone; Cinnopropazone)

ATC: M01AX04
Use: anti-inflammatory, analgesic

RN: 13539-59-8 MF: $C_{16}H_{20}N_4O_2$ MW: 300.36 EINECS: 236-913-8
LD_{50}: 680 mg/kg (M, i.v.); 1080 mg/kg (M, p.o.);
660 mg/kg (R, i.v.); 1800 mg/kg (R, p.o.)
CN: 5-(dimethylamino)-9-methyl-2-propyl-1*H*-pyrazolo[1,2-*a*][1,2,4]benzotriazine-1,3(2*H*)-dione





Reference(s):
US 3 349 088 (Siegfried AG; 24.10.1967; CH-prior. 22.10.1963).
Mixich, G.: Helv. Chim. Acta (HCACAV) **51**, 532 (1968).

Formulation(s): cps. 150 mg, 200 mg, 300 mg; tabl. 600 mg

Trade Name(s):

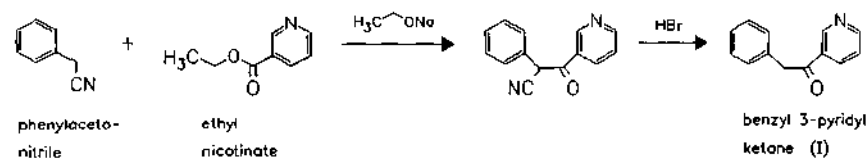
D:	Tolyprin (Du Pont Pharma)	GB:	Rheumox (Wyeth)	J:	Sinnamin (Nippon Chemiphar)
F:	Prolixan (J. Logeais); wfm	I:	Prolixan (Malesci); wfm		

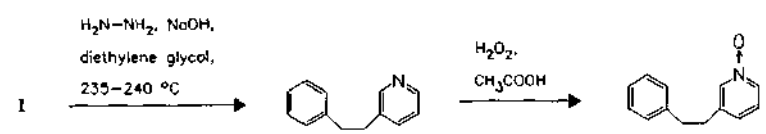
Azatadine

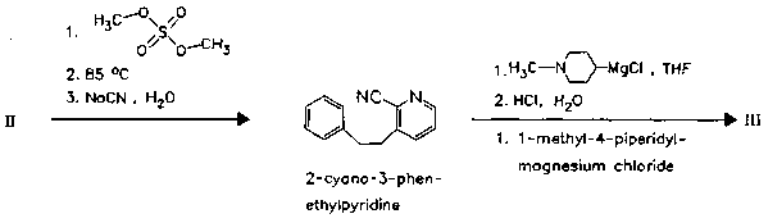
ATC: R06AX09
Use: antihistaminic

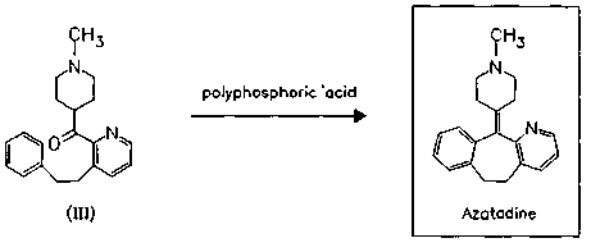
RN: 3964-81-6 MF: $C_{20}H_{22}N_2$ MW: 290.41
CN: 6,11-dihydro-11-(1-methyl-4-piperidinylidene)-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridine

dimaleate
RN: 3978-86-7 MF: $C_{20}H_{22}N_2 \cdot 2C_4H_4O_4$ MW: 522.55 EINECS: 223-615-8
LD_{50}: 165 mg/kg (M, p.o.);
440 mg/kg (R, p.o.)









Reference(s):
US 3 301 863 (Schering Corp.; 31.1.1967; prior. 24.4.1963, 13.12.1963, 21.12.1964, 18.3.1965).
US 3 326 924 (Schering Corp.; 20.6.1967; prior. 24.4.1963, 13.12.1963).
US 3 357 986 (Schering Corp.; 12.12.1967; prior. 24.4.1963, 13.12.1963, 19.9.1966).
US 3 366 635 (Schering Corp.; 30.1.1968; prior. 24.4.1963, 13.12.1963).
US 3 419 565 (Schering Corp.; 31.12.1968; prior. 24.4.1963, 19.9.1966).

improved process for 2-cyano-3-phenethylpyridine:
US 4 954 632 (SmithKline Beecham Corp.; 4.9.1990; prior. 2.12.1987, 10.2.1989).
Villani, F.J. et al.: J. Med. Chem. (JMCMAR) **15**, 750 (1972).

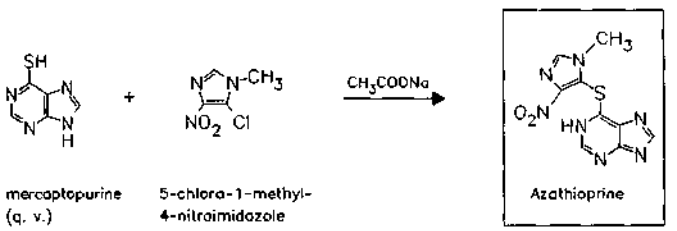
Formulation(s): syrup 0.5 mg (as dimaleate); tabl. 1 mg (azatadine maleate)

Trade Name(s):
GB: Optimine (Schering-Plough)
USA: Trinalin (Key Pharm.)-comb.

Azathioprine

ATC: L01BB; L04AX01
Use: antineoplastic, immunosuppressive

RN: 446-86-6 MF: $C_9H_7N_7O_2S$ MW: 277.27 EINECS: 207-175-4
LD_{50}: 1389 mg/kg (M, p.o.);
535 mg/kg (R, p.o.)
CN: 6-[(1-methyl-4-nitro-1*H*-imidazol-5-yl)thio]-1*H*-purine



Reference(s):
US 3 056 785 (Burroughs Wellcome; appl. 2.10.1962; prior. 21.3.1960).

Formulation(s): amp. 50 mg; f. c. tabl. 50 mg, 25 mg; lyo. 54.1 mg

Trade Name(s):

D:	Azamedac (medac)	F:	Imurel (Glaxo Wellcome)	I:	Imuran (Wellcome)
	Imurek (Glaxo Wellcome)	GB:	Azamune (Penn)	J:	Imuran (Tanabe)
	Zytrim (Isis Puren)		Imuran (Glaxo Wellcome)	USA:	Imuran (Glaxo Wellcome)

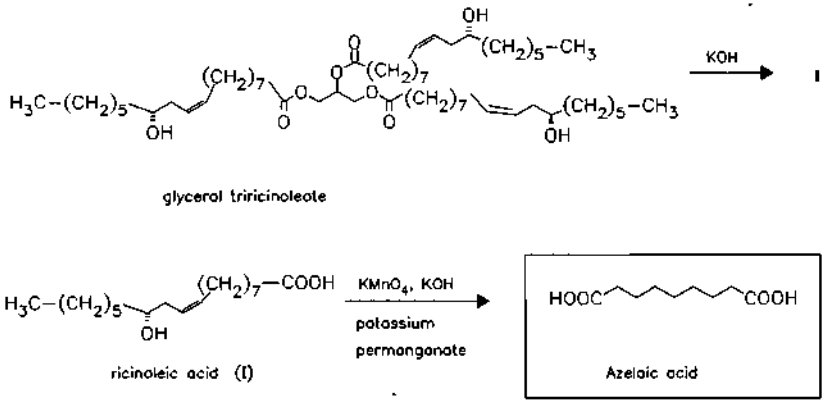
Azelaic acid

ATC: D10AX03; D11AX
Use: topical treatment of hyperpigmentary disorders and skin cancers, acne therapeutic

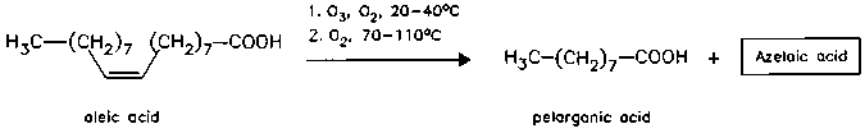
RN: 123-99-9 MF: $C_9H_{16}O_4$ MW: 188.22 EINECS: 204-669-1
LD_{50}: >5 g/kg (R, p.o.)
CN: nonanedioic acid

disodium salt
RN: 17265-13-3 MF: $C_9H_{14}Na_2O_4$ MW: 232.19 EINECS: 241-298-4
calcium salt (1:1)
RN: 14488-58-5 MF: $C_9H_{14}CaO_4$ MW: 226.29

a



b technicol process



Reference(s):
a Hill, J.W.; McEwen, W.L.: Org. Synth. (ORSYAT), Coll. Vol. **2**, 53 (1943).
b Ullmann's Encyclopedia of Industrial Chemistry, 5th Ed., Vol. **A8**, 526.

alternative synthesis:
US 3 402 108 (Emery; 17.9.1968; appl. 7.7.1966).
US 3 810 937 (V.P. Kuceski; 14.5.1974; appl. 15.9.1970).
JP 56 169 640 (Nippon Oil; appl. 31.5.1980).
JP 58 140 038 (Kuraray; appl. 16.2.1982).
DOS 2 035 558 (Degussa; appl. 17.7.1970).
DOS 2 052 815 (Degussa; appl. 28.10.1970).
DOS 2 106 307 (Degussa; appl. 10.2.1971).
DOS 2 106 913 (Degussa; appl. 13.2.1971).
DOS 2 316 203 (Henkel; appl. 31.3.1973).

topical treatment:
US 4 818 768 (Schering AG; 4.4.1989; appl. 29.1.1982; I-prior. 19.4.1977, 30.12.1977).

Formulation(s): cream 200 mg/g (20 %)

Trade Name(s):

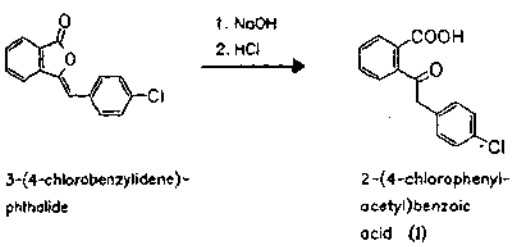
D:	Skinoren (Schering; 1988)	GB:	Skinoren (Schering Health Care)	USA:	Azelex (Allergan)
F:	Skinoren (Schering)				

Azelastine

ATC: R01AC03; R03D; R06AX19; S01GX07
Use: antiasthmatic, antiallergic, antihistaminic

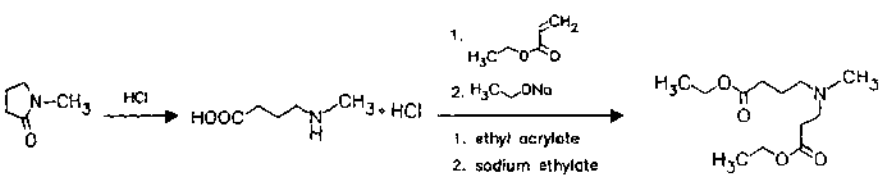
RN: 58581-89-8 MF: $C_{22}H_{24}ClN_3O$ MW: 381.91
LD_{50}: 36 mg/kg (R, i.v.); 130 mg/kg (R, p.o.)
CN: 4-[(4-chlorophenyl)methyl]-2-(hexahydro-1-methyl-1*H*-azepin-4-yl)-1(2*H*)-phthalazinone

hydrochloride
RN: 37932-96-0 MF: $C_{22}H_{24}ClN_3O \cdot xHCl$ MW: unspecified EINECS: 253-720-4



3-(4-chlorobenzylidene)-phthalide

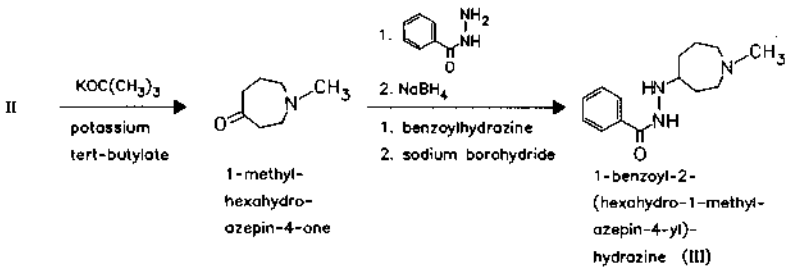
2-(4-chlorophenyl-acetyl)benzoic acid (I)

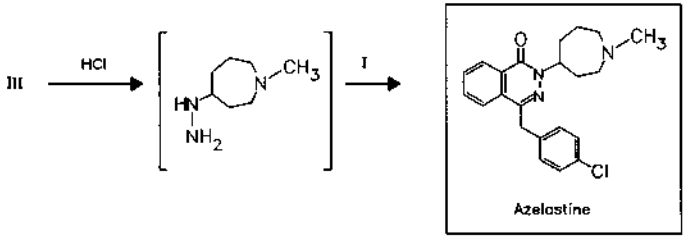


1-methyl-pyrrolidin-2-one

4-methylaminobutyric acid hydrochloride

(II)





Reference(s):
DE 2 164 058 (ASTA-Werke; appl. 23.12.1971).
US 3 813 384 (ASTA-Werke; 28.5.1974; CH-prior. 22.1.1971).
EP 316 633 (ASTA Medica AG; appl. 27.10.1988; D-prior. 13.11.1987).

Formulation(s): f. c. tabl. 1 mg, 2 mg, 4 mg; nasal spray (as hydrochloride, 0.2 mg/puff)

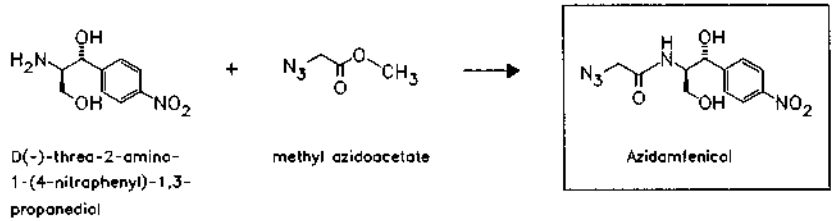
Trade Name(s):

D:	Allergodil (ASTA Medica; 1992)		Radetazin (Arzneimittelwerk Dresden; 1992)	GB:	Rhinolast (ASTA Medica; 1991)
		F:	Allergodil (ASTA Medica)	J:	Azeptin (Eisai; 1986)
				USA:	Astelin (Wallace)

Azidamfenicol
(Azidoamphenicol)

ATC: J01BA
Use: antibiotic

RN: 13838-08-9 MF: $C_{11}H_{13}N_5O_5$ MW: 295.26 EINECS: 237-552-9
CN: [*R*-(*R**,*R**)]-2-azido-*N*-[2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]acetamide



Reference(s):
US 2 882 275 (Bayer; 14.4.1959, D-prior. 28.1.1955).

Trade Name(s):
D: Baycuten (Bayer Vital)-comb. | Berlicetin (ankerpharm) | Posifenicol (Ursapharm) | Thilocanfol (Alcon)

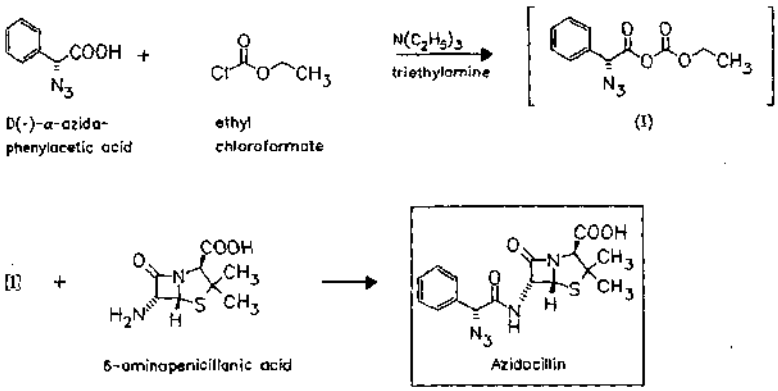
Azidocillin

ATC: J01CE04; J01HA
Use: antibiotic

RN: 17243-38-8 MF: $C_{16}H_{17}N_5O_4S$ MW: 375.41 EINECS: 241-278-5
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(azidophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt
RN: 22647-32-1 MF: $C_{16}H_{16}KN_5O_4S$ MW: 413.50

sodium salt
RN: 35334-12-4 MF: $C_{16}H_{16}N_5NaO_4S$ MW: 397.39



Reference(s):
US 3 293 242 (Beecham; 20.12.1966; GB-prior. 21.7.1961).
DE 1 168 910 (Beecham; appl. 3.7.1962; GB-prior. 21.7.1961).
GB 940 488 (Beecham; appl. 21.7.1961; valid from 23.7.1962).

Formulation(s): gran. 250 mg; syrup 250 mg; tabl. 750 mg (as sodium salt)

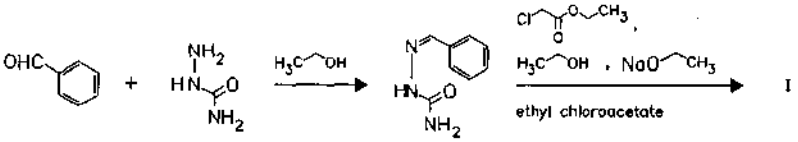
Trade Name(s):
D: Syncillin (Bayer Vital) I: Longatren (Bayer)

Azimilide hydrochloride

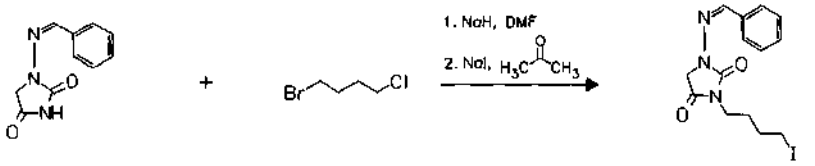
Use: class III antiarrhythmic agent

RN: 149888-94-8 MF: $C_{23}H_{28}ClN_5O_3 \cdot 2HCl$ MW: 530.88
CN: 1-[[[5-(4-Chlorophenyl)-2-furanyl]methylene]amino]-3-[4-(4-methyl-1-piperazinyl)butyl]-2,4-imidazolidinedione dihydrochloride

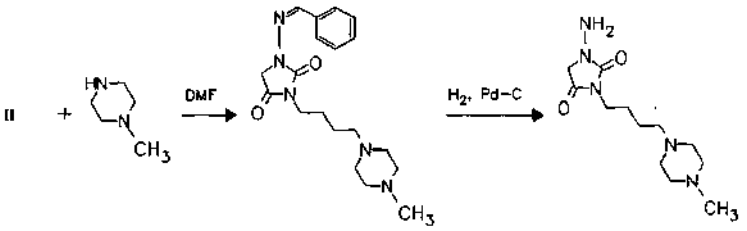
base
RN: 149908-53-2 MF: $C_{23}H_{28}ClN_5O_3$ MW: 457.96



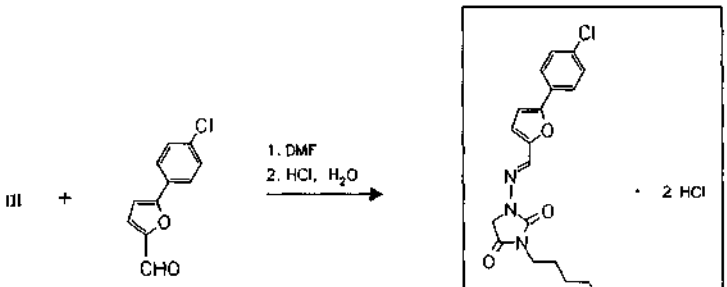
benzaldehyde semicarbazide benzaldehyde semicarbazone ethyl chloroacetate I



1-(benzylidenamino)-2,4-imidazolidinedione (I) 1-bromo-4-chlorobutane 1-(benzylidenamino)-3-(4-iodobutyl)-2,4-imidazolidinedione (II)

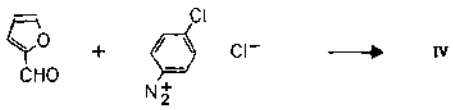


1-methylpiperazine 1-amino-3-[4-(4-methylpiperazin-1-yl)butyl]-2,4-imidazolidinedione (III)



5-(4-chlorophenyl)-furan-2-carboxaldehyde (IV) Azimilide hydrochloride

preparation of intermediate IV



Reference(s):
WO 9 304 061 (Procter and Gamble Co.; appl. 10.8.1992; USA-prior. 14.8.1991).

preparation of 1-benzylidenaminoimidazoline-2,4-dione:
Jack: J. Pharm. Pharmacol. (JPPMAP) **11**, Suppl. 108, 112 (1959).

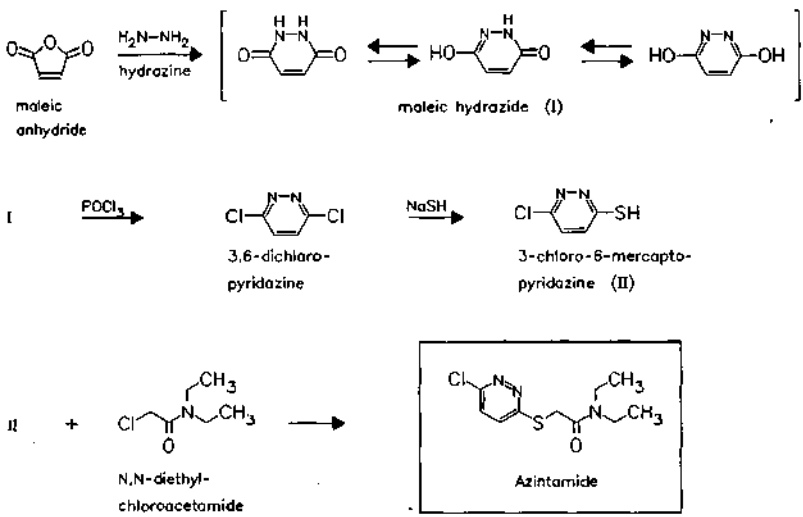
preparation of 5-(4-chlorophenyl)furan-2-carboxaldehyde:
Pong, S.F.; Pelosi, S.S.; Wessels, F.L.; Yu, C.-N.; Burns, H.: Arzneim.-Forsch. (ARZNAD) **33** (10), 1411 (1983).

Trade Name(s):
USA: Stedicor (Procter & Gamble; 1999)

Azintamide

ATC: A03E; A05A1; A05AX
Use: choleretic

RN: 1830-32-6 MF: $C_{10}H_{14}ClN_3OS$ MW: 259.76 EINECS: 217-384-2
LD_{50}: 1150 mg/kg (M, p.o.);
1550 mg/kg (R, p.o.)
CN: 2-[(6-chloro-3-pyridazinyl)thio]-*N*,*N*-diethylacetamide



Reference(s):
DE 1 188 604 (Lentia; appl. 17.11.1961).
BE 624 848 (Österr. Stickstoffwerke; appl. 14.11.1962; A-prior. 16.11.1961).
Stormann, H.: Arzneim.-Forsch. (ARZNAD) **14**, 266 (1964).

Formulation(s): drg. 100 mg

Trade Name(s):
D: Oragallin (Truw)-comb.

Azithromycin

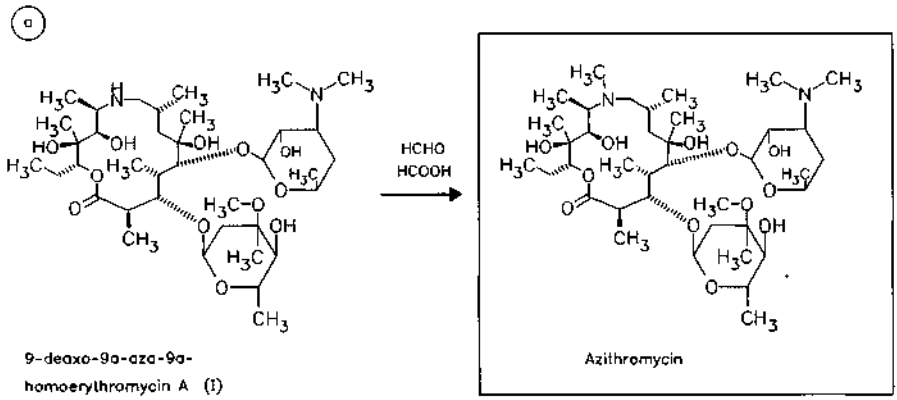
(Aritromicina)

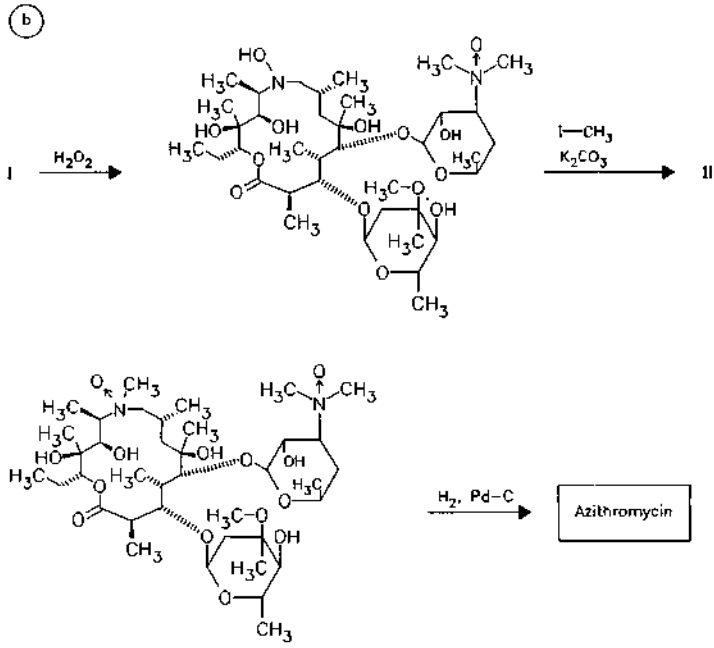
ATC: J01FA10
Use: macrolide antibiotic

RN: 83905-01-5 MF: $C_{38}H_{72}N_2O_{12}$ MW: 749.00
LD_{50}: 1200 mg/kg (M, i.p.); 825 mg/kg (M, i.p.); 3 g/kg (M, p.o.); >2 g/kg (R, p.o.)
CN: [2*R*-(2*R**,3*S**,4*R**,5*R**,8*R**,10*R**,11*R**,12*S**,13*S**,14*R**)]-13-[(2,6-dideoxy-3-*C*-methyl-3-*O*-methyl-α-L-*ribo*-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-*xylo*-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one

monohydrochloride

RN: 90581-30-9 MF: $C_{38}H_{72}N_2O_{12} \cdot HCl$ MW: 785.46





Reference(s):
a DOS 3 140 449 (Pliva; appl. 12.10.1981; YU-prior. 6.3.1981).
US 4 517 359 (Pliva; 14.5.1985; appl. 22.9.1981; YU-prior. 6.3.1981).
b EP 101 186 (Pliva; appl. 14.7.1983; USA-prior. 19.7.1982, 15.11.1982).
US 4 474 768 (Pliva; 2.10.1984; prior. 19.7.1982, 15.11.1982).
Djokic, S. et al.: J. Antibiot. (JANTAJ) **40**, 1006 (1987).

stable, non-hygroscopic dihydrate:
EP 298 650 (Pfizer; appl. 28.6.1988).

medical use for treatment of protozoal infections:
US 4 963 531 (Pfizer; 16.10.1990; prior. 16.8.1988, 10.9.1987).

Formulation(s): cps. 250 mg; susp. 200 mg (as dihydrate)

Trade Name(s):

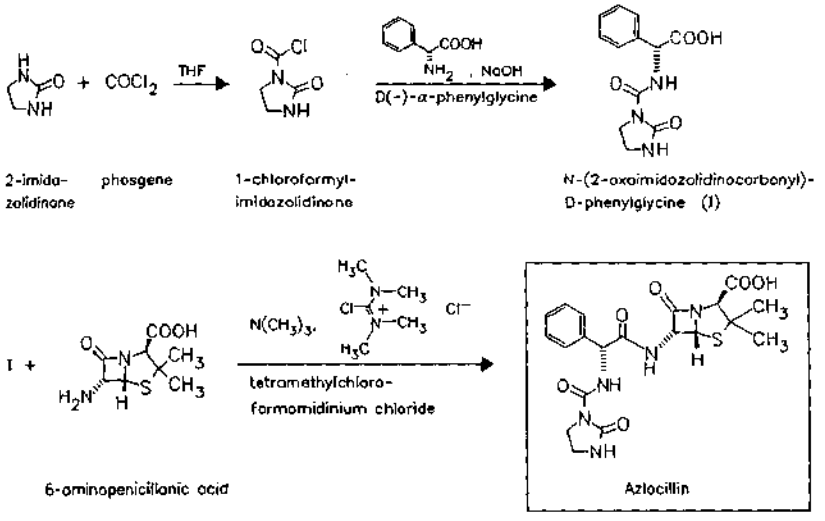
D:	Zithromax (Mack)	GB:	Zithromax (Richborough; 1991)	USA:	Zithromax (Pfizer; as dihydrate)
F:	Zithromax (Pfizer)				

Azlocillin

ATC: J01CA09
Use: antibiotic

RN: 37091-66-0 MF: $C_{20}H_{23}N_5O_6S$ MW: 461.50 EINECS: 253-348-2
CN: [2*S*-[2α,5α,6β(*S**)]]-3,3-dimethyl-7-oxo-6-[[[[(2-oxo-1-imidazolidinyl)carbonyl]amino]phenylacetyl]amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 37091-65-9 MF: $C_{20}H_{22}N_5NaO_6S$ MW: 483.48 EINECS: 253-347-7
LD_{50}: 5065 mg/kg (M, i.v.);
1793 mg/kg (R, i.v.)



Reference(s):
FR 2 100 682 (Bayer; appl. 25.5.1971; D-prior. 25.5.1970).
US 3 933 795 (Bayer; 20.1.1976; D-prior. 25.5.1970).
DOS 2 104 579 (Bayer; appl. 1.2.1971).
US 3 978 223 (Bayer; 20.1.1976; D-prior. 25.5.1970).
DE 2 025 415 (Bayer; prior. 25.5.1970).

combination with other semisynthetic penicillins:
DOS 2 737 673 (Bayer; appl. 20.8.1977).

Formulation(s): amp. 2 g/20 ml, 5 g, 750 ml; inf. powder 500 mg, 1 g, 2 g, 5 g; lyo. 524 mg, 1048 mg, 2096 mg, 4192 mg, 5240 mg

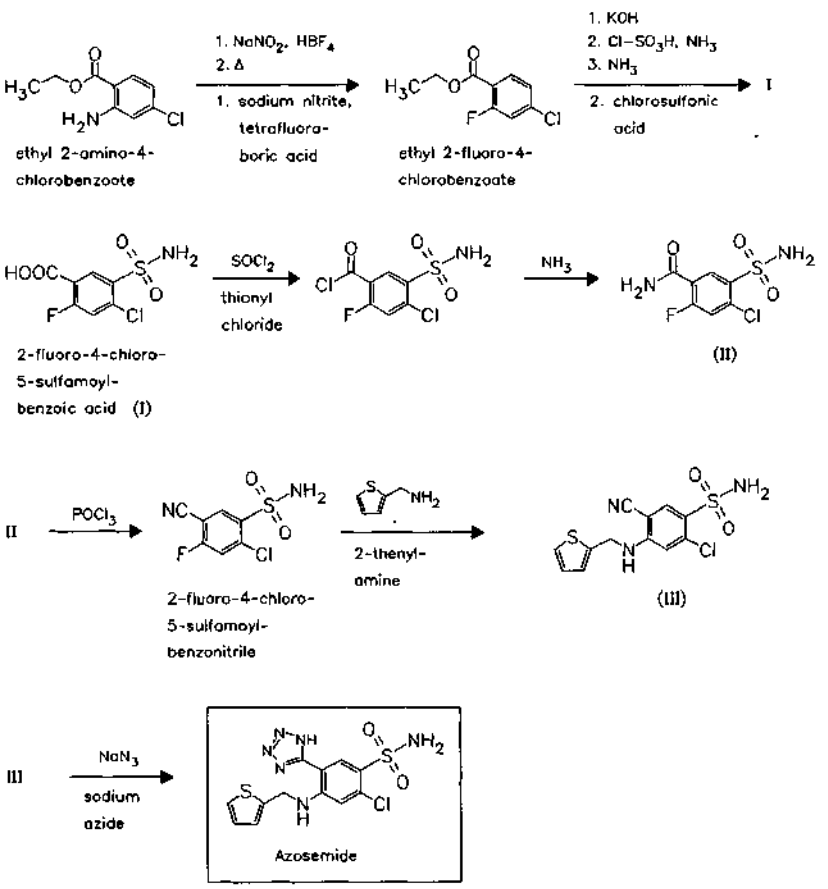
Trade Name(s):

D:	Securopen (Bayer; 1977)	F:	Securopen (Bayer-Pharma); wfm	GB:	Securopen (Bayer; 1980)
				I:	Securopen (Bayer; 1985)

Azosemide

ATC: C03CA
Use: diuretic

RN: 27589-33-9 MF: $C_{12}H_{11}ClN_6O_2S_2$ MW: 370.85 EINECS: 248-549-7
LD_{50}: 138 mg/kg (M, i.v.); 6350 mg/kg (M, p.o.);
252 mg/kg (R, i.v.); 2545 mg/kg (R, p.o.)
CN: 2-chloro-5-(1*H*-tetrazol-5-yl)-4-[(2-thienylmethyl)amino]benzenesulfonamide



Reference(s):
DOS 1 815 922 (Boehringer Mannh.; appl. 20.12.1968).
US 3 665 002 (Boehringer Mannh.; 23.5.1972; D-prior. 20.12.1968).

alternative synthesis:
DOS 3 034 664 (Boehringer Mannh.; appl. 13.9.1980).

synthesis of 2-fluoro-4-chloro-5-sulfamoylbenzoic acid:
Sturm, K. et al.: Chem. Ber. (CHBEAM) **99**, 328 (1966).

combination preparations:
DOS 2 423 550 (Boehringer Mannh.; appl. 15.5.1974).
DOS 2 423 606 (Boehringer Mannh.; appl. 15.5.1974).
DOS 2 556 001 (Boehringer Mannh.; appl. 2.12.1975).

Formulation(s): f. c. tabl. 80 mg

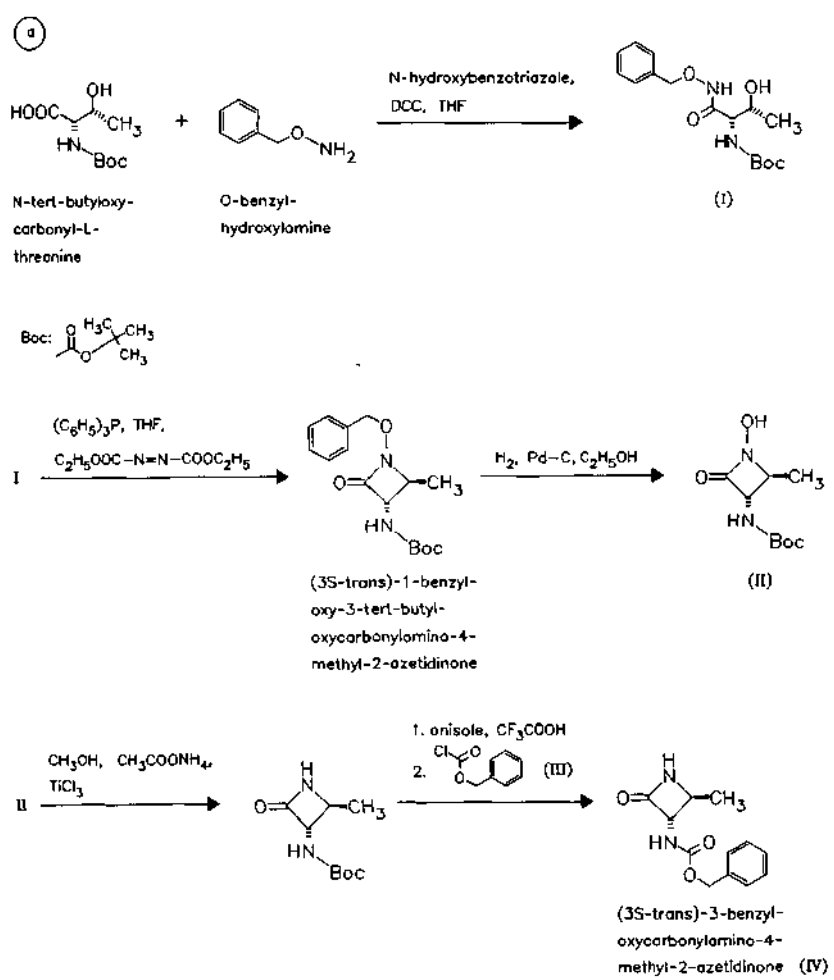
Trade Name(s):
D: Luret (Sanofi Winthrop) J: Diart (Sanwa Kagaku)

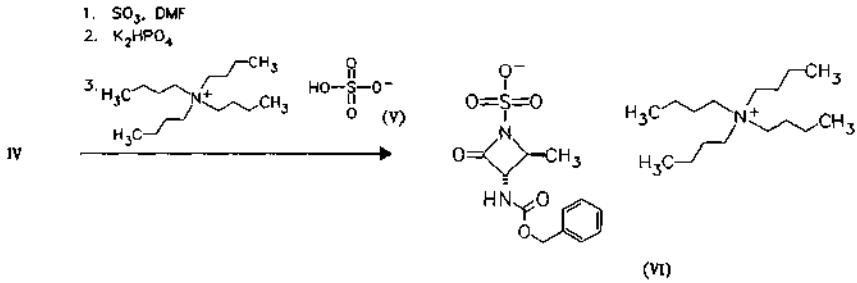
Aztreonam

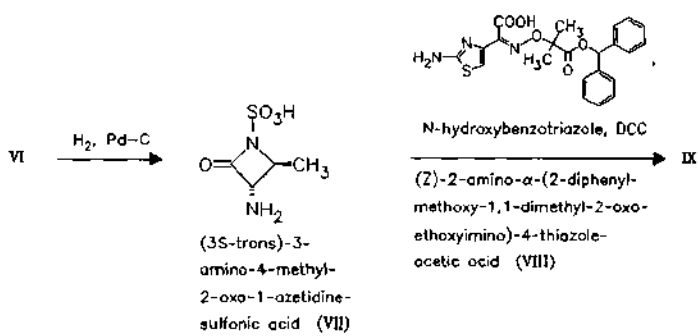
(Azthreonam)

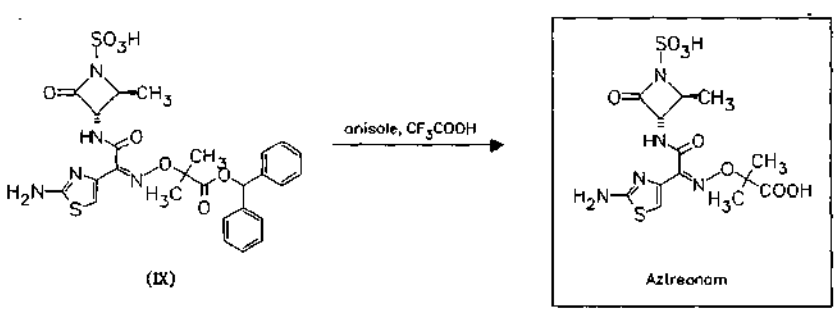
ATC: J01DF01
Use: synthetic monobactam antibiotic

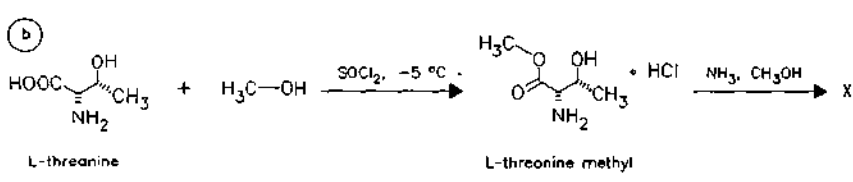
RN: 78110-38-0 MF: $C_{13}H_{17}N_5O_8S_2$ MW: 435.44 EINECS: 278-839-9
LD_{50}: 1963 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
2001 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: [2*S*-[2α,3β(Z)]]-2-[[[1-(2-amino-4-thiazolyl)-2-[(2-methyl-4-oxo-1-sulfo-3-azetidinyl)amino]-2-oxoethylidene]amino]oxy]-2-methylpropanoic acid

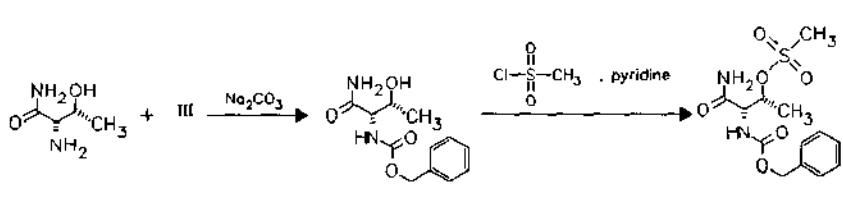


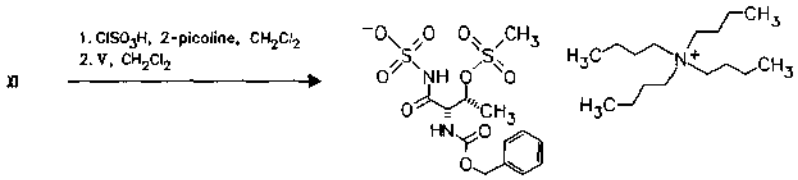

1. SO_3, DMF
2. K_2HPO_4
3.
IV
(V)
(VI)


VI
H_2, Pd–C
N-hydroxybenzotriazole, DCC
IX
(Z)-2-amino-α-(2-diphenylmethoxy-1,1-dimethyl-2-oxoethoxyimino)-4-thiazoleacetic acid (VIII)
(3S-trans)-3-amino-4-methyl-2-oxo-1-azetidinesulfonic acid (VII)

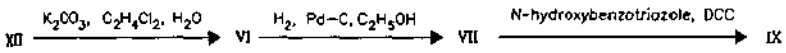

anisole, CF_3COOH
(IX)
Aztreonam

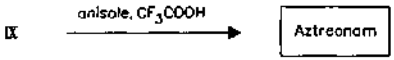

b
L-threanine
$SOCl_2$, −5 °C
HCl
NH_3, CH_3OH
X
L-threonine methyl ester hydrochloride


III
Na_2CO_3
. pyridine
L-threoninamide (X)
N^2-benzyloxycarbonyl-L-threoninamide
(XI)



N¹-sulfo-N²-benzyloxycorbonyl-O-methylsulfonyl-L-threoninamide tetrabutylammonium salt (XII)





Reference(s):

US 4 386 034 (Squibb; 31.5.1983; prior. 10.2.1982).
US 4 529 698 (Squibb; 16.7.1985; prior. 5.11.1984).
US 4 625 022 (Squibb; prior. 25.11.1986; 2.2.1981).
DOS 3 104 145 (Squibb; appl. 6.2.1981; USA-prior. 29.8.1980).
GB 2 071 650 (Squibb; appl. 6.2.1981; USA-prior. 7.2.1980, 29.9.1980).

Formulation(s): amp. 2 g; inj. powder 500 mg, 1 g, 2 g; lyo. for inf. 2 g; vial 1 g/3 ml

Trade Name(s):

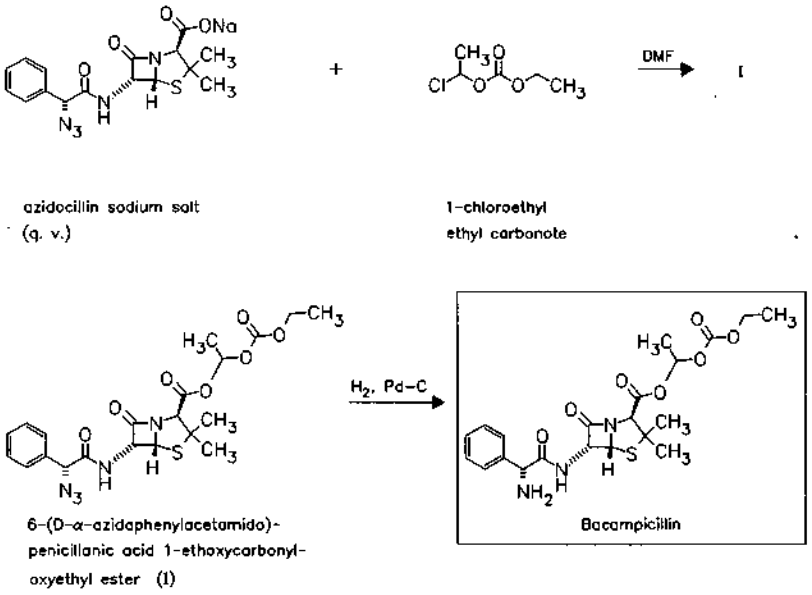
D:	Azactam (Bristol-Myers Squibb; 1985)	GB:	Azactam (Bristol-Myers Squibb; 1986)	J:	Azactam (Squibb; 1987)
F:	Azactam (Sanofi Winthrop)	I:	Azactam (Squibb; 1984)	USA:	Azactam (Bristol-Myers Squibb; 1987)

Bacampicillin

ATC: J01CA06
Use: antibiotic (broad spectrum penicillin)

RN: 50972-17-3 MF: $C_{21}H_{27}N_3O_7S$ MW: 465.53
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid 1-[(ethoxycarbonyl)oxy]ethyl ester

monohydrochloride
RN: 37661-08-8 MF: $C_{21}H_{27}N_3O_7S \cdot HCl$ MW: 501.99 EINECS: 253-580-4
LD_{50}: 184 mg/kg (M, i.v.); 8529 mg/kg (M, p.o.);
176 mg/kg (R, i.v.); 10 g/kg (R, p.o.)



Reference(s):
DAS 2 144 457 (Astra; appl. 4.9.1971; S-prior. 17.9.1970, 20.11.1970).
US 3 873 521 (Astra; 25.3.1975; S-prior. 17.9.1970; 20.11.1970).
US 3 939 270 (Astra; 17.2.1976; S-prior. 17.9.1970; 20.11.1970).

Formulation(s): f. c. tabl. 400 g, 800 mg; susp. 125 mg (as hydrochloride)

Trade Name(s):

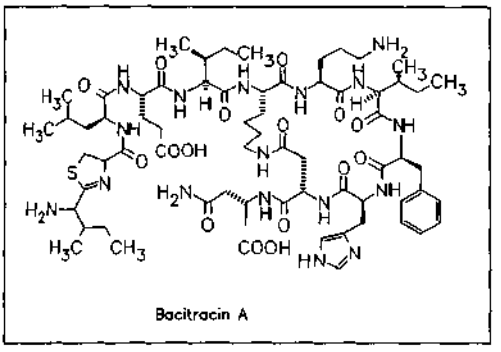
D:	Ambacamp (Pharmacia & Upjohn; 1981)		Penglobe (Lematte et Boinot)		Bacacil (Pfizer)
	Penglobe (Astra; 1977)	GB:	Ambaxin (Upjohn; 1980)	J:	Penglobe (Bracco)
F:	Bacampicine (Pharmacia & Upjohn)	I:	Ambaxin (Upjohn)		Bacacil (Pfizer Taito)
			Amplibac (Schwarz)		Penglobe (Yoshitomi)
				USA:	Spectrobid (Pfizer; 1981)

Bacitracin

ATC: D06AX05; R02AB04
Use: polypeptide antibiotic (mainly topical application)

RN: 1405-87-4 MF: $C_{66}H_{103}N_{17}O_{16}S$ MW: 1422.72 EINECS: 215-786-2
LD_{50}: 360 mg/kg (M, i.v.); >3750 mg/kg (M, p.o.)
CN: bacitracin

bacitracin A
RN: 22601-59-8 MF: $C_{66}H_{103}N_{17}O_{16}S$ MW: 1422.72 EINECS: 245-115-9



"Bacitracin" is submitted as mixture of bacitracin A with other bacitracins.
From culture of *Bacillus subtilis* and purification on ion-exchangers.

Reference(s):
US 2 498 165 (US-Secret. of War; 1950; appl. 1946).
US 2 828 246 (Commercial Solvents Corp.; 1958; appl. 1956).

purification:
US 2 457 887 (Ben Venue Labs.; 1949; appl. 1947).
US 2 609 324 (Commercial Solvents Corp.; 1952; appl. 1949).
US 2 774 712 (S. B. Penick & Co.; 1956; appl. 1955).
US 2 776 240 (Commercial Solvents Corp.; 1957; appl. 1954).
US 2 834 711 (Commercial Solvents Corp.; 1958; appl. 1956).
US 2 915 432 (Merck & Co.; 1959; appl. 1955).
US 2 960 437 (Pfizer; 1960; appl. 1955).
US 3 795 663 (Commercial Solvents Corp.; 5.3.1974; appl. 1.5.1972).
US 4 101 539 (IMC Chemical; 18.7.1978; appl. 17.10.1977).

complexes with nickel salts:
US 2 903 357 (Grain Processing Corp.; 1959; appl. 1958).

Na-bacitracin methanesulfonate:
US 3 205 137 (Warner-Lambert; 7.9.1965; appl. 19.3.1963).

complexes with zinc, cobalt *or* manganese sulfate *resp.* sulfonates:
US 3 384 631 (Spofa; 21.5.1968; appl. 23.6.1965; CSSR-prior. 26.6.1964).

complexes with metal methanesulfinates:
US 3 441 646 (Commercial Solvents; 29.4.1969; appl. 22.1.1965).

complex with calcium or magnesium alkylbenzenesulfonates:
US 3 891 615 (Commercial Solvents; 24.6.1975; appl. 25.10.1973).

Formulation(s): amp. 50000 iu; vial 5000 iu; nasal ointment 300 iu; ointment 300 iu, 500 iu; powder 300 iu.

Trade Name(s):

D:
Anginomycin (MIP Pharma)
Batrax (Gewo)-comb.
Bivacyn (medphano)
Cicatrex (Glaxo Wellcome)-comb.
Frubienzym (Boehringer Ing.)-comb.
Nebacetin (Yamanouchi)-comb.
Neobac (Dermapharm)
Neotracin (CIBA Vision)
Polyspectran (Alcon)-comb.
Prednitracin (CIBA Vision)-comb.
Tonsilase (Media)-comb.

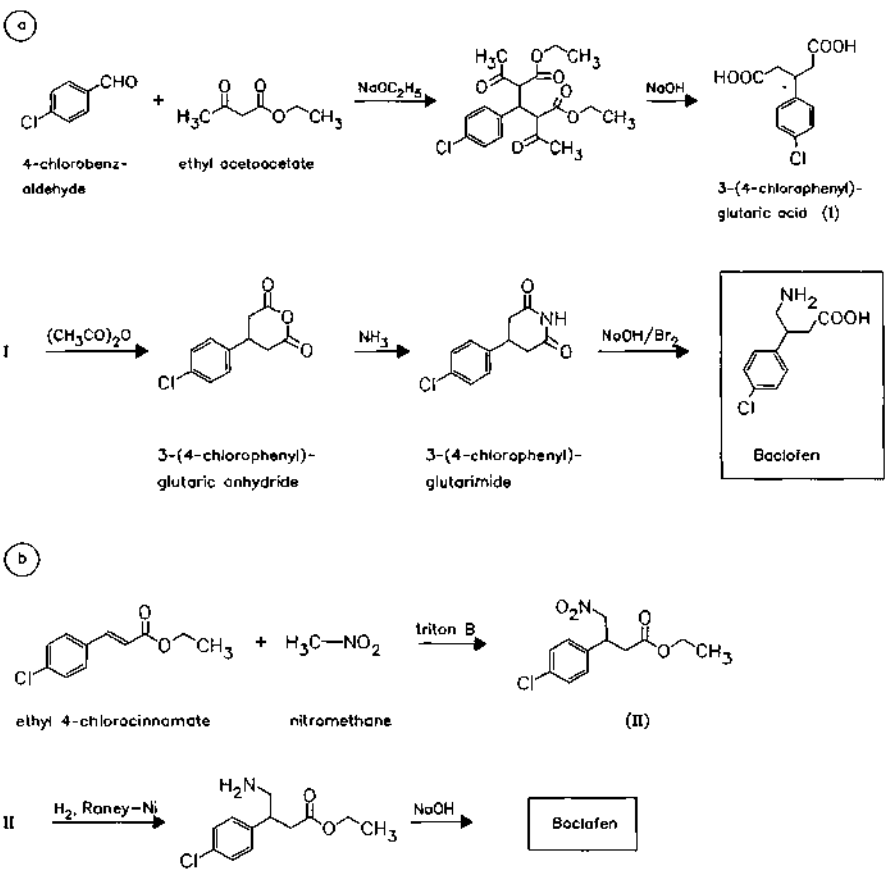
F:
Bacicoline (Merck Sharp & Dohme-Chibret)-comb.

Collunovar (Synthélabo)-comb.		generics	USA: Betadine (Purdue Frederick)
Lysopaine ORL (Boehringer Ing.)-comb.	GB:	Cicatrin (Glaxo Wellcome)-comb.	Cortisporin (Burroughs Wellcome)-comb.
Maxilase Bacitracine (Sanofi Winthrop)-comb.		Polyfax (Dominion)-comb.	Neosporin (Glaxo Wellcome; Warner-Lambert)
Oropivalone (Jouveinal)-comb.	I:	Bimixin (Lusofarmaco)-comb.	Polysporin (Warner-Lambert)
Pimafucort (Beytout)-comb.		Enterostop (Teafarma)-comb.	generics
		Orobicin (Fulton)-comb.	
	J:	Bacitracin (Ono)	

Baclofen

ATC: M03BX01
Use: muscle relaxant (antispasmodic)

RN: 1134-47-0 MF: $C_{10}H_{12}ClNO_2$ MW: 213.66 EINECS: 214-486-9
LD_{50}: 31 mg/kg (M, i.v.); 200 mg/kg (M, p.o.);
78 mg/kg (R, i.v.); 145 mg/kg (R, p.o.)
CN: β-(aminomethyl)-4-chlorobenzenepropanoic acid



Reference(s):

a US 3 471 548 (Ciba; 7.10.1969; CH-prior. 9.6.1963; 22.5.1964).
US 3 634 428 (Ciba; 11.1.1972; CH-prior. 9.7.1963, 22.5.1964).

b JP 45 016 692 (Uchimaru, F. et al.; Daiichi Seiyku; appl. 10.6.1970); C.A. (CHABA8) **73**, 77617w (1970).

combination with neuroleptics:
US 3 947 579 (Nelson Research & Dev.; 30.3.1976; appl. 3.6.1974).
US 3 978 216 (Nelson Research & Dev.; 31.8.1976; prior. 3.6.1974, 16.7.1975).
US 4 138 484 (Nelson Research & Dev.; 6.2.1979; prior. 3.6.1974, 16.7.1975, 16.8.1976, 25.7.1977).

Formulation(s): inj. sol. 0.05 mg/1 ml, 10 mg/20 ml, 10 mg/5 ml; intrathecal inj. 50 µg/ml, 0.05 mg/ml, 10 mg/20 ml, 10 mg/5 ml; liquid 5 mg/5 ml; tabl. 5 mg, 10 mg, 25 mg

Trade Name(s):

D:	Lebic (Isis Puren)	GB:	Lioresal (Novartis)	Lioresal (Novartis)
	Lioresal (Novartis Pharma)	I:	Lioresal (Ciba)	
F:	Liorésal (Novartis)	J:	Gabalon (Daiichi)	

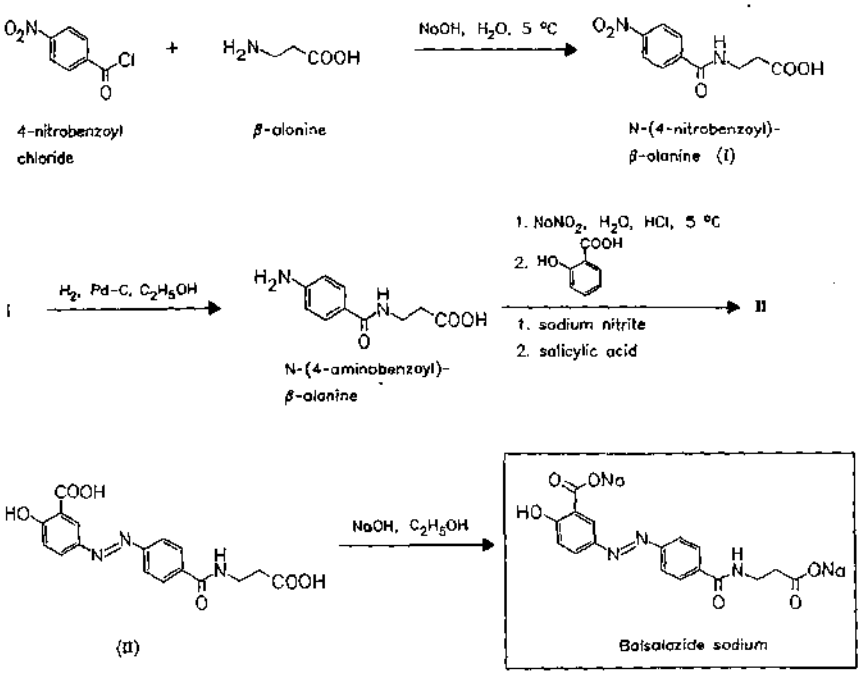
Balsalazide sodium

(BX-661-A)

ATC: D08
Use: anti-inflammatory

RN: 82101-18-6 MF: $C_{17}H_{13}N_3Na_2O_6$ MW: 401.29
CN: 5-[[4-[[(2-carboxyethyl)amino]carbonyl]phenyl]azo]-2-hydroxybenzoic acid disodium salt

(*E*)-free acid
RN: 80573-04-2 MF: $C_{17}H_{15}N_3O_6$ MW: 357.32



Reference(s):
DE 3 128 819 (Biorex Lab.; appl. 21.7.1981; GB-prior. 21.7.1980, 7.7.1981).

Formulation(s): cps. 750 mg (as disodium salt)

Trade Name(s):
GB: Colazide (Astra/manuf. by Salix)

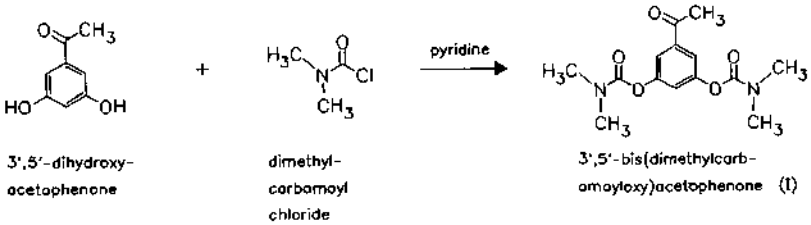
Bambuterol

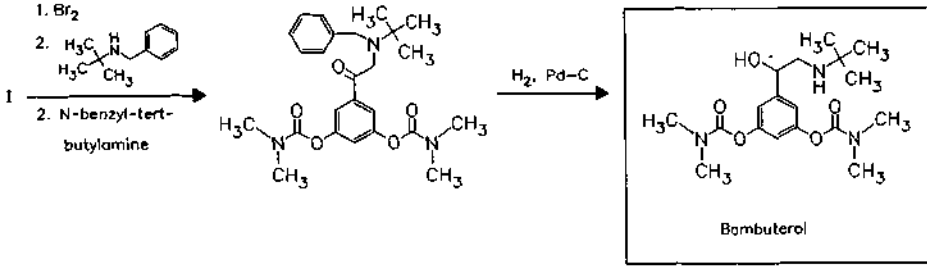
(KWD-2183)

ATC: R03CC12
Use: β_2-receptor agonist, orally active lipophilic terbutaline ester prodrug, long lasting bronchodilator

RN: 81732-65-2 MF: $C_{18}H_{29}N_3O_5$ MW: 367.45
CN: dimethylcarbamic acid 5-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-1,3-phenylene ester

monohydrochloride
RN: 81732-46-9 MF: $C_{18}H_{29}N_3O_5 \cdot HCl$ MW: 403.91





Reference(s):
EP 43 807 (Draco; appl. 30.6.1981; GB-prior. 9.7.1980, 29.5.1981).
DOS 3 163 871 (Draco; appl. 23.1.1981; GB-prior. 9.7.1980, 29.5.1981).
(alternative synthesis given).

Formulation(s): tabl. 10 mg, 20 mg; sol. 0.1%

Trade Name(s):
D: Bambec (Astra)

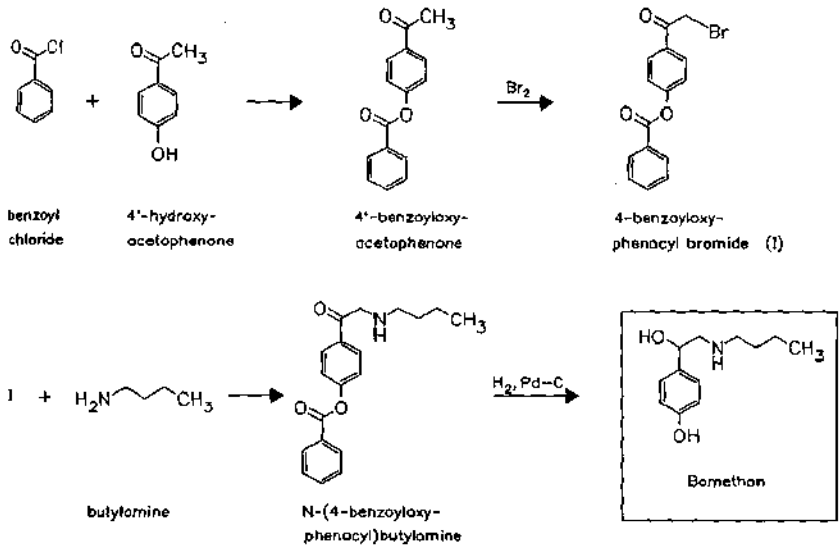
Bamethan

(Butylnorsynephrine; Butyloctopamine)

ATC: C04AA31
Use: sympathomimetic, vasodilator

RN: 3703-79-5 MF: $C_{12}H_{19}NO_2$ MW: 209.29 EINECS: 223-043-9
LD_{50}: 72 mg/kg (M, i.v.); 562 mg/kg (M, p.o.);
80 mg/kg (R, i.v.)
CN: α-[(butylamino)methyl]-4-hydroxybenzenemethanol

sulfate (2:1)
RN: 5716-20-1 MF: $C_{12}H_{19}NO_2 \cdot 1/2H_2SO_4$ MW: 516.66 EINECS: 227-214-9
LD_{50}: 72 mg/kg (M, i.v.); 1600 mg/kg (M, p.o.);
>1500 mg/kg (R, p.o.)



Reference(s):
Corrigan, J.R. et al.: J. Am. Chem. Soc. (JACSAT) **67**, 1894 (1945).

Formulation(s): gel 1.5 g/100 g

Trade Name(s):

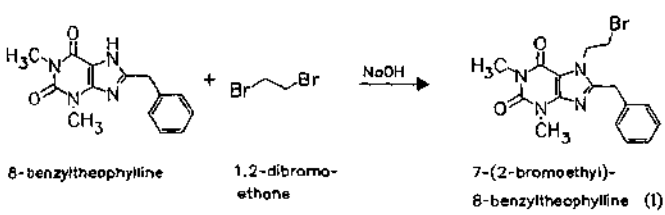
D:	Emasex (Eurim Pharma)-comb.	GB:	Vasculit (Boehringer Ing.); wfm	Pericardin (Santen-Yamanouchi)
	Heweven (Hevert)	I:	Vasculat (Boehringer Ing.; as sulfate)	Simpelate (Seiko Eiyo)
	Medigel (Medice)-comb.			Valtolmin (Sanwa)
	Theo-Hexanicit (Astra/Promed)	J:	Bloodbin (Nakataki)	Vasculat (Tanabe; as sulfate)
	Vasoforte N Kapseln (Krugmann)		Butibatol (Hishiyama)	Vasolat (Kanto)
F:	Escinogel (Doms-Adrian)-comb.		Butosin (Kobayashi)	Vasolen (Toho)
			Cyclate (Hokuriku)	Vasstol (Nichiiko)
			Garmin (Fuso)	Yonomol A (Sawai)
			Pan Line (Maruishi)	

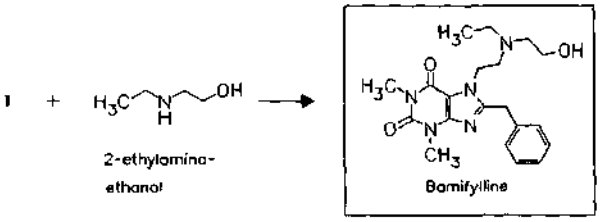
Bamifylline

ATC: R03BA; R03DA08
Use: bronchodilator, coronary vasodilator

RN: 2016-63-9 MF: $C_{20}H_{27}N_5O_3$ MW: 385.47
CN: 7-[2-[ethyl(2-hydroxyethyl)amino]ethyl]-3,7-dihydro-1,3-dimethyl-8-(phenylmethyl)-1*H*-purine-2,6-dione

monohydrochloride
RN: 20684-06-4 MF: $C_{20}H_{27}N_5O_3 \cdot HCl$ MW: 421.93 EINECS: 243-967-6





Reference(s):
BE 602 888 (A. Christiaens S.A.; appl. 21.4.1961; GB-prior. 22.4.1960).

Formulation(s): inj. sol. 300 mg/5 ml; suppos. 250 mg, 750 mg; tabl. 300 mg

Trade Name(s):

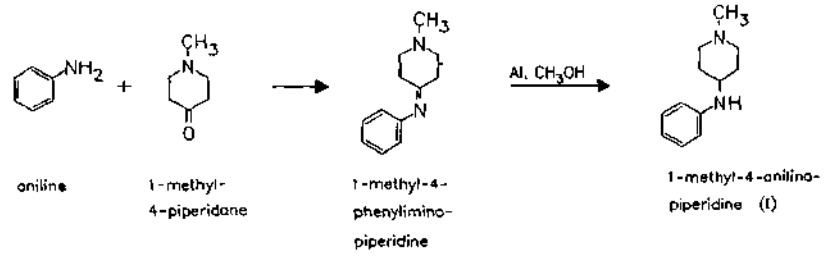
D:	Trentadil (Fresenius); wfm		Trentadil injectable (Evans	I:	Bamifix (Chiesi)
F:	Trentadil (Evans Medical)		Medical)		Briafil (Alfa Wassermann)
	Trentadil (Sedaph); wfm	GB:	Trentadil (Armour); wfm		

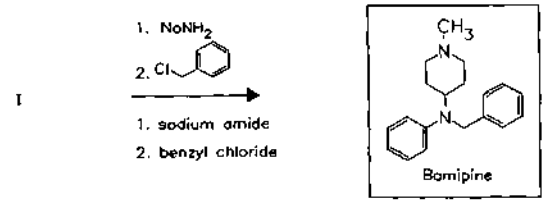
Bamipine

ATC: D04AA15; R06AX01
Use: antihistaminic

RN: 4945-47-5 MF: $C_{19}H_{24}N_2$ MW: 280.42 EINECS: 225-587-2
LD_{50}: 250 mg/kg (M, p.o.)
CN: 1-methyl-*N*-phenyl-*N*-(phenylmethyl)-4-piperidinamine

monohydrochloride
RN: 1229-69-2 MF: $C_{19}H_{24}N_2 \cdot HCl$ MW: 316.88
LD_{50}: 60 mg/kg (M, i.v.); 750 mg/kg (M, p.o.);
460 mg/kg (R, p.o.);
189 mg/kg (dog, p.o.)
lactate (1:1)
RN: 61670-09-5 MF: $C_{19}H_{24}N_2 \cdot C_3H_6O_3$ MW: 370.49 EINECS: 262-887-2





Reference(s):
US 2 683 714 (Knoll AG; 1954; D-prior. 1949).

Formulation(s): cream 20 mg; drg. 20 mg; f. c. tabl. 50 mg; gel 20 mg

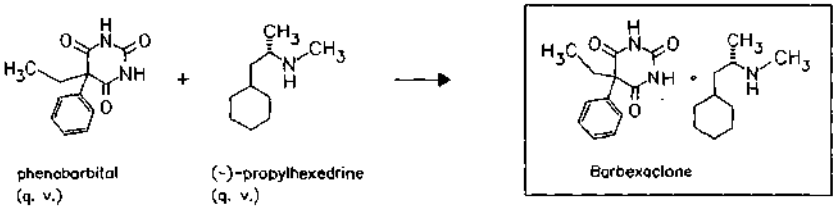
Trade Name(s):

D:	Bamipin (ratiopharm)	F:	Taumidrine (Knoll); wfm
	Soventol (Knoll)	I:	Soventol (Knoll); wfm

Barbexaclone

ATC: N03AA04
Use: antiepileptic

RN: 4388-82-3 MF: $C_{12}H_{12}N_2O_3$ MW: 232.24 EINECS: 224-504-7
LD_{50}: 334 mg/kg (M, p.o.);
306 mg/kg (R, p.o.)
CN: 5-ethyl-5-phenyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione, compd. with (*S*)-*N*,α-dimethylcyclohexaneethanamine (1:1)



Reference(s):
DE 1 120 452 (Knoll; appl. 16.4.1960).

Formulation(s): drg. 100 mg, 25 mg

Trade Name(s):

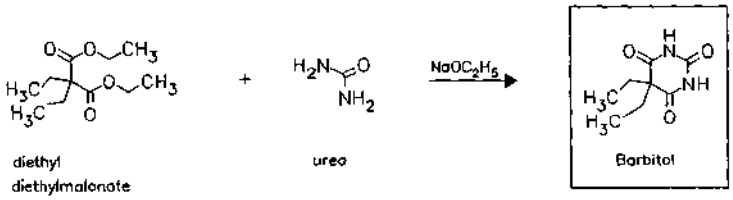
D:	Maliasin (Knoll)	I:	Maliasin (Ravizza)

Barbital

ATC: N05CA04
Use: hypnotic

RN: 57-44-3 MF: $C_8H_{12}N_2O_3$ MW: 184.20 EINECS: 200-331-2
LD_{50}: 600 mg/kg (M, p.o.)
CN: 5,5-diethyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt
RN: 144-02-5 MF: $C_8H_{11}N_2NaO_3$ MW: 206.18 EINECS: 205-613-9
LD_{50}: 830 mg/kg (M, i.v.); 800 mg/kg (M, p.o.);
280 mg/kg (R, i.v.); 600 mg/kg (R, p.o.)



Reference(s):
Fischer; Dilthey: Justus Liebigs Ann. Chem. (JLACBF) **335**, 338 (1904).

Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):

D:	Barbimetten (Hormosan); wfm	F:	combination preparations; wfm	I:	Barbitt (Tariff. Integrativo) Veronidia (Vaillant); wfm

Barnidipine

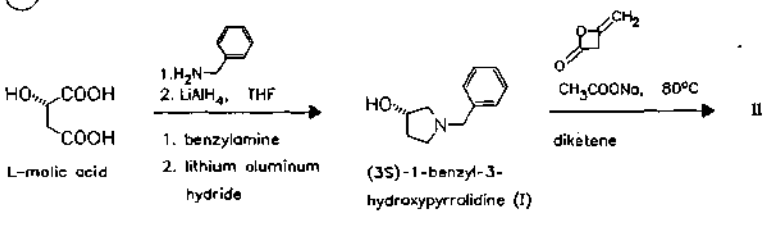
(Mepirodipine hydrochloride)

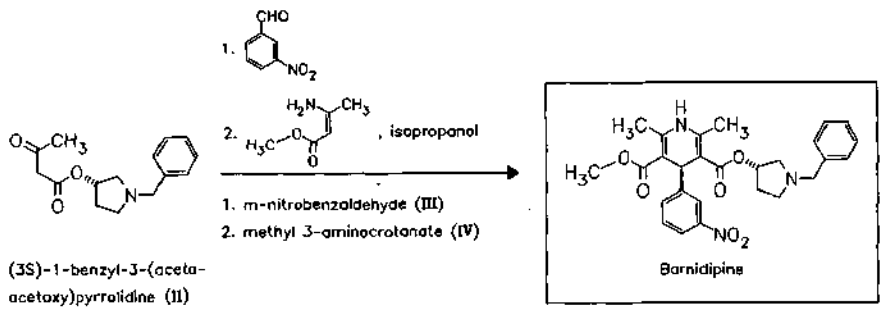
ATC: C08CA12
Use: antihypertensive agent, long active calcium antagonist

RN: 104757-53-1 MF: $C_{27}H_{29}N_3O_6 \cdot HCl$ MW: 528.01
CN: [*S*-(*R**,*R**)]-1,4-Dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid methyl 1-(phenylmethyl)-3-pyrrolidinyl ester hydrochloride

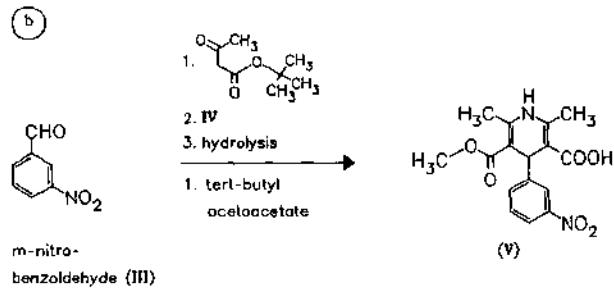
free base
RN: 104713-75-9 MF: $C_{27}H_{29}N_3O_6$ MW: 491.54
racemate
RN: 71863-55-3 MF: $C_{27}H_{29}N_3O_6$ MW: 491.54

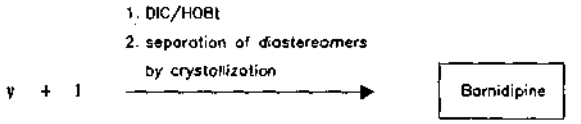
a





b





Reference(s):
a DE 2 904 552 (Yamanouchi Pharm.; appl. 7.2.1979; J-prior. 14.2.1978).
b CN 85 107 590 (Faming Zhuanli Sheging Gonhai S.; appl. 11.10.1985; J-prior. 24.1.1985).

alternative syntheses:
Hirose, Y.; Kariya, K.; Sasaki, I.; Kuronom Y; Achiwa, K.: Tetrahedron Lett. (TELEAY) **34** (37), 5915 (1993).
JP 6 279 409 (Mercian Corp.; J-prior. 26.3.1993).
JP 7 070 066 (Amano Pharma Co.; prior. 3.9.1993).

alternative synthesis of optically active 1-benzyl-3-hydroxypyrrolidine:
JP 9 263 578 (Koei Chemical Co.; appl. 29.3.1996).

X-ray structure and synthesis of all enantiomers:
Tamazawa, K et al.: J. Med. Chem. (JMCMAR) **29** (12), 2504 (1986)

Formulation(s): tabl. 5 mg, 10 mg, 15 mg (as hydrochloride)

Trade Name(s):
J: Hypoca R (Yamanouchi; 1992)

Batroxobin

ATC: B02BX03
Use: anticoagulant, fibrinolytic

RN: 9039-61-6 MF: unspecified MW: unspecified EINECS: 232-918-4
LD_{50}: 384 µg/kg (M, i.v.);
210 µg/kg (R, i.v.);
380 µg/kg (dog, i.v.)
CN: bothrops atrox serine proteinase

Fibrinolytic effecting protease enzyme from the poison secretion (venom) of *Bothrops atrox* with glycoprotein structure. It has thrombin similarly endopeptidase activity.
Purification by chromatographic methods.

Reference(s):
US 3 849 252 (Pentapharm; 19.11.1974; CH-prior. 18.1.1971).
DOS 2 201 993 (Pentapharm; appl. 17.1.1972; CH-prior. 18.1.1971).

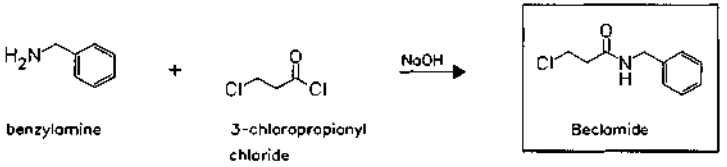
Formulation(s): amp. 20 iu.

Trade Name(s):
I: Botropase (Ravizza)
Reptilase (Lepetit)
J: Defibrase (Tobishi-Fujisawa)

Beclamide

ATC: N03AX30
Use: antiepileptic, anticonvulsant

RN: 501-68-8 MF: $C_{10}H_{12}ClNO$ MW: 197.67 EINECS: 207-927-1
LD_{50}: 1 g/kg (M, p.o.);
770 mg/kg (R, i.v.); 3200 mg/kg (R, p.o.)
CN: 3-chloro-*N*-(phenylmethyl)propanamide



Reference(s):
US 2 569 288 (American Cyanamid; 1951; prior. 1949).

Formulation(s): drg. 330 mg, 500 mg

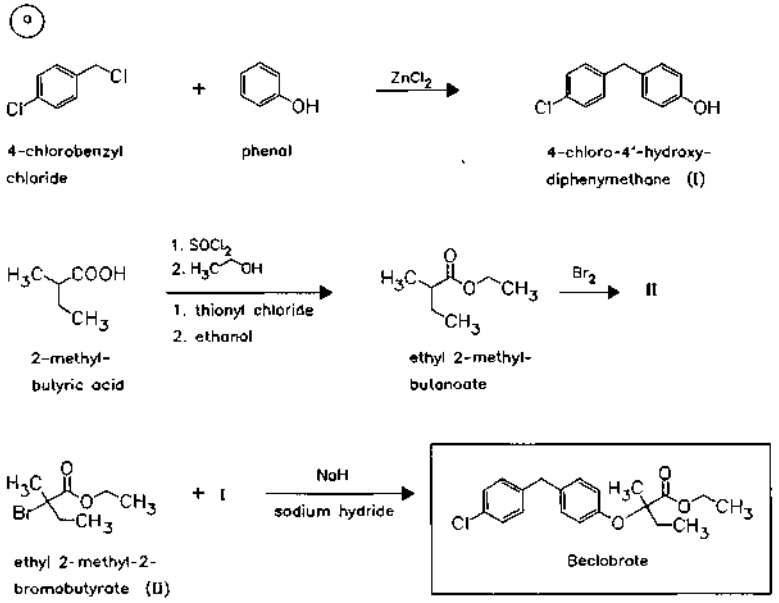
Trade Name(s):

D:	Neuracen (Promonta); wfm	F:	Posédrine (Aron); wfm	I:	Posedrine (Aron); wfm
	Posedrin (Promonta); wfm	GB:	Nydrane (Rona); wfm		

Beclobrate

ATC: B04AC
Use: hyperlipidemic

RN: 55937-99-0 MF: $C_{20}H_{23}ClO_3$ MW: 346.85 EINECS: 259-912-4
CN: (±)-2-[4-[(4-chlorophenyl)methyl]phenoxy]-2-methylbutanoic acid ethyl ester



(b)

Reference(s):
DOS 2 461 069 (Siegfried; appl. 23.12.1974; CH-prior. 27.12.1973, 28.3.1974, 3.10.1974, 18.11.1974).
BE 823 904 (Siegfried; appl. 18.11.1974; CH-prior. 27.12.1973).
US 4 153 803 (Siegfried; 8.5.1979; CH-prior. 27.12.1973, 28.3.1974, 18.11.1974).
Thiele, K. et al.: Arzneim.-Forsch. (ARZNAD) **29**, 711 (1979).

synthesis of I:
Klarmann, E. et al.: J. Am. Chem. Soc. (JACSAT) **54**, 3315 (1932).
Huston, R.C. et al.: J. Am. Chem. Soc. (JACSAT) **55**, 4639 (1933).

synthesis of ethyl 2-methylbutanoate:
Gardner, R.: J. Chem. Soc. (JCSOA9) **1938**, 53.

Formulation(s): tabl. 100 mg

Trade Name(s):
CH: Beclipur (Siegfried; 1988) Beclosclerin (Siegfried; 1988) Turec (Zyma; 1988)

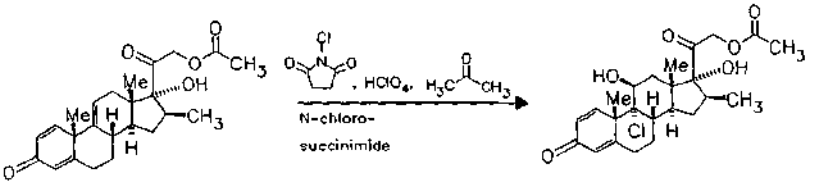
Beclometasone
(Beclomethasone)

ATC: A07EA07; D07AC15; R01AD01; R03BA01
Use: glucocorticoid

RN: 4419-39-0 MF: $C_{22}H_{29}ClO_5$ MW: 408.92 EINECS: 224-585-9
CN: (11β,16β)-9-chloro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione

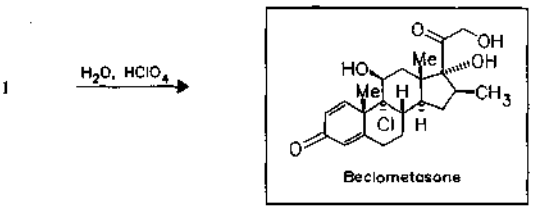
dipropionate
RN: 5534-09-8 MF: $C_{28}H_{37}ClO_7$ MW: 521.05 EINECS: 226-886-0
LD_{50}: >5 g/kg (M, p.o.);
>3.75 g/kg (R, p.o.)

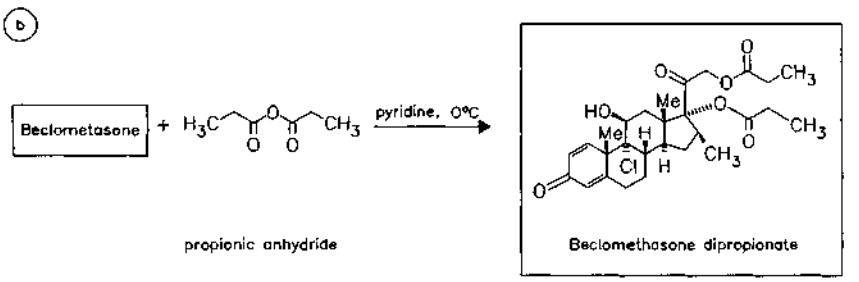
(a)



21-acetoxy-17-hydroxy-16β-methylpregna-1,4,9(11)-triene-3,20-dione (intermediate in syntheses of betamethasone, q.v.)

beclometasone 21-acetate (I)





Reference(s):

a GB 912 378 (Merck & Co.; appl. 3.6.1959; USA-prior. 19.6.1958).
GB 912 379 (Merck & Co.; appl. 3.6.1959; USA-prior. 19.6.1958).
alternative synthesis:
GB 901 093 (Scherico; appl. 22.7.1958; USA-prior. 22.7.1957).
US 4 041 055 (Upjohn; 9.8.1977, appl. 17.11.1975).

b BE 649 170 (Glaxo; appl. 11.6.1964; GB-prior. 11.6.1963).
FR 2 274 309 (Plurichemie; appl. 27.3.1975; P-prior. 27.3.1974, 10.3.1975).

medical use:
DOS 2 320 111 (Allen & Hanburys; appl. 19.4.1973; GB-prior. 20.4.1972).

Formulation(s): cream 0.025 %; dose aerosol (0.05 µg, 0.25 µg/puff); nasal spray (0.05µg/puff); powder inhaler

Trade Name(s):

D:
AeroBec Autohaler (3M Medica/ASTA Medica AWD)
Beclorhinol (Lindopharm)
Becloturmant (Desitin)
Beconase (Glaxo)
Beconase Aquosum (Glaxo)
Beconase Dosier-Spray (Glaxo)
Sanasthmax (Glaxo)
Sanasthmyl (Glaxo)
Sanasthmyl Dosier-Aerosol
Rotadish (Glaxo)
Viarox (Byk Essex)
Viarox (Byk Gulden)
Viarox Dosier-Aerosol (Byk Essex)

F:
Beclojet (Promedica)
Béconase (Glaxo Wellcome)
Bécotide (Glaxo Wellcome)
Prolair Autohaler (3M Santé)
Rhinirex (Irex)
Spir (Inava)

GB:
Aerobec (3M)
Asmabec (Evans)
Beclazone (Baker Norton)
Becloforte (Allen & Hanburys)
Becodisks (Allen & Hanburys)
Beconase (Allen & Hanburys)
Becotide (Allen & Hanburys)
Filair (3M)
Propaderm (Glaxo Wellcome)

I:
Becotide (Glaxo)
Bronco-Turbinal (Valeas)
Cleniderm (Chiesi)
Clenigen (Chiesi)-comb.
Clenil (Chiesi)
Clenil spray (Chiesi)
Inalone (Lampugnani)
Menaderm (Menarini)
Proctisone (Chiesi)-comb.
Propaderm (Demcan)
Rino-Clenil (Chiesi)
Turbinal (Valeas)

J:
Aldecin (Schering-Plough)
Becloderm (Kobayashi)
Beconase (Glaxo)
Becotide (Nippon Glaxo)
Becotide (Glaxo)
Belg (Kowa)
Betozon (Ohta)
Betozon (Ohta Seiyaku)
Entyderma (Taiyo)
Hibisterin (Nippon Zoki)
Korbutone (Nippon Glaxo)
Mulunet (Tatsumi)

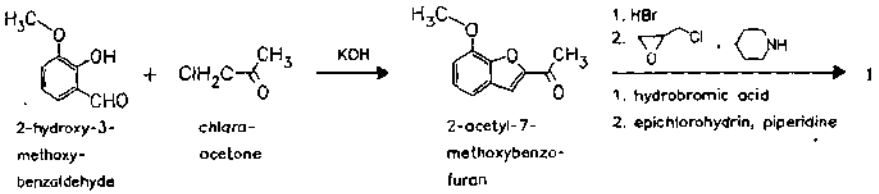
Propaderm (Shin Nihon; Jitsugyo-Glaxo Fuji; as dipropionate)	USA:	Beclovent (Glaxo Wellcome)	Vancenase (Schering-Plough; as dipropionate)
Rhinocort (Fujisawa)		Beconase (Glaxo Wellcome)	Vanceril (Schering; as dipropionate)
Salcoat (Fujisawa)		Beconase (Glaxo Wellcome; as dipropionate)	
Soluroid (Nikken)			

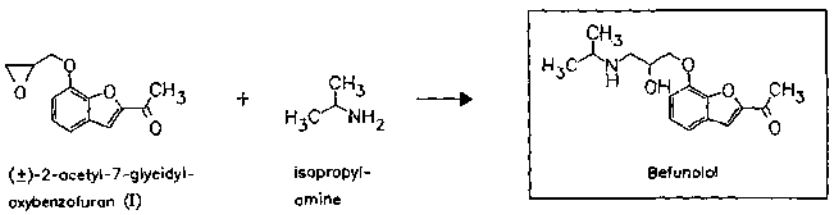
Befunolol

ATC: C07AA; S01ED06
Use: β-adrenoceptor blocker

RN: 39552-01-7 MF: $C_{16}H_{21}NO_4$ MW: 291.35
LD_{50}: 100-105 mg/kg (M, i.v.)
CN: (±)-1-[7-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-2-benzofuranyl]ethanone

hydrochloride
RN: 39543-79-8 MF: $C_{16}H_{21}NO_4 \cdot HCl$ MW: 327.81
LD_{50}: 65 mg/kg (M, i.v.); 950 mg/kg (M, p.o.);
922 mg/kg (R, p.o.)





Reference(s):
DOS 2 223 184 (Kakenyaku Kako; appl. 12.5.1972; J-prior. 13.5.1971, 14.7.1971, 28.10.1971, 6.1.1972).
US 3 853 923 (Kakenyaku Kako; 10.12.1974; J-prior. 13.5.1971, 14.7.1971, 28.10.1971, 6.1.1972).
US 4 056 626 (Kakenyaku Kako; 1.11.1977; J-prior. 6.1.1972; 13.5.1971).

synthesis of 2-acetyl-7-methoxybenzofuran:
Bergel et al.: J. Chem. Soc. (JCSOA9), **1944**, 261.

Formulation(s): eye drops 2.5 mg/ml, 5 mg/ml

Trade Name(s):

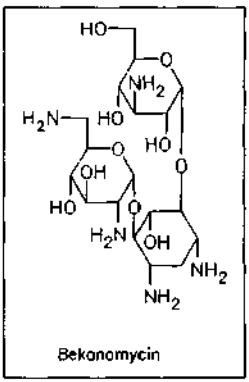
D:	Glauconex (Alcon; 1984)	I:	Betaclan (Angelini)
F:	Bentos (CIBA Vision Ophthalmics; 1987)	J:	Bentos (Kaken; as hydrochloride; 1983)

Bekanamycin
(Kanamycin B)

ATC: A07AA; J01KD; S01AA
Use: aminoglycoside antibiotic

RN: 4696-76-8 MF: $C_{18}H_{37}N_5O_{10}$ MW: 483.52 EINECS: 225-170-5
LD_{50}: 136 mg/kg (M, i.v.)
CN: *O*-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-*O*-[2,6-diamino-2,6-dideoxy-α-D-glucopyranosyl-(1→4)]-2-deoxy-D-streptamine

sulfate (1:1)
RN: 29701-07-3 MF: $C_{18}H_{37}N_5O_{10} \cdot H_2SO_4$ MW: 581.60
LD_{50}: 112 mg/kg (M, i.v.);
141 mg/kg (R, i.v.); >10 g/kg (R, p.o.)



Bekanomycin

Fermentation of *Streptomyces kanamyceticus* (ATCC 12853) and precipitation with sodium dodecylphenylsulfonate.

Reference(s):
DAS 1 115 413 (H. Umezawa; appl. 1958; USA-prior. 1957).
US 2 967 177 (Bristol-Myers; 1961; prior. 1958).
US 3 032 547 (Merck & Co., 15.1.1962; prior. 12.9.1958).

alternative synthesis:
US 2 931 798 (H. Umezawa et al.; 1960; J-prior. 1956).
US 2 936 307 (Bristol-Myers; 1960; prior. 1957).

total synthesis:
Umezawa, S. et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **42**, 537 (1969).

structure:
Ito, T.: J. Antibiot., Ser. A (JAJAAA) **17**, 189 (1964).

review:
Wakazawa, T. et al.: J. Antibiot., Ser. A (JAJAAA) **14A**, 180, 187 (1961).

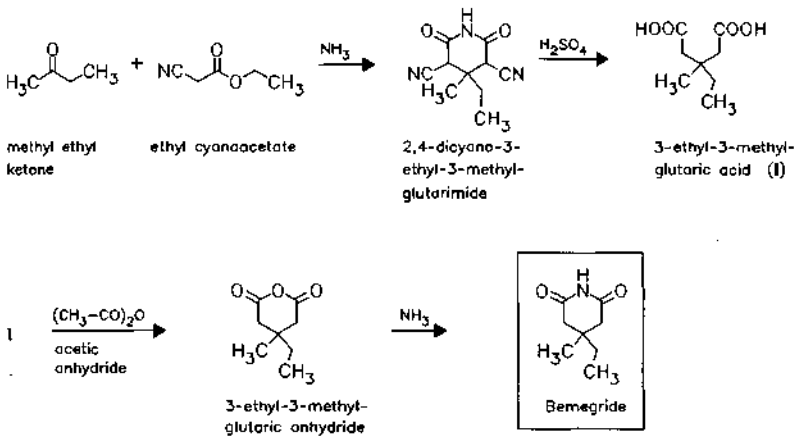
Formulation(s): cps. 250 mg; gran. 250 mg; powder; susp. 200 mg

Trade Name(s):
I: Kanendos (Crinos; as sulfate)
Micomplex (Schiapparelli Searle)-comb.
Visumicina (Merck Sharp & Dohme)-comb.
J: Kanendomycin (Meiji Seika; as sulfate)

Bemegride

ATC: R07AB05
Use: antidote for barbiturate poisoning, analeptic

RN: 64-65-3 MF: $C_8H_{13}NO_2$ MW: 155.20 EINECS: 200-588-0
LD_{50}: 16 mg/kg (M, i.v.); 41 mg/kg (M, p.o.); 16 mg/kg (R, i.v.)
CN: 4-ethyl-4-methyl-2,6-piperidinedione



Reference(s):
Benica, W.S.; Wilson, C.H.O.: J. Am. Pharm. Assoc. (JPHAA3) **39**, 451, **454** (1950).

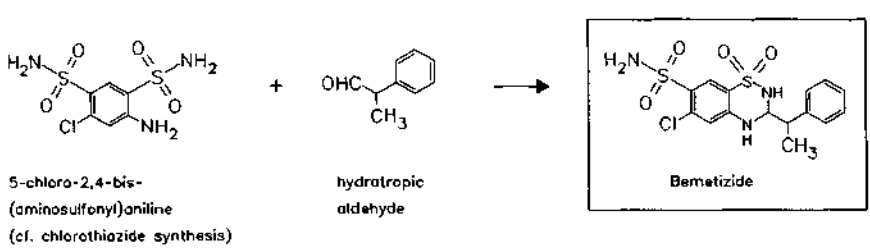
Formulation(s): amp. 5 mg/ml (5 %, 10 %)

Trade Name(s):
D: Eukraton (Nordmark); wfm
F: Mégimide (Aspros-Nicholas); wfm
GB: Megimide (Nicholas); wfm
J: Antibarbi (Tanabe)

Bemetizide

ATC: C03E
Use: diuretic

RN: 1824-52-8 MF: $C_{15}H_{16}ClN_3O_4S_2$ MW: 401.90 EINECS: 217-357-5
LD_{50}: 345 mg/kg (M, i.v.); >5 g/kg (M, p.o.); >5 g/kg (R, p.o.)
CN: 6-chloro-3,4-dihydro-3-(1-phenylethyl)-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
AT 230 382 (Dr. H. Voigt; appl. 8.3.1961; D-prior. 23.2.1961).
Topliss, J.G. et al.: J. Org. Chem. (JOCEAH) **26**, 3842 (1961).
Jacobi, H.; Fontaine, R.: Arzneim.-Forsch. (ARZNAD) **16**, 1186, 1332 (1966).

Formulation(s): drg. 10 mg, 20 mg

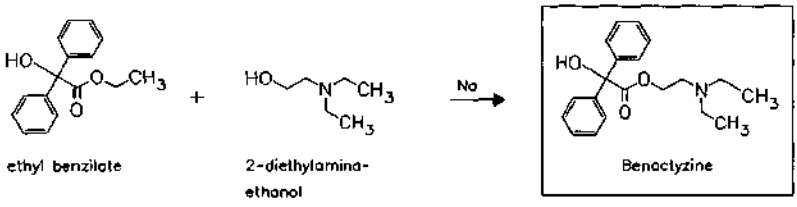
Trade Name(s):
D: Dehydro sanol (Sanol)-comb.; Diucomb (Melusin)-comb.
F: Tensigradyl (Oberval)-comb.; wfm

Benactyzine

ATC: N04A
Use: ataractic, neuroleptic, anticholinergic

RN: 302-40-9 MF: $C_{20}H_{25}NO_3$ MW: 327.42 EINECS: 206-123-8
LD_{50}: 100 mg/kg (M, i.p.); 159 mg/kg (M, s.c.); 135 mg/kg (R, i.m.)
CN: α-hydroxy-α-phenylbenzeneacetic acid 2-(diethylamino)ethyl ester

hydrochloride
RN: 57-37-4 MF: $C_{20}H_{25}NO_3 \cdot HCl$ MW: 363.89 EINECS: 200-324-4
LD_{50}: 14.3 mg/kg (M, i.v.); 160 mg/kg (M, p.o.); 184 mg/kg (R, p.o.)



Reference(s):
US 2 394 770 (American Cyanamid; 1946; prior. 1942).

Formulation(s): amp. 2 mg/ml, 0.3 %; tabl. 1 mg

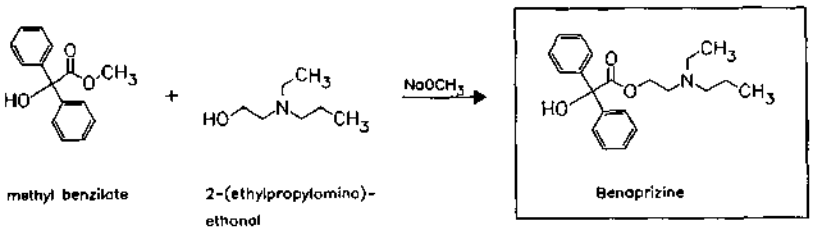
Trade Name(s):
D: Brondiletten (Albert-Roussel)-comb.; wfm; Perasthman (Polypharm)-comb.
I: Pre Ciclo (Ibis)-comb.; wfm; Sirenitas (Benvegna)-comb.; wfm
J: Morcain (Tatsumi); wfm; Parpon (Santen); wfm

Benaprizine
(Benapryzine)

ATC: N04
Use: antiparkinsonian

RN: 22487-42-9 MF: $C_{21}H_{27}NO_3$ MW: 341.45
CN: α-hydroxy-α-phenylbenzeneacetic acid 2-(ethylpropylamino)ethyl ester

hydrochloride
RN: 3202-55-9 MF: $C_{21}H_{27}NO_3 \cdot HCl$ MW: 377.91
LD_{50}: 500 mg/kg (M, p.o.)



Reference(s):
US 3 746 743 (Beecham; 17.7.1973; GB-prior. 22.8.1963).

Formulation(s): tabl. 10 mg (as hydrochloride), 50 mg

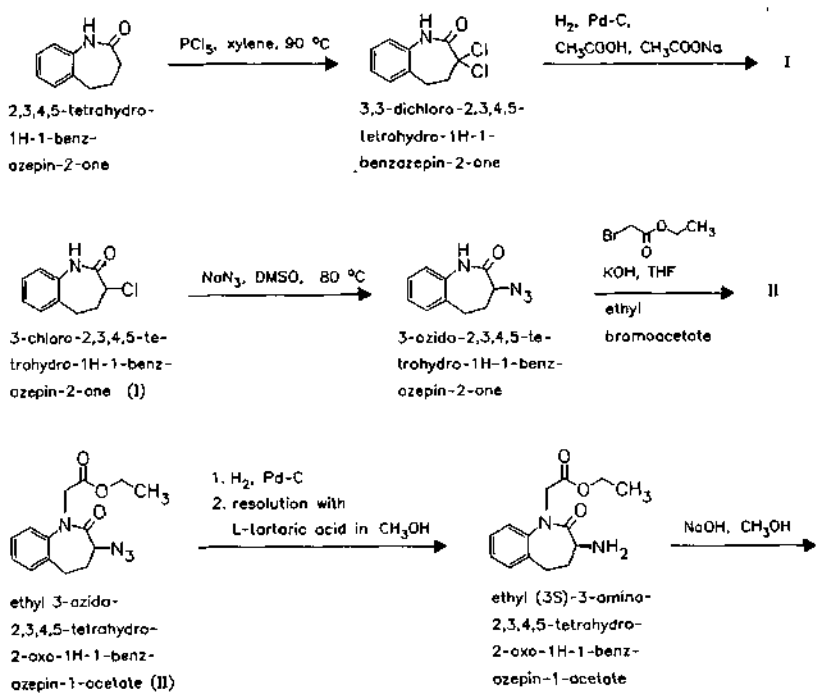
Trade Name(s):
GB: Brizin (Beecham); wfm I: Zinadril (Smith Kline Beecham)

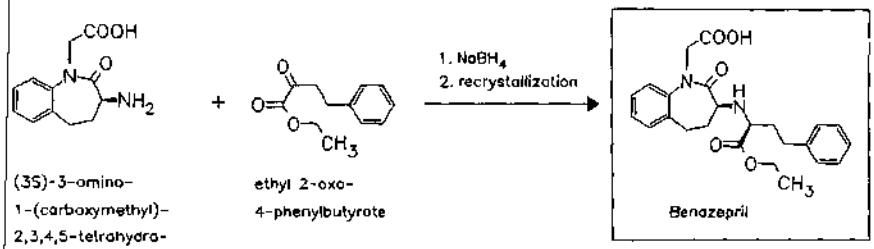
Benazepril
(Benzapril)

ATC: C09AA07
Use: antihypertensive (ACE inhibitor)

RN: 86541-75-5 MF: $C_{24}H_{28}N_2O_5$ MW: 424.50
CN: [*S*-(*R**,*R**)]-3-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-2,3,4,5-tetrahydro-2-oxo-1*H*-1-benzazepine-1-acetic acid

monohydrochloride
RN: 86541-74-4 MF: $C_{24}H_{28}N_2O_5 \cdot HCl$ MW: 460.96





(3S)-3-amino-1-(carboxymethyl)-2,3,4,5-tetrahydro-1H-1-benzozepin-2-one (IIf)

ethyl 2-oxo-4-phenylbutyrate

Reference(s):
Watthey, J.W.H. et al.: J. Med. Chem. (JMCMAR) **28**, 1511 (1985).
US 4 410 520 (Ciba-Geigy; 18.10.1983; prior. 11.8.1981, 9.11.1981, 19.7.1982).
EP 72 352 (Ciba-Geigy; appl. 5.8.1982; USA-prior. 11.8.1981, 9.11.1981).
EP 206 993 (Ciba-Geigy; appl. 9.6.1986; CH-prior. 13.6.1985)

Formulation(s): f. c. tabl. 5 mg, 10 mg, 20 mg (as hydrochloride)

Trade Name(s):

D:	Cibacen (Novartis Pharma) Cibadrex (Novartis Pharma)-comb.	I:	Cibadrex (Novartis)-comb. Cibadrex (Ciba-Geigy)-comb. Tensanil (Zyma) Zinadur (Smith Kline Beecham)-comb.	J:	Cibacen (Novartis; as hydrochloride)
F:	Briazide (Pierre Fabre)-comb. Briem (Pierre Fabre) Cibacére (Novartis)			USA:	Lotensin (Ciba) Lotensin (Ciba)-comb. with Hydrochlorothiazide Lotrel (Ciba)-comb. with Amlodipine

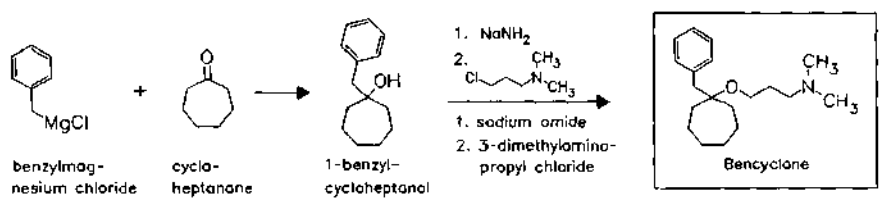
Bencyclane

(Benciclano)

ATC: C04AX11
Use: antispasmodic, vasodilator

RN: 2179-37-5 MF: $C_{19}H_{31}NO$ MW: 289.46 EINECS: 218-547-0
CN: *N,N*-dimethyl-3-[[1-(phenylmethyl)cycloheptyl]oxy]-1-propanamine

fumarate (1:1)
RN: 14286-84-1 MF: $C_{19}H_{31}NO \cdot C_4H_4O_4$ MW: 405.54 EINECS: 238-204-9
LD_{50}: 45 mg/kg (M, i.v.); 446 mg/kg (M, p.o.);
41 mg/kg (R, i.v.); 414 mg/kg (R, p.o.)



benzylmagnesium chloride

cycloheptanone

1-benzylcycloheptanol

Reference(s):
HU 151 865 (Egyesült Gyogyszer; appl. 18.8.1963).

Formulation(s): drg. 75 mg, 100 mg

Trade Name(s):

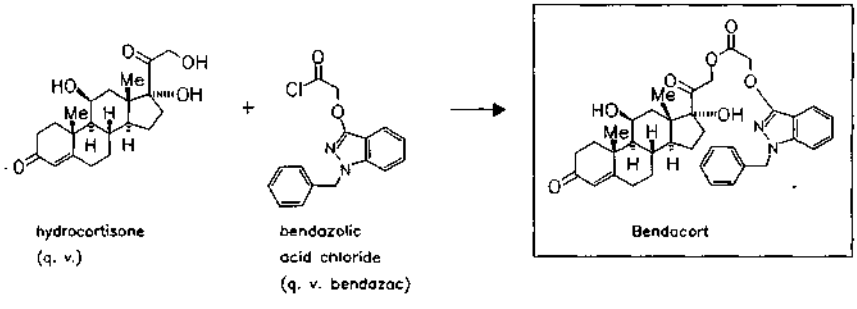
D:	Card-Fludilat (Thiemann)-comb. with digoxin Fludilat (Thiemann)	F:	Novo-Card-Fludilat (Thiemann)-comb. Fludilat (Organon); wfm	I:	Angiociclan (Organon Italia)
				J:	Halidor (Sumitomo; as fumarate)

Bendacort

(Bendacortone)

ATC: D07XA
Use: glucocorticoid

RN: 53716-43-1 MF: $C_{37}H_{42}N_2O_7$ MW: 626.75 EINECS: 258-710-3
CN: (11β)-11,17-dihydroxy-21-[[[[1-(phenylmethyl)-1H-indazol-3-yl]oxy]acetyl]oxy]pregn-4-ene-3,20-dione



Reference(s):
DOS 2 601 367 (Angelini; appl. 15.1.1976; I-prior. 13.2.1975).

Formulation(s): cream 3 %; ointment 3 %

Trade Name(s):
I: Versacort (Angelini)

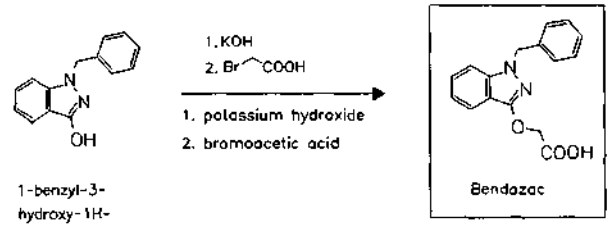
Bendazac

(Bindazac; Acido bendazolico)

ATC: M02AA11; S01BC07
Use: anti-inflammatory

RN: 20187-55-7 MF: $C_{16}H_{14}N_2O_3$ MW: 282.30 EINECS: 243-569-2
LD_{50}: 380 mg/kg (M, i.v.); 1105 mg/kg (M, p.o.);
304 mg/kg (R, i.v.); 1200 mg/kg (R, p.o.)
CN: [[1-(phenylmethyl)-1*H*-indazol-3-yl]oxy]acetic acid

sodium salt
RN: 23255-99-4 MF: $C_{16}H_{13}N_2NaO_3$ MW: 304.28 EINECS: 245-528-4



Reference(s):
US 3 470 194 (Angelini Francesco; 30.9.1969; I-prior. 29.8.1966).

starting material:
Palazzo, G. et al.: J. Med. Chem. (JMCMAR) **9**, 38 (1966).

use in ointments, lotions etc.:
US 3 470 298 (Angelini Francesco; 30.9.1969; prior. 29.1.1969, 24.5.1968, 3.1.1967).
(Bendacort, q. v.)

Formulation(s): cream 1 %, 3 %; ointment 1 %, 3 %

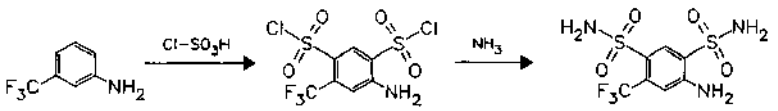
Trade Name(s):

I:	Bendaline (Angelini)-comb. with lysine	J:	Versus (Angelini)
			Zildasac (Chugai)

Bendroflumethiazide
(Bendrofluazide)

ATC: C03AA01
Use: diuretic, antihypertensive

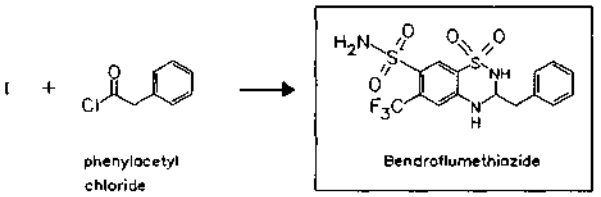
RN: 73-48-3 MF: $C_{15}H_{14}F_3N_3O_4S_2$ MW: 421.42 EINECS: 200-800-1
LD_{50}: 395 mg/kg (M, i.v.); >10 g/kg (M, p.o.)
CN: 3,4-dihydro-3-(phenylmethyl)-6-(trifluoromethyl)-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



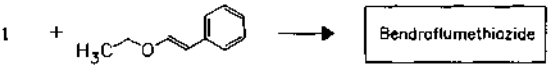
3-trifluoromethyl-aniline

4-amino-6-trifluoro-methyl-1,3-benzene-disulfochloride

2,4-diaminosulfonyl-5-trifluoromethylaniline (I)



phenylacetyl chloride



β-ethoxystyrene

Reference(s):
US 3 265 573 (Squibb; 9.8.1966, appl. 27.7.1962).
US 3 392 168 (Lovens Kemiske Fabrik; 9.7.1968; GB-prior. 13.8.1958).
Holdrege, C.T. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 4807 (1959).

Formulation(s): cps. 1.25 mg, 2.5 mg; tabl. 2.5 mg, 5 mg

Trade Name(s):

D:	Docidrazin (Rhein-Pharma; Zeneca)-comb.	Dociretic (Thiemann)-comb.	Pertenso (Fournier Pharma)-comb.

	Repicin (Boehringer Ing.)-comb.		Precyclan-Leo (Leo)-comb.	I:	Idrexin-Na (Vermont); wfm
	Sali-Aldopur, - forte (Hormosan)-comb.		Tensionorme (Leo)-comb.		Menserene (Squibb)-comb.; wfm
	Sotaziden (Bristol-Myers Squibb)	GB:	Aprinox (Knoll)		Notens (Farge); wfm
	Spirostada comp. -forte (Stadapharm)-comb.		Corgaretic (Sanofi Winthrop)-comb.		Polidiuril (Bios); wfm
	Tensoflux (Hennig)-comb.		Inderetic (Zeneca)-comb.		Salural (Icb); wfm
F:	Naturine (Leo)		Inderex (Zeneca)-comb.		Sodiuretic (Squibb); wfm
			Neo-Naclex (Goldshield)	J:	Centyl (Leo-Sankyo)
			Prestim (Leo)-comb.		
			Tenben (Galen)-comb.		

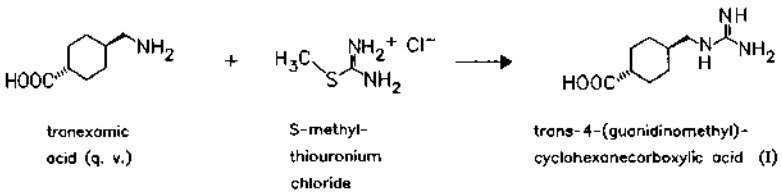
Benexate

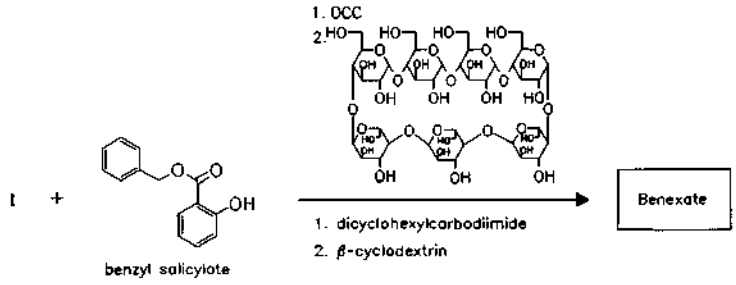
(TA-903)

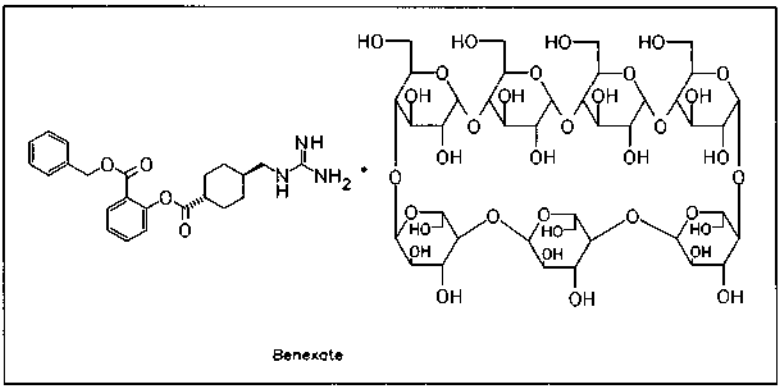
ATC: A02BX
Use: cytoprotective agent (for treatment of gastric ulcer), chymotrypsin inhibitor

RN: 78718-52-2 MF: $C_{23}H_{27}N_3O_4$ MW: 409.49
LD_{50}: 7600 mg/kg (M, p.o.);
8010 mg/kg (R, p.o.)
CN: *trans*-2-[[[4-[[(aminoiminomethyl)amino]methyl]cyclohexyl]carbonyl]oxy]benzoic acid phenylmethyl ester

monohydrochloride
RN: 78718-25-9 MF: $C_{23}H_{27}N_3O_4 \cdot HCl$ MW: 445.95
monotosylate
RN: 82576-86-1 MF: $C_{23}H_{27}N_3O_4 \cdot C_7H_8O_3S$ MW: 581.69
monohydrochloride, clathrate with β-cyclodextrin (1:1)
RN: 86157-91-7 MF: $C_{23}H_{27}N_3O_4 \cdot HCl \cdot C_{42}H_{70}O_{35}$ MW: 1580.93







Reference(s):
DE 3 035 086 (Nippon Chemiphar; appl. 17.9.1980; J-prior. 20.9.1979, 26.12.1979).
US 4 348 410 (Nippon Chemiphar, Teikoku Chem.; 7.9.1982; J-prior. 20.9.1979, 26.12.1979).

preparation of the clathrate with β-cyclodextrin:
EP 78 599 (Teikoku Chem.; appl. 27.8.1982; J-prior. 1.9.1981).

alternative synthesis:
JP 57 035 556 (Nippon Chemiphar; 26.2.1982; prior. 8.8.1980).
JP 88 051 146 (Nippon Chemiphar; 13.10.1988; prior. 8.8.1980).
Satoh, T. et al.: Chem. Pharm. Bull. (CPBTAL) **33**, 647 (1985).

Formulation(s): cps. 200 mg

Trade Name(s):

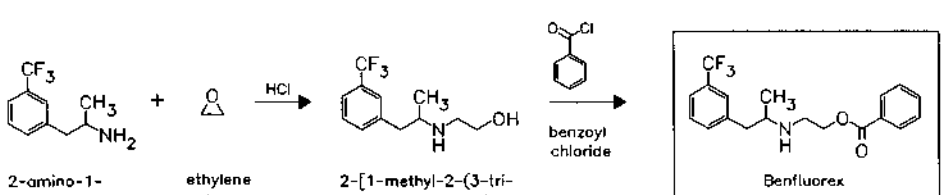
J:	Loumiel (Teikoku; as hydrochloride β-cyclodextrin clathrate)	Ulgut (Shionogi)

Benfluorex

ATC: B04AA; C10AX04
Use: appetite depressant

RN: 23602-78-0 MF: $C_{19}H_{20}F_3NO_2$ MW: 351.37 EINECS: 245-777-9
LD_{50}: 2300 mg/kg (M, p.o.)
CN: 2-[[1-methyl-2-[3-(trifluoromethyl)phenyl]ethyl]amino]ethanol benzoate (ester)

hydrochloride
RN: 23642-66-2 MF: $C_{19}H_{20}F_3NO_2 \cdot HCl$ MW: 387.83 EINECS: 245-801-8
LD_{50}: 108 mg/kg (M, i.p.)



2-amino-1-(3-trifluoromethylphenyl)propane (cf. fenfluramine synthesis)

ethylene oxide

2-[1-methyl-2-(3-trifluoromethylphenyl)ethylamino]ethanol

Reference(s):
DE 1 593 991 (Science Union; appl. 14.4.1967; GB-prior. 15.4.1966).
FR 1 517 587 (Science Union; appl. 5.4.1967; GB-prior. 15.4.1966).
FR-M 6 564 (Science Union; appl. 3.7.1967; GB-prior. 15.4.1966).
US 3 607 909 (Science Union; 21.9.1971; GB-prior. 15.4.1966).

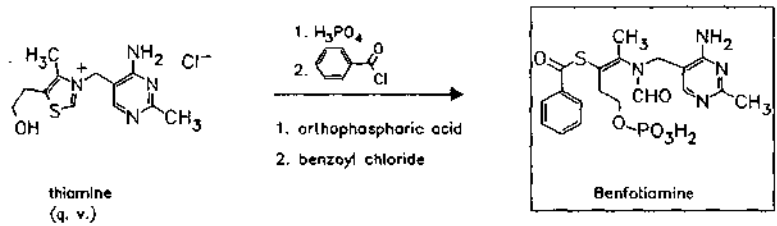
Formulation(s): drg. 150 mg; tabl. 150 mg

Trade Name(s):
F: Mediator (Biopharma) I: Mediaxal (Servier) Minolip (Master Pharma)

Benfotiamine

ATC: A11DB
Use: neurotropic analgesic

RN: 22457-89-2 MF: $C_{19}H_{23}N_4O_6PS$ MW: 466.46 EINECS: 245-013-4
LD_{50}: 2200 mg/kg (M, i.v.); 15 g/kg (M, p.o.)
CN: benzenecarbothioic acid *S*-[2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]formylamino]-1-[2-(phosphonooxy)ethyl]-1-propenyl] ester



Reference(s):
DE 1 130 811 (Sankyo Kabushiki Kaisha; appl. 14.4.1960; J-prior. 14.4.1959, 17.10.1959, 3.12.1959).

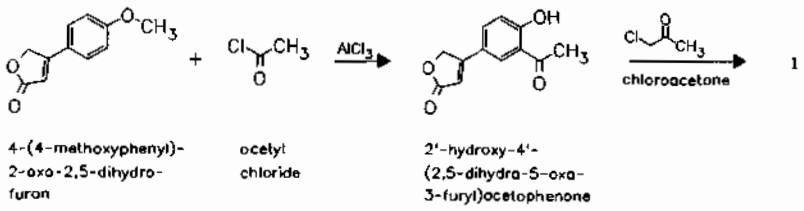
Formulation(s): tabl. 40 mg, 50 mg, 100 mg, 300 mg

Trade Name(s):
D: Milgamma (Wörwag)-comb.
Milneuron (Wörwag)-comb.
F: Vitalgesic (Clin-Comar-Byla)-comb.; wfm
Vitalgesic (Clin-Midy)-comb.; wfm
Vitanevril (Clin-Comar-Byla); wfm
Vitanevril (Clin-Midy); wfm
I: Tridodilan (Roussel)-comb.
J: Biotamin (Sankyo)

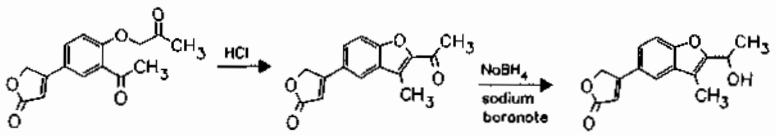
Benfurodil hemisuccinate

ATC: C01D
Use: cardiotonic, vasodilator

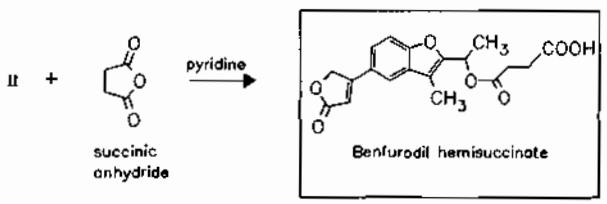
RN: 3447-95-8 MF: $C_{19}H_{18}O_7$ MW: 358.35 EINECS: 222-367-8
LD_{50}: 520 mg/kg (M, p.o.)
CN: butanedioic acid mono[1-[5-(2,5-dihydro-5-oxo-3-furanyl)-3-methyl-2-benzofuranyl]ethyl] ester



4-(4-methoxyphenyl)-2-oxo-2,5-dihydrofuran | acetyl chloride | 2'-hydroxy-4'-(2,5-dihydro-5-oxo-3-furyl)acetophenone



4'-(2,5-dihydro-5-oxo-3-furyl)-2'-(2-oxopropoxy)-acetophenone (I) | 2-acetyl-5-(2,5-dihydro-5-oxo-3-furyl)-3-methylbenzofuran | 5-(2,5-dihydro-5-oxo-3-furyl)-2-(1-hydroxyethyl)-3-methylbenzofuran (II)



succinic anhydride

Reference(s):
FR 1 408 721 (Clin-Byla; appl. 7.2.1964).
US 3 355 463 (Clin-Byla; 28.11.1967; F-prior. 7.2.1964).

Formulation(s): amp. 2.5 %/2 ml; tabl. 150 mg

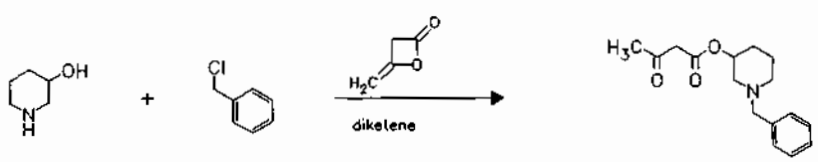
Trade Name(s):
F: Eucilat (Clin-Comar-Byla);
wfm

Benidipine
(KW-3049)

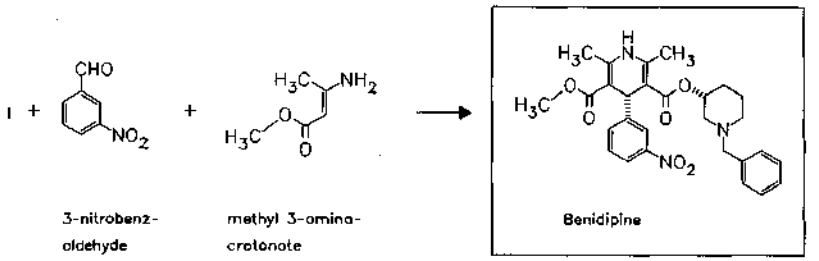
ATC: C02DE
Use: calcium antagonist, antihypertensive, antianginal

RN: 105979-17-7 MF: $C_{28}H_{31}N_3O_6$ MW: 505.57
CN: (*R**,*R**)-(±)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid methyl 1-(phenylmethyl)-3-piperidinyl ester

monohydrochloride
RN: 91599-74-5 MF: $C_{28}H_{31}N_3O_6 \cdot HCl$ MW: 542.03
LD_{50}: 21.5 mg/kg (M, i.p.); 2.5 mg/kg (M, i.v.); 322 mg/kg (M, p.o.); 33.5 mg/kg (M, s.c.); 15.1 mg/kg (R, i.p.); 4.4 mg/kg (R, i.v.); 87.6. mg/kg (R, p.o.); 276 mg/kg (R, s.c.)



3-hydroxy-piperidine | benzyl chloride | N-benzyl-3-piperidinyl acetoacetate (I)



Reference(s):
EP 63 365 (Kyowa Hakko; appl. 15.4.1982; J-prior. 17.4.1981).

alternative synthesis:
EP 106 275 (Kyowa Hakko; appl. 5.10.1983; J-prior. 15.10.1982, 27.1.1983; 3.6.1983).

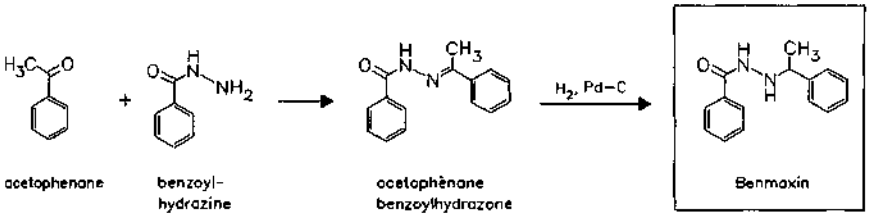
Formulation(s): tabl. 2 mg, 4 mg, 8 mg

Trade Name(s):
J: Coniel (Kyowa Hakko; 1991)

Benmoxin

ATC: N06A
Use: antidepressant

RN: 7654-03-7 MF: $C_{15}H_{16}N_2O$ MW: 240.31 EINECS: 231-619-6
LD_{50}: 250 mg/kg (M, p.o.);
675 mg/kg (R, p.o.)
CN: benzoic acid 2-(1-phenylethyl)hydrazide



Reference(s):
GB 919 491 (ICI; appl. 1958; valid from 1959).
FR 1 314 362 (ICI; appl. 1959; GB-prior. 1958).

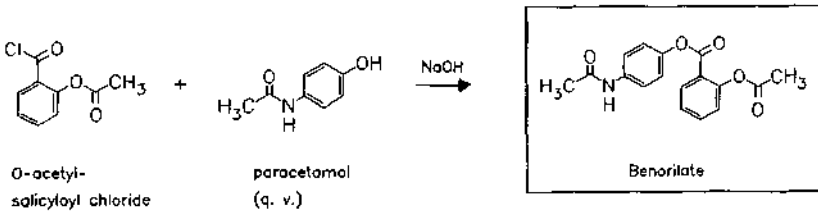
Trade Name(s):
F: Neuralex (Millot); wfm

Benorilate

(Benorylate; Benorilato)

ATC: N02BA10
Use: analgesic, antirheumatic

RN: 5003-48-5 MF: $C_{17}H_{15}NO_5$ MW: 313.31 EINECS: 225-674-5
LD_{50}: 1551 mg/kg (M, p.o.);
3500 mg/kg (R, p.o.)
CN: 2-(acetyloxy)benzoic acid 4-(acetylamino)phenyl ester



O-acetyl-salicyloyl chloride

paracetamol (q. v.)

Reference(s):
US 3 431 293 (Sterling Drug; 4.3.1969; GB-prior. 9.4.1964).
FR 1 436 870 (Sterwin; appl. 8.4.1965; GB-prior. 9.4.1964).

Formulation(s): gran. 2 g; powder 2 g; susp. 2 g, 400 mg; tabl. 750 mg

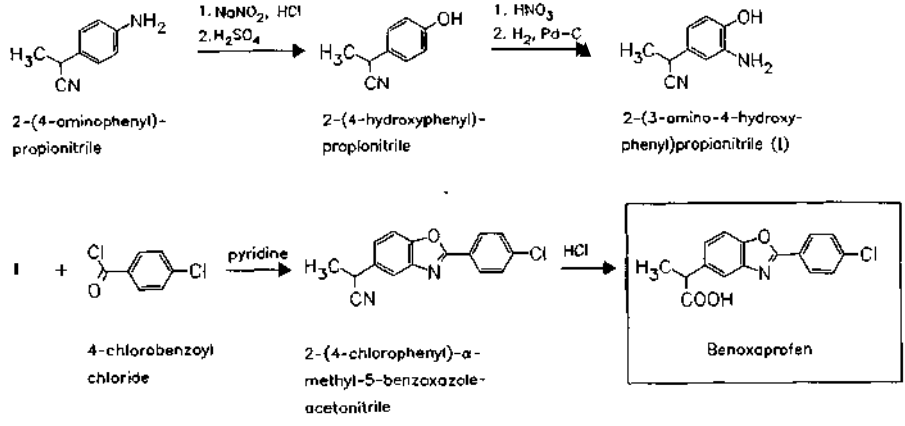
Trade Name(s):

D:	Benortan (Winthrop); wfm		Salipran (Evans Medical)	I:	Bentum (Zambon); wfm
F:	Benortan (Winthrop); wfm	GB:	Benoral (Sanofi Winthrop)		Winolate (Winthrop); wfm

Benoxaprofen

ATC: M01AE06
Use: non-steroidal anti-inflammatory, analgesic

RN: 51234-28-7 MF: $C_{16}H_{12}ClNO_3$ MW: 301.73 EINECS: 257-069-7
LD_{50}: 800 mg/kg (M, p.o.);
118 mg/kg (R, p.o.)
CN: 2-(4-chlorophenyl)-α-methyl-5-benzoxazoleacetic acid



2-(4-aminophenyl)-propionitrile

2-(4-hydroxyphenyl)-propionitrile

2-(3-amino-4-hydroxy-phenyl)propionitrile (I)

I

4-chlorobenzoyl chloride

2-(4-chlorophenyl)-α-methyl-5-benzoxazole-acetonitrile

Reference(s):
Dunwell, D.W. et al.: J. Med. Chem. (JMCMAR) **81**, 53 (1975).
DOS 2 324 443 (Lilly; appl. 15.5.1973; GB-prior. 18.5.1972).

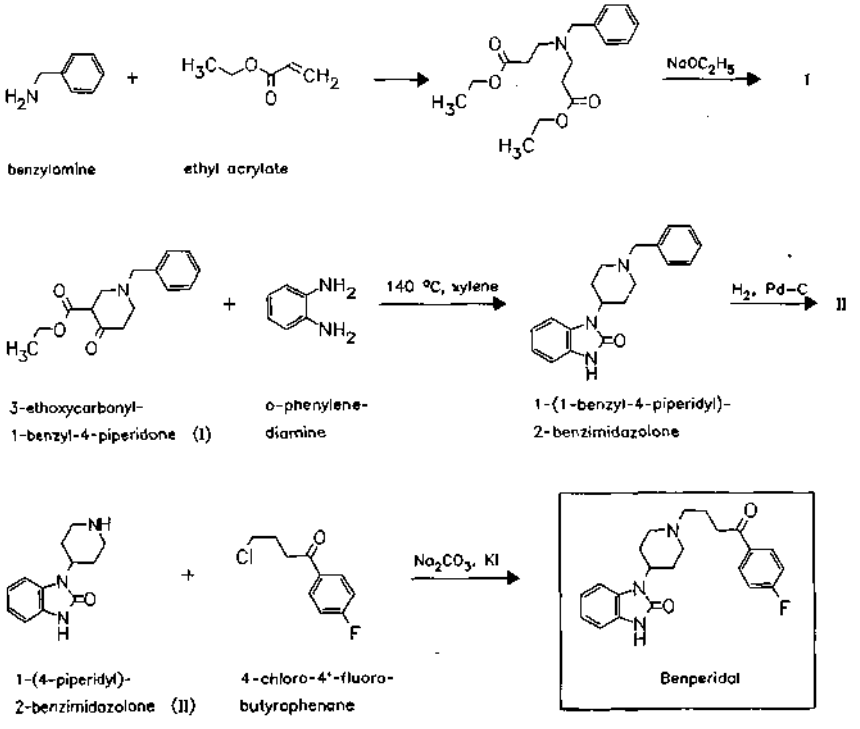
Trade Name(s):

D:	Coxigon (Lilly); wfm	F:	Inflamid (Eli Lilly); wfm	GB:	Opren (Dista); wfm

Benperidol
(Benzperidol)

ATC: N05AD07
Use: neuroleptic

RN: 2062-84-2 MF: $C_{22}H_{24}FN_3O_2$ MW: 381.45 EINECS: 218-172-2
LD_{50}: 20 mg/kg (M, i.v.); 432 mg/kg (M, p.o.);
21 mg/kg (R, i.v.)
CN: 1-[1-[4-(4-fluorophenyl)-4-oxobutyl]-4-piperidinyl]-1,3-dihydro-2*H*-benzimidazol-2-one



Reference(s):
GB 989 755 (Janssen; appl. 24.12.1962; USA-prior. 22.12.1961).
US 3 161 645 (Janssen; 15.12.1964; prior. 22.12.1961).
DE 1 470 120 (Janssen; appl. 19.12.1962; USA-prior. 22.12.1961).

Formulation(s): amp. 2 mg; drops 2 mg; tabl. 0.25 mg, 2 mg; 5 mg; 10 mg

Trade Name(s):

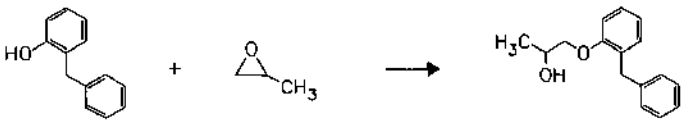
D:	Glianimon (Bayer Vital)		Frenactil (Clin-Midy); wfm
F:	Frenactil (Clin-Comar-Byla); wfm	GB:	Anquil (Janssen-Cilag)
		I:	Psicoben (Ravizza); wfm

Benproperine

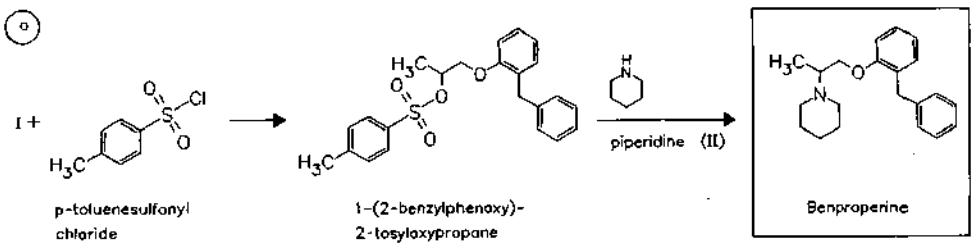
ATC: R05DB02
Use: antitussive

RN: 2156-27-6 MF: $C_{21}H_{27}NO$ MW: 309.45
LD_{50}: 1087 mg/kg (M, p.o.)
CN: 1-[1-methyl-2-[2-(phenylmethyl)phenoxy]ethyl]piperidine

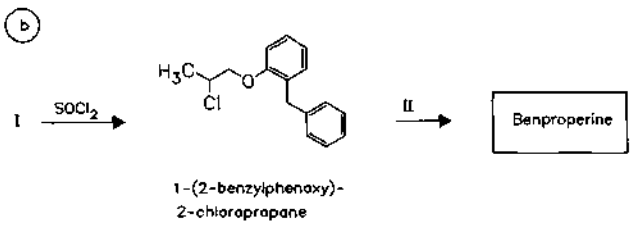
dihydrogen phosphate
RN: 19428-14-9 MF: $C_{21}H_{27}NO \cdot H_3PO_4$ MW: 407.45 EINECS: 243-050-0
LD_{50}: 32 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.)



2-benzylphenol + propylene oxide → 1-(2-benzylphenoxy)-2-proponol (I)



p-toluenesulfonyl chloride; 1-(2-benzylphenoxy)-2-tosyloxypropane; piperidine (II); Benproperine



1-(2-benzylphenoxy)-2-chloropropane

Reference(s):
DAS 1 420 955 (Pharmacia; appl. 24.4.1961; DK-prior. 28.4.1960).
US 3 117 059 (Pharmacia; 7.1.1964; DK-prior. 28.4.1960).

Formulation(s): drg. 33 mg; susp. 15 mg; syrup 24.4 mg

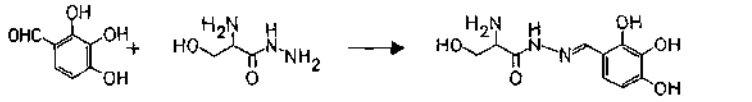
Trade Name(s):
D: Tussafug (Robugen)
I: Blascorid Sosp. (Guidotti; as embonate)
J: Flaveric (Taito Pfizer; as phosphate)

Benserazide

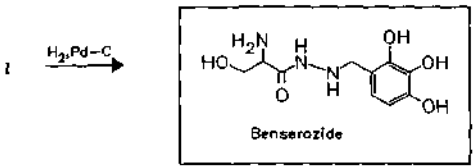
ATC: N04BA02
Use: antiparkinsonian (in combination with levodopa), decarboxylase inhibitor

RN: 322-35-0 MF: $C_{10}H_{15}N_3O_5$ MW: 257.25
CN: DL-serine 2-[(2,3,4-trihydroxyphenyl)methyl]hydrazide

monohydrochloride
RN: 14919-77-8 MF: $C_{10}H_{15}N_3O_5 \cdot HCl$ MW: 293.71 EINECS: 238-991-9
LD_{50}: 5 g/kg (M, p.o.);
5300 mg/kg (R, p.o.)



2,3,4-trihydroxybenzaldehyde | DL-serine hydrazide | N-(DL-seryl)-2,3,4-trihydroxybenzaldehyde hydrazone (I)



Reference(s):
DE 1 165 607 (Roche; appl. 8.5.1962; CH-prior. 16.6.1961).
US 3 178 476 (Roche; 13.4.1965; CH-prior. 16.6.1961).

L-form:
US 3 557 292 (Roche; 19.1.1971; appl. 16.8.1968).
DE 1 941 284 (Roche; appl. 13.8.1969; CH-prior. 16.8.1968).
DAS 1 966 821 (Roche; appl. 13.8.1969; CH-prior. 16.8.1968).

Formulation(s): cps. 12.5 mg, 14.25 mg, 25 mg, 28.5 mg, 50 mg; dispersible tabl. 12.5 mg 25 mg; s. r. cps. 28.5 mg; tabl. 28.5 mg, 57 mg

Trade Name(s):

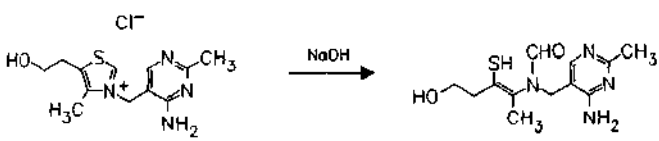
D:	Madopar (Roche)-comb. with levodopa	I:	Madopar (Roche)-comb.; wfm	Madopair (Roche)-comb. with levodopa
F:	Modopar (Roche)-comb. with levodopa		Madopar (Roche)-comb. with levodopa; wfm	Neodopasol (Daiichi)-comb. with levodopa
GB:	Madopar (Roche)-comb. with levodopa	J:	EC-doparl (Kyowa Hakko)-comb. with levodopa	

Bentiamine

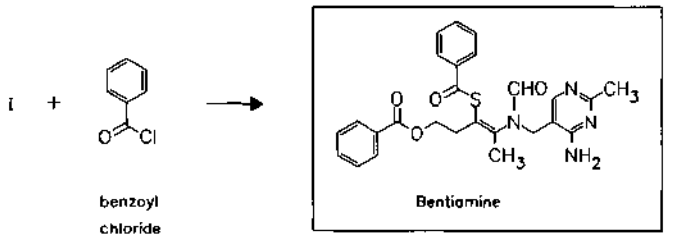
(Dibenthiamine; Dibenzoylthiamine)

ATC: A11
Use: vitamin B_1-derivative, neurotropic analgesic

RN: 299-88-7 MF: $C_{26}H_{26}N_4O_4S$ MW: 490.58 EINECS: 206-084-7
LD_{50}: 7480 mg/kg (M, p.o.)
CN: benzenecarbothioic acid *S*-[2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]formylamino]-1-[2-(benzoyloxy)ethyl]-1-propenyl] ester



thiamine (q. v.) | N-(4-amino-2-methylpyrimidin-5-ylmethyl)-N-(4-hydroxy-1-methyl-2-mercaptobut-1-enyl)-formamide (I)



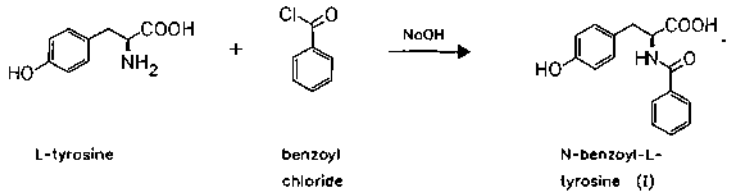
Reference(s):
US 2 752 348 (Takeda; 1956; J-prior. 1952).
Matsukawa, T.; Kawasaki, H.: Yakugaku Zasshi (YKKZAJ) **23**, 705 (1953).

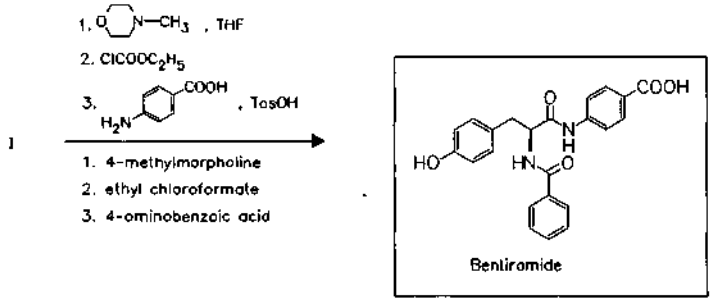
Trade Name(s):
D: only combination preparations; wfm

Bentiromide

ATC: V04CK03
Use: pancreas function diagnostic

RN: 37106-97-1 MF: $C_{23}H_{20}N_2O_5$ MW: 404.42 EINECS: 253-349-8
LD_{50}: 1020 mg/kg (M, i.v.); >6 g/kg (M, p.o.);
485 mg/kg (R, i.v.); >6 g/kg (R, p.o.)
CN: (*S*)-4-[[2-(benzoylamino)-3-(4-hydroxyphenyl)-1-oxopropyl]amino]benzoic acid





Reference(s):
Benneville, P.L. de et al.: J. Med. Chem. (JMCMAR) **15**, 1098 (1972).
US 3 745 212 (Rohm & Haas; 10.7.1973; appl. 19.11.1970).
DE 2 156 835 (Rohm & Haas; appl. 16.11.1971; USA-prior. 19.11.1970).

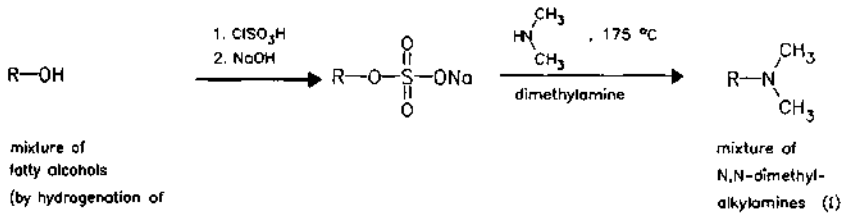
Formulation(s): sol. 500 mg/10 ml; tabl. 333 mg

Trade Name(s):
D: PFT Roche (Roche); wfm J: PFD (Eisai); wfm USA: Chymex (Adria); wfm

Benzalkonium chloride

ATC: D08AJ01; D09AA11; R02AA16
Use: antiseptic, cation active tenside

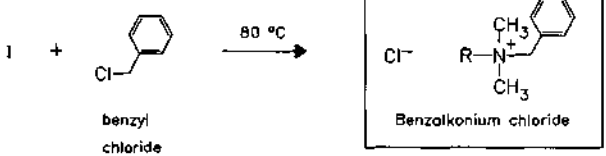
RN: 8001-54-5 MF: unspecified MW: unspecified
CN: benzalkonium chloride



mixture of fatty alcohols (by hydrogenation of the mixture of coconut fatty acids)

mixture of N,N-dimethyl-alkylamines (I)

R: C_8H_{17} – $C_{18}H_{37}$



benzyl chloride

Reference(s):
Ehrhart, Ruschig **IV**, 50.
Guyer et al.: Helv. Chim. Acta (HCACAV) **20**, 1462 (1937).
Ralston, A.W. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 2095 (1947).

Formulation(s): nail lacquer 1 oz.; sol. 1 oz.

Trade Name(s):

D: Baktonium (Bode)
Laudamonium (Henkel)
Lysoform-Killovon (Lysoform)
Sagrotan Med (Schülke & Mayr)
and 100 more combination preparations

F: Biseptine (Nicholas)-comb.
Chlorure de benzalkonium
Théramex (Théramex)
Kenalcol (Bristol-Myers Squibb)
Pharmatex (Innothéra)
Rhinoflumicin (Zambon)-comb.
Sparaplaie Na (Médicine Végetale)

GB: Bradosol (Novartis)
Conotrane (Yamanouchi)-comb.
Dermol (Dermal)-comb.
Drapolene (Warner-Lambert)-comb.
Emulsiderm (Dermal)-comb.
Ionil T (Alcon)-comb.
Oilatum Plus (Stiefel)-comb.
Timodine (Reckitt & Colman)-comb.

I: Alfac (Bracco)
Alfafluorone (Biotekfarma)-comb.
Atisteril (Ati)
Benzal (Tariff. Nazionale)
Citralkon (Schiapparelli Salute)
Citrosil (Glaxo)
Dil Mill (SIT)
Herbagola propoli (Grica Chemical)
Lacribase Saluzine (Allergan)
Quatersal (Ascor)
Sapocitrosil (Glaxo)
Steramina "G" (Formenti)
Streptosil (Boehringer Ing.)
Video bagno (Farmila)
Video gocce (Farmila)
Vittoria Lazione (Ottolenghi)

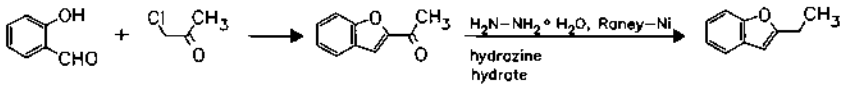
J: Osvan (Daigo-Takeda)

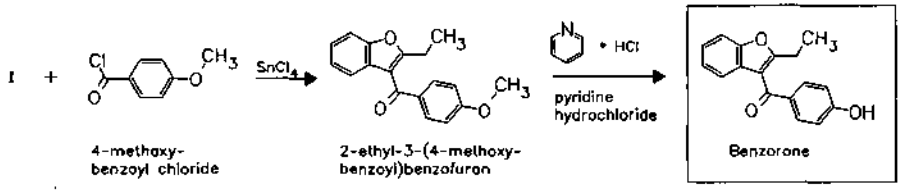
USA: Amino-Cerv (Milex)-comb.
Ony-Clear (Pedinol)
Zephiran (Winthrop-Breon)

Benzarone

ATC: C05CX
Use: antihemorrhagic, antispasmodic, vein therapeutic

RN: 1477-19-6 MF: $C_{17}H_{14}O_3$ MW: 266.30 EINECS: 216-026-2
LD_{50}: >12 g/kg (M, p.o.);
>12 g/kg (R, p.o.)
CN: (2-ethyl-3-benzofuranyl)(4-hydroxyphenyl)methanone





Reference(s):
DE 1 076 702 (Labaz; appl. 20.12.1957; B-prior. 21.12.1956).
US 3 012 042 (Labaz; 5.12.1961; B-prior. 21.12.1956).

alternative synthesis of 2-acetylbenzofuran *(from* benzofuran *and* acetic anhydride/H_3PO_4*):*
Buu-Hoï, N.P.: J. Chem. Soc. (JCSOA9) **1964**, 173.

Formulation(s): tabl. 100 mg

Trade Name(s):

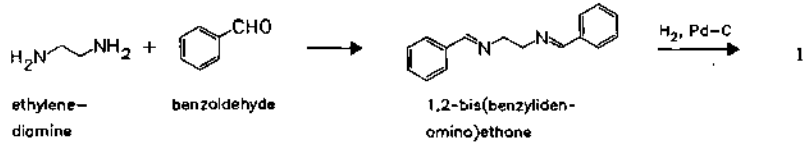
D:	Fragivix (Sanol); wfm Vasoc (Lindopharm); wfm	F:	Derol (Labaz)-comb. with lidocaine; wfm Fragivix (Labaz); wfm	I:	Fragivix (Sigma-Tau); wfm Venagil (Logifarm); wfm Venagil (Scalari); wfm

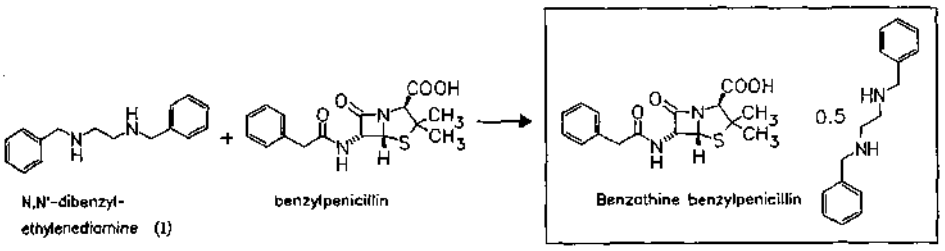
Benzathine benzylpenicillin

(Benethamine Penicilline; Benzilpenicillin; Penicillin G Benzathine)

ATC: J01CE08
Use: depot antibiotic

RN: 1538-09-6 MF: $C_{16}H_{18}N_2O_4S \cdot 1/2C_{16}H_{20}N_2$ MW: 909.14 EINECS: 216-260-5
LD_{50}: 2 g/kg (M, p.o.)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(phenylacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid compd. with *N,N'*-bis(phenylmethyl)-1,2-ethanediamine (2:1)





Reference(s):
US 2 627 491 (Wyeth; 1953; prior. 1950).

Formulation(s): gel 0.1 g/100 g

Trade Name(s):

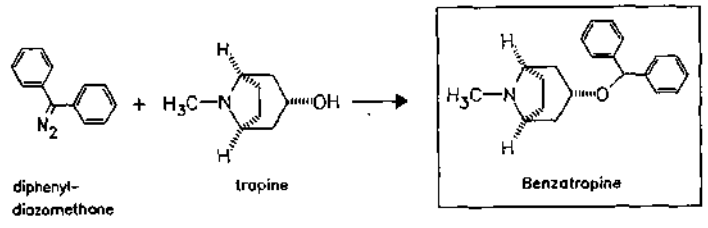
D:	Depotpen (Dauelsberg)-comb.	F:	Extencilline (Specia)		Wycillina A. P. (Carlo Erba)
	Sulfa-Tardocillin (Bayer)-comb.	GB:	Penidural (Wyeth); wfm	J:	Bicillin (Banyu)
	Tardocillin (Bayer)	I:	Benzil B (Formulario Naz.)	USA:	Bicillin (Wyeth); wfm
			Tri-Wycillina A. P. (Carlo Erba)-comb.		Permapen (Pfizer); wfm

Benzatropine
(Benztropine)

ATC: N04AC01
Use: parasympatholytic, antiparkinsonian

RN: 86-13-5 MF: $C_{21}H_{25}NO$ MW: 307.44
LD_{50}: 25 mg/kg (M, i.v.)
CN: *endo*-3-(diphenylmethoxy)-8-methyl-8-azabicyclo[3.2.1]octane

mesylate
RN: 132-17-2 MF: $C_{21}H_{25}NO \cdot CH_4O_3S$ MW: 403.54 EINECS: 205-048-8
LD_{50}: 24 mg/kg (M, i.v.); 91 mg/kg (M, p.o.);
940 mg/kg (R, p.o.)



Reference(s):
US 2 595 405 (Merck & Co.; 1952; prior. 1949).

Formulation(s): amp. 2 mg; tabl. 0.5 mg, 1mg, 2 mg

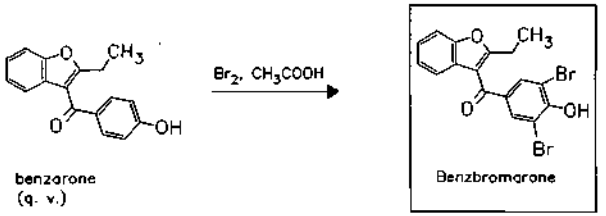
Trade Name(s):

D:	Cogentinol (Astra)	GB:	Cogentin (Merck Sharp & Dohme; as mesylate)	USA:	Cogentin (Merck Sharp & Dohme; as mesylate)
F:	Cogentine (Merck Sharp & Dohme); wfm				

Benzbromarone

ATC: M04AB; N04AC01
Use: uricosuric agent

RN: 3562-84-3 MF: $C_{17}H_{12}Br_2O_3$ MW: 424.09 EINECS: 222-630-7
LD_{50}: 77 mg/kg (M, i.v.); 618 mg/kg (M, p.o.);
248 mg/kg (R, p.o.)
CN: (3,5-dibromo-4-hydroxyphenyl)(2-ethyl-3-benzofuranyl)methanone



Reference(s):
DE 1 080 144 (Labaz; appl. 20.12.1957; B-prior. 21.12.1956).
US 3 012 042 (Labaz; 5.12.1961; B-prior. 21.12.1956).

combination with allopurinol:
GB 1 493 237 (Henning Berlin; appl. 11.5.1976; D-prior. 10.12.1975).

Formulation(s): f. c. tabl. 20 mg

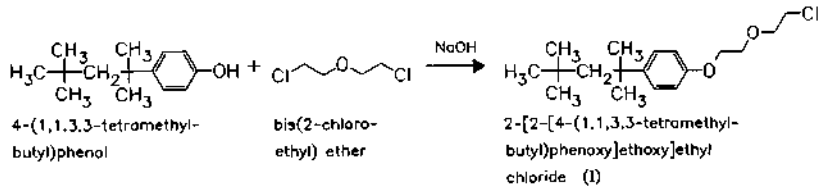
Trade Name(s):

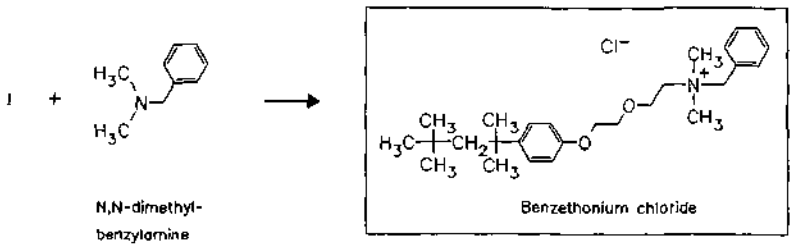
D:	Acifugan (Henning Berlin)-comb.		Azubromaron (Azupharma)	I:	Desuric (Sigma-Tau); wfm
	Allomaron (Nattermann)-comb.		Harpagin (Merz & Co.)	J:	Urinorm (Torii)
			Narcaricin (Heumann)		
		F:	Désuric (Sanofi Winthrop)		

Benzethonium chloride

ATC: R02AA09
Use: disinfectant, antiseptic

RN: 121-54-0 MF: $C_{27}H_{42}ClNO_2$ MW: 448.09 EINECS: 204-479-9
LD_{50}: 30 mg/kg (M, i.v.); 338 mg/kg (M, p.o.);
19 mg/kg (R, i.v.); 368 mg/kg (R, p.o.)
CN: *N*,*N*-dimethyl-*N*-[2-[2-[4-(1,1,3,3-tetramethylbutyl)phenoxy]ethoxy]ethyl]benzenemethanaminium chloride





Reference(s):
US 2 115 250 (Rohm & Haas; 1938; appl. 1936).
US 2 170 111 (Rohm & Haas; 1939; appl. 1936).
US 2 229 024 (Rohm & Haas; 1941; appl. 1939).

Formulation(s): many different formulations

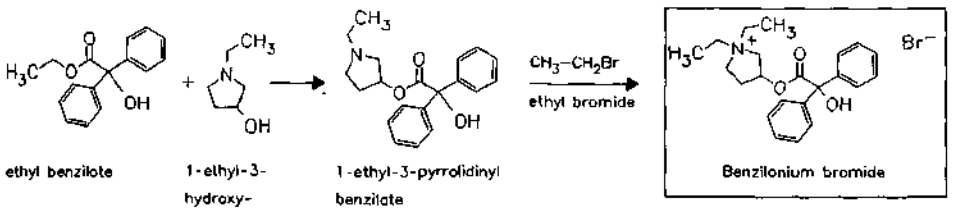
Trade Name(s):

D:	Brand- und Wundgel (Medica)-comb.		Ta-Ro-Cap (Soekami)-comb.; wfm		Ribex Gola (Formenti)
F:	Alcolène (Alcon)-comb.; wfm		Vasol (Fumouze)-comb.; wfm	J:	Sterilix (Formenti) Hyamine-T (Sankyo)
	Ineka (Soekami)-comb.; wfm	GB:	Emko (Syntex)-comb.		Neostelin-Green (Bayer-Nihonshika)
		I:	Air Sanitzer (Chifa)		

Benzilonium bromide

ATC: A03AB
Use: anticholinergic

RN: 1050-48-2 MF: $C_{22}H_{28}BrNO_3$ MW: 434.37 EINECS: 213-885-5
LD_{50}: 11.2 mg/kg (M, i.v.); 363 mg/kg (M, p.o.); 760 mg/kg (R, p.o.)
CN: 1,1-diethyl-3-[(hydroxydiphenylacetyl)oxy]pyrrolidinium bromide



Reference(s):
GB 821 436 (Parke Davis; appl. 22.2.1956).
DE 1 136 338 (Parke Davis; appl. 12.2.1957; GB-prior. 22.2.1956, 29.1.1957).

Formulation(s): cps. 10 mg; tabl. 10 mg

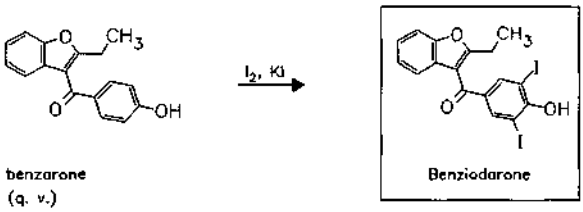
Trade Name(s):

D:	Minelcin (Parke Davis); wfm	F:	Portyn (Parke Davis); wfm	J:	Portyn (Parke Davis-Sankyo)
		GB:	Portyn (Parke Davis); wfm		

Benziodarone

ATC: C01DA; C01DX04
Use: coronary vasodilator, uricosuric agent

RN: 68-90-6 MF: $C_{17}H_{12}I_2O_3$ MW: 518.09 EINECS: 200-695-2
LD_{50}: 450 mg/kg (M, p.o.)
CN: (2-ethyl-3-benzofuranyl)(4-hydroxy-3,5-diiodophenyl)methanone



benzarone
(q. v.)

Reference(s):
GB 836 272 (Labaz; appl. 17.12.1957; B-prior. 21.12.1956).

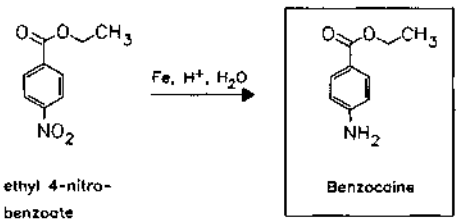
Formulation(s): cps. in comb. with allopurinol

Trade Name(s):
F: Ampliuril pH (Labaz); wfm Amplivix (Labaz); wfm I: Uricodue (IFI)-comb.

Benzocaine
(Ethoforme)

ATC: C05AD03; D04AB04; N01BA05; R02AD01
Use: local anesthetic

RN: 94-09-7 MF: $C_9H_{11}NO_2$ MW: 165.19 EINECS: 202-303-5
LD_{50}: 216 mg/kg (M, i.p.)
CN: 4-aminobenzoic acid ethyl ester



ethyl 4-nitro-
benzoate

Reference(s):
Org. Synth. (ORSYAT) **8**, 66 (1928).

Formulation(s): cream 100 mg; ointment 5 %, 10 %, 20 %; pills 4 mg, 8 mg, 20 mg; powder 60 mg; suppos. 100 mg

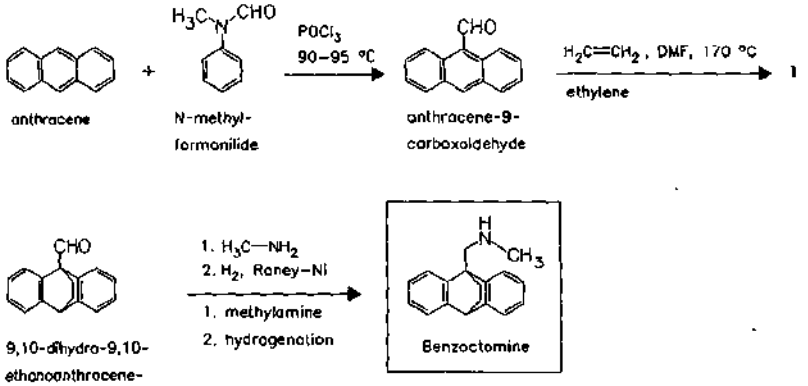
Trade Name(s):
D: Anaesthesin (Ritsert)
Flavamed (Berlin-Chemie)
Subcutin (Ritsert)
Zahnerol (Janssen)
GB: generics
I: Anes Par (Tariff.
Integrativo)
Gengivarium (Kemyos)
USA: Americaine (Medeva)
Auralgan (Wyeth-Ayerst)
Cetacaine (Cetylite)
Hurricaine (Beutlich)
Tympagesic (Savage)

Benzoctamine

ATC: N05BD01
Use: psychosedative, tranquilizer

RN: 17243-39-9 MF: $C_{18}H_{19}N$ MW: 249.36
LD_{50}: 30 mg/kg (M, i.v.); 280 mg/kg (M, p.o.);
36 mg/kg (R, i.v.); 600 mg/kg (R, p.o.);
>10 mg/kg (dog, i.v.); >200 mg/kg (dog, p.o.)
CN: *N*-methyl-9,10-ethanoanthracene-9(10*H*)-methanamine

hydrochloride
RN: 10085-81-1 MF: $C_{18}H_{19}N \cdot HCl$ MW: 285.82 EINECS: 233-216-0
LD_{50}: 26 mg/kg (R, i.v.); 700 mg/kg (R, p.o.)



Reference(s):
Wilhelm, M.; Schmidt, P.: Helv. Chim. Acta (HCACAV) **52**, 1385 (1969).
BE 610 863 (Ciba; appl. 28.11.1961; CH-prior. 29.11.1960, 10.10.1961).
US 3 399 201 (Ciba; 27.8.1968; CH-prior. 29.11.1960, 10.10.1961, 1.11.1963, 23.12.1964, 24.11.1965, 10.12.1965).
DE 1 228 605 (Ciba; appl. 24.11.1961; CH-prior. 29.11.1960, 10.10.1961).

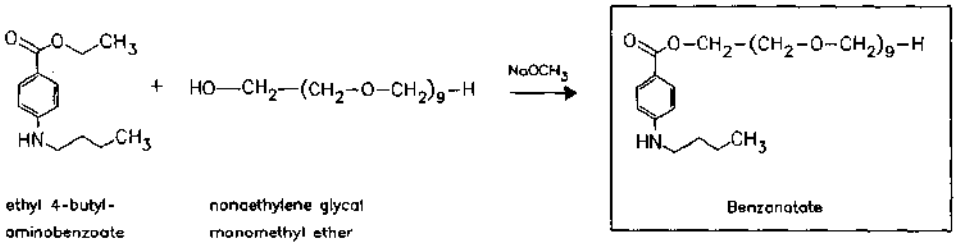
Formulation(s): syrup 2 mg/2 ml; tabl. 5 mg, 10 mg

Trade Name(s):
D: Tacitin (Ciba); wfm F: Tacitine (Ciba); wfm GB: Tacitin (Ciba); wfm

Benzonatate

ATC: R05DB01
Use: antitussive

RN: 104-31-4 MF: $C_{30}H_{53}NO_{11}$ MW: 603.75 EINECS: 203-194-7
LD_{50}: 9 mg/kg (M, i.v.); 400 mg/kg (M, p.o.)
CN: 4-(butylamino)benzoic acid 3,6,9,12,15,18,21,24,27-nonaoxaoctacos-1-yl ester



Reference(s):
US 2 714 608 (Ciba; 1955; CH-prior. 1950).
US 2 714 609 (Ciba; 1955; CH-prior. 1950).

Formulation(s): cps. 100 mg; perls 100 mg

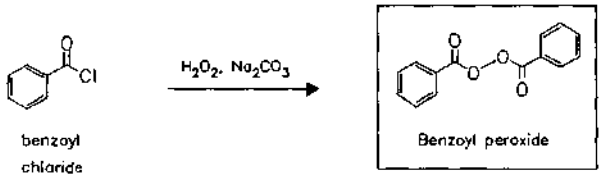
Trade Name(s):
USA: Tessalon (Forest)

Benzoyl peroxide

(Peroxide de benzoyle)

ATC: D10AE01
Use: keratolytic, antiseptic

RN: 94-36-0 MF: $C_{14}H_{10}O_4$ MW: 242.23 EINECS: 202-327-6
LD_{50}: 5700 mg/kg (M, p.o.);
7710 mg/kg (R, p.o.)
CN: dibenzoyl peroxide



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **17**, 671.

stabilization of aqueous formulations with sodium dioctylsulfosuccinate:
US 4 387 107 (Dermik Labs.; 7.6.1983; prior. 25.7.1979, 16.12.1980).

alternative formulations:
US 3 535 422 (Stiefel Labs.; 20.10.1970; prior. 30.3.1966, 11.3.1968).
US 4 056 611 (Stiefel Labs.; 1.11.1977; appl. 16.4.1973).
US 4 545 990 (L'Oreal; 8.10.1985; appl. 21.11.1983; LU-prior. 22.11.1982).

combination with salicylic acid:
US 4 318 907 (Westwood; 9.3.1982; appl. 4.4.1978).
US 4 355 028 (Westwood; 19.10.1982; appl. 30.4.1981).

Formulation(s): cps. 100 mg; gel 5 %, 10 %

Trade Name(s):

D:
- Abmederm (gepepharm)
- Akne-Aid-Lotion (Stiefel)
- Aknefug-oxid (Wolff)
- Akneroxid (Hermal)
- Benzaknen (Galderma)
- Benzoxyl 20 Lotion (Stiefel)
- Pan Oxyl (Stiefel)
- Sanoxit (Galderma)
- Scherogel (Asche)
- Ultra Clearasil (Wick Pharma)

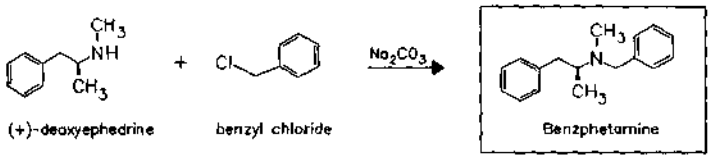
F: Cutacnyl (Galderma)
Eclaran (Pierre Fabre)
Effacné (Roche-Posay)
Pannogel (Labs. CS)
Panoxyl (Stiefel)
GB: Acnezide (Galderma)
Acnidazil (Janssen-Cilag)-comb.
Benzamycin (Bioglan)-comb.
Nericur (Schering)
Panoxyl (Stiefel)
Quinoderm (Quinoderm)-comb.
Quinoped (Quinoderm)-comb.
I: Acnidazil (Fisons Italchimici)-comb.
Benoxid (Brocades)
Benzac (Galderma)
Benzoil Peros (Formulario Naz.)
Benzomix (Savoma)
Fatroxid (Fatro)
Reloxyl (Rdc)
USA: Benzac (Galderma)
Benzagel (Dermik)
Benzamycin (Dermik)
Benzashave (Medicis)
Brevoxyl (Stiefel)
Desquam-E (Westwood-Squibb)
Desquam-X (Westwood-Squibb)
PanOxyl (Stiefel)
Triaz (Medicis)
Vanoxide-HC (Dermik)

Benzphetamine

Use: appetite depressant

RN: 156-08-1 MF: $C_{17}H_{21}N$ MW: 239.36
LD_{50}: 227 mg/kg (M, p.o.);
160 mg/kg (R, p.o.)
CN: (+)-*N*,α-dimethyl-*N*-(phenylmethyl)benzeneethanamine

hydrochloride
RN: 5411-22-3 MF: $C_{17}H_{21}N \cdot HCl$ MW: 275.82 EINECS: 226-489-2



Reference(s):
US 2 789 138 (Upjohn; 1957; prior. 1952).

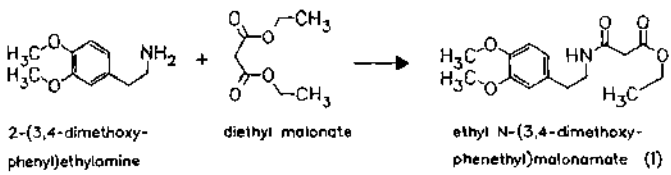
Formulation(s): tabl. 25 mg, 50 mg

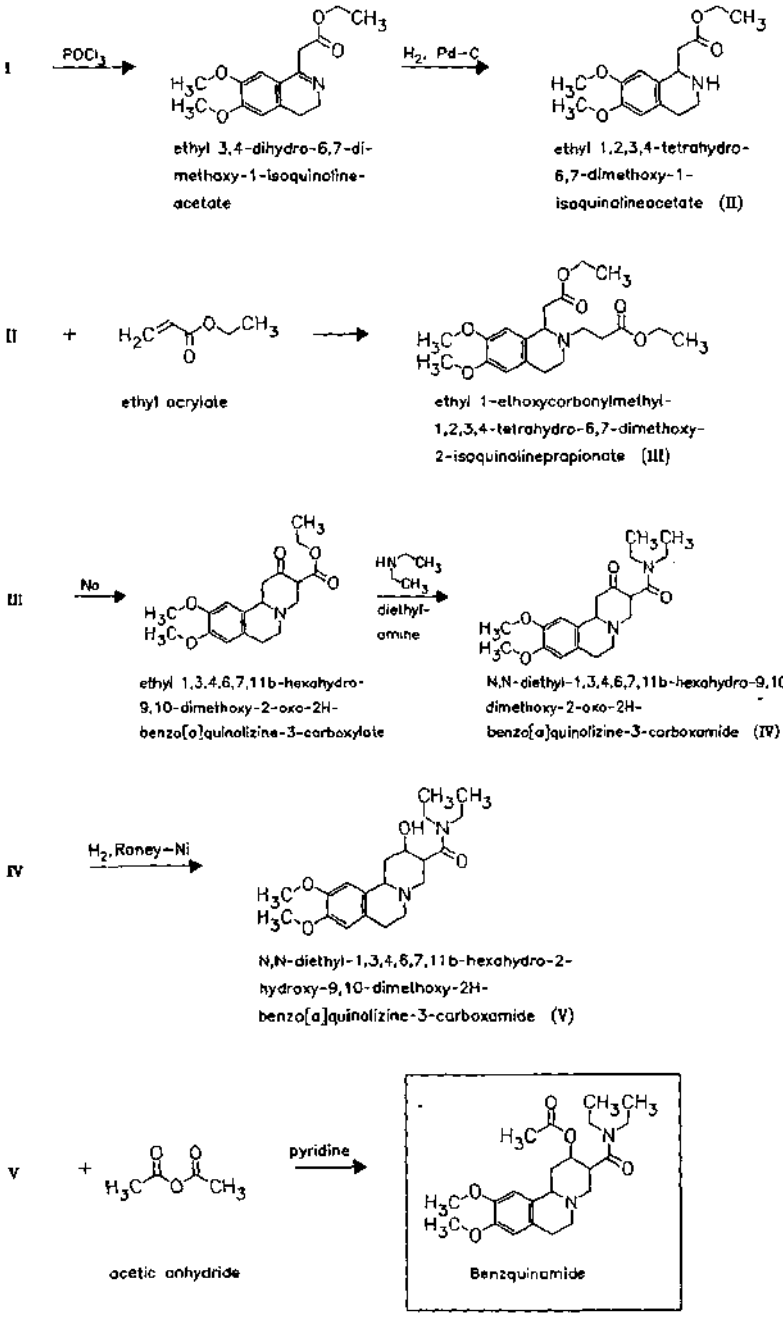
Trade Name(s):
F: Inapetyl (Upjohn); wfm GB: Didrex (Upjohn); wfm

Benzquinamide

ATC: N05AK
Use: anti-emetic, tranquilizer

RN: 63-12-7 MF: $C_{22}H_{32}N_2O_5$ MW: 404.51
CN: 2-(acetyloxy)-*N*,*N*-diethyl-1,3,4,6,7,11b-hexahydro-9,10-dimethoxy-2*H*-benzo[*a*]quinolizine-3-carboxamide





Reference(s):
US 3 053 845 (Pfizer; appl. 29.8.1961).
US 3 055 894 (Pfizer; appl. 9.3.1960).
BE 621 895 (Pfizer; appl. 29.8.1962; USA-prior. 9.3.1960, 29.8.1961).
DE 1 303 628 (Pfizer; appl. 30.5.1962; USA-prior. 29.8.1961, 6.9.1961).

starting material:
Brossi, A. et al.: Helv. Chim. Acta (HCACAV) **41**, 119 (1958).

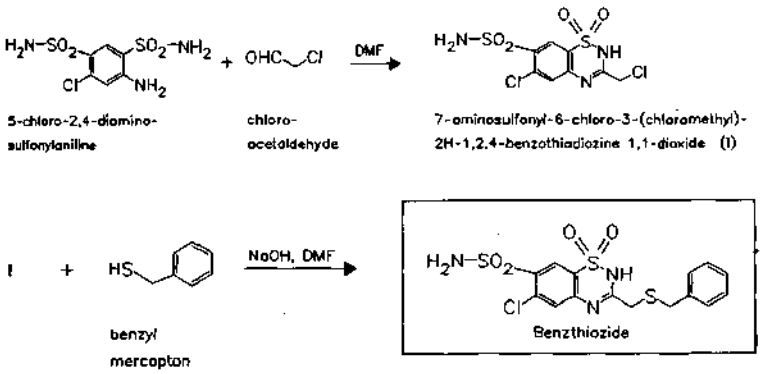
Formulation(s): amp. 50 mg

Trade Name(s):
D: Promecon (Endopharm) Promecon (Searle) USA: Emete-Con (Roerig)

Benzthiazide
(Benzothiazide; Benztiazide)

ATC: C03
Use: diuretic, antihypertensive

RN: 91-33-8 MF: $C_{15}H_{14}ClN_3O_4S_3$ MW: 431.95 EINECS: 202-061-0
LD_{50}: 410 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
422 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>5 g/kg (dog, p.o.)
CN: 6-chloro-3-[[(phenylmethyl)thio]methyl]-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
US 3 111 517 (Pfizer; 19.11.1963).

Formulation(s): cps. 25 mg

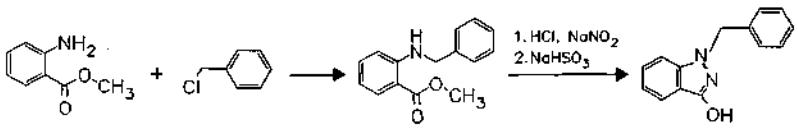
Trade Name(s):
D: Sali-Raufunction (Minden)-comb.; wfm
F: Ditériam (Roussel)-comb. with triamterene; wfm
GB: Dytide (Pharmark)-comb. with triamterene
J: Fovane (Taito Pfizer)
Tensimic (Roussel); wfm
Regulon (Yamanouchi)

Benzydamine

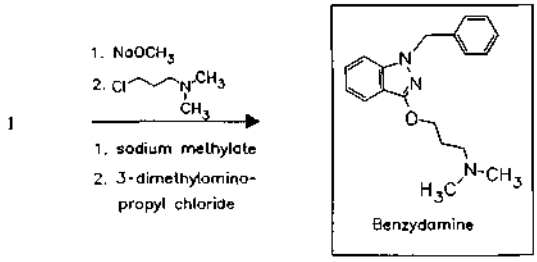
ATC: A01AD02; G02CC03; M01AX07; M02AA05; M02AX
Use: analgesic, antipyretic, anti-inflammatory

RN: 642-72-8 MF: $C_{19}H_{23}N_3O$ MW: 309.41 EINECS: 211-388-8
LD_{50}: 25 mg/kg (M, i.v.); 460 mg/kg (M, p.o.);
950 mg/kg (R, p.o.)
CN: *N,N*-dimethyl-3-[[1-(phenylmethyl)-1*H*-indazol-3-yl]oxy]-1-propanamine

monohydrochloride
RN: 132-69-4 MF: $C_{19}H_{23}N_3O \cdot HCl$ MW: 345.87 EINECS: 205-076-0
LD_{50}: 33 mg/kg (M, i.v.); 440 mg/kg (M, p.o.);
43.5 mg/kg (R, i.v.); 740 mg/kg (R, p.o.)



methyl anthranilate | benzyl chloride | methyl 2-benzylaminobenzoate | 1-benzyl-3-hydroxy-1H-indazole (I)



Reference(s):
FR 1 382 855 (Angelini Francesco; appl. 21.2.1964; I-prior. 9.8.1963).

Formulation(s): amp. 25 mg; cps. 50 mg; cream 30 mg; drg. 50 mg; drops 50 mg; liquid 1.5 mg; powder 500 mg (as hydrochloride)

Trade Name(s):

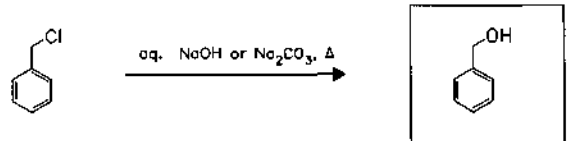
D: Tantum (Solvay Arzneimittel)
F: Opalgyne (Innothéra)
GB: Difflam (3M; as hydrochloride)
I: Afloben (Esseti)
Berzirin (Fater)
Ginesal (Farmigea)
Leucorsan (Zilliken)-comb.
Multum (Lampugnani)
Saniflor (Esseti)
Tantum Biotic (Angelini)-comb. with tetracycline
Verax (Tosi-Novara)
numerous combination preparations
J: Antol (Seiko Eiyo)
Benzidan (Nikken)
Benzyrin (Yoshitomi)
Enzamin (Kowa)
Epirotin (Nakataki)
Lilizin (Beppu)
Riripen (Daiichi)
Salyzoron (Hishiyama)
Sanal (Sana)

Benzyl alcohol

(Alcoholum benzylicum; Phenylcarbinolum)

ATC: R02AD
Use: disinfectant, local anesthetic

RN: 100-51-6 MF: C_7H_8O MW: 108.14 EINECS: 202-859-9
LD_{50}: 324 mg/kg (M, i.v.); 1360 mg/kg (M, p.o.); 53 mg/kg (R, i.v.); 1230 mg/kg (R, p.o.)
CN: benzenemethanol



benzyl chloride

Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. 8, 437.

Formulation(s): amp. 1 %, 2 %; cream 1 %; sol. 1 g/100 g

Trade Name(s):

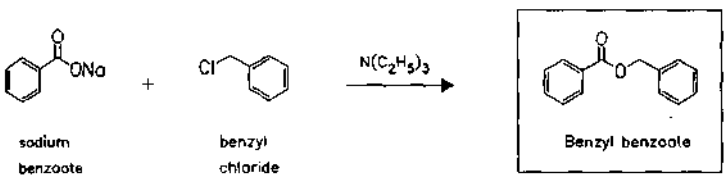
D:	Spitacid (Henkel)-comb. numerous combination preparations	GB:	Pabrinex (Link)-comb. Sudocrem (Tosara)-comb.		Foille (Delalande Isnardi)-comb.
		I:	Borocaina (Schiapparelli)		

Benzyl benzoate

(Benzoesäurebenzylester)

ATC: P03AX01
Use: scabicide, pharmaceutic agent

RN: 120-51-4 MF: $C_{14}H_{12}O_2$ MW: 212.25 EINECS: 204-402-9
LD_{50}: 1400 µL/kg (M, p.o.);
1700 µL/kg (R, p.o.);
>22440 mg/kg (dog, p.o.)
CN: benzoic acid phenylmethyl ester



Reference(s):
Tharp, I.D. et al.: Ind. Eng. Chem. (IECHAD) **39**, 1300 (1947).

Formulation(s): emulsion 250 mg

Trade Name(s):

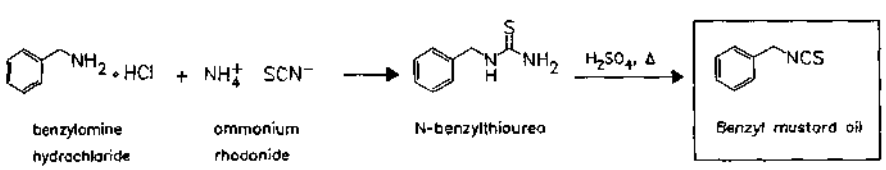
D:	Acarosan (Allergopharma) Antiscabiosum Mago KG (Strathmann)		Anusol HC (Warner-Lambert)-comb. Ascabiol (Rhône-Poulenc Rorer)	I:	Antiscabbia Candioli al D.D.T. terap. (Candioli)-comb. Benz Be (Formulario Naz.; Tariff. Integrativo)
F:	Ascabiol (Evans Medical)				
GB:	Anugesic HC (Parke Davis)-comb.				

Benzyl mustard oil

(Oleum tropaeoli)

ATC: S01AA
Use: antibiotic

RN: 622-78-6 MF: C_8H_7NS MW: 149.22 EINECS: 210-753-9
LD_{50}: 150 mg/kg (M, s.c.)
CN: (isothiocyanatomethyl)benzene



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **23**, 156.

Formulation(s): cps. 14.4 mg

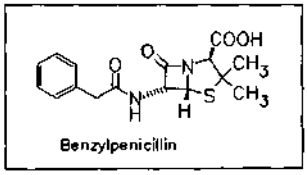
Trade Name(s):
D: Soledum (Cassella-med)-comb.

Benzylpenicillin
(Penicillin G)

ATC: J01CE01; J01HA; S01AA14
Use: antibiotic

RN: 61-33-6 MF: $C_{16}H_{18}N_2O_4S$ MW: 334.40 EINECS: 200-506-3
LD_{50}: 329 mg/kg (M, i.v.); >5 g/kg (M, p.o.); 8 g/kg (R, p.o.)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(phenylacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 69-57-8 MF: $C_{16}H_{17}N_2NaO_4S$ MW: 356.38 EINECS: 200-710-2
LD_{50}: 1500 mg/kg (M, i.v.); >4 g/kg (M, p.o.); 3020 mg/kg (R, i.v.); 6916 mg/kg (R, p.o.)
monopotassium salt
RN: 113-98-4 MF: $C_{16}H_{17}KN_2O_4S$ MW: 372.49 EINECS: 204-038-0
LD_{50}: 240 mg/kg (M, i.v.); 6257 mg/kg (M, p.o.); 243 mg/kg (R, i.v.); 8900 mg/kg (R, p.o.)



From fermentation solutions of *Penicillium notatum* Westling or *Penicillium chrysogenum* Thom by addition of phenylacetic acid as precursor.

Reference(s):
Ehrhart, Ruschig **IV**, 286 ff.

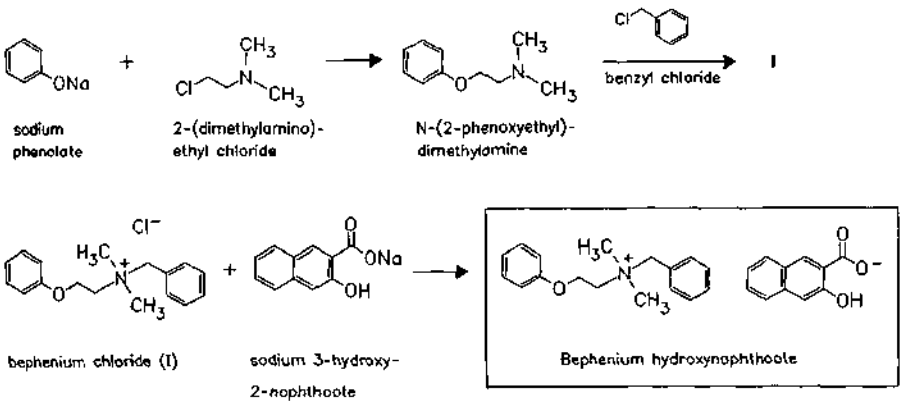
Formulation(s): eff. tabl. 653.6 mg; f. c. tabl. 392.2 mg, 653.6 mg, 982.32 mg; lyo. for syrup 1986.59 mg

Trade Name(s):
D: Megacillin (Grünenthal)-comb.
Penicillin Heyl (Heyl)
Prevecillin (Grünenthal)-(clemizol-penicillin)
Tardocillin (Bayer)-(benzathine-benzylpenicillin)
F: Biclinocilline (Sanofi Winthrop)-comb.
Extencilline (Specia)-(benzathine-benzylpenicillin)
Penicilline G Diamant (Roussel Diamant)
GB: Bicillin (Yamanouchi)-comb. with procaine penicillin G
Crystapen (Britannia)
I: Benzil (Formulario Naz.)
Penicillina Icar (SmithKline Beecham)
Penicillina Sod Farm (Farmitalia)
Penicillina Squibb (Bristol-Myers Squibb)
J: Bicillin G (Wyeth-Banyo)
USA: Bicillin (Wyeth-Ayerst)-(benzathine-benzylpenicillin)
Pen (Wyeth-Ayerst)-(penicillin V potassium)
Pfizerpen G (Pfizer)-(penicillin G potassium)
Sugracillin (Upjohn)
Wycillin (Wyeth-Ayerst)-(procaine-benzylpenicillin)

Bephenium hydroxynaphthoate

ATC: P02CX02
Use: anthelmintic

RN: 3818-50-6 MF: $C_{17}H_{22}NO \cdot C_{11}H_7O_3$ MW: 443.54 EINECS: 223-306-8
CN: *N,N*-dimethyl-*N*-(2-phenoxyethyl)benzenemethanaminium 3-hydroxy-2-naphthoate (1:1)



Reference(s):
US 2 918 401 (Borroughs Wellcome; 22.12.1959; GB-prior. 29.3.1956).
DE 1 117 600 (Wellcome Found.; appl. 21.3.1957; GB-prior. 29.3.1956, 24.1.1957).

Formulation(s): gran. 2.5 g, 4.33 g; powder 5 g

Trade Name(s):

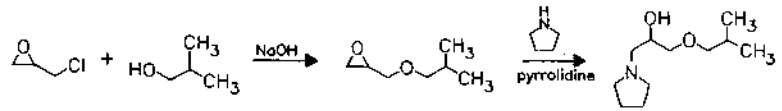
D:	Alcopar (Wellcome); wfm	J:	Alcopar-P (Wellcome-Tanabe)	USA:	Alcopara (Borroughs Wellcome); wfm
F:	Alcopar (Wellcome); wfm				
GB:	Alcopar (Wellcome); wfm				

Bepridil

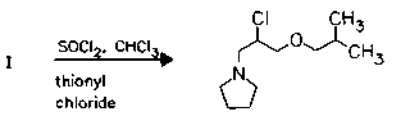
ATC: C02DE; C08EA02
Use: calcium channel blocker, antianginal

RN: 64706-54-3 MF: $C_{24}H_{34}N_2O$ MW: 366.55 EINECS: 256-384-7
LD_{50}: 1955 mg/kg (M, p.o.); 23,5 mg/kg (M, i.v.)
CN: α-[(2-methylpropoxy)methyl]-*N*-phenyl-*N*-(phenylmethyl)-1-pyrrolidineethanamine

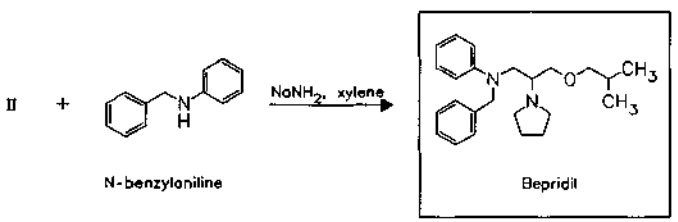
monohydrochloride
RN: 68099-86-5 MF: $C_{24}H_{34}N_2O \cdot HCl$ MW: 403.01 EINECS: 268-472-2
monohydrochloride monohydrate
RN: 74764-40-2 MF: $C_{24}H_{34}N_2O \cdot HCl \cdot H_2O$ MW: 421.03
LD_{50}: 23.5 mg/kg (M, i.v.); 1955 mg/kg (M, p.o.);
>21.3 mg/kg (R, i.v.); 6850 mg/kg (R, p.o.)
(+)-form
RN: 110143-74-3 MF: $C_{24}H_{34}N_2O$ MW: 366.55
(–)-form
RN: 110143-75-4 MF: $C_{24}H_{34}N_2O$ MW: 366.55
(±)-form
RN: 89035-90-5 MF: $C_{24}H_{34}N_2O$ MW: 366.55



epichlorohydrin — Isobutanol — glycide isobutyl ether — 1-(2-hydroxy-3-isobutoxypropyl)pyrrolidine (I)



1-(2-chloro-3-isobutoxypropyl)pyrrolidine (II)



N-benzylaniline — Bepridil

Reference(s):
DOS 2 310 918 (CERM; appl. 5.3.1973; F-prior. 6.3.1972).
DE 2 802 864 (CERM; appl. 13.1.1978; F-prior. 25.1.1977).
US 3 962 238 (CERM; 8.6.1976; appl. 27.2.1973; F-prior. 6.3.1972).
GB 1 377 327 (CERM; appl. 27.2.1973; F-prior. 6.3.1972).
GB 1 595 031 (CERM; appl. 13.1.1978; F-prior. 25.1.1977).

Formulation(s): tabl. 100 mg

Trade Name(s):
F: Cordium (Riom; 1981)
J: Bepricor (Nippon Organon; Sankyo; as hydrochloride hydrate)
USA: Vascor (Ortho-McNeil; as hydrochloride)

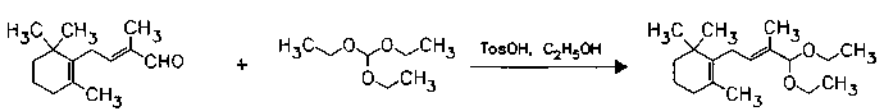
Betacarotene

(β-Carotene; Betacarotin; β-Carotin)

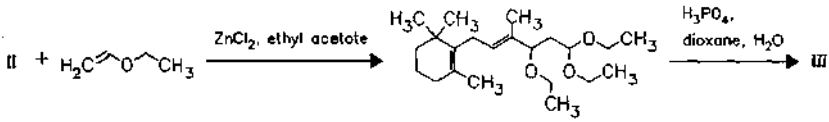
ATC: D02BB01
Use: provitamin A

RN: 7235-40-7 MF: $C_{40}H_{56}$ MW: 536.89 EINECS: 230-636-6
CN: (*all-E*)-1,1'-(3,7,12,16-tetramethyl-1,3,5,7,9,11,13,15,17-octadecanonaene-1,18-diyl)bis[2,6,6-trimethylcyclohexene]

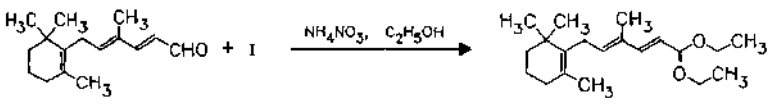
1 Roche:



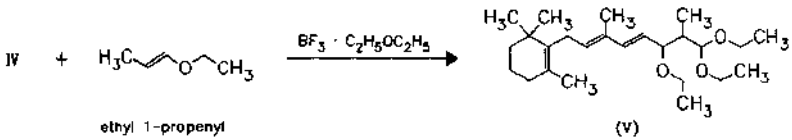
"oldehyde C_{14}" (cf. retinol synthesis) — triethyl orthoformate (I) — (II)



ethyl vinyl ether

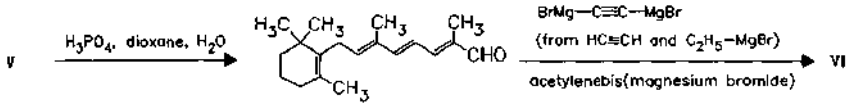


(III) (IV)

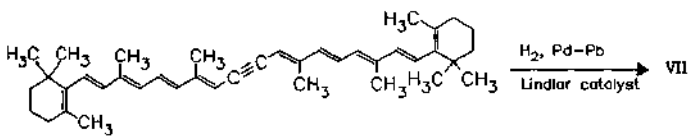


ethyl 1-propenyl ether

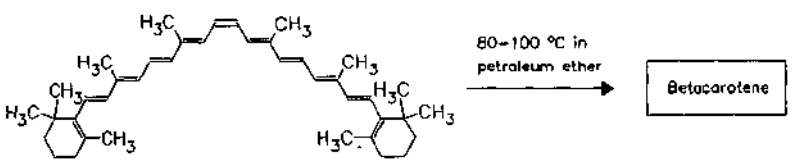
(V)



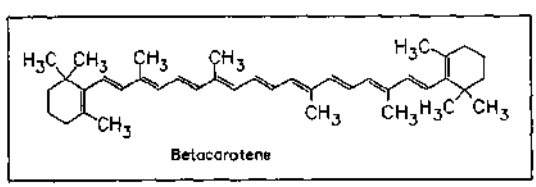
"β-aldehyde C_{19}"



15-dehydro-β-carotene (VI)

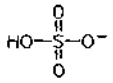


15-cis-β-carotene (VII)

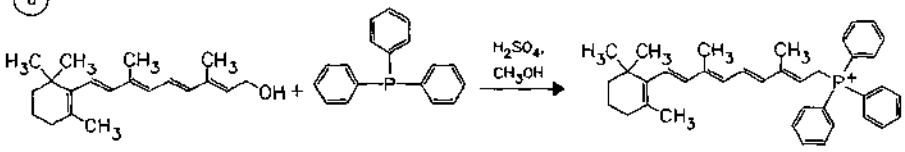


Betacarotene

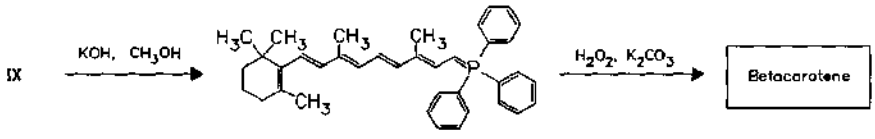
2 BASF:



(a)

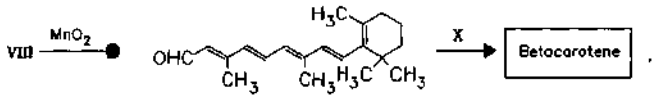


retinol (VIII) (q. v.) — triphenylphosphine — (IX)



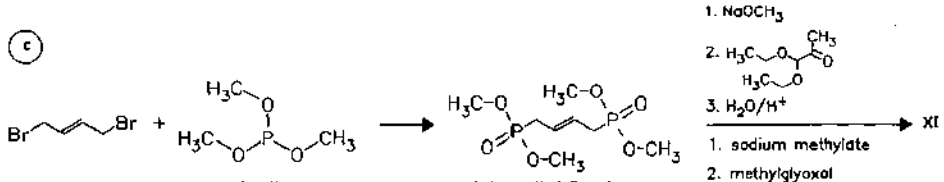
(X)

(b)



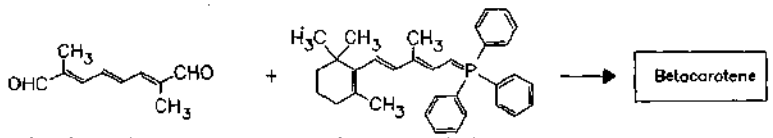
retinal

(c)



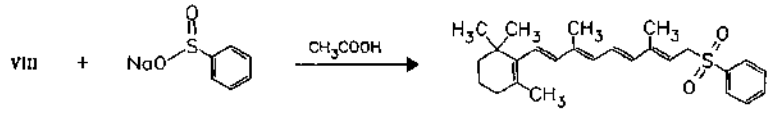
1,4-dibromo-2-butene — trimethyl phosphite — tetramethyl 2-butene-1,4-diylbisphosphonate

1. sodium methylate
2. methylglyoxal diethyl acetal

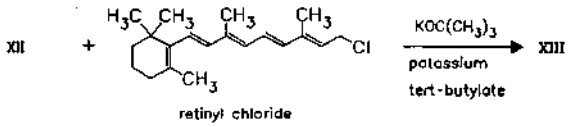


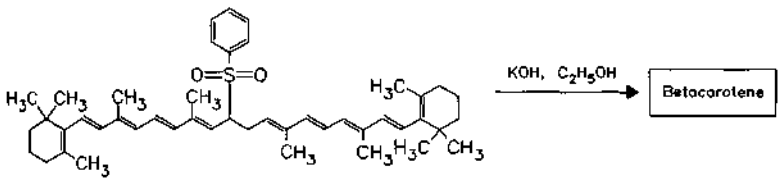
(all-E)-2,7-dimethyl-2,4,6-octatrienedial (XI) — triphenylphosphinylide from vinyl-β-ionol (cf. retinol synthesis)

3 Rhone-Poulenc:



sodium benzenesulfinate — retinyl phenyl sulfone (XII)





"sulfone C_{40}" (XIII)

Reference(s):
review:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **23**, 633 ff.

1 Isler, O. et al.: Helv. Chim. Acta (HCACAV) **39**, 249 (1956).
Isler, O.: Angew. Chem. (ANCEAD) **68**, 547 (1956).
DE 855 399 (Roche; appl. 26.5.1950).
DE 858 095 (Roche; appl. 1.10.1950).
DE 953 073 (Roche; appl. 23.5.1954; CH-prior. 29.6.1953).
DE 953 074 (Roche; appl. 5.6.1954; CH-prior. 1.7.1953).
isomerization to all-trans-form:
US 3 367 985 (Roche; 6.2.1968; appl. 18.4.1966).
DE 2 440 747 (Roche; appl. 26.8.1974; USA-prior. 29.8.1973).

2 *review:*
Pommer, H.: Angew. Chem. (ANCEAD) **72**, 911 (1960).
Pommer, H.: Angew. Chem. (ANCEAD) **89**, 437 (1977).
a DE 2 505 869 (BASF; appl. 12.2.1975).
b DE 1 068 709 (BASF; appl. 6.6.1958).
DE 1 158 505 (BASF; appl. 23.5.1962).
c DE 954 247 (BASF; appl. 20.10.1954).
DE 1 068 705 (BASF; appl. 22.3.1958).
DE 1 068 703 (BASF; appl. 14.3.1958).
"C_{10}-dialdehyde":
DE 1 092 472 (BASF; appl. 2.10.1958).
3 DE 2 224 606 (Rhône-Poulenc; appl. 19.5.1972; F-prior. 19.5.1971).

isolation from carrots and similar material:
US 2 848 508 (H. M. Harnett et al.; 1958; appl. 1954).

fermentative production:
US 2 959 521 (Grain Processing Corp.; 1960; appl. 1959).
US 2 959 522 (Grain Processing Corp.; 1960; appl. 1959).
US 3 001 912 (Commercial Solvents Corp.; 1961; appl. 1958).
US 3 128 236 (Grain Processing Corp.; 1964; appl. 1961).

Formulation(s): cps. 25 mg

Trade Name(s):

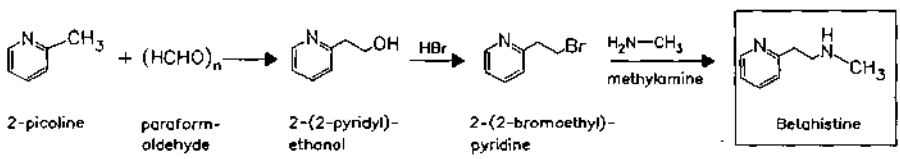
D: Bella Carotin (3M Medica)
Carotaben (Hermal)
combination preparations
F: Azinc complexe (Arkopharma)-comb.
Bétasellen (Arkopharma)-comb.
Dijrarel 100 (Leurquin)-comb.
I: Fotoretin (Farmila)-comb.
Mirtilene (SIFI)-comb.
Phénoro Roche (Roche)-comb.
USA: Aces (Carlson)

Betahistine

ATC: C04AX; N07CA01
Use: diaminooxydase inhibitor

RN: 5638-76-6 MF: $C_8H_{12}N_2$ MW: 136.20 EINECS: 227-086-4
LD_{50}: 2920 mg/kg (M, p.o.);
6110 mg/kg (R, p.o.)
CN: *N*-methyl-2-pyridineethanamine

dihydrochloride
RN: 5579-84-0 MF: $C_8H_{12}N_2 \cdot 2HCl$ MW: 209.12 EINECS: 226-966-5
dimesylate
RN: 54856-23-4 MF: $C_8H_{12}N_2 \cdot 2CH_4O_3S$ MW: 328.41 EINECS: 259-377-7
LD_{50}: 505 mg/kg (M, i.v.); 500 mg/kg (M, p.o.);
604 mg/kg (R, i.v.); 3030 mg/kg (R, p.o.)



Reference(s):
Löffler, K.: Ber. Dtsch. Chem. Ges. (BDCGAS) **37**, 161 (1904).
Walter, L.A. et al.: J. Am. Chem. Soc. (JACSAT) **63**, 2771 (1941).

Formulation(s): drops 1.25 % (as dihydrochloride); s. r. tabl. 20 mg; tabl. 6 mg, 12 mg (as dimesylate), 8 mg, 16 mg (as dihydrochloride)

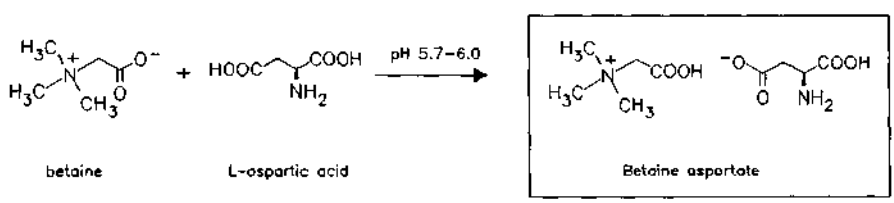
Trade Name(s):

D:	Aequamen (Promonta Lundbeck)		Vasomotal (Solvay Arzneimittel)	GB:	Serc (Solvay; as hydrochloride)
	Betavert (Henning)	F:	Extovyl (Marion Merrell)	I:	Microser (Formenti)
	Melopat (Pharmasal)		Lectil (Bouchara)	J:	Merislon (Eisai)
	Ribrain (Searle-Endopharm; Yamanouchi)		Serc (Solvay Pharma)	USA:	Serc (Unimed); wfm

Betaine aspartate

ATC: A05BA; A09AB; A12BA
Use: liver therapeutic, stomach therapeutic

RN: 52921-08-1 MF: $C_5H_{11}NO_2 \cdot C_4H_6NO_4$ MW: 249.24 EINECS: 258-258-7
CN: 1-carboxy-*N*,*N*,*N*-trimethylmethanaminium hydrogen L-aspartate



Reference(s):
FR 1 356 945 (M. R. Cote; appl. 5.12.1962; MC-prior. 14.12.1961).
FR-M 2 462 (Albert Rolland; appl. 9.10.1962).

Formulation(s): amp. 2 g/dose;sol. 10 ml

Trade Name(s):

F: Somatyl (Anphar-Rolland); wfm
Somatyl (L'Hépatrol); wfm

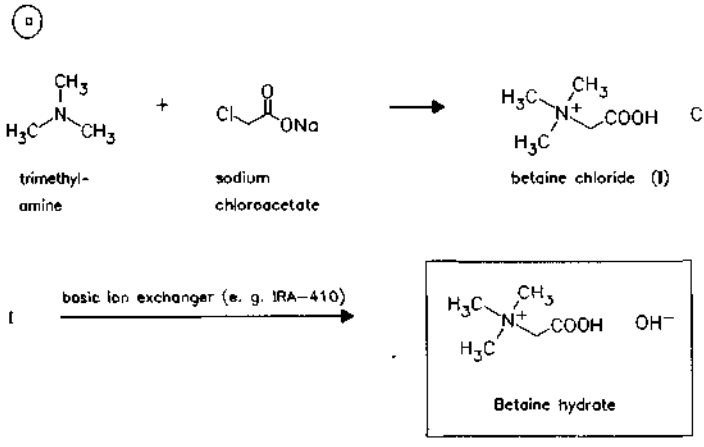
I: Betaina Manzoni (Manzoni)-comb.
Betascor (Manetti Roberts)-comb.
Bios Liver (Ausonia)-comb.
Ciatox (Ibirn)-comb.
Citroepatina (Roussel-Maestretti)-comb.
Eparbolic (Carlo Erba)-comb.
Equipar (Lampugnani)-comb.
Glicobil (Medici Domus)-comb.
Glution (Boniscontro & Gazzone)-comb.
Inobetin (Boniscontro & Gazzone)-comb.
Kloref (Samil)-comb.
Somatyl (Prophin)-comb.

Betaine hydrate

ATC: A09AB02
Use: liver therapeutic, gastric therapeutic

RN: 590-47-6 MF: $C_5H_{13}NO_3$ MW: 135.16 EINECS: 209-684-7
CN: 1-carboxy-*N*,*N*,*N*-trimethylmethanaminium hydroxide inner salt

hydrochloride
RN: 590-46-5 MF: $C_5H_{12}ClNO_2$ MW: 153.61 EINECS: 209-683-1
dihydrogen citrate (1:1)
RN: 17671-50-0 MF: $C_6H_7O_7 \cdot C_5H_{12}NO_2$ MW: 309.27 EINECS: 241-648-6



Reference(s):
Stoltzenberg, H.: Z. Physiol. Chem. (ZPCHA5) **92**, 445 (1914).
a DRP 269 701 (AG für Anilin-Fabrikation; appl. 1912).
US 2 800 502 (Internat. Minerals & Chem. Corp.; 1957; appl. 1953).
b US 1 685 758 (D. K. Tressler; 1928; appl. 1925).

Formulation(s): gran. 400 mg

Trade Name(s):

D: Flacar (Schwabe)-comb.

F: Citrarginine (Laphal)-comb.
Citrate de bétaïne Beaufour (Beaufour)

Citrate de bétaïneeffervescent Upsa (UPSA)-comb.
Gastrobul (Guerbet)-comb.
Hépagrume (Synthélabo)-comb.
Ornitaïne (Schwarz)-comb.

GB: Kloref (Cox; as hydrochloride)-comb.

I: Betaina Manzoni (Gaymonat; as citrate)
Citroepatina (Roussel)-comb.

Somatyl (Teofarma; as aspartate)

J: Apellet-BT (Ono)-comb.
Molmagen (Toa Yakuhin-Torii)-comb.

Betamethasone

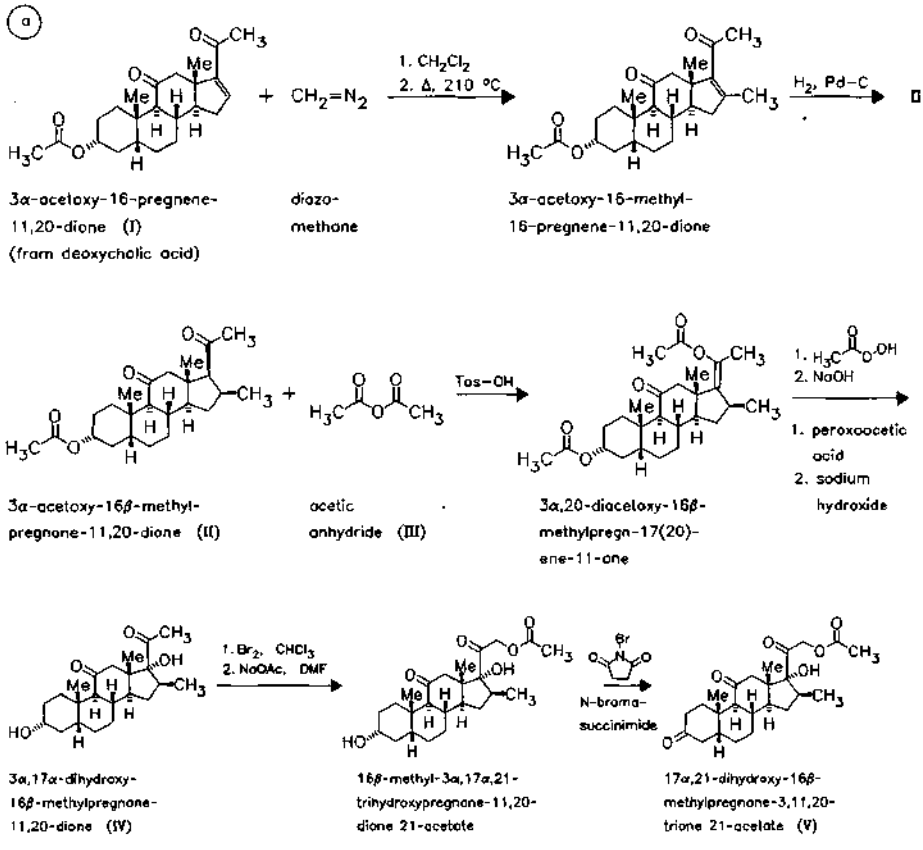
ATC: A07EA04; D07AC01; C05AA05; D07XC01; H02AB01; R01AD06; R03BA04; S01BA06; S01CB04; S03CA06

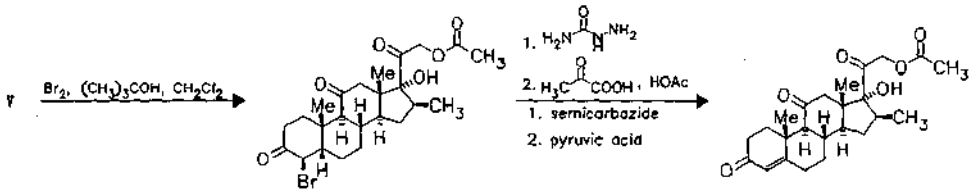
Use: glucocorticoid

RN: 378-44-9 MF: $C_{22}H_{29}FO_5$ MW: 392.47 EINECS: 206-825-4

LD_{50}: >4.5 g/kg (M, p.o.)

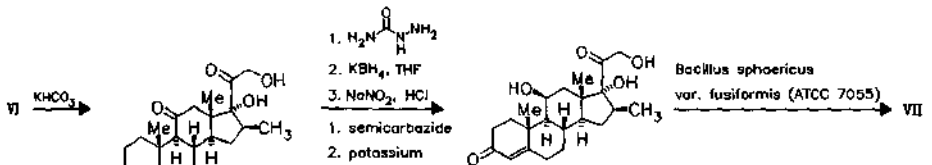
CN: (11β,16β)-9-fluoro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione





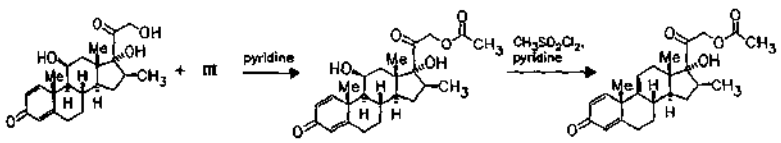
4β-bromo-17α,21-dihydroxy-16β-methylpregnane-3,11,20-trione 21-acetate

16β-methylcortisone 21-acetate (VI)



16β-methylcortisone

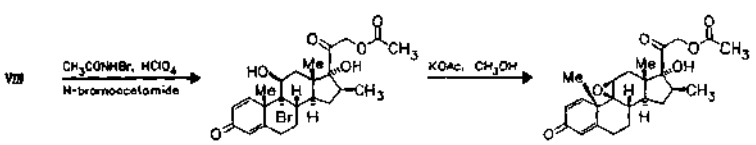
16β-methylhydrocortisone



16β-methylprednisolone (VII)

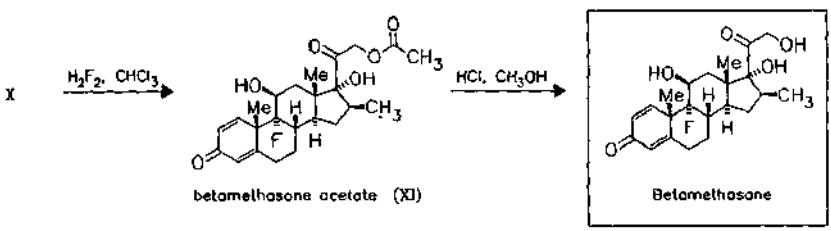
16β-methylprednisolone 21-acetate

16β-methyl-17α,21-dihydroxy-1,4,9(11)-pregnatriene-3,20-dione 21-acetate (VIII)



9α-bromo-16β-methylprednisolone 21-acetate (IX)

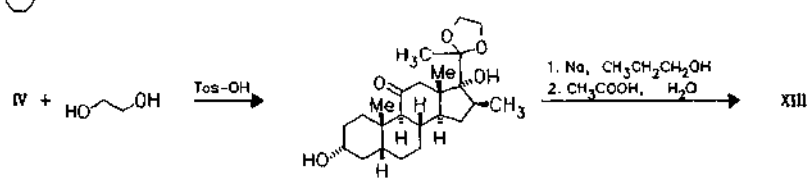
9β,11β-epoxy-17α,21-dihydroxy-16β-methyl-1,4-pregnadiene-3,20-dione 21-acetate (X)



betamethasone acetate (XI)

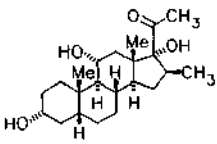
Betamethasone

(b)



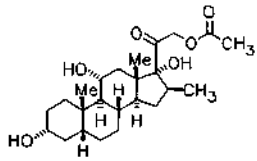
ethylene glycol (XII)

3α,17α-dihydroxy-16β-methyl-5β-pregnane-11,20-dione 20-ethylene acetal



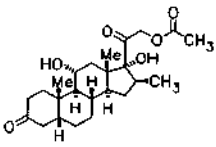
16β-methyl-3α,11α,17α-trihydroxy-5β-pregnan-20-one (XIII)

1. Br_2
2. $KO\text{-}C(=O)CH_3$
2. potassium acetate



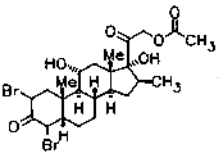
21-acetoxy-16β-methyl-3α,11α,17α-trihydroxy-5β-pregnan-20-one

$CH_3CONHBr$, H_2O, acetone
N-bromoacetamide
→ XIV



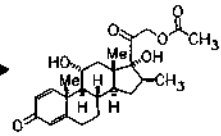
21-acetoxy-11α,17α-dihydroxy-16β-methyl-5β-pregnane-3,20-dione (XIV)

Br_2, HOAc



21-acetoxy-2,4-dibromo-11α,17α-dihydroxy-16β-methyl-5β-pregnane-3,20-dione

DMF



21-acetoxy-11α,17α-dihydroxy-16β-methylpregna-1,4-diene-3,20-dione (XV)

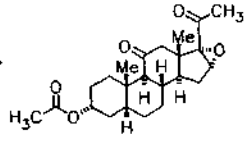
XV
1. Tos–Cl
2. DMF
→ VIII

1. 
2. KOAc
3. H_2F_2
1. N-bromosuccinimide
→ XI

HCl, CH_3OH
→ Betamethasone

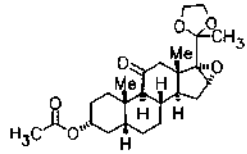
(c)

I
H_2O_2, NaOH



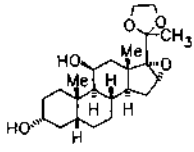
3α-acetoxy-11,20-dioxo-16α,17α-epoxy-5β-pregnane

XII, Tos–OH



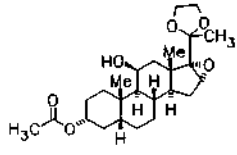
3α-acetoxy-16α,17α-epoxy-5β-pregnane-11,20-dione 21-ethylene acetal (XVI)

XVI
$NaBH_4$, THF, H_2O



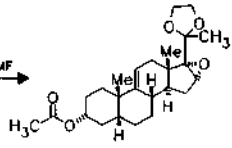
20,20-ethylenedioxy-16α,17α-epoxy-5β-pregnane-3α,11β-diol

III, pyridine



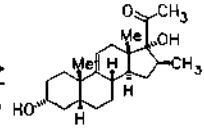
3α-acetoxy-20,20-ethylenedioxy-16α,17α-epoxy-5β-pregnan-11β-ol (XVII)

XVII
$H_3C\text{-}SO_2\text{-}Cl$, DMF
methanesulfonyl chloride

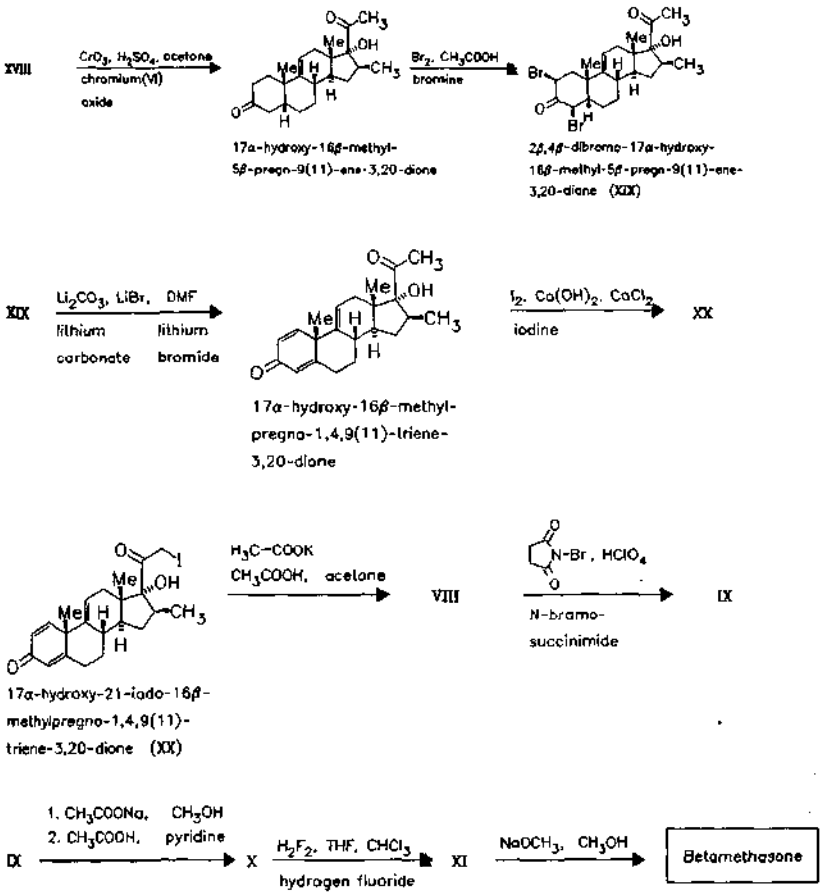


3α-acetoxy-20,20-ethylenedioxy-16α,17α-epoxy-5β-pregn-9(11)-ene

1. $H_3C\text{–}MgBr$
2. H^+
1. methylmagnesium bromide



3α,17α-dihydroxy-16β-methyl-5β-pregn-9(11)-en-20-one (XVIII)



Reference(s):

a US 3 164 618 (Schering Corp.; 5.1.1965; prior. 23.7.1957, 8.5.1958).

b Oliveto, E.P. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4428 (1958).
Oliveto, E.P. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 6687 (1958).

c US 3 104 246 (Roussel-Uclaf; 17.9.1963; appl. 26.7.1962; F-prior. 18.8.1961).
Julian, P.L. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 4601 (1955).

alternative syntheses:

US 3 053 865 (Merck & Co.; 11.9.1962; prior. 19.3.1958, 1.3.1960).
Taub, D. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4435 (1958); **28**, 4012 (1960).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.1.1975).

Formulation(s): syrup 0.6 mg/5 ml; tabl. 0.5 mg, 0.6 mg, 1 mg

Trade Name(s):

D: Beta-Creme (Lichtenstein)
Betagalen (Pharmagalen)
Betam-Ophtal (Winzer)
Beta-Stulln (Pharma Stulln)
Betnesol (Glaxo Wellcome)
Betnesol-V (Glaxo Wellcome/Cascan)
Celestamine N (Essex Pharma)
Celestan (Essex Pharma)
Cordes Beta (Ichthyol)
Diprosis (Essex Pharma)
Diprosone (Essex Pharma)
Euvaderm (Parke Davis)

F: Betnesalic (Glaxo Wellcome)-comb.
Betnesol (Glaxo Wellcome)
Betneval (Glaxo Wellcome)
Betneval néomycine (Glaxo Wellcome)-comb.
Célestamine (Schering-Plough)-comb.
Célestène (Schering-Plough)
Célestoderm (Schering-Plough)
Diprolène (Schering-Plough)
Diprosalic (Schering-Plough)-comb.
Diprosept (Schering-Plough)-comb.

Diprosone néomycine (Schering-Plough)-comb.
Gentasone (Schering-Plough)-comb.

GB: Betnelan (Evans)
Betnesol (Evans)
Vista-Metasone (Martindale)

I: Alfaflor (Intes)-comb.
Apsor pom. derm. (IDI)-comb.
Beben (Parke Davis; as benzoate)
Bentelan (Glaxo; as phosphate)
Beta (IDI; as valeroacetate)
Betabioptal (Farmila)-comb.
Betameta (Formulario Naz.; as dipropionate)-comb.
Biorinil (Farmila)-comb.
Brumeton coll. (Bruschettini)-comb.
Celestoderm (Schering-Plough; as valerate)
Celestone (Schering-Plough)
Deltavagin (Farma-Biagini)-comb.
Dermatar (IDI)-comb.
Diproform (Schering-Plough)-comb.
Diprogenta (Sca)-comb.
Diprorecto (Schering-Plough)-comb.
Diprosalic (Schering-Plough)-comb.
Diprosone (Schering-Plough; as dipropionate)
Ecoval (Glaxo; as valerate)
Eubetal (SIFI)-comb.
Fluororinil (Farmila)-comb.
Gentalyn Beta (Schering-Plough)-comb.
Micutrin Beta crema (Schiapparelli Searle)-comb.
Minisone (IDI)
Stranoval pom. derm. (Teofarma)
Viobeta (IDI)-comb.
Visublefarite sosp. oft. (Merck Sharp & Dohme)-comb.
Visumetazone Antib. (Merck Sharp & Dohme)-comb.
several combination preparations

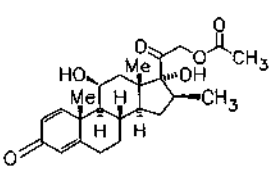
J: Betamamallet (Showa Yakuhin)
Betametha (Dojin)
Betnelan (Daiichi)
Dabbeta (Zenyaku)
Rinderon (Shionogi)
Rinesteron (Fuso)

USA: Celestone (Schering)

Betamethasone acetate

ATC: H02AB
Use: glucocorticoid

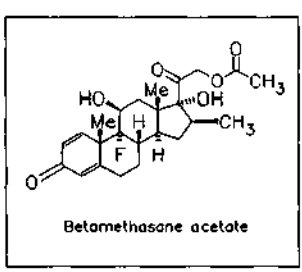
RN: 987-24-6 MF: $C_{24}H_{31}FO_6$ MW: 434.50 EINECS: 213-578-6
CN: (11β,16β)-21-(acetyloxy)-9-fluoro-11,17-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



16β-methylprednisolone 21-acetate (from meprednisone acetate)

1. CH_3-SO_2-Cl, pyridine
2. $CH_3-CO-NH-Br$, dioxane
3. $KO-COCH_3$, CH_3OH
4. H_2F_2, $CHCl_3$

1. methanesulfonyl chloride
2. N-bromoacetamide
3. potassium acetate
4. hydrogen fluoride



Betamethasone acetate

Reference(s):
US 3 164 618 (Schering Corp., 5.1.1965; prior. 8.5.1958, 23.7.1957).

additional literature:
betamethasone, q. v.

Formulation(s): amp. 3 mg/ml, 3 mg/ml (in combination with betamethasone dihydrogen phosphate)

Trade Name(s):

D: Celestan Depot (Essex Pharma)-comb.

F: Betafluorene (Lepetit); wfm
Célestène chronodose (Schering-Plough)-comb.; wfm

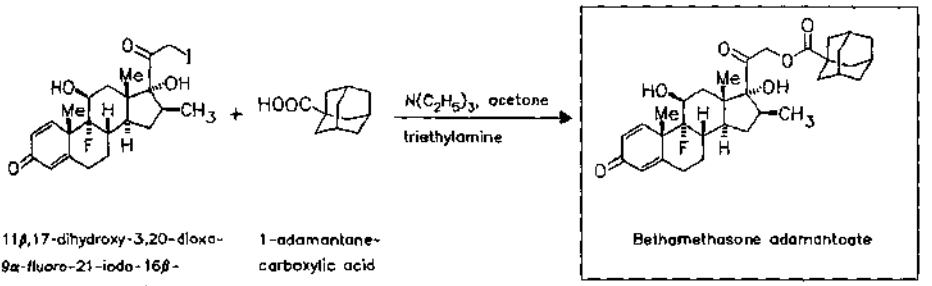
I: Celestone Cronodose (Schering-Plough)-comb.

USA: Celestone Soluspan (Schering)-comb.

Betamethasone adamantoate

ATC: H02AB
Use: glucocorticoid

RN: 40242-27-1 MF: $C_{33}H_{43}FO_6$ MW: 554.70 EINECS: 254-855-1
CN: (11β,16α)-9-fluoro-11,17-dihydroxy-16-methyl-21-[(tricyclo[3.3.1.1^{3,7}]dec-1-ylcarbonyl)oxy]pregna-1,4-diene-3,20-dione



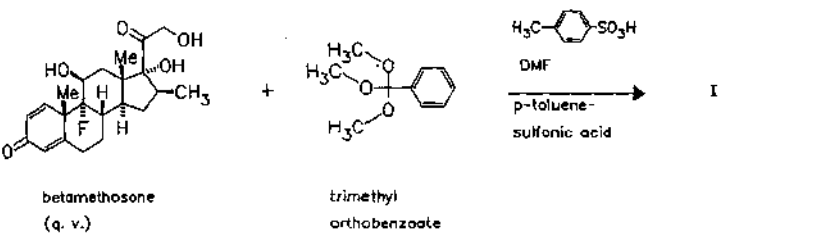
Reference(s):
DOS 2 232 827 (Glaxo; appl. 4.7.1972; GB-prior. 5.7.1971).
(also alternative syntheses).

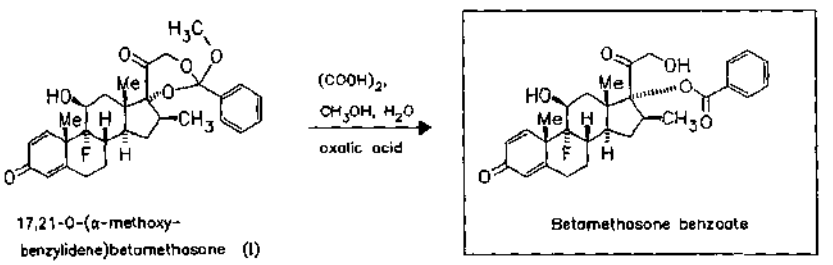
Trade Name(s):
GB: Betsovet (Glaxo); wfm

Betamethasone benzoate

ATC: D07AC
Use: glucocorticoid

RN: 22298-29-9 MF: $C_{29}H_{33}FO_6$ MW: 496.58 EINECS: 244-897-9
CN: (11β,16β)-17-(benzoyloxy)-9-fluoro-11,21-dihydroxy-16-methylpregna-1,4-diene-3,20-dione





Reference(s):
US 3 529 060 (Warner-Lambert; 15.9.1970; I-prior. 1.3.1967).
Ercoli, A. et al.: J. Med. Chem. (JMCMAR) **15**, 783 (1972).

alternative synthesis:
DOS 2 340 591 (Glaxo; appl. 10.8.1973; GB-prior. 11.8.1972).

pharmaceutical formulation:
US 3 749 773 (Warner-Lambert; 31.7.1973; prior. 25.2.1971).

Formulation(s): cream 1 g/0.25 mg, 1 g/1 mg; gel 1 g/1 mg; lotion 0.1 %; ointment 0.1 %

Trade Name(s):

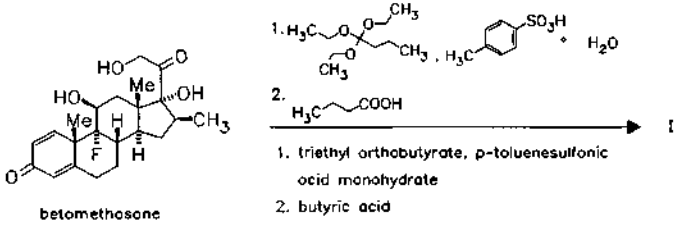
D:	Euvaderm (Parke Davis)	I:	Beben crema derm. (Parke Davis) Beben Sid (Parke Davis)	J:	combination preparations Asakin (Mikasa)
GB:	Bebate (Warner); wfm				

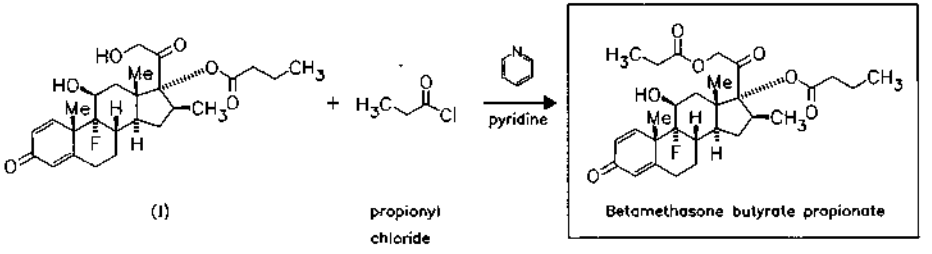
Betamethasone butyrate propionate

(BBP; TO-186)

Use: topical anti-inflammatory, steroidal agent

RN: 5534-02-1 MF: $C_{29}H_{39}FO_7$ MW: 518.62
CN: (11β,16β)-9-fluoro-11-hydroxy-16-methyl-17-(1-oxobutoxy)-21-(1-oxopropoxy)pregna-1,4-diene-3,20-dione





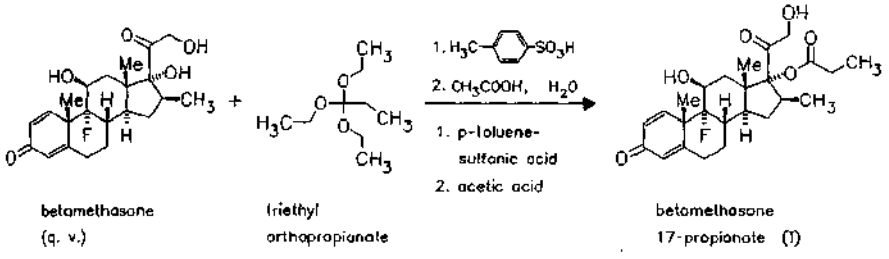
Reference(s):
Imai, S. et al.: Clin. Rep. **24**(11), 113 (1990).
Shue, H.-J. et al.: J. Med. Chem. (JMCMAR) **23**(4), 430 (1980).

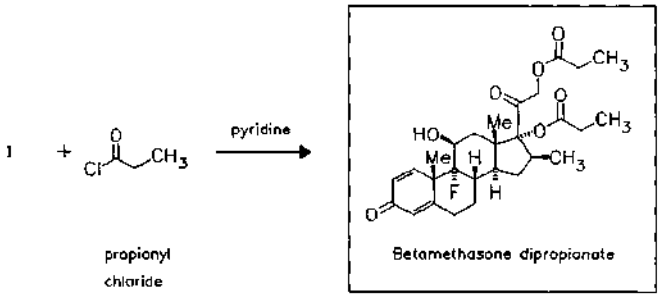
Trade Name(s):
J: Antebate (Torii)

Betamethasone dipropionate

ATC: D07AC; D07BC; D07CC; H02AB
Use: glucocorticoid

RN: 5593-20-4 MF: $C_{28}H_{37}FO_7$ MW: 504.60 EINECS: 227-005-2
LD_{50}: >5 g/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: (11β,16β)-9-fluoro-11-hydroxy-16-methyl-17,21-bis(1-oxopropoxy)pregna-1,4-diene-3,20-dione





Reference(s):
US 3 312 591 (Glaxo; 4.4.1967; GB-prior. 10.5.1963, 28.1.1964).
US 3 312 590 (Glaxo; 4.4.1967; GB-prior. 11.6.1963, 28.1.1964).
DE 1 443 957 (Glaxo; appl. 10.6.1964; GB-prior. 11.6.1963, 28.1.1964).

review:
Ferrante, M.C.; Rudy, B.C.: Anal. Profiles Drug Subst. (APDSB7) **6**, 43 (1977).

Formulation(s): aerosol 0.1 %; amp. 5 mg/ml; cream 0.05 %; ointment 0.05 %

Trade Name(s):

D: Diprogenta (Essex Pharma)-comb.
Diprosalic (Essex Pharma)-comb.
Diprosis (Essex Pharma)
Diprosone (Essex Pharma)
Diprosone depot (Essex Pharma)-comb.

F: Diproléne (Schering-Plough)
Diprosalic (Schering-Plough)-comb.
Diprosept (Schering-Plough)-comb.
Diprosone (Schering-Plough)
Diprosone Neomycin (Schering-Plough)-comb.
Diprostène (Schering-Plough)-comb.

GB: Diprosalic (Schering-Plough)-comb.
Diprosone (Schering-Plough)

I: Betameta Diprop (Formulario Naz.)
Diprosone (Schering-Plough)
numerous combination preparations

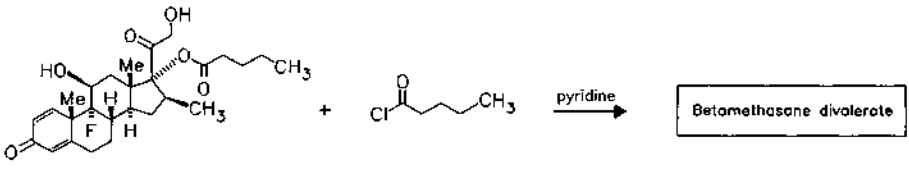
J: Dermosol-DP (Iwaki)
Diprocel (Schering-Plough)
Etynderon-DP (Taiyo)
Floderon (Ohta)
Ijilone-DP (Maeda)
Rinderon-DP (Shionogi)

USA: Diprolene (Schering)
Diprosone (Schering)
Lotrisane (Schering)

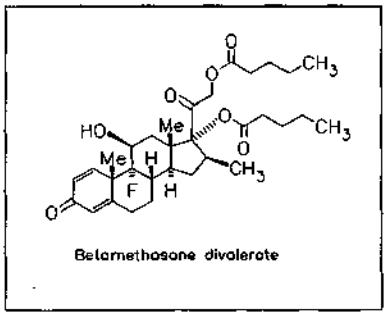
Betamethasone divalerate

ATC: D07AC
Use: glucocorticoid

RN: 38196-44-0 MF: $C_{32}H_{45}FO_7$ MW: 560.70 EINECS: 253-820-8
CN: (11β,16β)-9-fluoro-11-hydroxy-16-methyl-17,21-bis[(1-oxopentyl)oxy]pregna-1,4-diene-3,20-dione



betamethasone valerate (q. v.) + valeryl chloride



Reference(s):
US 3 312 591 (Glaxo; 4.4.1967; GB-prior. 10.5.1963, 28.1.1964).
US 3 312 590 (Glaxo; 4.4.1967; GB-prior. 11.6.1963, 28.1.1964).
DE 1 443 957 (Glaxo; 10.6.1964; GB-prior. 11.6.1963, 28.1.1964).
cf. also betamethasone dipropionate.

Formulation(s): cream 0.1 %; lotion 0.1 %; ointment 0.1 %; rectal ointment 0.05 %

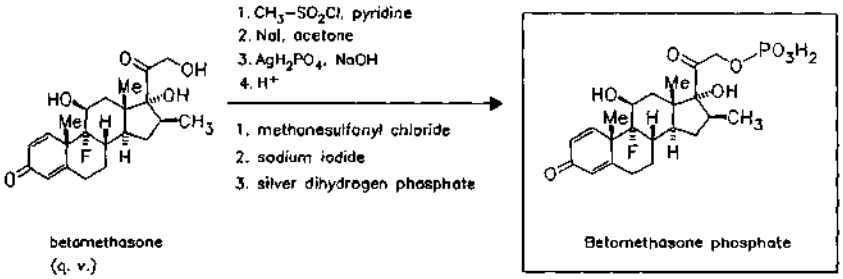
Trade Name(s):
I: Betadival (Fardeco); wfm
Diprosone Creme (Essex); wfm

Betamethasone phosphate

ATC: H02AB; D07AC
Use: glucocorticoid

RN: 360-63-4 MF: $C_{22}H_{30}FO_8P$ MW: 472.45 EINECS: 206-636-7
LD_{50}: 700 mg/kg (M, i.p.)
CN: (11β,16β)-9-fluoro-11,17-dihydroxy-16-methyl-21-(phosphonooxy)pregna-1,4-diene-3,20-dione

disodium salt
RN: 151-73-5 MF: $C_{22}H_{28}FNa_2O_8P$ MW: 516.41 EINECS: 205-797-0
LD_{50}: 1304 mg/kg (M, i.v.); 1607 mg/kg (M, p.o.);
1276 mg/kg (R, i.v.); 1877 mg/kg (R, p.o.)



Reference(s):
GB 913 941 (Merck & Co.; valid from 1959; USA-prior. 1958).

alternative syntheses:
US 2 939 873 (Merck & Co.; 1960; prior. 1959).
DOS 2 225 658 (I. Villax; appl. 14.12.1972; P-prior. 5.6.1971).
DE 1 134 075 (Merck AG; appl. 1959).

aqueous solution stabilized by 1-mercapto-2,3-propanediol:
DE 2 021 446 (Gruppo Lepetit; appl. 2.5.1970; I-prior. 7.5.1969).

Formulation(s): amp. 2.63 mg/ml, 5.3 mg/ml; sol. 6.6 mg/100 g

Trade Name(s):

D: Betnesol Past. (Glaxo Wellcome)
Betnesol Rekt. (Glaxo Wellcome/Cascan)
Betnesol WL (Glaxo Wellcome/Cascan)
Celestan depot (Essex Pharma)-comb.
Diprosone depot (Essex Pharma)-comb.

F: Betnesol (Glaxo Wellcome)
Célestène (Schering-Plough)
Célestène Chronodose (Schering-Plough)-comb.
Diprostène (Schering-Plough)-comb.
Gentasone (Schering-Plough)

GB: Betnesol (Glaxo)
Betnesol N (Glaxo)-comb.
Vista-Methasone (Daniels)
Vista-Methasone (Daniels)-comb.

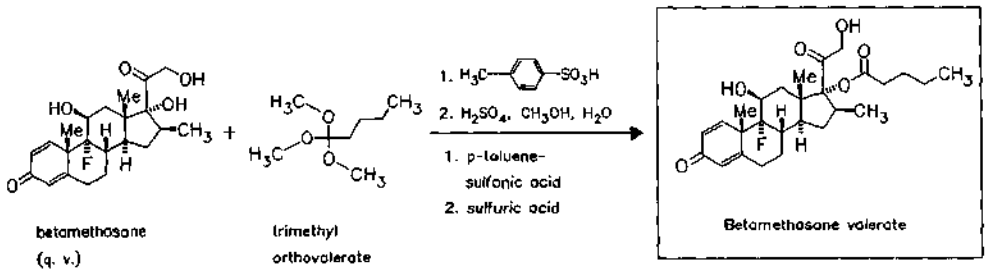
I: Bentelan (Glaxo)
Celestone Ar. and im (Schering-Plough)

J: Barbesolone (Nihon Tenganyaku)
Betnesol (Daiichi)
Linolosal (Wakamoto)
Linosal (Wakamoto)
Rinderon (Shionogi)
Sanbetason (Santen)

Betamethasone valerate

ATC: D07AC
Use: glucocorticoid

RN: 2152-44-5 MF: $C_{27}H_{37}FO_6$ MW: 476.59 EINECS: 218-439-3
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (11β,16β)-9-fluoro-11,21-dihydroxy-16-methyl-17-[(1-oxopentyl)oxy]pregna-1,4-diene-3,20-dione



Reference(s):
US 3 312 590 (Glaxo; 4.4.1967; GB-prior. 11.6.1963, 28.1.1964).
US 3 312 591 (Glaxo; 4.4.1967; GB-prior. 10.5.1963, 28.1.1964).

alternative synthesis:
DOS 2 055 221 (Lab. Chim. Farm. Blasina; appl. 10.11.1970).
DOS 2 340 591 (Glaxo; appl. 10.8.1973; GB-prior. 11.8.1972).
DOS 2 431 377 (Lark; appl. 29.6.1974; I-prior. 4.1.1974).

dermatological use:
ZA 7 700 678 (S. Fourie et al.; appl. 7.2.1977).
FR-M 5 399 (P. Temime; appl. 14.10.1965).
BE 829 197 (L. Grosjean; appl. 16.5.1975).

Formulation(s): cream 0.1 %; lotion 0.1 %; ointment 0.1 %; tabl. 0.1 mg

Trade Name(s):

D: Betamethason Wolff (Wolff)
Betnesol V, -"mite" (Glaxo Wellcome/Cascan)-comb.
Celestan V, -"mite", -crinale (Essex Pharma)
Celestan V mit Neomycin (Essex Pharma)-comb.
Celestan V mit Sulmycin (Essex Pharma)-comb.
Cordes Beta (Ichthyol)
Sulmycin (Essex Pharma)-comb.

F: Betnesalic (Glaxo Wellcome)-comb.
Betneval (Glaxo Wellcome)
Betneval Néomycin (Glaxo Wellcome)-comb.
Célestoderm (Schering-Plough)
Célestoderm Relais (Schering-Plough)

GB: Betacap (Dermal)
Betnovate (Glaxo Wellcome)
Betnovate Rectal (Glaxo Wellcome)-comb.
Bettamousse (Evans)
Fucibet (Leo)-comb.

I: Celestoderm-V (Schering-Plough)
Dermovaleas (Valeas)
Ecoval (Glaxo)-comb.
Ecoval-70 (Glaxo)

J: Ain V (Kobayashi)
Asdesolon (Maruishi)
Bectmiran (Towa)
Betaclin (Sawai)
Betnevate (Glaxo-Daiichi)
Betnevate N (Daiichi)-comb.
Calamiraderon V (Fukuchi)
Cordel (Taisho)
Dermitt (Mitgamitsu Mitsui)
Dermosol (Iwaki)
Hormeton (Tobishi)
Hormezon (Tobishi Jakuhin Kogyo)
Ijilone V (Maeda Kyowa; Ahishin)
Keligroll (Kaigai Horita)
Muhibeta V (Ikeda Mohando)
Muhibeta V (Nippon Shoji)
Nolcart (Tatsumi)
Otumazon (Fukuchi)
Rapoletin (Zeria)
Rinderon-V (Shionogi)
Rinderon V (Shionogi)-comb.
Rinderon VA (Shionogi)-comb.
Rinderon VG (Shionogi)-comb.
Tochiprobetasone (Shinsei Kowa)

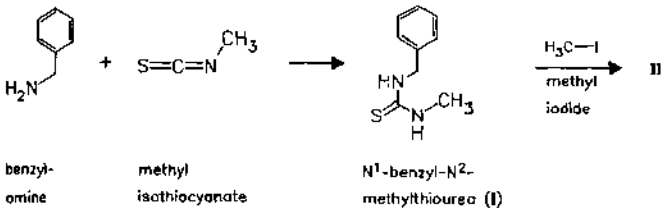
USA: Beta-Val (Teva)

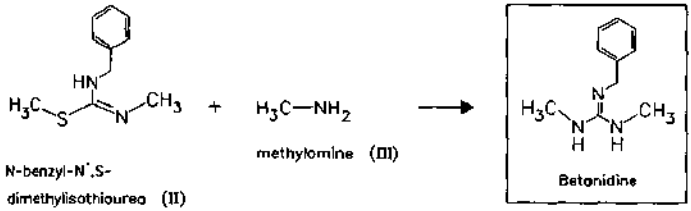
Betanidine
(Bethanidine)

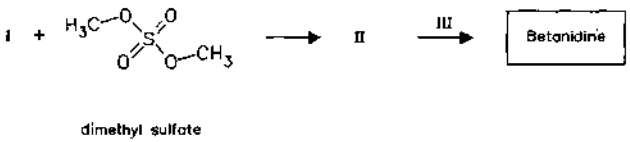
ATC: C02CC01
Use: antihypertensive

RN: 55-73-2 MF: $C_{10}H_{15}N_3$ MW: 177.25
LD_{50}: 16.307 mg/kg (M, i.v.)
CN: *N,N'*-dimethyl-*N''*-(phenylmethyl)guanidine

sulfate (2:1)
RN: 114-85-2 MF: $C_{10}H_{15}N_3 \cdot 1/2H_2SO_4$ MW: 452.58 EINECS: 204-056-9
LD_{50}: 12 mg/kg (M, i.v.); 520 mg/kg (M, p.o.);
20 mg/kg (R, i.v.)







Reference(s):
GB 973 882 (Wellcome Found.; appl. 15.12.1960; prior. 23.12.1959).

alternative synthesis:
DAS 1 568 057 (GEA; appl. 9.12.1966).

Formulation(s): tabl. 10 mg, 50 mg

Trade Name(s):

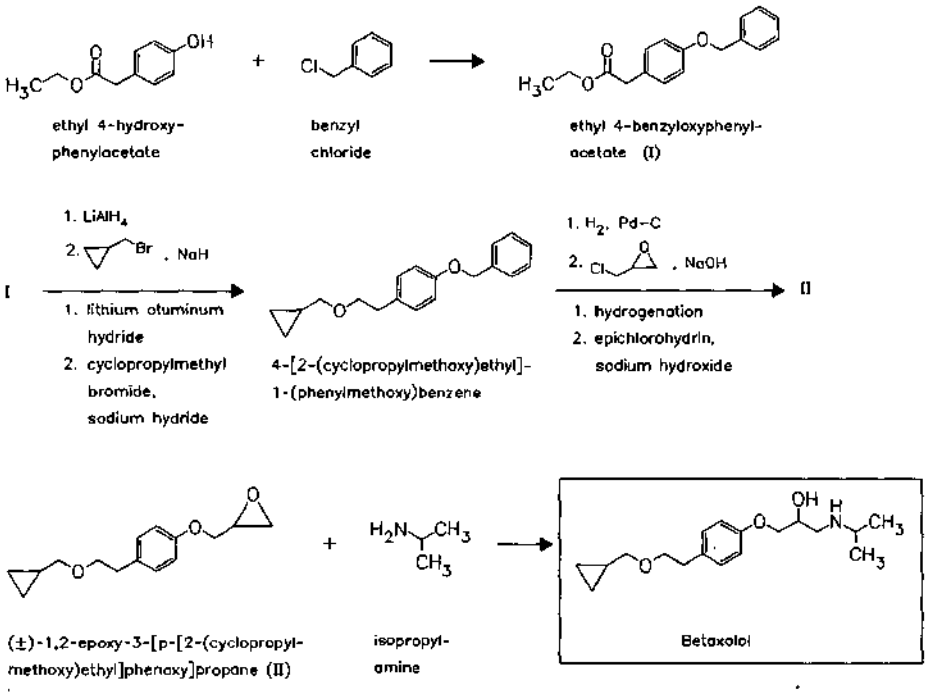
F:	Esbatal (Wellcome); wfm	I:	Esbatal (Wellcome); wfm	Hypersin (Zeria)
GB:	Bendogen (Lagap); wfm	J:	Benzoxine (Sanwa)	
	Esbatal (Calmic); wfm		Betaindol (Tanabe)	

Betaxolol

ATC: C07AB05; S01ED02
Use: selective β-adrenoceptor blocker, antihypertensive

RN: 63659-18-7 MF: $C_{18}H_{29}NO_3$ MW: 307.43
LD_{50}: 37 mg/kg (M, i.v.); 944 mg/kg (M, p.o.)
CN: (±)-1-[4-[2-(cyclopropylmethoxy)ethyl]phenoxy]-3-[(1-methylethyl)amino]-2-propanol

hydrochloride
RN: 63659-19-8 MF: $C_{18}H_{29}NO_3 \cdot HCl$ MW: 343.90 EINECS: 264-384-3
LD_{50}: 37 mg/kg (M, i.v.); 48 mg/kg (M, p.o.);
27.4 mg/kg (R, i.v.); 998 mg/kg (R, p.o.);
30 mg/kg (dog, p.o.)



Reference(s):
DOS 2 649 605 (Synthelabo; appl. 29.10.1976; F-prior. 6.11.1975).
US 4 252 984 (Synthelabo; 24.2.1981; appl. 20.10.1976; F-prior. 6.11.1975).
US 4 311 708 (Synthelabo; 24.2.1981, F-prior. 6.11.1975).
US 4 342 783 (Synthelabo; 3.8.1983; prior. 30.6.1980).

Formulation(s): eye drops 0.25 %, 0.5 %; f. c. tabl. 20 mg; tabl. 10 mg, 20 mg, 25 mg (as hydrochloride)

Trade Name(s):

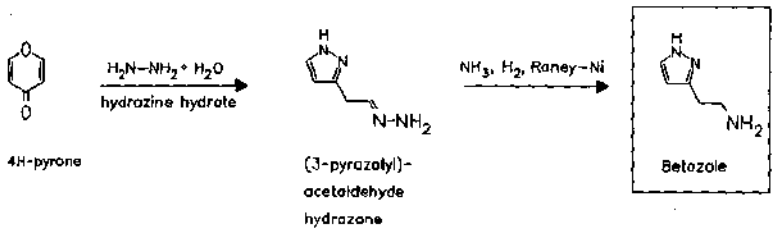
D:	Betoptima (Alcon; 1985) Kerlone (Synthelabo; 1984)		Kerlone (Robert et Carrière; Synthélabo/ Schwarz; 1983)	I:	Betoptic coll. (Alcon; 1986) Kerlon (Synthelabo; 1987)
F:	Betoptic (Alcon; 1987)	GB:	Betoptic (Alcon; 1986) Kerlone (Lorex; 1984)	USA:	Betoptic (Alcon; 1985) Kerlone (Searle)

Betazole
(Ametazole)

ATC: V04CG02
Use: gastric acid diagnostic, gastric acid stimulant

RN: 105-20-4 MF: $C_5H_9N_3$ MW: 111.15 EINECS: 203-278-3
CN: 1*H*-pyrazole-3-ethanamine

dihydrochloride
RN: 138-92-1 MF: $C_5H_9N_3 \cdot 2HCl$ MW: 184.07 EINECS: 205-345-2
LD_{50}: 803 mg/kg (M, i.v.); 860 mg/kg (M, p.o.)



Reference(s):
US 2 785 177 (Eli Lilly; 12.3.1957; prior. 7.1.1952).

Formulation(s): amp. 50 mg (5 %, as dihydrochloride)

Trade Name(s):

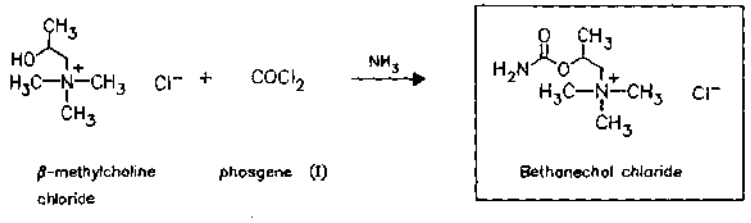
D:	Betazole "Lilly"; wfm	J:	Histimin (Shionogi)
GB:	Histalog (Lilly); wfm	USA:	Histalog (Lilly); wfm

Bethanechol chloride

ATC: N07AB02
Use: parasympathomimetic

RN: 590-63-6 MF: $C_7H_{17}ClN_2O_2$ MW: 196.68 EINECS: 209-686-8
LD_{50}: 10 mg/kg (M, i.v.); 250 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 1500 mg/kg (R, p.o.)
CN: 2-[(aminocarbonyl)oxy]-*N,N,N*-trimethyl-1-propanaminium chloride

a



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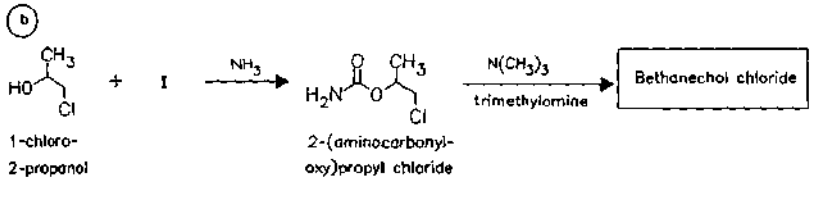


Reference(s):
a US 2 322 375 (Merck & Co.; 1943; prior. 1940).
b US 1 894 162 (O. Dahner, C. Diehl; 1933; D-prior. 1930).

Formulation(s): amp. 5 mg; tabl. 5 mg, 10 mg, 25 mg, 50 mg

Trade Name(s):

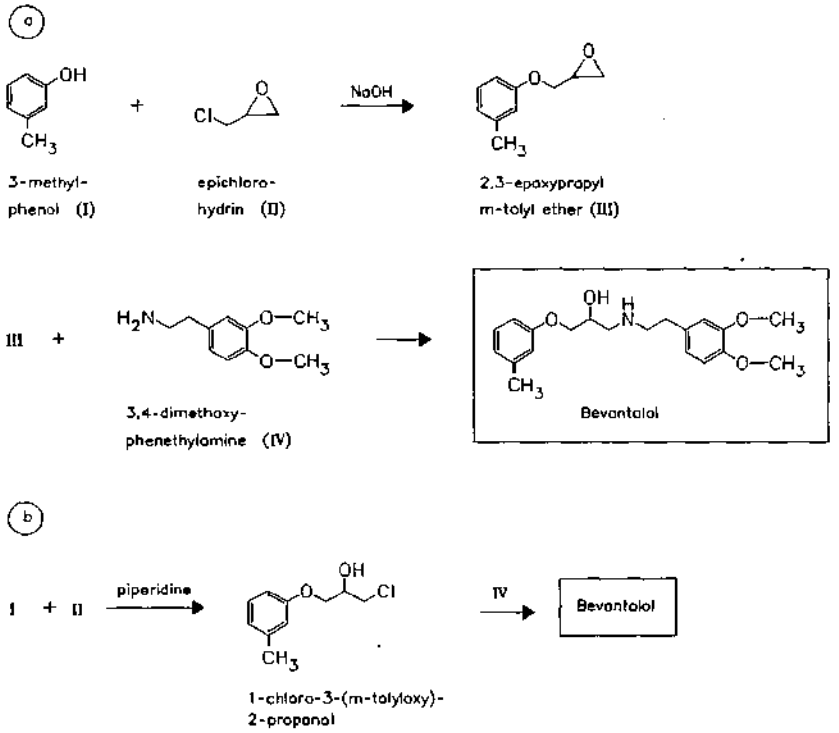
GB:	Myotonine (Glenwood)	J:	Besacolin (Eisai)		Perista (Nissin)
I:	Urecholine (Merck Sharp & Dohme)		Bethachorol (Nichiiko) Paracholin (Kanto)	USA:	Urecholine (Merck)

Bevantolol

ATC: C07AB06
Use: long acting cardioselective β_1-adrenoceptor blocker

RN: 59170-23-9 MF: $C_{20}H_{27}NO_4$ MW: 345.44
LD_{50}: 419 mg/kg (M, p.o.);
38 mg/kg (R, i.v.)
CN: 1-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-3-(3-methylphenoxy)-2-propanol

hydrochloride
RN: 42864-78-8 MF: $C_{20}H_{27}NO_4 \cdot HCl$ MW: 381.90
LD_{50}: 419 mg/kg (M, p.o.);
25.1 mg/kg (R, i.v.); 460 mg/kg (R, p.o.)



Reference(s):
DE 2 259 489 (Parke Davis; appl. 5.12.1972; USA-prior. 14.12.1971).
US 3 857 891 (Parke Davis; 31.12.1974; appl. 14.2.1971).
US 3 929 856 (Parke Davis; 30.12.1975; appl. 3-9-1974; prior. 3.9.1974, 14.12.1971).
Crowther, A.F. et al.: J. Med. Chem. (JMCMAR) **12**, 638 (1979).
Hoetle, M.L. et al.: J. Med. Chem. (JMCMAR) **18**, 148 (1975).

Formulation(s): tabl. 100 mg, 200 mg

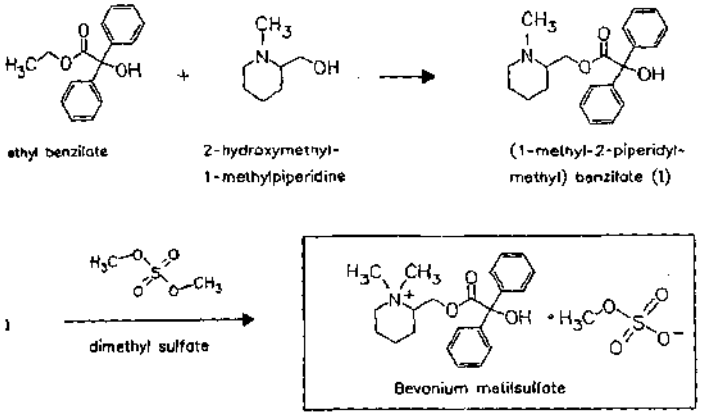
Trade Name(s):
J: Calvan (Nippon Chemiphar; Torii; as hydrochloride)
USA: Vantol (Parke Davis; as hydrochloride); wfm

Bevonium metilsulfate

(Bevonium methylsulfate; Piribenzil; Pyribenzil)

ATC: A03AB13
Use: anticholinergic, antispasmodic

RN: 5205-82-3 MF: $C_{22}H_{28}NO_3 \cdot CH_3O_4S$ MW: 465.57 EINECS: 226-001-8
LD_{50}: 17.4 mg/kg (M, i.v.); 1360 mg/kg (M, p.o.);
26 mg/kg (R, i.v.); 5080 mg/kg (R, p.o.);
1 g/kg (dog, p.o.)
CN: 2-[[(hydroxydiphenylacetyl)oxy]methyl]-1,1-dimethylpiperidinium methyl sulfate



Reference(s):
BE 616 951 (Grünenthal; appl. 26.4.1962; D-prior. 29.4.1961).

piribenzil:
DE 1 188 081 (Grünenthal; appl. 19.2.1960).

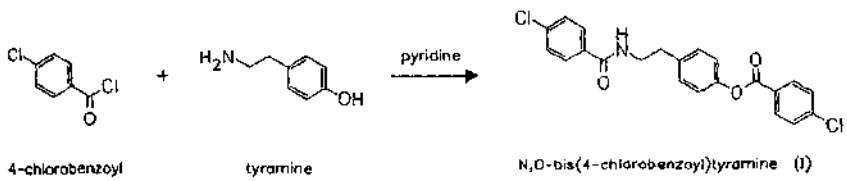
Formulation(s): amp. 10 mg (0.25 %); tabl. 50 mg

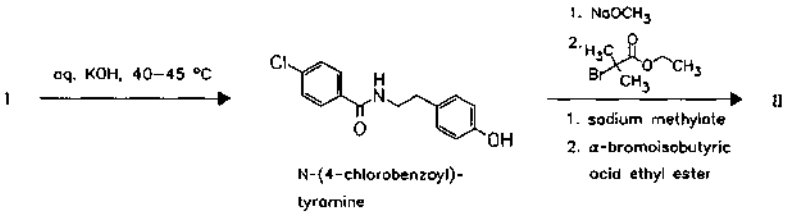
Trade Name(s):
D: Acabel (Grünenthal); wfm J: Acabel (Dainippon)

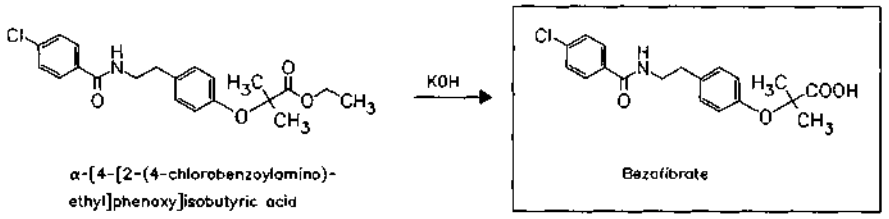
Bezafibrate

ATC: B04AA; C01AB02
Use: antiarteriosclerotic
(antihyperlipidemic)

RN: 41859-67-0 MF: $C_{19}H_{20}ClNO_4$ MW: 361.83 EINECS: 255-567-9
LD_{50}: 723 mg/kg (M, p.o.);
1082 mg/kg (R, p.o.)
CN: 2-[4-[2-[(4-chlorobenzoyl)amino]ethyl]phenoxy]-2-methylpropanoic acid







Reference(s):
DOS 2 149 070 (Boehringer Mannh.; appl. 1.10.1971).
FR-appl. 2 154 739 (Boehringer Mannh.; appl. 29.9.1972; D-prior. 1.10.1971, 22.6.1972).

Formulation(s): drg. 200 mg; f. c. tabl. 200 mg; s. r. drg. 400 mg; tabl. 200 mg

Trade Name(s):

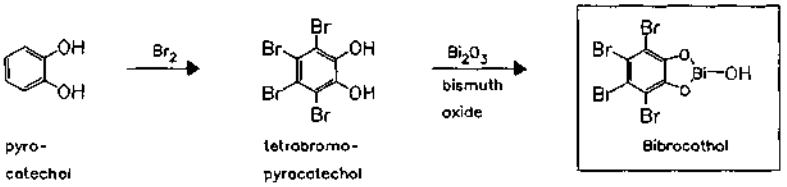
D:	Azufibrate (Azupharma) Befibrate (Henning) Bezacur (Hexal) Cedur (Boehringer Mannh.) Lipox (TAD)	F:	Pegradin (Berlin-Chemie) Sklerofibrate (Merckle) Béfizal (Boehringer Mannh.)	GB: I:	Bezalip (Bristol-Myers Squibb) Bezalip (Boehringer Mannh.)

Bibrocathol

(Bibrocathin; Bismucatebrol)

ATC: S01AX05
Use: antiseptic

RN: 6915-57-7 MF: $C_6HBiBr_4O_3$ MW: 649.67 EINECS: 230-023-3
CN: 4,5,6,7-tetrabromo-2-hydroxy-1,3,2-benzodioxabismole



Reference(s):
DRP 207 544 (Chem. Fabrik von Heyden; appl. 1908).
Hundrup: Arch. Pharm. Chemi (APCEAR) **54**, 537 (1947).

Formulation(s): eye ointment 1 %, 2 %, 3 %, 5 %

Trade Name(s):
D: Noviform (CIBA Vision) Novifort (Dispersa)-comb. Posiformin (Ursapharm)

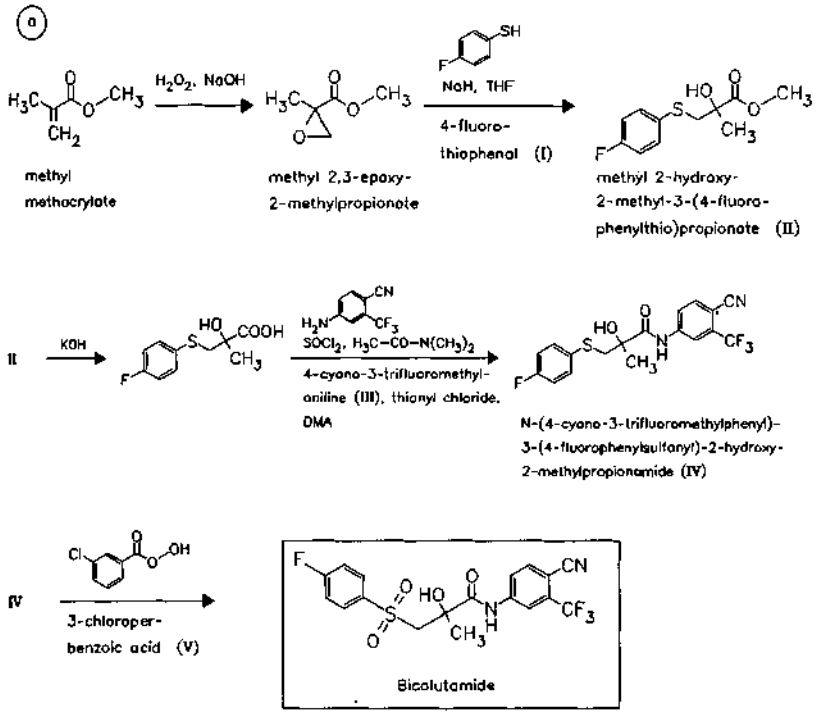
Bicalutamide

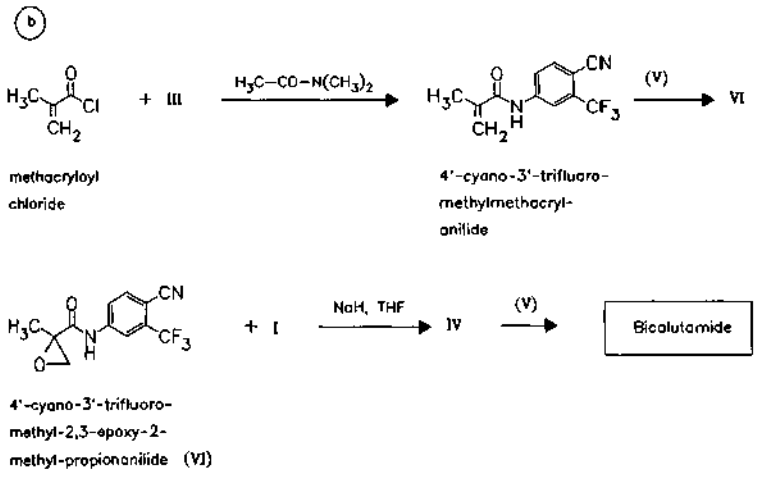
(ICI-176334)

ATC: L02BB03
Use: non-steroidal antiandrogen, antineoplastic, anti(prostate)cancer

RN: 90357-06-5 MF: $C_{18}H_{14}F_4N_2O_4S$ MW: 430.38
CN: (±)-*N*-[4-cyano-3-(trifluoromethyl)phenyl]-3-[(4-fluorophenyl)sulfonyl]-2-hydroxy-2-methylpropanamide

***R*-enantiomer**
RN: 113299-40-4 MF: $C_{18}H_{14}F_4N_2O_4S$ MW: 430.38
***S*-enantiomer**
RN: 113299-38-0 MF: $C_{18}H_{14}F_4N_2O_4S$ MW: 430.38





Reference(s):
EP 100 172 (ICI; appl. 8.7.1983; UK-prior. 23.7.1982).

active enantiomer (R-(–)-bicalutamide) for treating e. g. prostate cancer, acne:
WO 9 519 770 (Sepracor Inc.; appl. 27.7.1995; USA-prior. 21.1.1994).

combination with progesterone antagonists:
DE 4 318 371 (Schering AG; 1.12.1994; D-prior. 28.5.1993).

combination with sex steroid biosynthesis inhibitors:
WO 9 100 733 (Endorecherche Inc.; 24.1.1994; USA-prior. 7.7.1989).

Formulation(s): tabl. 50 mg

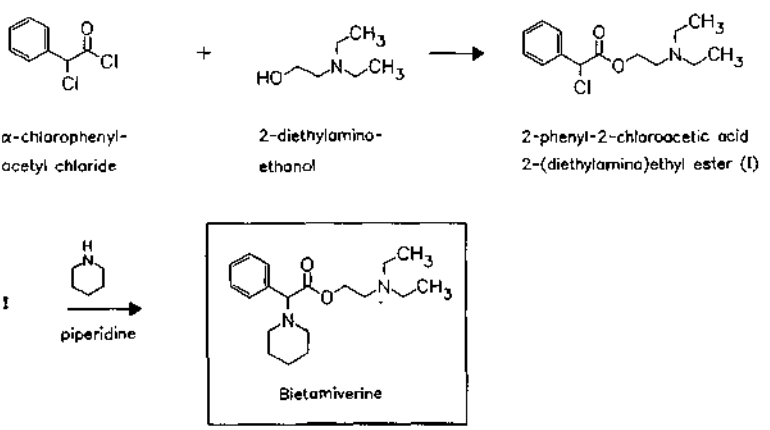
Trade Name(s):
D: Casodex (Zeneca) GB: Casodex (Zeneca) USA: Casodex (Zeneca)

Bietamiverine
(Dietamiverin)

ATC: A03AA
Use: antispasmodic

RN: 479-81-2 MF: $C_{19}H_{30}N_2O_2$ MW: 318.46 EINECS: 207-538-7
CN: α-phenyl-1-piperidineacetic acid 2-(diethylamino)ethyl ester

dihydrochloride
RN: 2691-46-5 MF: $C_{19}H_{30}N_2O_2 \cdot 2HCl$ MW: 391.38 EINECS: 220-262-1
LD_{50}: 55 mg/kg (M, i.v.); 1247 mg/kg (M, p.o.)



Reference(s):
DE 859 892 (Nordmark; appl. 1950).

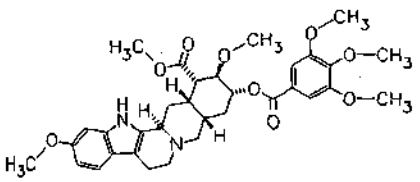
Trade Name(s):
D: Spasmaparid (Nordmark); wfm J: Sparine A (Tokyo Tanabe)

Bietaserpine

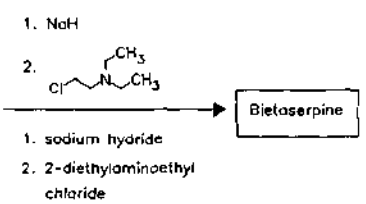
ATC: C02AA07
Use: antihypertensive

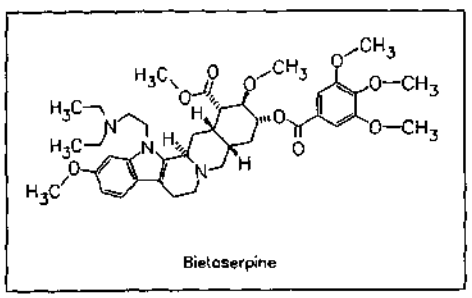
RN: 53-18-9 MF: $C_{39}H_{53}N_3O_9$ MW: 707.87 EINECS: 200-165-0
CN: (3β,16β,17α,18β,20α)-1-[2-(diethylamino)ethyl]-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]yohimban-16-carboxylic acid methyl ester

bitartrate (1:1)
RN: 1111-44-0 MF: $C_{39}H_{53}N_3O_9 \cdot C_4H_6O_6$ MW: 857.95 EINECS: 214-180-5



reserpine
(q. v.)





Bietaserpine

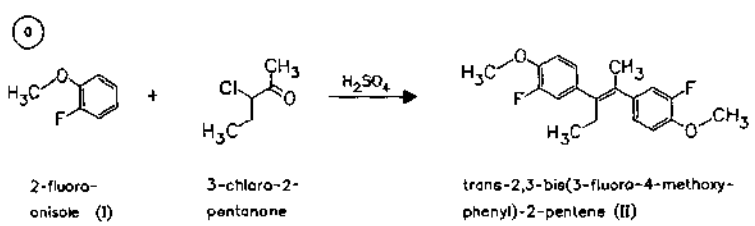
Reference(s):
FR 1 256 524 (Dautreville et Lebas et A. Buzas; appl. 13.2.1959).
FR-M 102 (Soc. Nogentaise de Prod. Chim. et A. Buzas; appl. 3.8.1960).

Trade Name(s):
F: Tensibar (Lefranca); wfm
I: Pleiantensin simplex (Guidotti); wfm

Bifluranol

ATC: G03HA
Use: antiandrogen, treatment of benign prostatic hypertrophy

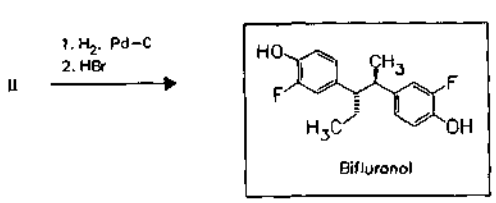
RN: 34633-34-6 MF: $C_{17}H_{18}F_2O_2$ MW: 292.33
CN: (*R**,*S**)-4,4'-(1-ethyl-2-methyl-1,2-ethanediyl)bis[2-fluorophenol]



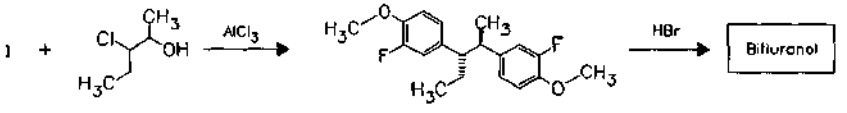
2-fluoro-anisole (I)

3-chloro-2-pentanone

trans-2,3-bis(3-fluoro-4-methoxyphenyl)-2-pentene (II)



(b)



3-chloro-2-pentanol

(R*,S*)-2,3-bis(3-fluoro-4-methoxyphenyl)pentane

Reference(s):
DE 2 110 428 (Biorex; appl. 4.3.1971; GB-prior. 16.3.1970).
US 4 051 263 (Biorex; 27.9.1977; GB-prior. 16.3.1970).

Formulation(s): amp.

Trade Name(s):
GB: Prostarex (Biorex); wfm

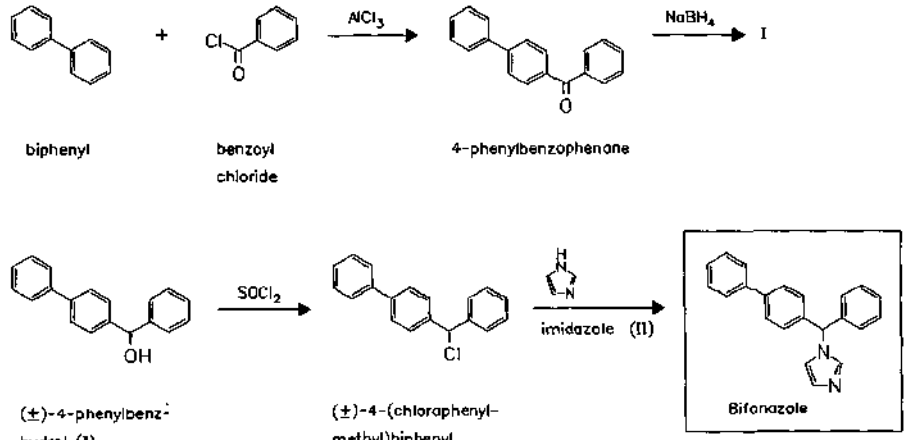
Bifonazole
(Bifonazolum)

ATC: D01AC10
Use: topical antimycotic (inhibitor of ergosterin biosynthesis in yeasts and dermatophytes)

RN: 60628-96-8 MF: $C_{22}H_{18}N_2$ MW: 310.40 EINECS: 262-336-6
LD_{50}: 57 mg/kg (M, i.v.); 2629 mg/kg (M, p.o.);
63 mg/kg (R, i.v.); 1463 mg/kg (R, p.o.);
>500 mg/kg (dog, p.o.)
CN: 1-([1,1'-biphenyl]-4-ylphenylmethyl)-1*H*-imidazole

monohydrochloride
RN: 60629-09-6 MF: $C_{22}H_{18}N_2 \cdot HCl$ MW: 346.86
sulfate
RN: 60629-08-5 MF: $C_{22}H_{18}N_2 \cdot xH_2O_4S$ MW: unspecified

(a)



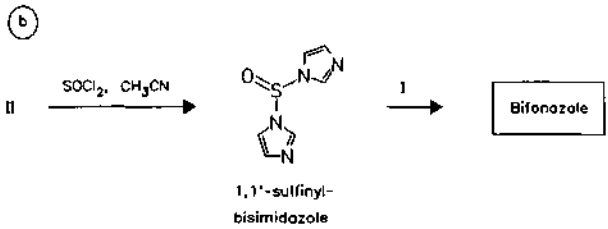
biphenyl

benzoyl chloride

4-phenylbenzophenone

(±)-4-phenylbenzhydrol (I)

(±)-4-(chlorophenylmethyl)biphenyl



Reference(s):
DOS 2 461 406 (Bayer; appl. 5.12.1975; USA-prior. 24.12.1974).
US 4 118 487 (Bayer; 3.11.1978; appl. 5.12.1975; prior. 24.12.1974).

effective mechanism:
Berg, D. et al.: Arzneim.-Forsch. (ARZNAD) **34** (I), 139 (1984).

Formulation(s): cream 10 mg (1 %); gel 10 mg; lotion 1 %; powder 10 mg (1 %); sol. 10 mg (1 %)

Trade Name(s):

D:	Bifomyk (Hexal) Bifon (Dermapharm) Mycospor (Bayer; 1983)	F:	Amycor (Lipha Santé; 1987) Amycor onychoset (Lipha Santé)-comb.	I:	Azolmen (Menarini; 1987) Bifazol (Bayropharm; 1986)

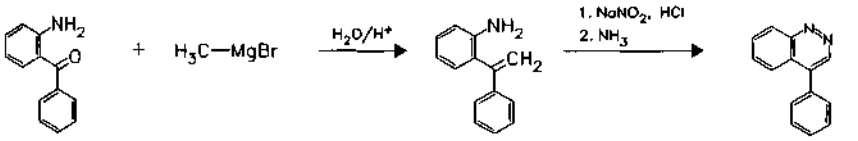
Binedaline

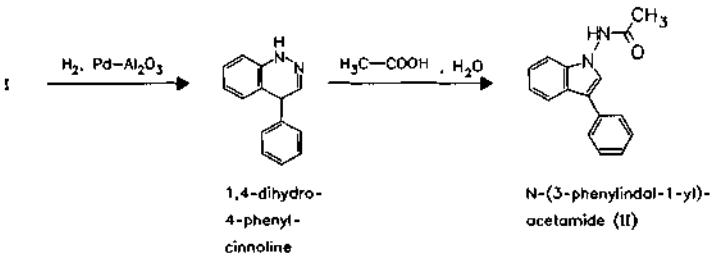
(Binodaline)

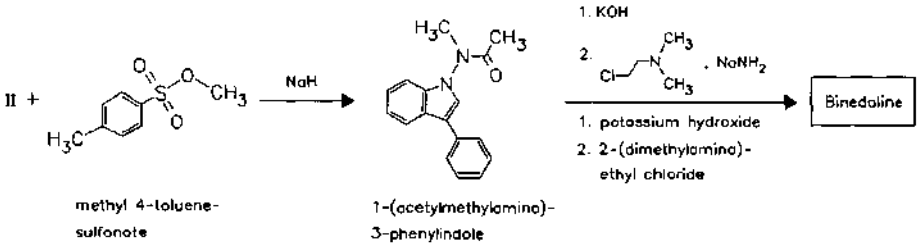
ATC: N06AB
Use: antidepressant

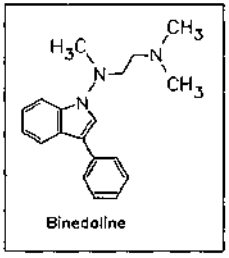
RN: 60662-16-0 MF: $C_{19}H_{23}N_3$ MW: 293.41
LD_{50}: 54 mg/kg (M, i.v.); 770 mg/kg (M, p.o.);
27 mg/kg (R, i.v.)
CN: *N,N,N'*-trimethyl-*N'*-(3-phenyl-1*H*-indol-1-yl)-1,2-ethanediamine

monohydrochloride
RN: 57647-35-5 MF: $C_{19}H_{23}N_3 \cdot HCl$ MW: 329.88 EINECS: 260-877-2
LD_{50}: 54 mg/kg (M, i.v.); 760 mg/kg (M, p.o.);
26 mg/kg (R, i.v.); 1160 mg/kg (R, p.o.);
>20 mg/kg (dog, i.v.)









Reference(s):
DOS 2 512 702 (Siegfried AG; appl. 22.3.1975; CH-prior. 29.3.1974).
US 4 204 998 (Siegfried AG; 27.5.1980; CH-prior. 29.3.1974).
Schatz, F. et al.: Arzneim.-Forsch. (ARZNAD) **30**, 919 (1980).

synthesis of 1,4-dihydro-4-phenylcinnoline:
Simpson, J.C.F. et al.: J. Chem. Soc. (JCSOA9) **1945**, 646.
Scheifele, H.J. Jr. et al.: Org. Synth. (ORSYAT) **32**, 8 (1952).
Sternbach, L.H. et al.: J. Org. Chem. (JOCEAH) **26**, 4488 (1961).

Formulation(s): tabl. 25.5 mg

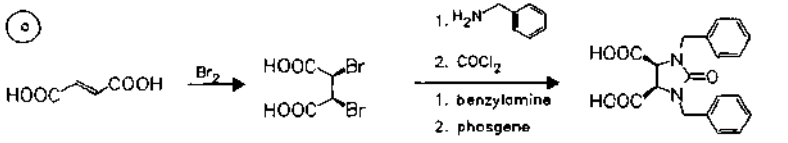
Trade Name(s):
J: Ixprim (Roussel-Uclaf)

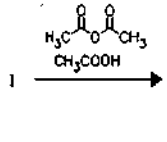
Biotin

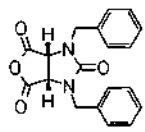
(Vitamin B_7; Vitamin H)

ATC: A11HA05
Use: growth factor, vitamin

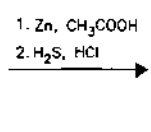
RN: 58-85-5 MF: $C_{10}H_{16}N_2O_3S$ MW: 244.32 EINECS: 200-399-3
CN: [3a*S*-(3aα,4β,6aα)]-hexahydro-2-oxo-1*H*-thieno[3,4-*d*]imidazole-4-pentanoic acid



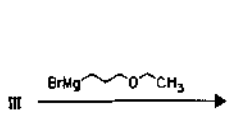


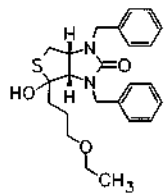


cis-1,3-dibenzyl-perhydrofuro[3,4-d]-imidazole-2,4,6-trione (II)

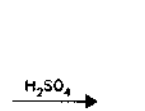


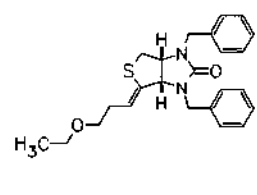
cis-1,3-dibenzyl-perhydrothieno[3,4-d]-imidazole-2,4-dione (III)



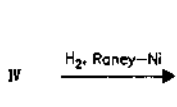


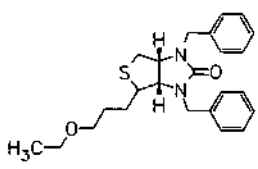
1,3-dibenzyl-4-(3-ethoxypropyl)-4-hydroxy-cis-perhydrothieno[3,4-d]-imidazol-2-one



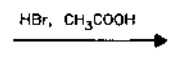


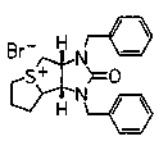
1,3-dibenzyl-4-(3-ethoxypropylidene)-cis-perhydrothieno[3,4-d]imidazol-2-one (IV)



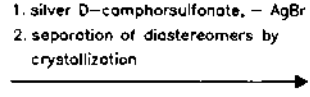


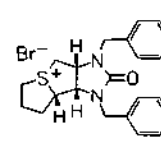
1,3-dibenzyl-4-(3-ethoxypropyl)-cis-perhydrothieno[3,4-d]-imidazol-2-one



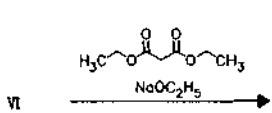


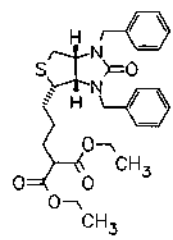
1,3-dibenzyl-2-oxo-3a,8b-cis-perhydrothieno[1',2':1,2]thieno[3,4-d]-imidazolium bromide (V)



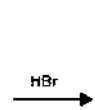


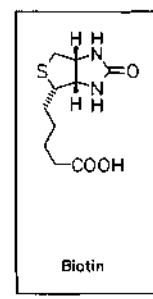
(VI)



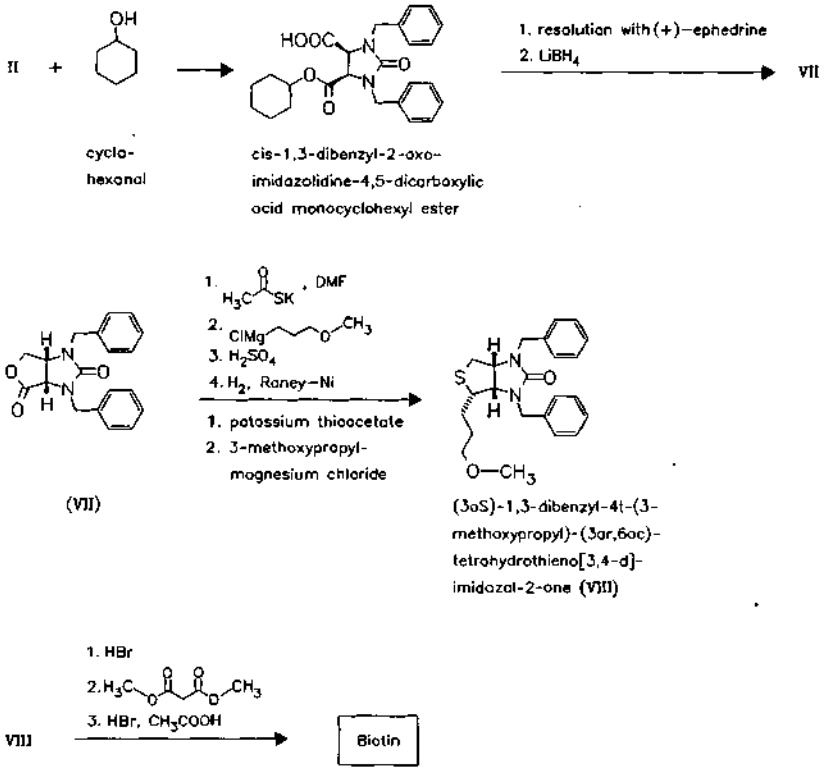


[3aS-(3aα,4β,6aα)]-[3-(1,3-dibenzyl-2-oxo-perhydrothieno-[3,4-d]imidazol-4-yl)propyl]-malonic acid diethyl ester





(b)



Reference(s):
US 2 489 232 (Roche; 1949; appl. 1946).
US 2 489 233 (Roche; 1949; appl. 1947).
US 2 489 234 (Roche; 1949; appl. 1947).
US 2 489 235 (Roche; 1949; appl. 1947).
US 2 489 236 (Roche; 1949; appl. 1947).
US 2 489 237 (Roche; 1949; appl. 1948).
US 2 489 238 (Roche; 1949; appl. 1948).
US 2 519 720 (Roche; 1950; appl. 1948).
US 3 740 416 (Roche; 1973; CH-prior. 29.11.1969).

newer syntheses:
DAS 2 331 244 (Sumitomo; appl. 19.6.1973; J-prior. 22.6.1972, 23.3.1973).
DAS 2 534 962 (Teikoku; appl. 5.8.1975; J-prior. 5.8.1974, 6.8.1974, 8.8.1974).
DOS 2 730 341 (Roche; appl. 5.7.1977; USA-prior. 12.7.1976).
DOS 2 807 200 (Roche; appl. 20.2.1978; USA-prior. 23.2.1977).
US 4 054 740 (Roche; 18.10.1977; prior. 24.12.1974, 5.9.1975).
US 4 130 712 (Roche; 19.12.1978; prior. 12.7.1976, 17.6.1977).
US 4 130 713 (Roche; 19.12.1978; prior. 5.8.1977).
Lavielle, S. et al.: J. Am. Chem. Soc. (JACSAT) **100**, 1558 (1978).

Formulation(s): amp. 0.5 mg, 5 mg; cps. 0.06 mg, 0.1 mg; drg. 0.15 mg, 0.5 mg; tabl. 5 mg, 10 mg

Trade Name(s):

D: Bio-H-Tin (Engelfried & Bartel)
Brodermatin (Engelfried & Bartel)
Deacura (Dermapharm)
Mediobiotin (Medopharm)
Multibionta (Merck)-comb.
Piorin (Roche Nicholas)
Polybion (Merck)-comb.
Rombellin (Simons)
numerous combination preparations

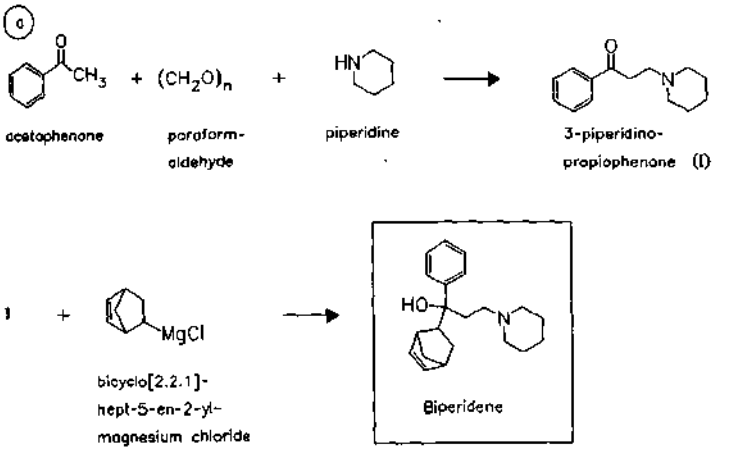
F: Alvityl (Solvay Pharma)-comb.
Azedavit (Whitehall)-comb.
Azinc complexe (Arkopharma)-comb.
Berocca (Nicholas)
Biotine (Roche)
Cernévit (Baxtersa/Clintel Parentéral)-comb.
Élévit Vitamine B9 (Nicholas)-comb.
Lofenalac (Bristol-Myers Squibb)-comb.
Plènyl (Oberlin)-comb.
Soluvit (Pharmacia & Upjohn)-comb.
Supradyne (Roche Nicholas)-comb.
Survitine (Roche Nicholas)-comb.
Vivamyne (Whitehall)-comb.
generics
GB: Ketovite (Paines & Byrne)-comb.
I: Biodermatin (Lafare)
Diathymil (Dermalife)
J: Havita (Kakenyaku)
USA: Mega-B (Arco)
Megadose (Arco)
combination preparations

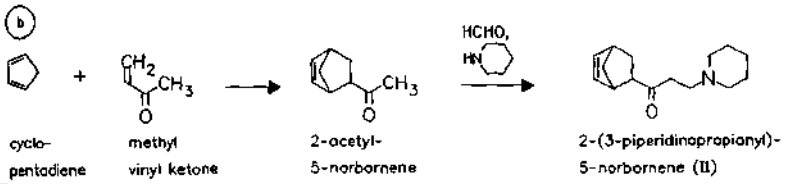
Biperidene

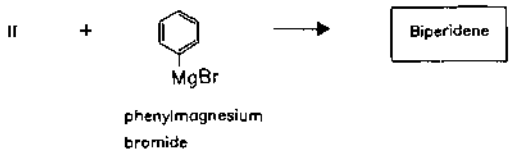
ATC: N04AA
Use: antiparkinsonian

RN: 514-65-8 MF: $C_{21}H_{29}NO$ MW: 311.47 EINECS: 208-184-6
LD_{50}: 56 mg/kg (M, i.v.); 530 mg/kg (M, p.o.);
750 mg/kg (R, p.o.);
340 mg/kg (dog, p.o.)
CN: α-bicyclo[2.2.1]hept-5-en-2-yl-α-phenyl-1-piperidinepropanol

hydrochloride
RN: 1235-82-1 MF: $C_{21}H_{29}NO \cdot HCl$ MW: 347.93 EINECS: 214-976-2
LD_{50}: 56 mg/kg (M, i.v.); 530 mg/kg (M, p.o.);
750 mg/kg (R, p.o.);
340 mg/kg (dog, p.o.)
lactate (1:1)
RN: 7085-45-2 MF: $C_{21}H_{29}NO \cdot C_3H_6O_3$ MW: 401.55 EINECS: 230-388-9
LD_{50}: 61 mg/kg (M, i.v.)







phenylmagnesium bromide

Reference(s):
US 2 789 110 (Knoll; 1957; D-prior. 1953).
DE 1 005 067 (Knoll; appl. 1953).

Formulation(s): amp. 5 mg/ml; powder 1 %; s. r. drg. 4 mg; tabl. 2 mg

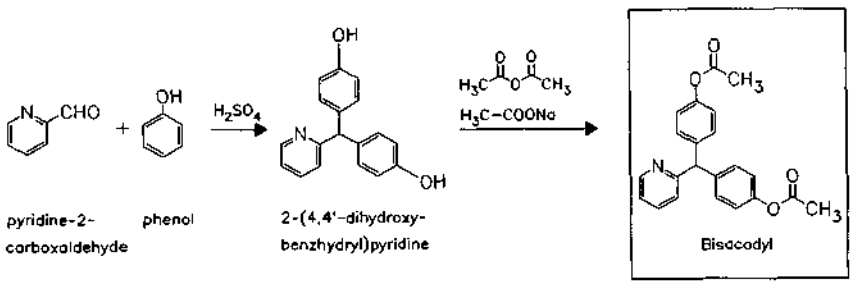
Trade Name(s):

D:	Akineton (Knoll)	GB:	Akineton (Abbott); wfm	J:	Akineton (Dainippon)
	Desiperiden (Desitin)	I:	Akineton (Ravizza; as		Tasmofin (Yoshitomi)
	Norakin (Neuro Hexal)		chloride)		Tasmolin (Yoshitomi)
F:	Akineton retard (Knoll)		Akineton (Knoll; as lactate)	USA:	Akineton (Knoll Labs.)

Bisacodyl

ATC: A06AB02; A06AG02
Use: laxative

RN: 603-50-9 MF: $C_{22}H_{19}NO_4$ MW: 361.40 EINECS: 210-044-4
LD_{50}: 17.5 g/kg (M, p.o.);
4.32 g/kg (R, p.o.);
>15 g/kg (dog, p.o.)
CN: 4,4'-(2-pyridinylmethylene)bis[phenol] diacetate (ester)



pyridine-2-carboxaldehyde | phenol | 2-(4,4'-dihydroxybenzhydryl)pyridine | Bisacodyl

Reference(s):
DE 951 987 (Thomae; appl. 1952).
US 2 764 590 (Thomae; 1956; D-prior. 1952).

alternative synthesis:
DE 951 988 (Thomae; appl. 1952).

Formulation(s): drg. 5 mg; suppos. 10 mg; tabl. 5 mg

Trade Name(s):

D:	Agaroletten (Warner-Lambert)	Drix (Hermes)	Laxbene (Merckle)
	Bekunis (roha)	Dulcolax (Boehringer Ing.)	Laxoberal (Boehringer Ing.)
	Bisco-Zifron extra stark (Biscova)	Florisan (Boehringer Ing.)	Mandrolax (Dolorgiet)
	Biscu (Biscova)	Laxagetten (ct-Arzneimittel)	Marienbader (RIAM)
	Darmol (Omegin)	Laxanin (Schwarzhaupt)	Mediolax (Medice)
		Laxanin N (Schwarzhaupt)	Pyrilax (Berlin-Chemie)

Stadalax (Stada Chemie)
Tempolax (Hommel)
Tirgon (Woelm)
Vinco (OTW)
Vinco-Abführperlen (OTW)-comb.
numerous combination preparations

F: Contalax (3M Santé)
Dulcolax (Boehringer Ing.)
Pilules Dupuis (Synthélabo)-comb.
Prépacol (Guerbet)-comb.

GB: Dulcolax (Boehringer Ing.)

I: Alaxa (Angelini)
Dulcolax (Fher)
Fisiolax (Manetti Roberts)-comb.
Normalene (Montefarmaco)

J: Anan (Ono)
Biomit (Sampo)-comb.
Cathalin (Hokuriku)-comb.
Ethanis (Taisho)-comb.
Lax (Kanto)-comb.
Satolax-10 (Sato)
Telemin Soft (Funai)-comb.
Vemas (Nippon Zoki)-comb.
Vencoll (Maruko)-comb.

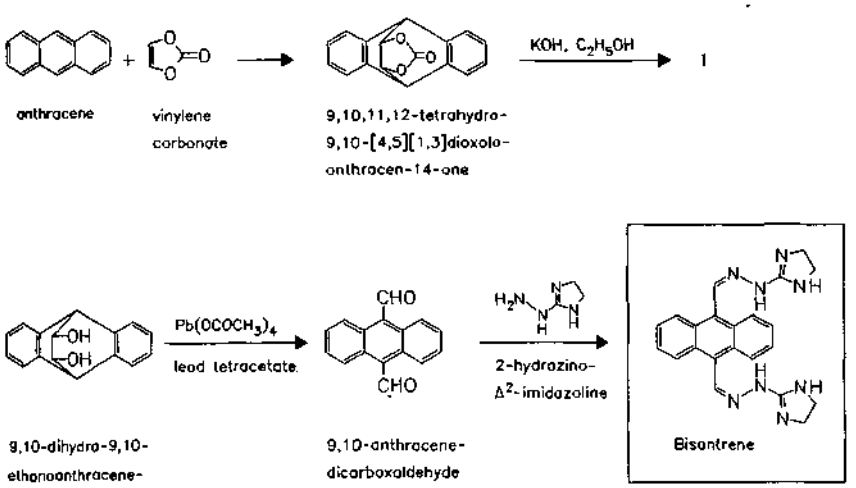
USA: Dulcolax (Novartis Consumer)
Evac-Q-Kwik (Savage)
Fleet Prep Kits (Fleet)

Bisantrene
(CL-216942)

ATC: L01
Use: intercalanting antineoplastic (against adult acute non-lymphocytic leucemia)

RN: 78186-34-2 MF: $C_{22}H_{22}N_8$ MW: 398.47
LD_{50}: 245 mg/kg (M, route unreported)
CN: 9,10-anthracenedicarboxaldehyde bis(4,5-dihydro-1*H*-imidazol-2-ylhydrazone)

dihydrochloride
RN: 71439-68-4 MF: $C_{22}H_{22}N_8 \cdot 2HCl$ MW: 471.40



Reference(s):
DOS 2 850 822 (American Cyanamid; appl. 23.11.1978; USA-prior. 28.11.1977, 5.5.1978, 19.9.1978, 2.10.1978).
US 4 187 373 (American Cyanamid; 5.2.1980; appl. 2.10.1978).
Murdock, K.L. et al.: J. Med. Chem. (JMCMAR) **25**, 505 (1982).

Formulation(s): vial 50 mg, 250 mg, 500 mg

Trade Name(s):
F: Zantrene (Lederle; 1990 as dihydrochloride); wfm

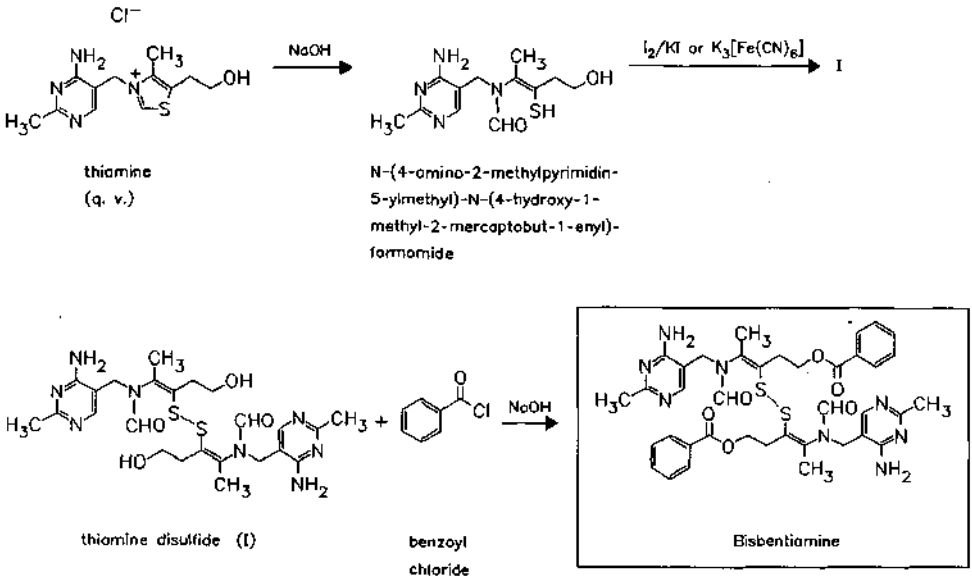
USA: Cyabin (Lederle; as dihydrochloride); wfm

Bisbentiamine

(Benzoylthiamine disulfide)

ATC: A11
Use: neurotropic analgesic, vitamin B_1-derivative

RN: 2667-89-2 MF: $C_{38}H_{42}N_8O_6S_2$ MW: 770.94 EINECS: 220-206-6
LD_{50}: 194 mg/kg (M, i.v.); 9 g/kg (M, p.o.)
CN: *N,N'*-[dithiobis[2-[2-(benzoyloxy)ethyl]-1-methyl-2,1-ethenediyl]]-bis[*N*-[(4-amino-2-methyl-5-pyrimidinyl)methyl]formamide]



Reference(s):
US 3 109 000 (Tanabe; 1963; J-prior. 1960).
GB 922 444 (Tanabe; appl. 1961; J-prior. 1960).

similar method:
DOS 1 954 519 (Hitachi; appl. 29.10.1969).

Formulation(s): cps. 50 mg

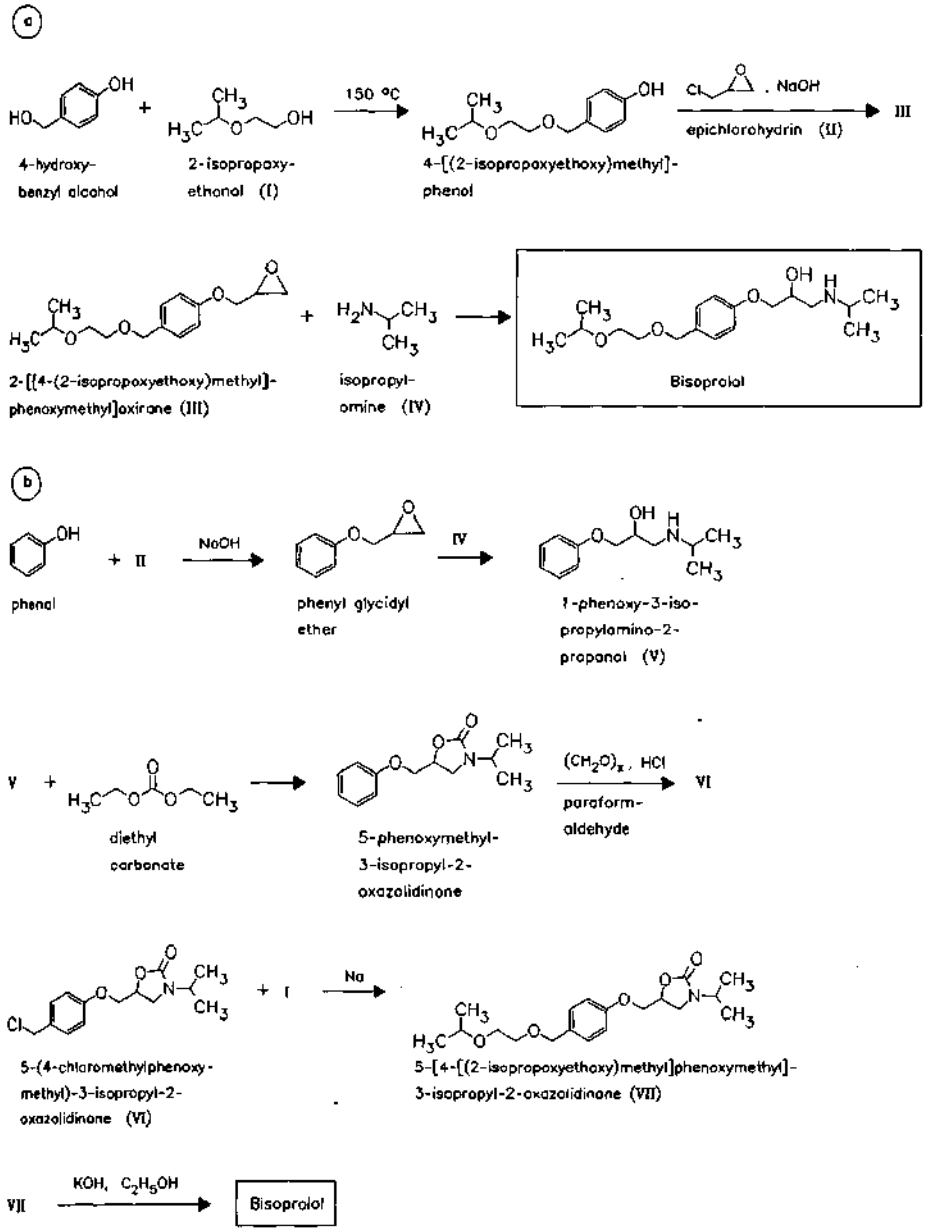
Trade Name(s):
D: Neuro-Fortamin (Asche)-comb.; wfm
J: Beston (Tanabe)

Bisoprolol

ATC: C07AB07
Use: beta blocking agent

RN: 66722-44-9 MF: $C_{18}H_{31}NO_4$ MW: 325.45
CN: (±)-1-[4-[[2-(1-methylethoxy)ethoxy]methyl]phenoxy]-3-[(1-methylethyl)amino]-2-propanol

fumarate
RN: 104344-23-2 MF: $C_{18}H_{31}NO_4 \cdot 1/2C_4H_4O_4$ MW: 766.97



Reference(s):

Harting, J. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 200 (1986).

a DOS 2 645 710 (Merck Patent GmbH; appl. 9.10.1976).
US 4 258 062 (Merck Patent GmbH; 24.3.1981; appl. 30.5.1979; D-prior. 9.10.1976).

b DOS 3 205 457 (Merck Patent GmbH; appl. 16.2.1982).

Formulation(s): f. c. tabl. 10 mg; f. c. tabl. 5 mg, 10 mg (as fumarate)

Trade Name(s):

D:	Bisobloc (Azupharma) Concor (Merck; 1986) Fondril (Procter & Gamble)	F:	Détensiel (Lipha Santé; 1987) Soprol (Wyeth-Lederle; 1988)	GB:	Emcor (Merck) Monocor (Wyeth) Monozide 10 (Wyeth)-comb.

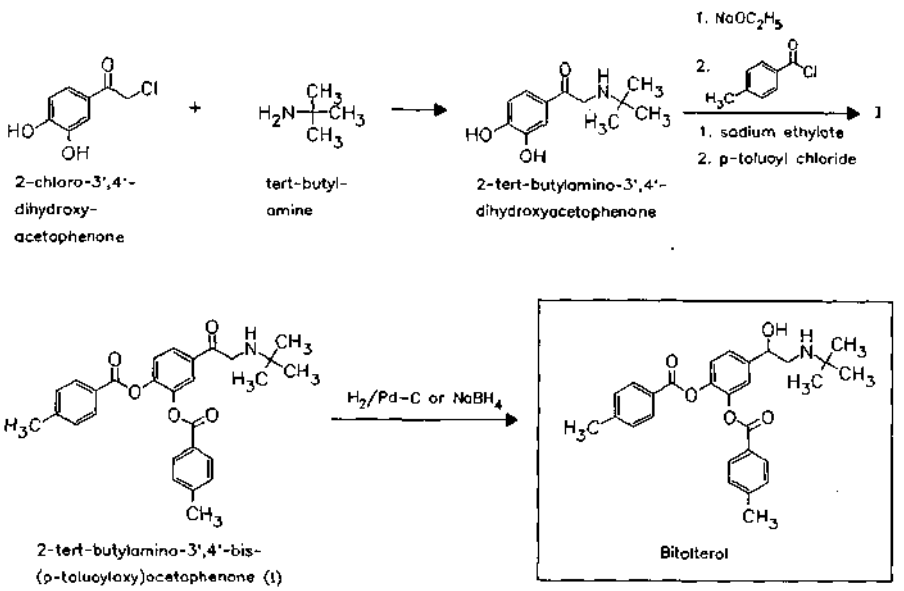
I: Concor (Bracco) USA: Zebeta (Lederle) Ziac (Lederle)-comb.

Bitolterol

ATC: R03AC17
Use: selective β_2-adrenoceptor agonist, bronchodilator

RN: 30392-40-6 MF: $C_{28}H_{31}NO_5$ MW: 461.56
CN: 4-methylbenzoic acid 4-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-1,2-phenylene ester

mesylate
RN: 30392-41-7 MF: $C_{28}H_{31}NO_5 \cdot CH_4O_3S$ MW: 557.66 EINECS: 250-177-5
LD_{50}: 31.4 mg/kg (M, i.v.); 4116 mg/kg (M, p.o.);
44 mg/kg (R, i.v.); >6221 mg/kg (R, p.o.)



Reference(s):
DOS 2 015 573 (Sterling Drug; appl. 1.4.1970; USA-prior. 1.4.1969).
Corrigan, J.R. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 530 (1949).
Fuller, B.F. et al.: J. Med. Chem. (JMCMAR) **19**, 834 (1976).

Formulation(s): aerosol 10 ml (0.8 %); tabl. 4 mg

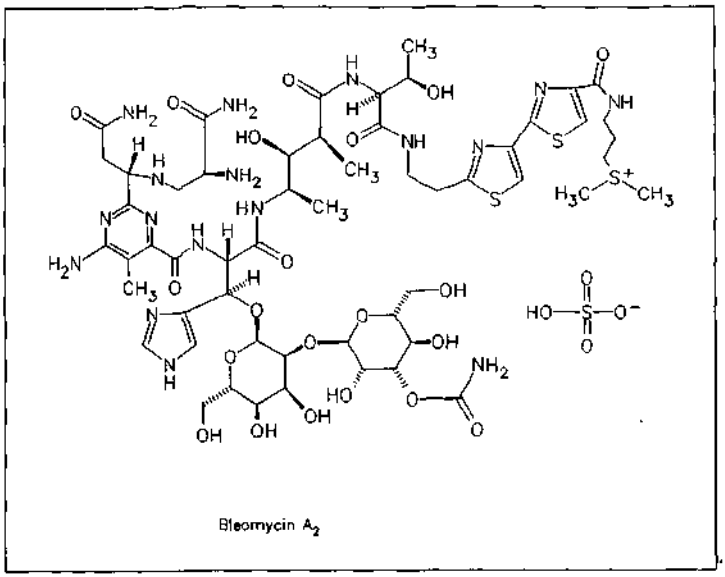
Trade Name(s):
I: Asmalene (Firma)
Tolbet (Corvi)
J: Effectin (Shionogi-Winthrop; as mesylate)

Bleomycin

ATC: L01DC01
Use: antineoplastic (peptide antibiotic)

RN: 11116-31-7 MF: $C_{55}H_{84}N_{17}O_{21}S_3$ MW: 1415.57 EINECS: 234-356-5
LD_{50}: 100 mg/kg (M, i.v.)
CN: N^1-[3-(dimethylsulfonio)propyl]bleomycinamide

50 % Bleomycin A_2, 20 % Bleomycin B_2.



From culture of *Streptomyces verticillus* by ion-exchange adsorption and column chromatographic purification (on alumina) via the copper complex.

Reference(s):
DE 1 217 549 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai = Microbial Chemistry Research Foundation; Tokyo; appl. 5.3.1964; J-prior. 5.3.1963).

Formulation(s): amp. 15 mg (as sulfate)

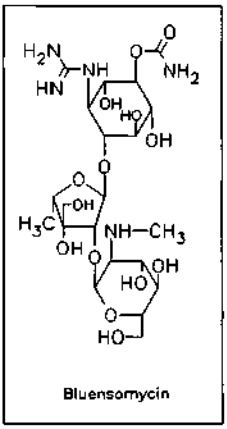
Trade Name(s):

D:	BLEO-cell (cell pharm) Bleomycinum-Mack (Mack, Illert.)	I:	Bleomicina (Rhône-Poulenc Rorer) generics		Bleo S (Nippon Kayaku; as sulfate)
F:	Bleomycine Roger Bellon (Roger Bellon) generics	J:	Bleo (Nippon Kayaku; as hydrochloride)	USA:	Blenoxane (Bristol-Myers Squibb Oncology/ Immunology; as sulfate)

Bluensomycin

ATC: A07AA
Use: antibiotic

RN: 11011-72-6 MF: $C_{21}H_{39}N_5O_{14}$ MW: 585.56
LD_{50}: 2250 mg/kg (M, i.v.);
>2500 mg/kg (R, p.o.)
CN: *O*-2-deoxy-2-(methylamino)-α-L-glucopyranosyl(1→2)-*O*-5-deoxy-3-*C*-(hydroxymethyl)-α-L-lyxofuranosyl-(1→2)-1-[(aminoiminomethyl)amino]-1-deoxy-D-*scyllo*-inositol 5-carbamate



From fermentation solutions of *Streptomyces bluensis* NRRL 2876.

Reference(s):
Mason, O.J. et al.: Antimicrob. Agents Chemother. (AACHAX) **1963**, 607.
Bergy, M.E. et al.: Antimicrob. Agents Chemother. (AACHAX) **1963**, 614.
DAS 1 183 631 (Upjohn; appl. 19.7.1962; USA-prior. 7.8.1961).

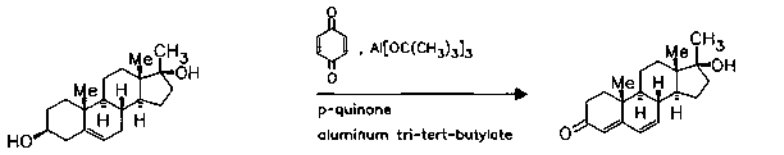
structure:
Bannister, B.; Argoudelis, A.D.: J. Am. Chem. Soc. (JACSAT) **85**, 119, 234 (1963).
McGilveray, I.J.; Rinehart, U.L.: J. Am. Chem. Soc. (JACSAT) **87**, 4003 (1965).

Trade Name(s):
USA: **Bluensomycin "Upjohn"** (Upjohn); **wfm**

Bolasterone

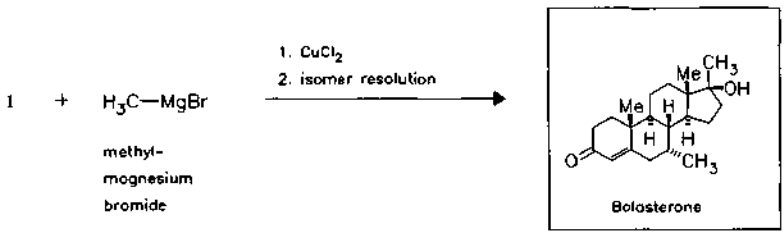
ATC: G03BA
Use: anabolic

RN: 1605-89-6 MF: $C_{21}H_{32}O_2$ MW: 316.49 EINECS: 216-519-2
CN: (7α,17β)-17-hydroxy-7,17-dimethylandrost-4-en-3-one



3β,17β-dihydroxy-17α-methyl-5-androstene (cf. methyltestosterone synthesis)

17β-hydroxy-17-methyl-androsta-4,6-dien-3-one (I)



Reference(s):
US 3 341 557 (Upjohn; 12.9.1967; prior. 5.6.1961, 6.11.1960, 6.6.1958).
Campbell, J.A.; Babcock, J.C.: J. Am. Chem. Soc. (JACSAT) **81**, 4069 (1959).

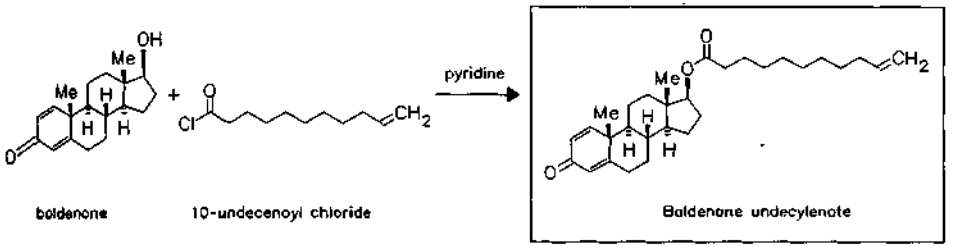
Trade Name(s):
USA: Myagen (Upjohn); wfm

Boldenone undecenylate

ATC: G03B
Use: anabolic

RN: 13103-34-9 MF: $C_{30}H_{44}O_3$ MW: 452.68 EINECS: 236-024-5
CN: (17β)-17-[(1-oxo-10-undecenyl)oxy]androsta-1,4-dien-3-one

boldenone
RN: 846-48-0 MF: $C_{19}H_{26}O_2$ MW: 286.42 EINECS: 212-686-0



Reference(s):
BE 623 277 (Merck AG; appl. 5.10.1962; D-prior. 5.10.1961).

starting material:
CA 803 490 (Upjohn; appl. 1956; USA-prior. 1955).
GB 922 525 (Loevens Kemiske Fabrik; valid from 6.11.1961; prior. 9.11.1960).
US 2 837 464 (Schering; 1958; prior. 1955).
US 2 875 196 (Olin Mathieson; 1959; prior. 1956, 1955).
Meystre, Ch. et al.: Helv. Chim. Acta (HCACAV) **39**, 734 (1956).

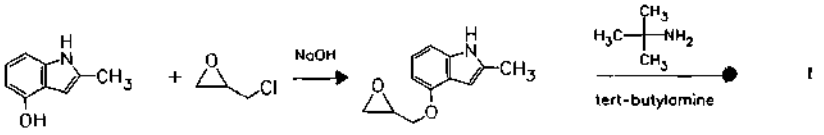
Trade Name(s):
D: Vebonol (Ciba); wfm USA: Parenabol (Ciba); wfm

Bopindolol

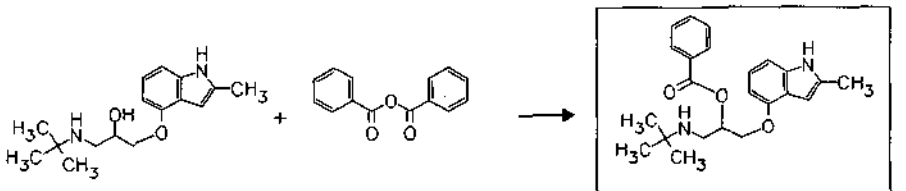
ATC: C07AA17
Use: β-adrenoceptor antagonist, antihypertensive

RN: 62658-63-3 MF: $C_{23}H_{28}N_2O_3$ MW: 380.49
LD_{50}: 17 mg/kg (M, i.v.)
CN: (±)-1-[(1,1-dimethylethyl)amino]-3-[(2-methyl-1*H*-indol-4-yl)oxy]-2-propanolbenzoate (ester)

(E)-2-butenedioate (1:1)
RN: 62658-64-4 MF: $C_{23}H_{28}N_2O_3 \cdot C_4H_4O_4$ MW: 496.56
LD_{50}: 17mg/kg (M, i.v.)



4-hydroxy-2-methylindole (cf. mepindolol synthesis) | epichlorohydrin | 2-methyl-4-oxiranylmethoxyindole | I



4-(3-tert-butylamino-2-hydroxypropoxy)-2-methylindole (I) | benzoic anhydride

Reference(s):
DOS 2 635 209 (Sandoz; appl. 5.8.1976; CH-prior. 15.8.1975).
GB 1 575 509 (Sandoz; appl. 13.8.1976; CH-prior. 15.8.1975).
GB 1 575 510 (Sandoz; appl. 13.8.1976; CH-prior. 15.8.1975).

Formulation(s): tabl. 1 mg

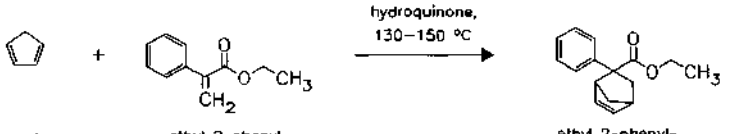
Trade Name(s):
D: Wandonorm (Novartis Pharma; 1989 as hydrogen malonate)
J: Sandonorm (Novartis; as malonate)

Bornaprine

ATC: N04AA11
Use: antiparkinsonian

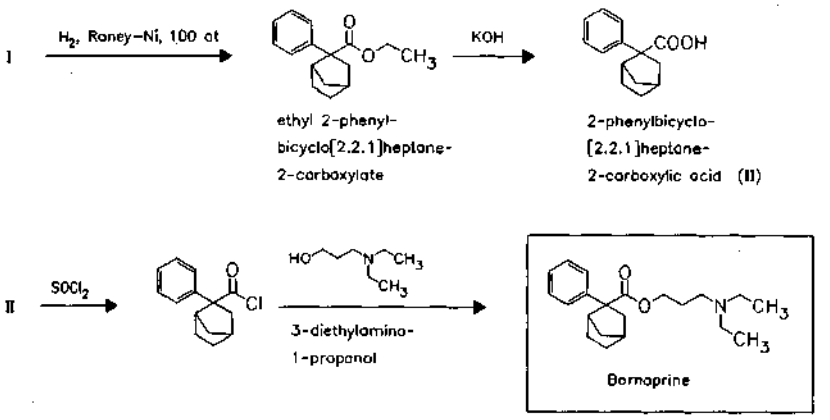
RN: 20448-86-6 MF: $C_{21}H_{31}NO_2$ MW: 329.48
LD_{50}: 26 mg/kg (M, i.v.)
CN: 2-phenylbicyclo[2.2.1]heptane-2-carboxylic acid 3-(diethylamino)propyl ester

hydrochloride
RN: 26908-91-8 MF: $C_{21}H_{31}NO_2 \cdot HCl$ MW: 365.95 EINECS: 248-100-5



cyclopentadiene | ethyl 2-phenylacrylate

ethyl 2-phenylbicyclo[2.2.1]-5-heptene-2-carboxylate (I)



Reference(s):
DE 1 044 809 (Knoll; appl. 16.6.1956).

Formulation(s): tabl. 4 mg (as hydrochloride)

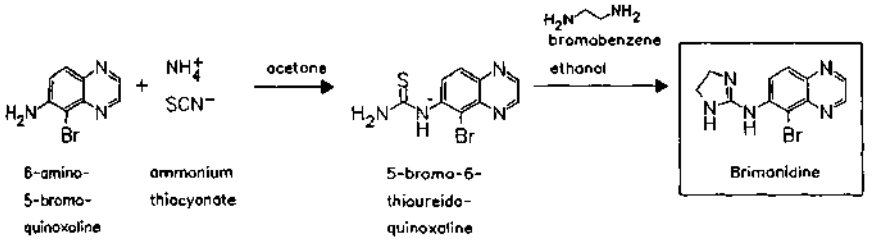
Trade Name(s):
D: Sormodren (Knoll) I: Sormodren (Ravizza)

Brimonidine
(UK-14304; UK-14304-08; AGN-190342LF (tartrate))

ATC: N07
Use: antihypertensive, α_2-receptor antagonist

RN: 59803-98-4 MF: $C_{11}H_{10}BrN_5$ MW: 292.14
LD_{50}: 160 mg/kg (M, p.o.)
CN: 5-bromo-*N*-(4,5-dihydro-*1H*-imidazol-2-yl)-6-quinoxalinamine

tartrate (1:1)
RN: 70359-46-5 MF: $C_{11}H_{10}BrN_5 \cdot C_4H_6O_6$ MW: 442.23



Reference(s):
DE 2 538 620 (Pfizer; appl. 29.8.1975; GB-prior. 6.9.1974).

use:
WO 9 510 280 (Allergan; appl. 19.9.1994; USA-prior. 13.10.1993).
WO 9 701 339 (Allergan; appl. 17.6.1996; USA-prior. 28.6.1995).
WO 9 635 424 (Allergan; appl. 5.9.1996; USA-prior. 12.5.1995).

combinations:
WO 9 613 267 (Allergan; appl. 20.10.1995; USA-prior. 27.10.1994).
US 5 215 991 (Allergan; appl. 20.12.1990; USA-prior. 26.1.1990).

suspension formulations for controlled delivery:
WO 9 211 871 (Allergan; appl. 17.12.1991; USA-prior. 27.12.1990).
WO 9 205 770 (Allergan; appl. 10.9.1991; USA-prior. 27.9.1990).

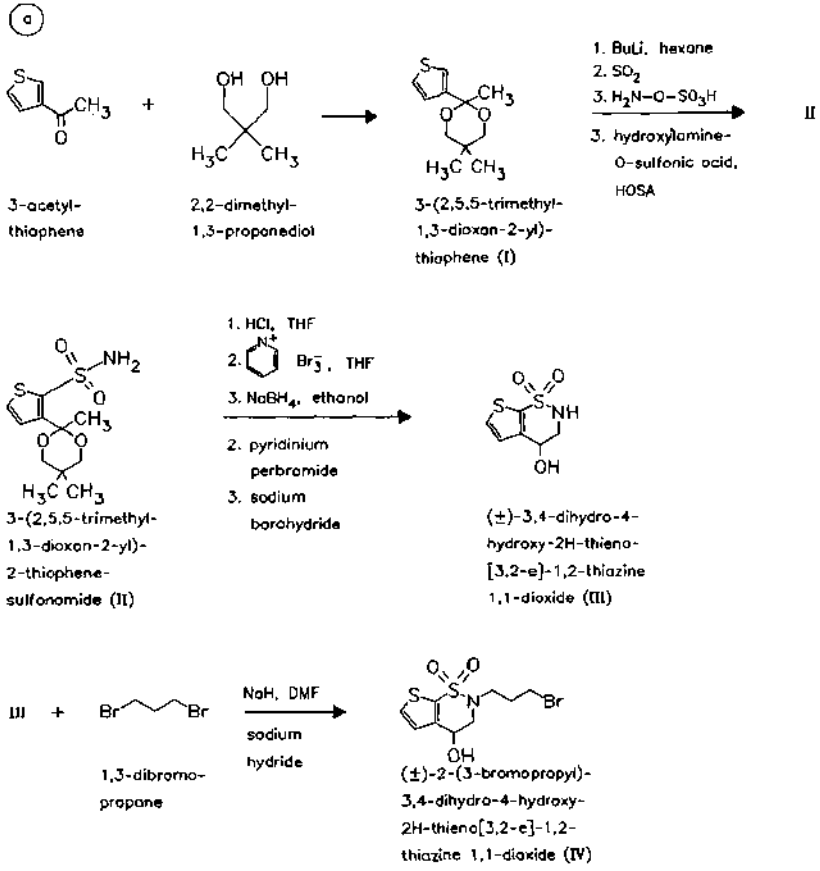
Formulation(s): eye drops 0.2 %

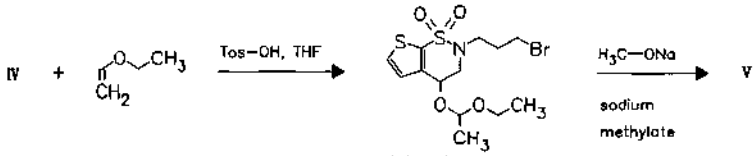
Trade Name(s):
GB: Alphagan (Allergan; as tartrate)
USA: Alphagan (Allergan; as tartrate)

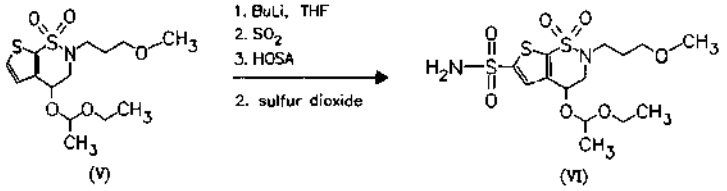
Brinzolamide
(AL-4862)

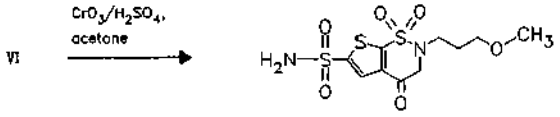
ATC: S01EC04
Use: antiglaucoma, topical carbonic anhydrase inhibitor

RN: 138890-62-7 MF: $C_{12}H_{21}N_3O_5S_3$ MW: 383.51
CN: (4*R*)-4-(Ethylamino)-3,4-dihydro-2-(3-methoxypropyl)-2*H*-thieno[3,2-*e*]-1,2-thiazine-6-sulfonamide 1,1-dioxide

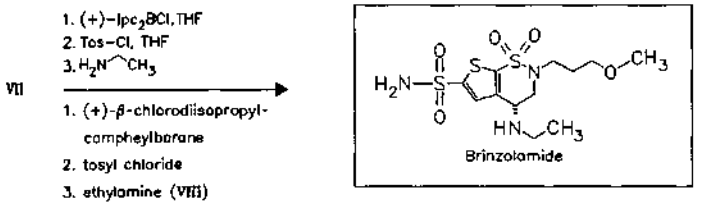




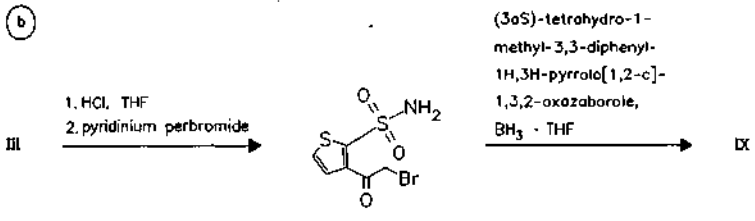


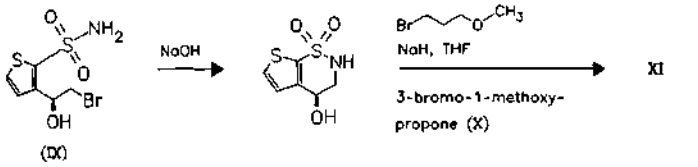


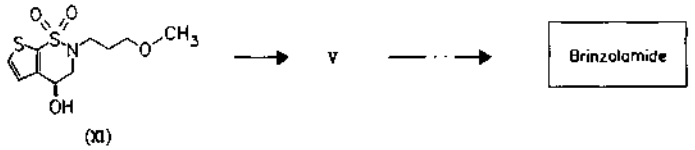
3,4-dihydro-2-(3-methoxypropyl)-4-oxo-2H-thieno[3,2-e]-1,2-thiazine-6-sulfonamide 1,1-dioxide (VII)



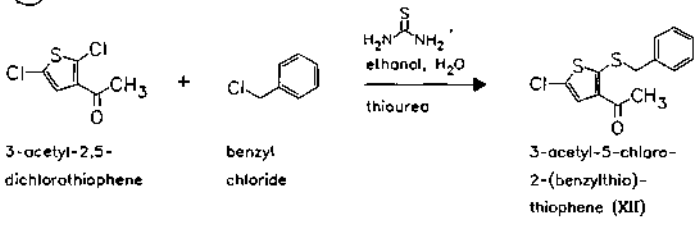
(b)



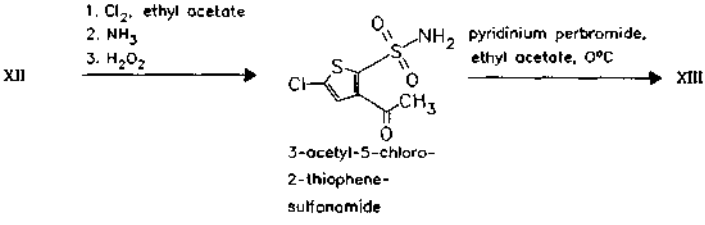




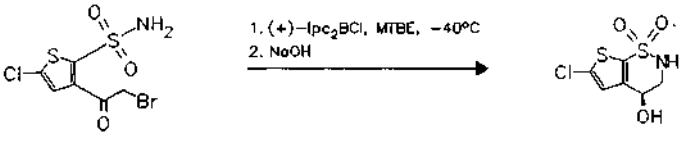
(c)



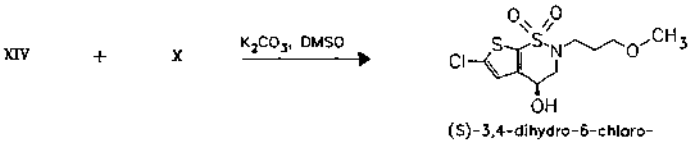
3-acetyl-2,5-dichlorothiophene + benzyl chloride → 3-acetyl-5-chloro-2-(benzylthio)-thiophene (XII)



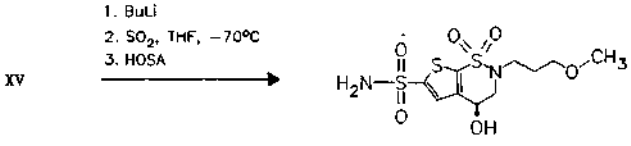
3-acetyl-5-chloro-2-thiophene-sulfonamide



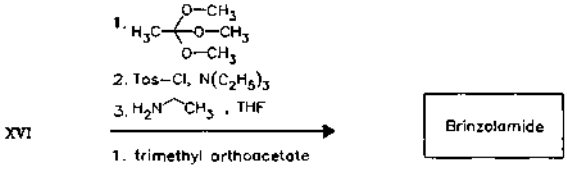
3-(bromoacetyl)-5-chloro-2-thiophenesulfonamide (XIII)



(S)-3,4-dihydro-6-chloro-4-hydroxy-2-(3-methoxypropyl)-2H-thieno[3,2-e]-1,2-thiazine 1,1-dioxide (XV)



(XVI)



Reference(s):

a US 5 378 703 (Alcon; 3.1.1995; USA-prior. 9.4.1990).
b US 5 470 973 (Alcon; 28.11.1995; USA-prior. 3.10.1994).
c Conrow, R.E. et al.: Org. Process Res. Dev. (OPRDFK) **3** 114-120 (1999).

ophthalmic compositions with prostaglandins:
WO 9 853 809 (Merck + Co.; 3.12.1998; appl. 26.5.1998; USA-prior. 30.5.1997).
WO 9 819 680 (Alcon; appl. 5.9.1997; USA-prior. 1.11.1996).

process for manufacturing ophthalmic suspensions:
WO 9 825 620 (Alcon; appl. 5.9.1997; USA-prior. 11.12.1996).

pharmaceutical compositions:
WO 9 702 825 (Alcon; appl. 12.7.1995).
WO 9 115 486 (Alcon; appl. 3.4.1991; USA-prior. 9.4.1990).

Formulation(s): ophth. susp. 1% in dispensers (2.5, 5, 10 and 15 ml)

Trade Name(s):
USA: Azopt (Alcon; 1998)

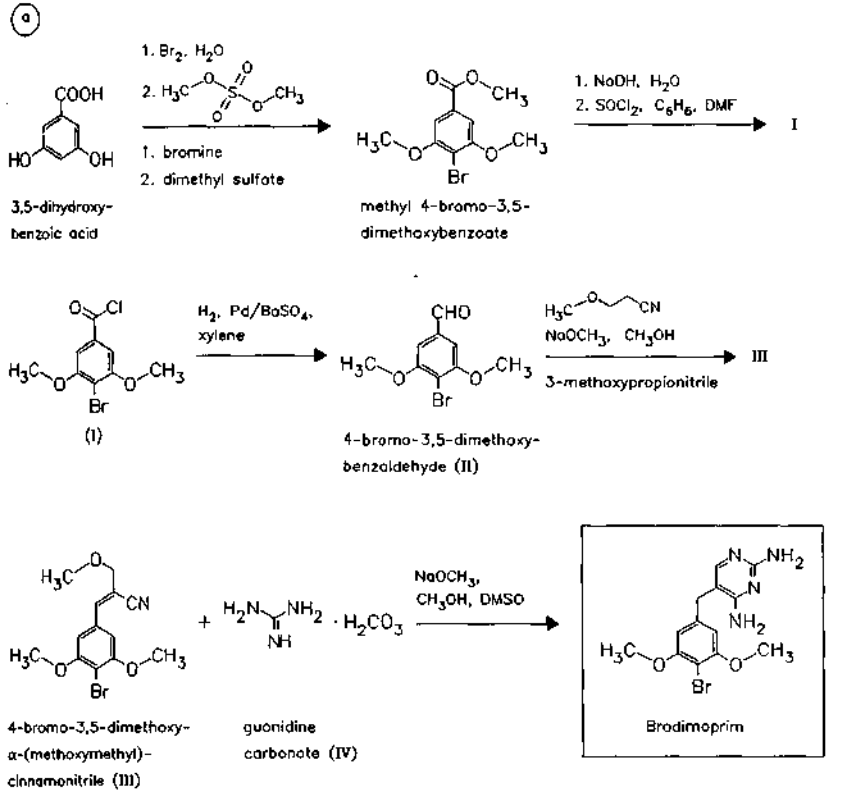
Brodimoprim

(Ro-10-5970)

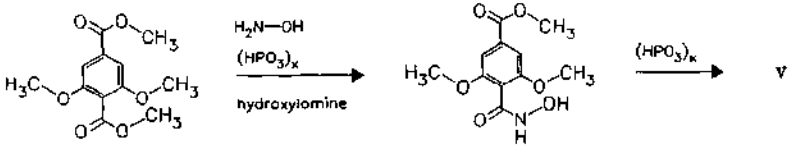
ATC: J01EA02
Use: antibacterial

RN: 56518-41-3 MF: $C_{13}H_{15}BrN_4O_2$ MW: 339.19 EINECS: 260-238-8
CN: 5-[(4-Bromo-3,5-dimethoxyphenyl)methyl]-2,4-pyrimidinediamine

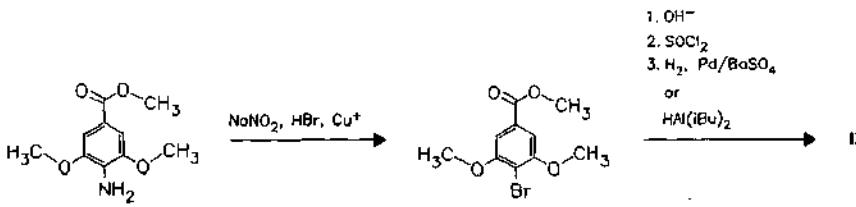
hydrochloride
RN: 56518-40-2 MF: $C_{13}H_{15}BrN_4O_2 \cdot HCl$ MW: 375.65



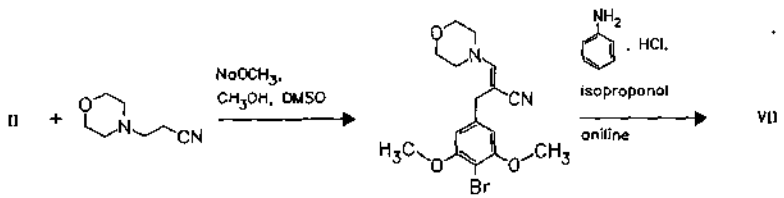
(b)



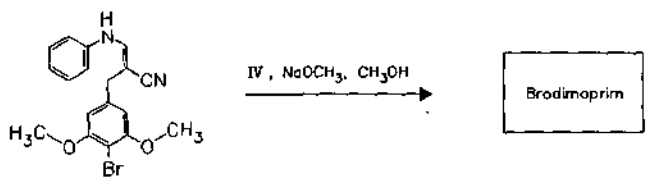
dimethyl 2,6-dimethoxy-terephthalate



methyl 4-amino-3,5-dimethoxybenzoate (V)



4-bromo-3,5-dimethoxy-α-(morpholinomethylene)-hydrocinnamonitrile



4-bromo-3,5-dimethoxy-α-(anilinomethylene)-hydrocinnamonitrile (VII)

Reference(s):

a CA 1 017 743 (Hoffmann-La Roche; CH-prior. 8.11.1973).
DE 2 452 889 (Hoffmann-La Roche; appl. 7.11.1974; CH-prior. 8.11.1973).
b Kompis, I., Wick. A.: Helv. Chim. Acta (HCACAV) **60** (8), 3025 (1977).

alternative preparation of 4-bromo-3,5-dimethoxybenzaldehyde:
Barfknecht, C.F.; Nichols, D.E.: J. Med. Chem. (JMCMAR) **14**, 370 (1971).

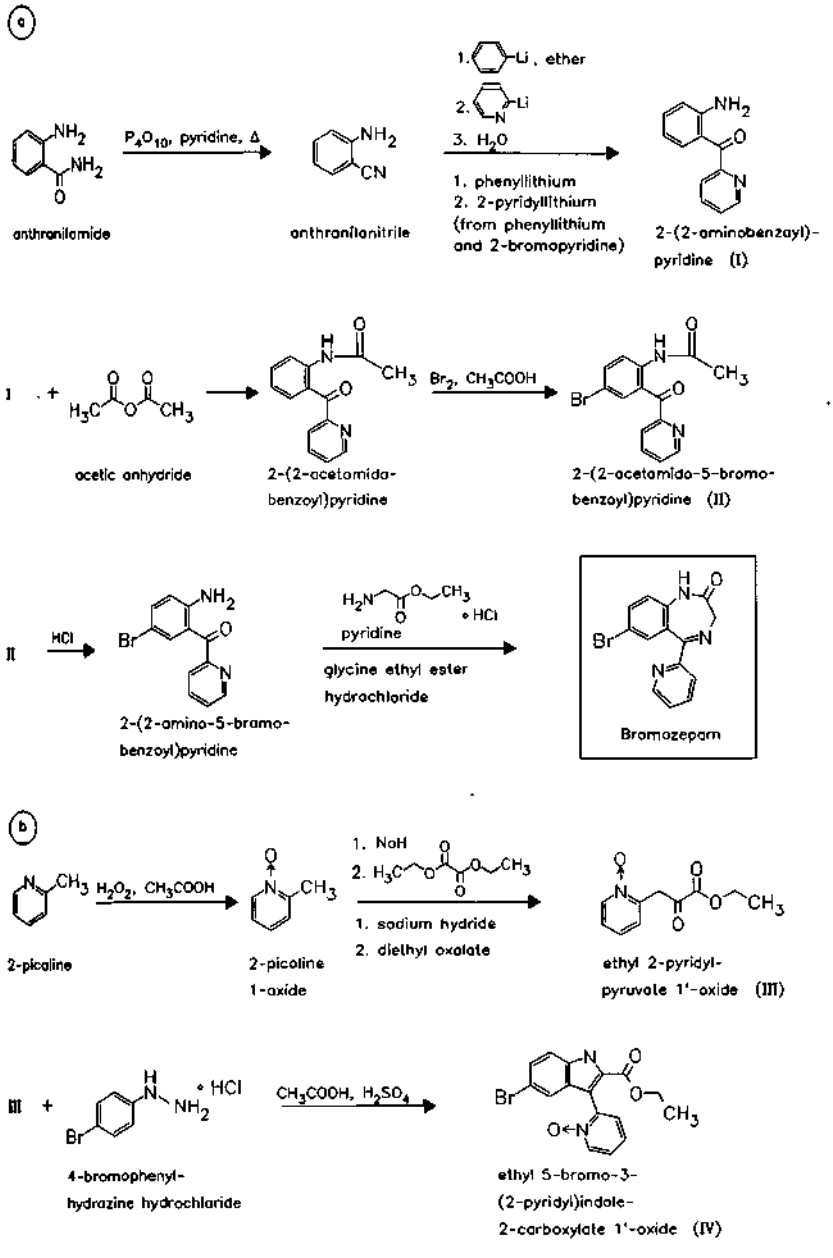
Formulation(s): gran. 200 mg; susp. 1%, 50 mg; tabl. 200 mg

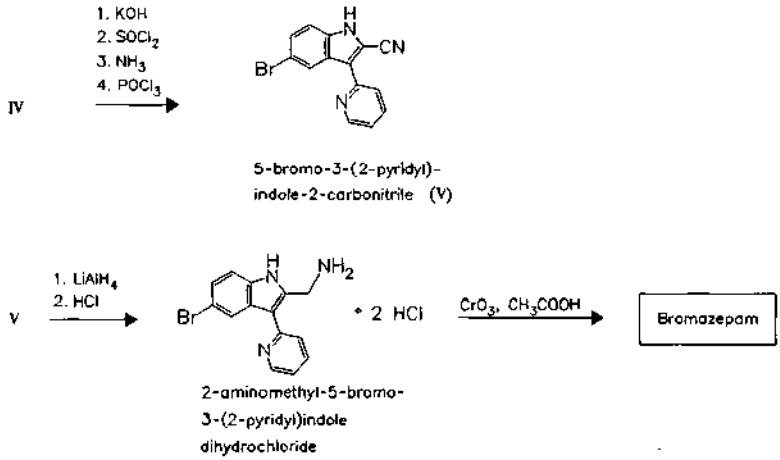
Trade Name(s):
I: Hyprim (Fisons) Unitrim (Fisons; 1993)

Bromazepam

ATC: N05BA08
Use: tranquilizer

RN: 1812-30-2 MF: $C_{14}H_{10}BrN_3O$ MW: 316.16 EINECS: 217-322-4
LD_{50}: 879 mg/kg (M, p.o.);
1950 mg/kg (R, p.o.)
CN: 7-bromo-1,3-dihydro-5-(2-pyridinyl)-2*H*-1,4-benzodiazepine-2-one





Reference(s):

a US 3 100 770 (Roche; 13.8.1963; appl. 11.8.1961).
US 3 182 065 (Roche; 4.5.1965; appl. 9.4.1964; prior. 19.4.1963).
US 3 182 066 (Roche; 4.5.1965; appl. 9.4.1964; prior. 19.4.1963).
US 3 182 067 (Roche; 4.5.1965; appl. 9.4.1964).
Fryer, R.I. et al.: J. Pharm. Sci. (JPMSAE) **53**, 264 (1964).
modified methods:
DAS 2 233 483 (Roche; appl. 7.7.1972; GB-prior. 8.7.1971, 7.10.1971).
DOS 2 252 378 (Roche; appl. 25.10.1972; CH-prior. 18.11.1971).
alternative synthesis of 2-(2-amino-5-bromobenzoyl)pyridine:
DAS 2 256 614 (Roche; appl. 17.11.1972).

b DAS 1 813 241 (Roche; appl. 6.12.1968; J-prior. 8.12.1967, 9.12.1967, 12.12.1967, 25.4.1968).

combination with **sulpiride**:
DAS 2 342 214 (Roche; appl. 21.8.1973; CH-prior. 21.9.1972).

Formulation(s): tabl. 3 mg, 6 mg

Trade Name(s):

D:	Bromazenil (Neuro Hexal)		neo OPT (Optimed)	I:	Compendium (Polifarma)
	Bromazepam (Heumann)		Normoc (Merckle)		Lexotan (Roche)
	Durazanil (durachemie)	F:	Anxyrex (Irex)	J:	Lexotan (Nippon Roche)
	Gityl (Krewel Meuselbach)		Lexomil Roche (Roche)	USA:	Lectopam (Roche); wfm
	Lexotanil (Roche)	GB:	Lexotan (Roche)		

Bromazine

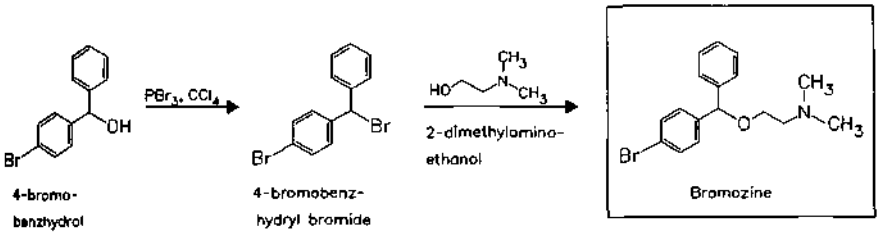
(Bromdiphenhydramine)

ATC: R06AA01
Use: antihistaminic

RN: 118-23-0 MF: $C_{17}H_{20}BrNO$ MW: 334.26 EINECS: 204-238-8
CN: 2-[(4-bromophenyl)phenylmethoxy]-*N*,*N*-dimethylethanamine

hydrochloride

RN: 1808-12-4 MF: $C_{17}H_{20}BrNO \cdot HCl$ MW: 370.72 EINECS: 217-310-9
LD_{50}: 63 mg/kg (M, i.v.); 366 mg/kg (M, p.o.);
55 mg/kg (R, i.v.); 602 mg/kg (R, p.o.);
21 mg/kg (dog, i.v.)



Reference(s):
GB 670 622 (Parke Davis; appl. 1948; CH-prior. 1947).

Formulation(s): cps. 25 mg

Trade Name(s):

D:	Ambodryl (Parke Davis); wfm	I:	Ambodryl (Parke Davis); wfm
F:	Ambodryl (Parke Davis); wfm	USA:	Ambodryl (Parke Davis); wfm

Bromelain
(Bromelin)

ATC: B06AA11; J01AA
Use: anti-inflammatory, antineoplastic

RN: 9001-00-7 MF: unspecified MW: unspecified EINECS: 232-572-4
LD_{50}: 30 mg/kg (M, i.v.); >10 g/kg (M, p.o.); >10 g/kg (R, p.o.)
CN: bromelain, juice

A concentrate of proteolytic enzymes derived from *Ananas comosus* Merr.
proteolytic enzyme (glycoprotein)
relative molecular mass ≡ 33000
By extraction from pineapple stems with water and precipitation with acetone or ammonium sulfate.

Reference(s):
Heinicke, R.M.: Science (Washington, D.C.) (SCIEAS) **118**, 753 (1953).
US 3 002 891 (Pineapple Research Inst. Hawai; 3.10.1961; appl. 12.12.1958).

purification:
US 2 950 227 (Schering AG; 1960; prior. 1956, 1959).

Formulation(s): drg. 4.5 mg, 8 mg, 20 mg, 40 mg, 45 mg, 90 mg; tabl. 500 F.I.P.-E.

Trade Name(s):

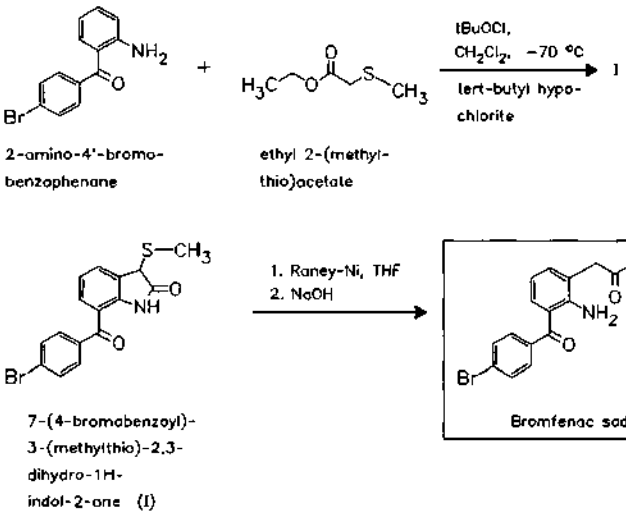
D:	Bromelain 200 (Ursapharm)		Phlogenzym (Mucos)		Tetranase (Rottapharm)-comb.
	Enzym-Wied (Wiedemann)-comb.		Proteozym (Wiedemann)		
	Floradix (Salushaus)-comb.	F:	Traumanase (Nattermann)	I:	Ananase (Rottapharm)
	Mulsal (Mucos)-comb.		Wobenzym (Mucos)-comb.	J:	Kimotab (Mochida)
			Extranase (Rottapharm)	USA:	Ananase (Rorer); wfm

Bromfenac sodium
(AHR-10282)

ATC: N02
Use: anti-inflammatory

RN: 91714-93-1 MF: $C_{15}H_{11}BrNNaO_3$ MW: 356.15
CN: 2-amino-3-(4-bromobenzoyl)benzeneacetic acid monosodium salt

sesquihydrate
RN: 120638-55-3 MF: $C_{15}H_{11}BrNNaO_3 \cdot 3/2H_2O$ MW: 766.35
free acid
RN: 91714-94-2 MF: $C_{15}H_{12}BrNO_3$ MW: 334.17



Reference(s):
US 4 126 635 (Robins Co.; appl. 15.4.1977; USA-prior. 17.5.1972, 25.4.1973).
US 4 568 695 (Robins Co.; USA-prior. 7.12.1983).
Welsh, D.A. et al.; J. Med. Chem. (JMCMAR) **27**, 1379-1388 (1984).

Formulation(s): cps. 25 mg (as sodium salt)

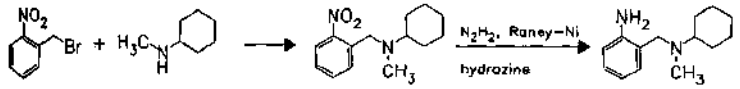
Trade Name(s):
USA: Duract (Wyeth-Ayerst)

Bromhexine
(Bromexina)

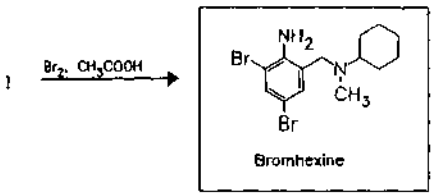
ATC: R05CB02
Use: expectorant

RN: 3572-43-8 MF: $C_{14}H_{20}Br_2N_2$ MW: 376.14 EINECS: 222-684-1
CN: 2-amino-3,5-dibromo-*N*-cyclohexyl-*N*-methylbenzenemethanamine

monohydrochloride
RN: 611-75-6 MF: $C_{14}H_{20}Br_2N_2 \cdot HCl$ MW: 412.60 EINECS: 210-280-8
LD_{50}: 44 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
6 g/kg (R, p.o.)



2-nitrobenzyl bromide | cyclohexyl-methylamine | (2-nitrobenzyl)-(cyclohexyl)-methylamine | N-(2-aminobenzyl)-N-cyclohexyl-methylamine (I)



Reference(s):
DE 1 169 939 (Thomae; appl. 20.11.1961).
US 3 336 308 (Boehringer Ing.; 15.8.1967; D-prior. 14.10.1963).
Keck, J.: Justus Liebigs Ann. Chem. (JLACBF) **662**, 171 (1963).
Engelhorn, R.; Püschmann, S.: Arzneim.-Forsch. (ARZNAD) **13**, 464 (1963).
Arch, F.: Arzneim.-Forsch. (ARZNAD) **13**, 480 (1963).

alternative syntheses:
DAS 2 311 637 (Thomae; appl. 9.3.1973).
DAS 2 365 624 (Thomae; appl. 27.3.1973; J-prior. 30.3.1972, 4.7.1972).
DAS 2 315 310 (Thomae; appl. 27.3.1973; J-prior. 30.3.1972, 4.7.1972).
DAS 2 443 712 (Thomae; appl. 12.9.1974).
DOS 2 633 518 (Egyt; appl. 26.7.1976; H-prior. 28.10.1975).
DOS 2 412 119 (Huhtamäki; appl. 13.3.1974; SF-prior. 15.3.1973, 2.7.1973, 9.1.1974, 8.2.1974).

use as mucous membrane local anesthetic:
DOS 2 729 786 (Thomae; appl. 1.7.1977).

Formulation(s): amp. 8 mg/4 ml; drg. 8 mg, 12 mg; drops 8 mg/4 ml; syrup 4 mg (as hydrochloride); tabl. 4 mg, 8 mg, 10 mg, 20 mg

Trade Name(s):

D: Aparsonin (Merckle)
Berotec solvens (Boehringer Ing.)-comb.
Bisolvomycin (Boehringer Ing.)-comb.
Bisolvon (Boehringer Ing.)
Bisolvonat (Boehringer Ing.)-comb.
Customed (Chefaro)
Lubrirhin (Alcon)
Omniapharm (Merckle)
Synergomycin (Abbott)

F: Bisolvon (Boehringer Ing.)

GB: Alupent (Boehringer Ing.)-comb.

I: Bertabronc (Berta-Mi)-comb.
Bisolvon (Boehringer Ing.)
Broncokin (Geymonat)
Tauglicolo (SIT)-comb.
combination preparations

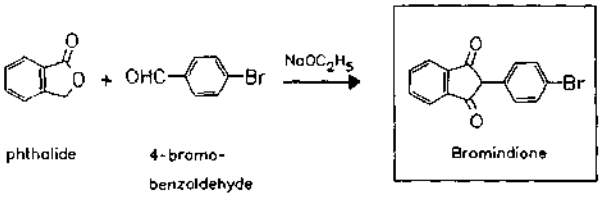
J: Bisolvon (Tanabe; as hydrochloride)

Bromindione

(Bromophenindione; Brophenadione)

ATC: M04
Use: anticoagulant

RN: 1146-98-1 MF: $C_{15}H_9BrO_2$ MW: 301.14
LD_{50}: 200 mg/kg (M, p.o.)
CN: 2-(4-bromophenyl)-1*H*-indene-1,3(2*H*)-dione



Reference(s):
US 2 847 474 (USV; 1958; appl. 1954).
cf. also anisindione

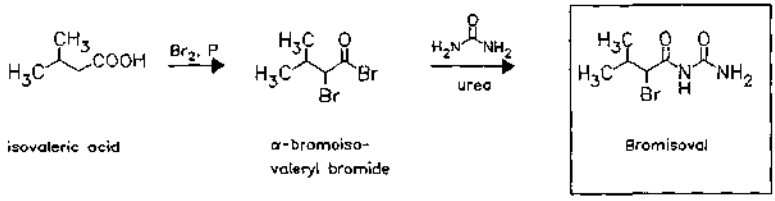
Formulation(s): amp. 8 mg/4 ml

Trade Name(s):
F: Fluidane (Metadier-Tours); wfm

Bromisoval

ATC: N05CM03
Use: sedative, slightly hypnotic

RN: 496-67-3 MF: $C_6H_{11}BrN_2O_2$ MW: 223.07 EINECS: 207-825-7
LD_{50}: 2 g/kg (M, p.o.); 1 g/kg (R, p.o.)
CN: *N*-(aminocarbonyl)-2-bromo-3-methylbutanamide



Reference(s):
DRP 185 962 (Knoll; 1906).

Formulation(s): drg. 20 mg, 50 mg

Trade Name(s):
D: Brom-Nervacit (Herbert)-comb.; wfm
Bromural (Knoll)-comb.; wfm
Diffucord, -N (Dolorgiet)-comb.; wfm
Rebuso Tabletten (Ravensberg)-comb.; wfm
Sekundal (Woelm)-comb.; wfm
Steno-Valocordin (Promonta)-comb.; wfm
Tempidorm N (Roland)-comb.; wfm
Valocordin (Promonta)-comb.; wfm
Ventrivert Tabletten (Dolorgiet)-comb.; wfm
F: Beneural (Chantereau); wfm
J: Brovarin (Nippon Shinyaku)
USA: Bromural (Knoll); wfm

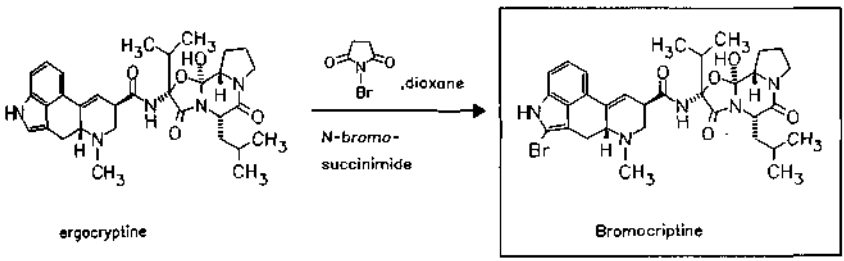
Bromocriptine

(2-Bromoergocryptine)

ATC: G02CB01; N04BC01
Use: prolactin inhibitor

RN: 25614-03-3 MF: $C_{32}H_{40}BrN_5O_5$ MW: 654.61 EINECS: 247-128-5
LD_{50}: >800 mg/kg (M, p.o.);
72 mg/kg (R, i.v.)
CN: (5'α)-2-bromo-12'-hydroxy-2'-(1-methylethyl)-5'-(2-methylpropyl)ergotaman-3',6',18-trione

monomesylate
RN: 22260-51-1 MF: $C_{32}H_{40}BrN_5O_5 \cdot CH_4O_3S$ MW: 750.71 EINECS: 244-881-1
LD_{50}: 189 mg/kg (M, i.v.); 2502 mg/kg (M, p.o.);
10.5 mg/kg (R, i.v.); >2 g/kg (R, p.o.)



Reference(s):
US 3 752 814 (Sandoz; 14.8.1973; CH-prior. 31.5.1968).
DAS 1 926 045 (Sandoz; appl. 22.5.1969; CH-prior. 31.5.1968).

medical use:
US 3 752 888 (Sandoz; 14.8.1973; CH-prior. 31.5.1968).

nasal formulation:
DOS 2 802 113 (Sandoz; appl. 19.1.1978).

Formulation(s): cps. 5 mg, 10 mg; tabl. 2.5 mg (as mesylate)

Trade Name(s):

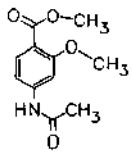
D:	Kirim (Hormosan)		Parlodel (Novartis; 1978)	J:	Parlodel (Novartis; 1979)
	Pravidel (Novartis Pharma; 1977)	GB:	Parlodel (Novartis; 1976)	USA:	Parlodel (Novartis; 1976)
		I:	Parlodel (Sandoz; 1979)		
F:	Bromo-Kin (Irex)		Serocryptin (Serono)		

Bromopride

ATC: A03FA04
Use: anti-emetic, gastric therapeutic

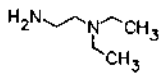
RN: 4093-35-0 MF: $C_{14}H_{22}BrN_3O_2$ MW: 344.25 EINECS: 223-842-2
LD_{50}: 310 mg/kg (M, p.o.);
545 mg/kg (R, p.o.)
CN: 4-amino-5-bromo-*N*-[2-(diethylamino)ethyl]-2-methoxybenzamide

a



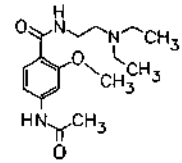
methyl 4-acetamido-2-methoxybenzoate (I)

+



N,N-diethylethylenediamine (II)

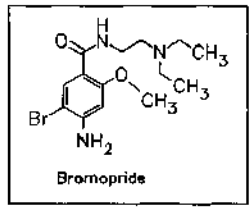
$Al[OCH(CH_3)_2]_3$



4-acetamido-N-(2-diethylaminoethyl)-2-methoxybenzamide (III)

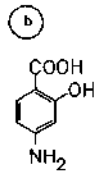
III

1. Br_2, CH_3COOH
2. HCl



Bromopride

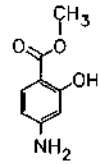
b



4-amino-salicylic acid

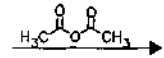
+ H_3C-OH

H^+

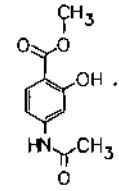


methanol

methyl 4-amino-salicylate

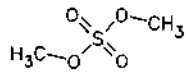


acetic anhydride



methyl 4-acetamido-salicylate (IV)

IV +

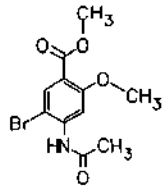


dimethyl sulfate

I

I

Br_2, CH_3COOH



methyl 4-acetamido-5-broma-2-methoxybenzoate

1. II, $Al[OCH(CH_3)_2]_3$
2. HCl

Bromopride

Reference(s):

US 3 177 252 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; 6.4. 1965; F-prior. 25.7.1961).
US 3 219 528 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; 23.11.1965; F-prior. 5.8.1960, 4.11.1960, 25.7.1961).
US 3 357 978 (Soc. d'Etudes Scientifiques et Industrielles de 1'Ile-de-France; 12.12.1967; F-prior. 5.3.1963).
DE 1 233 877 (Soc. d'Etudes Scientifiques et Industrielles de 1'Ile-de-France; appl. 14.7.1962; F-prior. 25.7.1961).

alternative synthesis:
DAS 2 102 848 (Delmar; appl. 21.1.1971; USA-prior. 21.1.1970).
DAS 2 119 724 (Teikoku Hormone Mfg.; appl. 22.4.1971; J-prior. 24.4.1970).
DAS 2 162 917 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; appl. 17.12.1971; J-prior. 21.12.1970).
DAS 2 166 118 (Teikoku Hormone Mfg.; appl. 22.4.1971; J-prior. 24.4.1970).
DOS 2 435 222 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; appl. 22.7.1974; J-prior. 24.7.1973).

Formulation(s): amp. 10 mg; cps. 10 mg; drops 13.3 mg

Trade Name(s):

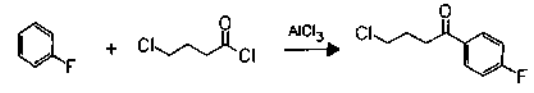
D:	Cascapride (Merck)	I:	Opridan (Locatelli; as dihydrochloride monohydrate)		Valopride (Synthelabo)

Bromperidol

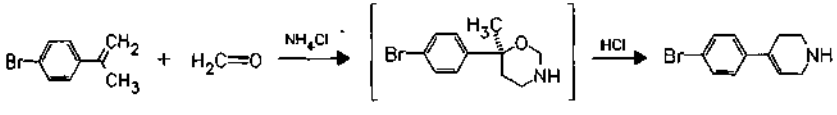
ATC: N05AD06
Use: antipsychotic, neuroleptic

RN: 10457-90-6 MF: $C_{21}H_{23}BrFNO_2$ MW: 420.32 EINECS: 233-943-3
LD_{50}: 18.9 mg/kg (M, i.v.); 174 mg/kg (M, p.o.);
10 mg/kg (R, i.v.); 359 mg/kg (R, p.o.)
CN: 4-[4-(4-bromophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1-butanone

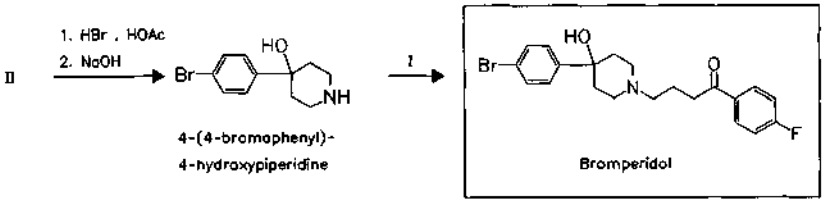
monohydrochloride
RN: 59453-24-6 MF: $C_{21}H_{23}BrFNO_2 \cdot HCl$ MW: 456.78
LD_{50}: 18.9 mg/kg (R, i.v.); 174 mg/kg (R, p.o.)



fluorobenzene — 4-chlorobutyryl chloride — 4-chloro-4'-fluorobutyrophenone (I) (cf. haloperidol)



4-bromo-α-methylstyrene — formaldehyde — 4-(4-bromophenyl)-1,2,3,6-tetrahydropyridine (II)



4-(4-bromophenyl)-4-hydroxypiperidine

Reference(s):
US 3 438 991 (Janssen; appl. 15.4.1969; GB-prior. 18.11.1959).
DE 1 289 845 (Janssen; appl. 18.4.1959; GB-prior. 22.4.1958).
Niemegeers, C.J.E.; Janssen, P.A.J.: Arzneim.-Forsch. (ARZNAD) **24**, 45 (1974).

Formulation(s): amp. 5 mg/ml; drops 2 mg/ml; tabl. 1 mg, 5 mg, 10 mg

Trade Name(s):

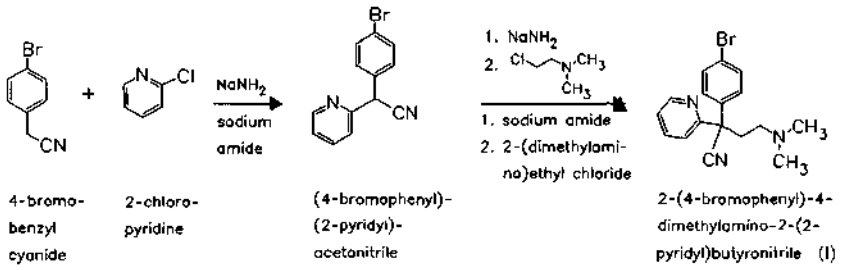
D:	Impromen (Janssen; 1983) Tesoprel (Organon; 1984)	I:	Impromen (Formenti; 1989)	J:	Impromen (Yoshitomi; 1986)

Brompheniramine

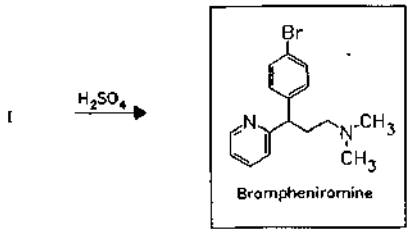
ATC: R06AB01
Use: antihistaminic

RN: 86-22-6 MF: $C_{16}H_{19}BrN_2$ MW: 319.25 EINECS: 201-657-8
CN: γ-(4-bromophenyl)-*N*,*N*-dimethyl-2-pyridinepropanamine

maleate (1:1)
RN: 980-71-2 MF: $C_{16}H_{19}BrN_2 \cdot C_4H_4O_4$ MW: 435.32 EINECS: 213-562-9
LD_{50}: 318 mg/kg (R, p.o.)



4-bromobenzyl cyanide | 2-chloropyridine | (4-bromophenyl)-(2-pyridyl)-acetonitrile | 2-(4-bromophenyl)-4-dimethylamino-2-(2-pyridyl)butyronitrile (I)



Reference(s):
US 2 567 245 (Schering Corp.; 1951; prior. 1948).
US 2 676 964 (Schering Corp.; 1954; prior. 1950).

Formulation(s): elixir 4 mg, 2 mg/5 ml; cps. 12 mg

Trade Name(s):

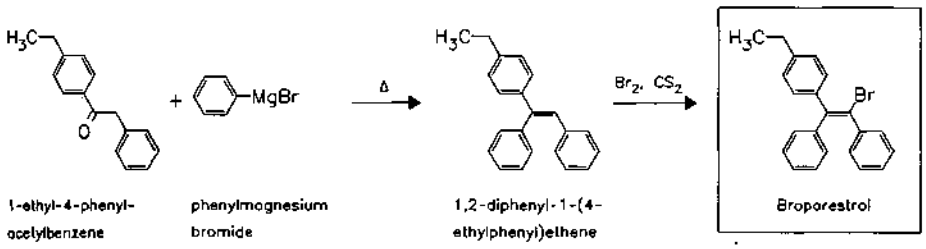
D:	Dimegan (Kreussler)		Rupton Chronules (Dexo)-comb.	USA:	Bromfed (Muro; as maleate)
F:	Chronotrophir (Sanofi Winthrop)	GB:	Dimotane (Wyeth)		Dallergy (Laser; as maleate)
	Dimégan (Dexo)		Dimotane Plus (Wyeth)-comb.		Dimetane (Robins)
	Dimetane Expectorant (Whitehall)	I:	Ilvin (Bracco; as maleate)		Ladrame (ECR; as maleate)
	Martigène (CIBA Vision Ophthalmics)-comb.	J:	Bromrun (Hokuriku)		Poly-Histine (Sanofi; as maleate)

Respahist (Respa; as maleate)
Rondec (Dura; as maleate)
Ultrabrom (We; as maleate)

Broparestrol

ATC: G03
Use: estrogen (synthetic)

RN: 479-68-5 MF: $C_{22}H_{19}Br$ MW: 363.30 EINECS: 207-537-1
CN: 1-(2-bromo-1,2-diphenylethenyl)-4-ethylbenzene



1-ethyl-4-phenylacetylbenzene
phenylmagnesium bromide
1,2-diphenyl-1-(4-ethylphenyl)ethene
Broparestrol

Reference(s):
Dvolaitzky, M.; Jacques, J.: Bull. Soc. Chim. Biol. (BSCIA3) **40**, 939 (1958).

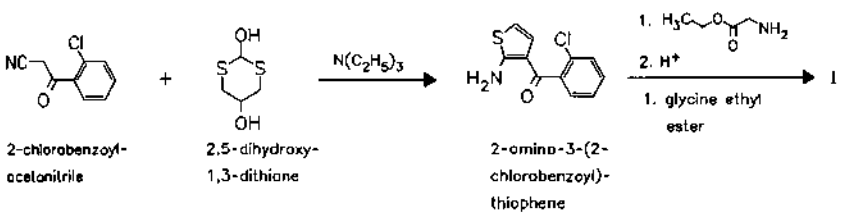
Formulation(s): cream 10 %; emulsion 5 %

Trade Name(s):
F: Acnestrol (Devimy)-comb.; wfm
Longestrol (Laroche Navarron); wfm
I: Acnestrol (Scharper); wfm

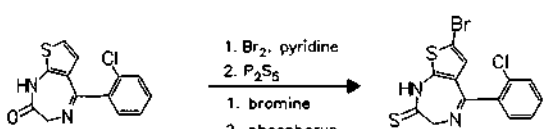
Brotizolam

ATC: N05CD09
Use: tranquilizer, hypnotic

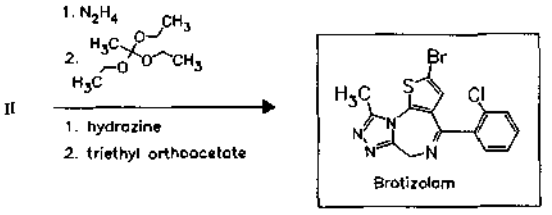
RN: 57801-81-7 MF: $C_{15}H_{10}BrClN_4S$ MW: 393.70 EINECS: 260-964-5
LD_{50}: 920 mg/kg (M, i.p.); >10000 mg/kg (M, p.o.);
1000 mg/kg (R, i.p.); >10000 mg/kg (R, p.o.)
CN: 2-bromo-4-(2-chlorophenyl)-9-methyl-6*H*-thieno[3,2-*f*][1,2,4]triazolo[4,3-*a*][1,4]diazepine



2-chlorobenzoylacetonitrile
2,5-dihydroxy-1,3-dithiane
2-amino-3-(2-chlorobenzoyl)-thiophene



5-(2-chlorophenyl)-1,3-dihydro-2H-thieno-[2,3-e]-1,4-diazepin-2-one (I)
7-bromo-5-(2-chlorophenyl)-1,3-dihydro-2H-thieno-[2,3-e]-1,4-diazepin-2-thione (II)



Reference(s):
DOS 2 410 030 (Boehringer Ing.; appl. 2.3.1974).
Weber, K.H. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 518 (1986).

alternative synthesis:
DOS 2 503 235 (Boehringer Ing.; appl. 27.1.1975).
DOS 2 533 924 (Boehringer Ing.; appl. 30.7.1975).

synthesis of 5-(2-chlorophenyl)-1,3-dihydro-2*H*-thieno[2,3-*e*]-1,4-diazepin-2-one:
DOS 2 221 623 (Hoffmann-La Roche; appl. 3.5.1972; CH-prior. 14.5.1971).
Gewald, K.: Chem. Ber. (CHBEAM) **98**; 3571 (1965).

Formulation(s): tabl. 0.25 mg

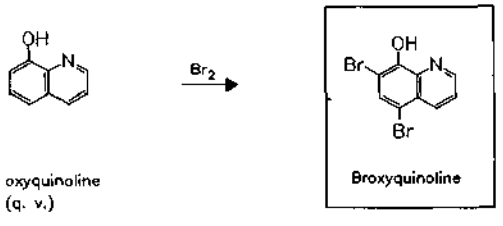
Trade Name(s):

D:	Lendormin (Boehringer Ing.)	I:	Lendormin (Boehringer Ing.)	J:	Lendormin (Nippon Boehringer)

Broxyquinoline

ATC: A07AX01; G01AC06; P01AA01
Use: intestinal antiseptic

RN: 521-74-4 MF: $C_9H_5Br_2NO$ MW: 302.95 EINECS: 208-317-8
LD_{50}: 7420 mg/kg (M, p.o.)
CN: 5,6-dibromo-8-quinolinol



Reference(s):
Bedall, K; Fischer, O. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **14**, 1367 (1881).
Zinnei; Fiedler: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **291**, 493 (1958).
DOS 2 515 476 (Chem. Fabrik Kalk; appl. 9.4.1975).

Formulation(s): ointment 1.5 %

Trade Name(s):

D:	Dysentrocym (Sanol)-comb.; wfm Fenilor Lutschtabletten (UCB); wfm		Intestopan (Sandoz)-comb.; wfm Sandoin/-C (Sandoz)-comb.; wfm	F:	Colipar (Ucépha); wfm Entercine (Robapharm); wfm

Intestopan (Sandoz)-comb.; wfm

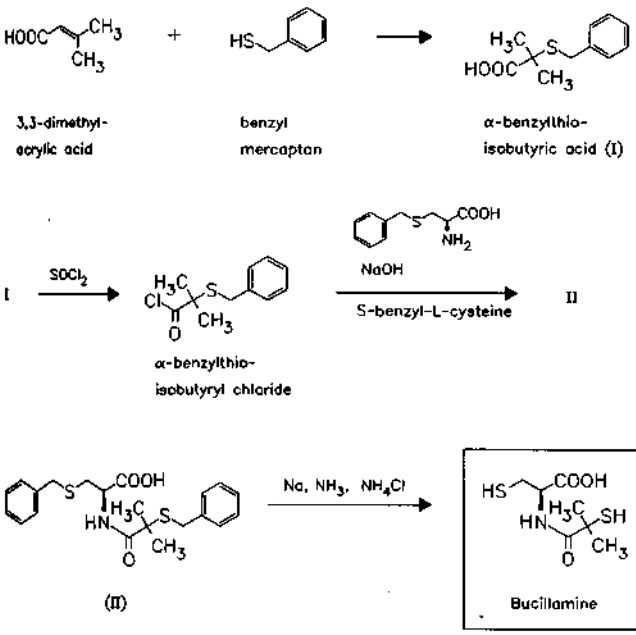
Norquinol (Norgan)-comb.; wfm

Bucillamine

(DE-019; SA-96; Tiobutarit)

ATC: M01CC02
Use: immunomodulator, treatment of rheumatoid arthritis

RN: 65002-17-7 MF: $C_7H_{13}NO_3S_2$ MW: 223.32
LD_{50}: 2285 mg/kg (M, i.p.); 989 mg/kg (M, i.v.)
CN: *N*-(2-mercapto-2-methyl-1-oxopropyl)-L-cysteine



Reference(s):
US 4 137 420 (Santen; 30.1.1979; J-prior. 8.3.1976).
DE 2 709 820 (Santen; appl. 7.3.1977; J-prior. 8.3.1976).

medical use as mucolytic:
US 4 305 958 (Santen; 15.12.1981; J-prior. 8.3.1976).

Formulation(s): tabl. 100 mg (sugar coated)

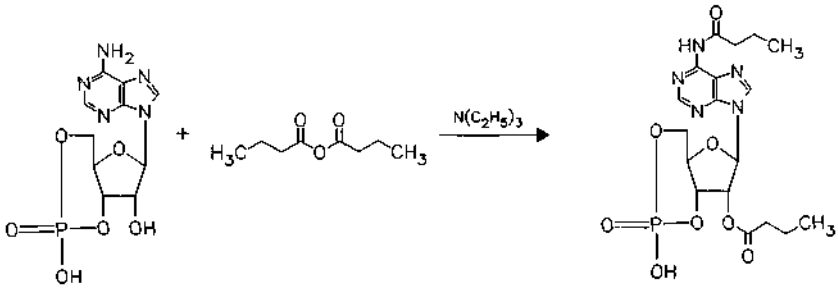
Trade Name(s):
J: Rimatil (Santen)

Bucladesine sodium

ATC: C01CE04
Use: cardiotonic, phosphodiesterase inhibitor, positive inotropic acting drug

RN: 16980-89-5 MF: $C_{18}H_{23}N_5NaO_8P$ MW: 491.37 EINECS: 241-059-4
LD_{50}: 543 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
448 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: *N*-(1-oxybutyl)adenosine cyclic 3',5'-(hydrogen phosphate) 2'-butanoate monosodium salt

bucladesine
RN: 362-74-3 MF: $C_{18}H_{24}N_5O_8P$ MW: 469.39 EINECS: 206-649-8

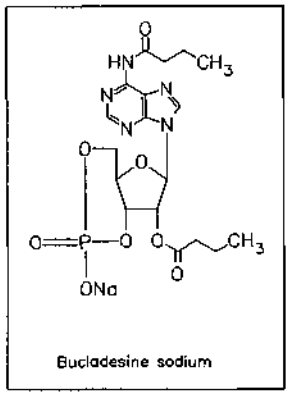


adenosine cyclic 3',5'-(hydrogen phosphate)

butyric anhydride

bucladesine (I)

I $\xrightarrow{Na^+ \text{ (amberlite)}}$



Bucladesine sodium

Reference(s):
JP 5 195 096 (Daiichi Seiyaku; appl. 14.2.1975).
JP 51 113 896 (Daiichi Seiyaku; appl. 31.3.1975).
JP 5 239 699 (Daiichi Seiyaku; appl. 26.9.1975).

Formulation(s): amp. 0.05 mg, 0.2 mg; tabl. 200 mg, 400 mg

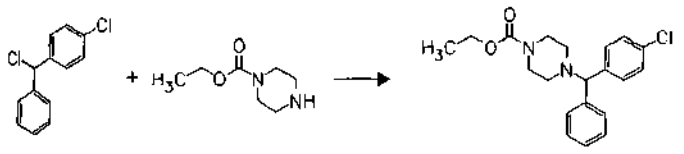
Trade Name(s):
J: Actocin (Daiichi)

Buclizine
(Histabutizine)

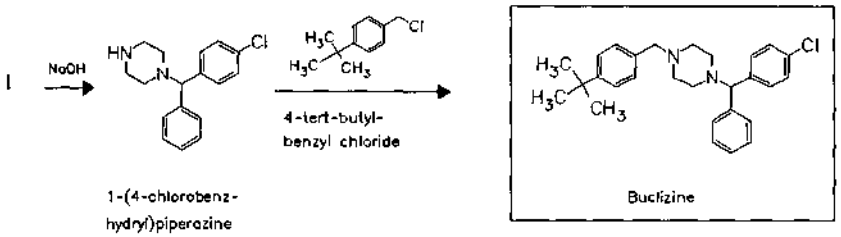
ATC: R06AE01
Use: antiallergic, antihistaminic

RN: 82-95-1 MF: $C_{28}H_{33}ClN_2$ MW: 433.04 EINECS: 201-448-1
CN: 1-[(4-chlorophenyl)phenylmethyl]-4-[[4-(1,1-dimethylethyl)phenyl]methyl]piperazine

dihydrochloride
RN: 129-74-8 MF: $C_{28}H_{33}ClN_2 \cdot 2HCl$ MW: 505.96 EINECS: 204-962-4
LD_{50}: 2100 mg/kg (M, p.o.)



4-chlorobenzhydryl chloride | ethyl piperazine-N-carboxylate | ethyl 4-(4-chlorobenzhydryl)piperazine-1-carboxylate (I)



I | 1-(4-chlorobenzhydryl)piperazine | 4-tert-butylbenzyl chloride | Buclizine

Reference(s):
DE 964 048 (H. Morren; appl. 1952; B-prior. 1951).

Formulation(s): tabl. 25 mg

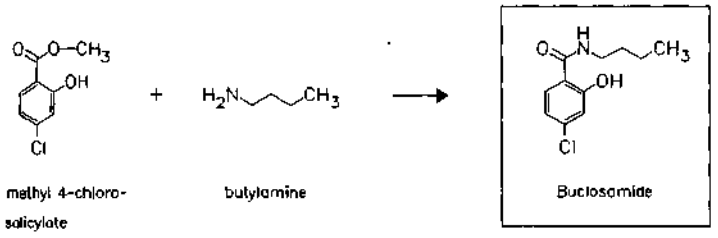
Trade Name(s):

D:	Migralave (Temmler)-comb. with paracetamol	F:	Aphilan (Darcy)	GB:	Migraleve (Pfizer Consumer)-comb.

Buclosamide

ATC: D01AE12
Use: fungicide

RN: 575-74-6 MF: $C_{11}H_{14}ClNO_2$ MW: 227.69 EINECS: 209-390-9
CN: *N*-butyl-4-chloro-2-hydroxybenzamide



methyl 4-chlorosalicylate | butylamine | Buclosamide

Reference(s):
US 2 923 737 (Hoechst; 2.2.1960; D-prior. 26.1.1956).

Formulation(s): ointment 10 g/100 g; sol. 10 g/100 ml

Trade Name(s):

D:	Jadit (Hoechst)-comb.; wfm		Jadit-Hydrocortisone (Hoechst)-comb.; wfm	I:	Jadit (Hoechst)-comb.; wfm
F:	Jadit (Hoechst); wfm				

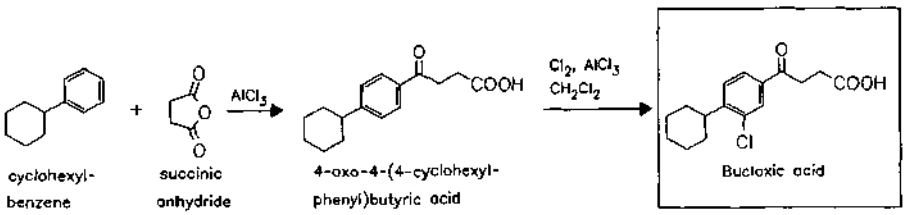
Bucloxic acid

(Acide bucloxique)

ATC: N02
Use: anti-inflammatory

RN: 32808-51-8 MF: $C_{16}H_{19}ClO_3$ MW: 294.78 EINECS: 251-231-0
LD_{50}: 852 mg/kg (M, p.o.);
120 mg/kg (R, p.o.)
CN: 3-chloro-4-cyclohexyl-γ-oxobenzenebutanoic acid

calcium salt
RN: 32808-53-0 MF: $C_{32}H_{36}CaCl_2O_6$ MW: 627.62 EINECS: 251-232-6
LD_{50}: 1700 mg/kg (M, p.o.);
175 mg/kg (R, p.o.)



Reference(s):
DE 2 021 445 (Clin-Byla; appl. 2.5.1970; F-prior. 12.5.1969).
GB 1 315 542 (Clin-Byla; appl. 7.5.1970; F-prior. 12.5.1969).

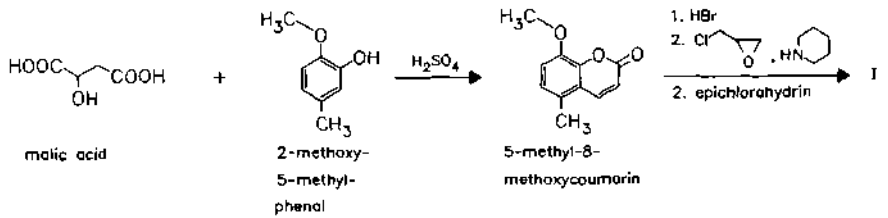
Trade Name(s):
F: Esfar (Midy); wfm

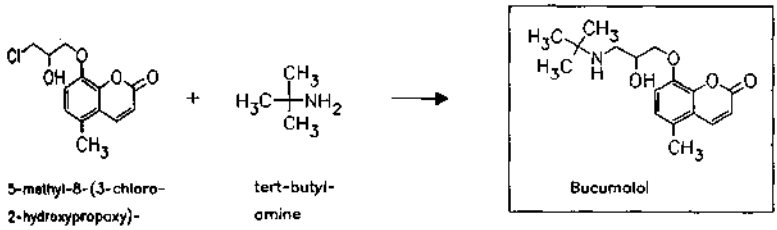
Bucumolol

ATC: C07A
Use: β-adrenoceptor blocker

RN: 58409-59-9 MF: $C_{17}H_{23}NO_4$ MW: 305.37
LD_{50}: 31 mg/kg (M, i.v.); 680 mg/kg (M, p.o.)
CN: 8-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-5-methyl-2*H*-1-benzopyran-2-one

hydrochloride
RN: 30073-40-6 MF: $C_{17}H_{23}NO_4 \cdot HCl$ MW: 341.84





Reference(s):
DOS 2 021 958 (Sankyo; appl. 27.4.1970; J-prior. 28.4.1969).
US 3 663 570 (Sankyo; 16.5.1972; J-prior. 28.4.1969; 27.10.1969).
Sato, Y. et al.: Chem. Pharm. Bull. (CPBTAL) **20**, 905 (1972).

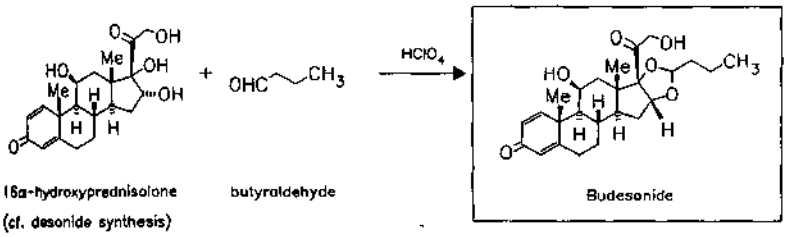
Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):
J: Bucumarol (Sankyo)

Budesonide

ATC: A07EA06; D07AC09; H02AB16; R01AD05; R03AB; R03BA02
Use: topical glucocorticoid, antiasthmatic

RN: 51333-22-3 MF: $C_{25}H_{34}O_6$ MW: 430.54 EINECS: 257-139-7
LD_{50}: 124 mg/kg (M, i.v.); 4750 mg/kg (M, p.o.); 98.9 mg/kg (R, i.v.); >3200 mg/kg (R, p.o.)
CN: (11β,16α)-16,17-[butylidenebis(oxy)]-11,21-dihydroxypregna-1,4-diene-3,20-dione



Reference(s):
US 3 929 768 (Bofors; 30.12.1975; appl. 14.5.1973; S-prior. 19.5.1972).
DOS 2 323 215 (Bofors; appl. 19.5.1973; S-prior. 19.5.1972).
US 3 983 233 (Bofors; prior. 14.5.1973).
US 4 835 145 (Sicor; 30.5.1989; I-prior. 11.6.1984, 2.1.1987).

separation of diastereomers:
DOS 2 323 216 (Bofors; appl. 19.5.1973; S-prior. 19.5.1972).

Formulation(s): aerosol 0,2 mg/puff; cream 0.025 %; nasal aerosol 0.05 mg/puff; ointment 0.025 mg; pumpspray 0.05 mg/puff; susp. 0.5 mg/2 ml, 1 mg/2 ml

Trade Name(s):
D: Benosid (Farmasan)
Bronchocux (TAD)
Budecort (Klinge)
Budegat (Fatol)
Entocort (Astra)
Pulmicort (Astra/pharma-stern; 1983)
Respicort (Mundipharma)
F: Pulmicort (Astra)
GB: Entocort CR (Astra)
Pulmicort (Astra; 1983)

	Rhinocort Aqua (Astra; 1984)		Prefenid lipocrema (Brocades)	USA:	Pulmicort (Astra) Rhinocort (Astra)
I:	Bidien (IDI)	J:	Budeson (Fujisawa)		

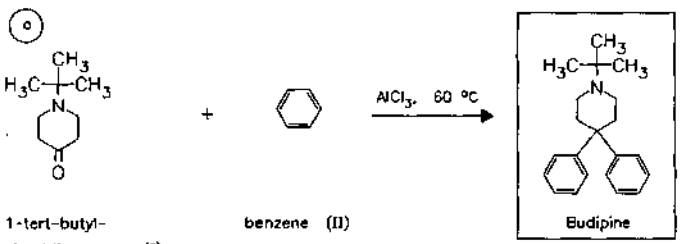
Budipine

(BY-701)

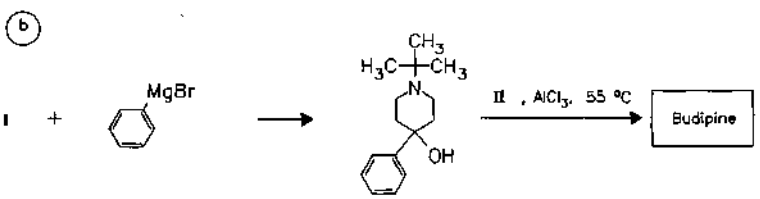
ATC: N04AA
Use: antiparkinsonian

RN: 57982-78-2 MF: $C_{21}H_{27}N$ MW: 293.45 EINECS: 261-062-4
LD_{50}: 33 mg/kg (M, i.v.); 120 mg/kg (M, p.o.);
28 mg/kg (R, i.v.); 165 mg/kg (R, p.o.)
CN: 1-(1,1-dimethylethyl)-4,4-diphenylpiperidine

hydrochloride
RN: 63661-61-0 MF: $C_{21}H_{27}N \cdot HCl$ MW: 329.92 EINECS: 264-388-5

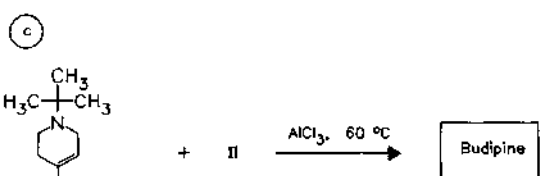


1-tert-butyl-piperidin-4-one (I) benzene (II)

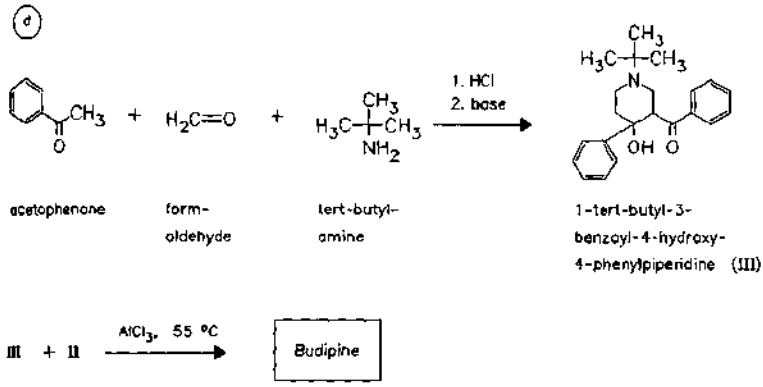


phenylmagnesium bromide

1-tert-butyl-4-hydroxy-4-phenylpiperidine



1-tert-butyl-4-phenyl-1,2,3,6-tetrahydropyridine



Reference(s):
a-d Schaefer, H. et al.: Arzneim.-Forsch. (ARZNAD) **34**, 233-240 (1984).
DE 2 825 322 (Byk Gulden; appl. 11.1.1979; LU-prior. 30.6.1977).

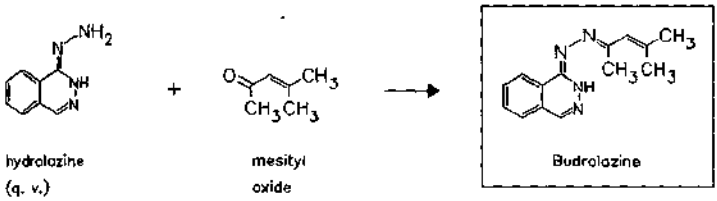
Formulation(s): tabl. 10 mg, 20 mg, 30 mg (as hydrochloride)

Trade Name(s):
D: Parkinsan (Promonta Lundbeck)

Budralazine

ATC: C02DB
Use: antihypertensive

RN: 36798-79-5 MF: $C_{14}H_{16}N_4$ MW: 240.31
LD_{50}: 4020 mg/kg (M, i.p.); 1820 mg/kg (M, p.o.);
3570 mg/kg (R, i.p.); 620 mg/kg (R, p.o.)
CN: 1(2*H*)-phthalazinone (1,3-dimethyl-2-butenylidene)hydrazone



Reference(s):
Ueno, K. et al.: Chem. Pharm. Bull. (CPBTAL) **24**, 1068 (1976).
DOS 2 145 359 (Daiichi Seiyaku; appl. 13.9.1971; J-prior. 14.9.1970).
US 3 840 539 (Daiichi Seiyaku; 8.10.1974; appl. 2.9.1971; J-prior. 14.9.1970).

Formulation(s): gran. 1 %; tabl. 30 mg, 60 mg

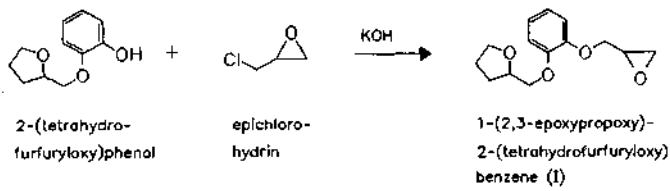
Trade Name(s):
J: Buterazine (Daiichi; 1983)

Bufetolol
(Bufetrol)

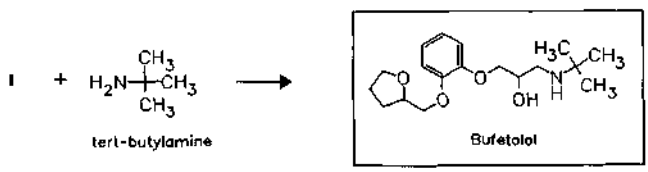
ATC: C07AA
Use: beta blocking agent

RN: 53684-49-4 MF: $C_{18}H_{29}NO_4$ MW: 323.43
CN: 1-[(1,1-dimethylethyl)amino]-3-[2-[(tetrahydro-2-furanyl)methoxy]phenoxy]-2-propanol

hydrochloride
RN: 35108-88-4 MF: $C_{18}H_{29}NO_4 \cdot HCl$ MW: 359.89 EINECS: 252-369-4
LD_{50}: 50.3 mg/kg (M, i.v.); 402 mg/kg (M, p.o.);
59.4 mg/kg (R, i.v.); 1088 mg/kg (R, p.o.)



2-(tetrahydrofurfuryloxy)phenol | epichlorohydrin | 1-(2,3-epoxypropoxy)-2-(tetrahydrofurfuryloxy)-benzene (I)



I + tert-butylamine → Bufetolol

Reference(s):
DOS 2 024 001 (Yoshitomi; appl. 15.5.1970; J-prior. 16.5.1969, 2.10.1969, 3.4.1970).
US 3 723 476 (Yoshitomi; 27.3.1973; J-prior. 16.5.1969, 2.10.1969, 3.4.1970).

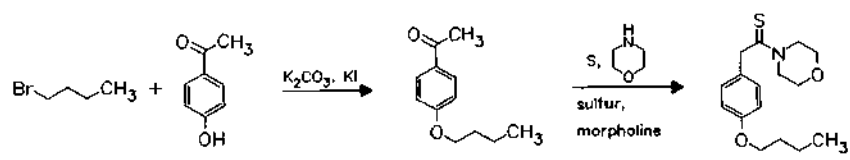
Formulation(s): f. c. tabl. 5 mg, 10 mg (as hydrochloride)

Trade Name(s):
I: Adobiol (Menarini)
J: Adobiol (Yoshitomi; as hydrochloride)

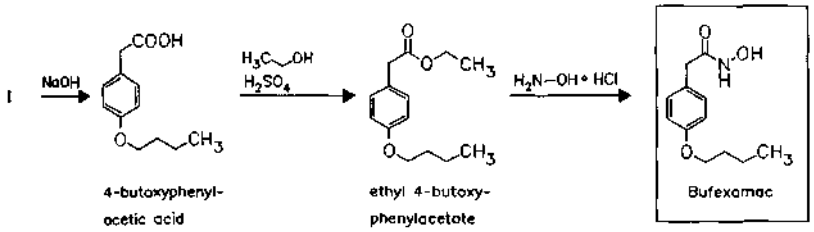
Bufexamac

ATC: M01AB17
Use: anti-inflammatory

RN: 2438-72-4 MF: $C_{12}H_{17}NO_3$ MW: 223.27 EINECS: 219-451-1
LD_{50}: 8 g/kg (M, p.o.);
3370 mg/kg (R, p.o.)
CN: 4-butoxy-*N*-hydroxybenzeneacetamide



butyl bromide | 4'-hydroxyacetophenone | 4'-butoxyacetophenone | (I)



Reference(s):
Buu-Hoï, N.P. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **261**, 2259 (1965).
BE 661 226 (Madan; appl. 17.3.1965).
US 3 479 396 (Madan; 18.11.1969; B-prior. 5.6.1964, 17.3.1965).
DAS 1 768 406 (Madan; appl. 1.6.1965; B-prior. 5.6.1964, 17.3.1965).

Formulation(s): ointment 50 mg/g; suppos. 250 mg

Trade Name(s):

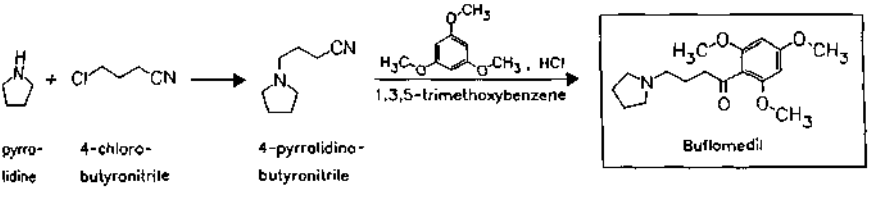
D:	Bufederm (Pharmagalen)		Parfenac (Novalis; Lederle; 1976)		Calmaderm (Whitehall)
	Duradermal (durachemie)				Parfenac (Whitehall; 1975)
	Ekzemase (Azupharma)		Proctoparf (Novalis; 1984)-comb.	I:	Parfenal (Cyanamid)
	Jomax (Hexal)				Viafen (Zyma)
	Malipuran (Heumann)	F:	Bufal (Pierre Fabre)	J:	Anderm (Lederle)

Buflomedil

ATC: C04AX20
Use: vasodilator, antispasmodic

RN: 55837-25-7 MF: $C_{17}H_{25}NO_4$ MW: 307.39 EINECS: 259-851-3
CN: 4-(1-pyrrolidinyl)-1-(2,4,6-trimethoxyphenyl)-1-butanone

hydrochloride
RN: 35543-24-9 MF: $C_{17}H_{25}NO_4 \cdot HCl$ MW: 343.85 EINECS: 252-611-9
LD_{50}: 40 mg/kg (M, i.v.); 275 mg/kg (M, p.o.);
58.5 mg/kg (R, i.v.); 410 mg/kg (R, p.o.)



Reference(s):
GB 1 325 192 (Orsymonde; appl. 6.5.1970; valid from 6.5.1971).
DE 2 122 144 (Orsymonde; appl. 3.5.1971; GB-prior. 6.5.1970).
US 3 895 030 (Orsymonde; 15.7.1975; appl. 5.5.1971; GB-prior. 6.5.1970).

Formulation(s): amp. 0.4 g/40 ml, 0.4 g/120 ml, 50 mg/5 ml; s. r. tabl. 600 mg; tabl. 150 mg, 300 mg (as hydrochloride)

Trade Name(s):

D:	Bufedil (Abbott; 1982)		Loftyl (Abbott)		Buflan (Pierrel; 1982)
	Buflo (AbZ-Pharma)	F:	Fonzylane (Lafon; 1976)		Buflocit (CT)
	Defluina (Nattermann)	I:	Bufene (Ist. Chim. Inter.)		Buflofar (Farge)

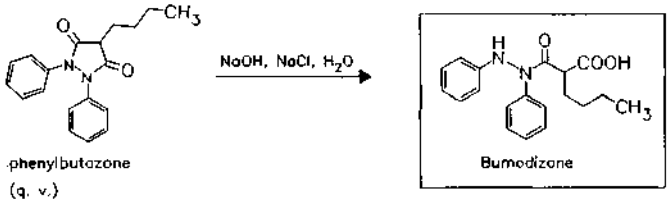
Emoflux (Metapharma)
Flomed (Pulitzer)
Flupress (Drug Research)
Irrodan (Biomedica Foscama)
Loftyl (Abbott; 1982)
Medil (Crosara)
Perfudan (Piam)
Pirxane (Lisapharma)

Bumadizone

ATC: M01AB07
Use: anti-inflammatory, antipyretic

RN: 3583-64-0 MF: $C_{19}H_{22}N_2O_3$ MW: 326.40 EINECS: 222-710-1
LD_{50}: 1350 mg/kg (M, p.o.)
CN: butylpropanedioic acid mono(1,2-diphenylhydrazide)

calcium salt (2:1)
RN: 34461-73-9 MF: $C_{38}H_{42}CaN_4O_6$ MW: 690.85 EINECS: 252-048-9
LD_{50}: 1500 mg/kg (M, p.o.);
750 mg/kg (R, p.o.)



Reference(s):
US 3 455 999 (Geigy; 15.7.1969; CH-prior. 7.6.1963).
DE 1 235 936 (Geigy; appl. 5.6.1964; CH-prior. 7.6.1963).
DE 2 055 845 (Byk Gulden; appl. 13.11.1970).

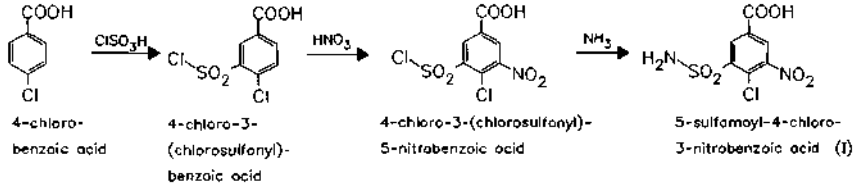
Formulation(s): tabl. 110 mg

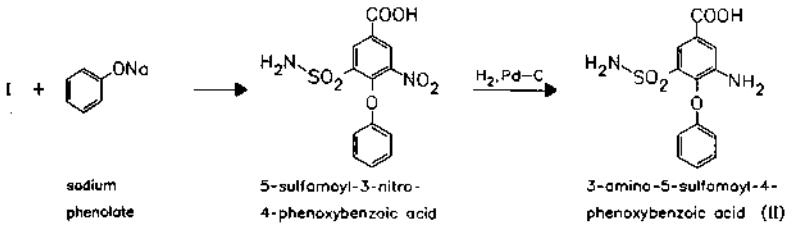
Trade Name(s):
D: Eumotol (Byk Gulden); wfm
Rheumatol (Tosse); wfm
F: Eumotol (Valpan); wfm
I: Eumotol (Byk Gulden); wfm

Bumetanide

ATC: C03CA02
Use: diuretic

RN: 28395-03-1 MF: $C_{17}H_{20}N_2O_5S$ MW: 364.42 EINECS: 249-004-6
LD_{50}: >200 mg/kg (M, i.v.); 4624 mg/kg (M, p.o.);
>200 mg/kg (R, i.v.); >6 g/kg (R, p.o.)
CN: 3-(aminosulfonyl)-5-(butylamino)-4-phenoxybenzoic acid

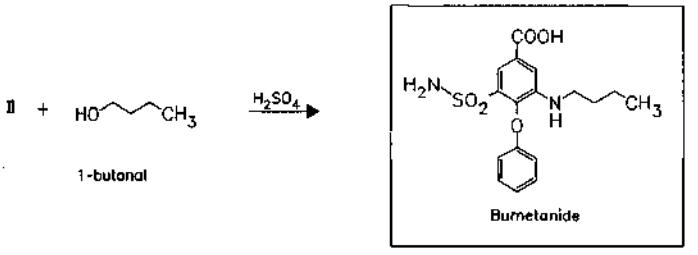




sodium phenolate

5-sulfamoyl-3-nitro-4-phenoxybenzoic acid

3-amino-5-sulfamoyl-4-phenoxybenzoic acid (II)



1-butanol

Bumetanide

Reference(s):

GB 1 249 490 (Loevens Kemiske Fabr.; valid from 22.12.1969; prior. 24.12.1968, 18.6.1969, 29.7.1969).
DOS 1 964 503 (Loevens Kemiske Fabr.; appl. 23.12.1969; GB-prior. 24.12.1968, 18.6.1969, 29.7.1969).
DE 1 964 504 (Loevens Kemiske Fabr.; appl. 23.12.1969; GB-prior. 24.12.1968, 18.6.1969, 29.7.1969; USA-prior. 24.7.1969).
DAS 1 966 878 (Loevens Kemiske Fabr.; appl. 23.12.1969; GB-prior. 24.12.1968, 18.6.1969, 29.7.1969).
US 3 634 583 (Loevens Kemiske Fabr.; 11.1.1972; appl. 24.7.1969).
US 3 806 534 (Leo Pharm.; 23.4.1974; appl. 22.12.1969; GB-prior. 24.12.1968).

Formulation(s): amp. 1 mg/2 ml, 5 mg/10 ml; tabl. 1 mg

Trade Name(s):

D:	Burinex (Leo)	Burinex A (Leo)-comb. with amiloride	I:	Fontego (Polifarma)
F:	Burinex (Leo; 1987)		J:	Lunetoron (Sankyo)
	Lixil (Leo); wfm	Burinex K (Leo)-comb. with potassium chloride	USA:	Bumex (Roche; 1983)
GB:	Burinex (Leo; 1973)			

Bunamiodyl

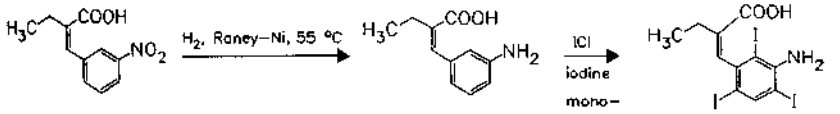
(Buniodyl)

ATC: V08
Use: X-ray contrast medium

RN: 1233-53-0 MF: $C_{15}H_{16}I_3NO_3$ MW: 639.01
CN: 2-[[2,4,6-triiodo-3-[(1-oxobutyl)amino]phenyl]methylene]butanoic acid

monosodium salt

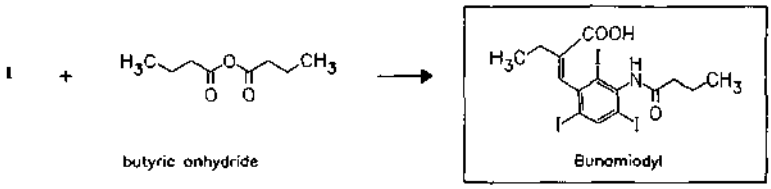
RN: 1923-76-8 MF: $C_{15}H_{15}I_3NNaO_3$ MW: 660.99
LD_{50}: 418 mg/kg (M, i.v.); 2690 mg/kg (M, p.o.); 480 mg/kg (R, i.v.); 2800 mg/kg (R, p.o.)



2-(3-nitrophenylmethylene)butyric acid (cf. iopanoic acid synthesis)

α-ethyl-3-amino-cinnamic acid

(I)



Reference(s):
Cassebaum, H.; Dierbach, K.: Pharmazie (PHARAT) **16**, 392 (1961).

Formulation(s): sol. 4.5 g

Trade Name(s):

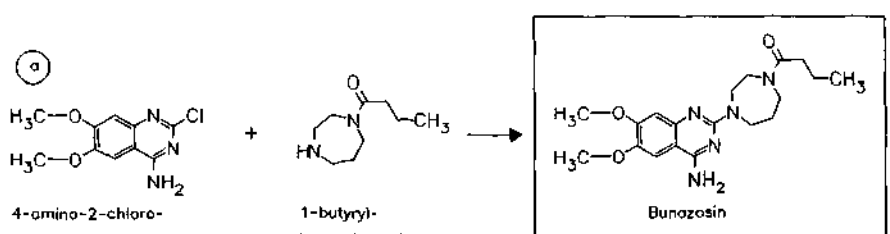
D:	Orabilix (Hefa-Frenon); wfm	F:	Orabilix (Guerbet); wfm
		J:	Orabilix (Kodama); wfm

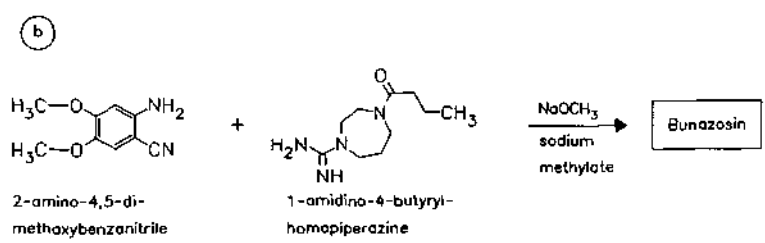
Bunazosin

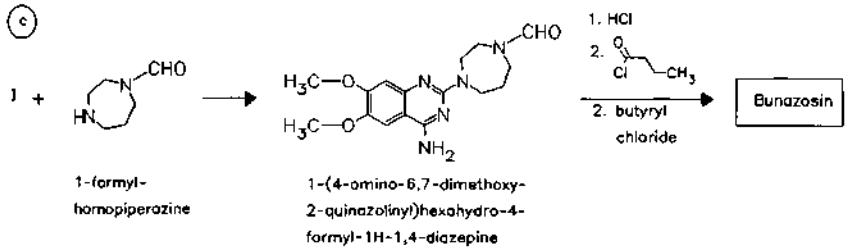
ATC: C02
Use: antihypertensive

RN: 80755-51-7 MF: $C_{19}H_{27}N_5O_3$ MW: 373.46
CN: 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)hexahydro-4-(1-oxobutyl)-1*H*-1,4-diazepine

monohydrochloride
RN: 52712-76-2 MF: $C_{19}H_{27}N_5O_3 \cdot HCl$ MW: 409.92
LD_{50}: 57 mg/kg (M, i.v.); 1201 mg/kg (M, p.o.);
50 mg/kg (R, i.v.); 980 mg/kg (R, p.o.)







Reference(s):
a JP 7 682 285 (Eisai; appl. 16.4.1974).
b JP 75 140 474 (Eisai; appl. 16.4.1974).
c DOS 2 354 389 (Eisai; appl. 30.10.1973; J-prior. 30.10.1972).
US 3 920 636 (Eisai; 18.11.1975; appl. 29.10.1973; J-prior. 30.10.1972).

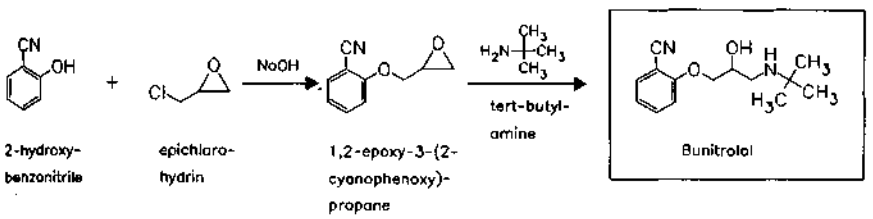
Formulation(s): gran. 0.5 %; tabl. 0.5 mg, 1 mg, 3 mg

Trade Name(s):
J: Detantol (Eisai; 1985)

Bunitrolol

ATC: C07AA
Use: beta blocking agent

RN: 34915-68-9 MF: $C_{14}H_{20}N_2O_2$ MW: 248.33
LD_{50}: 46 mg/kg (M, i.v.)
CN: 2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]benzonitrile



Reference(s):
DAS 1 593 782 (Boehringer Ing.; appl. 15.6.1967).
US 3 541 130 (Boehringer Ing.; 17.11.1970; D-prior. 6.2.1967, 15.6.1967, 25.7.1967).
US 3 868 460 (Boehringer Ing.; 25.2.1975; appl. 23.10.1973; D-prior. 6.2.1967).

alternative synthesis:
DOS 2 503 222 (Boehringer Ing.; appl. 27.1.1975).

Formulation(s): tabl. 5 mg (as hydrochloride)

Trade Name(s):
D: Stresson (Boehringer Ing.; 1977); wfm
I: Betrilol (Boehringer Ing.); wfm
J: Betrilol (Boehringer; 1983)

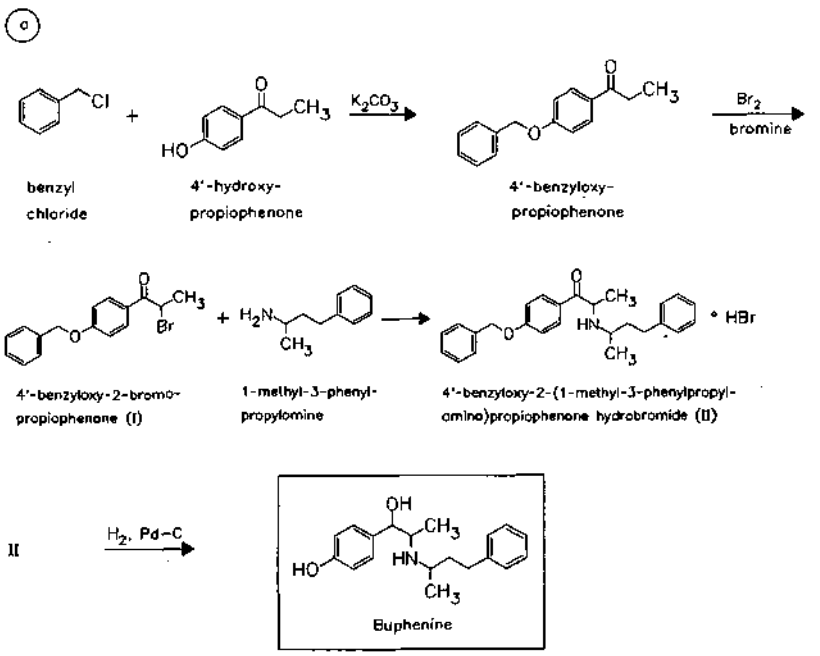
Buphenine

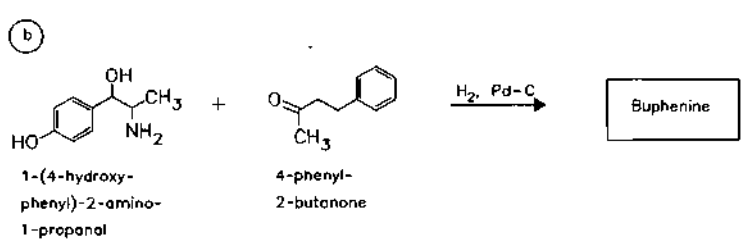
(Nylidrine)

ATC: C04AA02; G02CA02
Use: vasodilator, sympathomimetic

RN: 447-41-6 MF: $C_{19}H_{25}NO_2$ MW: 299.41 EINECS: 207-182-2
CN: 4-hydroxy-α-[1-[(1-methyl-3-phenylpropyl)amino]ethyl]benzenemethanol

hydrochloride
RN: 849-55-8 MF: $C_{19}H_{25}NO_2 \cdot HCl$ MW: 335.88 EINECS: 212-701-0
LD_{50}: 40 mg/kg (M, i.v.); 250 mg/kg (M, p.o.);
37.4 mg/kg (R, i.v.); >4800 mg/kg (R, p.o.)





Reference(s):
a US 2 661 373 (F. Külz, C. Schöpf; 1953; prior. 1953).
DE 815 043 (Troponwerke; 1948).
DAS 1 182 245 (Philips; appl. 19.1.1962; NL-prior. 23.1.1961).
b US 2 661 372 (Troponwerke; 1953; prior. 1949).

Formulation(s): amp. 5 mg; drops 4 mg; tabl. 6 mg

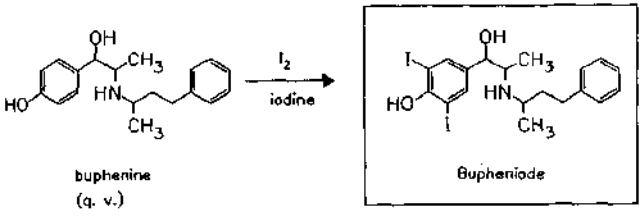
Trade Name(s):
D: Apoplectal (Klinge)-comb.
opino N gel (biomo; as hydrochloride)
F: Ophtadil (Chauvin)-comb.

Phlébogel (Lipha Santé)-comb.	I:	Opino (Bayropharm)-comb., wfm	USA:	Adrin (Major); wfm Arlidin (USV); wfm	

Bupheniode

ATC: C02
Use: antihypertensive, vasodilator

RN: 22103-14-6 MF: $C_{19}H_{23}I_2NO_2$ MW: 551.21 EINECS: 244-781-8
LD_{50}: >600 mg/kg (M, i.p.); >2 g/kg (M, p.o.)
CN: 4-hydroxy-3,5-diiodo-α-[1-[(1-methyl-3-phenylpropyl)amino]ethyl]benzenemethanol



bupheniine (q. v.)

Bupheniode

Reference(s):
ZA 680 046 (Houdé; appl. 29.12.1967; F-prior. 10.1.1967, 21.12.1967).

Formulation(s): amp. 4 mg, 6 mg; tabl. 4 mg, 6 mg

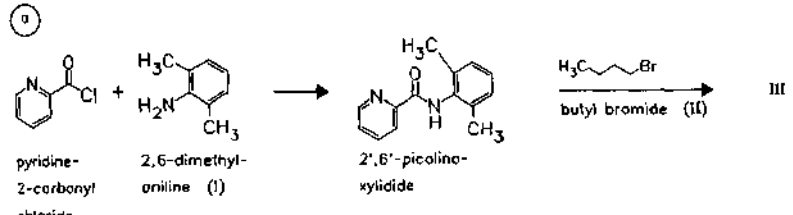
Trade Name(s):
F: Proclival (Houdé); wfm

Bupivacaine
(Marcain)

ATC: N01BB01
Use: local anesthetic

RN: 2180-92-9 MF: $C_{18}H_{28}N_2O$ MW: 288.44 EINECS: 218-553-3
LD_{50}: 7100 µg/kg (M, i.v.);
5600 µg/kg (R, i.v.)
CN: 1-butyl-*N*-(2,6-dimethylphenyl)-2-piperidinecarboxamide

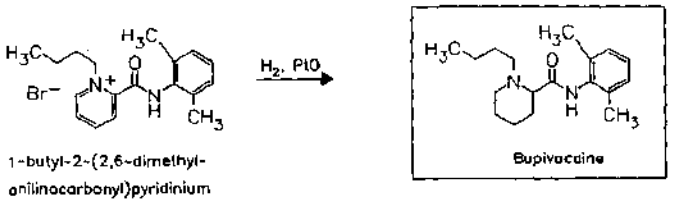
monohydrochloride
RN: 18010-40-7 MF: $C_{18}H_{28}N_2O \cdot HCl$ MW: 324.90 EINECS: 241-917-8



pyridine-2-carbonyl chloride

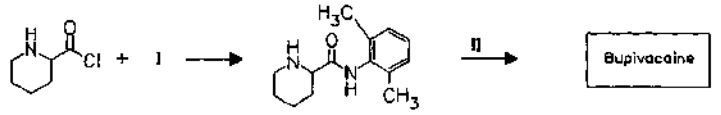
2,6-dimethylaniline (I)

2',6'-picolinoxylidide



1-butyl-2-(2,6-dimethylanilinocarbonyl)pyridinium bromide (*III*)

b



pipecolinoyl chloride

piperidine-2-carboxylic acid (2,6-dimethylanilide)

Reference(s):
DE 1 161 900 (AB Bofors; appl. 19.7.1955; S-prior. 6.4.1955).
DE 1 169 941 (AB Bofors; appl. 19.7.1955; S-prior. 28.4.1955).
GB 869 978 (AB Bofors; appl. 13.2.1959; S-prior. 13.3.1958).
Ekenstam, B. af et al.: Acta Chem. Scand. (ACHSE7) **11**, 1183 (1957).

alternative syntheses:
US 2 792 399 (AB Bofors; 1957; S-prior. 1954).
US 2 955 111 (AB Bofors; 1960; appl. 1957).

Formulation(s): amp. 0.25 %, 0.5 %; inj. flask 0.25 %, 0.5 %, 0.75 %

Trade Name(s):

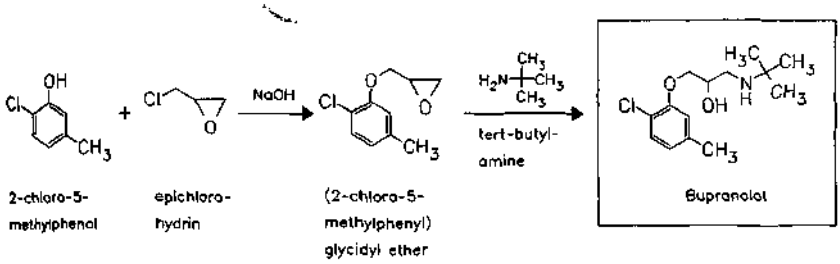
D:	Bupivacain (Rhône-Poulenc Rorer) Carbostesin (Astra) Dolanaest (Strathmann)	GB:	Marcain (Astra) Marcain with Adrenaline (Astra)-comb.	I:	Marcaina iperbarica (Pierrel) Marcain (Yoshimoti-Takeda; as hydrochloride)
F:	Marcaïne (Astra) Marcaïne adrénaline (Astra)-comb.	I:	Bupiforan (Bieffe Medital) Marcaina (Pierrel) Marcaina adrenalina (Pierrel)-comb.	USA:	Sensocraine (Astra; as hydrochloride)

Bupranolol

ATC: C07AA19
Use: beta blocking agent

RN: 14556-46-8 MF: $C_{14}H_{22}ClNO_2$ MW: 271.79
LD_{50}: 45 mg/kg (M, i.v.)
CN: 1-(2-chloro-5-methylphenoxy)-3-[(1,1-dimethylethyl)amino]-2-propanol

hydrochloride
RN: 15148-80-8 MF: $C_{14}H_{22}ClNO_2 \cdot HCl$ MW: 308.25 EINECS: 239-208-3
LD_{50}: 39.3 mg/kg (M, i.v.); 329 mg/kg (M, p.o.);
15.3 mg/kg (R, i.v.); 518 mg/kg (R, p.o.);
438 mg/kg (dog, p.o.)



Reference(s):
DE 1 236 523 (Sanol-Arzneimittel; appl. 15.2.1962).
US 3 309 406 (Sanol; 14.3.1967; appl. 24.3.1965).

Formulation(s): tabl. 50 mg, 100 mg, 200 mg

Trade Name(s):

D:	Betadrenol (Schwarz)	J:	Bupranolol Hydrochloride (Shin Nihon Jitsugyo; as hydrochloride)		Looser (Kaken; as hydrochloride)
F:	Bétadran (J. Logeais); wfm				
I:	Betadrenol (Schwarz)				

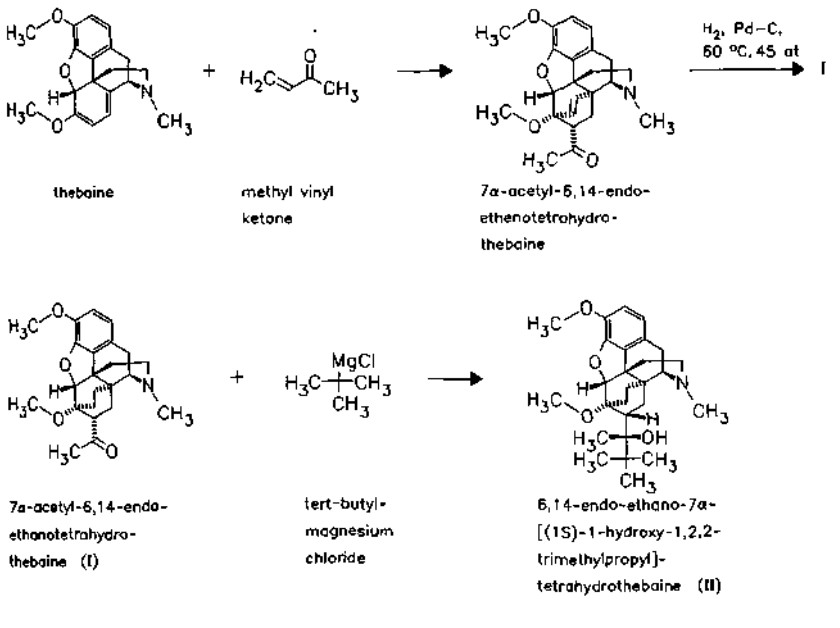
Buprenorphine

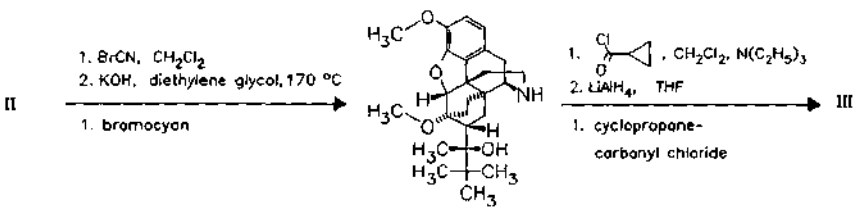
ATC: N02AE01
Use: analgesic

RN: 52485-79-7 MF: $C_{29}H_{41}NO_4$ MW: 467.65 EINECS: 257-950-6
LD_{50}: 24 mg/kg (M, i.v.); 260 mg/kg (M, p.o.);
31 mg/kg (R, i.v.)
CN: [5α,7α(*S*)]-17-(cyclopropylmethyl)-α-(1,1-dimethylethyl)-4,5-epoxy-18,19-dihydro-3-hydroxy-6-methoxy-α-methyl-6,14-ethenomorphinan-7-methanol

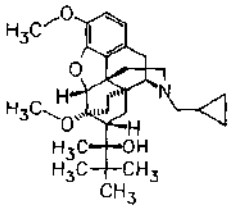
hydrochloride

RN: 53152-21-9 MF: $C_{29}H_{41}NO_4 \cdot HCl$ MW: 504.11 EINECS: 258-396-8

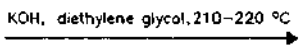


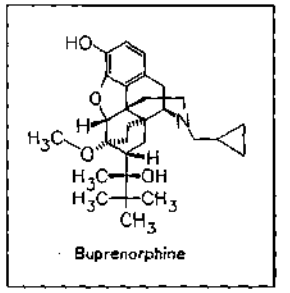


6,14-endo-ethano-7α-[(1S)-1-hydroxy-1,2,2-trimethylpropyl]tetrahydronorthebaine



N-cyclopropylmethyl-6,14-endo-ethano-7α-[(1S)-1-hydroxy-1,2,2-trimethylpropyl]-tetrahydronorthebaine (III)





Buprenorphine

Reference(s):
DE 1 620 206 (Reckitt & Colman; appl. 15.6.1966; GB-prior. 15.6.1965).
US 3 433 791 (Reckitt & Sons Ltd; 18.3.1969; GB-prior. 15.6.1965).

formulation with naloxone:
EP 144 243 (Reckitt & Colman; appl. 5.12.1984; GB-prior. 6.12.1983).

Formulation(s): amp. 0.3 mg/ml; sublingual tabl. 0.4 µg 200 µg (as hydrochloride)

Trade Name(s):

D:	Temgesic (Roche; 1981)	GB:	Temgesic (Reckitt & Colman; 1978)	J:	Lepetan (Otsuka; 1984)
F:	Subutex (Schering-Plough) Temgésic (Schering-Plough; 1987)	I:	Temgesic (Boehringer Mannh.)	USA:	Buprenex (Reckitt & Colman; 1985)

Buserelin

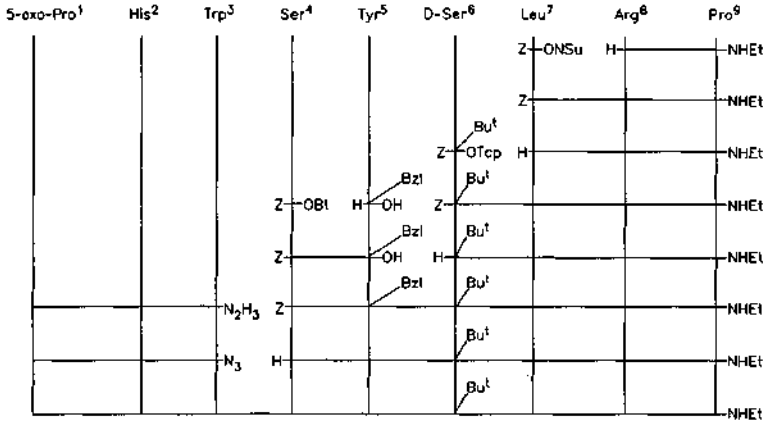
ATC: L02AE01
Use: synthetic nonapeptide agonist analog of gonadorelin (LH-RH), gonad stimulating principle for treatment of hormone sensitive prostatic carcinoma and endometriosis

RN: 57982-77-1 MF: $C_{60}H_{86}N_{16}O_{13}$ MW: 1239.45 EINECS: 261-061-9
CN: 6-[*O*-(1,1-dimethylethyl)-D-serine]-9-(*N*-ethyl-L-prolinamide)-10-deglycinamideluteinizing hormone-releasing factor (pig)

monoacetate
RN: 68630-75-1 MF: $C_{60}H_{86}N_{16}O_{13} \cdot C_2H_4O_2$ MW: 1299.50
LD_{50}: 56 mg/kg (M, i.v.); >1 g/kg (M, p.o.);
36 mg/kg (R, i.v.); >400 mg/kg (R, p.o.)

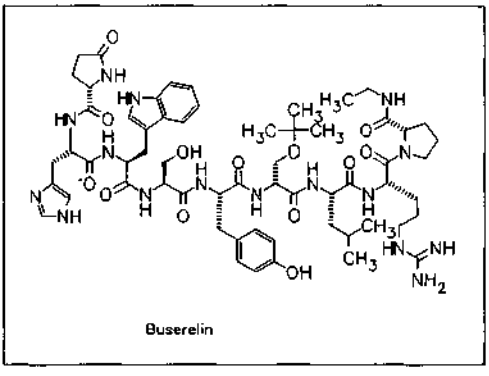
diacetate

RN: 59179-42-9 MF: $C_{60}H_{86}N_{16}O_{13} \cdot 2C_2H_4O_2$ MW: 1359.55



obbreviations:

OBt:	3-hydroxy-4-oxo-3,4-dihydro-1,2,3-benzotriazinyl ester
Z:	benzyloxycarbonyl
Bzl:	benzyl ether
OTcp:	2,4,5-trichlorophenyl ester
ONSu:	N-hydroxysuccinimidyl ester
N_3:	azide
N_2H_3:	hydrazide
Bu^t:	tert-butyl ether



Reference(s):

DE 2 438 350 (Hoechst; appl. 9.8.1974).

US 4 024 248 (Hoechst; 17.5.1977; D-prior. 9.8.1974).

alternative synthetic methods:

DE 2 905 502 (Hoechst; appl. 14.2.1979).

parenteral depot formulations:

1) *microcapsules with* poly-D-(–)-3-hydroxybutyric acid *as carrier:*

DE 3 428 372 (Hoechst; appl. 1.8.1984).

EP 172 422 (Hoechst; appl. 20.7.1985; D-prior. 1.8.1984).

EP 262 583 (Hoechst; appl. 24.9.1987; D-prior. 2.10.1986, 13.12.1986).

2) *with biodegradable poly(hydroxyalkyl)aminodicarboxylic acid derivatives:*

EP 274 127 (Hoechst; appl. 29.12.1987; D-prior. 3.1.1987).

medical use as contraceptive:
DOS 2 735 515 (Hoechst; appl. 6.8.1977).
EP 764 (Hoechst; appl. 1.8.1978; D-prior. 6.8.1977).

Formulation(s): nasal spray 10 mg/10 ml; sol. for s. c. amp. 15 mg/10 g; sol. 5.5 mg/5.5 ml for s. c. inj. with 6.6 mg buserelin acetate on polyglycolide matrix

Trade Name(s):

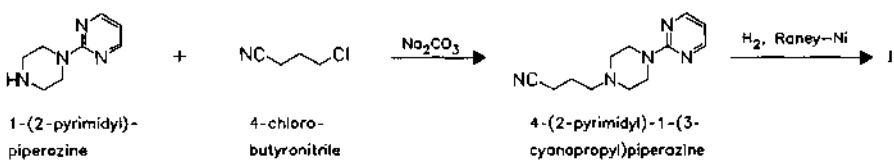
D:	Profact (Hoechst; 1984) Suprecur (Hoechst)		Suprefact (Hoechst Houdé; 1986)	I:	Suprefact (Hoechst Italia)
F:	Bigonist (Cassenne)	GB:	Suprecur (Shire)	J:	Suprecur (Hoechst Japan)

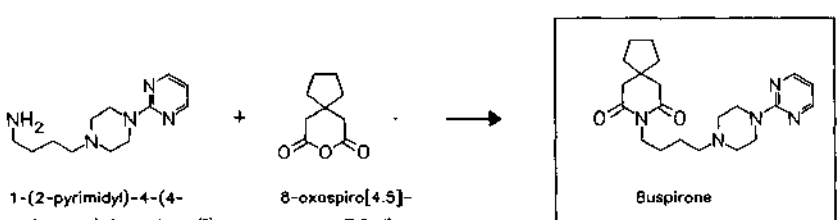
Buspirone

ATC: N05BE01
Use: tranquilizer

RN: 36505-84-7 MF: $C_{21}H_{31}N_5O_2$ MW: 385.51 EINECS: 253-072-2
LD_{50}: 136 mg/kg (R, i.p.)
CN: 8-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-8-azaspiro[4.5]decane-7,9-dione

monohydrochloride
RN: 33386-08-2 MF: $C_{21}H_{31}N_5O_2 \cdot HCl$ MW: 421.97 EINECS: 251-489-4
LD_{50}: 655 mg/kg (M, p.o.);
196 mg/kg (R, p.o.);
586 mg/kg (dog, p.o.)





Reference(s):
DOS 2 057 845 (Bristol-Myers; appl. 24.11.1970; USA-prior. 24.11.1969).
US 3 976 776 (Mead Johnson; 24.8.1976; prior. 24.11.1969).
Wu, Y.H. et al.: J. Med. Chem. (JMCMAR) **15**, 477 (1972).
US 3 907 801 (Mead Johnson; 23.9.1975; prior. 24.11.1969).
US 3 717 634 (Mead Johnson; 20.2.1973; prior. 24.11.1969).

Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):

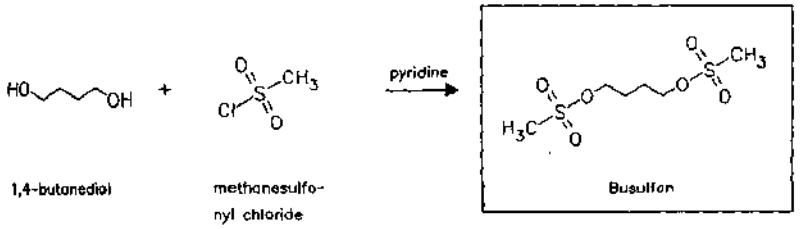
D:	Bespar (Bristol-Myers; 1985)	F:	Buspar (Bristol-Myers Squibb; 1988)	GB:	Buspar (Bristol-Myers Squibb; 1987)

I: Axoren (Glaxo Wellcome) Buspimen (Menarini) USA: Buspar (Bristol-Myers Squibb; 1986)
Buspar (Bristol It. Sud)

Busulfan

ATC: L01AB01
Use: antineoplastic

RN: 55-98-1 MF: $C_6H_{14}O_6S_2$ MW: 246.30 EINECS: 200-250-2
LD_{50}: 110 mg/kg (M, p.o.);
1800 µg/kg (R, i.v.)
CN: 1,4-butanediol dimethanesulfonate



Reference(s):
GB 700 677 (Wellcome Found.; appl. 1950).
US 2 917 432 (Burroughs Wellcome; 15.12.1959; prior. 5.10.1954).

Formulation(s): tabl. 0.5 mg, 2 mg

Trade Name(s):

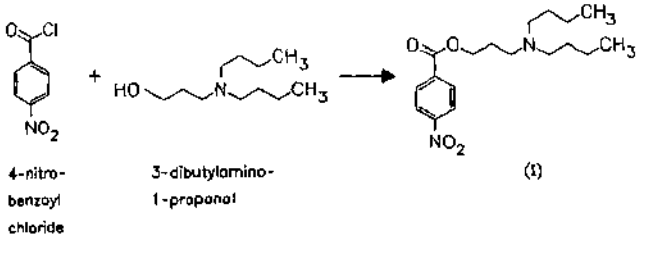
D:	Myleran (Glaxo Wellcome)	GB:	Myleran (Glaxo Wellcome)	J:	Mablin (Takeda)
F:	Misulban (Techni-Pharma); wfm	I:	Misulban (Nuovo ISM) Myleran (Wellcome)	USA:	Myleran (Glaxo Wellcome)

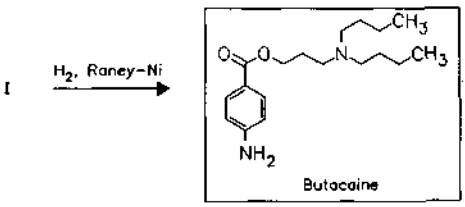
Butacaine

ATC: D04AB
Use: local anesthetic

RN: 149-16-6 MF: $C_{18}H_{30}N_2O_2$ MW: 306.45 EINECS: 205-734-7
CN: 3-(dibutylamino)-1-propanol 4-aminobenzoate (ester)

monohydrochloride
RN: 5892-15-9 MF: $C_{18}H_{30}N_2O_2 \cdot HCl$ MW: 342.91 EINECS: 227-568-4
LD_{50}: 21 mg/kg (M, i.v.)
sulfate (2:1)
RN: 149-15-5 MF: $C_{18}H_{30}N_2O_2 \cdot 1/2H_2SO_4$ MW: 710.98 EINECS: 205-733-1
LD_{50}: 12 mg/kg (M, i.v.)





Reference(s):
US 1 358 751 (Abbott; 1920; appl. 1920).
US 1 676 470 (Abbott; 1928; GB-prior. 1921).

preparation of 3-dibutylamino-1-propanol *from* allylalcohol *and* dibutylamine:
US 2 437 984 (Abbott; 1948; appl. 1945).

butacaine-pamoate:
DAS 2 401 605 (Rocador; appl. 14.1.1974; E-prior. 18.1.1973).

Formulation(s): cps. 50 mg

Trade Name(s):

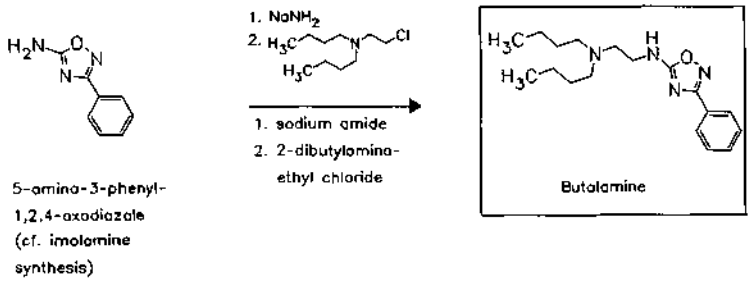
F:	Relaxoddi (Leurquin)-comb.	USA:	Butyn Metaphen (Abbott); wfm		Butyn Sulfate (Abbott); wfm

Butalamine

ATC: C04AX23
Use: vasodilator

RN: 22131-35-7 MF: $C_{18}H_{28}N_4O$ MW: 316.45 EINECS: 244-794-9
CN: *N,N*-dibutyl-*N'*-(3-phenyl-1,2,4-oxadiazol-5-yl)-1,2-ethanediamine

hydrochloride
RN: 28875-47-0 MF: $C_{18}H_{28}N_4O \cdot xHCl$ MW: unspecified EINECS: 249-279-2



5-amino-3-phenyl-1,2,4-oxadiazole (cf. imolamine synthesis)

Reference(s):
DAS 1 445 409 (J.M.D. Aron-Samuel, J.J. Sterne; appl. 6.7.1962; GB-prior. 11.7.1961, 12.6.1962).
US 3 338 899 (Aron-Samuel; 29.8.1967; prior. 9.7.1962).

Formulation(s): f. c. tabl. 40 mg, 80 mg

Trade Name(s):

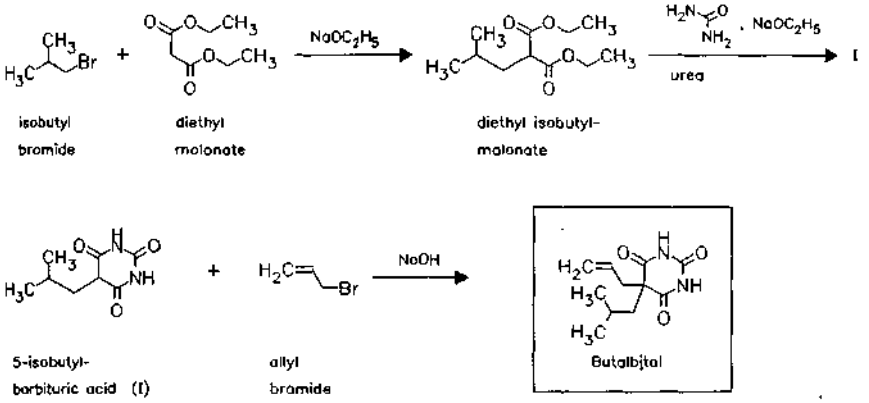
D:	Adrevil (Novartis)		Surheme (Aron)
F:	Oxadiléne (Leurquin)-comb.	I:	Surheme (Lipha); wfm Surheme (Spemsa); wfm

Butalbital

(Allylbarbituric acid)

ATC: N05C
Use: sedative

RN: 77-26-9 MF: $C_{11}H_{16}N_2O_3$ MW: 224.26 EINECS: 201-017-8
LD_{50}: 160 mg/kg (R, s.c.)
CN: 5-(2-methylpropyl)-5-(2-propenyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione



Reference(s):
Volwiler, E.H.: J. Am. Chem. Soc. (JACSAT) **47**, 2236 (1925).

Formulation(s): f. c. tabl. 300 mg

Trade Name(s):

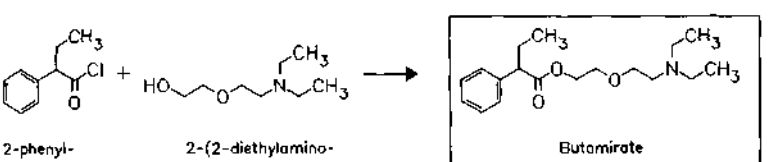
D:	Aequiton (Südmedica)-comb.	Bupap (FCR)	Pacaps (Lunsco)
	Optalidon (Sandoz)-comb.	Esgic (Forest)-comb.	Phrenilin (Carnrick)
F:	Optalidon (Sandoz)-comb.	Fioricet (Novartis)	Repan (Everett)
I:	Optalidon (Sandoz)-comb.	Fiorinal (Novartis)-comb.	Sedapap (Merz)
USA:	Anolor (Blansett)	Fiortal w/Codeine (Genera)	Tenake (Seatrace)
	Axocet (Savage)	Medigesic (U.S. Pharmaceutical)	

Butamirate

ATC: R05DB13
Use: antitussive

RN: 18109-80-3 MF: $C_{18}H_{29}NO_3$ MW: 307.43 EINECS: 242-005-2
CN: α-ethylbenzeneacetic acid 2-[2-(diethylamino)ethoxy]ethyl ester

citrate (1:1)
RN: 18109-81-4 MF: $C_{18}H_{29}NO_3 \cdot C_6H_8O_7$ MW: 499.56 EINECS: 242-006-8
LD_{50}: 47.2 mg/kg (M, i.v.); 865 mg/kg (M, p.o.);
37.2 mg/kg (R, i.v.); 4164 mg/kg (R, p.o.)



Reference(s):
DE 1 151 515 (Hommel AG; appl. 9.3.1960; CH-prior. 12.3.1959).
US 3 349 114 (Hommel AG; 24.10.1967; appl. 17.5.1963).

Formulation(s): drops 30 mg; syrup 1.772 mg; suppos. 20 mg; syrup 10.65 mg

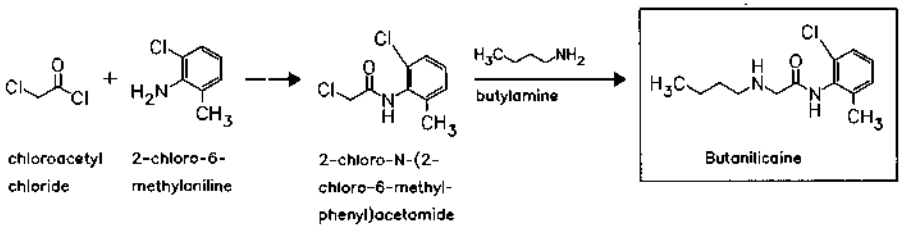
Trade Name(s):
D: Pertix-Hommel (Hommel) Sinecod (Zyma) Sinecod (Zyma)
Sinecod (Karlspharma) I: Butiran (Ecobi)

Butanilicaine

ATC: N01BB05
Use: local anesthetic

RN: 3785-21-5 MF: $C_{13}H_{19}ClN_2O$ MW: 254.76
CN: 2-(butylamino)-*N*-(2-chloro-6-methylphenyl)acetamide

monohydrochloride
RN: 6027-28-7 MF: $C_{13}H_{19}ClN_2O \cdot HCl$ MW: 291.22 EINECS: 227-893-1
LD_{50}: 30 mg/kg (M, i.v.)
phosphate (1:1)
RN: 2081-65-4 MF: $C_{13}H_{19}ClN_2O \cdot H_3PO_4$ MW: 352.76 EINECS: 218-211-3



Reference(s):
DE 939 633 (Hoechst; 1953).
DE 1 005 075 (Hoechst; 1952).

process variant:
DE 1 009 633 (Hoechst; 1953).

Formulation(s): amp. 51 mg/1.7 ml; vial 1 % sol.

Trade Name(s):
D: Hostacain (Hoechst); wfm J: Hostacain (Hoechst); wfm

Butaperazine

ATC: N05AB09
Use: neuroleptic

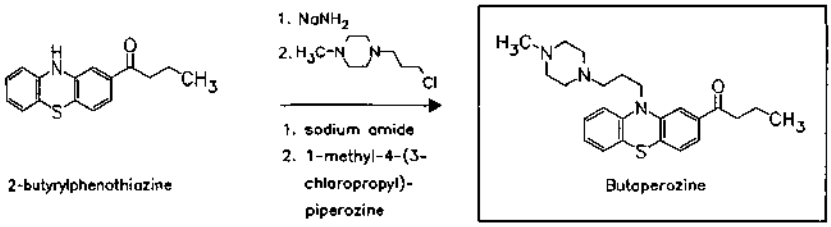
RN: 653-03-2 MF: $C_{24}H_{31}N_3OS$ MW: 409.60 EINECS: 211-493-9
LD_{50}: 67 mg/kg (M, i.v.);
413 mg/kg (R, p.o.)
CN: 1-[10-[3-(4-methyl-1-piperazinyl)propyl]-10*H*-phenothiazin-2-yl]-1-butanone

diphosphate
RN: 7389-45-9 MF: $C_{24}H_{31}N_3OS \cdot 2H_3PO_4$ MW: 605.59 EINECS: 230-972-3

dimaleate

RN: 1063-55-4 MF: $C_{24}H_{31}N_3OS \cdot 2C_4H_4O_4$ MW: 641.74 EINECS: 213-900-5

LD_{50}: 17.6 mg/kg (M, i.v.); 296 mg/kg (M, p.o.);
63 mg/kg (R, i.v.); 264 mg/kg (R, p.o.);
>50.7 mg/kg (dog, i.v.)



Reference(s):

DE 1 120 451 (Bayer; appl. 30.5.1956).

US 2 985 654 (Schering Corp.; 23.5.1961; appl. 21.9.1956).

Formulation(s): tabl. 0.1 mg, 0.25 mg, 0.5 mg

Trade Name(s):

D: Östrogynal (Asche)-comb.; USA: Repoise (Robins); wfm
wfm

Butenafine

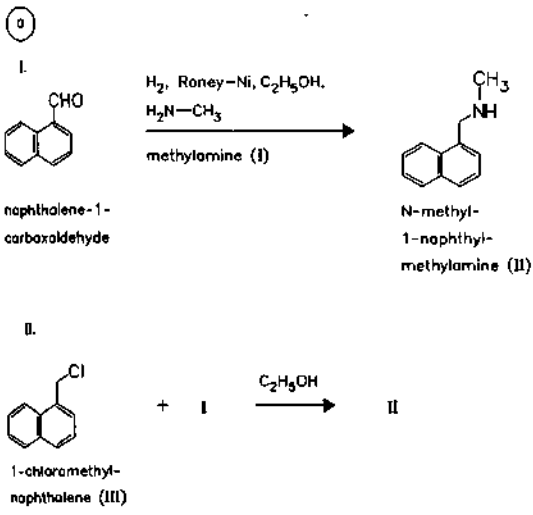
Use: antifungal for topical use

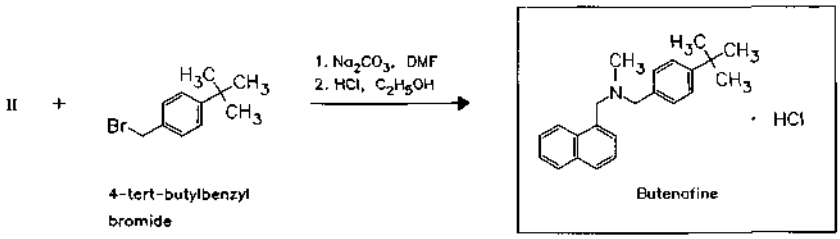
RN: 101828-21-1 MF: $C_{23}H_{27}N$ MW: 317.48

CN: *N*-[[4-(1,1-Dimethylethyl)phenyl]methyl]-*N*-methyl-1-naphthalenemethanamine

hydrochloride

RN: 101827-46-7 MF: $C_{23}H_{27}N \cdot HCl$ MW: 353.94





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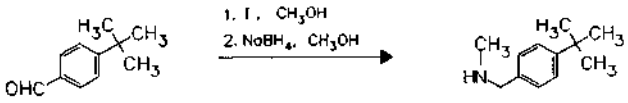

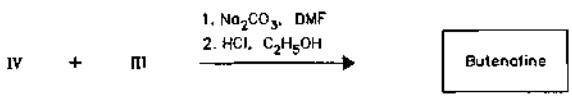

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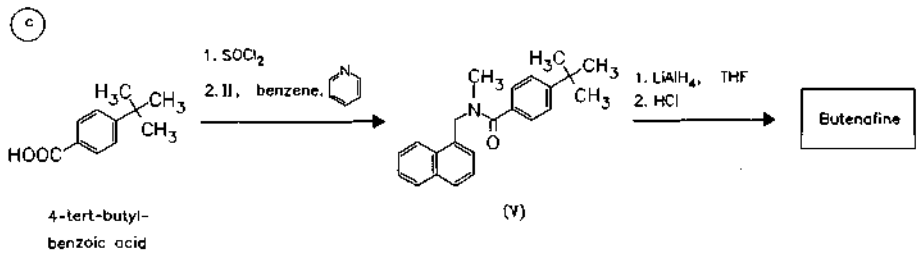

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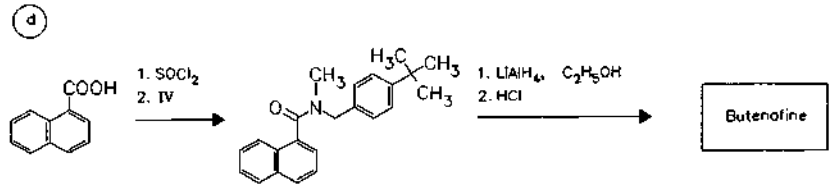


Reference(s):
a EP 221.781 (Mitsui Toatsu Chem.; appl. 31.10.1986; J-prior. 1.11.1985).
b JP 03 200 747 (Kokai Tokkyo Koho; appl. 28.12.1989; J-prior. 2.9.1991).
c,d EP 164 697 (Kaken Pharmaceutical Co.; appl. 6.6.1985; J-prior. 9.6.1984).

preparation of N-methyl-1-naphthylmethylamine:
Dalm, Zoller: Helv. Chim. Acta (HCACAV) **35** 1348, 1353 (1952).
Elslager, E.F; Johnson, J.L.; Werbel, L.M.: J. Med. Chem. (JMCMAR) **24** (2), 140 (1981).
Baltzly, I.: J. Am. Chem. Soc. (JACSAT) **65** 1984 (1943)
Lutz et al.: J. Org. Chem. (JOCEAH) **12** 760 (1947)

Formulation(s): cream 1%; sol. 1% (als hydrochloride)

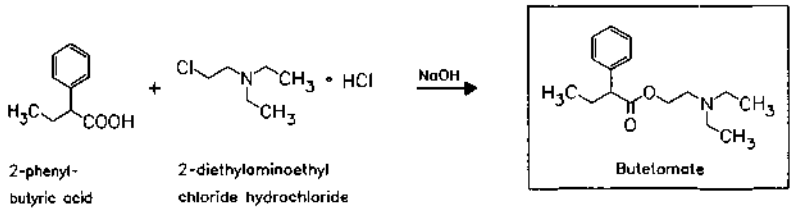
Trade Name(s):
J: Mentax (Kaken; 1992) Volley (Hisamitsu) USA: Mentax (Bertek Pharms.)

Butetamate
(Butethamate)

ATC: S01FA
Use: antispasmodic

RN: 14007-64-8 MF: $C_{16}H_{25}NO_2$ MW: 263.38 EINECS: 237-817-9
CN: α-ethylbenzeneacetic acid 2-(diethylamino)ethyl ester

citrate
RN: 13900-12-4 MF: $C_{16}H_{25}NO_2 \cdot xC_6H_8O_7$ MW: unspecified EINECS: 237-671-6



Reference(s):
CH 291 375 (Hommel; appl. 1950).
Engelhardt, A.: Arzneim.-Forsch. (ARZNAD) **11**, 217 (1957).

Formulation(s): sol. 14.5 mg/5 ml

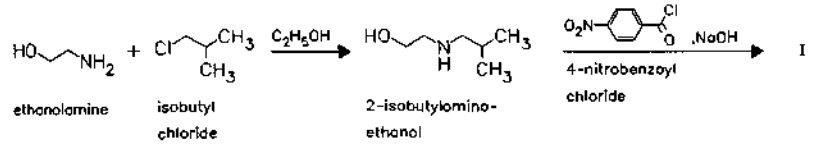
Trade Name(s):
D: Baldicap (Giulini)-comb.; wfm
Pertix-Hommel Liquidum (Hommel); wfm
numerous combination preparations; wfm
GB: Cam (Rybar); wfm
I: Pertix (Bonomelli Farm.)-comb.; wfm

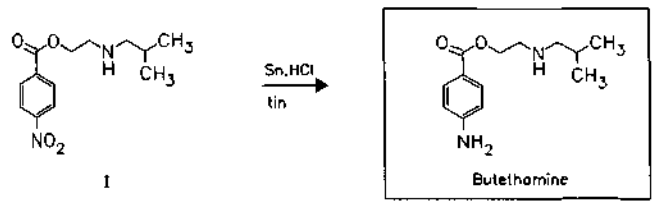
Butethamine

ATC: N01B
Use: local anesthetic

RN: 2090-89-3 MF: $C_{13}H_{20}N_2O_2$ MW: 236.32
CN: 2-[(2-methylpropyl)amino]ethanol 4-aminobenzoate (ester)

monohydrochloride
RN: 553-68-4 MF: $C_{13}H_{20}N_2O_2 \cdot HCl$ MW: 272.78
LD_{50}: 36 mg/kg (M, i.v.);
28 mg/kg (R, i.v.)





Reference(s):
US 2 139 818 (Novocol Chem.; 1938; prior. 1935).

Formulation(s): amp.

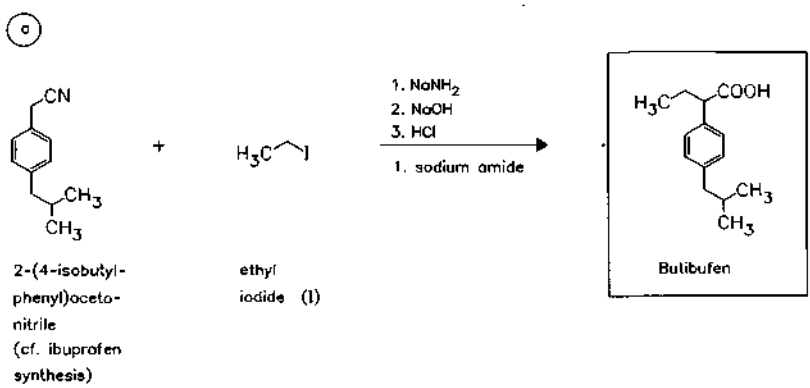
Trade Name(s):
USA: Dentocaine (Amer. Chem.); wfm
Monocaine formate (Novocol); wfm
Monocaine hydrochloride (Philadelphia Labs.); wfm

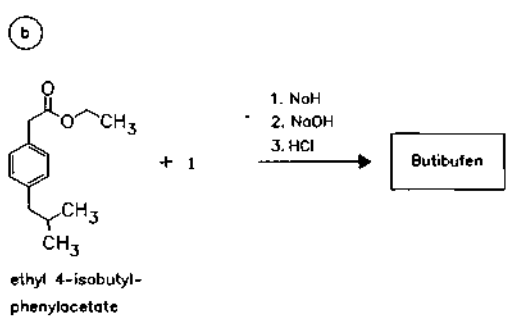
Butibufen

ATC: M02A
Use: non-steroidal anti-inflammatory

RN: 55837-18-8 MF: $C_{14}H_{20}O_2$ MW: 220.31 EINECS: 259-849-2
LD_{50}: 810 mg/kg (M, p.o.);
1600 mg/kg (R, p.o.)
CN: α-ethyl-4-(2-methylpropyl)benzeneacetic acid

sodium salt
RN: 60682-24-8 MF: $C_{14}H_{19}NaO_2$ MW: 242.29 EINECS: 262-374-3





Reference(s):
DE 2 505 813 (Juste; appl. 12.2.1975).
CH 573 891 (Juste; appl. 16.6.1975).
US 4 031 243 (Juste; 21.6.1977; appl. 25.2.1975).

alternative synthesis:
EP 184 573 (Sanofi; appl. 28.11.1985; F-prior. 29.11.1985).

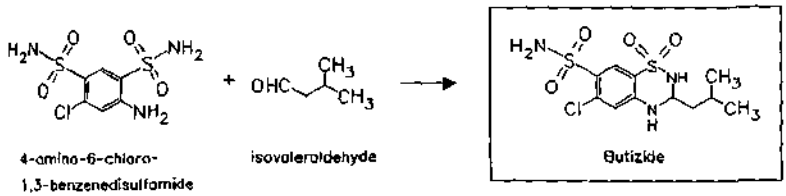
Formulation(s): cps. 350 mg; sachets 500 mg; suppos. 500 mg; tabl. 500 mg

Trade Name(s):
E: Mijal (Juste; 1992)

Butizide
(Buthiazide; Thiabutazide)

ATC: C03E
Use: diuretic, antihypertensive

RN: 2043-38-1 MF: $C_{11}H_{16}ClN_3O_4S_2$ MW: 353.85 EINECS: 218-048-8
CN: 6-chloro-3,4-dihydro-3-(2-methylpropyl)-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
GB 861 367 (Ciba; appl. 2.3.1959; USA-prior. 9.4.1958, 9.6.1958, 29.7.1958, 29.9.1958).
Werner, I.H. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 1161 (1960).

Formulation(s): cps. 50 mg; drg. 50 mg

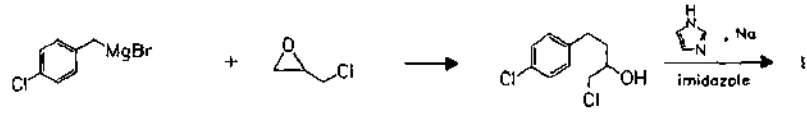
Trade Name(s):
D: Aldactone 50-Saltucin (Boehringer Mannh.)-comb.
Modenol (Boehringer Mannh.)-comb.
Saltucin (Boehringer Mannh.)
Torrat (Boehringer Mannh.)-comb.
Tri-Torrat (Boehringer Mannh.)-comb.
F: Eunéphran (Servier); wfm
I: Kadiur (Boots Italia)-comb.
Saludopin (SIT)-comb.

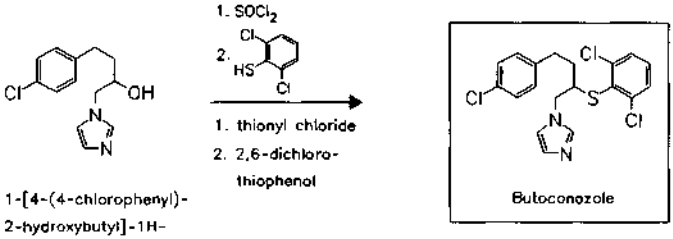
Butoconazole

ATC: G01AF15
Use: topical antifungal

RN: 64872-76-0 MF: $C_{19}H_{17}Cl_3N_2S$ MW: 411.78
LD_{50}: >1600 mg/kg (M, i.p.); >3200 mg/kg (M, p.o.); 940 mg/kg (R, i.p.)
CN: (±)-1-[4-(4-chlorophenyl)-2-[(2,6-dichlorophenyl)thio]butyl]-1*H*-imidazole

mononitrate
RN: 64872-77-1 MF: $C_{19}H_{17}Cl_3N_2S \cdot HNO_3$ MW: 474.80
LD_{50}: >3200 mg/kg (M, p.o.); 1720 mg/kg (R, p.o.)





1-[4-(4-chlorophenyl)-2-hydroxybutyl]-1H-imidazole (I)

Reference(s):
Walker, K.A.M. et al.: J. Med. Chem. (JMCMAR) **21**, 840 (1978).
US 4 078 071 (Syntex; USA-prior. 28.7.1975).
DOS 2 800 755

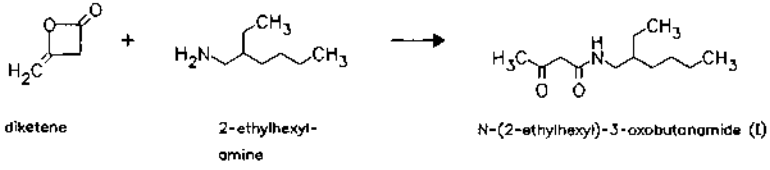
Formulation(s): vaginal cream 2 %

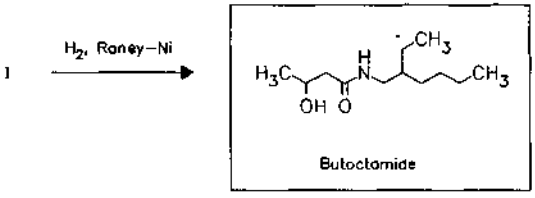
Trade Name(s):
F: Gynomyk (Cassenne) USA: Femstat (Syntex; 1986); wfm

Butoctamide

Use: hypnotic, antineoplastic

RN: 32838-26-9 MF: $C_{12}H_{25}NO_2$ MW: 215.34
LD_{50}: 476 mg/kg (M, i.p.); 2000 mg/kg (M, p.o.)
CN: *N*-(2-ethylhexyl)-3-hydroxybutanamide





Reference(s):
DOS 1 768 445 (Lion Hamigaki; appl. 15.5.1968; J-prior. 15.5.1967).
US 3 639 457 (A. Sakuma et al.; 1.2.1972; J-prior. 15.5.1967).

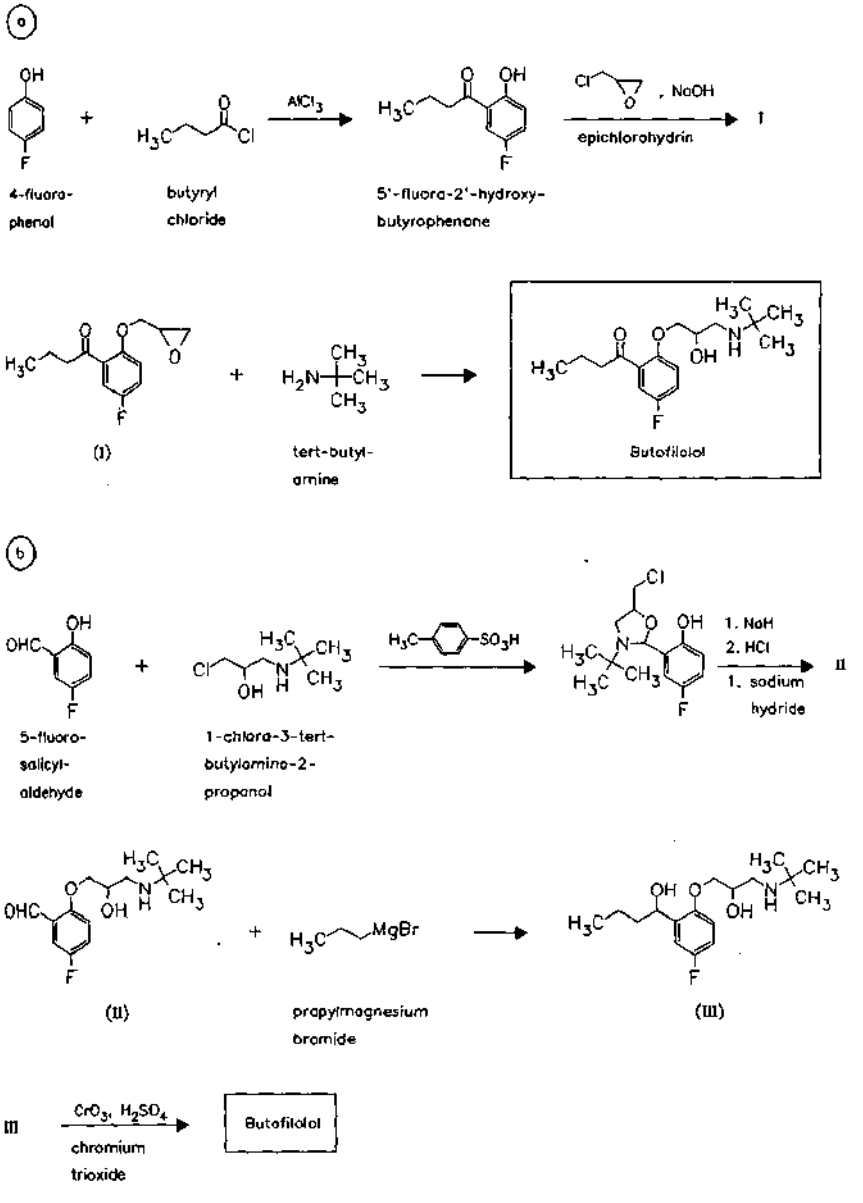
Formulation(s): 600 mg

Trade Name(s):
J: Listomine (Lion; as hemisuccinate)

Butofilolol

Use: β-adrenoceptor blocker

RN: 64552-17-6 MF: $C_{17}H_{26}FNO_3$ MW: 311.40
CN: (±)-1-[2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-5-fluorophenyl]-1-butanone



Reference(s):
DOS 2 528 147 (CM Industries; appl. 24.6.1975; GB-prior. 28.6.1974).

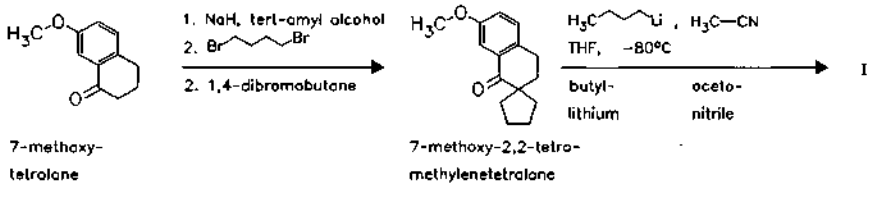
Trade Name(s):
F: Cafide (Lab. Labaz); wfm

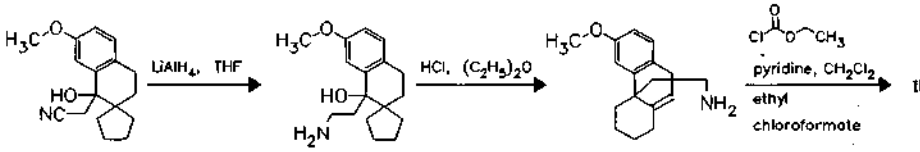
Butorphanol

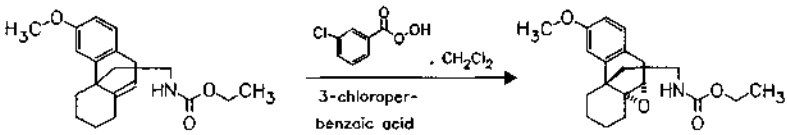
ATC: N02AF01
Use: analgesic

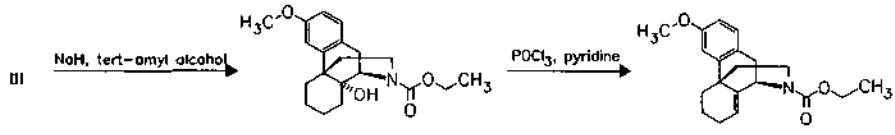
RN: 42408-82-2 MF: $C_{21}H_{29}NO_2$ MW: 327.47 EINECS: 255-808-8
CN: 17-(cyclobutylmethyl)morphinan-3,14-diol

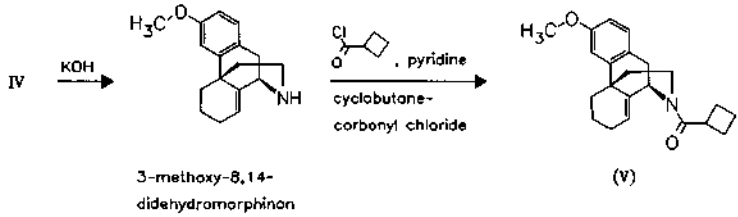
tartrate (1:1)
RN: 58786-99-5 MF: $C_{21}H_{29}NO_2 \cdot C_4H_6O_6$ MW: 477.55 EINECS: 261-443-5
LD_{50}: 36 mg/kg (M, i.v.); 395 mg/kg (M, p.o.);
17 mg/kg (R, i.v.); 315 mg/kg (R, p.o.);
10 mg/kg (dog, i.v.); >50 mg/kg (dog, p.o.)

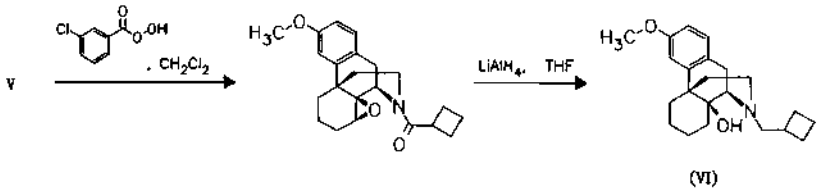


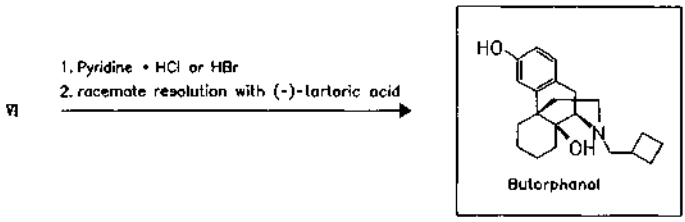












Reference(s):
US 3 775 414 (Bristol-Myers; 27.11.1973; appl. 10.5.1972).
US 3 819 635 (Bristol-Myers; 25.6.1974; prior. 8.9.1971, 13.1.1972).
DOS 2 243 961 (Bristol-Myers; appl. 7.9.1972; USA-prior. 8.9.1971, 13.1.1972).
DOS 2 265 255 (Bristol-Myers; appl. 7.9.1972; USA-prior. 8.9.1971, 13.1.1972).
DOS 2 265 256 (Bristol-Myers; appl. 7.9.1972; USA-prior. 8.9.1971, 13.1.1972).
US 3 980 641 (Bristol-Myers; 14.9.1976; appl. 31.7.1975).
Monkovic, J. et al.: J. Am. Chem. Soc. (JACSAT) **95**, 7910 (1973).

alternative syntheses:
from 7-methoxy-2-tetralone:
US 4 017 497 (Bristol-Myers; 12.4.1977; appl. 18.11.1975).

from (–)-1-(4-methoxybenzyl)-2-methyl-1,2,3,4,5,6,7,8-octahydroisoquinoline:
US 4 115 389 (Bristol-Myers; 19.9.1978; appl. 2.5.1977).

Formulation(s): nasal spray 15 mg/2.5 ml

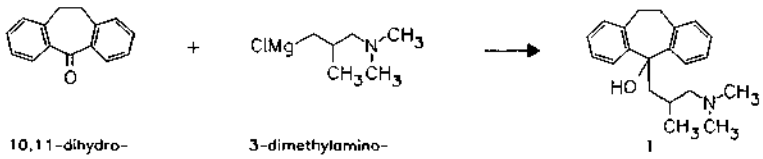
Trade Name(s):
I: Stadole (Bristol Europe; 1984); wfm
J: Stadol (Bristol; 1986)
USA: Stadol (Bristol-Myers Squibb; 1978)

Butriptyline

ATC: N06AA15
Use: antidepressant

RN: 35941-65-2 MF: $C_{21}H_{27}N$ MW: 293.45
CN: (±)-10,11-dihydro-*N*,*N*,β-trimethyl-5*H*-dibenzo[*a*,*d*]cycloheptene-5-propanamine

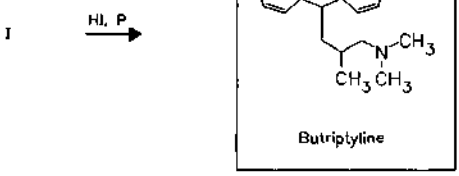
hydrochloride
RN: 5585-73-9 MF: $C_{21}H_{27}N \cdot HCl$ MW: 329.92 EINECS: 226-983-8
LD_{50}: 48 mg/kg (M, i.v.); 345 mg/kg (M, p.o.);
700 mg/kg (R, p.o.)



10,11-dihydro-5H-dibenzo[a,d]-cycloheptene-5-one

3-dimethylamino-2-methylpropyl-magnesium chloride

I



Reference(s):
BE 613 750 (Ayerst; appl. 9.2.1962; CDN-prior. 10.2.1961).
US 3 409 640 (Schering Corp.; 5.11.1968; appl. 22.7.1959).

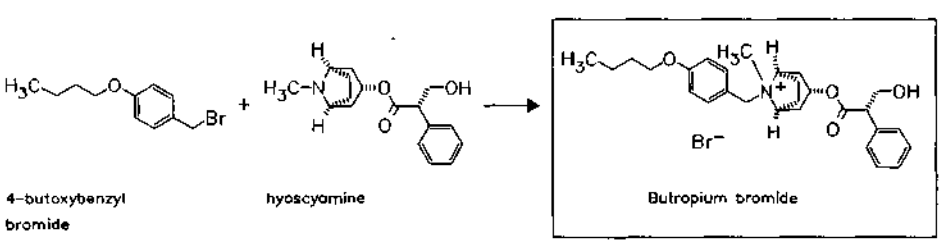
Formulation(s): tabl. 25 mg (as hydrochloride)

Trade Name(s):
GB: Evadyne (Ayerst); wfm I: Evadene (Wyeth-Ayerst)

Butropium bromide

Use: antispasmodic

RN: 29025-14-7 MF: $C_{28}H_{38}BrNO_4$ MW: 532.52 EINECS: 249-375-4
LD_{50}: 6400 µg/kg (M, i.v.); 1500 mg/kg (M, p.o.); 21 mg/kg (R, i.v.)
CN: [3(*S*)-*endo*]-8-[(4-butoxyphenyl)methyl]-3-(3-hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-azoniabicyclo[3.2.1]octane bromide



4-butoxybenzyl bromide

hyoscyamine

Butropium bromide

Reference(s):
DOS 1 950 378 (Eisai Kabushiki Kaisha; appl. 6.10.1969; J-prior. 18.2.1969).
US 3 696 110 (Eisai Kabushiki Kaisha; 3.10.1972; J-prior. 18.2.1969).

Formulation(s): amp. 4 mg

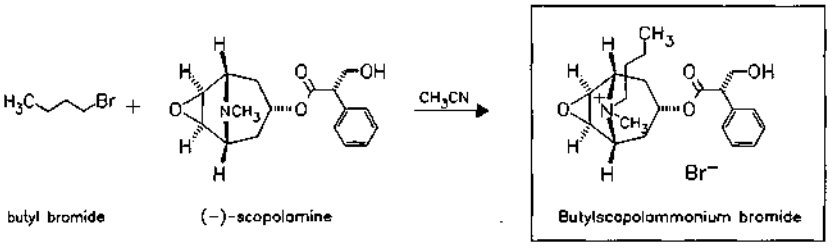
Trade Name(s):
J: Coliopan (Eisai; 1974)

Butylscopolammonium bromide

(Butylscopolamine bromide; Scopolamine butyl bromide; Hyoscin butyl bromide)

ATC: A03BB01
Use: antispasmodic

RN: 149-64-4 MF: $C_{21}H_{30}BrNO_4$ MW: 440.38 EINECS: 205-744-1
LD_{50}: 10.3 mg/kg (M, i.v.); 1170 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 1040 mg/kg (R, p.o.)
CN: [7(*S*)-(1α,2β,4β,5α,7β)]-9-butyl-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9-methyl-3-oxa-9-azoniatricyclo[3.3.1.$0^{2,4}$]nonane bromide



Reference(s):
DE 856 890 (Boehringer Ing.; appl. 1950).

Formulation(s): amp. 20 mg/ml; drg. 10 mg; f. c. tabl. 10 mg; suppos. 7.5 mg, 10 mg; tabl. 20 mg; vial 200 mg/10 ml

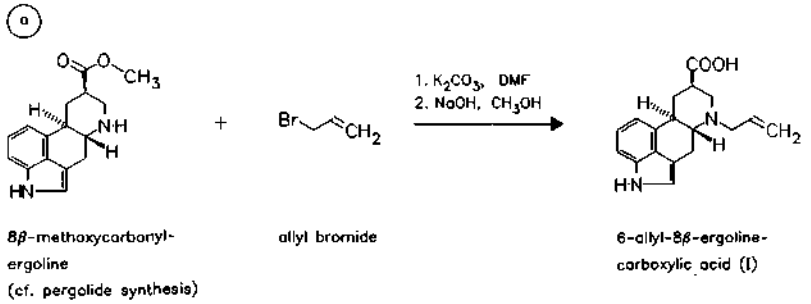
Trade Name(s):

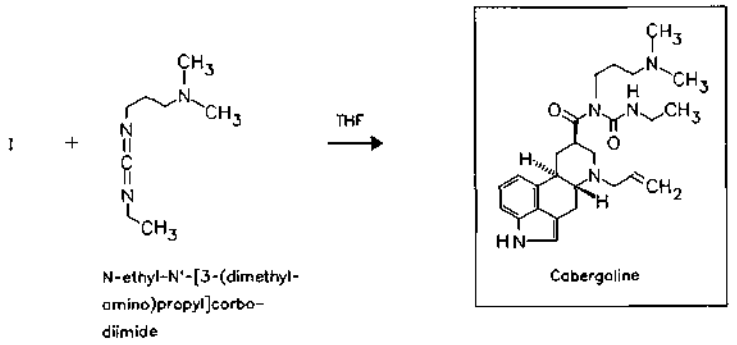
D: Buscopan (Boehringer Ing.)-comb.
F: Génoscopolamine (Amino)
Scopoderm (Novartis)
GB: Buscopan (Boehringer Ing.)
I: Buscopan (Boehringer Ing.)
Buscopan composto (Boehringer Ing.)-comb.
Tranquo-Buscopan (Boehringer Ing.)-comb.
J: Antispasmin (Green Cross)
Bubusco-S (Sawai)
Buscopan (Boehringer-Tanabe)
Buscoridin (Kanebo)
Buscote (Kotani)
Buspon (Toyo Pharmar)
Butibol (Towa)
Butylpan (Hokuriku)
Butymide (Ohta)
Butysco (Kobayashi)
Diaste-M (Fukuchi-Fujizoki)
Hyoscomin (Vitacain)
Hyospan (Toiyo)
Moryspan (Beppu)
Reladan (Isei)
Scobro (Ono)
Scobron (Mohan)
Scobutylamin (Horii)
Scordin-B (Ono)
Scorpan (Kanto)
Sparicon (Yamanouchi)
Spasmopan (Nichiiko)
Stibron (Iwaki)

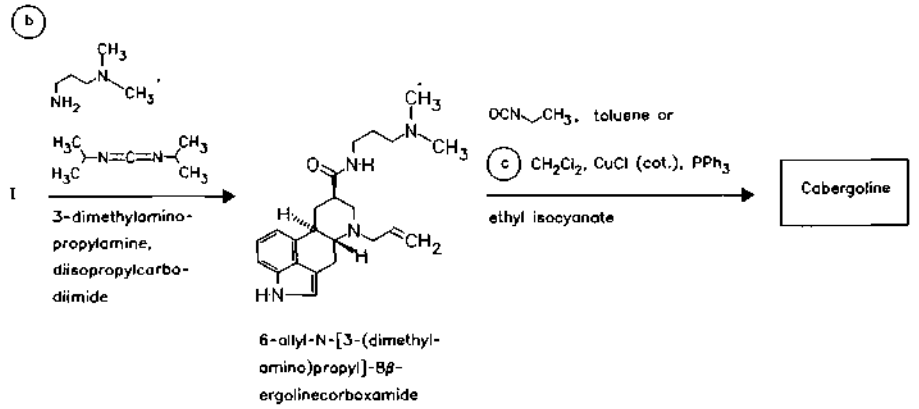
Cabergoline

ATC: G02CB03
Use: dopamine D_2 receptor antagonist, prolactin inhibitor for prevention or suppression of puerperal lactation

RN: 81409-90-7 MF: $C_{26}H_{37}N_5O_2$ MW: 451.62
CN: (8β)-*N*-[3-(Dimethylamino)propyl]-*N*-[(ethylamino)carbonyl]-6-(2-propenyl)ergoline-8-carboxamide







Reference(s):

a GB 2 074 566 (Farmitalia Carlo Erba S.p.A.; appl. 31.3.1981; GB-prior. 3.4.1980).

b US 4 526 892 (Farmitalia Carlo Erba S.p.A.; 2.7.1985; USA-prior. 3.3.1981).
BE 894 060 (Farmitalia Carlo Erba S.p.A.; appl. 9.8.1982; GB-prior. 11.8.1981).

b,c WO 9 318 034 (Farmitalia Carlo Erba S.p.A.; appl. 15.2.1993; GB-prior. 12.3.1982).
Candiani; Cabri, W.; Zarini, F.; Bedeschi, A.: Synlett (SYNLES) **1995** (6), 605.

synthesis and nidation inhibitory activity of a new class of ergoline derivatives:
Brambilla, E.; Disalle, E.; Briatico, G.; Mantegani, S.; Temperilli, A.: Eur. J. Med. Chem. (EJMCA5) **24**, 421 (1989)

Formulation(s): tabl. 0.5 mg, 1 mg, 2 mg, 4 mg

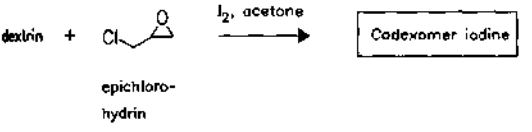
Trade Name(s):

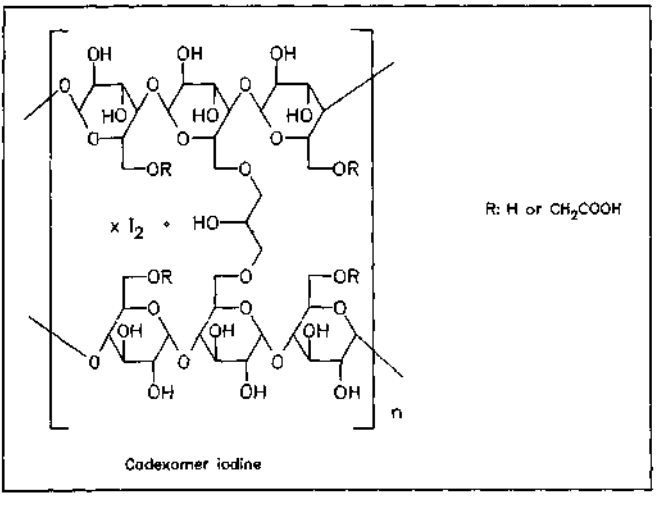
D:	CABASERIL (Pharmacia & Upjohn) Dostinex (Pharmacia & Upjohn)	GB:	Cabaser (Pharmacia & Upjohn) Dostinex (Pharmacia & Upjohn)	I: USA:	Dostinex (Pharmacia & Upjohn) Dostinex (Pharmacia & Upjohn)

Cadexomer iodine

ATC: D03AX01; D08AG
Use: antiseptic for treatment of decubitus and venous leg ulcers

RN: 94820-09-4 MF: unspecified MW: unspecified
LD_{50}: >2 g/kg (R, i.p.); >2 g/kg (R, s.c.)
CN: cadexomer iodine





Reference(s):
DE 2 533 159 (A. O. Johannson; appl. 24.7.1975).
US 4 010 259 (A. O. Johannson; 1.3.1977; appl. 17.7.1975).
FR 2 320 112 (A. O. Johannson; appl. 5.8.1975).

Formulation(s): dry sterile powder, micropellets, sachet 3 g, 1 % bioavailable iodine

Trade Name(s):

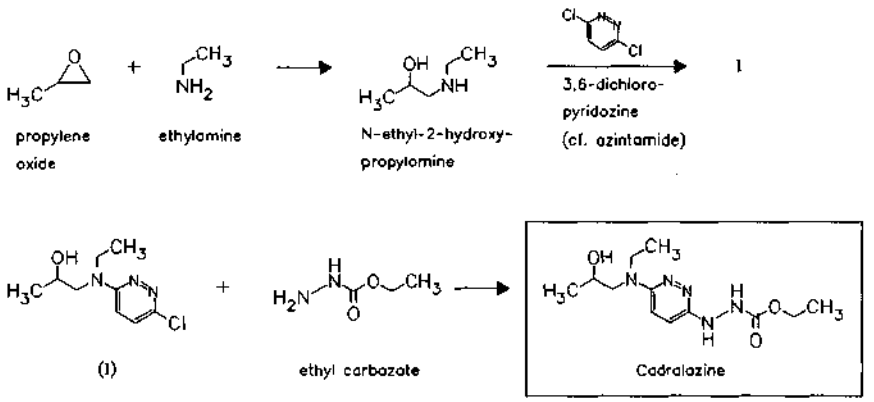
D:	Iodosorb (Strathmann)	F:	Iodosorb (Millot; 1984); wfm

GB: Iodoflex (Perstorp) Iodosorb (Perstorp; 1983) I: Iodosorb (Valeas; 1989)

Cadralazine

ATC: C02DB04
Use: antihypertensive, vasodilator

RN: 64241-34-5 MF: $C_{12}H_{21}N_5O_3$ MW: 283.33
LD_{50}: 700 mg/kg (M, i.p.);
269 mg/kg (R, i.v.); 2060 mg/kg (R, p.o.)
CN: 2-[6-[ethyl(2-hydroxypropyl)amino]-3-pyridazinyl]hydrazinecarboxylic acid ethyl ester



Reference(s):
US 4 002 753 (I.S.F.; 11.1.1977; I-prior. 7.3.1973).

alternative syntheses:
US 4 575 552 (I.S.F.; 11.3.1986; I-prior. 28.4.1983).
US 4 632 982 (I.S.F.; 30.12.1986; I-prior. 28.4.1983).
US 4 757 142 (I.S.F.; 12.7.1988; I-prior. 13.5.1985).
cf. also synthesis of pildralazine

Formulation(s): cps. 10 mg, 15 mg, 20 mg

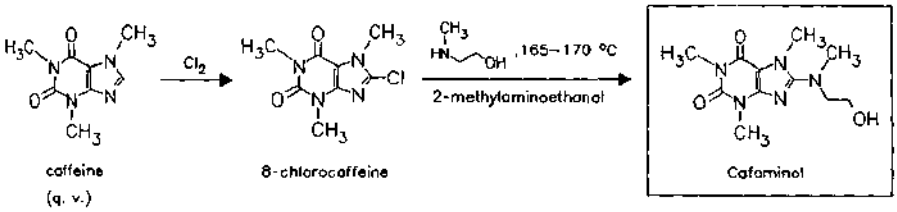
Trade Name(s):
I: Cadraten (SmithKline Beecham); Cadrilan (Novartis)
J: Cadral (Novartis)

Cafaminol

Use: rhinological therapeutic

(Mecoffaminum; Methylcoffanolamine)

RN: 30924-31-3 MF: $C_{11}H_{17}N_5O_3$ MW: 267.29 EINECS: 250-390-3
LD_{50}: 700 mg/kg (M, s.c.)
CN: 3,7-dihydro-8-[(2-hydroxyethyl)methylamino]-1,3,7-trimethyl-1*H*-purine-2,6-dione



Reference(s):
DE 1 085 530 (J. Klosa; appl. 15.8.1958).
US 3 094 531 (Delmar Chemicals; appl. 30.4.1959).

Formulation(s): drg. 50 mg

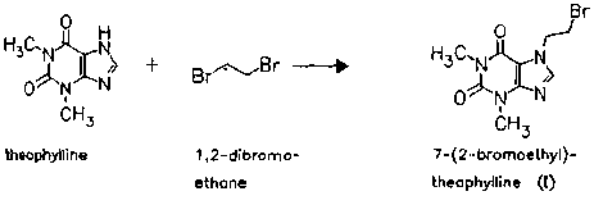
Trade Name(s):
D: Rhinoptil (Promonta); wfm I: Katasma balsamico (Bruschettini)

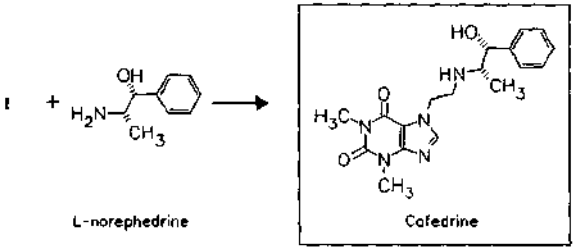
Cafedrine

ATC: C01CA21
Use: circulatory analeptic

RN: 58166-83-9 MF: $C_{18}H_{23}N_5O_3$ MW: 357.41
CN: 3,7-dihydro-7-[2-[(2-hydroxy-1-methyl-2-phenylethyl)amino]ethyl]-1,3-dimethyl-1*H*-purine-2,6-dione

[*R*-(*R,*S**)]-cafedrine**
RN: 78396-34-6 MF: $C_{18}H_{23}N_5O_3$ MW: 357.41
[*R*-(*R,*S**)]-monohydrochloride**
RN: 3039-97-2 MF: $C_{18}H_{23}N_5O_3 \cdot HCl$ MW: 393.88 EINECS: 221-243-0
LD_{50}: 525 mg/kg (M, i.p.)





Reference(s):
DE 1 095 285 (Degussa; appl. 25.9.1956).
US 3 029 239 (Degussa; 10.4.1962; D-prior. 17.4.1954).

Formulation(s): amp. 200 mg; f. c. tabl. 100 mg

Trade Name(s):

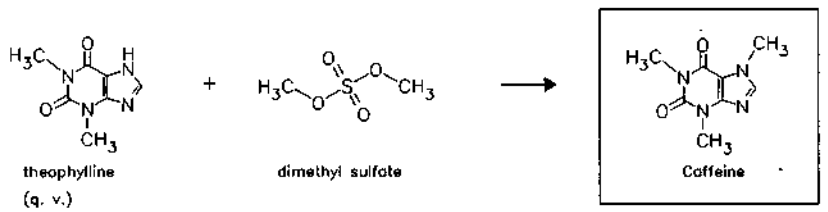
D:	Akrinor (Homburg)-comb. with theodrenaline	F:	Praxinor (Lipha Santé)-comb. with théodrénaline	I:	Akrinor (ASTA Medica; as hydrochloride)

Caffeine

(Caféine; Coffein)

ATC: N06BC01
Use: analeptic, diuretic

RN: 58-08-2 MF: $C_8H_{10}N_4O_2$ MW: 194.19 EINECS: 200-362-1
LD_{50}: 62 mg/kg (M, i.v.); 127 mg/kg (M, p.o.);
105 mg/kg (R, i.v.); 192 mg/kg (R, p.o.);
140 mg/kg (dog, p.o.)
CN: 3,7-dihydro-1,3,7-trimethyl-1*H*-purine-2,6-dione



Reference(s):
DE 834 105 (Boehringer Ing.; appl. 1949).

Formulation(s): tabl. 200 mg

Trade Name(s):

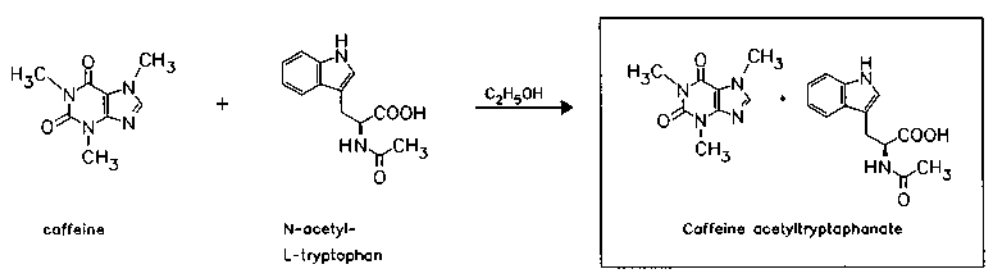
D:	Coffeinum (Merck) Percoffedrinol N (Passauer) numerous combination preparations	GB:	Cefergot (Novartis)-comb. Doloxene (Lilly)-comb. Migril (Glaxo Wellcome)-comb.	J:	numerous generics and combination preparations
F:	Caféine Aguettant (Aguettant) Percutaféine (Fabre) generics and combination preparations	I:	Caffeina (Tariff. Nazionale; as citrate) numerous combination preparations	USA:	Darvon (Lilly) DHCplus (Purdue Frederick) Esgic-plus (Forest)-comb. numerous combination preparations

Caffeine acetyltryptophanate

(A 50; Coftrinum)

ATC: N06BC01
Use: psychotonic

RN: 60364-24-1 MF: $C_{13}H_{14}N_2O_3 \cdot C_8H_{10}N_4O_2$ MW: 440.46
CN: 1-acetyl-L-tryptophan compd. with 3,7-dihydro-1,3,7-trimethyl-1*H*-purine-2,6-dione (1:1)



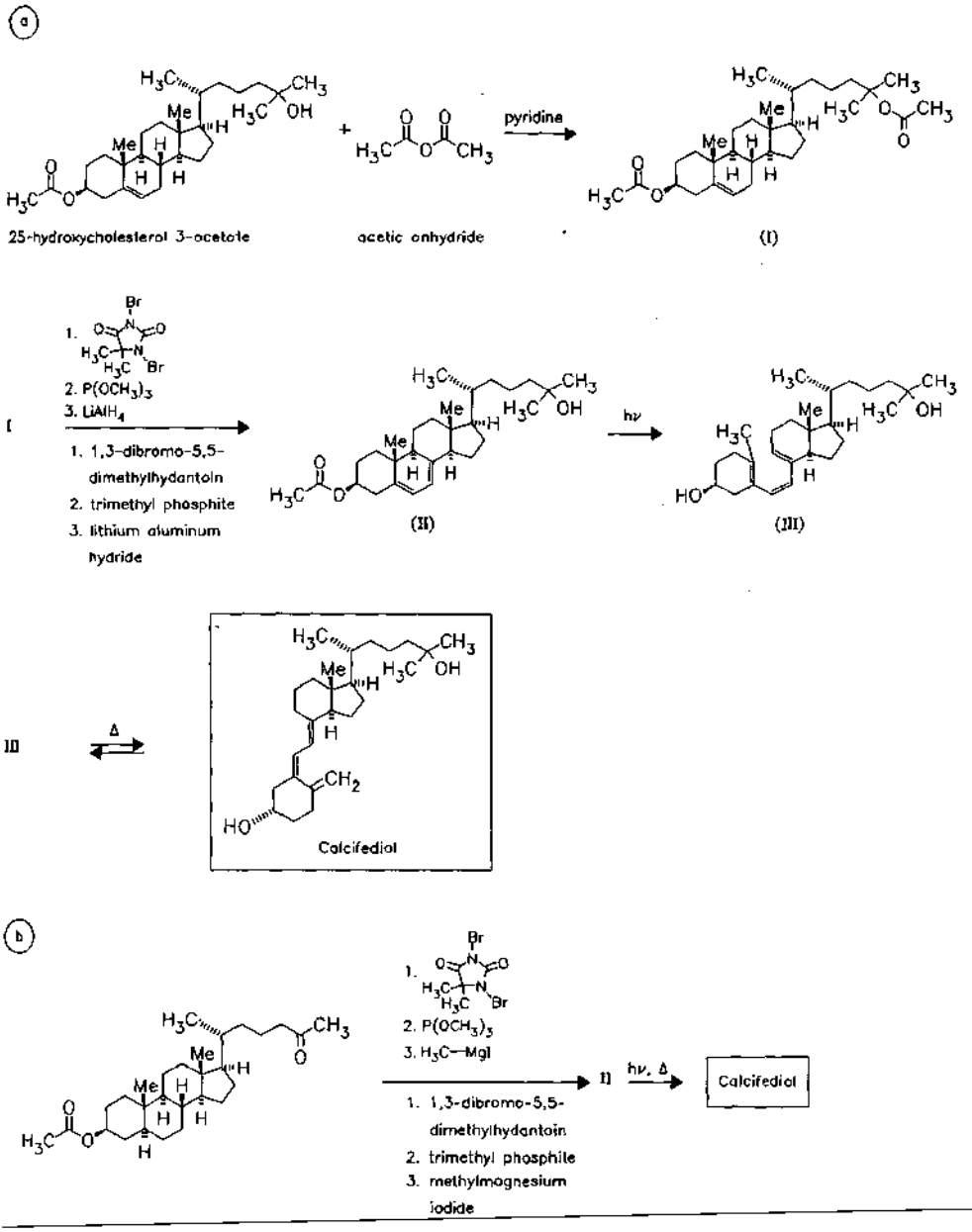
Reference(s):
FR-M 1 759 (A. E. C.; appl. 22.2.1962).

Trade Name(s):
F: Adrifane (Adrian, Paris);
wfm

Calcifediol

ATC: A11CC06
Use: calcium regulator

RN: 19356-17-3 MF: $C_{27}H_{44}O_2$ MW: 400.65 EINECS: 242-990-9
CN: (3β,5*Z*,7*E*)-9,10-secocholesta-5,7,10(19)-triene-3,25-diol



Reference(s):
a,b Blunt, J.W.; DeLuca, H.F.: Biochemistry (BICHAW) **8**, 671 (1969).
DeLuca, H.F.: Am. J. Clin. Nutr. (AJCNAC) **22**, 412 (1969).
Halkes, S.J.; Vliet, N.P. van: Recl. Trav. Chim. Pays-Bas (RTCPA3) **88**, 1080 (1969).

alternative syntheses:
Sodano, Ch. S.: Vitamins, Synthesis, Production and Use, p. 131, 159 (New Jersey 1979).
US 4 001 096 (Upjohn; 4.1.1977; prior. 21.2.1975).
US 3 833 622 (Upjohn; 3.9.1974; prior. 17.3.1969).

structure and isolation:
DeLuca, H.F.: Am. J. Clin. Nutr. (AJCNAC) **22**, 412 (1969).

Formulation(s): drops 0.15 mg/ml, 0.45 mg/ml

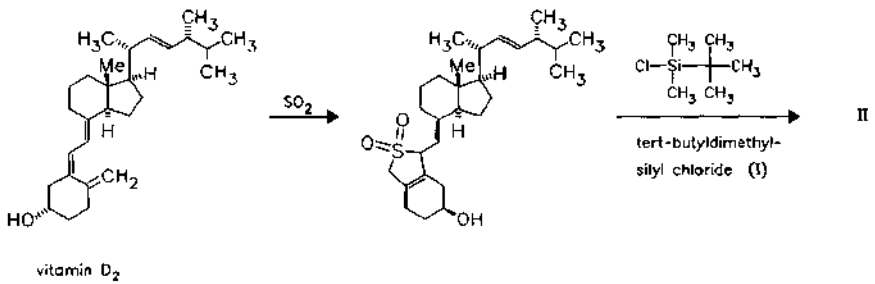
Trade Name(s):

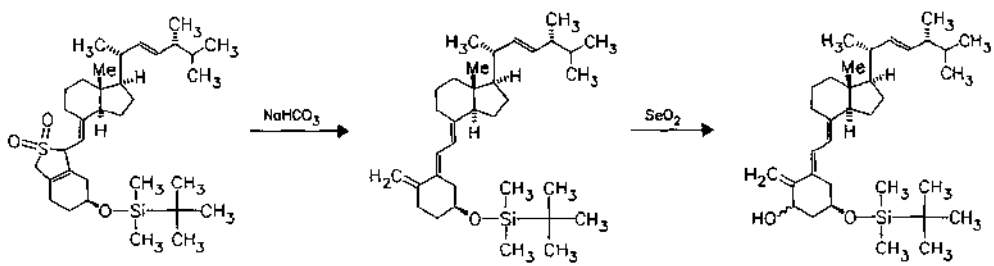
D:	Dedrogyl (Albert-Roussel, Hoechst)	F:	Dédrogyl (Roussel) Un-Alfa (Léo)	I:	Didrogyl (Roussel)
				USA:	Calderol (Organon)

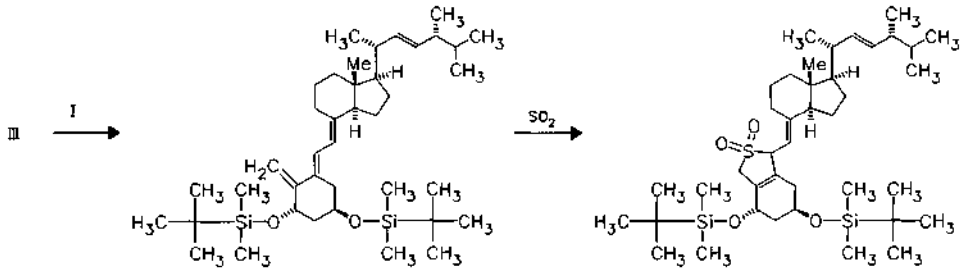
Calcipotriol

ATC: A11CC; D05AX02
Use: antipsoriatic, topical vitamin D_3-analog

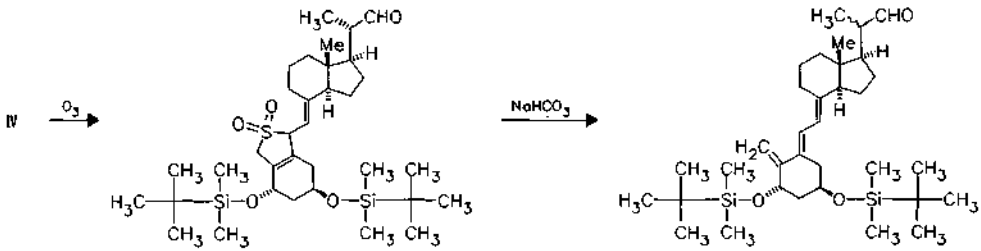
RN: 112828-00-9 MF: $C_{27}H_{40}O_3$ MW: 412.61
CN: (1α,3β,5*Z*,7*E*,22*E*,24*S*)-24-cyclopropyl-9,10-secochola-5,7,10(19),22-tetraene-1,3,24-triol



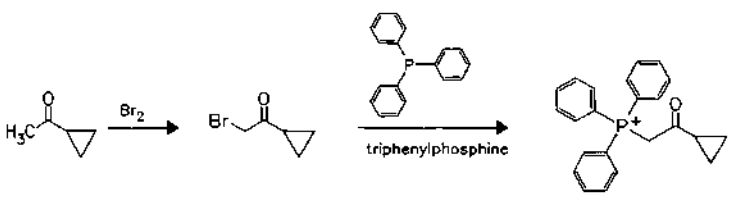




(IV)

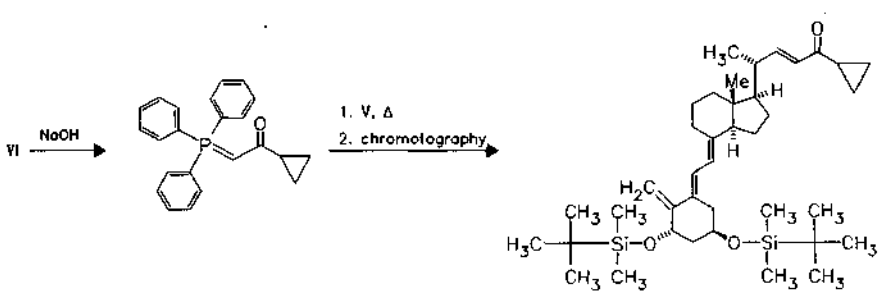


(V)



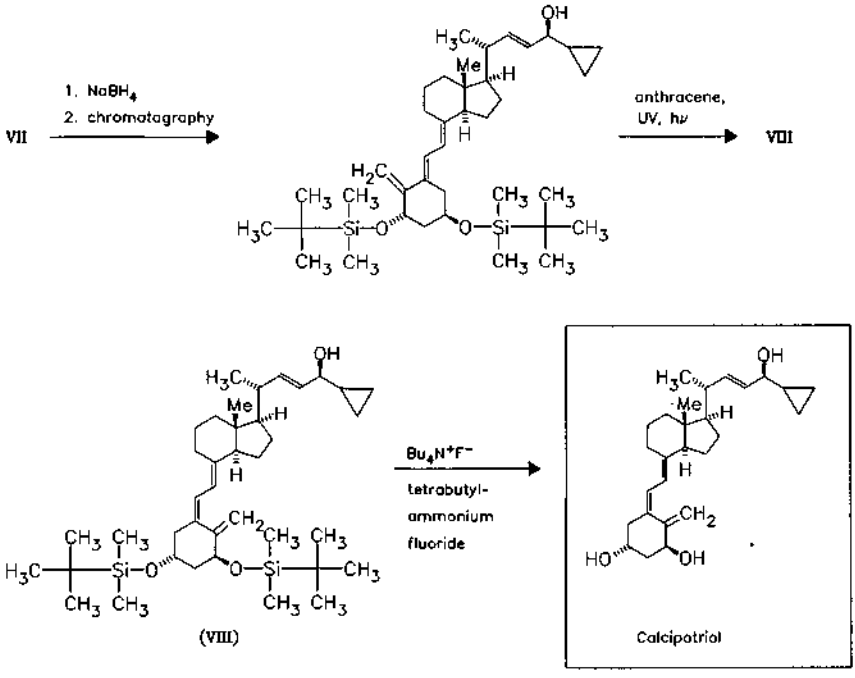
acetylcyclopropane

(VI)



(cyclopropylcarbonylmethylene)triphenylphosphorane

(VII)



Reference(s):
EP 227 826 (Leo; appl. 14.7.1986; GB-prior. 2.8.1985).
WO 8 700 834 (Leo; appl. 14.7.1986; GB-prior. 2.8.1985).
Calverley, M.J.: Tetrahedron (TETRAB) **43**, 4609 (1987).

Formulation(s): ointment 50 µg/g

Trade Name(s):

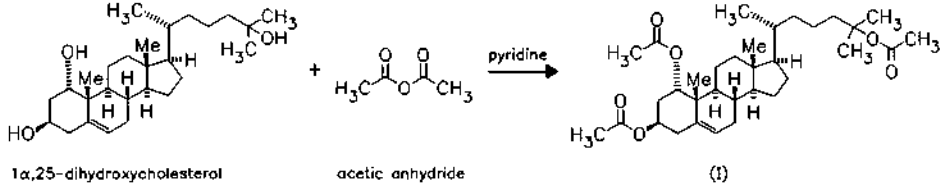
D:	Daivonex (Leo)	F:	Daivonex (Léo)	I:	Daivonex (Formenti)
	Psorcutan (Schering AG)	GB:	Daivonex (Leo; 1991)		Psorcutan (Schering)

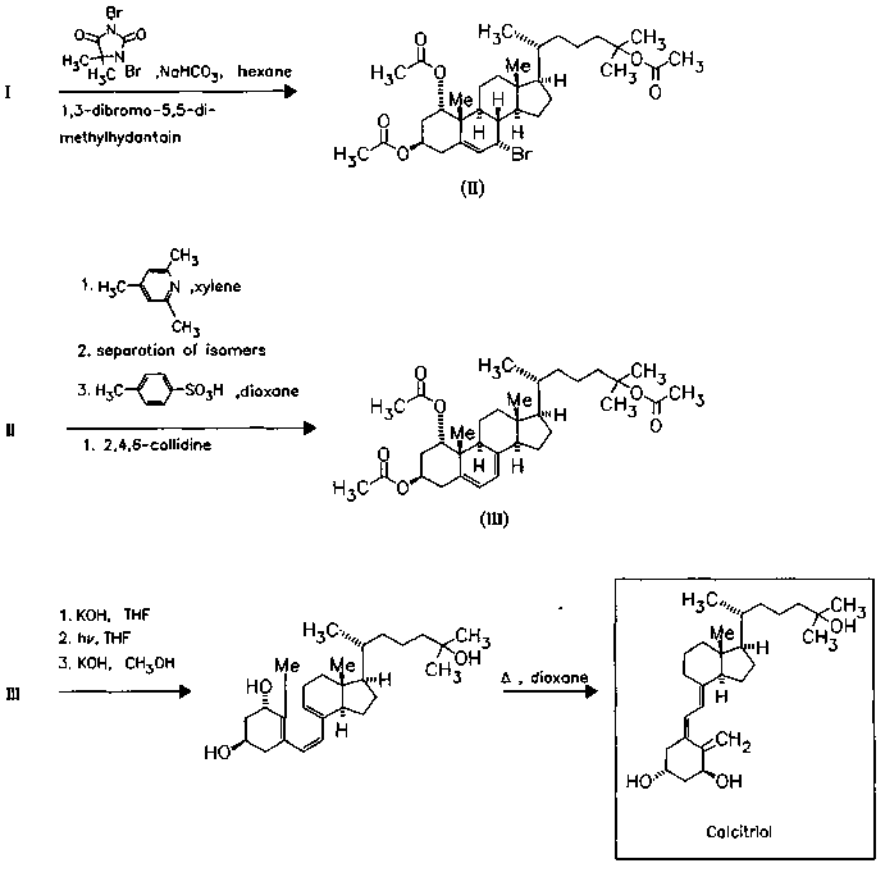
Calcitriol

(1α,25-Dihydroxy-vitamin D_3)

ATC: A11CC04; D05AX03
Use: calcium regulator

RN: 32222-06-3 MF: $C_{27}H_{44}O_3$ MW: 416.65 EINECS: 250-963-8
LD_{50}: 1350 µg/kg (M, p.o.);
105 µg/kg (R, i.v.); 620 µg/kg (R, p.o.)
CN: (1α,3β,5Z,7*E*)-9,10-secocholesta-5,7,10(19)-triene-1,3,25-triol





Reference(s):
US 3 993 675 (Hoffmann-La Roche Inc.; 23.11.1976; prior. 12.11.1973, 24.2.1975).

alternative synthesis:
DOS 2 754 759 (Chugai Seiyaku; appl. 8.12.1977; J-prior. 8.12.1976).
Semmler, E.J. et al.: Tetrahedron Lett. (TELEAY) **1972**, 4147.
Barton, D.R. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **1974**, 203.

synthesis of 1α,25-dihydroxycholesterol:
DOS 2 453 648 (Hoffmann-La Roche; appl. 12.11.1974; USA-prior. 18.11.1973).

Formulation(s): amp. 1 µg/ml, 2 µg/ml; cps. 0.25 µg, 0.5 µg

Trade Name(s):

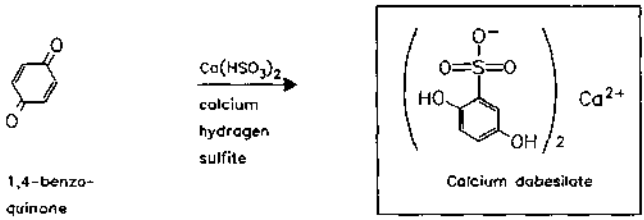
D:	Rocaltrol (Roche)	I:	Calcijex (Abbott)	USA:	Calcijex (Abbott)
F:	Rocaltrol (Roche)		Rocaltrol (Roche)		Rocaltrol (Roche Labs.)
GB:	Rocaltrol (Roche)	J:	Rocaltrol (Roche-Kyorin)		

Calcium dobesilate

(Dobesilate de calcium)

ATC: C05BX01
Use: hemostatic (capillary protective)

RN: 20123-80-2 MF: $C_{12}H_{10}CaO_{10}S_2$ MW: 418.41 EINECS: 243-531-5
LD_{50}: 775 mg/kg (M, i.v.); 7549 mg/kg (M, p.o.);
7061 mg/kg (R, p.o.)
CN: 2,5-dihydroxybenzenesulfonic acid calcium salt (2:1)



Reference(s):
US 3 509 207 (Lab. Om; 28.4.1970; CH-prior. 20.1.1966).

Formulation(s): cps. 250 mg; tabl. 250 mg

Trade Name(s):

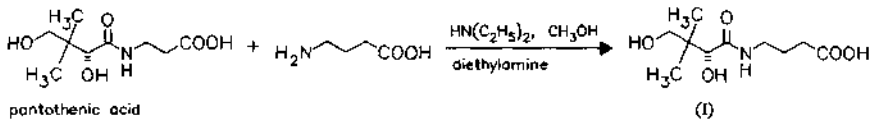
D:	Dexium (Synthelabo)	I:	Dobesifar (Farmila)	Doxium (Delalande
	Dobica (OPW)		Doxiproct-Plus (Delalande	Isnardi)
F:	Doxium (Synthélabo)		Isnardi)-comb.	

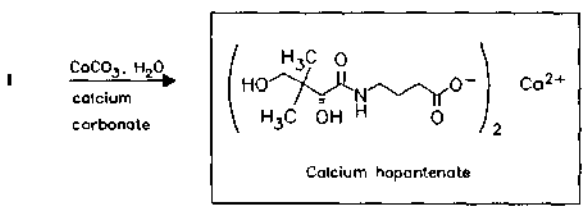
Calcium hopantenate

ATC: N06B
Use: cerebral activator

RN: 17097-76-6 MF: $C_{20}H_{36}CaN_2O_{10}$ MW: 504.59
CN: (*R*)-4-[(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]butanoic acid calcium salt (2:1)

hopantenic acid
RN: 18679-90-8 MF: $C_{10}H_{19}NO_5$ MW: 233.26
LD_{50}: 2250 mg/kg (M, i.p.); 5720 mg/kg (M, route unreported)





Reference(s):
Kopelevich, V.M. et al.: Khim. Farm. Zh. (KHFZAN) **5**, 21 (1971).
JP 26 189 (64) (Takeda; appl. 23.10.1962).

alternative syntheses:
McFall Desha, C.; Fuerst, R.: Biochim. Biophys. Acta (BBACAQ) **86**, 33 (1964).
JP 732 (66) (Tanabe; appl. 25.2.1964).

review:
Nishizawa, Y.; Kodama, T.: Proc. Jpn. Acad. (PCACAW) **42**, 841 (1966).

Trade Name(s):
J: Hopate (Tanabe)

Calcium pantothenate
(Vitamin B_5)

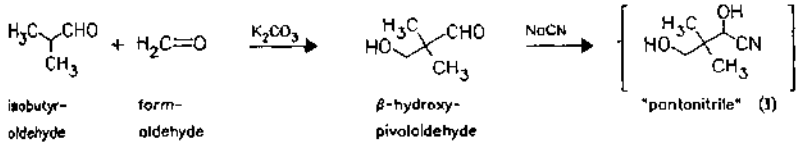
ATC: A11HA31; D03AX04
Use: growth factor

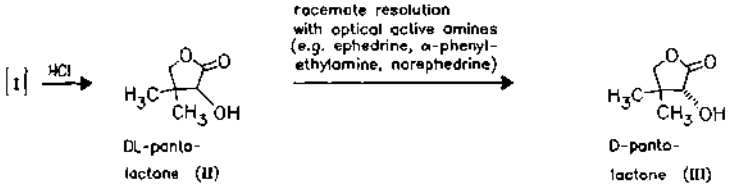
RN: 137-08-6 MF: $C_{18}H_{32}CaN_2O_{10}$ MW: 476.54 EINECS: 205-278-9
LD_{50}: 1443 mg/kg (M, i.p.); 2490 mg/kg (M, route unreported); 2500 mg/kg (M, s.c.); 3500 mg/kg (R, s.c.)
CN: (*R*)-*N*-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)-β-alanine calcium salt (2:1)

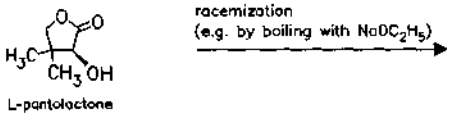
Pantothenic acid

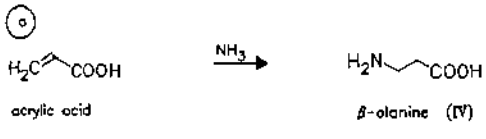
RN: 79-83-4 MF: $C_9H_{17}NO_5$ MW: 219.24 EINECS: 201-229-0
LD_{50}: 910 mg/kg (M, i.v.); 10 g/kg (M, p.o.); 830 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: (*R*)-*N*-(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)-β-alanine

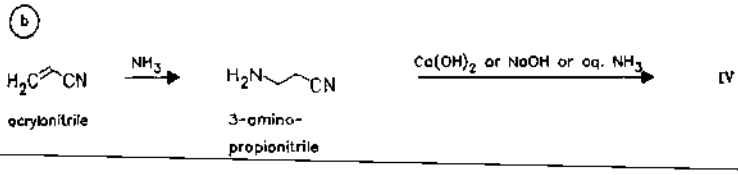
monosodium salt
RN: 867-81-2 MF: $C_9H_{16}NNaO_5$ MW: 241.22 EINECS: 212-768-6

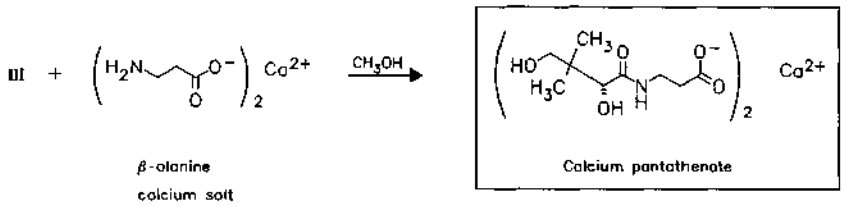












Reference(s):
DL-pantolactone:
Glaser: Monatsh. Chem. (MOCMB7) **25**, 46 (1904).
Stiller et al.: J. Am. Chem. Soc. (JACSAT) **62**, 1785 (1940).
Reichstein, Grüssner: Helv. Chim. Acta (HCACAV) **23**, 650 (1940).
Carter; Ney: J. Am. Chem. Soc. (JACSAT) **63**, 312 (1941).
US 2 552 530 (Upjohn; 1958; appl. 1954).
US 2 863 878 (Union Carbide; 1958; appl. 1954).
GB 857 128 (Nopco; appl. 1958; USA-prior. 1958).
US 2 967 869 (Nopco; 1961; appl. 1958).
US 3 024 250 (Nopco; 1962; appl. 1958).
DOS 2 758 883 (BASF; appl. 30.12.1977).
US 4 082 775 (Soc. Chim. des Charbonnages; 4.4.1978; F-prior. 7.7.1975).
GB 1 490 680 (Soc. Chim. des Charbonnages; appl. 21.6.1976; F-prior. 7.7.1975).
US 4 095 952 (VEB Jenapharm; 20.6.1978; prior. 19.10.1972, 4.6.1974, 16.3.1976, 15.10.1976).

extraction of pantolactone from aqueous solutions with methyl tert-butyl ether:
DOS 2 809 179 (BASF; appl. 3.3.1978).

D-pantolactone:
racemate resolution with ephedrine:
US 2 460 239 (Nopco; 1949; appl. 1945).
US 2 460 240 (Nopco; 1949; appl. 1945).

with L-(+)-1-(4-nitrophenyl)-2-aminopropane-1,3-diol:
DD 16 982 (R. Ring; appl. 1957).
DD 32 628 (W. Braune et al.; appl. 25.3.1963).

with l-α-phenylethylamine:
US 3 185 710 (Nopco; 25.5.1965; appl. 6.9.1961).

with d-3-aminomethylpinane:
GB 1 495 162 (BASF; appl. 29.1.1975; D-prior. 30.1.1974, 9.11.1974).

with d-norephedrine *and derivatives:*
DAS 2 558 508 (Alps; appl. 24.12.1975; J-prior. 19.2.1975).
US 4 045 450 (Alps; 30.8.1977; J-prior. 19.2.1975).

by fractional crystallization of ammonium pantoate:
FR 1 522 111 (Fuji Chemical; appl. 9.5.1967; J-prior. 10.5.1966).

of guanidinium pantoate:
DOS 2 838 689 (A. E. C.; appl. 5.9.1978; F-prior. 5.9.1977).

of lithium pantoate:
US 4 115 443 (VEB Jenapharm; 19.9.1978; prior. 17.10.1973, 10.1.1975, 25.3.1976).
FR-appl. 2 231 638 (VEB Jenapharm; appl. 31.5.1974; DDR-prior. 4.6.1973).

racemization of L-pantolactone:
US 2 976 298 (Nopco; 1961; appl. 1958).
US 2 434 061 (Merck & Co.; 1948; appl. 1945).
US 2 463 734 (Nopco; 1949; appl. 1945).
US 2 967 869 (Nopco; 1961; appl. 1958).

β-alanine:
a US 2 376 334 (Univ. of California; 1945; appl. 1941).
DAS 2 232 090 (Tokyo Fine Chem.; appl. 30.6.1972).
b US 2 336 067 (Lederle; 1943; appl. 1942).
US 2 377 401 (Lederle; 1945; appl. 1942).
US 2 461 842 (Sharpies Chemicals; 1949; appl. 1943).
US 2 819 303 (Nopco; 1958; appl. 1953).
US 2 935 524 (Nopco; 1960; appl. 1957).
DE 1 084 730 (Degussa; appl. 1959).
US 2 956 080 (Merck & Co.; 1960; appl. 1953).
DAS 2 223 236 (VEB Jenapharm; appl. 12.5.1972; DDR-prior. 4.6.1971).
DAS 2 232 090 (Tokyo Fine Chemical; appl. 30.6.1972).

calcium pantothenate:
DE 875 359 (Roche; appl. 1941; CH-prior. 1940).
DE 873 089 (E. Merck AG; appl. 1941; USA-prior. 1940).
GB 571 915 (Lederle; appl. 1943; USA-prior. 1942).
US 2 809 213 (Chemlek Labs.; 1957; appl. 1954).
DAS 1 041 967 (Pfizer; appl. 1954; USA-prior. 1953).
US 2 957 025 (Pfizer; 1960; appl. 1953).
US 2 780 645 (Comm. Solvents Corp.; 1957; appl. 1954).
US 2 935 528 (Nopco; 1960; appl. 1957).

purification:
US 2 390 499 (Lederle; 1945; appl. 1942).
US 2 496 363 (Merck & Co.; 1950; appl. 1948).
US 2 957 025 (Pfizer; 1960; appl. 1953).
GB 1 511 216 (Diamond Shamrock; appl. 1.2.1977).
DOS 2 708 016 (Diamond Shamrock; appl. 24.2.1977).

Formulation(s): cps. 6 mg, 10 mg, 50 mg; ophthalmic ointment 25 mg/g

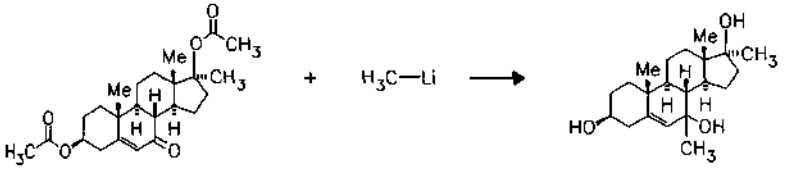
Trade Name(s):

D:	Kerato Biciron (S & K Pharma) numerous combination preparations	GB:	numerous combination preparations combination preparations	J:	Lasonil H (Bayer)-comb. Panto (Daiichi) numerous combination preparations
F:	Modane (RPR Cooper)-comb.	I:	Fisiolax (Manetti Roberts)-comb. Lasaproct (Bayer)-comb.	USA:	Mega-B (Arco)

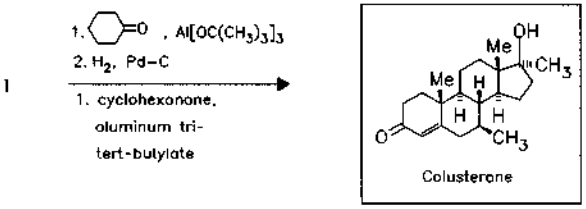
Calusterone

ATC: G03BA; L02A
Use: androgen, antineoplastic (mamma carcinoma)

RN: 17021-26-0 MF: $C_{21}H_{32}O_2$ MW: 316.49
CN: (7β,17β)-17-hydroxy-7,17-dimethylandrost-4-en-3-one



3β,17β-diacetoxy-17α-methyl-7-oxo-5-androstene + methyl-lithium → (1)



Reference(s):
US 3 029 263 (Upjohn; 10.4.1962; prior. 22.12.1958, 6.6.1958)
(synthesis of starting material is also described).

alternative synthesis:
US 3 341 557 (Upjohn; 12.9.1967; prior. 5.6.1961, 6.11.1960, 6.6.1958).

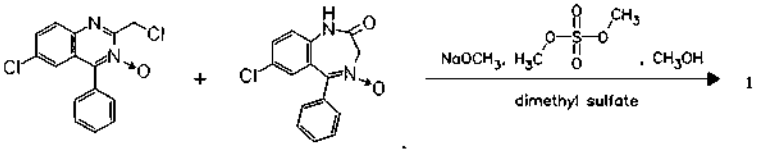
Formulation(s): tabl. 50 mg

Trade Name(s):
USA: Methosarb (Upjohn); wfm

Camazepam

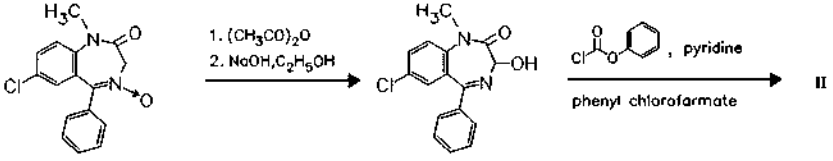
ATC: N05BA15
Use: sedative, tranquilizer

RN: 36104-80-0 MF: $C_{19}H_{18}ClN_3O_3$ MW: 371.82 EINECS: 252-866-6
LD_{50}: 970 mg/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: dimethylcarbamic acid 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1*H*-1,4-benzodiazepin-3-yl ester



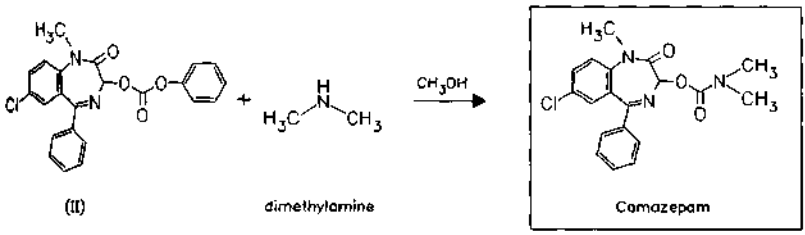
6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide (cf. chlordiozepoxide synthesis)

7-chloro-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide



7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide (I)

7-chloro-3-hydroxy-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one



Reference(s):
DOS 2 142 181 (Siphar; appl. 23.8.1971; CH-prior. 24.8.1970).
US 3 799 920 (Siphar; 26.3.1974; CH-prior. 24.8.1970).
US 3 867 529 (Siphar; 18.2.1975; CH-prior. 24.8.1970).

alternative synthesis (reaction of the 3-hydroxy-compd. with dimethylcarbamoyl chloride):
DOS 2 558 015 (Siphar; appl. 22.12.1975; CH-prior. 6.3.1975).

precursors:
GB 972 968 (Roche; appl. 9.12.1960; USA-prior. 10.12.1959, 15.1.1960, 26.4.1960, 27.6.1960).
Stembach, L.H.; Reeder, E.: J. Org. Chem. (JOCEAH) **26**, 4936 (1961).
Bell, S.C.; Childress, S.J.: J. Org. Chem. (JOCEAH) **27**, 562, 1691 (1962).

Formulation(s): drg. 10 mg, 20 mg

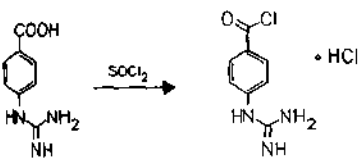
Trade Name(s):
D: Albego (Boehringer Ing.); wfm
I: Albego (Simes); wfm
Limpidon (Crinos); wfm

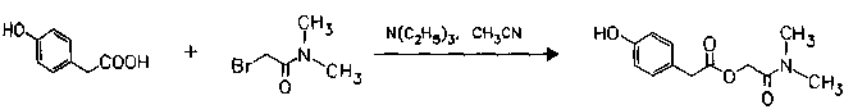
Camostat

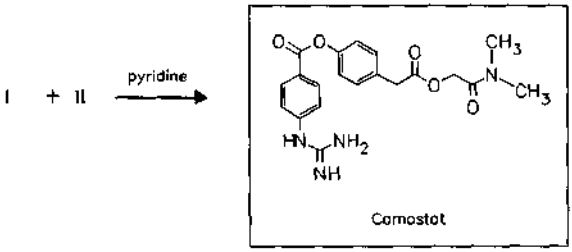
ATC: B02AB04
Use: trypsin inhibitor (for treatment of chronic pancreatitis)

RN: 59721-28-7 MF: $C_{20}H_{22}N_4O_5$ MW: 398.42
CN: 4-[[4-[(aminoiminomethyl)amino]benzoyl]oxy]benzeneacetic acid 2-(dimethylamino)-2-oxoethyl ester

monomesylate
RN: 59721-29-8 MF: $C_{20}H_{22}N_4O_5 \cdot CH_4O_3S$ MW: 494.53
LD_{50}: 200 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
152 mg/kg (R, i.v.); 3 g/kg (R, p.o.)







Reference(s):
DOS 2 548 886 (Ono Pharmac.; appl. 31.10.1975; J-prior. 1.11.1974, 17.12.1974, 27.5.1975).
US 4 021 472 (Ono Pharmac.; 3.5.1977; J-prior. 1.11.1974, 17.12.1974, 27.5.1975).
GB 1 472 700 (Ono Pharmac.; appl. 23.10.1975; J-prior. 1.11.1974; 17.12.1974, 27.5.1975).
FR 2 289 181 (Ono Pharmac.; appl. 30.10.1975; J-prior. 1.11.1974, 17.12.1974, 27.5.1975).

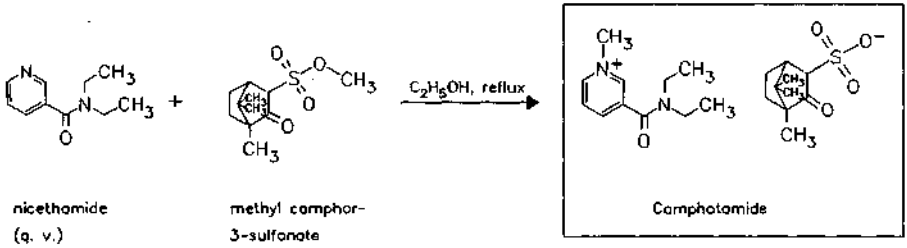
Formulation(s): gran. 200 mg

Trade Name(s):
J: Foipan (Ono; 1985)

Camphotamide
(Camphetamide)

ATC: N06
Use: analeptic

RN: 4876-45-3 MF: $C_{11}H_{17}N_2O \cdot C_{10}H_{15}O_4S$ MW: 424.56 EINECS: 225-484-2
LD_{50}: 422 mg/kg (M, i.v.)
CN: 3-[(diethylamino)carbonyl]-1-methylpyridinium salt with 4,7,7-trimethyl-3-oxobicyclo[2.2.1]heptane-2-sulfonic acid (1:1)



Reference(s):
FR 812 032 (Soc. Franc. de Rech. Biochimiques; appl. 1936).

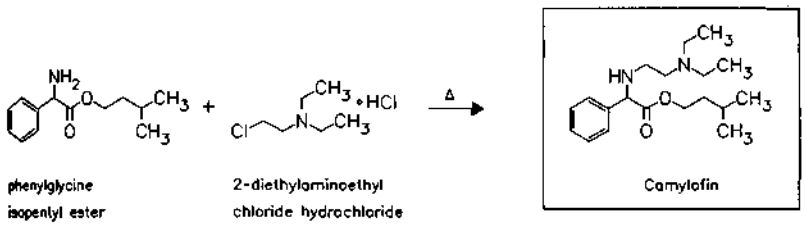
Trade Name(s):
F: Tonicorine (Lematte et Boinot); wfm

Camylofin
(Acamylophenin)

ATC: A03AA03
Use: antispasmodic

RN: 54-30-8 MF: $C_{19}H_{32}N_2O_2$ MW: 320.48 EINECS: 200-202-0
LD_{50}: 760 mg/kg (M, p.o.) 2
CN: α-[[2-(diethylamino)ethyl]amino]benzeneacetic acid 3-methylbutyl ester

dihydrochloride
RN: 5892-41-1 MF: $C_{19}H_{32}N_2O_2 \cdot 2HCl$ MW: 393.40 EINECS: 227-571-0
LD_{50}: 49.2 mg/kg (M, i.v.); 760 mg/kg (M, p.o.);
>.15 g/kg (R, p.o.)



Reference(s):
DE 842 206 (ASTA; appl. 1950).

Formulation(s): amp. 24 mg/ml; drg. 60 mg; suppos. 40 mg

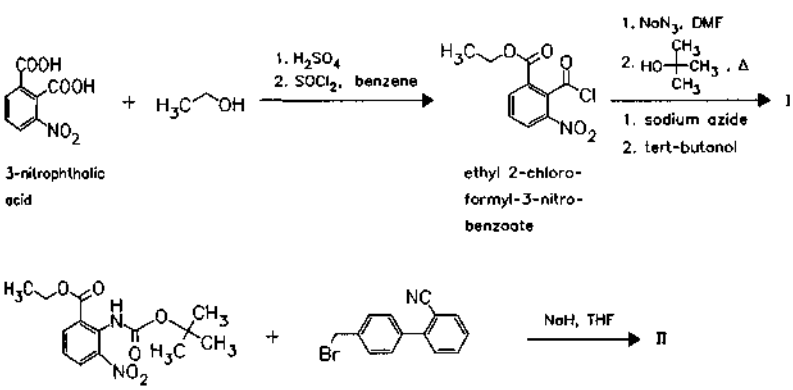
Trade Name(s):

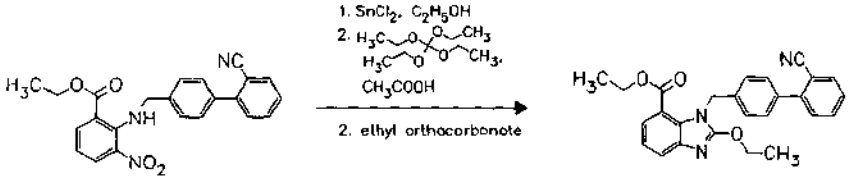
D:	Avacan (ASTA Medica); wfm		Spasmo-Urolong (Thiemann)-comb.; wfm		Avacan (Uji); wfm
	Avafortan (ASTA Medica)-comb.; wfm		Ullus Apotheker Vetter (Vetter)-comb.; wfm		Rugo (Hokuriku); wfm
	Avamigran (Degussa Pharma/ASTA)-comb.; wfm	F:	Avafortan (Lucien)-comb.		
		I:	Avacan (Schering); wfm		
		J:	Adopon (Kowa); wfm		

Candesartan cilexetil
(TCV-116)

Use: antihypertensive, angiotensin II antagonist

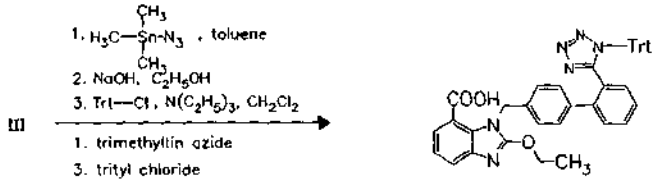
RN: 145040-37-5 MF: $C_{33}H_{34}N_6O_6$ MW: 610.67
CN: (±)-2-ethoxy-1-[[2'-(1*H*-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1*H*-benzimidazole-7-carboxylic acid 1-[[(cyclohexyloxy)carbonyl]oxy]ethyl ester



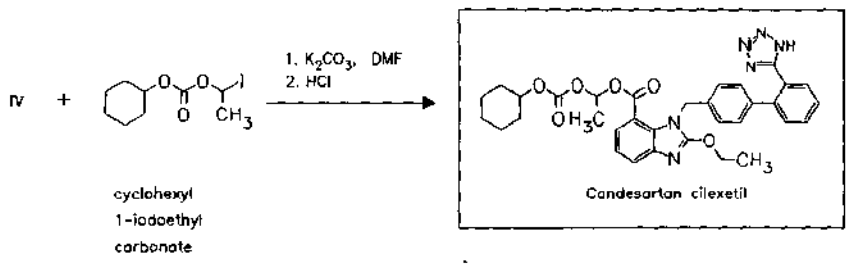


ethyl 2-(2'-cyano-biphenyl-4-ylmethyl-amino)-3-nitrobenzoate (II)

ethyl 1-(2'-cyano-biphenyl-4-ylmethyl)-2-ethoxybenzimidazole-7-carboxylate (III)



2-ethoxy-1-[2'-(1-triphenylmethyltetrazol-5-yl)-biphenyl-4-ylmethyl]-benzimidazole-7-carboxylic acid (IV)



cyclohexyl 1-iodoethyl carbonate

Candesartan cilexetil

Reference(s):
EP 459 136 (Takeda Chem. Ind.; appl. 19.4.1991; J-prior. 27.4.1990, 30.5.1990, 1.10.1990).

Formulation(s): tabl. 4 mg, 8 mg, 16 mg

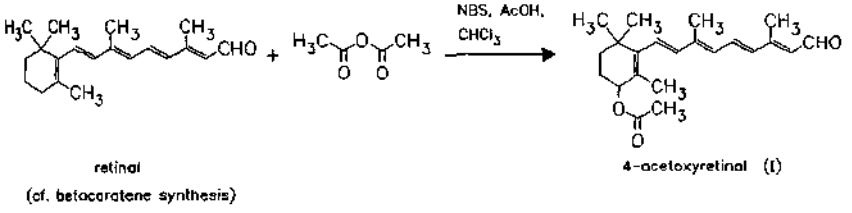
Trade Name(s):

D:	Atacand (Astra/Promed)	GB:	Amias (Astra; Takeda)
	Blopress (Takeda)	USA:	Atacand (Astra)

Canthaxanthin

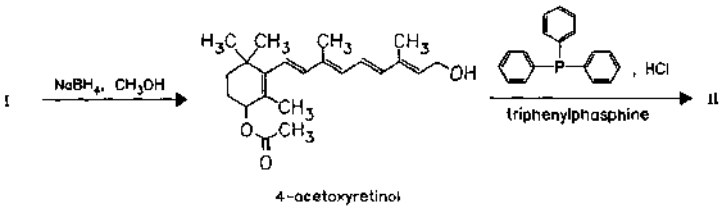
ATC: S01JA
Use: photoprotector, dye stuff

RN: 514-78-3 MF: $C_{40}H_{52}O_2$ MW: 564.85 EINECS: 208-187-2
LD_{50}: 10 g/kg (M, p.o.)
CN: β,β-carotene-4,4'-dione



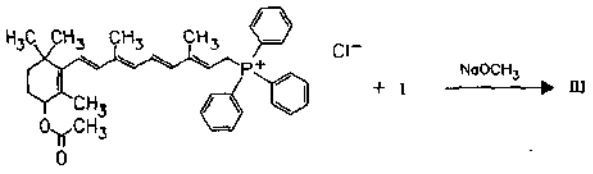
retinal
(cf. betacaratene synthesis)

4-acetoxyretinal (I)

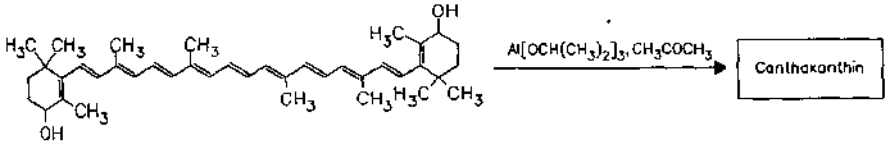


4-acetoxyretinol

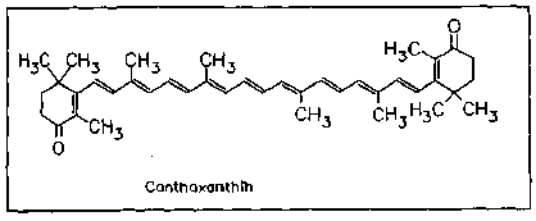
triphenylphasphine



(4-acetoxyretinyl)triphenyl-
phosphonium chloride (II)



trans-isozeaxanthin (III)



Reference(s):
US 3 311 656 (Roche; 28.3.1967; appl. 12.5.1964).
Surmatis, J.D. et al.: Helv. Chim. Acta (HCACAV) **53**, 974 (1970).

alternative syntheses:
DOS 2 037 935 (Roche; appl. 30.7.1970; CH-prior. 1.8.1969).
US 4 000 198 (Roche; 28.12.1976; appl. 9.6.1975).
DOS 2 625 259 (Roche; appl. 4.6.1976; USA-prior. 9.6.1975).

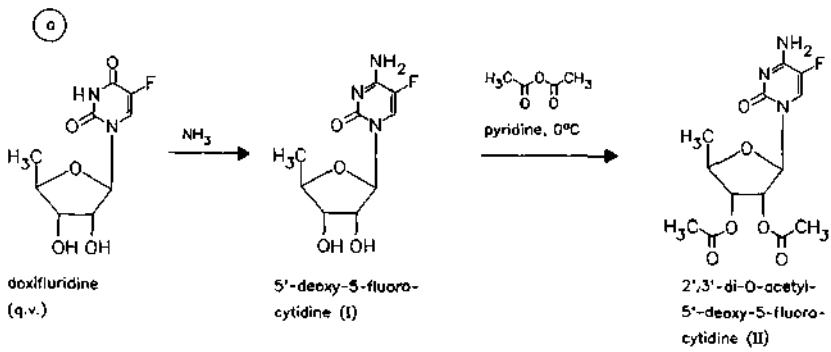
Formulation(s): gel 10 mg/600 mg; 15 mg/900 mg

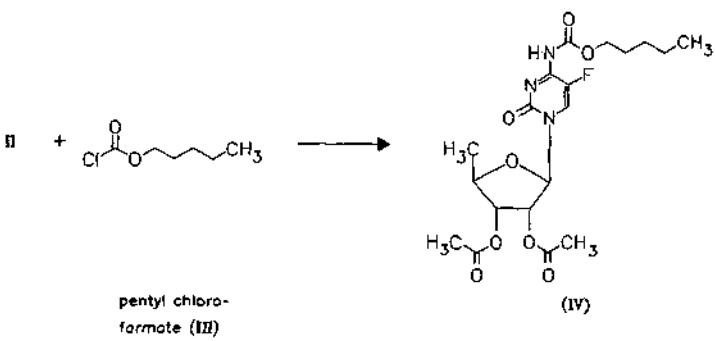
Trade Name(s):
F: Phenoro "Roche" (Prod. Roche S.A.R.L.)-comb. with betacarotene

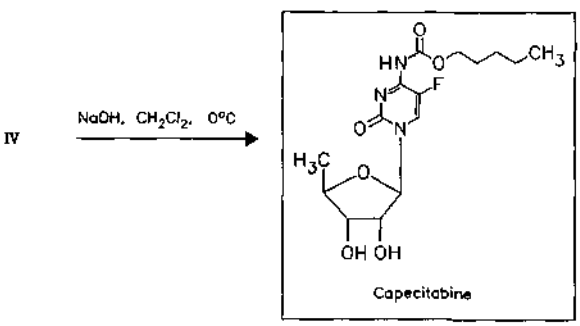
Capecitabine
(Ro-09-1978)

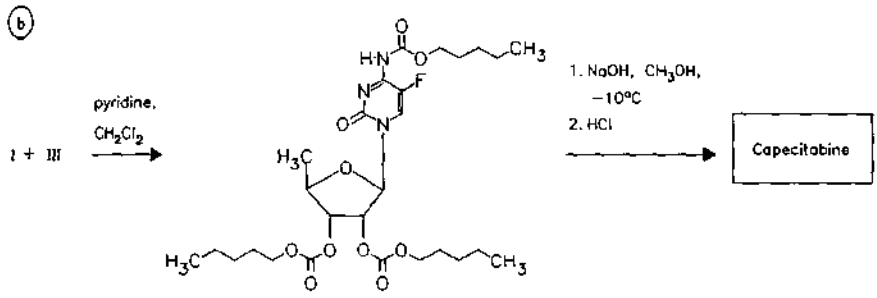
ATC: L01BC06
Use: anticancer, orally active prodrug of doxifluridine

RN: 154361-50-9 MF: $C_{15}H_{22}FN_3O_6$ MW: 359.35
CN: 5'-Deoxy-5-fluoro-*N*-[(pentyloxy)carbonyl]cytidine

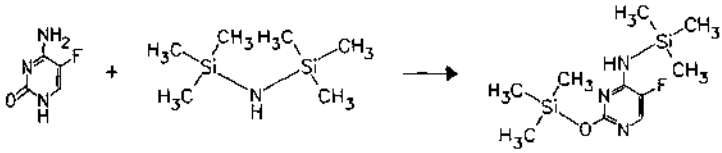








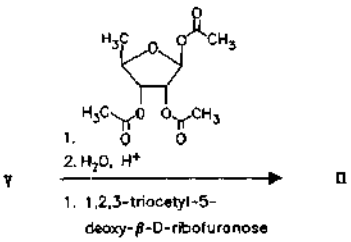
alternative preparation of intermediate II



5-fluoro-cytosine

hexamethyldisilazane

5-fluoro-2-O,4-N-bis-(trimethylsilyl)cytosine (V)



Reference(s):

a EP 602 454 (Hoffmann-La Roche; appl. 1.12.1993; EP-prior. 18.12.1992)
US 5 472 949 (Hoffmann-La Roche; 5.12.1995; EP-prior. 18.12.1992).

b US 5 476 932 (Hoffmann-La Roche; 19.12.1995; USA-prior. 26.8.1994)

compositions of interleukin *and pyrimidine nucleosides:*
WO 9 637 214 (Hoffmann-La Roche; appl. 15.5.1996; EP-prior. 26.5.1995)

Formulation(s): tabl. 150 mg, 500 mg

Trade Name(s):
USA: Xeloda (Roche; 1998)

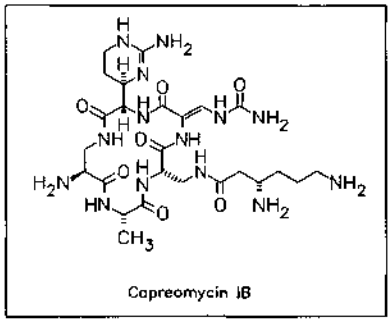
Capreomycin

(Caprenomycin)

ATC: J04AB30
Use: tuberculostatic, peptide antibiotic

RN: 11003-38-6 MF: $C_{25}H_{44}N_{14}O_8$ MW: 668.72
LD_{50}: 238 mg/kg (M, i.v.)
CN: capreomycin (mixture of capreomycin IB, IA, IIA and IIB)

sulfate
RN: 1405-37-4 MF: H_2SO_4 · unspecified MW: unspecified EINECS: 215-776-8
LD_{50}: 250 mg/kg (M, i.v.);
325 mg/kg (R, i.v.)



From culture of *Streptomyces capreolus* by ion-exchange adsorption.

Reference(s):
US 3 143 468 (Eli Lilly; 4.8.1964; appl. 25.5.1962; prior. 2.11.1959).

Formulation(s): vial 1 g

Trade Name(s):

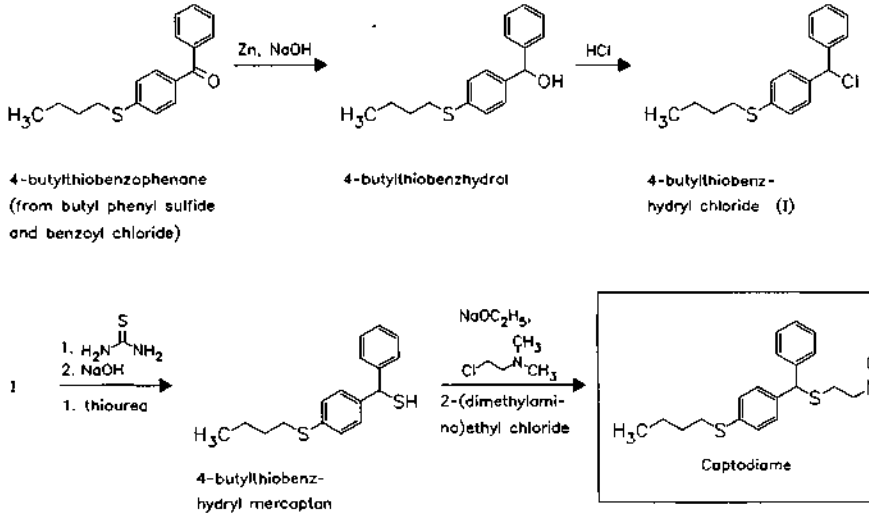
D:	Ogostal (Lilly); wfm	J:	Capastat (Shionogi; as sulfate)
F:	Capastat (Lilly); wfm		
GB:	Capastat (King; as sulfate)	USA:	Capastat (Dura)

Captodiame

ATC: N05BB02
Use: psychoregulant, sedative

RN: 486-17-9 MF: $C_{21}H_{29}NS_2$ MW: 359.60 EINECS: 207-629-1
LD_{50}: 72 mg/kg (M, i.v.); 1630 mg/kg (M, p.o.);
3800 mg/kg (R, p.o.)
CN: 2-[[[4-(butylthio)phenyl]phenylmethyl]thio]-*N*,*N*-dimethylethanamine

hydrochloride
RN: 904-04-1 MF: $C_{21}H_{29}NS_2 \cdot HCl$ MW: 396.06 EINECS: 212-992-4
LD_{50}: 44 mg/kg (M, i.v.)



Reference(s):
US 2 830 088 (O.H. Hubner, P.V. Petersen; 1958; DK-prior. 1952).

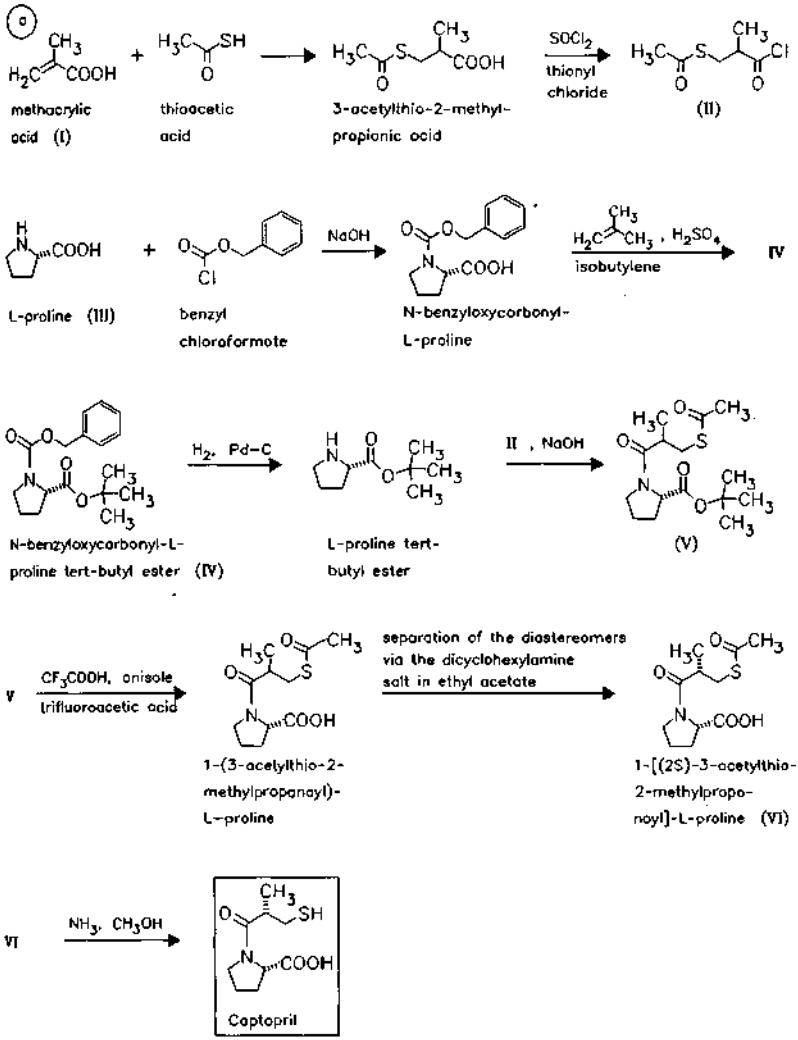
Formulation(s): tabl. 50 mg

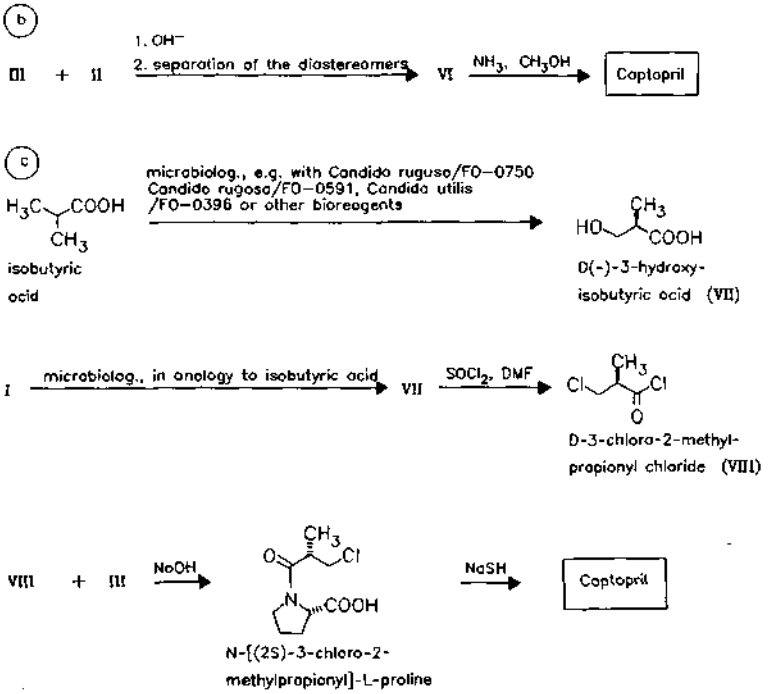
Trade Name(s):
F: Covatine (Bailly)

Captopril

ATC: C09AA01
Use: antihypertensive (ACE inhibitor)

RN: 62571-86-2 MF: $C_9H_{15}NO_3S$ MW: 217.29 EINECS: 263-607-1
LD_{50}: 663 mg/kg (M, i.v.); 2500 mg/kg (M, p.o.);
554 mg/kg (R, i.v.); 4245 mg/kg (R, p.o.)
CN: (*S*)-1-(3-mercapto-2-methyl-1-oxopropyl)-L-proline





Reference(s):

a,b DOS 2 703 828 (Squibb; appl. 31.1.1977; USA-prior. 13.2.1976; 21.6.1976, 22.12.1976).
US 4 046 889 (Squibb; 6.9.1977; appl. 13.2.1976).
US 4 105 776 (Squibb; 8.8.1978; prior. 13.2.1976, 21.6.1976, 22.12.1976).
US 4 154 840 (Squibb; 15.5.1979; prior. 13.2.1976, 21.6.1976, 22.12.1976, 9.3.1977).
US 4 154 935 (Squibb; 15.5.1979; prior. 21.2.1978, 1.9.1978).

c GB 2 065 643 (Kanegafuchi; appl. 1.12.1980; J-prior. 13.12.1979, 28.12.1979, 8.3.1980).
US 4 460 780 (Kanegafuchi; 17.7.1984; J-prior. 20.1.1982).

microbiological production of D-(–)-3-hydroxyisobutyric acid:
US 4 310 635 (Kanegafuchi; 12.1.1982; J-prior. 6.11.1979, 14.2.1980, 7.7.1980).

similar methods with D- *or* DL-*3-halogeno-2-methylpropionic acids as intermediates:*
Nam, D.H. et al.: J. Pharm. Sci. (JPMSAE) **73**, 1843 (1984).
DE 3 049 273 (Egyt; appl. 29.12.1980; HU-prior. 29.12.1979).
US 4 332 725 (Egyt; 1.6.1982; HU-prior. 29.12.1979).
GB 2 066 252 (Egyt; appl. 29.12.1980; HU-prior. 29.12.1979).
US 4 399 144 (Wyeth; 16.8.1983; GB-prior. 30.4.1980).

alternative syntheses:
via 3-mercapto-2-D-methylpropionic acid:
US 4 384 139 (Kanegafuchi; 17.5.1983; J-prior. 20.8.1980).
GB 2 082 174 (Kanegafuchi; appl. 7.8.1981; J-prior. 20.8.1980).

*via 3-acylthio-2-*D*-methylpropionic acids:*
EP 8 831 (Océ-Andeno; appl. 31.8.1979; NL-prior. 7.9.1978).

racemate resolution of DL-*3-acylthio-2-methylpropionic acids:*
EP 35 811 (Océ-Andeno; appl. 26.2.1981; NL-prior. 6.3.1980).
US 4 346 045 (Océ-Andeno; 24.8.1982; NL-prior. 6.3.1980).
US 4 297 282 (Sumitomo; 27.10.1981; J-prior. 2.3.1979, 13.3.1979, 28.6.1979, 25.7.1979).

racemization of L-*3-acylthio-2-methylpropionic acids:*
US 4 411 836 (Sumitomo; 25.10.1983; J-prior. 13.3.1979).

purification of captopril *(removal of disulfide):*
US 4 332 726 (Squibb; 1.6.1982; appl. 25.8.1980).

medical use for treatment of glaucoma:
EP 99 239 (Squibb; appl. 6.7.1983; USA-prior. 6.7.1982).

combination with diuretics:
DOS 2 854 316 (Squibb; appl. 15.12.1978; USA-prior. 27.12.1977).

controlled-release formulation:
US 4 505 890 (Squibb; 19.5.1985; appl. 30.6.1983).

Formulation(s): tabl. 6.25 mg, 12.5 mg, 25 mg, 50 mg

Trade Name(s):

D: Capozide (Bristol-Myers Squibb; 1984)-comb. with hydrochlorothiazide
Lopirin (Bristol-Myers Squibb; 1981)
Tensobon (Schwarz; 1983)

F: Captéa (Bellon)-comb.
Captolane (Bellon; 1984)
Ecazide (Bristol-Myers Squibb)-comb.

GB: Lopril (Bristol-Myers Squibb; 1982)
Acepril (Ashbourne)
Azecide (Ashbourne)-comb.
Capoten (Bristol-Myers Squibb; 1981)
Capozide (Bristol-Myers Squibb)-comb.

I: Acediur (Guidotti)-comb.
Aceplus (Bristol-Myers Squibb)-comb.
Accepress (Guidotti)
Capoten (Bristol-Myers Squibb; 1981)

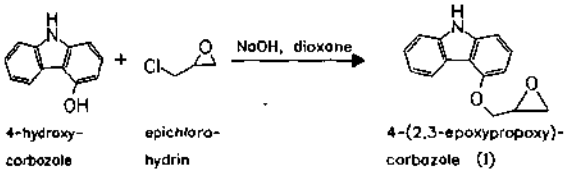
J: Captoril (Sankyo; 1983)

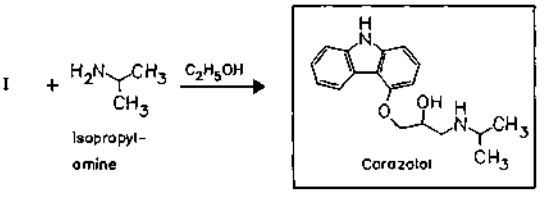
USA: Capoten (Bristol-Myers Squibb; 1981)
Capozide (Bristol-Myers Squibb; 1986)

Carazolol

ATC: C07AA
Use: non-selective β-adrenoceptor blocker, antihypertensive, antianginal

RN: 57775-29-8 MF: $C_{18}H_{22}N_2O_2$ MW: 298.39 EINECS: 260-945-1
CN: 1-(9*H*-carbazol-4-yloxy)-3-[(1-methylethyl)amino]-2-propanol





Reference(s):
DOS 2 240 599 (Boehringer Mannh.; appl. 18.8.1972).
GB 1 369 580 (Boehringer Mannh.; valid from 9.10.1974; D-prior. 18.8.1972).

synthesis of 4-hydroxycarbazole:
DOS 2 928 483 (Boehringer Mannh., appl. 14.7.1979).

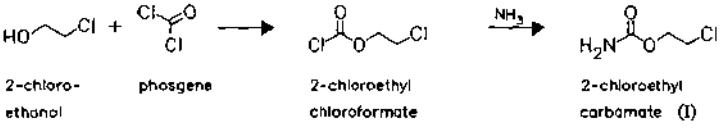
Formulation(s): tabl. 5 mg

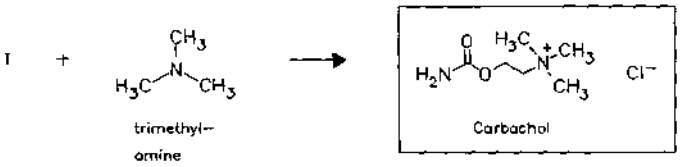
Trade Name(s):
D: Conducton (Klinge)

Carbachol
(Carbacholine)

ATC: N07AB01; S01EB02
Use: parasympathomimetic

RN: 51-83-2 MF: $C_6H_{15}ClN_2O_2$ MW: 182.65 EINECS: 200-127-3
LD_{50}: 300 µg/kg (M, i.v.); 15 mg/kg (M, p.o.);
100 µg/kg (R, i.v.); 40 mg/kg (R, p.o.)
CN: 2-[(aminocarbonyl)oxy]-*N,N,N*-trimethylethanaminium chloride





Reference(s):
DRP 539 329 (E. Merck AG; appl. 1930).
DRP 553 148 (E. Merck AG; appl. 1930).
DRP 590 311 (E. Merck AG; appl. 1932).
Hayworth, R.D. et al.: J. Chem. Soc. (JCSOA9) **1947** 176.

alternative synthesis from choline chloride:
US 2 374 367 (Merck & Co.; 1945; prior. 1943).

Formulation(s): amp. 0.25 mg; tabl. 2 mg

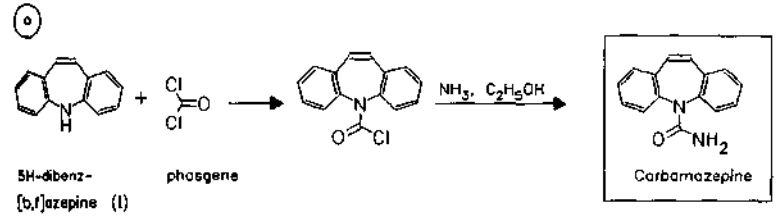
Trade Name(s):

D:	Carbamann (Mann) Doryl (Merck) Isopto-Carbachol (Alcon) Jesytryl (Chauvin ankerpharm)	F:	Iricoline (Lematte et Boinot); wfm Isopto Carbachol (Alcon); wfm	I:	Mios (Intes)-comb.
		GB:	Isopto-Carbachol (Alcon)-comb.	J:	Calpinol (Tanabe)
				USA:	Isopto Carbachol Solut. (Alcon)

Carbamazepine

ATC: N03AF01; N03AX
Use: antiepileptic, anticonvulsant

RN: 298-46-4 MF: $C_{15}H_{12}N_2O$ MW: 236.27 EINECS: 206-062-7
LD_{50}: 529 mg/kg (M, p.o.);
1957 mg/kg (R, p.o.);
5620 mg/kg (dog, p.o.)
CN: 5*H*-dibenz[*b,f*]azepine-5-carboxamide



Reference(s):
US 2 948 718 (Geigy; 9.8.1960; CH-prior. 20.12.1957).

alternative synthesis:
DD 133 052 (R. Müller; appl. 8.9.1977).

Formulation(s): s. r. tabl. 200 mg, 400 mg; susp. 100 mg; tabl. 200 mg

Trade Name(s):

D:	Finlepsin (ASTA Medica AWD; Boehringer Mannh.) Sirtal (Merck Generika) Tegretal (Novartis Pharma)	F:	Timonil (Desitin) Tegrétol (Novartis)	J:	Tegretol (Fujisawa)
		GB:	Tegretol (Novartis)	USA:	Epitol (Teva) Tegretol (Novartis)
		I:	Tegretol (Novartis)		

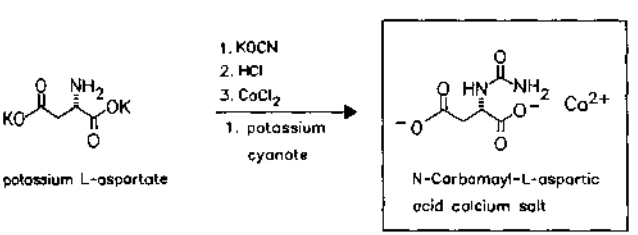
N-Carbamoyl-L-aspartic acid calcium salt

Use: psychoenergetic, tranquilizer

(Calcii carbaspartas)

RN: 16649-79-9 MF: $C_5H_6CaN_2O_5$ MW: 214.19 EINECS: 240-698-6
CN: *N*-(aminocarbonyl)-L-aspartic acid calcium salt (1:1)

N-carbamoyl-L-aspartic acid
RN: 13184-27-5 MF: $C_5H_8N_2O_5$ MW: 176.13 EINECS: 236-134-3
LD_{50}: >1 g/kg (M, p.o.)



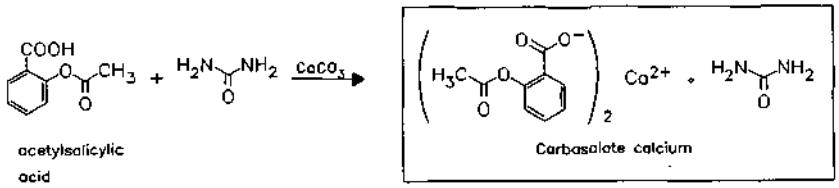
Reference(s):
FR-M 6 376 (Roussel-Uclaf; appl. 18.4.1967).

Trade Name(s):
F: Cycluran (Salvoxyl-Wander); wfm | Cycluran (Salvoxyl-Wander; as L-ornithine-salt); wfm | Pacilan (Syntex-Daltan); wfm

Carbasalate calcium
(Calcium carbaspirin)

ATC: B01AC08; N02BA15
Use: analgesic

RN: 5749-67-7 MF: $C_{18}H_{14}CaO_8 \cdot CH_4N_2O$ MW: 458.44 EINECS: 227-273-0
CN: 2-(acetyloxy)benzoic acid calcium salt compd. with urea (1:1)



Reference(s):
Parrott, E.L.: J. Pharm. Sci. (JPMSAE) **51**, 897 (1962).

calcium acetylsalicylate:
US 2 003 374 (Lee Labs.; 1935; appl. 1932).

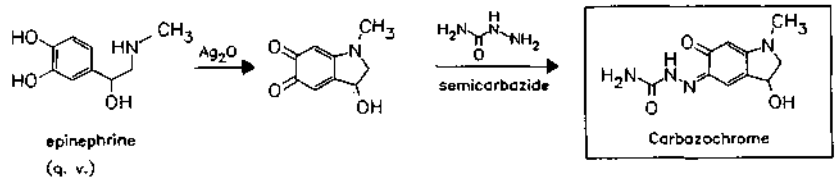
Formulation(s): tabl. 500 mg

Trade Name(s):
D: Iromin (Omegin); wfm | USA: Fiogesic (Sandoz); wfm | Ursinus Inlay-Tabs (Dorsey); wfm
F: Solupsan (UPSA)

Carbazochrome

ATC: B02BX02
Use: antihemorrhagic, hemostatic

RN: 69-81-8 MF: $C_{10}H_{12}N_4O_3$ MW: 236.23 EINECS: 200-717-0
LD_{50}: >35.832 g/kg (M, p.o.);
>17.280 g/kg (R, p.o.)
CN: 2-(1,2,3,6-tetrahydro-3-hydroxy-1-methyl-6-oxo-5*H*-indol-5-ylidene)hydrazinecarboxamide



Reference(s):
US 2 506 294 (Soc. Belge de l'Azote et des Prod. Chim.; 1950; B-prior. 1943).
GB 806 908 (Labaz; appl. 1957; USA-prior. 1956).
US 3 244 591 (Endo Labs.; 5.4.1966; appl. 10.8.1960).

oxidation of adrenaline *with persulfate:*
DOS 2 713 652 (Nippon Gohsei; appl. 28.3.1977; J-prior. 31.3.1976).

Formulation(s): inj. sol. 1.5 mg/3.6 ml, 50 mg/10 ml; tabl. 2.5 mg, 10 mg

Trade Name(s):

D: Adrenoxyl (Sanofi Winthrop)
F: Adrénoxyl (Labaz); wfm
Bivenon (Lab. Français de Thérapeutique); wfm
I: Fleboside (Synthelabo)-comb.
J: Adcal (Nissin)
Adedolon (Sanwa)
Adenaron (Kowa)
Adnamin (Kanto)
Adona (Tanabe)
Adonamin (Kanto)
Adorzon (Hokuriku)
Adostill-AC (Dojin Iyaku)
Adozon (Kyorin)
Adrechros (Toho Iyaku)
Adrezon (Ono)
Blochel (Mochida)
Carbazon (Hokuriku)
Carbinate (Fuji Zoki)
Carnamid (Kanebo)
Chichina (Fuso)
Donaseven (Kini Yakult Seizo)
Kealain (Funai)
Ohproton (Ohta)
Olynate (Sanwa)
Perichron (Toho Yakuhin)
Shiketsumin (Ohta)
Tazin (Grelan)
USA: Adrenosem (Beecham-Massengill); wfm

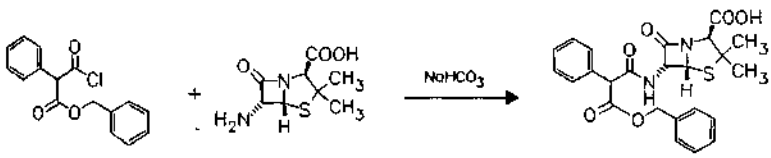
Carbenicillin

ATC: J01CA03
Use: antibiotic

RN: 4697-36-3 MF: $C_{17}H_{18}N_2O_6S$ MW: 378.41 EINECS: 225-171-0
LD_{50}: 2363 mg/kg (M, i.v.)
CN: [2*S*-(2α,5α,6β)]-6-[(carboxyphenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

disodium salt
RN: 4800-94-6 MF: $C_{17}H_{16}N_2Na_2O_6S$ MW: 422.37 EINECS: 225-360-8
LD_{50}: 4500 mg/kg (M, i.v.); >12 g/kg (M, p.o.);
6800 mg/kg (R, i.v.); >10 g/kg (R, p.o.)

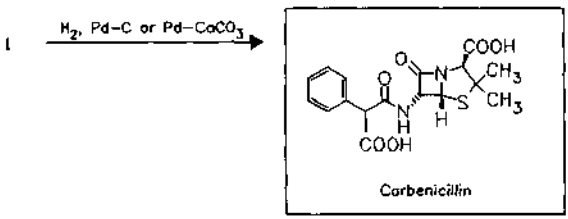
monopotassium salt
RN: 17230-86-3 MF: $C_{17}H_{17}KN_2O_6S$ MW: 416.50 EINECS: 241-269-6



phenylmalonic acid benzyl ester chloride

6-aminopenicillanic acid

carbenicillin benzyl ester (I)



Reference(s):
US 3 142 673 (Pfizer; 28.7.1964; appl. 31.3.1961).
US 3 282 926 (Beecham; 1.11.1966; GB-prior. 23.4.1963).
US 3 492 291 (Beecham; 27.1.1970; GB-prior. 23.4.1963).
DE 1 295 558 (Beecham; appl. 23.4.1964; GB-prior. 23.4.1963).
GB 1 004 670 (Beecham; appl. 23.4.1963; valid from 20.4.1964).
GB 1 197 973 (Beecham; appl. 18.4.1967).
DAS 1 770 225 (Beecham; appl. 18.4.1968; GB-prior. 18.4.1967).

from phenylmalonic acid monochloride:
DAS 2 244 556 (Pfizer; appl. 11.9.1972; USA-prior. 1.10.1971).

alternative syntheses:
DE 1 931 097 (Koninkl. Nederland. Gisten Spiritusfabriek; appl. 19.6.1969; NL-prior. 19.6.1968).
DE 1 966 702 (Koninkl. Nederland. Gisten Spiritusfabriek; appl. 19.6.1969; NL-prior. 19.6.1968).
DOS 2 622 456 (Bayer; appl. 20.5.1976).

Formulation(s): tabl. 382 mg

Trade Name(s):

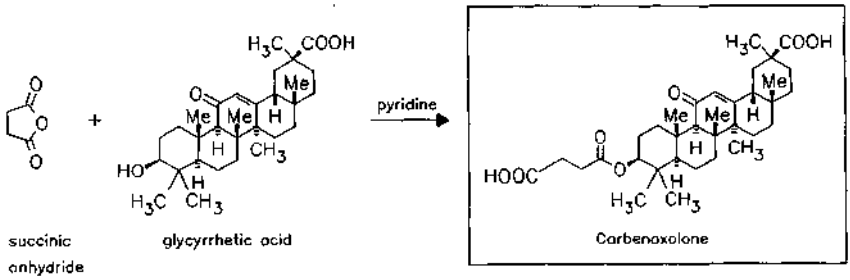
D:	Anabactyl (Beecham); wfm Carindapen (Pfizer); wfm Microcillin (Bayer); wfm	I:	Geopen (Pfizer; as sodium salt)	Pyopen (Beecham-Massengill); wfm
F:	Pyopen (Beecham-Sévigné); wfm	J:	Gripenin (Fujisawa; as sodium salt)	
		USA:	Geopen (Roerig); wfm	

Carbenoxolone

ATC: A02BX01
Use: peptic ulcer therapeutic

RN: 5697-56-3 MF: $C_{34}H_{50}O_7$ MW: 570.77 EINECS: 227-174-2
LD_{50}: 290 mg/kg (M, i.v.); 1400 mg/kg (M, p.o.);
2450 mg/kg (R, p.o.);
371 mg/kg (dog, i.v.)
CN: (3β,20β)-3-(3-carboxy-1-oxopropoxy)-11-oxoolean-12-en-29-oic acid

disodium salt
RN: 7421-40-1 MF: $C_{34}H_{48}Na_2O_7$ MW: 614.73 EINECS: 231-044-0
LD_{50}: 198 mg/kg (M, i.v.);
2450 mg/kg (R, p.o.);
371 mg/kg (dog, i.v.); 3900 mg/kg (dog, p.o.)



Reference(s):
DE 1 076 684 (Biorex; appl. 1.7.1958; GB-prior. 16.7.1957).
US 3 070 623 (Biorex; 25.12.1962; GB-prior. 16.7.1957).
US 3 262 851 (Biorex; 26.7.1966; GB-prior. 16.7.1957).

Formulation(s): tabl. 50 mg

Trade Name(s):

D: Biogastrone/-Duodenal Degussa(Homburg); wfm
Neogel (Homburg); wfm
Ulcus-Tablinen (Beiersdorf-Tablinen); wfm
Ulcus-Tablinen (Sanorania); wfm

F: Duogastrone (Merrell); wfm

GB: Bioral (SmithKline Beecham)
Pyrogastrone (Sanofi Winthrop)-comb.

I: Gastrausil (ISF); wfm
Gastrausil (Searle); wfm
Megast (Searle)-comb.; wfm

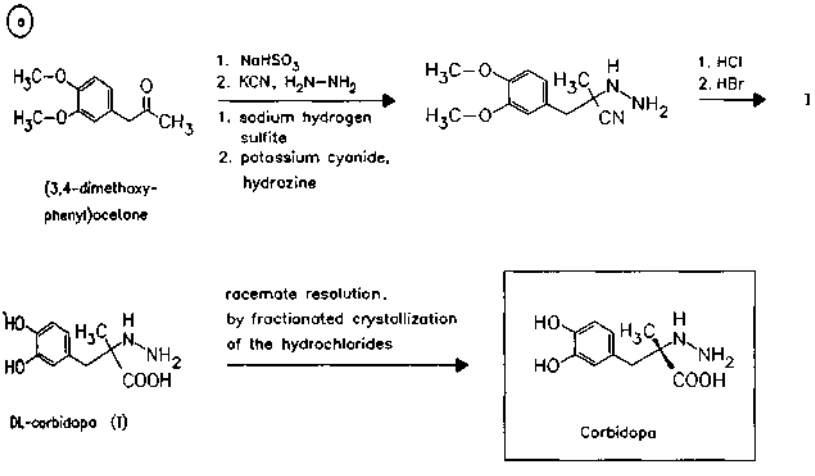
J: Biogastrone (Richardson-Merrell-Shionogi)

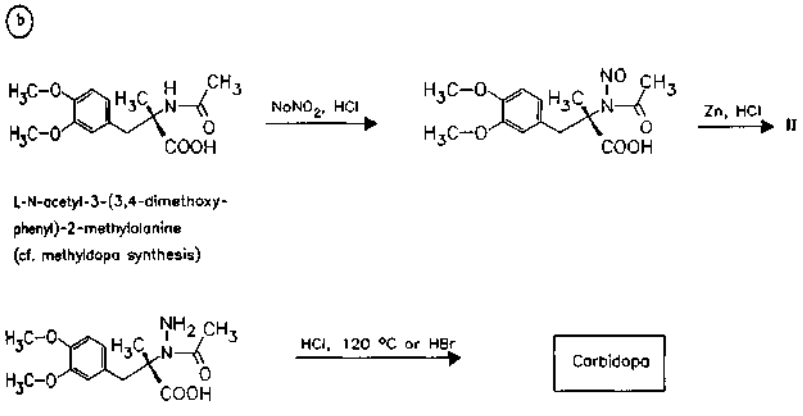
Carbidopa

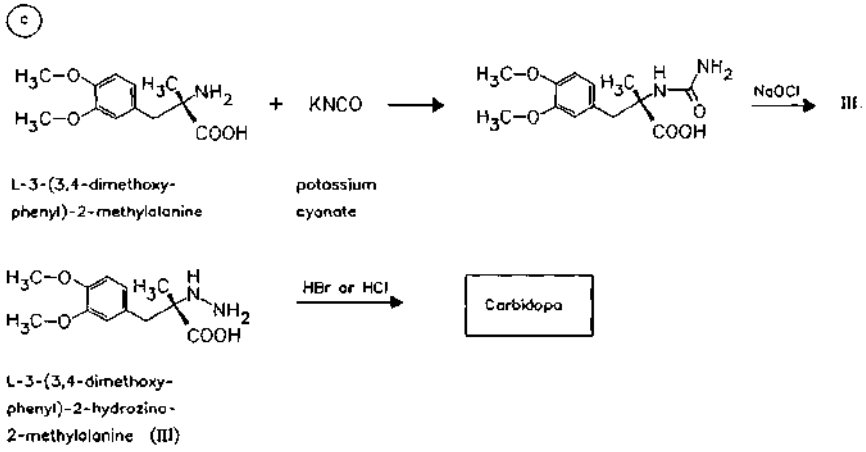
ATC: N04BA02
Use: decarboxylase inhibitor (at levodopa therapy)

RN: 28860-95-9 MF: $C_{10}H_{14}N_2O_4$ MW: 226.23 EINECS: 249-271-9
LD_{50}: 468 mg/kg (M, i.p.);
2804 mg/kg (R, i.p.)
CN: (*S*)-α-hydrazino-3,4-dihydroxy-alphamethylbenzenepropanoic acid

monohydrate
RN: 38821-49-7 MF: $C_{10}H_{14}N_2O_4 \cdot H_2O$ MW: 244.25







Reference(s):
DL-carbidopa:
US 3 462 536 (Merck & Co.; 19.8.1969; prior. 28.7.1960 and 29.6.1961).
GB 940 596 (Merck & Co.; appl. 17.7.1961; USA-prior. 28.7.1960).

carbidopa:
DOS 2 062 285 (Merck & Co.; appl. 17.12.1970; USA-prior. 18.12.1969, 5.2.1970, 24.2.1970, 25.3.1970).
DOS 2 062 332 (Merck & Co.; appl. 17.12.1970; USA-prior. 18.12.1969, 5.2.1970, 24.2.1970, 25.3.1970).
Karady, S. et al.: J. Org. Chem. (JOCEAH) **36**, 1946, 1949 (1971).

alternative synthesis from methyldopa:
US 3 781 415 (Merck & Co.; 25.12.1973; appl. 9.9.1971; prior. 18.6.1969).
US 3 830 827 (Merck & Co.; 20.8.1974; appl. 7.9.1972; prior. 18.6.1969).

combination with m-tyrosine:
US 3 839 585 (Merck & Co.; 1.10.1974; appl. 30.4.1973; prior. 5.8.1970).

combination with benzimidazolyl- and benzoxazolylalanines:
US 4 069 333 (Merck & Co.; 17.1.1978; appl. 8.2.1977; prior. 13.2.1976).

combination with other antihypertensives:
US 4 086 354 (Merck & Co.; 25.4.1978; prior. 13.2.1976, 8.2.1977).

combination with hydralazine:
US 4 055 645 (Merck & Co.; 25.10.1977; appl. 13.2.1976).

Formulation(s): s. r. tabl. 27 mg, 54 mg; tabl. 27 mg

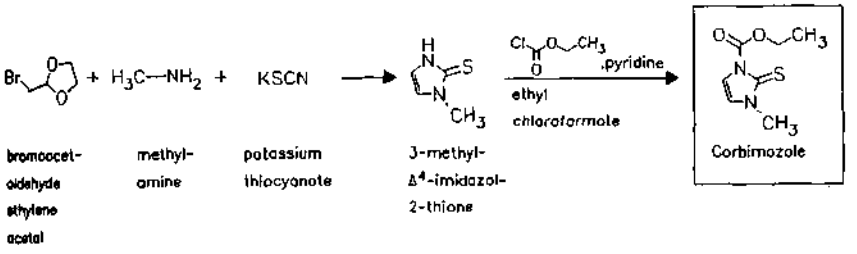
Trade Name(s):

D:	isicom (Desitin) Nacom (Du Pont Pharma)-comb. with levodopa Striaton (Knoll)	GB:	Sinemet (Du Pont)-comb. with levodopa		Neodopaston (Sankyo)-comb. with levodopa
F:	Sinemet (Du Pont Pharma)-comb. with levodopa	I:	Sinemet (Du Pont Pharma Italia)-comb. with levodopa	USA:	Atamet (Athena) Sinemet (Du Pont)
		J:	Menesit (Merck-Banyu)-comb. with levodopa		

Carbimazole

ATC: H03BB01
Use: antithyroid drug

RN: 22232-54-8 MF: $C_7H_{10}N_2O_2S$ MW: 186.24 EINECS: 244-854-4
CN: 2,3-dihydro-3-methyl-2-thioxo-1*H*-imidazole-1-carboxylic acid ethyl ester



Reference(s):
US 2 671 088 (Nat. Res. Dev. Corp.; 1954; GB-prior. 1951).
US 2 815 349 (Nat. Res. Dev. Corp.; 1957; GB-prior. 1956).
Baker, J.A.: J. Chem. Soc. (JCSOA9) **1958**, 2387.

Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):

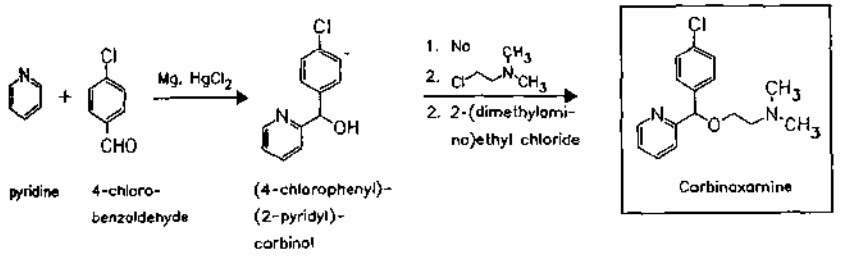
D:	Neo-Thyreostat (Herbrand)	I:	Carbotiroid (Borromeo);
F:	Néo-Mercazole (Nicholas)		wfm
GB:	Neo-Mercazole (Roche)		Neo-Tireol (Granata); wfm

Carbinoxamine

ATC: R06AA08
Use: antihistaminic

RN: 486-16-8 MF: $C_{16}H_{19}ClN_2O$ MW: 290.79 EINECS: 207-628-6
LD_{50}: 18 mg/kg (M, i v.)
CN: 2-[(4-chlorophenyl)-2-pyridinylmethoxy]-*N*,*N*-dimethylethanamine

maleate (1:1)
RN: 3505-38-2 MF: $C_{16}H_{19}ClN_2O \cdot C_4H_4O_4$ MW: 406.87 EINECS: 222-498-0
LD_{50}: 32 mg/kg (M, i.v.); 162 mg/kg (M, p.o.)



Reference(s):
US 2 606 195 (Merrell; 1952; prior. 1947).

alternative synthesis:
US 2 800 485 (McNeil; 1957; appl. 1955).

Formulation(s): tabl. 2 mg

Trade Name(s):

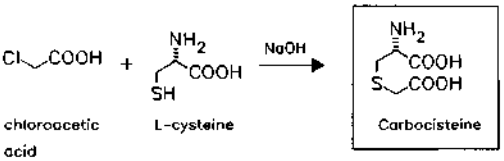
D:	Polistin T-Caps (Trommsdorff)	F:	Allergefon (Lafon)		Torfam (Abbott)-comb.
	Rhinopront (Mack, Illert.)		Humex Fournier gélule (Urgo)-comb.	J:	Chlorcap Nyscap (S. S. Pharm.; as maleate)
	Rhinotussal (Mack, Illert.)	I:	Rondec (Abbott)-comb.		Hislosine (Toho)

USA: Biohist (Wakefield)
Rondec (Dura; as maleate)

Carbocisteine
(Carboxymethylcysteine)

ATC: R05CB03
Use: secretolytic, mucolytic agent

RN: 638-23-3 MF: $C_5H_9NO_4S$ MW: 179.20 EINECS: 211-327-5
LD_{50}: 8400 mg/kg (M, p.o.);
>15 g/kg (R, p.o.)
CN: *S*-(carboxymethyl)-L-cysteine



Reference(s):
FR I 288 907 (Rech. et Propagande Scientifiques; appl. 15.2.1961).

preparation from L-cystine:
DAS 2 647 094 (Degussa; appl. 19.10.1976).
US 4 129 593 (Degussa; 12.12.1978; D-prior. 19.10.1976).

Formulation(s): cps. 375 mg; syrup 280 mg

Trade Name(s):

D:
- Mucopront (Mack, Illert.)
- Sedotussin (Rodleben; UCB; Vedim)
- Transbronchin (ASTA Medica AWD)

F:
- Bronchathiol (Martin-Johnson & Johnson-MSD)
- Bronchocyst (SmithKline Beecham)
- Bronchokod (Biogalénique)
- Broncloclar (Oberlin)
- Broncorinol (Roche Nicholas)
- Bronkirex (Irex)
- Cadotussyl (Whitehall)
- Drill Expectorant (Pierre Fabre)
- Fluditec (Innotech International)
- Fluvic (Pierre Fabre)
- Médibronc (Elerté)
- Muciclar (Parke Davis)
- Mucotrophir (Sanofi Winthrop)
- Pectasan (RPR Cooper)
- Rhinathiol (Joullié)

GB:
- Mucodyne (Rhône-Poulenc Rorer)

I:
- Carbocit (CT)
- Fluifort (Dompé)
- Lisil (KBR)
- Lisomucil (Synthelabo)
- Mucocis (Crosara)
- Mucojet (Polifarma)
- Mucolase (Lampugnani)
- Mucosol (Tosi-Novara)
- Mucotreis (Ecobi)
- Polimucil (Poli)-comb.
- Reomucil (Astra-Simes)
- Solfomucil (Locatelli)
- Solucis (Magis)
- Superthiol (Francia Farm.)

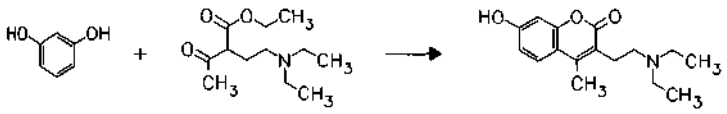
J:
- Mucodyne (Kyorin)

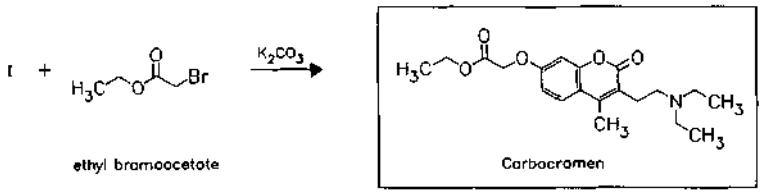
Carbocromen
(Carbochromen; Chromonar)

ATC: C01DX05
Use: coronary vasodilator

RN: 804-10-4 MF: $C_{20}H_{27}NO_5$ MW: 361.44 EINECS: 212-356-6
LD_{50}: 35.5 mg/kg (M, i.v.); 6300 mg/kg (M, p.o.)
CN: [[3-[2-(diethylamino)ethyl]-4-methyl-2-oxo-2*H*-1-benzopyran-7-yl]oxy]acetic acid ethyl ester

hydrochloride
RN: 655-35-6 MF: $C_{20}H_{27}NO_5 \cdot HCl$ MW: 397.90 EINECS: 211-511-5
LD_{50}: 34 mg/kg (M, i.v.); 6300 mg/kg (M, p.o.);
8 g/kg (R, p.o.)





Reference(s):
BE 621 327 (Cassella; appl. 10.8.1962; D-prior. 12.8.1961).
DE 1 210 883 (Cassella; appl. 9.11.1961).
US 3 282 938 (Cassella; 1.11.1966; D-prior. 12.8.1961, 9.11.1961, 26.1.1962).

Formulation(s): cps. 75 mg, 150 mg; tabl. 450 mg

Trade Name(s):

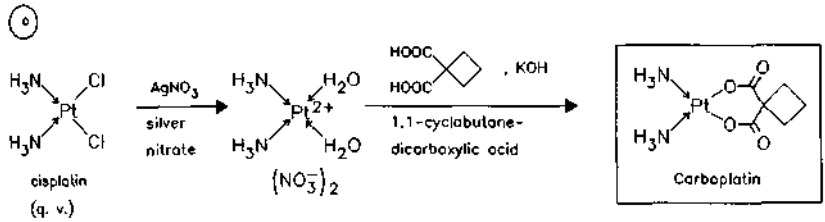
D:	Intensain (Hoechst)	I:	Cardiocap (Miba; as hydrochloride)
F:	Intensain (Diamant); wfm	J:	Intensain (Takeda; as hydrochloride)
	Sédo-Intensain (Diamant)-comb.; wfm		

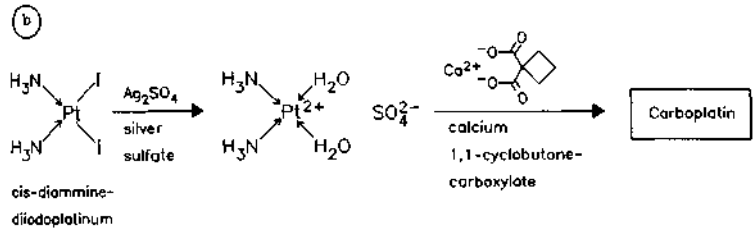
Carboplatin

(CBDCA; Paraplatin)

ATC: L01XA02
Use: antineoplastic

RN: 41575-94-4 MF: $C_6H_{12}N_2O_4Pt$ MW: 371.25 EINECS: 255-446-0
LD_{50}: 150 mg/kg (M, i.p.); 140 mg/kg (M, i.v.); 85 mg/kg (R, i.v.)
CN: (*SP*-4-2)-diammine[1,1-cyclobutanedi(carboxylato-κ*O*)(2-)]platinum





Reference(s):

a US 4 140 707 (Research Corp.; 20.2.1979; prior. 8.6.1972).
DE 2 329 485 (Research Corp.; appl. 8.6.1973; USA-prior. 8.6.1972).
GB 1 380 228 (Research Corp.; Complete specification 8.1.1975; USA-prior. 8.6.1972).

b Harrison, R.C. et al.: Inorg. Chim. Acta (ICHAA3) **46**, L15 (1980).

Formulation(s): vial 50 mg/5 ml, 150 mg/15 ml, 450 mg/45 ml

Trade Name(s):

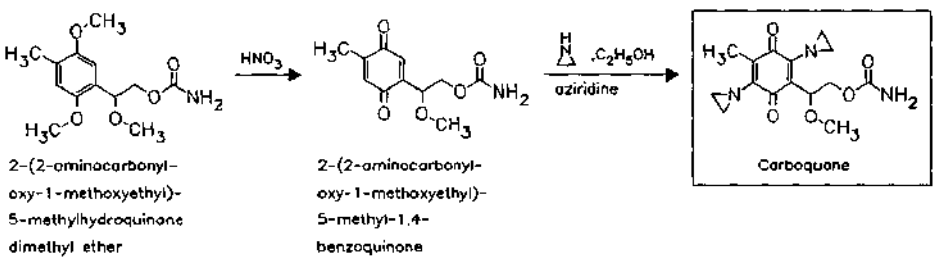
D:	Carboplat (Bristol-Myers Squibb) Ribocarbo (ribosepharm)	GB:	Paraplatin (Bristol-Myers Squibb; 1985)	USA:	Paraplatin (Bristol-Myers Squibb Oncology/ Immunology)
F:	Paraplatine (Bristol-Myers Squibb)	I:	Paraplatin (Bristol It. Sud)		
		J:	Paraplatin (Bristol-Myers Squibb)		

Carboquone

(Carbazilquinone)

ATC: L01AC03
Use: antineoplastic

RN: 24279-91-2 MF: $C_{15}H_{19}N_3O_5$ MW: 321.33
LD_{50}: 5430 µg/kg (M, i.v.); 28.6 mg/kg (M, p.o.);
3620 µg/kg (R, i.v.); 27.3 mg/kg (R, p.o.)
CN: 2-[2-[(aminocarbonyl)oxy]-1-methoxyethyl]-3,6-bis(1-aziridinyl)-5-methyl-2,5-cyclohexadiene-1,4-dione



Reference(s):

DOS 1 905 224 (Sankyo; appl. 28.1.1969; J-prior. 29.1.1968, 28.12.1968).
Nakao, H. et al.: Ann. Sankyo Res. Lab. (SKKNAJ) **27**, 1 (1976).

Formulation(s): amp. 1 mg; tabl. 0.5 mg

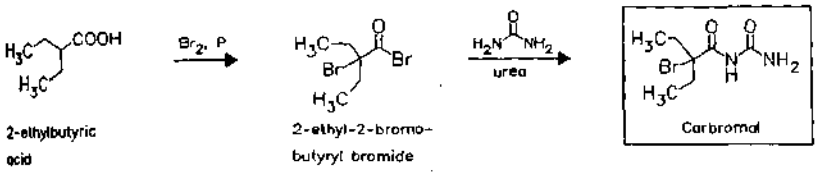
Trade Name(s):

J: Carbazilquinone (Sankyo) Esquinone (Sankyo)

Carbromal

ATC: N05CM04
Use: sedative, hypnotic

RN: 77-65-6 MF: $C_7H_{13}BrN_2O_2$ MW: 237.10 EINECS: 201-046-6
LD_{50}: 464 mg/kg (M, p.o.);
427 mg/kg (R, i.v.); 316 mg/kg (R, p.o.)
CN: *N*-(aminocarbonyl)-2-bromo-2-ethylbutanamide



Reference(s):
DRP 225 710 (Bayer; 1909).

Formulation(s): drg. 250 mg

Trade Name(s):

D: Adalin (Bayer); wfm
Addisomnol (Synochem); wfm
Mirfudorm (Diabetylin); wfm
Mirfurdorm (Merckle); wfm
Staurodorm Neu (Dolorgiet)-comb.; wfm

F: Divalentyl (Promedica)-comb.; wfm
Dormopan (Bayer-Pharma)

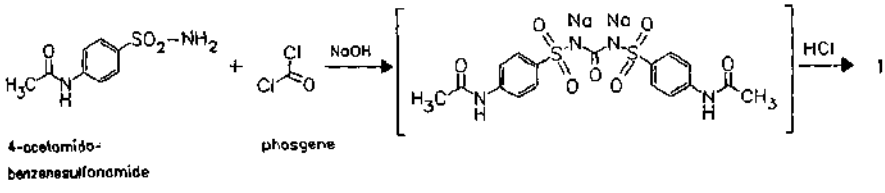
I: Bonares (ISF)-comb.; wfm
Contradol Merz (SIT); wfm

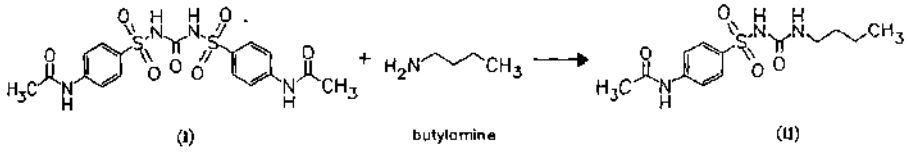
Carbutamide

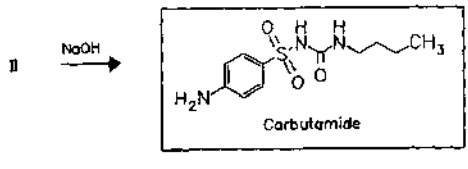
(Butylcarbamide; Glybutamide)

ATC: A10BB06
Use: antidiabetic

RN: 339-43-5 MF: $C_{11}H_{17}N_3O_3S$ MW: 271.34 EINECS: 206-424-4
LD_{50}: 1920 mg/kg (M, i.v.); 2800 mg/kg (M, p.o.); 980 mg/kg (R, i.v.); 7800 mg/kg (R, p.o.)
CN: 4-amino-*N*-[(butylamino)carbonyl]benzenesulfonamide







Reference(s):
DE 1 117 103 (Boehringer Mannh.; appl. 1953).
US 2 907 692 (Boehringer Mannh.; 6.10.1959; D-prior. 11.2.1953).
Haack, E.: Arzneim.-Forsch. (ARZNAD) **8**, 444 (1958).

Formulation(s): tabl. 0.5 g

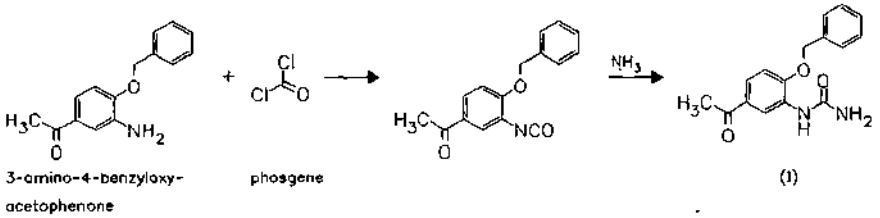
Trade Name(s):

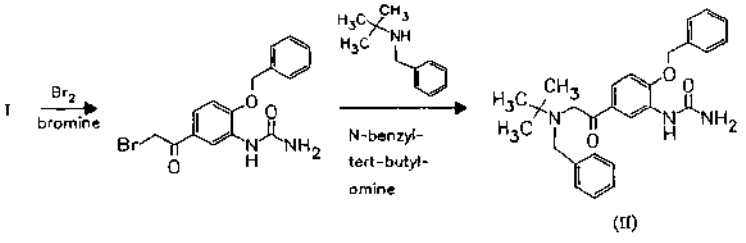
D:	Dia-Tablinen (Sanoranja); wfm Invenol (Hoechst); wfm Nadisan (Boehringer Mannh.); wfm	F:	Glucidoral (Servier)	J:	Mellitos (Oho) Rovan (Sumitomo)
		I:	Diabetoplex (Vaillant); wfm Insoral (Valeas); wfm Invenol (Hoechst); wfm		

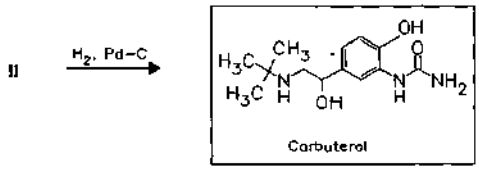
Carbuterol

ATC: R03AC10; R03CC10
Use: selective β-adrenoceptor agonist, bronchodilator

RN: 34866-47-2 MF: $C_{13}H_{21}N_3O_3$ MW: 267.33 EINECS: 252-257-5
LD_{50}: 38 mg/kg (M, i.v.); 3134 mg/kg (M, p.o.); 77,2 mg/kg (R, i.v.)
CN: [5-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-2-hydroxyphenyl]urea







Reference(s):
US 3 763 232 (Smith Kline & French; 2.10.1973; prior. 17.2.1970, 11.1.1971).
DOS 2 106 620 (Smith Kline & French; appl. 12.2.1971; USA-prior. 17.2.1970).
US 3 917 847 (Smith Kline & French; 4.11.1975; prior. 11.1.1971, 17.2.1970).
Kaiser, C. et al.: J. Med. Chem. (JMCMAR) **17**, 49 (1974).

Formulation(s): aerosol 0.1 mg/puff; sol. 1 mg/0.8 ml; tabl. 2 mg

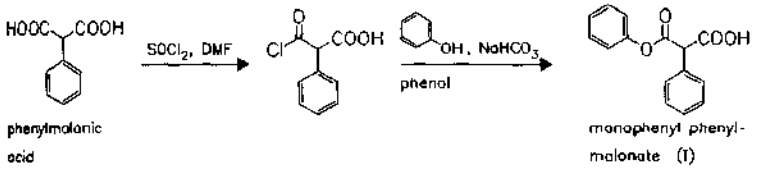
Trade Name(s):

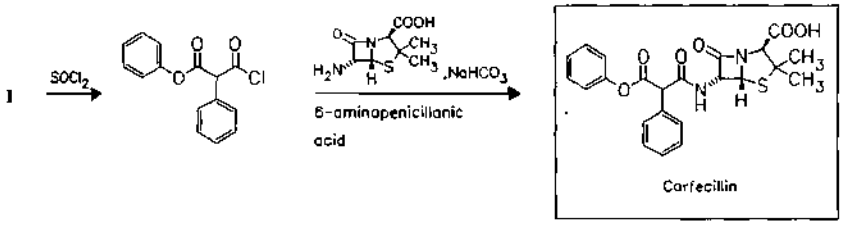
D:	Pirem (Gödecke); wfm		Pirem (Gödecke/Sasse); wfm	I:	Bronsecur (Parke Davis) Dynavent (Piam)

Carfecillin

ATC: G01AA08; J01CA
Use: antibiotic

RN: 27025-49-6 MF: $C_{23}H_{22}N_2O_6S$ MW: 454.50 EINECS: 248-171-2
LD_{50}: 728 mg/kg (M, i.v.); 3924 mg/kg (M, p.o.)
CN: [2*S*-(2α,5α,6β)]-6-[(1,3-dioxo-3-phenoxy-2-phenylpropyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid





Reference(s):
US 3 853 849 (Beecham; 10.12.1974; prior. 2.11.1967 and 29.5.1969).
US 3 881 013 (Beecham; 29.4.1975; GB-prior. 5.11.1966 and 27.1.1967).

Formulation(s): tabl. 500 mg

Trade Name(s):

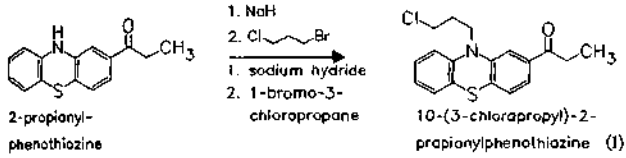
I:	Uricillina (IBI) Urocarf (SPA; as sodium salt)	J:	Gripenin-O (Fujisawa) Uticillin (SmithKline Beecham)

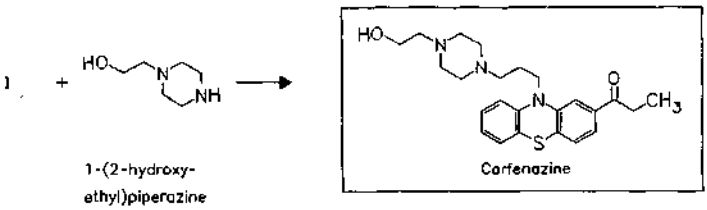
Carfenazine
(Carphenazine)

ATC: N05AK
Use: neuroleptic

RN: 2622-30-2 MF: $C_{24}H_{31}N_3O_2S$ MW: 425.60 EINECS: 220-072-9
CN: 1-[10-[3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl]-10*H*-phenothiazin-2-yl]-1-propanone

dimaleate
RN: 2975-34-0 MF: $C_{24}H_{31}N_3O_2S \cdot 2C_4H_4O_4$ MW: 657.74 EINECS: 221-019-2
LD_{50}: 42 mg/kg (M, i.v.); 156 mg/kg (M, p.o.);
162 mg/kg (R, p.o.)





Reference(s):
US 2 985 654 (Schering Corp.; 1961; appl. 1956).
US 3 023 146 (American Home; 27.2.1962; appl. 6.6.1960; prior. 3.6.1959).

Formulation(s): tabl. 25 mg, 400 mg

Trade Name(s):
USA: Proketazine (Wyeth); wfm

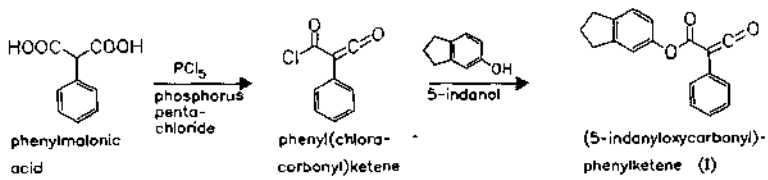
Carindacillin

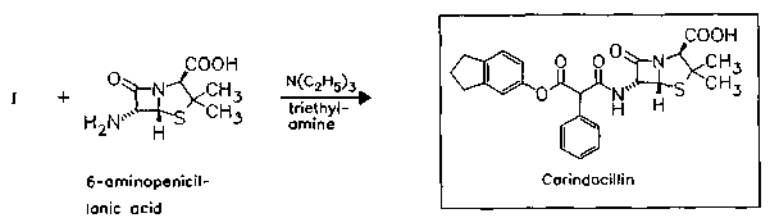
(Indanylcarbenicilline; Carbenicillin Indanyl Sodium)

ATC: J01CA05
Use: antibiotic

RN: 35531-88-5 MF: $C_{26}H_{26}N_2O_6S$ MW: 494.57
LD_{50}: 3600 mg/kg (M, p.o.);
2 g/kg (R, p.o.);
>500 mg/kg (dog, p.o.)
CN: [2*S*-(2α,5α,6β)]-6-[[3-[(2,3-dihydro-1*H*-inden-5-yl)oxy]-1,3-dioxo-2-phenylpropyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 26605-69-6 MF: $C_{26}H_{25}N_2NaO_6S$ MW: 516.55 EINECS: 247-845-3
LD_{50}: 210 mg/kg (M, i.v.); 4400 mg/kg (M, p.o.);
295 mg/kg (R, i.v.); 4450 mg/kg (R, p.o.);
>15.3 mg/kg (dog, p.o.)





Reference(s):
US 3 557 090 (Pfizer; 19.1.1971; appl. 5.1.1968).
US 3 574 189 (Pfizer; 6.4.1971; appl. 5.1.1968).
US 3 679 801 (Pfizer; 25.7.1972; prior. 5.1.1968, 4.6.1969, 19.5.1970).
DAS 1 967 024 (Pfizer; appl. 3.1.1969; USA-prior. 5.1.1968).

alternative synthesis:
DOS 1 959 569 (Pfizer; appl. 27.11.1969; USA-prior. 23.1.1969).

Formulation(s): tabl. 500 mg

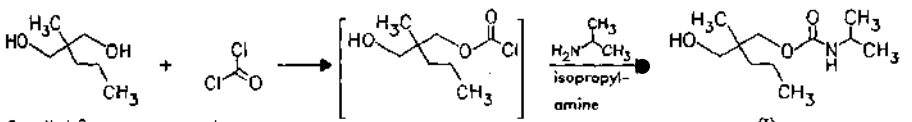
Trade Name(s):

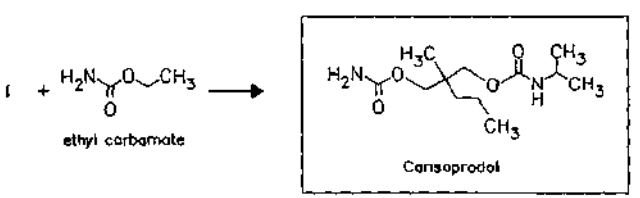
D:	Carindapen (Pfizer; 1973); wfm	J:	Geopen-U (Taito Pfizer; 1976)
I:	Geopen orale (Pfizer; 1973)	USA:	Geocillin (Pfizer; 1972)

Carisoprodol

ATC: M03BA02
Use: muscle relaxant

RN: 78-44-4 MF: $C_{12}H_{24}N_2O_4$ MW: 260.33 EINECS: 201-118-7
LD_{50}: 165 mg/kg (M, i.v.); 1800 mg/kg (M, p.o.); 450 mg/kg (R, i.v.); 1320 mg/kg (R, p.o.)
CN: (1-methylethyl)carbamic acid 2-[[(aminocarbonyl)oxy]methyl]-2-methylpentyl ester





Reference(s):
US 2 937 119 (Carter Products; 17.5.1960; prior. 11.6.1959).

Formulation(s): tabl. 350 mg

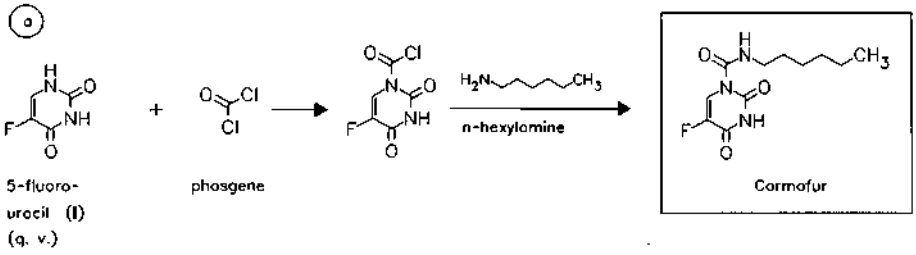
Trade Name(s):

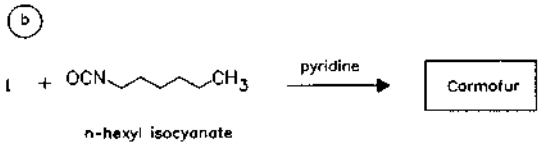
D:	Sanoma (Heilit)		Flexartal (Clin-Midy); wfm		numerous combination preparations
F:	Flexagit (Clin-Midy)-comb.; wfm	GB:	Carisoma (Pharmax)	J:	Myobutazolidin (Ciba-Geigy-Fujisawa)-comb.
	Flexalgit (Clin-Comar-Byla)-comb.; wfm	I:	Flexidone (Pierrel)-comb.		Somanil (Banyu)
	Flexartal (Clin-Comar-Byla); wfm		Soma Complex (Teofarma)-comb.	USA:	Soma (Wallace)
			Teknadone (Teknofarma)-comb.		

Carmofur
(HCFU)

ATC: L01BC04
Use: antineoplastic, orally active fluorouracil derivative

RN: 61422-45-5 MF: $C_{11}H_{16}FN_3O_3$ MW: 257.27
LD_{50}: 1129 mg/kg (M, p.o.);
268 mg/kg (R, p.o.);
65 mg/kg (dog, p.o.)
CN: 5-fluoro-*N*-hexyl-3,4-dihydro-2,4-dioxo-1(2*H*)-pyrimidinecarboxamide





Reference(s):
a JP 53/098 977 (Mitsui; appl. 2.8.1977).
b DOS 2 639 135 (Mitsui; appl. 31.8.1976; USA-prior. 5.11.1975).
US 4 071 519 (Mitsui; 31.1.1978; prior. 5.11.1975).

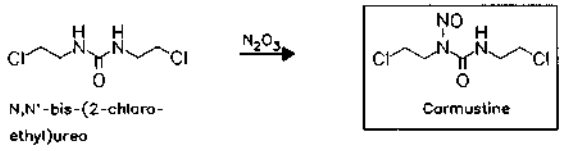
Formulation(s): tabl. 100 mg

Trade Name(s):
J: Mifurol (Mitsui; 1981) Yamaful (Yamanouchi; 1981)

Carmustine
(BCNU)

ATC: L01AD01
Use: antineoplastic

RN: 154-93-8 MF: $C_5H_9Cl_2N_3O_2$ MW: 214.05 EINECS: 205-838-2
LD_{50}: 26 mg/kg (M, i.p.); 45 mg/kg (M, i.v.); 19 mg/kg (M, p.o.); 24 mg/kg (M, s.c.);
13.8 mg/kg (R, i.v.); 20 mg/kg (R, p.o.)
CN: *N,N'*-bis(2-chloroethyl)-*N*-nitrosourea



Reference(s):
DOS 2 528 365 (The Government of US; appl. 25.6.1975; USA-prior. 13.11.1974).

nitrosation with $NaNO_2$:
Johnston, T.P. et al.: J. Med. Chem. (JMCMAR) **6**, 669 (1963).

synthesis of N,N'-bis-(2-chloroethyl)urea:
Bastian, H.: Justus Liebigs Ann. Chem. (JLACBF) **566**, 210 (1950).

review:
Carter, S.K. et al.: "Advances in Cancer Research" (Ed. G. Klein, S. Weinhouse) **16**, 273 (1972).

Formulation(s): tabl. 7.7 mg

Trade Name(s):

D:	Carmubris (Bristol-Myers Squibb)	GB:	BICNU (Bristol-Myers Squibb)	USA:	BICNU (Bristol-Myers Squibb)
F:	BICNU (Bristol-Myers Squibb)	I:	Nitrumon (Astra-Simes)		Gliadel (Rhône-Poulenc Rorer)

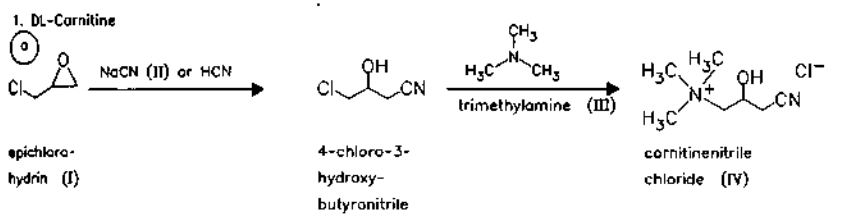
Carnitine

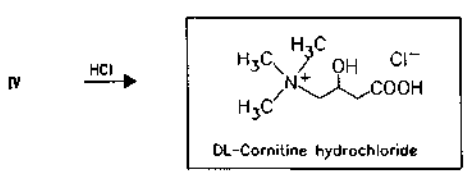
(Levocarnitine)

ATC: A12AX; A14B; A11JC
Use: appetite stimulant, antiarrhythmic, cardiomyopathy therapeutic

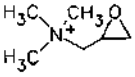
RN: 541-15-1 MF: $C_7H_{15}NO_3$ MW: 161.20
LD_{50}: 9 g/kg (M, s.c.);
7 g/kg (dog, route unreported)
CN: (*R*)-3-carboxy-2-hydroxy-*N,N,N*-trimethyl-1-propanaminium hydroxide inner salt

L-hydrochloride
RN: 6645-46-1 MF: $C_7H_{15}NO_3 \cdot HCl$ MW: 197.66 EINECS: 229-663-6
DL-carnitine
RN: 406-76-8 MF: $C_7H_{15}NO_3$ MW: 161.20 EINECS: 206-976-6
DL-hydrochloride
RN: 461-05-2 MF: $C_7H_{15}NO_3 \cdot HCl$ MW: 197.66 EINECS: 207-309-1
LD_{50}: 6 g/kg (M, s.c.);
10 g/kg (R, s.c.)



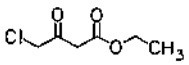
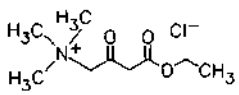


(b)

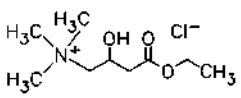
III + I →  Cl^- → II → IV → HCl → DL-Carnitine hydrochloride

(2,3-epoxypropyl)-trimethylammonium chloride

(c)

III +  → $NaOC_2H_5$ →  → H_2, Pt–C → V

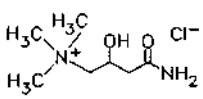
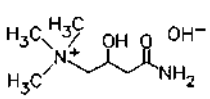
ethyl 4-chloro-acetoacetate

 → HCl → DL-Carnitine hydrochloride

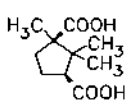
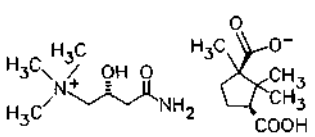
carnitine ethyl ester chloride (V)

2. L-Carnitine

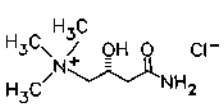
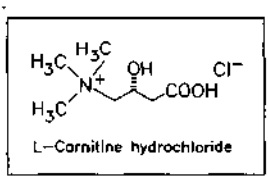
(a)

IV → H_2O_2 →  → OH^-, anion exchanger → 

DL-carnitinamide chloride — DL-carnitinamide hydroxide (VI)

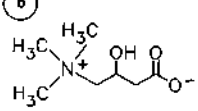
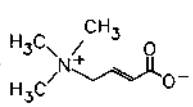
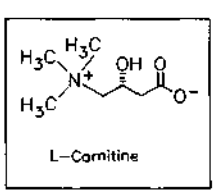
VI +  → isopropanol →  → HCl → VII

D-camphoric acid — L-carnitinamide D-camphorate

 → 1. H_2O, Δ; 2. oxalic acid → 

L-carnitinamide chloride (VII) — L-Carnitine hydrochloride

(b)

 → H_2SO_4, Δ →  → microbiologically e.g. with E.coli 044 K74 → 

DL-carnitine — crotonobetaine (VIII) — L-Carnitine

(c)

VIII → microbiologically e.g. with Acinetobacter lwoffi (ATCC 9036) or Proteus mirabilis (ATCCF 15290) → L-Carnitine

Reference(s):

1a US 3 135 788 (Nihon Zoki Seiyaku; 2.6.1964; J-prior. 28.9.1959).
hydrolysis of carnitinenitrile chloride *with conc.* HCl:
DAS 1 090 676 (Labaz; appl. 24.10.1958).

b US 4 070 394 (Ethyl Corp.; 24.1.1978; appl. 11.3.1977; prior. 23.1.1976).

c CH 588 433 (Lonza; appl. 25.9.1974).
similar process from γ-chloroacetanilide:
CH 589 604 (Lonza; appl. 26.4.1974).

2a DOS 2 927 672 (C. Cavazza; appl. 9.7.1979; I-prior. 10.7.1978).
US 4 254 053 (C. Cavazza; 3.3.1981; I-prior. 10.7.1978).
electrolytic methods for release of base:
DOS 3 342 713 (Sigma-Tau; appl. 25.11.1983; I-prior. 25.11.1982).
US 4 521 285 (Sigma-Tau; 4.6.1985; I-prior. 25.11.1982).

b EP 148 132 (Sigma-Tau; appl. 31.10.1984; DDR-prior. 3.11.1983).

c EP 122 794 (Ajinomoto; appl. 13.4.1984; J-prior. 13.4.1983).

enzymatic methods from γ-butyrobetaine *and* 2-ketoglutaric acid *with* γ-butyrobetaine hydroxylase *from Neurospora crassa:*
GB 2 078 742 (Sigma-Tau; appl. 23.6.1981; I-prior. 24.6.1980).

synthesis from D-mannitol:
US 4 413 142 (Anic; 1.11.1983; I-prior. 18.3.1981).

use as antiarrhythmic:
US 3 830 931 (S. L. De Felice; 20.8.1974; appl. 6.11.1972).
US 3 968 241 (S. L. De Felice; 6.7.1976; prior. 6.11.1972, 2.7.1974).

parenteral use for improvement of myocard function:
US 4 075 352 (S. L. De Felice; 21.2.1978; appl. 28.4.1976).

use for reduction of cardiotoxicity of cytostatics, e. g. daunomycin:
US 4 320 110 (S. L. De Felice; 16.3.1982; appl. 4.10.1979).
US 4 400 371 (S. L. De Felice; 23.8.1983; appl. 12.5.1981).

use as appetite stimulant:
US 3 810 994 (Ethyl Corp.; 14.5.1974; appl. 1.6.1972).

additive to parenteral feeding:
DOS 3 032 300 (A. Lohninger, Wien; appl. 27.8.1980).
US 4 320 145 (C. Cavazza; 16.3.1982; I-prior. 5.10.1979).
EP 59 775 (Leopold & Co.; appl. 1.6.1981; YU-prior. 9.6.1980).

use as antihyperlipidemic:
US 4 315 944 (Sigma-Tau; 16.2.1982; I-prior. 21.9.1979).

use as geriatric for improvement of mental ability:
US 4 474 812 (Sigma-Tau; 2.10.1984; I-prior. 29.10.1982).

treatment of lung diseases:
DE 2 360 332 (Otsuka; appl. 4.12.1973; J-prior. 7.12.1972).

Formulation(s): drinking sol. 1 g/10 ml; inj. sol. 1g/5 ml; syrup 1 g/3.3 ml

Trade Name(s):

D: Biocarn (Medice)
L-Carn (Sigma-Tau)

F: Lévoearnil (Sigma-Tau)

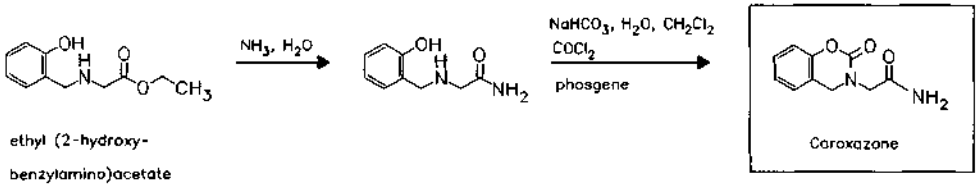
I: Anetin (Ibirn)
Biocarnil (Gentili)
Briocor (Farge)
Cardimet (Errekappa Euroter.)
Cardiogen (Chemil)
Carnitene (Sigma-Tau)
Carnitolo (Recordati Farma)
Carnitop (Virginia Farmac.)
Carnovis (Duncan)
Carnum (Firma)
Carrier (Chiesi)
Carvit (AGIPS)
Eucar (Salus Research)
Eucarnil (Pulitzer)
Kernit (CT)
L-Carnitina Coli (Coli)
Lefcar (Glaxo)
Levocarvit (Mitim)
Medocarnitin (Medosan)

Metina (Pierrel)
Miocardin (Magis)
Miocor (Ecobi)
Miotonal (Caber)
Transfert (Piam)
J: Abedine (Nippon Zoki)
Entomin (Maruko)
Monocamin (Tanabe)
USA: L-Carnitine (Tyson)
Carnitor (Sigma-Tau)

Caroxazone

ATC: N06A
Use: antidepressant

RN: 18464-39-6 MF: $C_{10}H_{10}N_2O_3$ MW: 206.20 EINECS: 242-345-1
LD_{50}: 728 mg/kg (M, p.o.)
CN: 2-oxo-2*H*-1,3-benzoxazine-3(4*H*)-acetamide



ethyl (2-hydroxybenzylamino)acetate

Caroxazone

Reference(s):
CH 586 687 (Farmitalia; appl. 26.4.1974).
ZA 742 435 (Farmitalia; appl. 17.4.1974).

alternative synthesis:
US 3 427 313 (Farmitalia; 11.2.1969; I-prior. 23.12.1965, 14.9.1966).
Bernardi, L. et al.: Experientia (EXPEAM) **24**, 774 (1968).

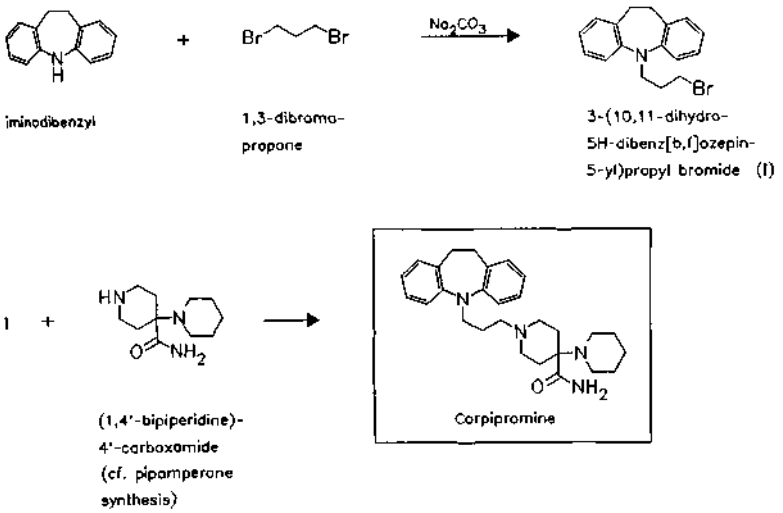
Trade Name(s):
I: Timostenil (Carlo Erba); wfm
Timostenil (Farmitalia); wfm

Carpipramine
(Carbadipimidine)

ATC: N06B
Use: antidepressant

RN: 5942-95-0 MF: $C_{28}H_{38}N_4O$ MW: 446.64 EINECS: 227-700-0
LD_{50}: 28 mg/kg (M, i.v.); 2180 mg/kg (M, p.o.);
37 mg/kg (R, i.v.); 1025 mg/kg (R, p.o.)
CN: 1'-[3-(10,11-dihydro-5*H*-dibenz[*b*,*f*]azepin-5-yl)propyl][1,4'-bipiperidine]-4'-carboxamide

dihydrochloride monohydrate
RN: 7075-03-8 MF: $C_{28}H_{38}N_4O \cdot 2HCl \cdot H_2O$ MW: 537.58 EINECS: 230-372-1
LD_{50}: 136 mg/kg (M, i.p.); 28 mg/kg (M, i.v.); 2180 mg/kg (M, p.o.);
76 mg/kg (R, i.p.); 37 mg/kg (R, i.v.); 1025 mg/kg (R, p.o.);
18 mg/kg (rabbit, i.v.)
maleate (1:1)
RN: 100482-23-3 MF: $C_{28}H_{38}N_4O \cdot C_4H_4O_4$ MW: 562.71
LD_{50}: 147 mg/kg (M, i.p.); 2055 mg/kg (M, p.o.);
169 mg/kg (R, i.p.)



Reference(s):
JP 66 006 572 (Yoshitomi; appl. 29.6.1963).
Nakanishi, M. et al.: J. Med. Chem. (JMCMAR) **13**, 644 (1970).

medical use as anxiolytic, hypnotic:
EP 374 042 (Rhône-Poulenc; appl. 13.12.1989; F-prior. 16.12.1988).

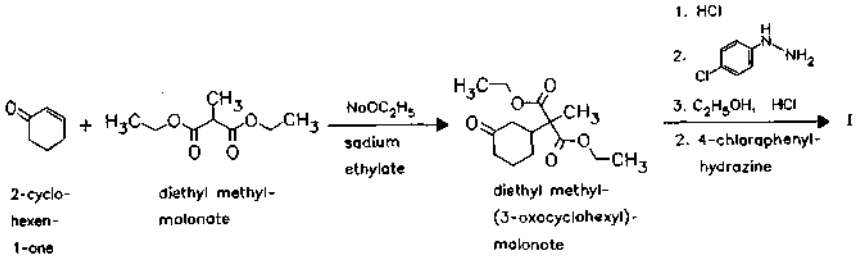
Formulation(s): powder 10 %; tabl. 25 mg, 50 mg

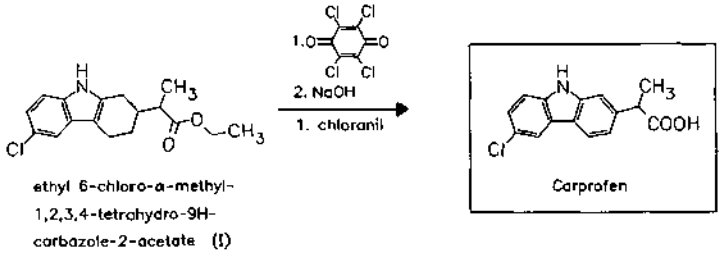
Trade Name(s):
F: Prazinil (Pierre Fabre) J: Defekton (Yoshitomi)

Carprofen

ATC: M01AE
Use: non-steroidal anti-inflammatory

RN: 53716-49-7 MF: $C_{15}H_{12}ClNO_2$ MW: 273.72 EINECS: 258-712-4
LD_{50}: 400 mg/kg (M, p.o.)
CN: (±)-6-chloro-α-methyl-9*H*-carbazole-2-acetic acid





Reference(s):
US 3 896 145 (Hoffmann-La Roche; 22.7.1975; prior. 17.5.1973, 24.7.1972).

Formulation(s): tabl. 150 mg

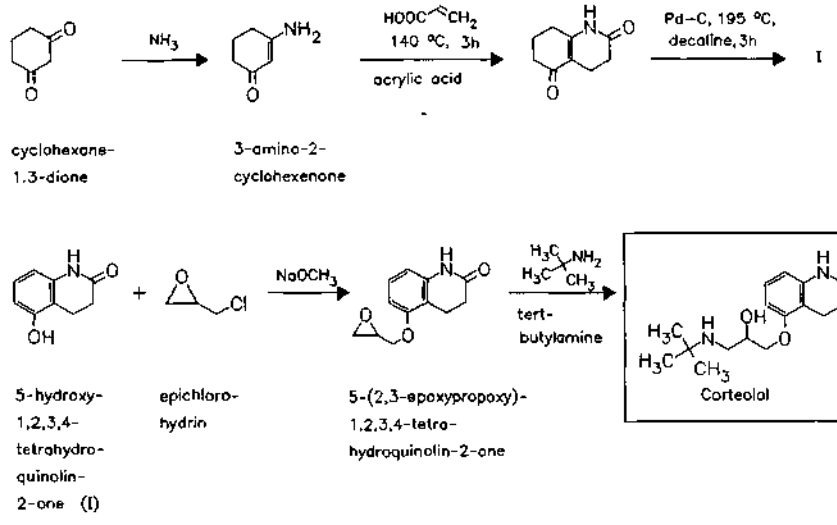
Trade Name(s):
USA: Rimadyl (Roche); wfm

Carteolol

ATC: C07AA15; S01ED05
Use: beta blocking agent

RN: 51781-06-7 MF: $C_{16}H_{24}N_2O_3$ MW: 292.38
LD_{50}: 810 mg/kg (M, p.o.);
830 mg/kg (dog, p.o.)
CN: 5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-3,4-dihydro-2(1*H*)-quinolinone

monohydrochloride
RN: 51781-21-6 MF: $C_{16}H_{24}N_2O_3 \cdot HCl$ MW: 328.84 EINECS: 257-415-7
LD_{50}: 54.5 mg/kg (M, i.v.); 810 mg/kg (M, p.o.);
153 mg/kg (R, i.v.); 1330 mg/kg (R, p.o.);
830 mg/kg (dog, p.o.)



Reference(s):
Winkler, W.: Arzneim.-Forsch. (ARZNAD) **33**, 279 (1983).
DOS 2 302 027 (Otsuka; appl. 16.1.1973; J-prior. 13.4.1972).
US 3 910 924 (Otsuka; 7.10.1975; appl. 19.1.1973; J-prior. 13.4.1972).

synthesis of intermediate 5-hydroxy-1,2,3,4-tetrahydroquinolin-2-one:
Shono, T. et al.: J. Org. Chem. (JOCEAH) **46**, 3719 (1981).

Formulation(s): eye drops 1 %, 2 %, tabl. 2.5 mg, 5 mg, 20 mg (as hydrochloride)

Trade Name(s):

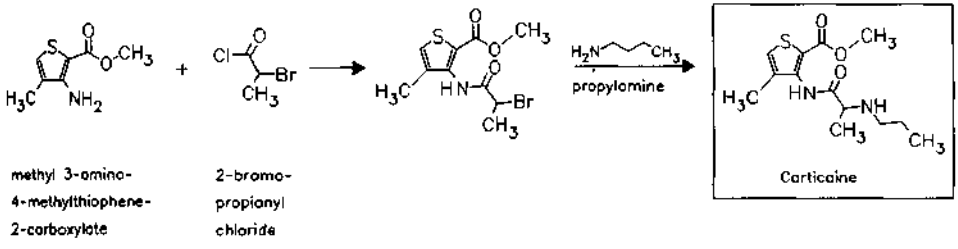
D:	Arteoptic (CIBA Vision; 1984)		Cartéol (Chauvin; 1985)	I:	Carteol (SIFI; 1987)
	Endak (Madaus; 1982)	GB:	Mikelan (Lipha Santé)	J:	Mikelan (Otsuka; 1980)
F:	Carpilo (Chauvin)-comb.		Teoptic (CIBA Vision; 1986)	USA:	Cartrol (Abbott)

Carticaine

ATC: N01B; N01BB08; N01BB58
Use: local anesthetic

RN: 23964-58-1 MF: $C_{13}H_{20}N_2O_3S$ MW: 284.38
CN: 4-methyl-3-[[1-oxo-2-(propylamino)propyl]amino]-2-thiophenecarboxylic acid methyl ester

monohydrochloride
RN: 23964-57-0 MF: $C_{13}H_{20}N_2O_3S \cdot HCl$ MW: 320.84 EINECS: 245-957-7
LD_{50}: 37 mg/kg (M, i.v.)



Reference(s):
DAS 1 643 325 (Hoechst; appl. 7.7.1967).
US 3 855 243 (Hoechst; 17.12.1974; D-prior. 7.7.1967).

Formulation(s): amp. 10mg/ml, 20 mg/ml, 40 mg/ml, 50 mg/ml

Trade Name(s):
D: Ultracain (Hoechst) F: Alphacaïne (SPAD)-comb.

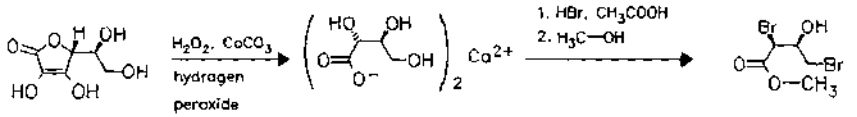
Carumonam
(AMA-1080; Ro-17-2301)

ATC: S01AA
Use: antibacterial (monobactam antibiotic)

RN: 87638-04-8 MF: $C_{12}H_{14}N_6O_{10}S_2$ MW: 466.41
CN: [2*S*-[2α,3α(*Z*)]]-[[[2-[[2-[[(aminocarbonyl)oxy]methyl]-4-oxo-1-sulfo-3-azetidinyl]amino]-1-(2-amino-4-thiazolyl)-2-oxoethylidene]amino]oxy]acetic acid

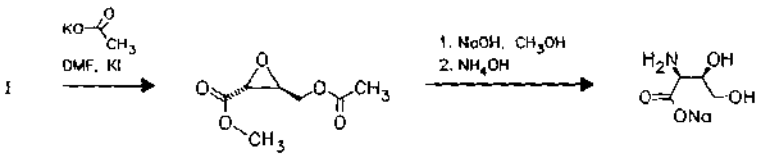
disodium salt
RN: 86832-68-0 MF: $C_{12}H_{12}N_6Na_2O_{10}S_2$ MW: 510.37

(a) azetidinone intermediate:



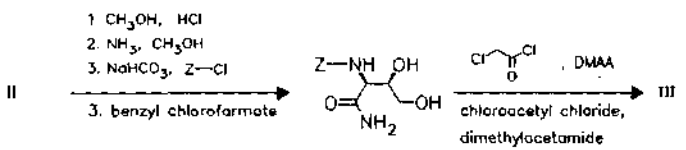
ascorbic acid

(I)

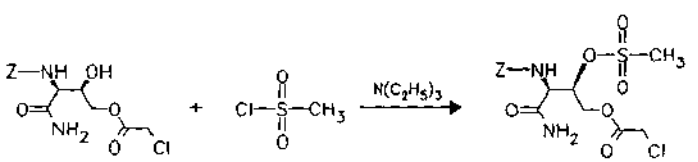


methyl (2R,3S)-4-acetoxy-2,3-epoxybutanoate

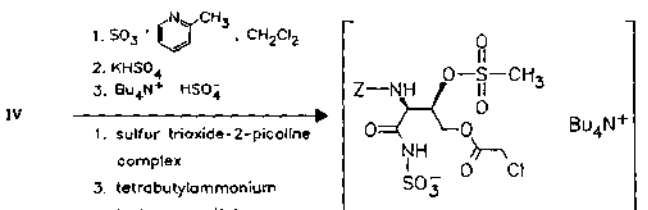
(II)



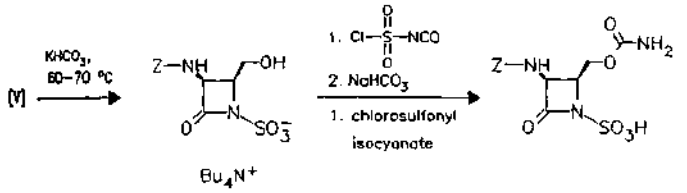
Z:



(III) methanesulfonyl chloride (IV)



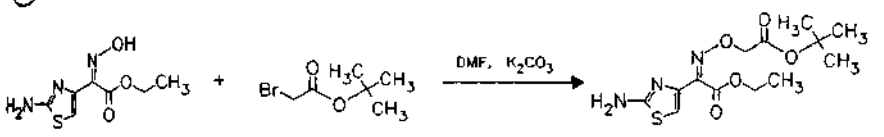
(V)



tetrabutylammonium (3S,4S)-3-(benzyloxycarbonylamino)-4-hydroxymethyl-2-oxoazetidine-1-sulfonate

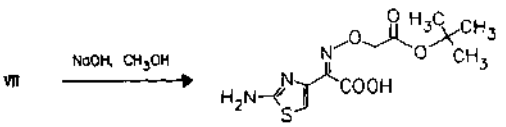
(2S-cis)-3-(benzyloxycarbonylamino)-2-(carbamoyloxymethyl)-4-oxoazetidine-1-sulfonic acid (VI)

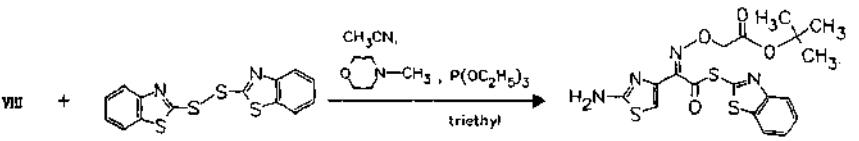
(b) side chain:



ethyl 2-(2-amino-4-thiazolyl)-2(Z)-hydroxyiminoacetate

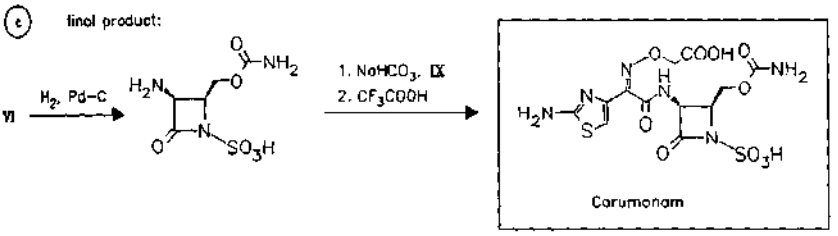
tert-butyl bromoacetate





2,2'-dithiobis-(benzothiazole)

(c) final product:



Reference(s):
Kishimoto, S. et al.: J. Antibiot. (JANTAJ) **36**, 1421 (1983).
Sendai, M. et al.: J. Antibiot. (JANTAJ) **38**, 346 (1985).
US 4 572 801 (Takeda; 25.2.1986; PCT-prior. 30.4.1981, 21.8.1981, 24.9.1981; J-prior. 30.4.1982, 31.5.1982; USA-appl. 3.12.1981, 5.8.1982, 31.5.1983).

special route according to **a** *for VI:*
Manchand, P.S. et al.: J. Org. Chem. (JOCEAH) **53**, 5507 (1988).

alternative route for VI:
Hashigushi, S. et al.: Heterocycles (HTCYAM) **24**, 2273 (1986).

further synthetic routes for carumonam *and its intermediates:*
US 4 673 739 (Takeda; 16.6.1987; PCT-prior. 5.12.1980, 30.4.1981, 21.8.1981, 24.9.1981; J-prior. 30.4.1982, 31.5.1982; USA-appl. 3.12.1981, 5.8.1982, 31.5.1983, 18.9.1985).
US 4 675 397 (Takeda 23.6.1987; PCT-prior. 5.12.1980, 30.4.1981, 21.8.1981, 24.9.1981; J-prior. 30.4.1982; USA-appl. 3.12.1981, 5.8.1982) - 446 pages.
US 4 782 147 (Takeda; 1.11.1988; PCT-prior. 5.12.1980, 30.4.1981, 21.8.1981, 24.9.1981; J-prior. 31.5.1982; USA-appl. 3.12.1981, 31.5.1983) - 504 pages.
US 4 502 994 (Hoffmann-La Roche; 5.3.1985; appl. 9.12.1982).
US 4 652 651 (Hoffmann-La Roche; 24.3.1987; prior. 31.5.1983, 14.4.1986).
US 4 663 469 (Hoffmann-La Roche; 5.5.1987; prior. 9.12.1982, 10.12.1984).
EP 96 297 (Hoffmann-La Roche; appl. 25.5.1983; CH-prior. 3.6.1982, 25.4.1983).
EP 185 221 (Hoffmann-La Roche; appl. 25.11.1985; CH-prior. 19.12.1984).

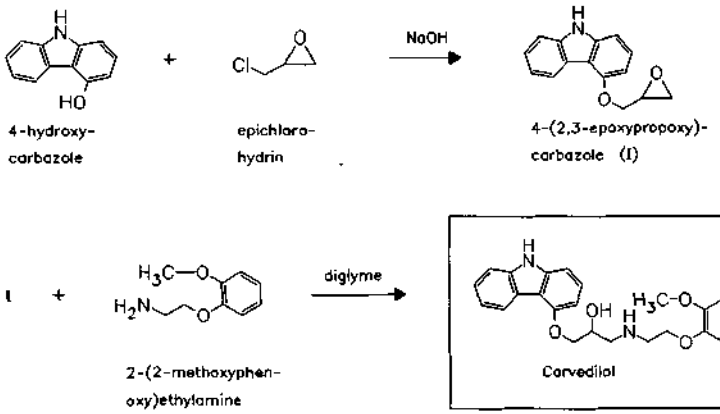
Formulation(s): (disodium salt) vial 0.5 g (i.m. and i.v. inj.), 1 g (i.v. inj.)

Trade Name(s):
D: Amasulin (Takeda); wfm

Carvedilol
(BM-14190)

ATC: C07AG02; C07EA
Use: non-selective β_1-adrenoceptor blocker with vasodilating activity

RN: 72956-09-3 MF: $C_{24}H_{26}N_2O_4$ MW: 406.48
LD_{50}: 364 mg/kg (M, i.p.); 27 mg/kg (M, i.v.);
769 mg/kg (R, i.p.); 25 mg/kg (R, i.v.);
>1 g/kg (dog, p.o.)
CN: 1-(9*H*-carbazol-4-yloxy)-3-[[2-(2-methoxyphenoxy)ethyl]amino]-2-propanol



Reference(s):
DOS 2 815 926 (Boehringer Mannh.; appl. 13.4.1978).
EP 4 920 (Boehringer Mannh.; appl. 4-7-1979; D-prior. 13.4.1978).

synthesis of enantiomers:
EP 127 099 (Boehringer Mannh.; appl. 19.5.1984; D-prior. 26.5.1983).

Formulation(s): tabl. 6.25 mg, 12.5 mg, 25 mg, 50 mg

Trade Name(s):

D: Dilatrend (Boehringer Mannh.; SmithKline Beecham; 1991)
Querto (Byk Gulden)

F: Kredex (Boehringer Mannh.; SmithKline Beecham)

GB: Eucardic (Boehringer Mannh. VK)

I: Carvipress (Gentili)
Dilatrend (Boehringer Mannh.)

J: Artist (Daiichi Seiyaku)

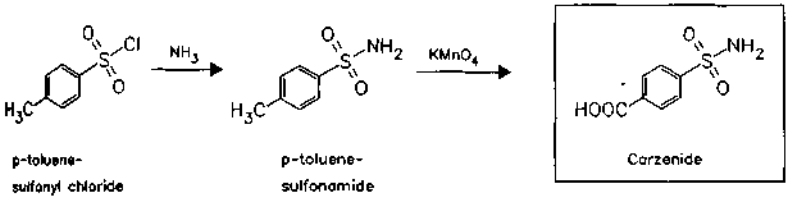
USA: Coreg (SmithKline Beecham)

Carzenide

ATC: M01AE01
Use: antispasmodic, diuretic (carboanhydrase inhibitor)

RN: 138-41-0 MF: $C_7H_7NO_4S$ MW: 201.20 EINECS: 205-327-4
LD_{50}: >1 g/kg (M, i.p.);
350 mg/kg (R, i.p.)
CN: 4-(aminosulfonyl)benzoic acid

monosodium salt
RN: 6101-29-7 MF: $C_7H_6NNaO_4S$ MW: 223.18



p-toluene-sulfonyl chloride

p-toluene-sulfonamide

By-product of saccharin production.

Reference(s):
DRP 64 624 (Dr. C. Fahlberg; appl. 1891).

Formulation(s): f. c. tabl. 200 mg

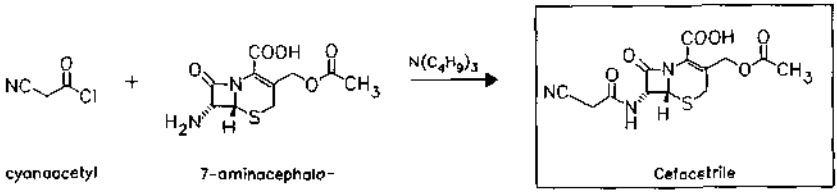
Trade Name(s):
D: Dismenol (Simons)-comb.

Cefacetrile
(Cephacetrile)

ATC: J01DA34
Use: antibiotic

RN: 10206-21-0 MF: $C_{13}H_{13}N_3O_6S$ MW: 339.33 EINECS: 233-508-8
CN: (6*R-trans*)-3-[(acetyloxy)methyl]-7-[(cyanoacetyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monosodium salt
RN: 23239-41-0 MF: $C_{13}H_{12}N_3NaO_6S$ MW: 361.31 EINECS: 245-513-2
LD_{50}: 3700 mg/kg (M, i.v.); 19 g/kg (M, p.o.);
3100 mg/kg (R, i.v.); 15.1 g/kg (R, p.o.)



cyanoacetyl chloride

7-aminocephalosporanic acid

Reference(s):
DAS 1 670 324 (Ciba-Geigy; appl. 8.1.1966; CH-prior. 18.1.1965, 1.4.1965, 10.5.1965, 20.10.1965).
US 3 483 197 (Ciba; 9.12.1969; CH-prior. 18.1.1965, 1.4.1965, 10.5.1965, 20.10.1965).
NL-appl. 6 600 586 (Ciba; appl. 17.1.1966; CH-prior. 18.1.1965, 1.4.1965, 10.5.1965, 20.10.1965).

acylation with mixed anhydrides of cyanoacetic acid:
DOS 2 730 580 (Pierrel S.p.A.; appl. 6.7.1977; GB-prior. 10.7.1976).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

sodium salt:
US 4 061 853 (Ciba-Geigy; 6.12.1977; CH-prior. 9.12.1975).

Formulation(s): vial 1 g/5 ml

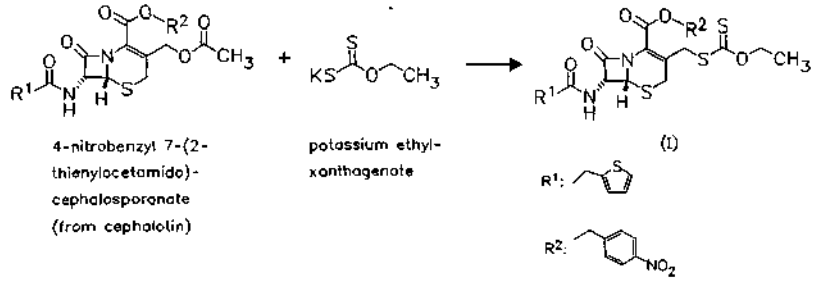
Trade Name(s):

D:	Celospor (Ciba/ Grünenthal); wfm	I:	Celospor (Novartis; as sodium salt)	Celtol (Takeda)
F:	Celospor (Ciba); wfm	J:	Celospor (Novartis)	

Cefaclor

ATC: J01DA08
Use: antibiotic

RN: 53994-73-3 MF: $C_{15}H_{14}ClN_3O_4S$ MW: 367.81 EINECS: 258-909-5
LD_{50}: >20 g/kg (M, p.o.);
>20 g/kg (R, p.o.)
CN: [6*R*-[6α,7β(*R**)]]-7-[(aminophenylacetyl)amino]-3-chloro-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid



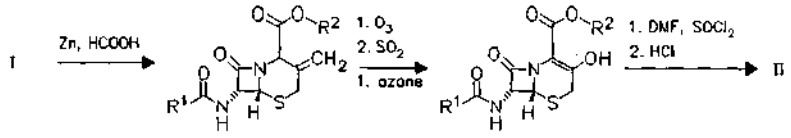
4-nitrobenzyl 7-(2-thienylacetamido)-cephalosporonate (from cephalotin)

potassium ethylxanthogenate

(I)

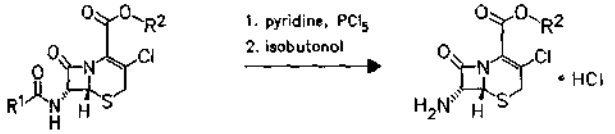
R1:

R2:



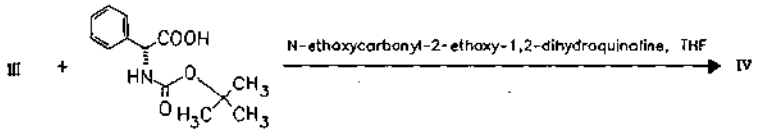
4-nitrobenzyl 3-methylene-7-(2-thienylacetamido)-cepham-4-carboxylate

4-nitrobenzyl 3-hydroxy-7-(2-thienylacetamido)-3-cepham-4-carboxylate

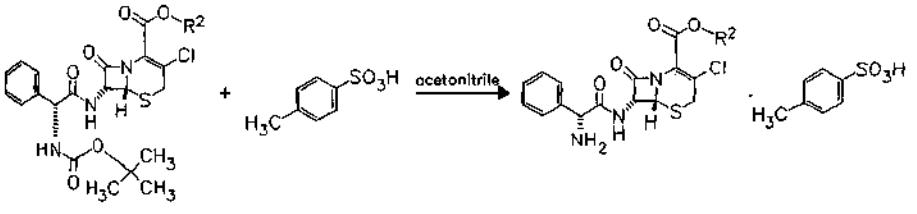


4-nitrobenzyl 3-chloro-7-(2-thienylacetamido)-3-cephem-4-carboxylate (II)

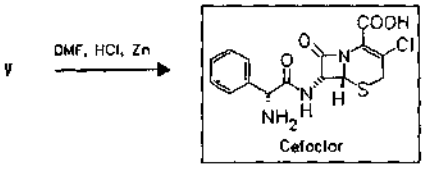
4-nitrobenzyl 7-amino-3-chloro-3-cephem-4-carboxylate hydrochloride (III)



N-tert-butoxycarbonyl-D-α-phenylglycine



(IV) (V)



Reference(s):

US 3 925 372 (Lilly; 9.12.1975; prior. 23.2.1973, 1.4.1974).
DOS 2 408 698 (Lilly; appl. 22.2.1974; USA-prior. 23.2.1973).
Chauvette, R.R.; Pennington, P.A.: J. Med. Chem. (JMCMAR) **18**, 403 (1975).

3-halogenocephem precursors:

DOS 2 408 686 (Lilly; appl. 22.2.1974; USA-prior. 23.2.1973).
US 4 115 643 (Lilly; 19.9.1978; prior. 16.8.1976, 8.8.1977).

3-hydroxycephem intermediates:

US 3 917 587 (Lilly; 4.11.1975; appl. 28.11.1972).

3-methylenecephem intermediates:
US 3 932 393 (Lilly; 13.1.1976; appl. 25.2.1971).
US 4 075 203 (Lilly; 21.2.1978; appl. 16.6.1976).

3-chlorocephem intermediates:
US 3 962 227 (Lilly; 8.6.1976; prior. 23.2.1973, 1.4.1974).
US 4 064 343 (Lilly; 20.12.1977; prior. 23.2.1973, 1.4.1974, 9.2.1976).

Formulation(s): cps. 250 mg, 500 mg; gran. 125 mg, 250 mg; s. r. tabl. 375 mg, 500 mg; syrup 125 mg/ml, 250 mg/ml

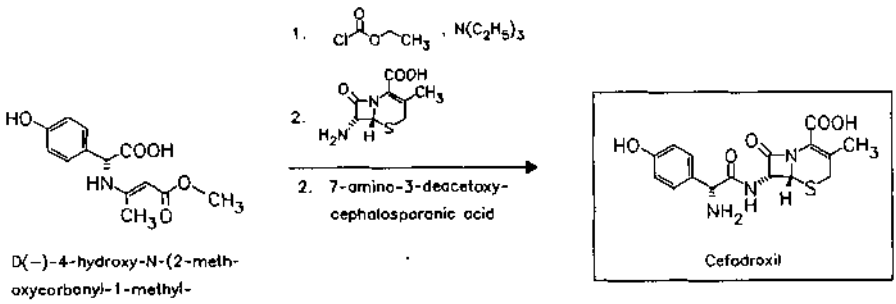
Trade Name(s):

D:	Kefspor (ASTA Medica AWD)		Sigacefal (Kytta-Siegfried)	J:	Kefral (Shionogi; 1982)
	Muco Panoral (Lilly)-comb.	F:	Alfatil (Lilly; 1981)	USA:	Ceclor (Lilly; 1979)
	Panoral (Lilly; 1979)	GB:	Distaclor MR (Lilly; 1979)		Ceclor CD (Dura)
			Keftid (Galen)		
		I:	Panacef (Lilly)		

Cefadroxil

ATC: J01DA09
Use: antibiotic

RN: 50370-12-2 MF: $C_{16}H_{17}N_3O_5S$ MW: 363.39 EINECS: 256-555-6
LD_{50}: >1.5 g/kg (M, i.v.); >10 g/kg (M, p.o.);
>1 g/kg (R, i.v.); >10 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: [6*R*-[6α,7β(*R**)]]-7-[[amino(4-hydroxyphenyl)acetyl]amino]-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid



Reference(s):
DE 1 795 292 (Bristol-Myers; appl. 5.9.1968; USA-prior. 5.9.1967).
US 3 489 752 (Bristol-Myers; 13.1.1970; appl. 5.9.1967).
GB 1 240 687 (Bristol-Myers; appl. 5.9.1968; USA-prior. 5.9.1967).
US 3 985 741 (Bristol-Myers; 12.10.1976; prior. 15.9.1972, 18.10.1974).
GB 1 532 682 (Bristol-Myers; appl. 27.4.1976; valid from 7.3.1977).

crystalline monohydrate:
US 4 160 863 (Bristol-Myers; 10.7.1979; prior. 7.4.1977, 2.2.1978).
DOS 2 718 741 (Bristol-Myers; appl. 27.4.1977; GB-prior. 27.4.1976, 7.3.1977).

Formulation(s): cps. 500 mg; oral susp. 125 mg/5 ml, 250 mg/5 ml, 500 mg/5 ml; tabl. 1 g

Trade Name(s):

D:	Bidocef (Bristol-Myers Squibb; 1980)	Cedrox (Hexal)	Grüncef (Bristol-Myers Squibb; Grünenthal)

F: Oracefal (Bristol-Myers Squibb; 1977)
GB: Baxan (Bristol-Myers Squibb; 1982)
I: Cefadril (AGIPS)
Ceoxil (Magis)
Cephos (CT)
Crenodyn (Ist. Italiano Ferm.)
Oradroxil (Lampugnani)
J: Sedral (Banyu; 1982)
Sumacef (Bristol Banyu; 1982)
USA: Duricef (Bristol-Myers Squibb; 1978)
Ultracef (Bristol; 1981)

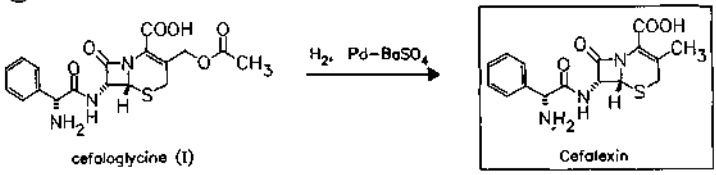
Cefalexin
(Cephalexin)

ATC: J01DA01
Use: antibiotic

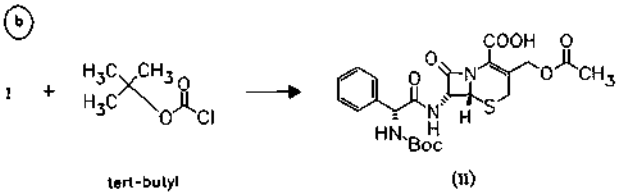
RN: 15686-71-2 MF: $C_{16}H_{17}N_3O_4S$ MW: 347.40 EINECS: 239-773-6
LD_{50}: 1495 mg/kg (M, p.o.);
>20 g/kg (R, p.o.)
CN: [6*R*-[6α,7β(*R**)]]-7-[(aminophenylacetyl)amino]-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

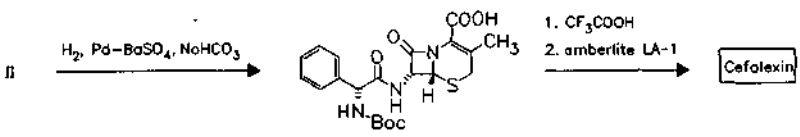
monohydrate
RN: 23325-78-2 MF: $C_{16}H_{17}N_3O_4S \cdot H_2O$ MW: 365.41

a



b





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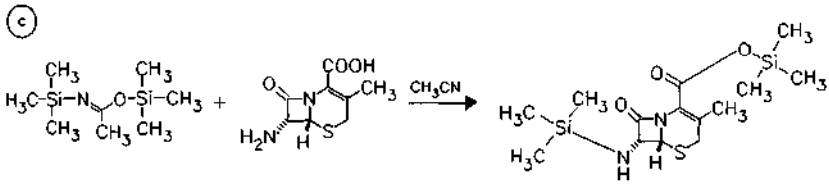
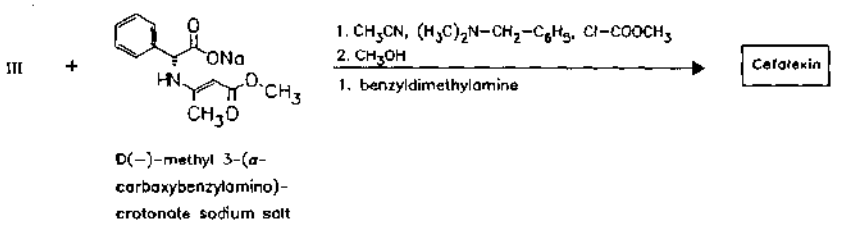




D(−)-methyl 3-(α-carboxybenzylamino)-crotonate sodium salt

Reference(s):
DE 1 670 625 (Lilly; appl. 28.3.1967; USA-prior. 14.9.1966).
US 3 507 861 (Lilly; 21.4.1970; prior. 31.7.1962, 14.9.1966).
a,b Ryan, C.W. et al.: J. Med. Chem. (JMCMAR) **12**, 310 (1968).
FR 1 524 225 (Eli Lilly; appl. 23.3.1967; USA-prior. 14.9.1966).
GB 1 174 335 (Eli Lilly; appl. 7.3.1967).
c DOS 1 942 454 (Lilly; appl. 20.8.1969; USA-prior. 23.8.1968).
GB 1 459 807 (Proter S.p.A.; appl. 27.5.1975).

purification:
US 3 634 416 (Glaxo; 11.1.1972; GB-prior. 26.3.1969).
US 3 676 437 (Glaxo; 11.7.1972, GB-prior. 26.9.1969).

alternative syntheses (also ring extension of penicillin sulfoxide esters):
GB 1 204 394 (Eli Lilly; appl. 8.5.1968; USA-prior. 8.5.1967).
US 3 502 663 (Eli Lilly; 24.3.1970; appl. 21.4.1969).
US 3 671 449 (Lilly; 20.6.1972; prior. 23.8.1968, 19.8.1970).
DAS 2 012 955 (Eli Lilly; appl. 18.3.1970; USA-prior. 18.3.1969).
DOS 2 117 377 (Bristol-Myers; appl. 8.4.1971; USA-prior. 10.4.1970, 5.10.1970).
DOS 2 127 225 (Yamanouchi; appl. 2.6.1971; J-prior. 12.6.1970, 15.6.1970).
DAS 2 241 091 (Toyo Jozo; appl. 21.8.1972; J-prior. 20.8.1971, 14.1.1972).
DAS 2 242 684 (Lilly; appl. 30.8.1972; GB-prior. 11.9.1971).
US 3 946 002 (Eli Lilly; 23.3.1976; appl. 11.7.1974).
DOS 2 728 578 (Lilly; appl. 24.6.1977; USA-prior. 1.7.1976).
Chauvette, R.R. et al.: J. Org. Chem. (JOCEAH) **36**, 1259 (1971).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

microbiological acylation:
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 14.5.1975).

crystalline monohydrate:
US 3 531 481 (Lilly; 29.9.1970; prior. 21.4.1969).
US 3 655 656 (Lilly; 11.4.1972; prior. 21.4.1969, 4.6.1970).

salts with sulfonic acids:
US 3 676 434 (Lilly; 11.7.1972; prior. 29.7.1970).

retard preparation:
GB 1 543 543 (Shionogi; appl. 11.5.1977; J-prior. 13.5.1976).

Formulation(s): cps. 500 mg; f. c. tabl. 500 mg, 1000 mg; gran. 125 mg, 250 mg; vial 1 g/4 ml; susp. 250 mg/5 ml; syrup 50 mg/ml, 250 mg/ml

Trade Name(s):

D:	Ceporexin (Glaxo Wellcome; Hoechst; 1973)		Keforal (Lilly; 1970)	Cefalexina (Marco Viti)
	Oracef (Lilly; 1971)	GB:	Ceporex (Glaxo Wellcome; 1969)	Ceporex (Glaxo)
F:	Cefacet (Norgine)		Keflex (Lilly; 1985)	Foce (Medici)-comb.
	Ceporexine (Glaxo Wellcome)	I:	Cefalexi (Formulario Naz.; Lifepharma)	Fosfolexin (Lifepharma)-comb.
				Lafarin (Lafarc)

	Pivacef (Firma)	Garasin (Wakamoto)	Rinesal (Kissei)
	Zetacef (Menarini)	Iwalexin (Iwaki)	Salitex (Banyu)
J:	Cephalomax (Daisan)	Keftex (Shionogi)	Segoramin (Takata)
	Cephazal (Hokuriku)	Larixin (Toyama)	Sencephalin (Takeda)
	Cepol (Torii)	Madlexin (Meiji)	Suciralin (Mohan)
	CEX (Glaxo)	Mamalexin (Showa)	Syncl (Toyo Jozo)
	Ciponium (Nippon	Mepilacin-DS (Kanto Ishi)	Taicelexin (Taiyo)
	Kayaku)	Ohlexin (Ohta)	Tokiolexin (Isei)
	Derantel (Nippon	Oracocin (Tobishi)	Xakl (SS Seiyaku)
	Chemiphar)	Oroxin (Otsuka)	USA: Keflex (Dista; 1971)

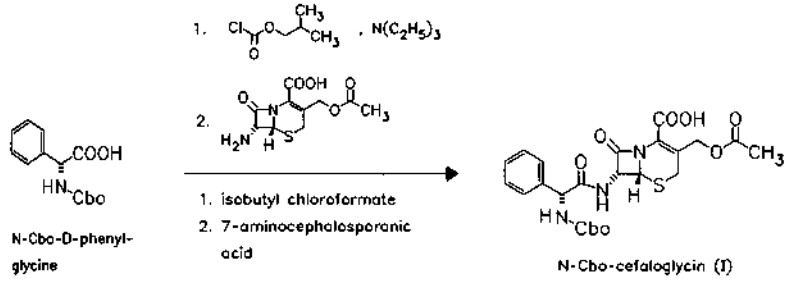
Cefaloglycin

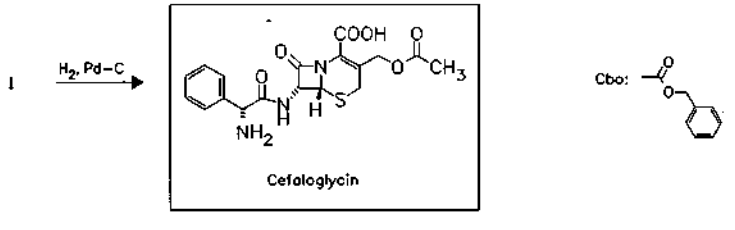
(Cephaloglycin)

ATC: J01DA
Use: antibiotic

RN: 3577-01-3 MF: $C_{18}H_{19}N_3O_6S$ MW: 405.43 EINECS: 222-696-7
LD_{50}: >10 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: [6*R*-(6α,7β(*R**))]-3-[(acetyloxy)methyl]-7-[(aminophenylacetyl)amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

dihydrate
RN: 22202-75-1 MF: $C_{18}H_{19}N_3O_6S \cdot 2H_2O$ MW: 441.46





Reference(s):
GB 985 747 (Eli Lilly; appl. 22.8.1962; USA-prior. 11.9.1961).
US 3 497 505 (Eli Lilly; 24.2.1970; appl. 24.10.1966).
GB 1 017 624 (Merck & Co.; appl. 10.1.1963; USA-prior. 16.1.1962).

acylation via silyl-derivatives:
DOS 1 942 454 (Lilly; appl. 20.8.1969; USA-prior. 23.8.1968).

microbiological acylation:
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 14.5.1975).

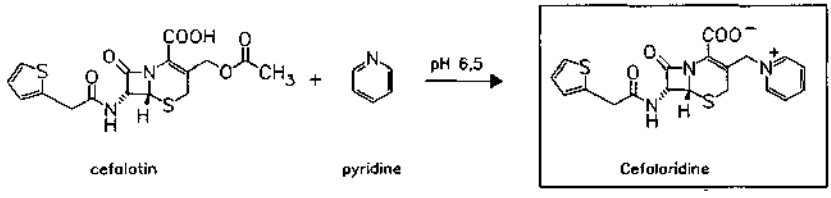
Formulation(s): cps. 250 mg, 500 mg

Trade Name(s):
J: Kefglycin (Shionogi) USA: Kafocin (Lilly); wfm

Cefaloridine
(Cephaloridine)

ATC: J01DA02
Use: antibiotic

RN: 50-59-9 MF: $C_{19}H_{17}N_3O_4S_2$ MW: 415.49 EINECS: 200-052-6
LD_{50}: 2200 mg/kg (M, i.v.); >20 g/kg (M, p.o.);
1065 mg/kg (R, i.v.); 2500 mg/kg (R, p.o.)
CN: (6*R-trans*)-1-[[2-carboxy-8-oxo-7-[(2-thienylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium hydroxide inner salt



Reference(s):
GB 1 030 630 (Glaxo; appl. 14.12.1962).
DE 1 445 828 (Glaxo; appl. 14.12.1963; GB-prior. 14.12.1962, 2.12.1963).
FR 1 384 197 (Glaxo; appl. 13.12.1963; GB-prior. 14.12.1962, 2.12.1963).
DAS 1 670 599 (Lilly; appl. 17.1.1966; USA-prior. 5.3.1965).
DAS 1 795 581 (Glaxo; appl. 4.11.1964; GB-prior. 13.7.1964, 29.9.1964).
DE 1 795 610 (Glaxo; appl. 4.11.1964; GB-prior. 4.11.1963, 13.7.1964, 29.9.1964).

Formulation(s): amp. 250 mg/2 ml, 500 mg/3 ml, 1 g/4 ml

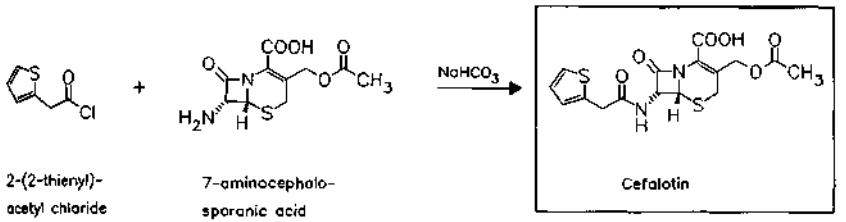
Trade Name(s):
D: Cepaloridin-Glaxo (Glaxo); wfm; generics
F: Céporine (Glaxo); wfm
Kéflodin (Lilly); wfm
I: Ceporin (Glaxo)
J: Ceporan (Torii)
CER (Glaxo)
Keflodin (Shionogi)
USA: Loridine (Lilly); wfm

Cefalotin
(Cephalotin)

ATC: J01DA03
Use: antibiotic

RN: 153-61-7 MF: $C_{16}H_{16}N_2O_6S_2$ MW: 396.44 EINECS: 205-815-7
LD_{50}: 4990 mg/kg (M, i.v.);
>5 g/kg (R, i.v.)
CN: (6*R-trans*)-3-[(acetyloxy)methyl]-8-oxo-7-[(2-thienylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monosodium salt
RN: 58-71-9 MF: $C_{16}H_{15}N_2NaO_6S_2$ MW: 418.43 EINECS: 200-394-6
LD_{50}: 4800 mg/kg (M, i.v.);
5600 mg/kg (R, i.v.); >10 g/kg (R, p.o.)



2-(2-thienyl)-acetyl chloride

7-aminocephalosporanic acid

Reference(s):
DE 1 445 684 (Eli Lilly; appl. 4.6.1962; USA-prior. 8.6.1961).
BE 618 663 (Eli Lilly; appl. 7.6.1962; USA-prior. 8.6.1961).
DAS 1 670 641 (Lilly; appl. 23.11.1967; USA-prior. 23.11.1966).
DOS 2 730 579 (Pierrel S.p.A.; appl. 6.7.1977; GB-prior. 10.7.1976).

acylation via silyl-derivatives of 7-aminocephalosporanic acid:
DOS 1 942 454 (Lilly; appl. 20.8.1969; USA-prior. 23.8.1968).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

total synthesis:
Ratcliffe, R.W.; Christensen, G.B.: Tetrahedron Lett. (TELEAY) **1973**, 4649.

"easily soluble form" for parenteral application by freeze-drying:
US 4 029 655 (Lilly; 14.6.1977; appl. 11.4.1975).
US 4 132 848 (Lilly; 2.1.1979; prior. 3.11.1977).
DOS 2 752 442 (Lilly; appl. 24.11.1977).

crystalline sterile preparation for parenteral application:
US 4 029 655 (Lilly; 14.6.1977; appl. 11.4.1975).

Formulation(s): amp. 500 mg, 1 g, 2 g, 4 g (as sodium salt)

Trade Name(s):

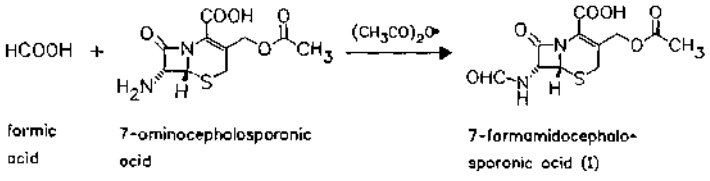
D:	Cepovenin (Hoechst; 1973); wfm	J:	Cephation (Meiji)		Sucira N (Mohan)
			CET (Glaxo)		Synclotin (Toyo Jozo)
F:	Céfalotine (Panpharma)		Coaxin (Tobishi)		Toricelocin (Torii)
	Kéflin (Lilly; 1966)		Keflin (Shionogi Lilly)	USA:	Keflin (Lilly; 1975); wfm
	generics		Resting (Ono)		Seffin Neutral (Glaxo; 1984); wfm
I:	Cefalo (Formulario Naz.)		Sodium Cephalotin (Green Cross)		
	Keflin (Lilly)				

Cefamandole

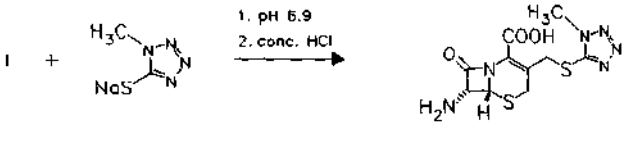
ATC: J01DA07
Use: antibiotic

RN: 34444-01-4 MF: $C_{18}H_{18}N_6O_5S_2$ MW: 462.51 EINECS: 252-030-0
CN: [6*R*-[6α,7β(*R**)]]-7-[(hydroxyphenylacetyl)amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

formate monosodium salt (nafate)
RN: 42540-40-9 MF: $C_{19}H_{17}N_6NaO_6S_2$ MW: 512.50 EINECS: 255-877-4
LD_{50}: 3915 mg/kg (M, i.v.);
2562 mg/kg (R, i.v.)

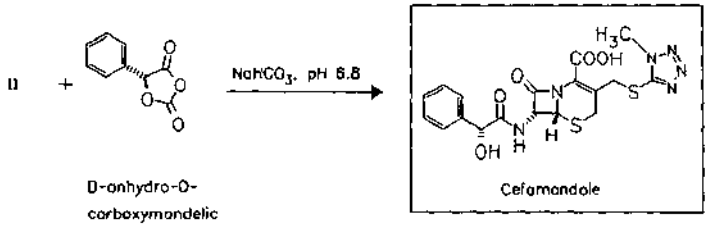


formic acid



1-methyl-1H-tetrazole-5-thiol sodium salt

7-amino-3-(1-methyl-tetrazol-5-ylthiomethyl)-3-cephem-4-carboxylic acid (II)



D-anhydro-O-carboxymandelic acid (from D(−)-mandelic acid and phosgene)

Reference(s):
US 3 641 021 (Lilly; 8.2.1972; appl. 18.4.1969).
DE 2 018 600 (Lilly; appl. 17.4.1970; USA-prior. 18.4.1969).
DAS 2 065 621 (Lilly; appl. 17.4.1970; USA-prior. 18.4.1969).
US 3 840 531 (Lilly; 8.10.1974; appl. 21.3.1972).
US 3 903 278 (Smith Kline Corp.; 2.9.1975; prior. 4.11.1971).
DOS 2 730 579 (Pierrel S.p.A.; appl. 6.7.1977; GB-prior. 10.7.1976).

preparation and/or purification via the trimethylsilyl-derivatives:
DOS 2 711 095 (Lilly; appl. 14.3.1977; USA-prior. 17.3.1976).

purification:
US 4 115 644 (Lilly; 19.9.1978; appl. 19.9.1978).
DOS 2 839 670 (Lilly; appl. 12.9.1978; USA-prior. 19.9.1977).

crystalline sodium salt:
US 4 054 738 (Lilly; 18.10.1977; appl. 22.12.1975).
US 4 168 376 (Lilly; 18.9.1979; appl. 5.6.1978).

lithium salt:
GB 1 546 757 (Lilly; appl. 10.4.1975; valid from 7.4.1976).

O-formyl-derivative:
US 3 928 592 (Lilly; 23.12.1975; appl. 21.2.1974).
GB 1 493 676 (Lilly; appl. 20.2.1975; USA-prior. 22.2.1974).
GB 1 546 898 (Lilly; appl. 7.4.1976; USA-prior. 11.4.1975).
DOS 2 506 622 (Lilly; appl. 17.2.1975; USA-prior. 22.2.1974).

crystalline sodium salt of O-formylcefamandole:
US 4 006 138 (Lilly; 1.2.1977; appl. 11.4.1975).

complex of cefamandole sodium *with* 1,4-dioxane *and* water:
US 3 947 414 (Lilly; 30.3.1976; appl. 23.12.1974).

complex of cefamandole sodium *with* ethyl L-(–)-lactate:
US 3 947 415 (Lilly; 30.3.1976; appl. 23.12.1974).

Formulation(s): vial 0.5 g, 1 g, 2 g (as nafate)

Trade Name(s):

D:	Mandokef (Lilly; 1977)	Cefaseptolo (Miba)		Mandolsan (San Carlo)
F:	Kefandol (Lilly)	Cefiran (Pierrel)		Neocefal (Metapharma)
GB:	Kefadol (Dista; 1978)	Cemado (Francia Farm.)		Septomandolo (IPA)
I:	Bergacef (Bergamon)	Fado (Caber)	J:	Kefadole (Shionogi)
	Cedol (Eurofarmaco)	Lampomandol (AGIPS)	USA:	Mandol (Lilly; 1978)
	Cefam (Magis)	Mancef (Lafare)		
	Cefamen (Menarini)	Mandokef (Lilly)		

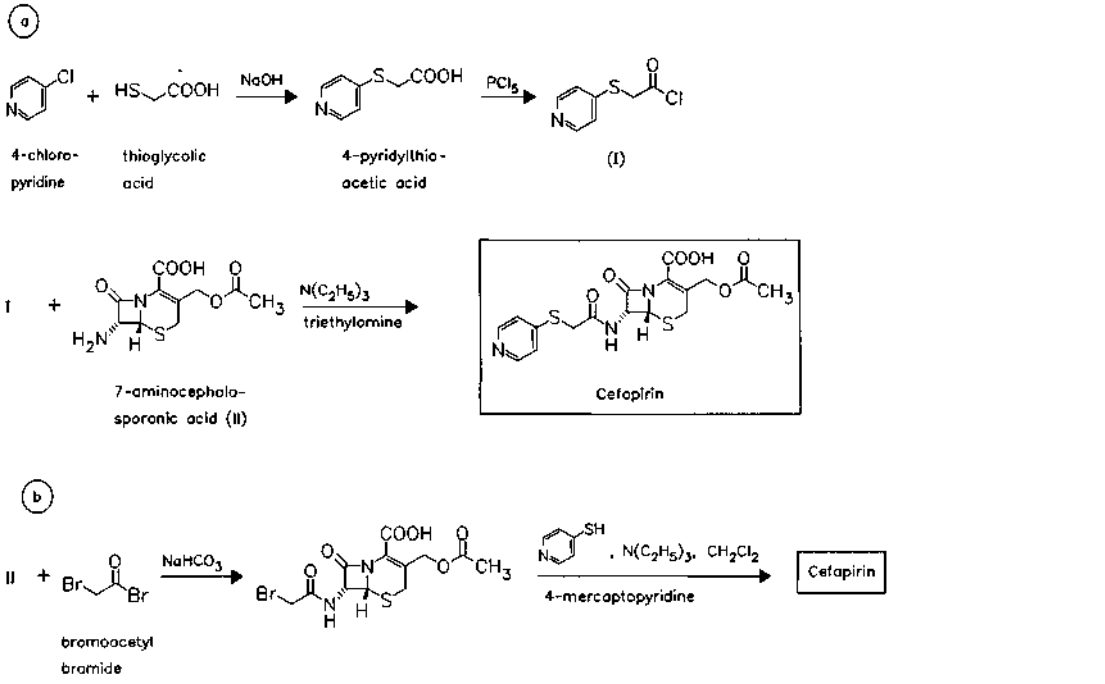
Cefapirin

(Cephapirin; Cefaprin)

ATC: J01DA30
Use: β-lactam antibiotic

RN: 21593-23-7 MF: $C_{17}H_{17}N_3O_6S_2$ MW: 423.47 EINECS: 244-466-5
LD_{50}: >760 mg/kg (M, i.v.); 26.1 g/kg (M, p.o.);
6048 mg/kg (R, i.v.); 16.356 g/kg (R, p.o.)
CN: (6*R-trans*)-3-[(acetyloxy)methyl]-8-oxo-7-[[(4-pyridinylthio)acetyl]amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monosodium salt
RN: 24356-60-3 MF: $C_{17}H_{16}N_3NaO_6S_2$ MW: 445.45 EINECS: 246-194-2
LD_{50}: 4600 mg/kg (M, i.v.); 26.1 g/kg (M, p.o.);
4580 mg/kg (R, i.v.); 16.4 g/kg (R, p.o.);
2500 mg/kg (dog, i.v.)



Reference(s):
Crast, L.B. et al.: J. Med. Chem. (JMCMAR) **16**, 1413 (1973).
US 3 422 100 (Bristol-Myers; 14.1.1969; appl. 2.5.1967; prior. 5.1.1967).
US 3 503 967 (Bristol-Myers; 31.3.1970; appl. 26.8.1968).
US 3 578 661 (Bristol-Myers; 11.5.1971; appl. 2.6.1969).
DE 1 670 301 (Bristol-Myers; appl. 5.1.1968; USA-prior. 5.1.1967).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

salts with amino acids:
FR-appl. 2 479 228 (Dobfar; appl. 25.3.1981; I-prior. 1.4.1980).

Formulation(s): vial 0.5 g, 1 g, 2 g, 4 g (as sodium salt)

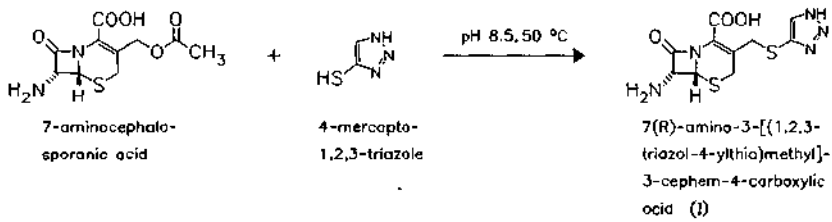
Trade Name(s):

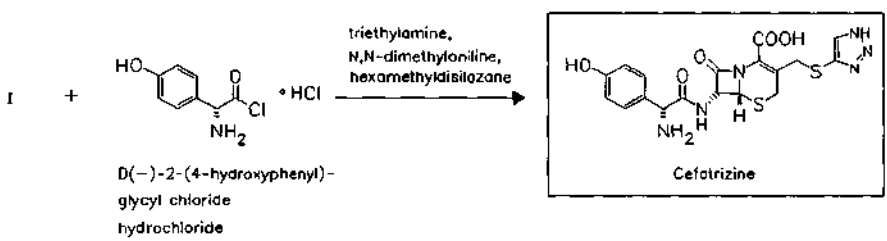
D:	Bristocef (Bristol; 1974); wfm		Brisporin (Bristol It. Sud); wfm		Cefatrexyl (Nihon Bristol)
F:	Cefaloject (Bristol-Myers Squibb; 1974)	J:	Antibalin (Nippon Chemiphar)		Cepotril (Tobishi-Kaken)
I:	Ambrocef (Lusofarmaco); wfm		Cefarin (Fuji)		Ceropirin (Nichiiko)
					Taicelepirin (Taiyo)
					Vacian (Kantoishi)
				USA:	Cefadyl (Bristol; 1974)

Cefatrizine

ATC: J01DA21
Use: β-lactam antibiotic

RN: 51627-14-6 MF: $C_{18}H_{18}N_6O_5S_2$ MW: 462.51 EINECS: 257-324-2
CN: [6*R*-[6α,7β(*R**)]]-7-[[amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-[(1*H*-1,2,3-triazol-4-ylthio)methyl]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid





Reference(s):
US 3 899 394 (Bristol-Myers; 12.8.1975; prior. 26.12.1972).
US 3 867 380 (SmithKline Corp.; 18.2.1975; prior. 17.12.1970, 18.2.1971, 14.6.1972).
DOS 2 364 192 (Bristol-Myers; appl. 21.12.1973; USA-prior. 26.12.1972).
DAS 2 622 985 (Bristol-Myers; appl. 21.5.1976; USA-prior. 23.5.1975).
US 3 970 651 (Bristol-Myers; 20.7.1976; prior. 7.1.1974, 18.12.1974).
US 3 985 747 (Bristol-Myers; 12.10.1976; appl. 24.5.1974).

acylation via 1,3,2-dioxaboranyl derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

Formulation(s): cps. 125 mg, 250 mg, 500 mg; susp. 5 %; syrup 125 mg, 250 mg

Trade Name(s):

F: Céfaperos (Bristol-Myers Squibb; 1987)

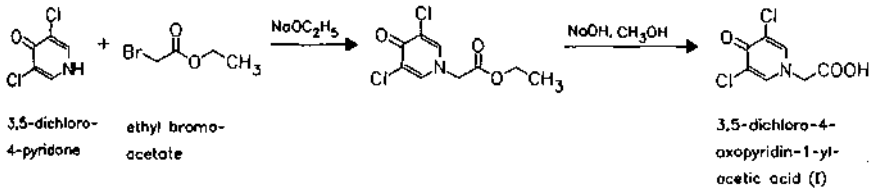
I: Cefatrix (tekfarma bkf)
Cefotrizin (Firma)
Cetrazil (Herdel)
Faretrizin (Lafare)
Ipatrizina (IPA)
Kefoxina (CT)
Ketrizin (Esseti)
Lampotrix (Leben's)
Latocef (Delsaz & Filippini)
Miracef (Tosi-Novara)
Novacef (Locatelli)
Orotrix (San Carlo)
Tamyl (Fisons Italchimici)
Tricef (Eurofarmaco)
Trixidine (ASTA Medica)
Trixilan (Pulitzer)
Trizina (Francia Farm.)
Zanitrin (Bristol It. Sud)
Zinaf (Crosara)
Zitrix (Metapharma)

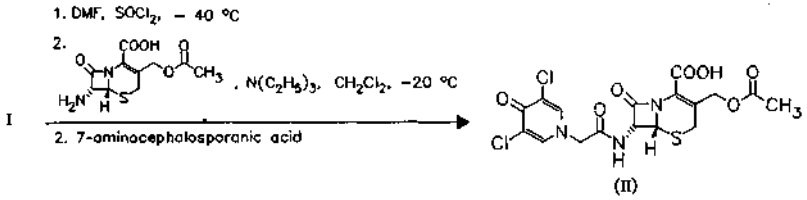
J: Bricef (Bristol)
Cepticol (Banyu; 1980)

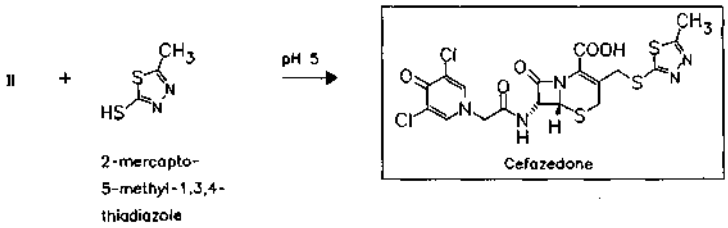
Cefazedone

ATC: J01DA15
Use: antibiotic

RN: 56187-47-4 MF: $C_{18}H_{15}Cl_2N_5O_5S_3$ MW: 548.45
CN: (6*R-trans*)-7-[[(3,5-dichloro-4-oxo-1(4*H*)-pyridinyl)acetyl]amino]-3-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid







Reference(s):
Gericke, R.; Rogalski, W.: Arzneim.-Forsch. (ARZNAD) **29** (I), 362 (1979).
DOS 2 427 224 (E. Merck; appl. 6.6.1974).
DOS 2 345 402 (E. Merck; appl. 8.9.1973).
DOS 2 621 011 (E. Merck; appl. 12.5.1976).
GB 1 436 989 (E. Merck; appl. 5.9.1974; D-prior. 8.9.1973, 6.6.1974).
US 4 153 693 (E. Merck; 8.5.1979; D-prior. 8.9.1973, 6.6.1974).
GB 1 539 158 (E. Merck; appl. 11.5.1977; D-prior. 12.5.1976).

Formulation(s): vial 1 g, 2 g

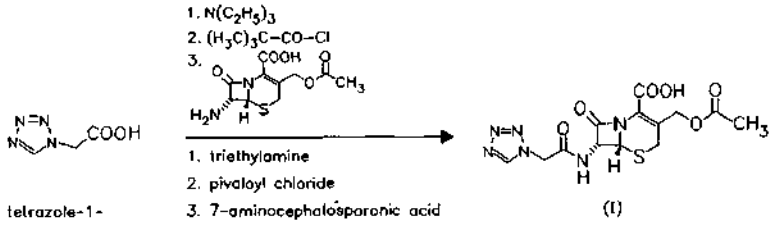
Trade Name(s):
D: Refosporin (E. Merck);
wfm

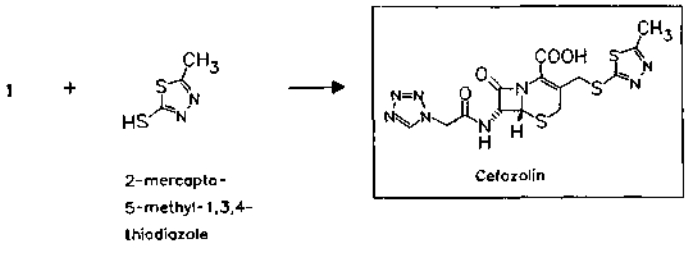
Cefazolin
(Cephazolin)

ATC: J01DA04
Use: antibiotic

RN: 25953-19-9 MF: $C_{14}H_{14}N_8O_4S_3$ MW: 454.52 EINECS: 247-362-8
LD_{50}: 3 g/kg (M, i.v.)
CN: (6*R-trans*)-3-[[(5-methyl-1,3,4-thiadiazol-2-yl)thio]methyl]-8-oxo-7-[(1*H*-tetrazol-1-ylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monosodium salt
RN: 27164-46-1 MF: $C_{14}H_{13}N_8NaO_4S_3$ MW: 476.50 EINECS: 248-278-4
LD_{50}: 3900 mg/kg (M, i.v.); >11 g/kg (M, p.o.);
2760 mg/kg (R, i.v.); >11 g/kg (R, p.o.);
2200 mg/kg (dog, i.v.)





Reference(s):
US 3 516 997 (Fujisawa; 23.6.1970; appl. 12.4.1968; J-prior. 15.4.1967, 24.10.1967, 28.10.1967).
DE 1 170 168 (Fujisawa; appl. 10.4.1968; J-prior. 14.4.1967).

corresponding:
GB 1 206 305 (Fujisawa; appl. 11.4.1968; J-prior. 15.4.1967).
NL 6 805 179 (Fujisawa; appl. 11.4.1968; J-prior. 15.4.1967).
DOS 1 953 861 (Fujisawa; appl. 25.10.1969).

alternative syntheses:
DOS 2 055 796 (Fujisawa; appl. 13.11.1970; J-prior. 17.11.1969).
DOS 2 540 374 (Lilly; appl. 10.9.1975; USA-prior. 12.9.1974).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

purification:
US 4 115 645 (Lilly; 19.9.1978; appl. 10.5.1977).

sodium salt:
DOS 2 752 443 (Lilly; appl. 24.11.1977; USA-prior. 24.11.1976).

sodium salt monohydrate:
US 4 104 470 (Lilly; 1.8.1978; appl. 3.6.1977).

rapidly soluble spray dried sodium salt:
US 4 146 971 (Lilly; 3.4.1979; prior. 24.11.1976, 14.12.1977).

suspension for parenteral application:
GB 1 546 479 (Lilly; appl. 23.4.1976; USA-prior. 28.4.1975).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g (as sodium salt)

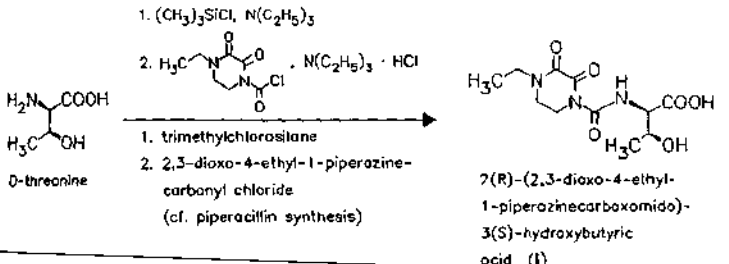
Trade Name(s):

D:	Elzogram (Lilly; 1974)	Cefabiozim (IPA)	Zolisint (Locatelli)
	Gramaxin (Roche; 1974)	Cefamezin (Carlo Erba)	J: Cefamezin (Fujisawa;
F:	Cefacidal (Bristol-Myers	Cefazil (Delsaz &	1971)
	Squibb; 1976)	Filippini)	USA: Ancef (SmithKline
	Kefzol (Lilly; 1976)	Cromezin (Crosara)	Beecham; 1973)
GB:	Kefzol (Lilly; 1974)	Firmacef (Firma)	Kefzol (Lilly; 1973)
I:	Acef (Eurofarmaco)	Recef (Farma Uno)	
	Biazolina (Ist. Italiano	Totacef (Bristol It. Sud)	
	Ferm.)	Zolin (San Carlo)	

Cefbuperazone

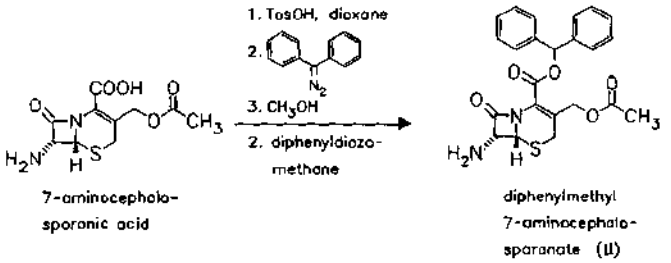
ATC: J01DA
Use: β-lactam antibiotic

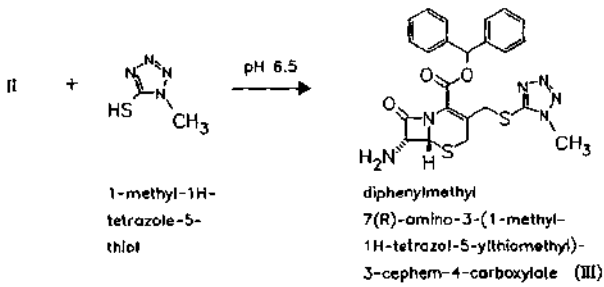
RN: 76610-84-9 MF: $C_{22}H_{29}N_9O_9S_2$ MW: 627.66
CN: [6*R*-[6α,7α,7(2*R**,3*S**)]]-7-[[2-[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-3-hydroxy-1-oxobutyl]amino]-7-methoxy-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

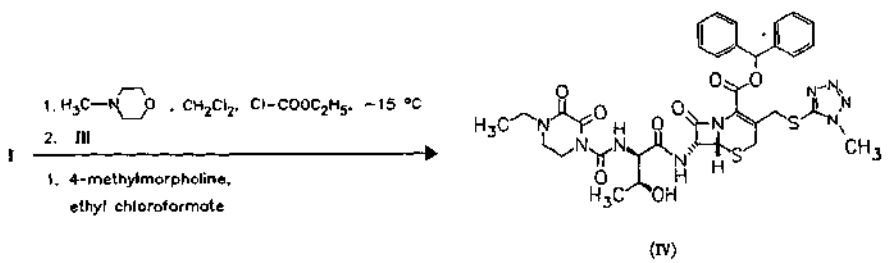


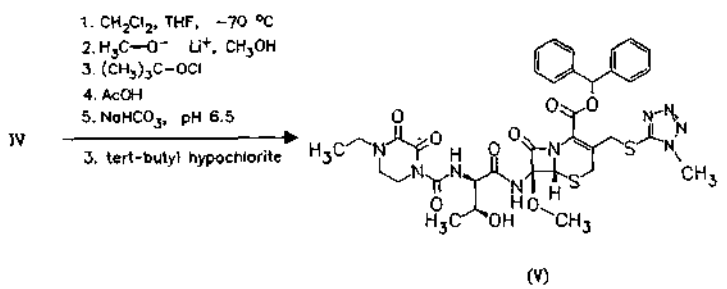
D-threonine

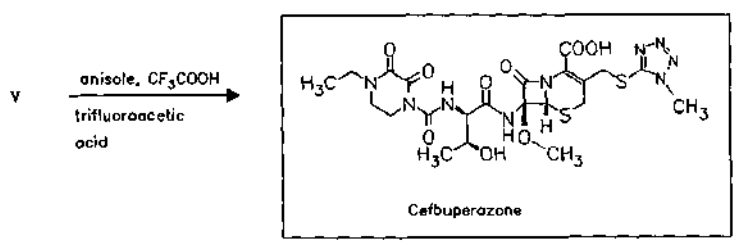
2(R)-(2,3-dioxo-4-ethyl-1-piperazinecarboxomido)-3(S)-hydroxybutyric acid (I)











Reference(s):

DOS 2 939 747 (Toyama; appl. 1.10.1979; J-prior. 23.4.1979, 7.8.1979).
FR 2 455 051 (Toyama; appl. 4.10.1979; J-prior. 23.4.1979, 7.8.1979).
US 4 263 292 (Toyama; 21.4.1981; J-prior. 13.6.1978, 23.4.1979, 7.8.1979).
GB 2 048 241 (Toyama; appl. 26.9.1979; J-prior. 23.4.1979, 7.8.1979).

Formulation(s): vial 500 mg, 1 g

Trade Name(s):
J: Keiperazon (Kaken; 1985) Tomiporan (Toyama; 1985)

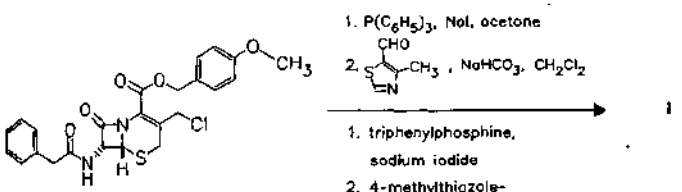
Cefditoren pivoxil

(ME-1207)

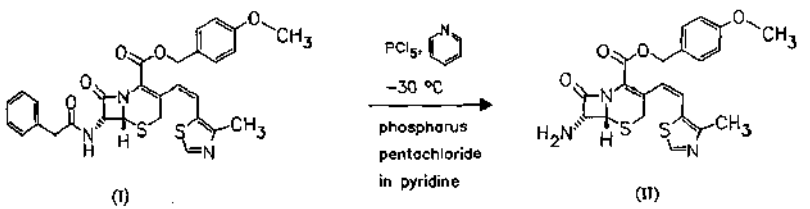
ATC: S01AA
Use: cephalosporin

RN: 117467-28-4 MF: $C_{25}H_{28}N_6O_7S_3$ MW: 620.73
CN: [6*R*-[3(*Z*),6α,7β(*Z*)]]-7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[2-(4-methyl-5-thiazolyl)ethenyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (2,2-dimethyl-1-oxopropoxy)methyl ester

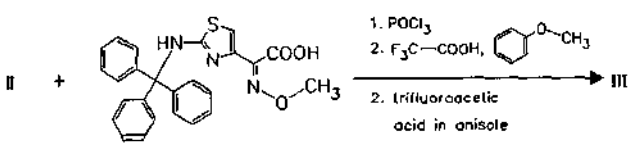
[6*R*-[3(*Z*),6α,7β(*E*)]]-form
RN: 104145-87-1 MF: $C_{25}H_{28}N_6O_7S_3$ MW: 620.73



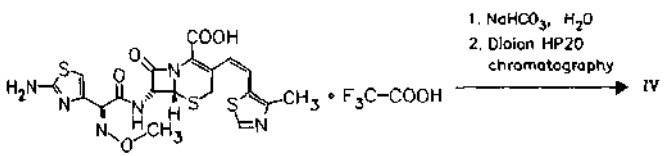
4-methoxybenzyl 3-(chloromethyl)-7(*R*)-(phenylacetamido)-3-cephem-4-carboxylate



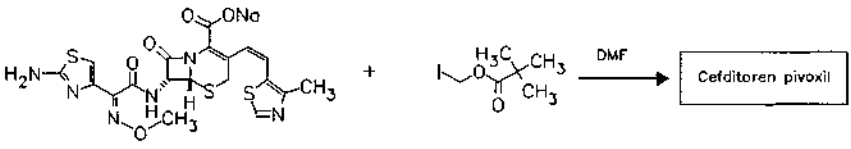
(I) (II)



2-(methoxyimino)-2-(2-tritylamino-thiazol-4-yl)acetic acid

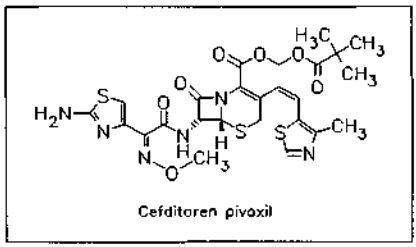


(III)



(IV)

iodomethyl pivalate



Reference(s):
synthesis:
EP 175 610 (Meiji Seika Kaisha; appl. 26.3.1986; J-prior. 7.9.1984, 18.7.1985).
Sakagami, K. et al.: J. Antibiot. (JANTAJ) **43**(8), 1047 (1990).
Sakagami, K. et al.: Chem. Pharm. Bull. (CPBTAL) **39**(9), 2433 (1992).

pharmaceutical compositions:
EP 339 465 (Meiji Seika Kaisha; appl. 2.11.1989; J-prior. 19.4.1988).
EP 629 404 (Meiji Seika Kaisha; appl. 21.12.1994; J-prior. 16.6.1993).

Formulation(s): gran. 100 mg; tabl. 100 mg

Trade Name(s):
J: Meiact (Meiji Seika)

Cefixime

(CL-284635; FK-027; FR-17027)

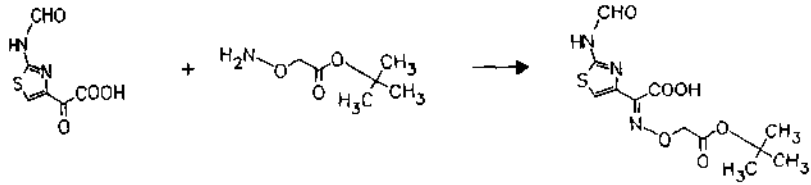
ATC: J01DA23
Use: semisynthetic third generation cephem antibiotic (for oral administration), high β-lactamase stability

RN: 79350-37-1 MF: $C_{16}H_{15}N_5O_7S_2$ MW: 453.46
LD_{50}: 4420 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
6990 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>3200 mg/kg (dog, i.v.); >600 mg/kg (dog, p.o.)
CN: [6*R*-[6α,7β(*Z*)]]-7-[[(2-amino-4-thiazolyl)[(carboxymethoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

trihydrate
RN: 125110-14-7 MF: $C_{16}H_{15}N_5O_7S_2 \cdot 3H_2O$ MW: 507.50

Synthesis of intermediates:

①

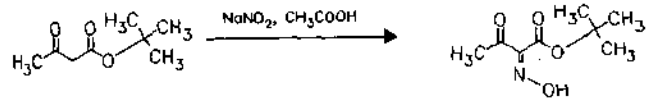


(2-formamido-thiazol-4-yl)-oxoacetic acid

tert-butyl ominooxyacetate

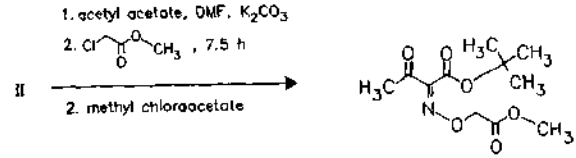
(Z)-2-(tert-butoxycarbonyl-methoxyimino)-2-(2-form-amidothiazol-4-yl)-acetic acid (I)

②

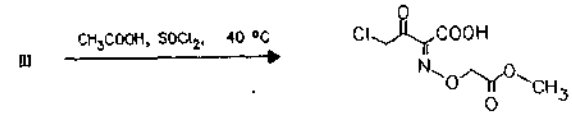


tert-butyl acetoacetate

tert-butyl 2-hydroxy-imino-3-oxobutyrate (II)

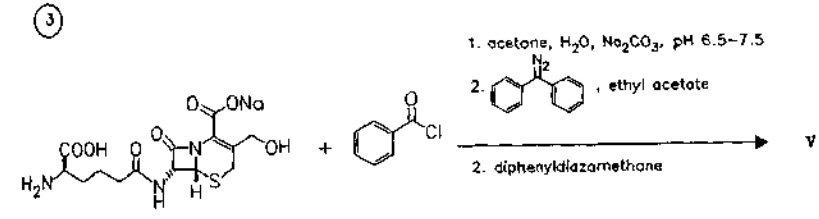


(Z)-tert-butyl 2-(methoxy-carbonylmethoxyimino)-3-oxobutyrate (III)



(Z)-4-chloro-2-(methoxy-carbonylmethoxyimino)-3-oxobutyric acid (IV)

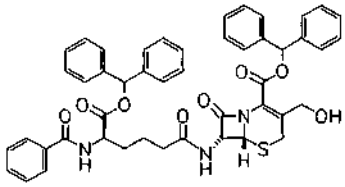
③



deacetylcephalosporin C sodium salt

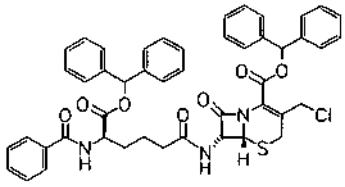
benzoyl chloride

V



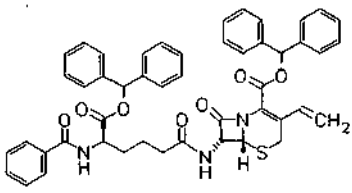
diphenylmethyl 7-[5-benzamido-5-(diphenylmethoxycarbonyl)-pentanamido]-3-hydroxymethyl-3-cephem-4-carboxylate (V)

PCl_5, pyridine
CH_2Cl_2, −30 °C
→ VI



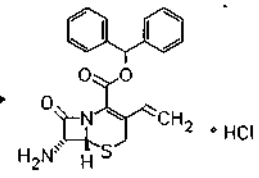
(VI)

1. NaI, $P(C_6H_5)_3$, DMF
2. HCHO, CH_2Cl_2
1. triphenylphosphine
→ VII



(VII)

1. PCl_5, pyridine, CH_2Cl_2
2. CH_3OH, −40 °C
3. −10 °C, 1 h

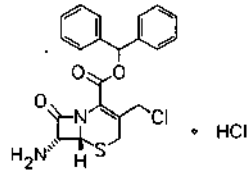


diphenylmethyl 7-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride (VIII)

(4)

VI

1. PCl_5, pyridine, CH_2Cl_2, −10 °C
2. CH_3OH, −40 °C
3. −10 °C, 1 h



diphenymethyl 7-amino-3-chloro-methyl-3-cephem-4-carboxylate hydrochloride (IX)

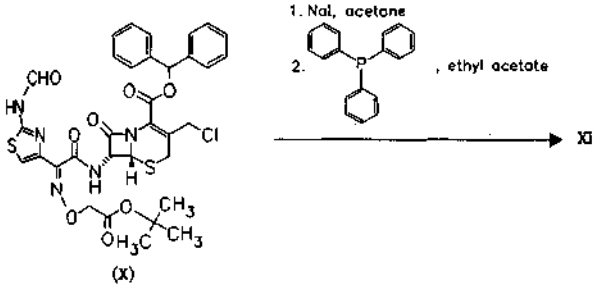
synthesis of Cefixime:

(5)

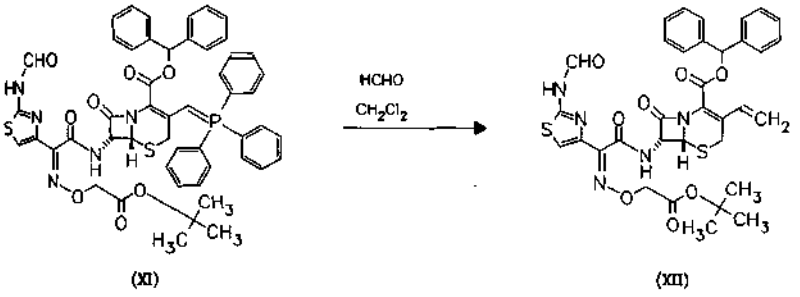
I

1. $POCl_3$, DMF, ethyl acetate
2. IX , $H_3C-CO-NH-Si(CH_3)_3$, ethyl acetate
3. H_2
2. N-trimethylsilylacetamide

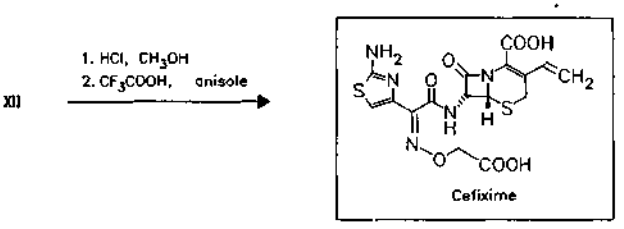
→ X



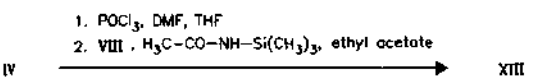
(X)

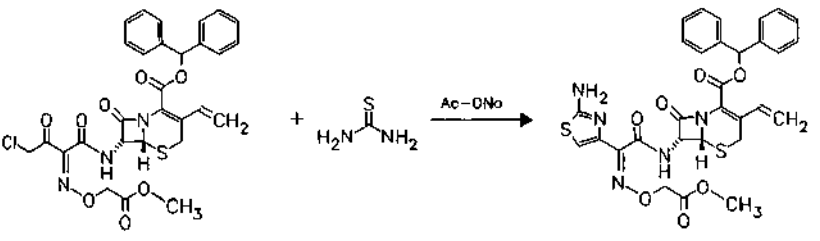


(XI) (XII)

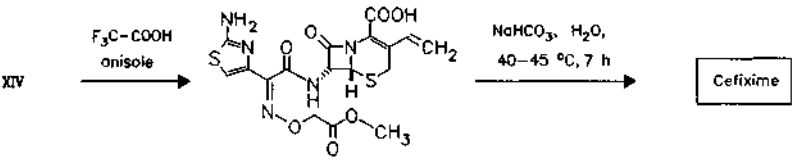


(b)





(XIII) thiourea (XIV)



Reference(s):
alternative synthesis routes for VIII starting from 7-aminocephalosporanic acid *are also described in the cited literature:*
Yamanaka, H. et al.: J. Antibiot. (JANTAJ) **38**, 1738 (1985).
Yamanaka, H. et al.: J. Antibiot. (JANTAJ) **39**, 101 (1986).
Kawabata, K. et al.: J. Antibiot. (JANTAJ) **39**, 405 (1986).
US 4 409 214 (Fujisawa; 11.10.1983; UK-prior. 19.11.1979, 8.2.1980, 21.4.1980, 14.7.1980).
US 4 423 213 (Fujisawa; 27.12.1983; UK-prior. 19.11.1979, 8.2.1980, 21.4.1980, 14.7.1980).
US 4 487 927 (Fujisawa; 11.12.1984; UK-prior. 19.11.1979, 8.2.1980, 21.4.1980, 14.7.1980).
US 4 585 860 (Fujisawa; 29.4.1984; UK-prior. 19.11.1979, 8.2.1980, 21.4.1980, 14.7.1980).
EP 30 630 (Fujisawa; appl. 15.11.1980; UK-prior. 19.11.1979, 8.2.1980, 21.4.1980, 14.7.1980).

Formulation(s): cps. 100 mg, 200 mg; fine gran. 50 mg/g; f. c. tabl. 200 mg, 400 mg; oral susp. 100 mg/5 ml; syrup 100 mg/5 ml; supplied as trihydrate in all formulations

Trade Name(s):

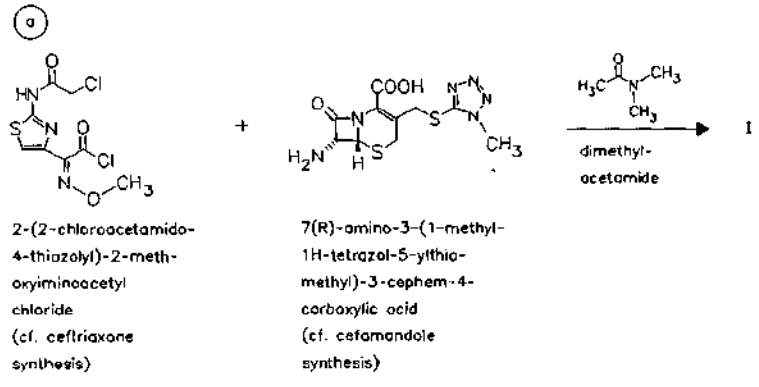
D:	Cephoral (Merck; 1991)	F:	Oroken (Bellon)		Unixime (Firma)
	Suprax (Klinge)	I:	Cefixoral (Menarini)	J:	Cefspan (Fujisawa; 1987)
	Uro-Cephoral (Merck)		Suprax (Cyanamid)	USA:	Suprax (Lederle Labs.)

Cefmenoxime

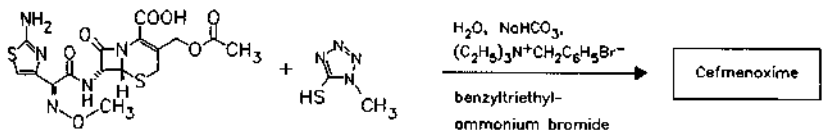
ATC: J01DA16
Use: β-lactam antibiotic (cefalosporin derivative)

RN: 65085-01-0 MF: $C_{16}H_{17}N_9O_5S_3$ MW: 511.57
CN: [6*R*-[6α,7β(Z)]]-7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

hydrochloride (2:1)
RN: 75738-58-8 MF: $C_{16}H_{17}N_9O_5S_3 \cdot 1/2HCl$ MW: 1059.60 EINECS: 278-299-4
LD_{50}: 7830 mg/kg (M, i.v.); 17.54 g/kg (M, p.o.); 2680 mg/kg (R, i.v.); >20 g/kg (R, p.o.)



(b)



cefotaxime (q. v.)

1-methyl-1H-tetrazole-5-thiol

Reference(s):
Ochiai, M. et al.: Chem. Pharm. Bull. (CPBTAL) **25**, 3115 (1977).
DOS 2 556 736 (Takeda; appl. 17.12.1975; J-prior. 19.12.1974; GB-prior. 9.6.1975).
US 4 098 888 (Takeda; 4.7.1978; J-prior. 1974; GB-prior. 9.6.1975).
DOS 2 715 385 (Takeda; appl. 6.4.1977; J-prior. 14.4.1976; 8.9.1976).

Formulation(s): vial 500 mg, 1 g, 2 g (as hydrochloride)

Trade Name(s):
D: Tacef (Takeda; 1983) F: Cemix (Takeda); **wfm** J: Bestcall (Takeda; 1983)

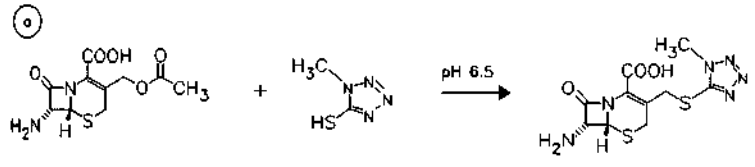
Cefoperazone

ATC: J01DA32
Use: antibiotic

RN: 62893-19-0 MF: $C_{25}H_{27}N_9O_8S_2$ MW: 645.68 EINECS: 263-749-4
CN: [6*R*-[6α,7β(*R**)]]-7-[[[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

sodium salt
RN: 62893-20-3 MF: $C_{25}H_{26}N_9NaO_8S_2$ MW: 667.66

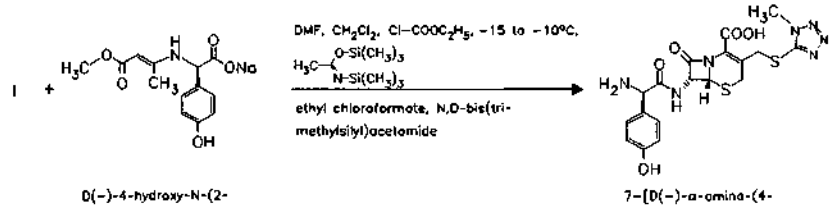
(o)



7-aminocephalosporanic acid

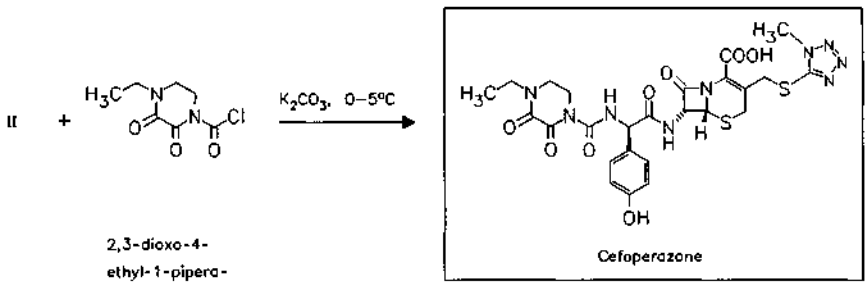
1-methyl-1H-tetrazole-5-thiol

7-amino-3-(1-methyltetrazol-5-ylthiomethyl)-3-cephem-4-carboxylic acid (I) (cf. cefamandole synthesis)



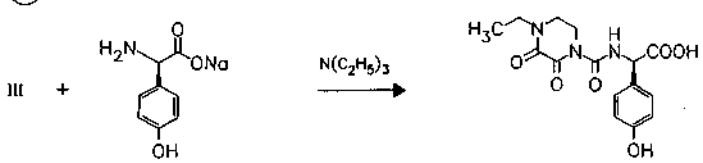
D(−)-4-hydroxy-N-(2-methoxycarbonyl-1-methylethenyl)phenylglycine sodium salt

7-[D(−)-α-amino-(4-hydroxyphenyl)acetamido]-3-(1-methyltetrazol-5-ylthiomethyl)-3-cephem-4-carboxylic acid (II)



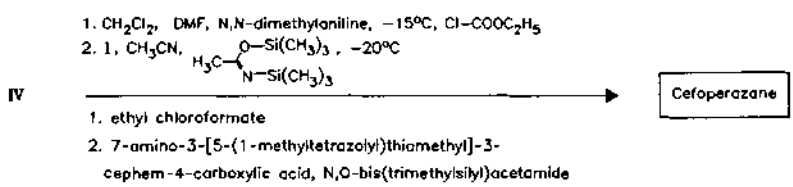
2,3-dioxo-4-ethyl-1-piperazinecarbonyl chloride (III) (cf. piperacillin synthesis)

(b)



D(–)-4-hydroxyphenylglycine sodium salt

D(–)-α-(2,3-dioxo-4-ethyl-1-piperazinocarbonylamino)-4-hydroxyphenylacetic acid (IV)



1. ethyl chloroformate
2. 7-amino-3-[5-(1-methyltetrazolyl)thiomethyl]-3-cephem-4-carboxylic acid, N,O-bis(trimethylsilyl)acetamide

Reference(s):
DE 2 600 880 (Toyama; D-prior. 12.1.1976).
US 4 410 522 (Toyama; 18.10.1983; J-prior. 9.5.1974).
US 4 110 327 (Toyama; 29.8.1978; J-prior. 9.5.1974, 13.5.1974, 31.5.1974, 13.8.1974, 26.9.1974, 13.12.1974, 27.3.1975).
DOS 2 519 400 (Toyama; appl. 30.4.1975; J-prior. 9.5.1974, 13.5.1974, 31.5.1974, 13.8.1974, 26.9.1974, 13.12.1974, 27.3.1975).
GB 1 508 062 (Toyama; appl. 28.4.1975; J-prior. 9.5.1974, 13.5.1974, 31.5.1974, 24.7.1974, 7.8.1974, 13.8.1974, 26.9.1974, 12.10.1974, 28.10.1974, 6.12.1974, 13.12.1974, 17.2.1975, 26.3.1975, 27.3.1975).
GB 1 508 071 (Toyama; appl. 19.1.1976).

N,N-dimethylacetamide adducts:
DOS 2 841 706 (Toyama; appl. 25.9.1978; J-prior. 27.9.1977).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g (as sodium salt)

Trade Name(s):

D:	Cefobis (Pfizer; 1981)	Cefoneg (Tosi-Novara)	Kefazon (Esseti)
F:	Céfobis (Pfizer); wfm	Cefoper (Menarini)	Mediper (Medici)
I:	Bioperazone (Leben's)	Cefosint (Crosara)	Novobiocyl (Francia Farm.)
	Cefazone (Locatelli)	Dardum (Lisapharma)	Perocef (Pulitzer)
	Cefobid (Pfizer)	Farecef (Lafare)	Prontokef (Master Pharma)
	Cefogram (Metapharma)	Ipazone (IPA)	Tomabef (Salus Research)

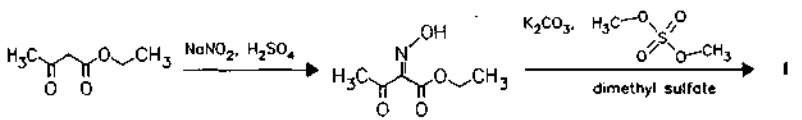
Zoncef (AGIPS) J: Cefobid (Toyama/Pfizer; 1981) Cefoperazin (Toyama) USA: Cefobid (Pfizer; 1982)

Cefotaxime

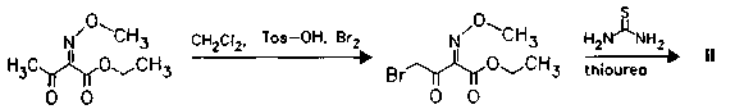
ATC: J01DA10
Use: antibiotic

RN: 63527-52-6 MF: $C_{16}H_{17}N_5O_7S_2$ MW: 455.47 EINECS: 264-299-1
CN: [6*R*-[6α,7β(*Z*)]]-3-[(acetyloxy)methyl]-7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

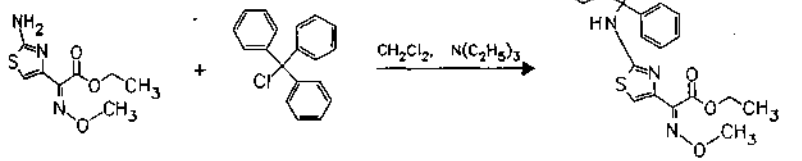
monosodium salt
RN: 64485-93-4 MF: $C_{16}H_{16}N_5NaO_7S_2$ MW: 477.45
LD_{50}: 6845 mg/kg (M, i.v.); >20 g/kg (M, p.o.);
7 g/kg (R, i.v.); >20 g/kg (R, p.o.);
>1.5 g/kg (dog, i.v.)



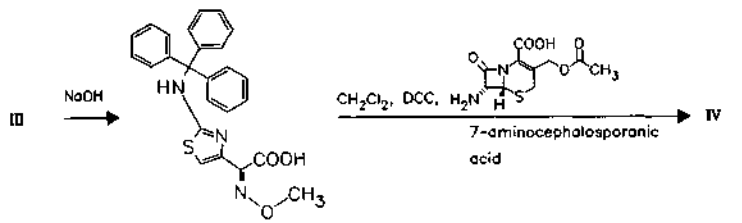
ethyl acetoacetate — ethyl 2-(hydroxyimino)acetoacetate

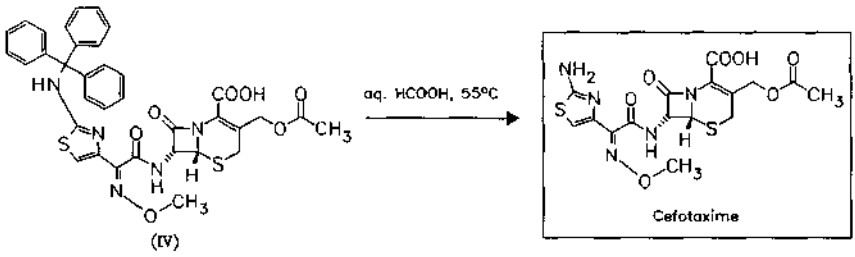


ethyl 2-(methoxyimino)acetoacetate (I) — ethyl 4-bromo-2-(methoxyimino)-acetoacetate



ethyl 2-(2-amino-4-thiazolyl)-2-(methoxyimino)acetate (II) — trityl chloride — ethyl 2-(methoxyimino)-2-[2-(tritylamino)-4-thiazolyl]-acetate (III)





(IV)

Reference(s):
DOS 2 702 501 (Roussel-Uclaf; appl. 21.1.1977; F-prior. 23.1.1976, 11.6.1976, 18.8.1976).
US 4 152 432 (Roussel-Uclaf; 1.5.1979; F-prior. 23.1.1976).

sodium salt:
DAS 2 708 439 (Hoechst; appl. 26.2.1977).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g (as sodium salt)

Trade Name(s):

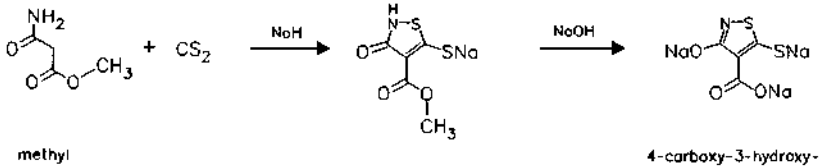
D:	Claforan (Hoechst; 1980)		Zariviz (Hoechst Italia Sud)	USA:	Claforan (Hoechst Marion Roussel)
F:	Claforan (Hoechst)	J:	Cefotax (Roussel-Chugai; 1981)		
GB:	Claforan (Roussel; 1981)		Claforan (Hoechst; 1981)		
I:	Claforan (Roussel)				

Cefotetan

ATC: J01DA14
Use: β-lactam antibiotic (cefalosporin derivative)

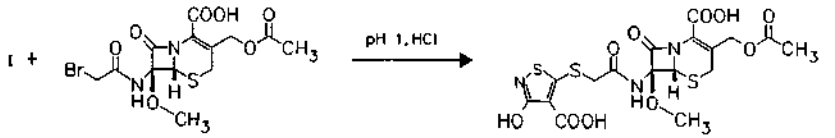
RN: 69712-56-7 MF: $C_{17}H_{17}N_7O_8S_4$ MW: 575.63 EINECS: 274-093-3
LD_{50}: 4990 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
5 g/kg (R, i.v.); >10 g/kg (R, p.o.);
>6 g/kg (dog, i.v.)
CN: [6*R*-(6α,7α)]-7-[[[4-(2-amino-1-carboxy-2-oxoethylidene)-1,3-dithietan-2-yl]carbonyl]amino]-7-methoxy-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

disodium salt
RN: 74356-00-6 MF: $C_{17}H_{15}N_7Na_2O_8S_4$ MW: 619.59 EINECS: 277-834-9
LD_{50}: 4990 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
6790 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>6 g/kg (dog, i.v.)

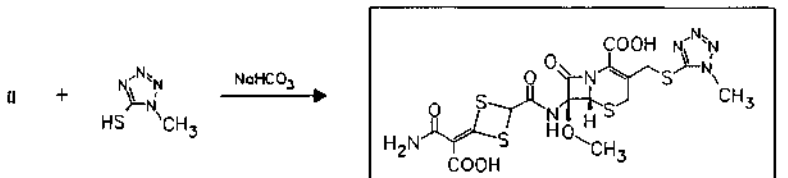


methyl malonamate

4-carboxy-3-hydroxy-5-mercaptoisothiazole trisodium salt (I)



7(S)-bromoacetamido-7-methoxycephalosporanic acid



1-methyl-1H-tetrazole-5-thiol

Reference(s):
DOS 2 824 559 (Yamanouchi; appl. 5.6.1978; J.-prior. 10.6.1977).
US 4 263 432 (Yamanouchi; 21.4.1981; appl. 7.6.1978; J-prior. 28.7.1977).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g (as sodium salt)

Trade Name(s):

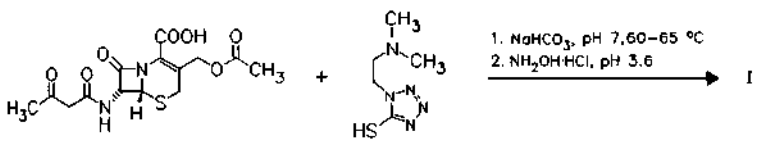
D:	Apatef (ICI; 1985); wfm	I:	Apatef (Zeneca)	J:	Yamatetan (Yamanouchi)
F:	Apacef (Zeneca)		Cepan (IBI)	USA:	Cefotan (Stuart; 1986)

Cefotiam

ATC: J01DA19
Use: antibiotic

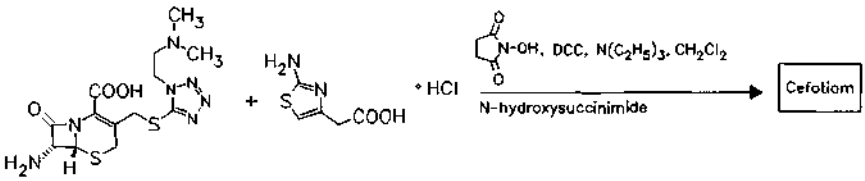
RN: 61622-34-2 MF: $C_{18}H_{23}N_9O_4S_3$ MW: 525.64
LD_{50}: 3840 mg/kg (M, i.v.)
CN: (6*R-trans*)-7-[[(2-amino-4-thiazolyl)acetyl]amino]-3-[[[1-[2-(dimethylamino)ethyl]-1*H*-tetrazol-5-yl]thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

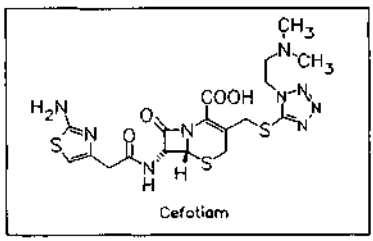
dihydrochloride
RN: 66309-69-1 MF: $C_{18}H_{23}N_9O_4S_3 \cdot 2HCl$ MW: 598.56



7-acetoacetamidocephalosporanic acid

1-(2-dimethylaminoethyl)-1H-tetrazole-5-thiol





Reference(s):
Tsushima, S. et al.: Chem. Pharm. Bull. (CPBTAL) **27**, 696 (1979).
DOS 2 461 478 (Takeda; appl. 24.12.1974; J-prior. 25.12.1973).
DAS 2 462 736 (Takeda; appl. 24.12.1974; J-prior. 25.12.1973).
US 4 080 498 (Takeda; 21.3.1978; appl. 20.12.1974; J-prior. 25.12.1973).
DE 2 738 711 (Takeda; appl. 27.8.1977; J-prior. 31.8.1976).
US 4 146 710 (Takeda; 27.3.1979; 29.8.1977; J-prior. 31.8.1976).

intermediates:
DOS 2 607 064 (Takeda; appl. 21.2.1976; J-prior. 24.2.1975).

Formulation(s): vial 500 mg, 1 g, 2 g (as dihydrochloride); tabl. 100 mg, 200 mg

Trade Name(s):

D:	Spizef (Takeda; 1982)		Texodil (Cassenne)	J:	Pansporin T (Takeda; 1981)
F:	Taketiam (Takeda)	I:	Sporidyn (Zoja)		Sporidyn (Cyanamid)

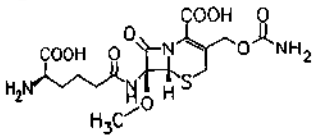
Cefoxitin

ATC: J01DA05
Use: antibiotic

RN: 35607-66-0 MF: $C_{16}H_{17}N_3O_7S_2$ MW: 427.46 EINECS: 252-641-2
LD_{50}: 4970 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
8580 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>10 g/kg (dog, i.v.)
CN: (6*R-cis*)-3-[[(aminocarbonyl)oxy]methyl]-7-methoxy-8-oxo-7-[(2-thienylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

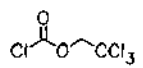
monosodium salt
RN: 33564-30-6 MF: $C_{16}H_{16}N_3NaO_7S_2$ MW: 449.44 EINECS: 251-574-6
LD_{50}: 4970 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
8580 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
10 g/kg (dog, i.v.)

a

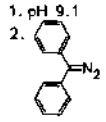


cephamycin C (I)
(from culture of Streptomyces lactamdurans [MA-2908])

+

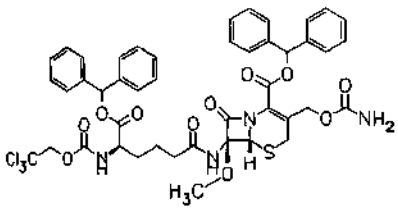


trichloroethoxy-carbonyl chloride

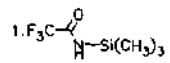
1. pH 9.1
2. 

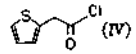
III

2. diphenyl-diazomethane (II)



(III)

1. 
2. Zn, CH_3COOH
3. CF_3COOH, anisole

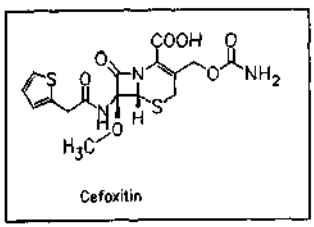


(IV)

1. N-trimethylsilyl-trifluoroacetamide
3. trifluoroacetic acid

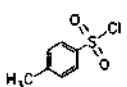
2-(2-thienyl)-acetyl chloride

Cefoxitin



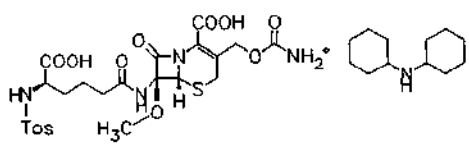
Cefoxitin

b

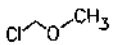
1. NaOH,  , pH 9.5
2. 

I

1. tosyl chloride (Tos-Cl)
2. dicyclohexylomine

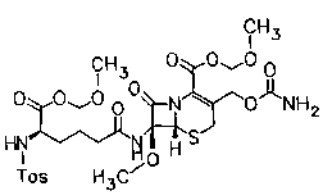


(V)

V + 

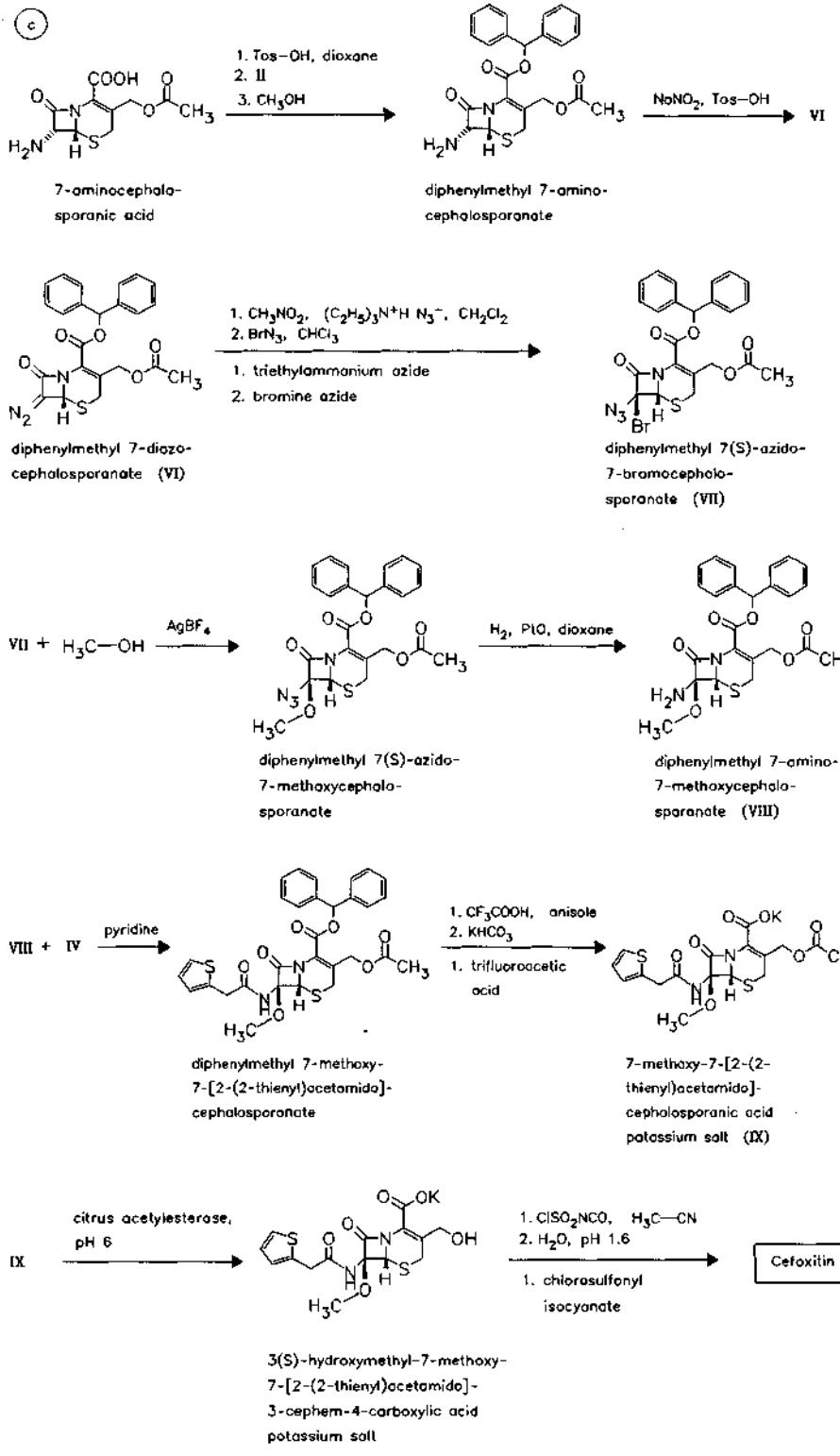
chloromethyl methyl ether

CH_2Cl_2



1. IV, molecular sieve 0.4 nm
2. HCl, CH_3OH

Cefoxitin


c
1. Tos–OH, dioxane
2. II
3. CH_3OH
$NaNO_2$, Tos–OH
VI
7-aminocephalosporanic acid
diphenylmethyl 7-aminocephalosporanate
1. CH_3NO_2, $(C_2H_5)_3N^+H\ N_3^-$, CH_2Cl_2
2. BrN_3, $CHCl_3$
1. triethylammonium azide
2. bromine azide
diphenylmethyl 7-diazocephalosporanate (VI)
diphenylmethyl 7(S)-azido-7-bromocephalosporanate (VII)
VII + $H_3C—OH$
$AgBF_4$
H_2, PtO, dioxane
diphenylmethyl 7(S)-azido-7-methoxycephalosporanate
diphenylmethyl 7-amino-7-methoxycephalosporanate (VIII)
VIII + IV
pyridine
1. CF_3COOH, anisole
2. $KHCO_3$
1. trifluoroacetic acid
diphenylmethyl 7-methoxy-7-[2-(2-thienyl)acetamido]-cephalosporanate
7-methoxy-7-[2-(2-thienyl)acetamido]-cephalosporanic acid potassium salt (IX)
IX
citrus acetylesterase, pH 6
1. $ClSO_2NCO$, $H_3C—CN$
2. H_2O, pH 1.6
1. chlorosulfonyl isocyanate
Cefoxitin
3(S)-hydroxymethyl-7-methoxy-7-[2-(2-thienyl)acetamido]-3-cephem-4-carboxylic acid potassium salt

Reference(s):
a,c US 4 297 488 (Merck & Co. 27.10.1981; appl. 2.6.1971; GB-prior. 16.6.1970).
DOS 2 129 675 (Merck & Co.; appl. 15.6.1971; GB-prior. 16.6.1970).
DOS 2 143 331 (Merck & Co.; appl. 15.6.1971; GB-prior. 16.6.1970).
DOS 2 203 653 (Merck & Co.; appl. 26.1.1972; GB-prior. 27.1.1971).
US 3 775 410 (Merck & Co.; 27.11.1973; appl. 29.11.1971).
US 3 780 033 (Merck & Co.; 18.12.1973; appl. 29.11.1971).
DOS 2 258 278 (Merck & Co.; appl. 28.11.1972; USA-prior. 29.11.1971).
US 3 843 641 (Merck & Co.; 22.10.1974; prior. 29.11.1971).
b DOS 2 456 528 (Merck & Co.; appl. 29.11.1974; USA-prior. 30.11.1973).
c DE 2 318 829 (Merck & Co.; appl. 13.4.1973; USA-prior. 14.4.1972).
DOS 2 365 582 (Merck & Co.; appl. 13.4.1973; USA-prior. 14.4.1972).

azido-intermediates:
DOS 2 365 406 (Merck & Co.; appl. 13.4.1973; USA-prior. 14.4.1972).

7-amino-7-methoxycephalosporanic acid esters:
DOS 2 365 456 (Merck & Co.; appl. 13.4.1973; USA-prior. 14.4.1972).

from 7-amino-7-methoxypenicillanic acid derivatives:
DAS 2 229 246 (Merck & Co.; appl. 15.6.1972; USA-prior. 18.6.1971, 13.12.1971).

fermentative preparation of cephamycin C:
US 3 914 157 (Merck & Co.; 21.10.1975; prior. 13.3.1970, 30.6.1970, 1.12.1971, 12.2.1973).
US 3 962 224 (Merck & Co.; 8.6.1976; prior. 14.4.1972, 30.6.1972, 10.10.1972, 5.3.1973).
GB 1 515 809 (Merck & Co.; appl. 7.9.1976; USA-prior. 21.11.1975).
US 4 137 405 (Merck & Co.; 30.1.1979; appl. 28.7.1977).

7-(5-amino-5-carboxypentanoylamino)-7-methoxy-3-hydroxymethyl-3-cephem-4-carboxylic acid

from cephamycin A *or* B:
DAS 2 509 337 (Meiji Seika Kaisha; appl. 4.3.1975; J-prior. 11.3.1974).

common synthetic methods for 7-methoxycephalosporine:
Hiraoka, T. et al.: Heterocycles (HTCYAM) **8**, 719 (1977).

Formulation(s): vial 1 g, 2 g (as sodium salt)

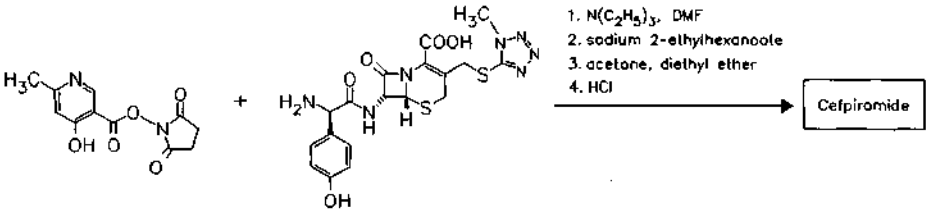
Trade Name(s):

D:	Mefoxitin (MSD; 1978)	I:	Betacef (Firma)		Tifox (Select Pharma)
F:	Mefoxin (Merck Sharp & Dohme-Chibret)		Cefociclin (Ist. Italiano Ferm.)	J:	Cenomycin (Daiichi) Merxin (Merck-Banyu)
GB:	Mefoxin (Merck Sharp & Dohme; 1978)		Mefoxin (Merck Sharp & Dohme)	USA:	Mefoxin (Merck; 1978)

Cefpiramide

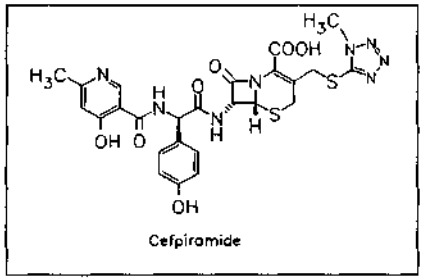
ATC: J01DA27
Use: β-lactam antibiotic

RN: 70797-11-4 MF: $C_{25}H_{24}N_8O_7S_2$ MW: 612.65
CN: [6*R*-[6α,7β(*R**)]]-7-[[[[(4-hydroxy-6-methyl-3-pyridinyl)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid



succinimido 4-hydroxy-6-methyl-nicotinate

7(R)-[2(R)-amino-2-(4-hydroxyphenyl)acetamido]-3-[[(1-methyl-1H-tetrazol-5-yl)thio]methyl]-3-cephem-4-carboxylic acid (cf. cefoperazone synthesis)



Reference(s):
DOS 2 539 664 (Sumitomo; appl. 5.9.1975; J-prior. 6.9.1974, 19.9.1974, 20.3.1975).
US 4 156 724 (Sumitomo; 29.5.1979; appl. 8.9.1975; J-prior. 6.9.1974, 19.9.1974, 20.3.1975).
US 4 160 087 (Sumitomo; 3.7.1979; appl. 10.5.1977; J-prior. 6.9.1974, 19.9.1974, 20.3.1975).
GB 1 510 730 (Sumitomo; appl. 5.9.1975; J-prior. 6.9.1974, 19.9.1974, 20.3.1975).
FR 2 283 688 (Sumitomo; appl. 5.9.1975; J-prior. 6.9.1974, 19.9.1974, 20.3.1975).

Formulation(s): vial 1 g (as sodium salt)

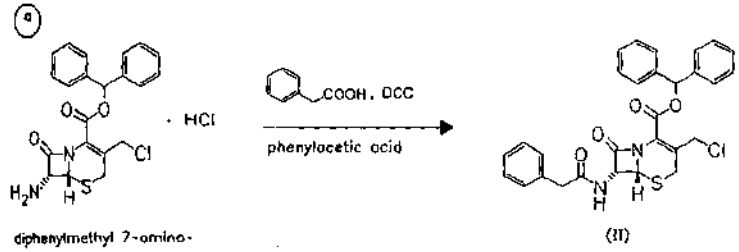
Trade Name(s):
J: Sepatren (Sumitomo) Suncefal (Yamanouchi)

cis-Cefprozil

ATC: J01DA41
Use: broad-spectrum cephalosporin (orally active)

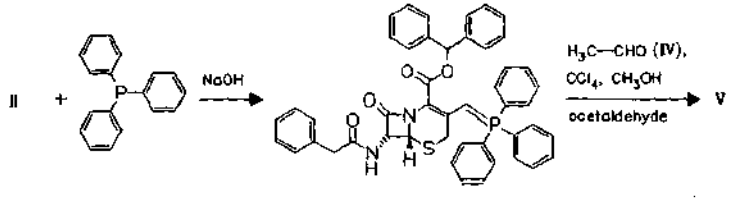
RN: 92665-29-7 MF: $C_{18}H_{19}N_3O_5S$ MW: 389.43
CN: [6*R*-[3(*Z*),6α,7β(*R**)]]-7-[[Amino(4-hydroxyphenyl)acetyl]amino]-8-oxo-3-(1-propenyl)-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monohydrate
RN: 121123-17-9 MF: $C_{18}H_{19}N_3O_5S \cdot H_2O$ MW: 407.45



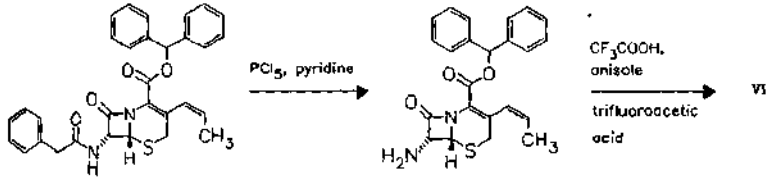
diphenylmethyl 7-amino-3-chloromethyl-3-cephem-4-carboxylate hydrochloride (I) (cf. cefixime synthesis)

(II)



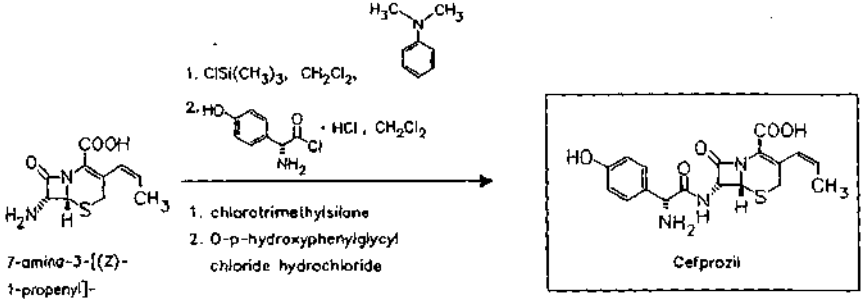
(III)

diphenylmethyl 7-phenylacetamido-3-[(triphenylphosphoranylidene)methyl]-3-cephem-4-carboxylate

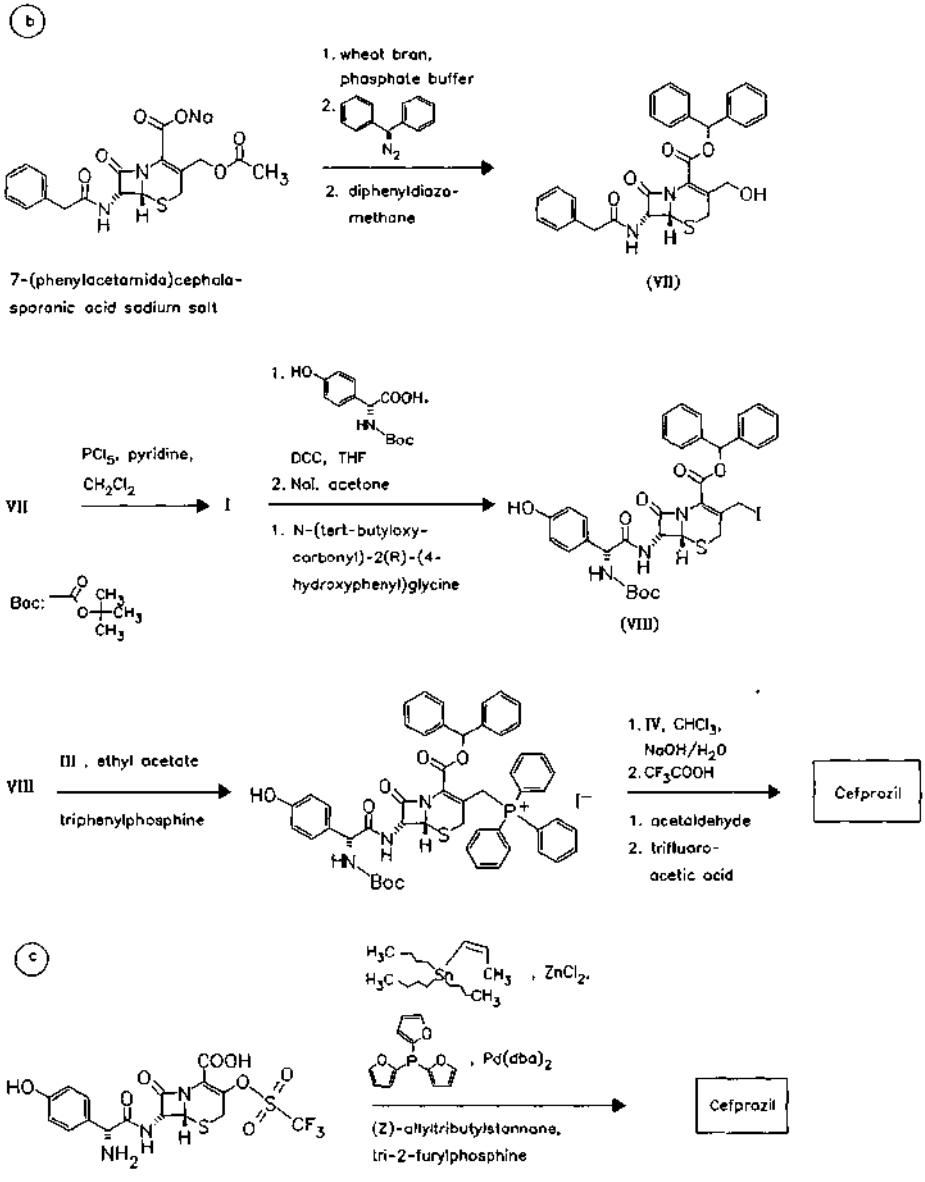


diphenylmethyl 7-phenylacetamido-3-[(Z)-1-propenyl]-3-cephem-4-carboxylate (V)

diphenylmethyl 7-amino-3-[(Z)-1-propenyl]-3-cephem-4-carboxylate



7-amino-3-[(Z)-1-propenyl]-3-cephem-4-carboxylic acid (VI)



Reference(s):

a US 4 694 079 (Bristol-Myers Squibb. Co.; 15.9.1987; USA-prior. 29.7.1985).

b DE 3 402 642 (Bristol-Myers Squibb. Co.; appl. 26.1.1984; USA-prior. 28.1.1983).

c US 4 870 168 (Bristol-Myers Squibb & Co.; 29.11.1989; USA-prior. 26.2.1987).

Formulation(s): oral susp. 125 mg/5 ml, 250 mg/5ml; tabl. 250 mg, 500 mg

Trade Name(s):

USA: Cefzil (Bristol-Myers Squibb; 1992)

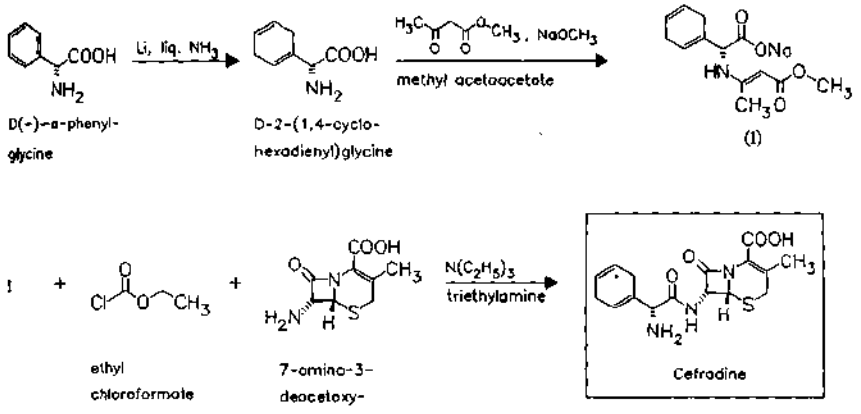
Cefradine

(Cephradine)

ATC: J01DA31
Use: antibiotic

RN: 38821-53-3 MF: $C_{16}H_{19}N_3O_4S$ MW: 349.41 EINECS: 254-137-8
LD_{50}: 3539 mg/kg (M, i.v.); 3549 mg/kg (M, p.o.);
>2500 mg/kg (R, i.v.); >12 g/kg (R, p.o.)
CN: [6*R*-[6α,7β(*R**)]]-7-[(amino-1,4-cyclohexadien-1-ylacetyl)amino]-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

dihydrate
RN: 31828-50-9 MF: $C_{16}H_{19}N_3O_4S \cdot 2H_2O$ MW: 385.44
LD_{50}: 3 g/kg (M, i.v.); 5 g/kg (M, p.o.);
>8.5 g/kg (R, p.o.)



Reference(s):
US 3 485 819 (Squibb; 23.12.1969; appl. 2.7.1968).
DAS 1 931 722 (Squibb; appl. 23.6.1969; USA-prior. 2.7.1968).

acylation via 1,3,2-dioxaboranyl-derivatives:
DOS 2 755 902 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

microbiological acylation with Aphanocladium aranearum (ATCC 20453):
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 14.5.1975).

Formulation(s): cps. 250 mg, 500 mg; tabl. 1 g; vial 250 mg, 500 mg, 1 g

Trade Name(s):

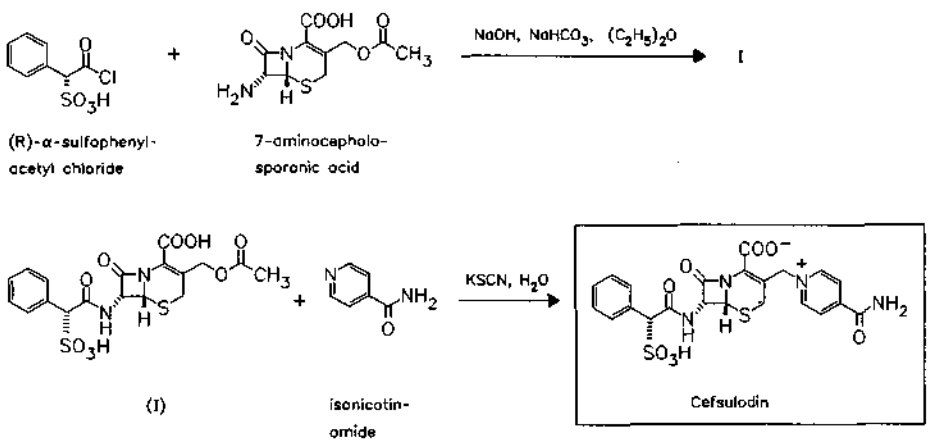
D:	Eskacef (SK Dauelsberg; 1977); wfm	GB:	Velosef (Bristol-Myers Squibb)	USA:	Anspor (Smith Kline & French; 1974); wfm
	Sefril (Heyden; 1973); wfm	I:	Cefrabiotic (Leben's)		Cephradine (Lederle Standard)
F:	Cefirex (Irex)		Citicef (CT)		Velosef (Squibb; 1974); wfm
	Doncef (Pharma 2000)		Ecosporina (Ecobi)		
	Kelsef (Jumer)		Lisacef (Lisapharma)		
	Zadyl (Thera France)	J:	Cefro (Sankyo)		
	Zeefra (Doms-Adrian)		Dicefalin (Nikon Squibb)		

Cefsulodin

ATC: J01DA12
Use: β-lactam antibiotic

RN: 62587-73-9 MF: $C_{22}H_{20}N_4O_8S_2$ MW: 532.55
CN: [6*R*-[6α,7β(*R**)]]-4-(aminocarbonyl)-1-[[2-carboxy-8-oxo-7-[(phenylsulfoacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium hydroxide inner salt

monosodium salt
RN: 52152-93-9 MF: $C_{22}H_{19}N_4NaO_8S_2$ MW: 554.54 EINECS: 257-692-4
LD_{50}: 3780 mg/kg (M, i.v.); >15 g/kg (M, p.o.);
3030 µg/kg (R, i.v.); >15 g/kg (R, p.o.);
>15 g/kg (dog, p.o.)



Reference(s):
Nomura, H. et al.: J. Med. Chem. (JMCMAR) **17**, 1312 (1974).
US 4 065 619 (Takeda; 27.12.1977; J-prior. 17.7.1971, 22.10.1971).
DE 2 234 280 (Takeda; appl. 12.7.1972; J-prior. 17.7.1971, 22.10.1971).
FR 2 146 313 (Takeda; appl. 17.7.1972; J-prior. 17.7.1971, 22.10.1971).
GB 1 387 656 (Takeda; appl. 17.7.1972; J-prior. 17.7.1971, 22.10.1971).

Formulation(s): vial 500 mg, 1 g, 2 g (as sodium salt)

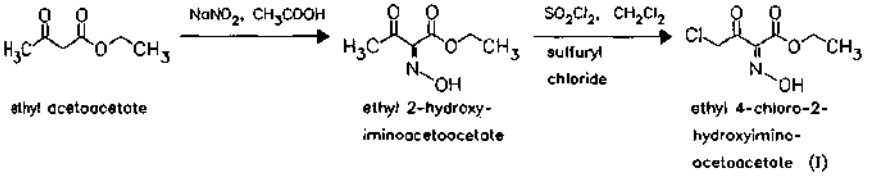
Trade Name(s):
D: Pseudocef (Takeda; 1981)
F: Pyocefal (Takeda)
GB: Monaspor (Ciba; 1982); wfm
J: Takesulin (Takeda; 1981)

Ceftazidime

ATC: J01DA11
Use: β-lactam antibiotic (cefalosporin derivative)

RN: 72558-82-8 MF: $C_{22}H_{22}N_6O_7S_2$ MW: 546.59 EINECS: 276-715-9
LD_{50}: 6300 mg/kg (M, i.v.); >20 g/kg (M, p.o.);
5800 mg/kg (R, i.v.); >20 g/kg (R, p.o.)
CN: [6*R*-[6α,7β(*Z*)]]-1-[[7-[[(2-amino-4-thiazolyl)[(1-carboxy-1-methylethoxy)imino]acetyl]amino]-2-carboxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium hydroxide inner salt

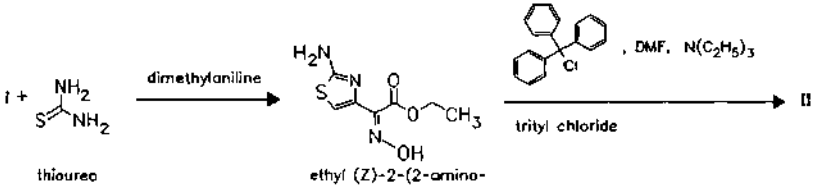
dihydrochloride
RN: 73547-70-3 MF: $C_{22}H_{22}N_6O_7S_2 \cdot 2HCl$ MW: 619.51



ethyl acetoacetate

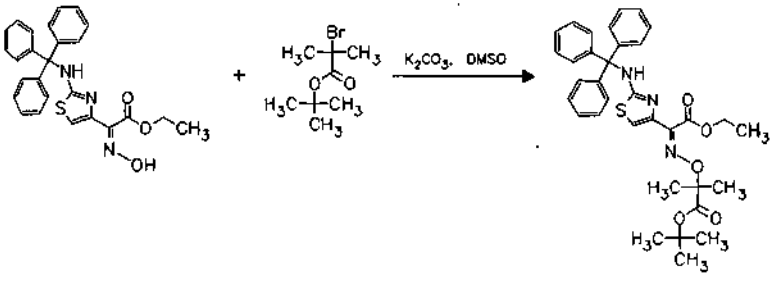
ethyl 2-hydroxy-iminoacetoacetate

ethyl 4-chloro-2-hydroxyimino-acetoacetate (I)



thiourea

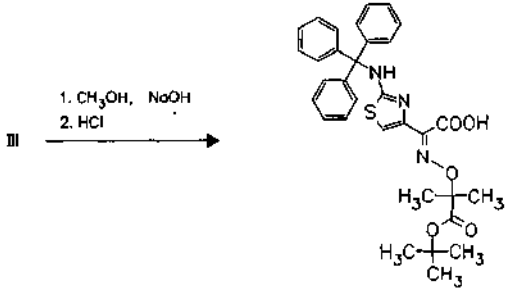
ethyl (Z)-2-(2-amino-thiazol-4-yl)-2-hydroxy-iminoacetate



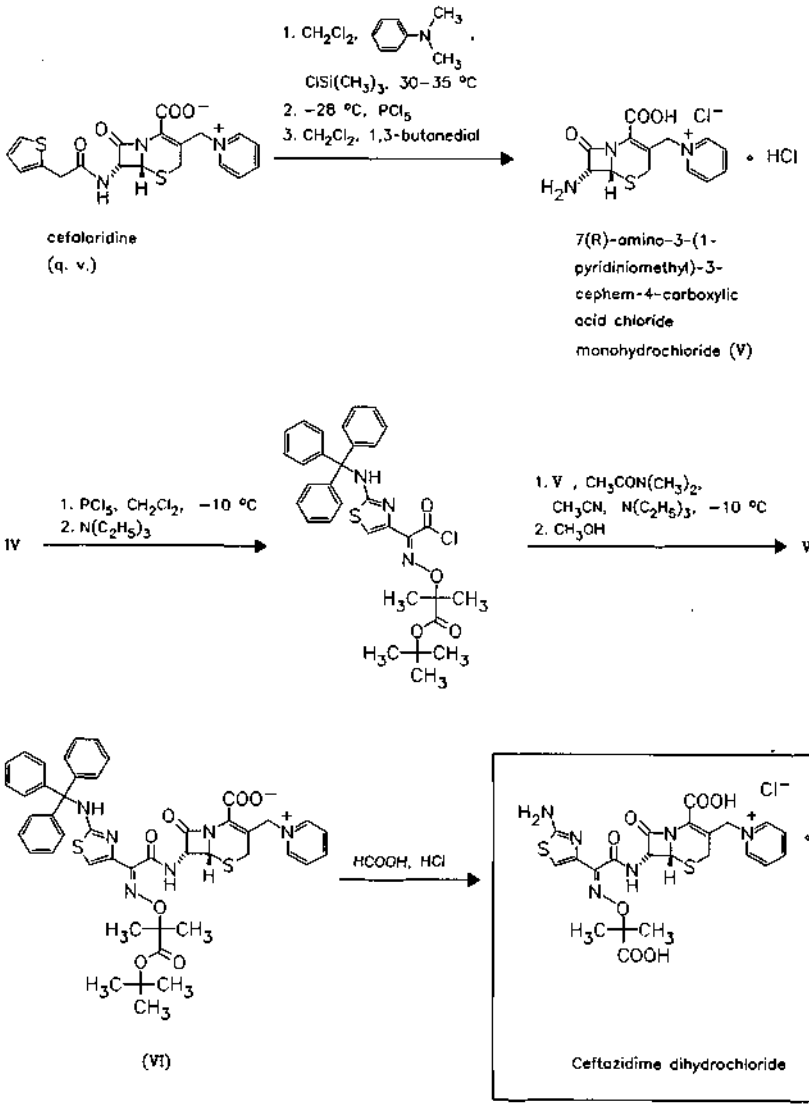
ethyl (Z)-2-hydroxyimino-2-(2-tritylaminothiazol-4-yl)acetate (II)

tert-butyl 2-bromo-2-methyl-propionate

ethyl (Z)-2-(1-tert-butoxy-carbonyl-1-methylethoxyimino)-2-(2-tritylaminothiazol-4-yl)acetate (III)



(Z)-2-(1-tert-butoxycarbanyl-1-methylethoxyimino)-2-(2-tritylaminothiazol-4-yl)-acetic acid (IV)



Reference(s):
DOS 2 921 316 (Glaxo; appl. 25.5.1979; GB-prior. 26.5.1978).
US 4 258 041 (Glaxo; 24.3.1981; GB-prior. 26.5.1978).
GB 2 025 398 (Glaxo; appl. 25.5.1979; GB-prior. 26.5.1978).
US 4 525 587 (Eli Lilly; 25.6.1985; prior. 27.12.1982, 3.2.1984).

intermediate IV:
US 4 497 956 (Glaxo; 5.2.1985; GB-prior. 13.11.1981).

acid chloride of IV:
EP 101 148 (Glaxo; appl. 28.4.1983; GB-prior. 29.4.1982).

intermediate V:
EP 135 258 (Eli Lilly; appl. 18.6.1984; USA-prior. 20.6.1983).
EP 70 706 (Glaxo; appl. 16.7.1982; GB-prior. 17.7.1981).

salts and crystal modifications;
crystalline dihydrochloride:
US 4 467 086 (Glaxo; 21.8.1984; GB-prior. 2.10.1979).

pentahydrate:
DOS 3 037 102 (Glaxo; appl. 1.10.1980; GB-prior. 2.10.1979).
GB 2 063 871 (Glaxo; appl. 1.10.1980; GB-prior. 2.10.1979).
US 4 329 453 (Glaxo; 11.5.1982; appl. 9.9.1980; GB-prior. 2.10.1979).

sesquihydrate:
DOS 3 313 816 (Hoechst; appl. 16.4.1983).
EP 122 584 (Hoechst; appl. 10.4.1984; D-prior. 16.4.1983).

anhydrous crystal modification:
DOS 3 313 818 (Hoechst; appl. 16.4.1983).
EP 122 585 (Hoechst; appl. 10.4.1984; D-prior. 16.4.1983).

pharmaceutical formulations:
DOS 3 332 616 (Glaxo; appl. 9.9.1983; GB-prior. 10.9.1982).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g, 3 g

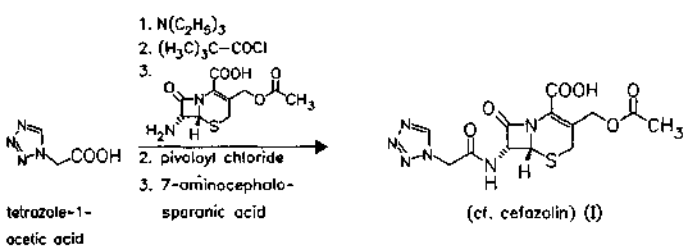
Trade Name(s):

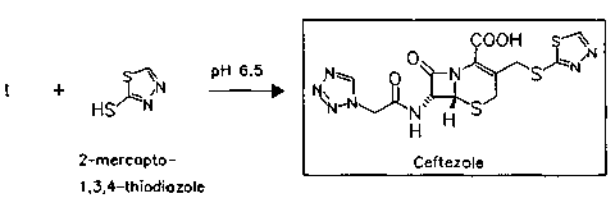
D:	Fortum (Cascan-Glaxo; 1984)	I:	Kefadim (Lilly)		Starcef (Firma)
F:	Fortum (Glaxo Wellcome)		Ceftim (Glaxo Allen)	J:	Modacin (Shin Nihon)
GB:	Fortum (Glaxo Wellcome; 1983)		Glazidim (Glaxo)	USA:	Ceptaz (Glaxo)
			Panzid (Duncan)		Fortaz (Glaxo; 1985)
			Spectrum (Sigma-Tau)		Tazdime (Lilly; 1985)

Ceftezole

ATC: J01DA36
Use: antibiotic

RN: 26973-24-0 MF: $C_{13}H_{12}N_8O_4S_3$ MW: 440.49
CN: (6*R-trans*)-8-oxo-7-[(1*H*-tetrazol-1-ylacetyl)amino]-3-[(1,3,4-thiadiazol-2-ylthio)methyl]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid





Reference(s):
DE 1 770 168 (Fujisawa; appl. 10.4.1968; J-prior. 15.4.1967, 23.10.1967, 28.10.1967).
US 3 516 997 (Fujisawa; 23.6.1970; J-prior. 15.4.1967, 24.10.1967, 28.10.1967).
GB 1 206 305 (Fujisawa; appl. 11.4.1968; J-prior. 15.4.1967, 24.10.1967, 28.10.1967).

combination with penicillins:
DOS 2 508 443 (Fujisawa; appl. 27.2.1975; J-prior. 28.2.1974, 27.3.1974).

Formulation(s): vial 250 mg, 500 mg, 1 g, 2 g (as sodium salt)

Trade Name(s):

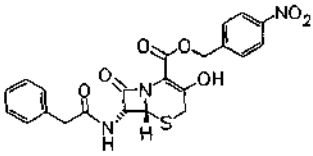
I: Alomen (Benedetti) J: Celostin (Fujisawa) Falomesin (Chugai)

Ceftizoxime

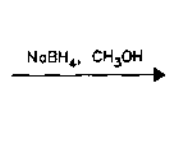
ATC: J01DA22
Use: β-lactam antibiotic (cefalosporin derivative)

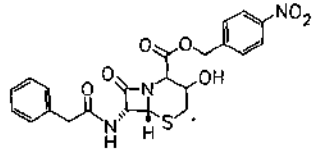
RN: 68401-81-0 MF: $C_{13}H_{13}N_5O_5S_2$ MW: 383.41
CN: [6*R*-[6α,7β(*Z*)]]-7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

monohydrochloride
RN: 68401-80-9 MF: $C_{13}H_{13}N_5O_5S_2 \cdot HCl$ MW: 419.87
monosodium salt
RN: 68401-82-1 MF: $C_{13}H_{12}N_5NaO_5S_2$ MW: 405.39
LD_{50}: 5150 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
5570 mg/kg (R, i.v.); >10 g/kg (R, p.o.)

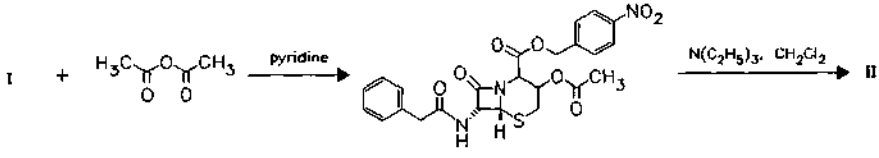


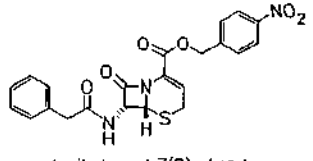
4-nitrobenzyl 3-hydroxy-7(R)-phenylacetamido-3-cephem-4-carboxylate
(cf. cefaclor synthesis)



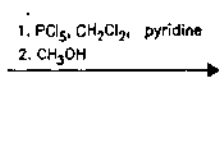


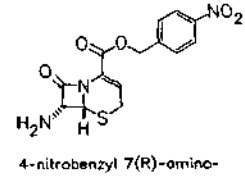
4-nitrobenzyl 3-hydroxy-7(R)-phenylacetamidocepham-4-carboxylate (I)



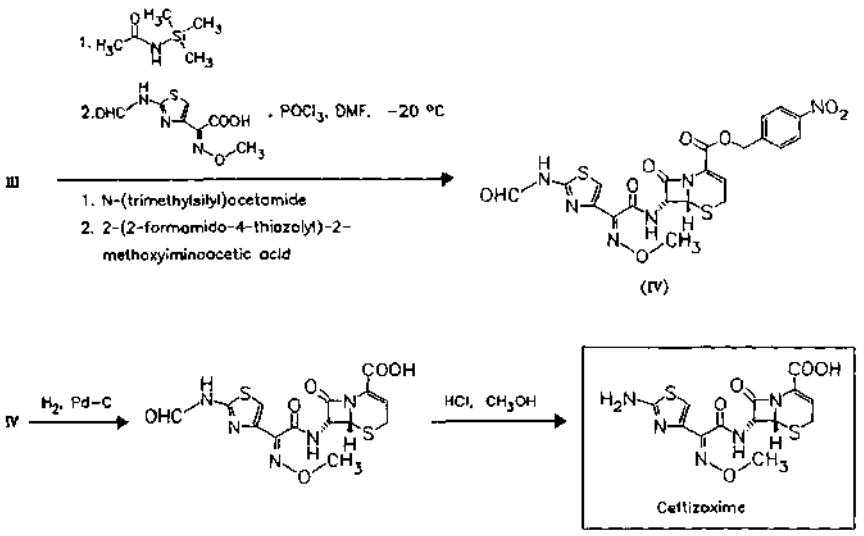


4-nitrobenzyl 7(R)-phenylacetamido-3-cephem-4-carboxylate (II)





4-nitrobenzyl 7(R)-amino-3-cephem-4-carboxylate (III)



Reference(s):
US 4 427 674 (Fujisawa; 24.1.1984; GB-prior. 14.3.1977, 12.7.1977, 11.10.1977, 3.1.1978).
US 4 463 002 (Fujisawa; 31.7.1984; J-prior. 21.5.1981).

Formulation(s): vial 0.25 g, 0.5 g, 1 g, 2 g (as sodium salt)

Trade Name(s):

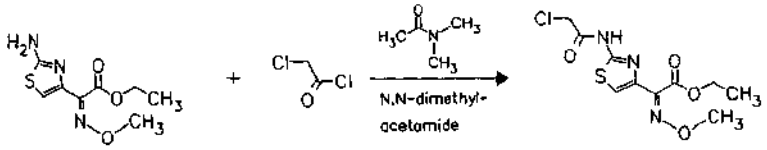
D:	Ceftix (Roche; 1983)	GB:	Cefizox (Wellcome); wfm	J:	Epocelin (Fujisawa)
F:	Cefizox (Bellon)	I:	Eposerin (Farmitalia)	USA:	Cefizox (Fujisawa; 1983)

Ceftriaxone

ATC: J01DA13
Use: β-lactam antibiotic (cefalosporin derivative)

RN: 73384-59-5 MF: $C_{18}H_{18}N_8O_7S_3$ MW: 554.59 EINECS: 277-405-6
CN: {6*R*-[6α,7β(*Z*)]}-7-[[(2-amino-4-thiazolyl)(methoxyimino)acetyl]amino]-8-oxo-3-[[(1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

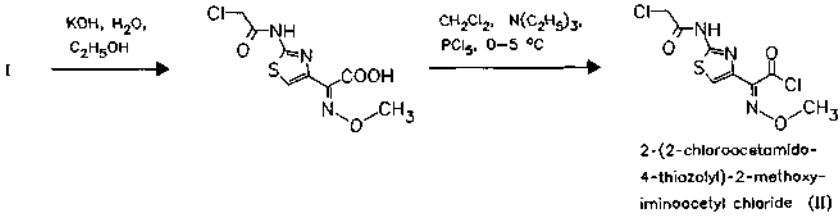
disodium salt
RN: 74578-69-1 MF: $C_{18}H_{16}N_8Na_2O_7S_3$ MW: 598.55 EINECS: 277-930-0
LD_{50}: 2200 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
1900 mg/kg (R, i.v.); >10 g/kg (R, p.o.)



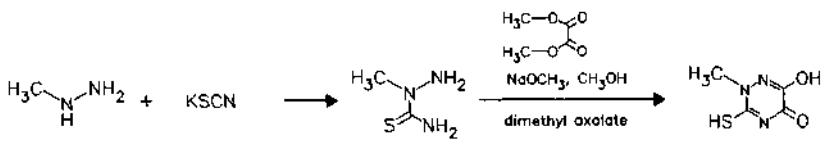
ethyl 2-(2-amino-4-thiazolyl)-2-(methoxyimino)acetate (cf. cefotaxime synthesis)

chloroacetyl chloride

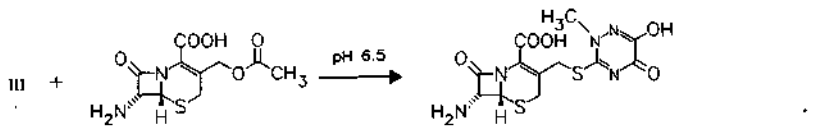
ethyl 2-(2-chloroacetamido-4-thiazolyl)-2-methoxyiminoacetate (I)



2-(2-chloroacetamido-4-thiazolyl)-2-methoxyiminoacetyl chloride (II)

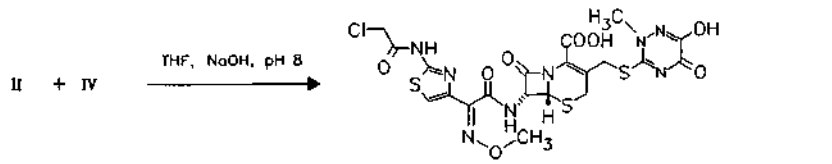


methylhydrazine | potassium rhodanide | N-amino-N-methylthioureo | 2,5-dihydro-6-hydroxy-2-methyl-3-mercapto-5-oxo-1,2,4-triazine (III)

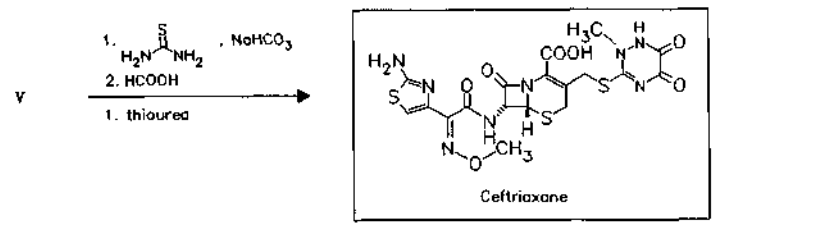


7-aminocephalosporanic acid

7(R)-amino-3-[[(2,5-dihydro-6-hydroxy-2-methyl-5-oxo-1,2,4-triazin-3-yl)thio]methyl]-3-cepham-4-carboxylic acid (IV)



(V)



Ceftriaxone

Reference(s):
DOS 2 922 036 (Roche; appl. 30.5.1979; CH-prior. 30.5.1978, 8.3.1979).
US 4 327 210 (Roche; 27.4.1982; appl. 24.11.1978; CH-prior. 30.5.1978).

alternative synthesis from cefotaxime *and* 2,5-dihydro-6-hydroxy-2-methyl-3-mercapto-5-oxo-1,2,4-triazine:
US 4 431 804 (Roche; 14.2.1984; CH-prior. 17.2.1981).

Formulation(s): inj. powder 250 mg, 1 g, 2 g

Trade Name(s):
D: Rocephin (Roche; 1983) F: Rocéphine (Roche) GB: Rocephin (Roche)

I: Rocefin (Roche) USA: Rocefin (Roche Labs.; 1985)
J: Rocephin (Roche)

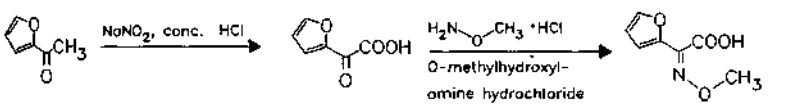
Cefuroxime

ATC: J01DA06
Use: antibiotic

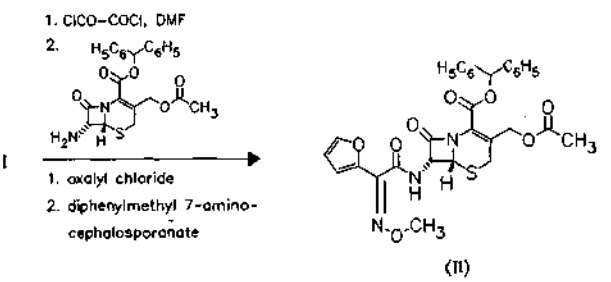
RN: 55268-75-2 MF: $C_{16}H_{16}N_4O_8S$ MW: 424.39 EINECS: 259-560-1
LD_{50}: 10.4 g/kg (M, i.v.); >10 g/kg (M, p.o.); >8 g/kg (R, i.v.); 10 g/kg (R, p.o.)
CN: [6*R*-[6α,7β(Z)]]-3-[[(aminocarbonyl)oxy]methyl]-7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

sodium salt
RN: 56238-63-2 MF: $C_{16}H_{15}N_4NaO_8S$ MW: 446.37

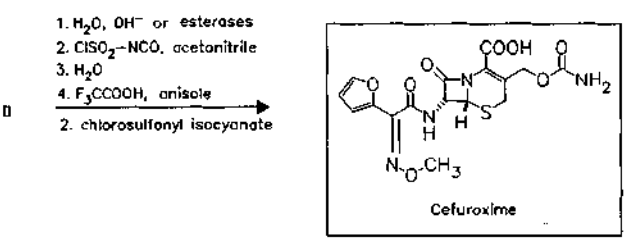
a



2-acetylfuran — 2-furyl-glyoxylic acid — syn-2-methoxy-imino-2-(2-furyl)-acetic acid (I)

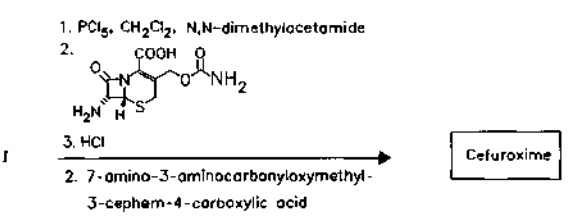


I → (II)



II →

b



I →

Reference(s):
GB 1 453 049 (Glaxo; appl. 21.8.1973; valid from 13.8.1974).
DAS 2 439 880 (Glaxo; appl. 20.8.1974; GB-prior. 21.8.1973).
DOS 2 462 376 (Glaxo; appl. 20.8.1974; GB-prior. 21.8.1973).
DOS 2 204 060 (Glaxo; appl. 28.1.1972; GB-prior. 29.1.1971, 1.10.1971 and 14.1.1972).
DOS 2 223 375 (Glaxo; appl. 12.5.1972; GB-prior. 14.5.1971 and 1.10.1971).
DOS 2 265 234 (Glaxo; appl. 12.5.1972; GB-prior. 14.5.1971 and 1.10.1971).
US 3 966 717 (Glaxo; 29.6.1976; GB-prior. 14.5.1971, 1.10.1971, 21.8.1973).
DOS 2 439 880 (Glaxo; appl. 20.8.1974; GB-prior. 21.8.1973).
US 3 971 778 (Glaxo; 27.7.1976; GB-prior. 25.10.1972).
US 3 974 153 (Glaxo; 10.8.1976; GB-prior. 14.5.1971, 1.10.1971, 21.8.1973).

crystalline sodium salt:
DOS 2 901 730 (Glaxo; appl. 17.1.1979; GB-prior. 17.1.1978).

syn-2-methoxyimino-2-(2-furyl)acetic acid, *resp.* -acetyl chloride:
US 4 017 515 (Glaxo; 12.4.1977; GB-prior. 14.5.1971, 1.10.1971, 12.5.1972 and 25.10.1972).

2-furylglyoxylic acid:
GB 1 503 649 (Glaxo; appl. 28.6.1974; valid from 27.6.1975).
US 4 013 680 (Glaxo; 22.3.1977; prior. 18.6.1975).

L-*lysine salt of* cefuroxime:
US 4 128 715 (Glaxo; 5.12.1978; GB-prior. 28.4.1976).
DOS 2 718 730 (Glaxo; appl. 27.4.1977; GB-prior. 28.4.1976).

alternative methods for 3-hydroxymethylcephalosporin derivatives:
DE 1 545 915 (Glaxo; appl. 29.10.1965; GB-prior. 30.10.1964, 27.1.1965, 19.10.1965).
DOS 2 745 219 (Glaxo; appl. 7.10.1977; GB-prior. 8.10.1976).
DAS 1 795 777 (Glaxo; appl. 29.10.1965; GB-prior. 30.10.1964, 27.1.1965, 19.10.1965).
GB 1 474 519 (Glaxo; appl. 14.5.1973; valid from 6.5.1974).

alternative methods for 3-carbamoyloxymethyl-cephalosporin-derivatives from the corresponding 3-hydroxymethyl-derivatives (enzymatic with O-transcarbamoylase):
US 4 075 061 (Glaxo; 21.2.1978; GB-prior. 19.2.1976).
US 4 164 447 (Glaxo; 14.8.1979; GB-prior. 19.2.1976).
US 4 164 447 (Glaxo; 14.8.1979; GB-prior. 19.2.1976).
(also reaction of the hydroxymethyl-compd. with chlorosulfonyl isocyanate corresponding at the cefoxitin-synthesis, q. v.).

Formulation(s): amp. 250 mg, 500 mg, 750 mg, 1 g, 1.5 g/20 ml, 1-5 g/40 ml; gran. 125 mg (as sodium salt); tabl. 125 mg, 250 mg, 500 mg

Trade Name(s):

D: Elobact (Glaxo Wellcome/ Cascan)
Zinacef (Glaxo Wellcome; Hoechst; 1977)
Zinnat (Glaxo Wellcome)

F: Cepazine (Sanofi Winthrop)
Zinnat (Glaxo Wellcome)

GB: Zinacef (Glaxo Wellcome)

I: Biociclin (Delsaz & Filippini)
Biofurex (KBR)
Bioxima (Kemyos)
Cefamar (Firma)
Cefoprim (Esseti)
Cefumax (Locatelli)
Cefur (Eurofarmaco)
Cefurex (Salus Research)
Cefurin (Magis)
Coliofossim (Coli)
Curoxim (Glaxo)
Deltacef (Pulitzer)
Duxima (Ecobi)
Gibicef (Metapharma)
Ipacef (IPA)
Itorex (Biotekfarma)
Kefox (CT)
Kesint (Mendelejeff)
Lafurex (Lafare)
Lamposporin (Leben's)
Medoxim (Medici)
Polixima (Sifarma)
Supero (Francia Farm.)

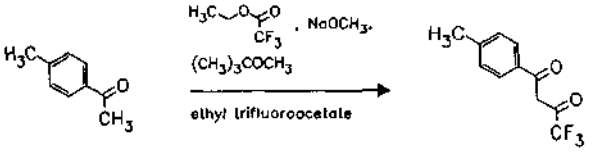
J: Oracef (Shin Nihon-Glaxo)

USA: Kefurox (Glaxo Wellcome; 1986)
Zinacef (Glaxo Wellcome; 1983)

Celecoxib
(SC-58635; YM-177)

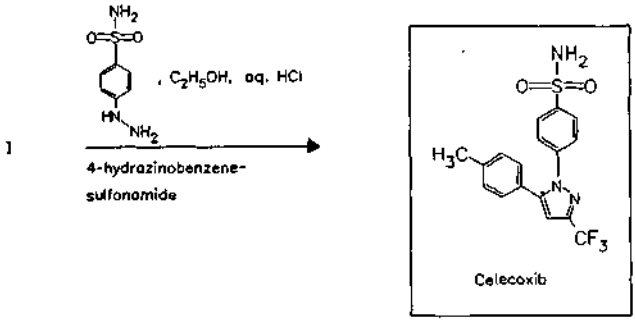
ATC: M01AH01
Use: anti-inflammatory, cyclooxygenase-2 inhibitor

RN: 169590-42-5 MF: $C_{17}H_{14}F_3N_3O_2S$ MW: 381.38
CN: 4-[5-(4-Methylphenyl)-3-(trifluoromethyl)-1*H*-pyrazol-1-yl]benzenesulfonamide



4'-methylacetophenone

4,4,4-trifluoro-1-(4-methylphenyl)-butane-1,3-dione (I)



Reference(s):
WO 9 515 316 (Searle & Co.; appl. 14.11.1994; USA-prior. 30.11.1993, 6.4.1994).
WO 9 637 476 (Searle & Co.; appl. 23.5.1996; USA-prior. 25.5.1995).
US 5 892 053 (Searle & Co.; 6.4.1999; USA-prior. 25.5.1995).
Penning, T.D. et al.: J. Med. Chem. (JMCMAR) **40** (9), 1347 (1997).
De Vleeschauwer, M.; Gauthier, J.Y.: Synlett (SYNLES) **1997** (4), 375.

Formulation(s): cps. 100 mg, 200 mg

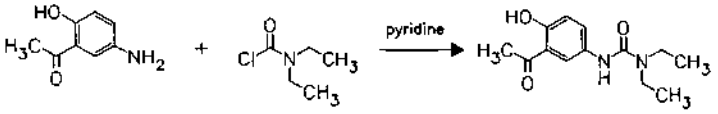
Trade Name(s):
USA: Celebrex (Pfizer; Searle; 1999)

Celiprolol
(ST-1396)

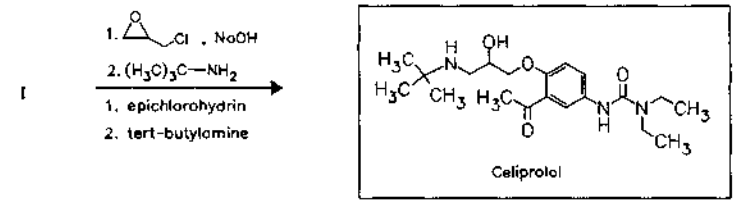
ATC: C07AB08
Use: cardioselective β-receptor antagonist

RN: 56980-93-9 MF: $C_{20}H_{33}N_3O_4$ MW: 379.50 EINECS: 260-497-7
CN: *N*'-[3-acetyl-4-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]phenyl]-*N*,*N*-diethylurea

monohydrochloride
RN: 57470-78-7 MF: $C_{20}H_{33}N_3O_4 \cdot HCl$ MW: 415.96 EINECS: 260-752-2
LD_{50}: 42.3 mg/kg (M, i.v.); 1362 mg/kg (M, p.o.); 68.3 mg/kg (R, i.v.); 2157 mg/kg (R, p.o.)



3-acetyl-4-hydroxyaniline + diethylcarbamoyl chloride → N,N-diethyl-N'-(3-acetyl-4-hydroxyphenyl)urea (I)



Reference(s):
DOS 2 458 624 (Lentia; appl. 11.12.1974; A-prior. 20.12.1973, 19.11.1974, 20.11.1974, 25.11.1974).
US 4 034 009 (Chemie Linz 5.7.1977; appl. 17.12.1974; A-prior. 20.12.1973).

purification:
EP 229 947 (Lentia; appl. 2.12.1986; D-prior. 13.12.1985).

synthesis of enantiomers:
EP 135 162 (Chemie Linz; appl. 17.8.1984; D-prior. 19.8.1983).
EP 155 518 (Chemie Linz; appl. 20.2.1985; D-prior. 21.3.1984).

ophthalmic formulation:
EP 366 765 (Alcon; appl. 26.4.1989; USA-prior. 26.4.1988).
EP 109 561 (Rorer; appl. 20.10.1983; USA-prior. 27.10.1982).

sustained release formulation:
EP 285 871 (Lentia; appl. 16.3.1988; D-prior. 10.4.1987).
EP 268 813 (Lentia; appl. 16.10.1987; D-prior. 24.10.1986).

Formulation(s): f. c. tabl. 100 mg, 200 mg (as hydrochloride)

Trade Name(s):

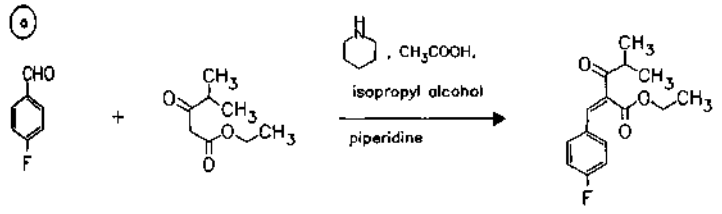
D:	Celipro Lich (Lichtenstein)	F:	Célectol (Bellon)
	Selectol (Pharmacia & Upjohn; 1986)	GB:	Celectol (Rhône-Poulenc Rorer)

Cerivastatin sodium

(Avastatin; Bay-W-6228; Rivastatin)

Use: hyperlipidemic, HMG-CoA-reductase inhibitor, antihypercholesterolemic agent

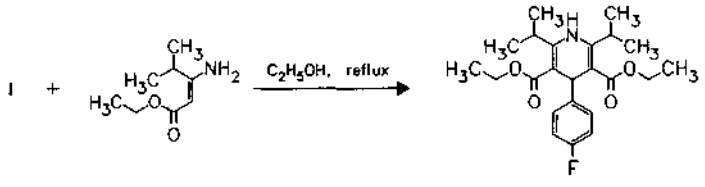
RN: 143201-11-0 MF: $C_{26}H_{33}FNNaO_5$ MW: 481.54
CN: [*S*-[*R**,*S**-(*E*)]]-7-[4-(4-fluorophenyl)-5-(methoxymethyl)-2,6-bis(1-methylethyl)-3-pyridinyl]-3,5-dihydroxy-6-heptenoic acid monosodium salt



4-fluorobenzaldehyde

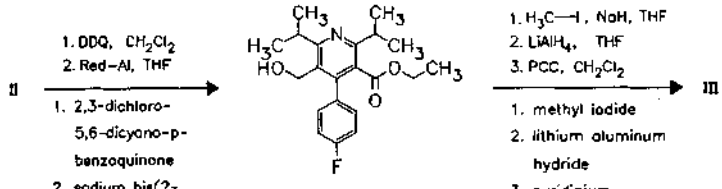
ethyl isobutyrylacetate

ethyl 2-isobutyryl-3-(4-fluorophenyl)-acrylate (I)

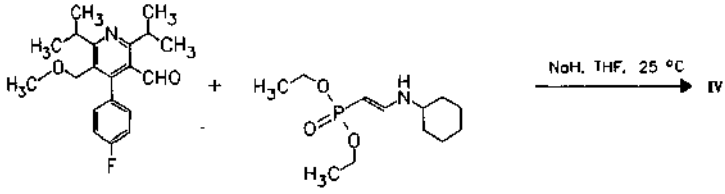


ethyl 3-amino-4-methyl-2-pentenoate

diethyl 1,4-dihydro-2,6-diisopropyl-4-(4-fluorophenyl)-pyridine-3,5-dicarboxylate (II)

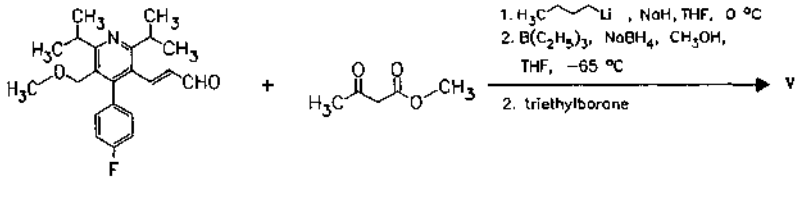


ethyl 2,6-diisopropyl-4-(4-fluorophenyl)-5-hydroxymethylpyridine-3-carboxylate



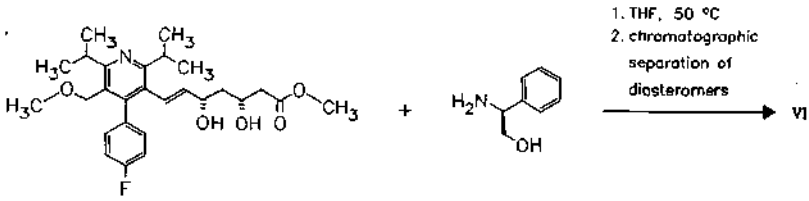
2,6-diisopropyl-4-(4-fluorophenyl)-5-methoxymethyl-3-pyridinecarboxaldehyde (III)

diethyl 2-(cyclohexylamino)-vinylphosphonate



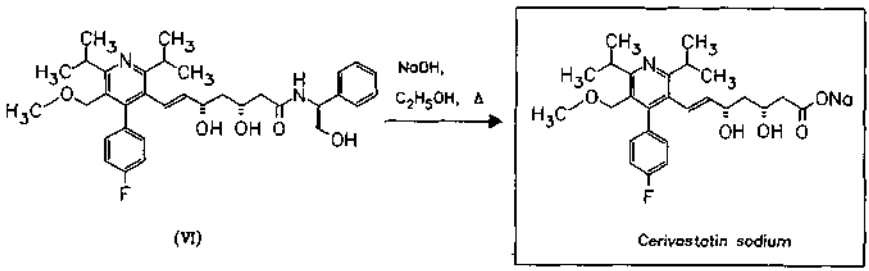
(IV)

methyl acetoacetate



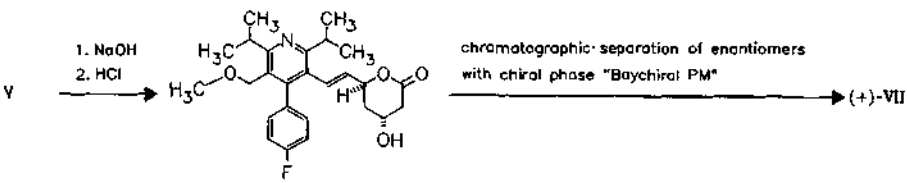
methyl erythro-(E)-7-[2,6-diisopropyl-4-(4-fluorophenyl)-5-methoxymethyl-3-pyridyl]-3,5-dihydroxy-hept-6-enoate (V)

(+)-(S)-phenylglycinol

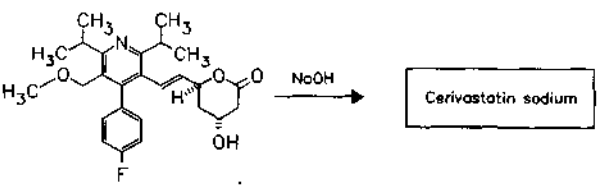


(VI)

b



(±)-(VII)



(+)-(VII)

Reference(s):

a DE 4 040 026 (Bayer; appl. 14.12.1990).
EP 325 130 (Bayer AG; appl. 9.1.1989; D-prior. 20.1.1988).
EP 491 226 (Bayer AG; appl. 3.12.1991; D-prior. 14.12.1990).
AU 9 189 615 (Bayer AG; appl. 11.12.1991; D-prior. 14.12.1990).
(*R*)-(+)-α-phenethylamine *can be used instead of S*-(+)-phenylglycinol.
b Drugs Future (DRFUD4) **19**, 537-541 (1994).

Formulation(s): tabl. 0.1 mg, 0.2 mg, 0.3 mg

Trade Name(s):

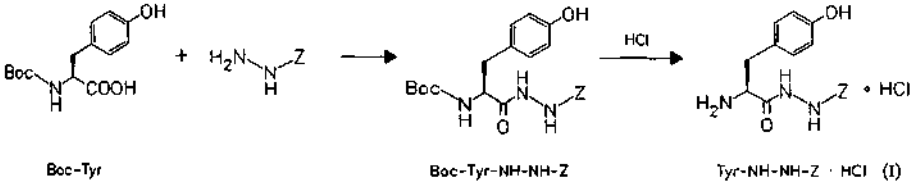
D: Lipobay (Bayer) GB: Lipobay (Bayer) USA: Baycol (Bayer)

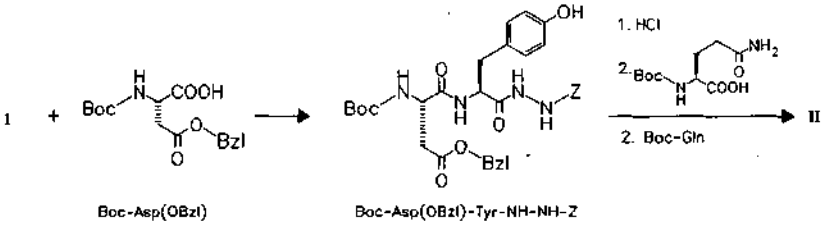
Ceruletide

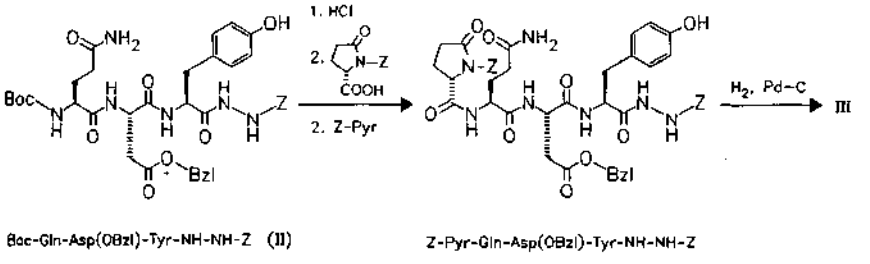
(Caerulein)

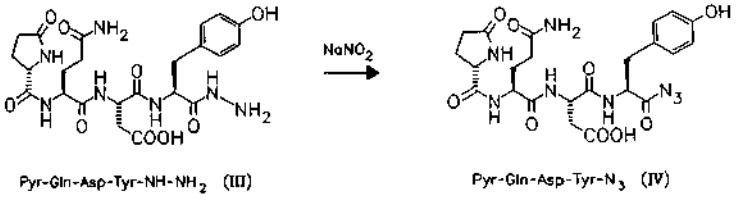
ATC: V04CC04; V04CK
Use: diagnostic (for pancreatic function), stimulant of gastric secretory

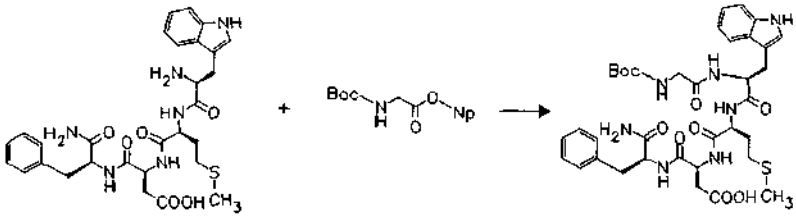
RN: 17650-98-5 MF: $C_{58}H_{73}N_{13}O_{21}S_2$ MW: 1352.42
LD_{50}: 1012 mg/kg (M, i.v.)
CN: 5-oxo-L-prolyl-L-glutaminyl-L-α-aspartyl-*O*-sulfo-L-tyrosyl-L-threonylglycyl-L-tryptophyl-L-methionyl-L-α-aspartyl-L-phenylalanin amide





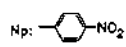






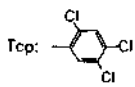
Trp-Met-Asp-Phe-NH_2 + Boc-Gly-O-Np → Boc-Gly-Trp-Met-Asp-Phe-NH_2 (V)

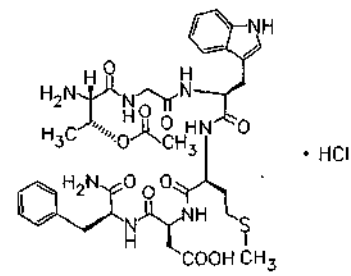
Np:



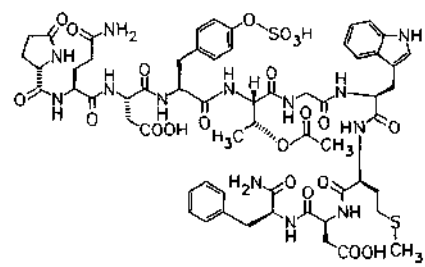
V → (1. HCl; 2. Tcp-ester; 3. HCl; 2. Boc-N-Ac-Thr-O-Tcp) → Ac-Thr-Gly-Trp-Met-Asp-Phe-NH_2 · HCl (VI)

Tcp:

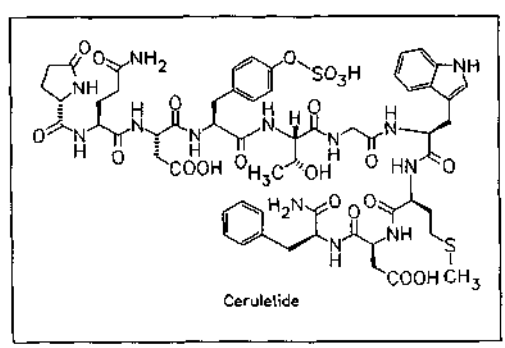




IV + VI → (SO_3, pyridine) → Pyr-Gln-Asp-Tyr(SO_3H)-Thr(Ac)-Gly-Trp-Met-Asp-Phe-NH_2 (VII)



VII → (NaOH) → Ceruletide



Reference(s):

DE 1 643 504 (Soc. Farmaceutici Italia; appl. 6.4.1972; I-prior. 9.8.1966).
US 3 472 832 (Soc. Farmaceutici Italia; I-prior. 9.8.1966).
Bernardi, L. et al.: Experientia (EXPEAM) **23**, 700 (1967).

structure and isolation from Hyla caerulea:

Anastasi, A. et al.: Experientia (EXPEAM) **23**, 699 (1967).

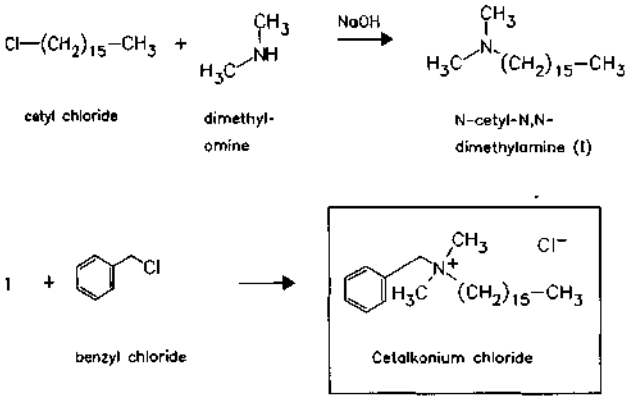
Formulation(s): amp. 5 µg/ml, 40 µg/2 ml; vial 20 µg, 30 µg, 40 µg

Trade Name(s):
D: Takus (Pharmacia & Upjohn)
J: Ceosunin (Kyowa Hakko)

Cetalkonium chloride

ATC: S01AA
Use: antiseptic, bactericide

RN: 122-18-9 MF: $C_{25}H_{46}ClN$ MW: 396.10 EINECS: 204-526-3
CN: *N*-hexadecyl-*N,N*-dimethylbenzenemethanaminium chloride



Reference(s):
FR 771 746 (I. G. Farben; 1934).

Formulation(s): sol. 13 g/100 g, 130 mg

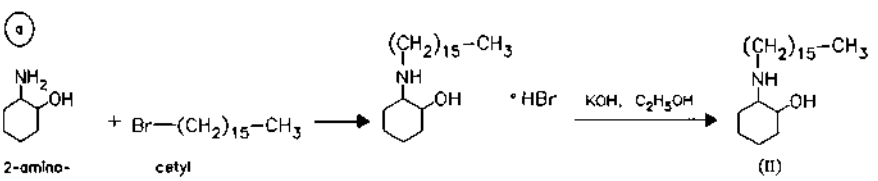
Trade Name(s):
D: Baktonium (Bode)
Mundisal (Mundipharma)-comb.
F: Pansoral (Pierre Fabre Santé)-comb.
GB: Bonjela (Reckitt & Colman)-comb.
J: Lazal (Shionogi)

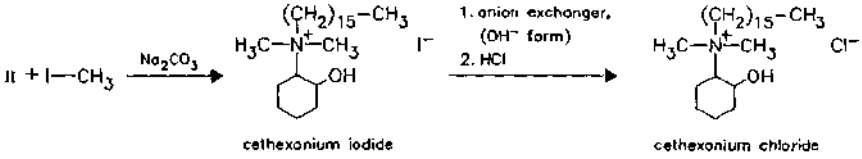
Cethexonium bromide

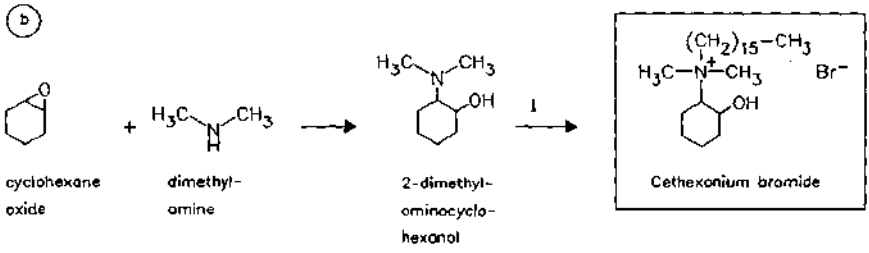
ATC: D08AX; R02AA20
Use: antiseptic

RN: 1794-74-7 MF: $C_{24}H_{50}BrNO$ MW: 448.57
CN: *N*-hexadecyl-2-hydroxy-*N,N*-dimethylcyclohexanaminium bromide

chloride
RN: 58703-78-9 MF: $C_{24}H_{50}ClNO$ MW: 404.12







Reference(s):
Wintemitz, F. et al.: Bull. Soc. Chim. Biol. (BSCIA3) **33**, 369 (1951).

Formulation(s): collutorium 0.025 g/100 ml, 0.1 mg/0.4 ml, 0.3 g/100 ml; eye drops 0.025 % (bromide); ointment 1 g/100 g; powder 1.5 g/100 g; sol 50 mg/100 ml

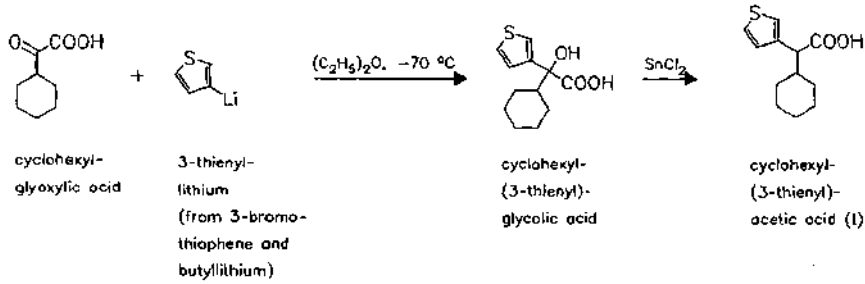
Trade Name(s):
F: Biocidan (Menarini)

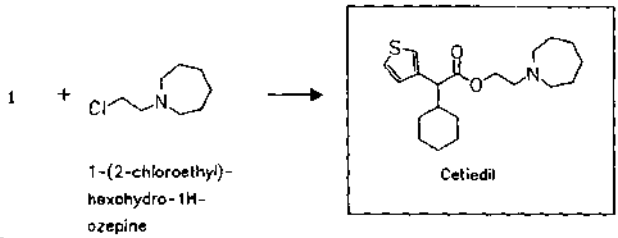
Cetiedil

ATC: C04AX26
Use: vasodilator (peripheral)

RN: 14176-10-4 MF: $C_{20}H_{31}NO_2S$ MW: 349.54 EINECS: 238-028-2
LD_{50}: 1726 mg/kg (M, p.o.)
CN: α-cyclohexyl-3-thiopheneacetic acid 2-(hexahydro-1*H*-azepin-1-yl)ethyl ester

citrate (1:1)
RN: 16286-69-4 MF: $C_{20}H_{31}NO_2S \cdot C_6H_8O_7$ MW: 541.66 EINECS: 240-381-2





Reference(s):
FR 1 460 571 (Innothéra; appl. 10.6.1965).
FR-M 5 504 (Innothéra; appl. 10.6.1965).
Robba, M.; Guen, Y. Le: Chim. Ther. (CHTPBA) **1967** (No. 2), 120.

synthesis of starting materials:
Robba, M.; Guen, Y. Le: Chim. Ther. (CHTPBA) **1966** (No. 4), 238.
FR-appl. 2 260 575 (Innothéra; appl. 11.2.1974).
FR-appl. 2 260 576 (Innothéra; appl. 11.2.1974).

synthesis from 3-thienylacetonitrile:
US 4 108 865 (Labaz; 22.8.1978; prior. 29.8.1974, 1.3.1976).

Formulation(s): cps. 100 mg (as citrate)

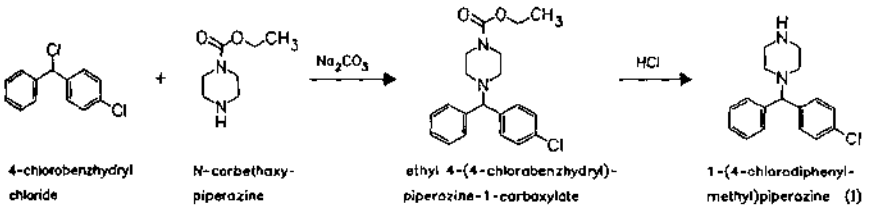
Trade Name(s):
F: Stratene (Gerda) Vasocet (Cipharm) I: Stratene (Sigma-Tau); wfm

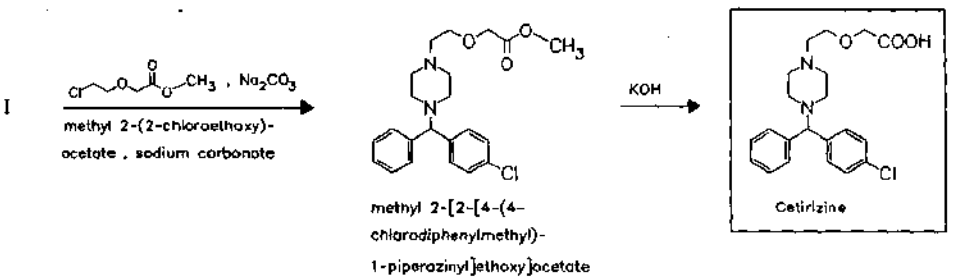
Cetirizine

ATC: R06AE07
Use: non-sedative antihistaminic

RN: 83881-51-0 MF: $C_{21}H_{25}ClN_2O_3$ MW: 388.90
CN: (±)-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]acetic acid

dihydrochloride
RN: 83881-52-1 MF: $C_{21}H_{25}ClN_2O_3 \cdot 2HCl$ MW: 461.82
LD_{50}: 365 mg/kg (R, p.o.);
>320 mg/kg (dog, p.o.)





Reference(s):
EP 58 146 (UCB; appl. 5.2.1982; GB-prior. 6.2.1981, 8.4.1981).

alternative synthesis (also enantiomers):
GB 2 225 321 (UCB; appl. 23.11.1988).
EP 801 064 (UCB; appl. 9.4.1997; BE-prior. 10.4.1996).
WO 9 737 982 (UCB; appl. 28.3.1997; BE-prior. 4.10.1996).
WO 9 802 425 (Apotex; appl. 11.7.1997; CA-prior. 11.7.1996).

synthesis of 1-(4-chlorodiphenylmethyl)piperazine:
US 2 819 269 (Abbott; 1958).
HU 17 343 (Richter Gedeon; appl. 26.5.1977).
US 2 709 169 (UCB; 1952).

Formulation(s): drops 10 mg; sol. 0.1 %; tabl. 10 mg (as dihydrochloride)

Trade Name(s):

D:	Zyrtec (UCB; Rodleben; Vedim)	GB:	Zirtek (UCB)	USA:	Zyrtec (Pfizer; as hydrochloride)
F:	Virlix (Synthélabo) Zyrtec (UCB)	I:	Formistin (Formenti; 1990) Virlix (Chemil) Zirtec (UCB; 1990)		

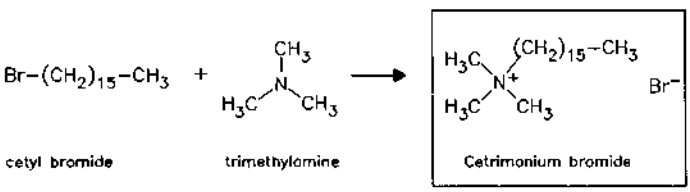
Cetrimonium bromide

(Cetrimide)

ATC: R02AA17
Use: antiseptic

RN: 57-09-0 MF: $C_{19}H_{42}BrN$ MW: 364.46 EINECS: 200-311-3
LD_{50}: 32 mg/kg (M, i.v.);
44 mg/kg (R, i.v.); 410 mg/kg (R, p.o.)
CN: *N,N,N*-trimethyl-1-hexadecanaminium bromide

hydroxide
RN: 505-86-2 MF: $C_{19}H_{43}NO$ MW: 301.56 EINECS: 208-022-4



Reference(s):
Shelton, R.S. et al.: J. Am. Chem. Soc. (JACSAT) **68**, 753 (1946).

Formulation(s): sol. 117 mg/100 g; tabl. 4 mg

Trade Name(s):

D:	Lemocin (Novartis Consumer Health) Xylastesin (Espe) numerous combination preparations		Buccawalter (SmithKline Beecham)-comb. Cétavlon (Zeneca) Dérinox (Thérabel Lucien)-comb.	GB:	Ceanel Conc. (Quinoderm)-comb. Cetavlex (Zeneca)
F:	Aseptit (Riom)		Rectoquotane (Evans Medical)-comb.	I:	Cetavlon (Zeneca) Xylonor (Ogna)-comb.
				J:	Cetavlon (Sumitomo Chem.)

Cetrorelix

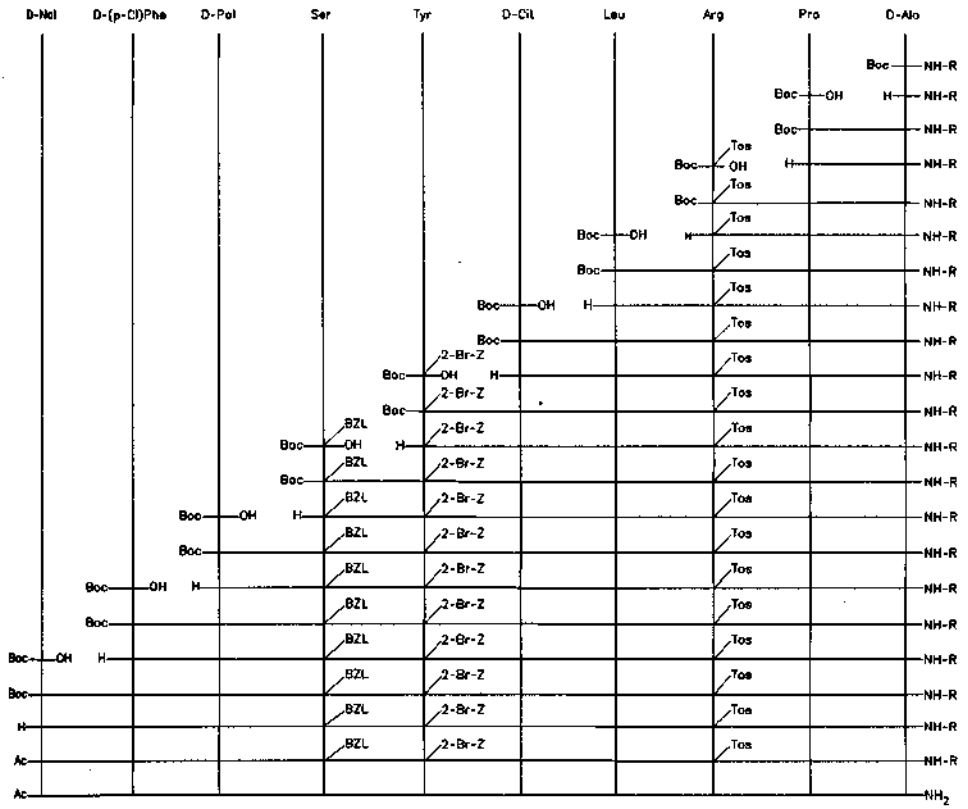
(SB-75; D-20761)

ATC: H01CC02
Use: LHRH-antagonist

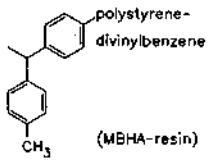
RN: 120287-85-6 MF: $C_{70}H_{92}ClN_{17}O_{14}$ MW: 1431.06
CN: *N*-Acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N^5-(aminocarbonyl)-D-ornithyl-L-leucyl-L-arginyl-L-prolyl-D-alaninamide

acetate
RN: 145672-81-7 MF: $C_{70}H_{92}ClN_{17}O_{14} \cdot xC_2H_4O_2$ MW: unspecified
diacetate
RN: 130143-01-0 MF: $C_{70}H_{92}ClN_{17}O_{14} \cdot 2C_2H_4O_2$ MW: 1551.17
trifluoroacetate
RN: 130289-71-3 MF: $C_{70}H_{92}ClN_{17}O_{14} \cdot C_2HF_3O_2$ MW: 1545.09
pamoate
RN: 132741-85-6 MF: $C_{70}H_{92}ClN_{17}O_{14} \cdot C_{23}H_{16}O_6$ MW: 1819.44

(a) solid-phase synthesis:

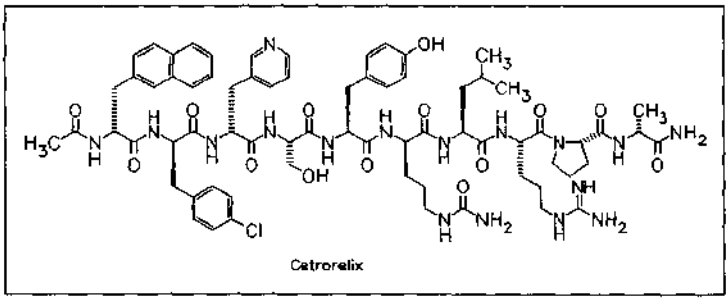


Cit:	citrulline (N^5-carbamoylornithine)
Pal:	3-pyridylalanine
Nal:	2-naphthylalanine
(p-Cl)Phe:	4-chlorophenylalanine
Boc:	tert-butoxycarbonyl
BZL:	benzyl
2-Br-Z:	2-bromobenzyloxycarbonyl
Ac:	acetyl
Tos:	tosyl

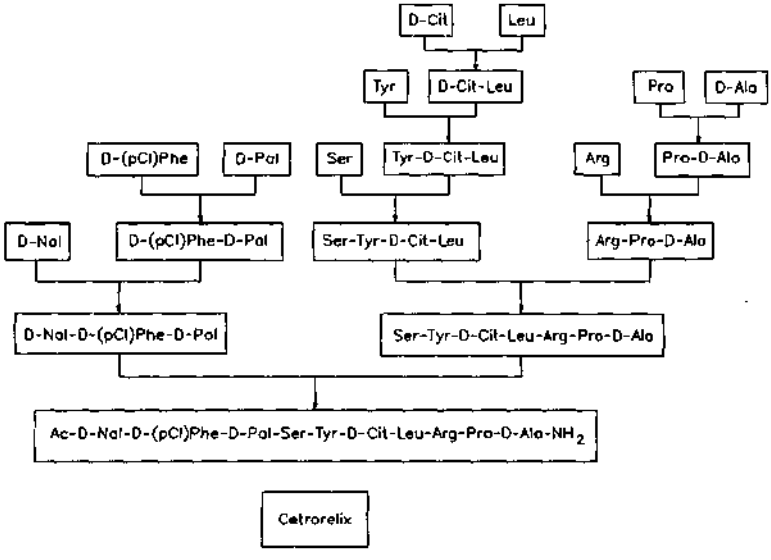
R: 

(MBHA-resin)

After cleavage from the resin the crude peptide is dissolved in aqueous acetic acid and purified via prep. HPLC.



(b) classical, liquid-phase synthesis:



abbreviations see method a

Reference(s):

a Bajusz, S. et al.: Int J. Pept. Protein Res. (IJPPC3) **32**, 425 (1988).
EP 299 402 (ASTA Medica; appl. 11.7.1988; USA-prior. 17.7.1987).
a,b Kleemann, A. et al.: Proc. Akabori Conf.: Ger.-Jpn. Symp. Pept. Chem., 4th, 1991, 96-101.
b Kunz, F.R. et al.: Proc. Akabori Conf.: Ger.-Jpn. Symp. Pept. Chem., 5th, 1994, 15-16.

long-acting injection suspension with pamoate salt:
US 773 032 (ASTA Medica; 10.6.1996; D-prior. 9.12.1993).

sterile acetate formulation:
EP 611 572 (ASTA Medica; D-prior. 19.2.1993).

use in fertility control:
EP 788 799 (ASTA Medica; USA-prior. 7.2.1996).

use for BPH or prostate cancer:
WO 9 810 781 (ASTA Medica; USA-prior. 12.9.1996).

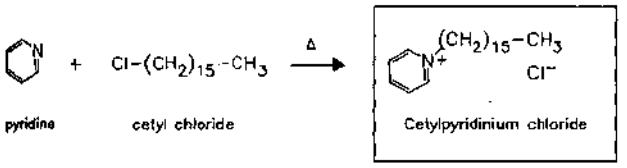
Formulation(s): vial 0.25 mg, 3 mg (as acetate)

Trade Name(s):
D: Cetrotide (ASTA Medica AWD; 1999) GB: Cetrotide (ASTA Medica)

Cetylpyridinium chloride

ATC: B05CA01; D08AJ03; D09AA07; R02AA06
Use: disinfectant, antiseptic

RN: 123-03-5 MF: $C_{21}H_{38}ClN$ MW: 340.00 EINECS: 204-593-9
LD_{50}: 10 mg/kg (M, i.v.); 108 mg/kg (M, p.o.); 200 mg/kg (R, p.o.)
CN: 1-hexadecylpyridinium chloride



Reference(s):
Budesinsky-Protiva, 531-532.

Formulation(s): eff. tabl. 1.5 mg, 3 mg; lozenge 1.4 mg; sol. 5 mg/10 ml (0.01 %, 0.05 %); tabl. 2 mg

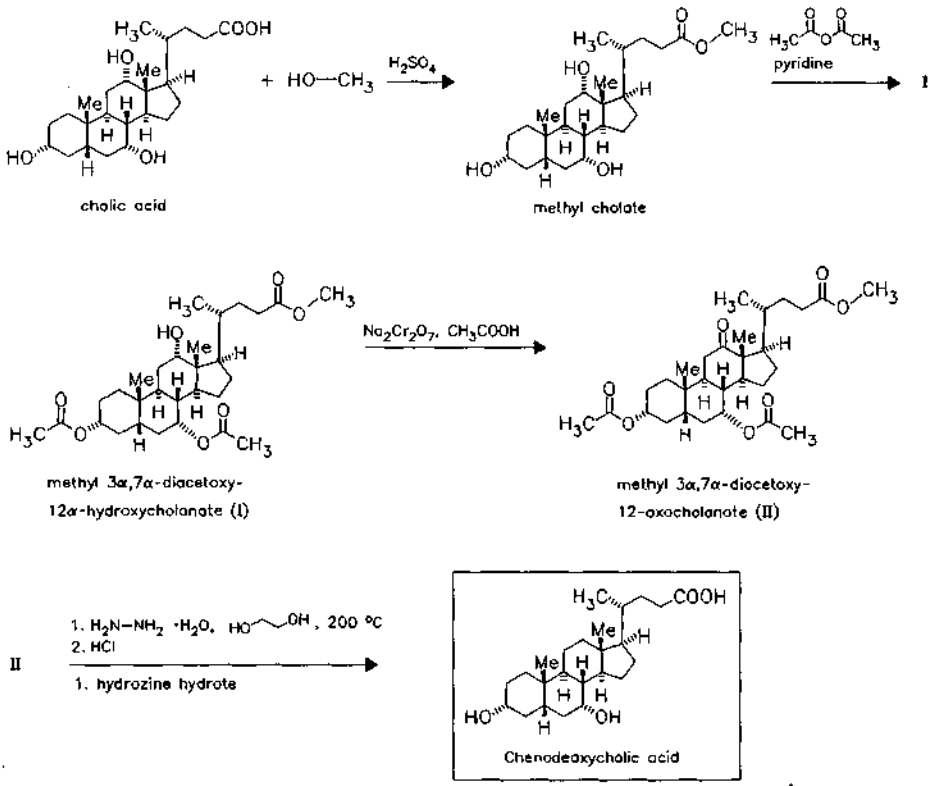
Trade Name(s):
D: Dobendan (Cassella-med)
Formamint N (Beecham-Wülfing)
Tyrosolvetten (Byk Gulden; Roland)-comb.
numerous combination preparations
F: Alodont (Warner-Lambert)-comb.
Broncorinol (Roche Nicholas)-comb.
Cétylyre (Oberlin)
GB: Calgel (Warner-Lambert)
Merocaine (Seton)-comb.
Merocet (Seton)
I: Borocaina (Schiapparelli Salute)
Fluprim (Roche)
Gola (Sella)
Golagamma (Avantgarde)
Neocepacol (Lepetit)
Neocoricidin (Schering-Plough)
Neoformitrol (Sandoz)
Neogola (Sella)
Noalcool (Sella)
Penaten (Johnson & Johnson)
Ragaden (Ganassini)
Vidermina (Ganassini)
numerous combination preparations
J: Colgen 123 (Kowa)-comb.
Pabron Troche (Taisho)
Suprol (Iwaki)
USA: Cepacol (Lakeside)-comb.; wfm
Cobrex (Reid-Rowell)-comb.; wfm

Chenodeoxycholic acid

(Chenodiol; Acide chenodéoxycholique; Chenodesoxycholsäure)

ATC: A05AA01
Use: choleretic, anticholethithogenic dissolution of cholesterol gallstones

RN: 474-25-9 MF: $C_{24}H_{40}O_4$ MW: 392.58 EINECS: 207-481-8
LD_{50}: 100 mg/kg (M, i.v.); 3 g/kg (M, p.o.); 106 mg/kg (R, i.v.); 4 g/kg (R, p.o.); >1 g/kg (dog, p.o.)
CN: (3α,5β,7α)-3,7-dihydroxycholan-24-oic acid



Reference(s):
Fieser, L.F.; Rajagopalan, S.: J. Am. Chem. Soc. (JACSAT) **72**, 5530 (1950).
Hauser, E. et al.: Helv. Chim. Acta (HCACAV) **43**, 1595 (1960).
Hofmann, A.F.: Acta Chem. Scand. (ACHSE7) **17**, 173 (1963).
Sato, Y.; Ikekawa, N.: J. Org. Chem. (JOCEAH) **24**, 1367 (1959).

purification:
DOS 2 302 744 (Union International; appl. 20.1.1973; GB-prior. 20.1.1972).
DE 2 404 102 (Schering AG; appl. 25.1.1974).
DOS 2 613 346 (Diamalt; appl. 29.3.1976).
US 4 163 017 (Diamalt; 31.7.1979; D-prior. 29.3.1976).
JP-appl. 52 153 955 (Tokyo Tanabe; appl. 18.6.1976).

isolation from animal bile:
US 3 919 266 (Intellectual Property Dev. Corp.; 11.11.1975; prior. 21.9.1972, 19.11.1973).
US 4 014 908 (Intellectual Property Dev. Corp.; 29.3.1977; prior. 21.9.1972, 19.11.1973, 7.5.1974, 30.5.1974, 20.2.1976).
US 4 072 695 (Intellectual Property Dev. Corp.; 7.2.1978; prior. 21.9.1972, 19.11.1973, 7.5.1974, 30.5.1974, 20.2.1976, 17.9.1976).

combination with hymecromone:
DOS 2 700 085 (Lipha; appl. 4.1.1977; F-prior. 13.7.1976).

Formulation(s): cps. 250 mg; tabl. 250 mg

Trade Name(s):

D:	Chenofalk (Falk)		Hekbilin (Strathmann)-comb.	GB:	Chendol (CP Pharm.)
	Cholit-Ursan (Fresenius-Praxis)		Ursofalk (Falk)-comb.		Chenofalk (Thames)
		F:	Chénodex (Hoechst Houdé)	I:	Chenofalk (Interfalk)
					Chenossil (Midy)

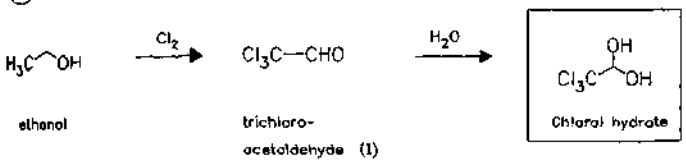
	Fluibil (Zambon Italia)	Cholasa (Tokyo Tanabe)	USA:	Chenix (Reid-Rowell); wfm
J:	Chenochol (Yamanouchi)			

Chloral hydrate

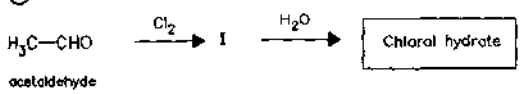
ATC: N05CC01
Use: hypnotic, sedative

RN: 302-17-0 MF: $C_2H_3Cl_3O_2$ MW: 165.40 EINECS: 206-117-5
LD_{50}: 530 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.);
479 mg/kg (R, p.o.)
CN: 2,2,2-trichloro-1,1-ethanediol

(a)



(b)



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **9**, 377.

Formulation(s): cps. 250 mg, 500 mg

Trade Name(s):

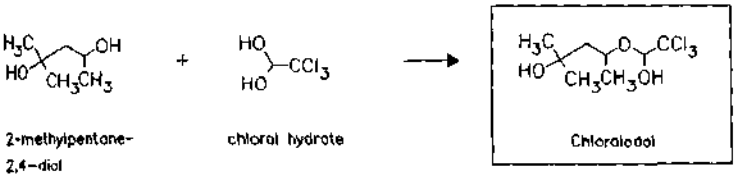
D:	Chloraldurat (Pohl)	GB:	Welldorm elixir (S & N)	USA:	Noctec (Squibb); wfm generica
F:	numerous combination preparations	I:	Cloral (Tariff. Nazionale)		
		J:	Escre (SS)		

Chloralodol

(Chlorhexadol)

ATC: N05CC02
Use: hypnotic

RN: 3563-58-4 MF: $C_8H_{15}Cl_3O_3$ MW: 265.56 EINECS: 222-634-9
CN: 2-methyl-4-(2,2,2-trichloro-1-hydroxyethoxy)-2-pentanol



Reference(s):
US 2 931 838 (Det Danske Med.-& Kem.-Komp.; 5.4.1960; DK-prior. 8.12.1956).

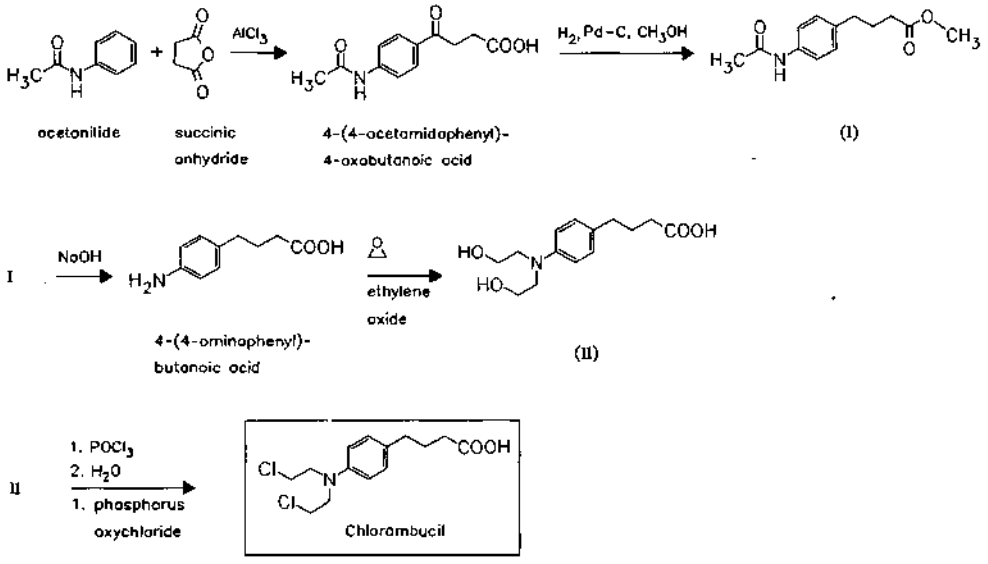
Formulation(s): tabl. 400 mg, 800 mg

Trade Name(s):
GB: Medodorm (Medo); wfm

Chlorambucil

ATC: L01AA02
Use: antineoplastic

RN: 305-03-3 MF: $C_{14}H_{19}Cl_2NO_2$ MW: 304.22 EINECS: 206-162-0
LD_{50}: 80 mg/kg (M, p.o.);
76 mg/kg (R, p.o.)
CN: 4-[bis(2-chloroethyl)amino]benzenebutanoic acid



Reference(s):
US 3 046 301 (Borroughs Wellcome; 24.7.1962; prior. 29.10.1959).

Formulation(s): drg. 2 mg; tabl. 2 mg, 5 mg

Trade Name(s):

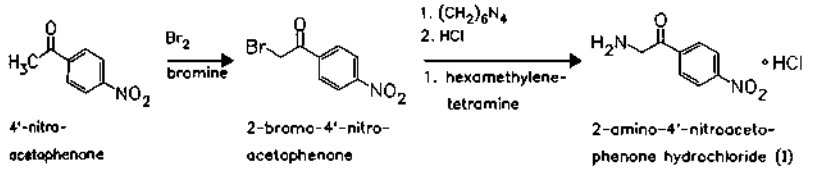
D:	Leukeran (Glaxo Wellcome)	GB:	Leukeran (Glaxo Wellcome)		Linfolysin (Nuovo ISM)
F:	Chloraminophéne (Techni-Pharma)	I:	Leukeran (Glaxo Wellcome)	USA:	Leukeran (Glaxo Wellcome)

Chloramphenicol

ATC: D06AX02; D10AF03; G01AA05; J01BA01; S01AA01; S02AA01; S03AA08
Use: antibiotic

RN: 56-75-7 MF: $C_{11}H_{12}Cl_2N_2O_5$ MW: 323.13 EINECS: 200-287-4
LD_{50}: 110 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.);
171 mg/kg (R, i.v.); 2500 mg/kg (R, p.o.)
CN: [*R*-(*R**,*R**)]-2,2-dichloro-*N*-[2-hydroxy-1-(hydroxymethyl)-2-(4-nitrophenyl)ethyl]acetamide

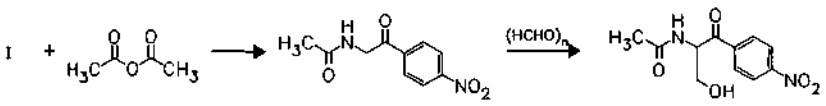
(a)



4'-nitro-acetophenone

2-bromo-4'-nitro-acetophenone

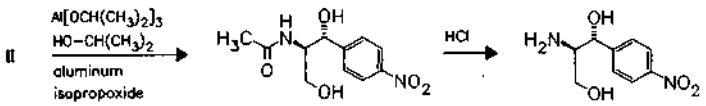
2-amino-4'-nitroaceto-phenone hydrochloride (I)



acetic anhydride

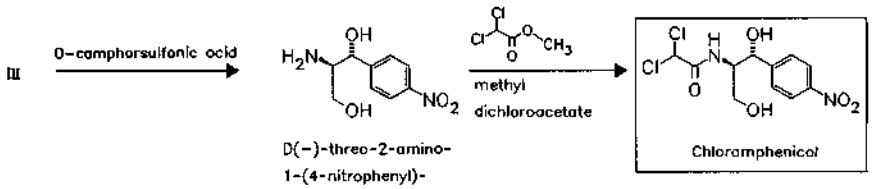
2-acetamido-4'-nitro-acetophenone

2-acetamido-3-hydroxy-4'-nitropropiophenone (II)



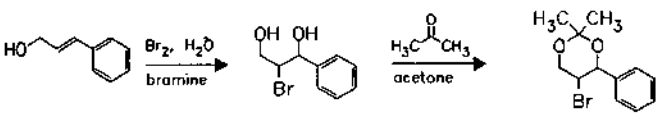
DL-threo-2-acetamido-1-(4-nitrophenyl)-1,3-propanediol

DL-threo-2-amino-1-(4-nitrophenyl)-1,3-propanediol (III)



D(−)-threo-2-amino-1-(4-nitrophenyl)-1,3-propanediol

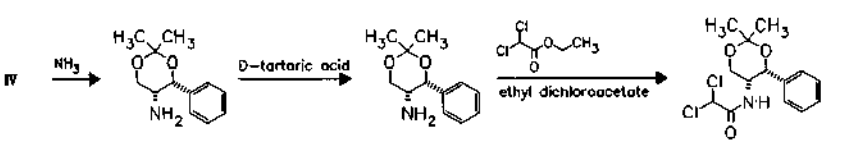
(b)



cinnamyl alcohol

2-bromo-1-phenyl-1,3-proponediol

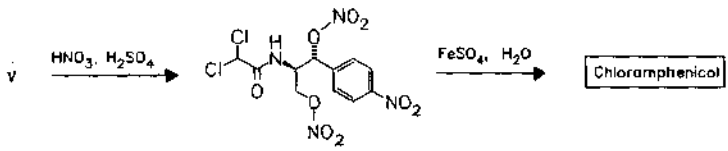
5-bromo-2,2-dimethyl-4-phenyl-1,3-dioxane (IV)



DL-threo-5-amino-2,2-dimethyl-4-phenyl-1,3-dioxane

D(−)-threo-5-amino-2,2-dimethyl-4-phenyl-1,3-dioxane

D(−)-threo-5-dichloroacetamido-2,2-dimethyl-4-phenyl-1,3-dioxane (V)



D(−)-threo-2-dichloro-acetamido-1-(4-nitro-phenyl)-1,3-propane-diol dinitrate

Reference(s):

Ehrhart-Ruschig **IV**, 398 ff.

a Long, L.M.; Troutman, H.D.: J. Am. Chem. Soc. (JACSAT) **71**, 2469, 2473 (1949).
US 2 483 871 (Parke Davis; 1949; appl. 1948).
US 2 483 884 (Parke Davis; 1949; appl. 1948).
US 2 483 885 (Parke Davis; 1949; appl. 1949).
US 2 483 892 (Parke Davis; 1949; appl. 1948).
US 2 687 434 (Parke Davis; 1954; appl. 1953).
US 2 651 661 (Monsanto; 1953; appl. 1950).
US 2 786 870 (Parke Davis; 1957; appl. 1954).
Rebstock, M.C. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 2458-2468 (1949).

b BE 539 991 (Boehringer Mannh.; appl. 1955; D-prior. 1954).
DE 1 016 718 (Boehringer Mannh.; appl. 1953).
BE 558 378 (Boehringer Mannh.; appl. 14.6.1957; D-prior. 27.6.1956, 22.12.1956).

alternative synthesis (from benzaldehyde *and* nitromethane *or O*-nitroethanol *via* 2-nitro-1-phenyl-1,3-propanediol):

DE 862 302 (Parke Davis; appl. 1949; USA-prior. 1948).
DE 1 064 937 (Boehringer Mannh.; appl. 1957).
DOS 2 708 301 (Egyt; appl. 25.2.1977; H-prior. 25.2.1976).

O-3-monophosphate:

DAS 1 668 961 (Roussel-Uclaf; appl. 20.2.1968; I-prior. 20.2.1967, 18.5.1967).

Formulation(s): amp. 1 g (as hydrogen succinate sodium salt); cps. 250 mg, 500 mg; ear drops 5 g/100 ml, 50 mg/g; eye drops 5 mg, 10 mg; ointment 1 % (10 mg/g)

Trade Name(s):

D: Aquamycetin (Winzer)
Chloramphenicol-PW (Pharma Wernigerode)
Chloramsaar (Chephasaar)
Oleomycetin (Winzer)
Paraxin (Boehringer Mannh.)
Thilocanfol C (Alcon)
numerous combination preparations

F: Cébédexacol (Chauvin)-comb.
Cébénicol (Chauvin)
numerous combination preparations

GB: Chloromycetin (Goldshield)
Kemicetine Succinate (Pharmacia & Upjohn)
Minims Chloramphenicol (Chauvin)
SNO Phenicol (Chauvin)

I: Chemicetina (Carlo Erba)
Chloromycetin (Parke Davis)
Cloram (Formulario Naz.)
Minims (Smith & Nephew)
Mycetin (Farmigea)
Sificetina (SIFI)
Vitamfenicolo (Allergan)
numerous salts and combination preparations

J: Antacin (Sumitomo)
Chloromycetin (Sankyo)
Kemicetine (Fujisawa)
Myclocin (Takeda)
Paraxin (Yamanouchi)
Synthomycetine (Otsuka)
numerous generics and combination preparations

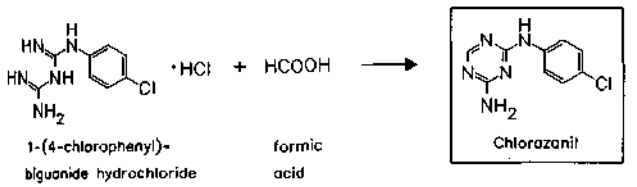
USA: Elase-Chloromycetin (Fujisawa)

Chlorazanil

ATC: C03
Use: diuretic

RN: 500-42-5 MF: $C_9H_8ClN_5$ MW: 221.65 EINECS: 207-904-6
LD_{50}: 300 mg/kg (M, p.o.);
16 mg/kg (R, i.v.)
CN: *N*-(4-chlorophenyl)-1,3,5-triazine-2,4-diamine

monohydrochloride
RN: 2019-25-2 MF: $C_9H_8ClN_5 \cdot HCl$ MW: 258.11 EINECS: 217-962-4



1-(4-chlorophenyl)-biguanide hydrochloride

formic acid

Reference(s):
DE 1 008 303 (Heumann & Co.; appl. 1955).

Formulation(s): tabl. 150 mg

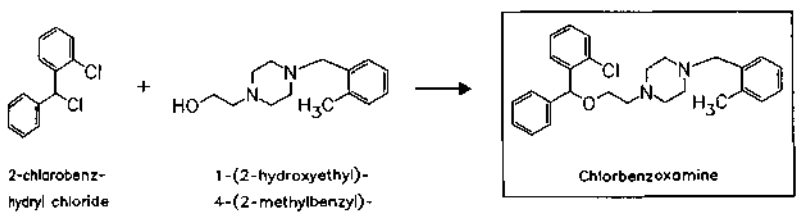
Trade Name(s):
D: Orpidan-150 (Heumann);
wfm

Chlorbenzoxamine

ATC: A03AX03
Use: anticholinergic

RN: 522-18-9 MF: $C_{27}H_{31}ClN_2O$ MW: 435.01 EINECS: 208-323-0
CN: 1-[2-[(2-chlorophenyl)phenylmethoxy]ethyl]-4-[(2-methylphenyl)methyl]piperazine

dihydrochloride
RN: 5576-62-5 MF: $C_{27}H_{31}ClN_2O \cdot 2HCl$ MW: 507.93 EINECS: 226-951-3
LD_{50}: 1400 mg/kg (M, p.o.);
66 mg/kg (R, i.v.); 3350 mg/kg (R, p.o.)



2-chlorobenzhydryl chloride

1-(2-hydroxyethyl)-4-(2-methylbenzyl)-piperazine

Reference(s):
BE 549 420 (H. Morren; appl. 10.7.1956).

Formulation(s): tabl. 30 mg (as dihydrochloride)

Trade Name(s):

D:	Libratar (UCB); wfm	Gastomax (Brocchieri); wfm		Libratar (UCB-Smith)-comb.; wfm
I:	Antiulcera Master (Cali); wfm		J:	Anratal (Tobishi)

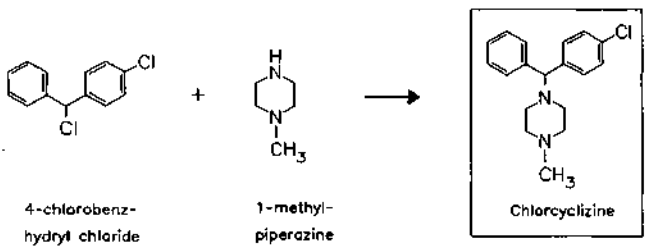
Chlorcyclizine

(Histachlorazine)

ATC: R06AE04
Use: antihistaminic

RN: 82-93-9 MF: $C_{18}H_{21}ClN_2$ MW: 300.83 EINECS: 201-446-0
CN: 1-[(4-chlorphenyl)phenylmethyl]-4-methylpiperazine

monohydrochloride
RN: 14362-31-3 MF: $C_{18}H_{21}ClN_2 \cdot HCl$ MW: 337.29
dihydrochloride
RN: 129-71-5 MF: $C_{18}H_{21}ClN_2 \cdot 2HCl$ MW: 373.76



Reference(s):
US 2 630 435 (Burroughs Wellcome; 1953; prior. 1948).

Formulation(s): tabl. 50 mg (as hydrochloride)

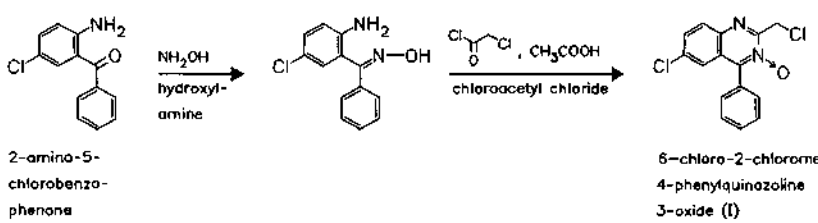
Trade Name(s):

F:	Di-Paraléne (Abbott); wfm	I:	Clorciclizina (Tariff. Integrativo)	USA:	Mantadil (Burroughs Wellcome)-comb. with hydrocortisone; wfm
GB:	Histofax (Wellcome); wfm		Di-Paralene (Abbott); wfm		

Chlordiazepoxide

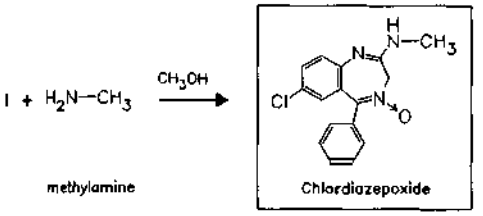
ATC: N05BA02
Use: tranquilizer

RN: 58-25-3 MF: $C_{16}H_{14}ClN_3O$ MW: 299.76 EINECS: 200-371-0
LD_{50}: 95 mg/kg (M, i.v.); 200 mg/kg (M, p.o.); 165 mg/kg (R, i.v.); 392 mg/kg (R, p.o.)
CN: 7-chloro-*N*-methyl-5-phenyl-3*H*-1,4-benzodiazepin-2-amine 4-oxide



2-amino-5-chlorobenzophenone

6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide (I)



Reference(s):
US 2 893 992 (Hoffmann-La Roche; 7.7.1959; prior. 15.5.1958).
DE 1 096 363 (Hoffmann-La Roche; appl. 24.4.1959; USA-prior. 15.5.1958).
Sternbach, L.H. et al.: J. Org. Chem. (JOCEAH) **26**, 1111 (1961).

Formulation(s): drg. 10 mg; f. c. tabl. 5 mg; tabl. 5 mg, 10 mg, 25 mg

Trade Name(s):

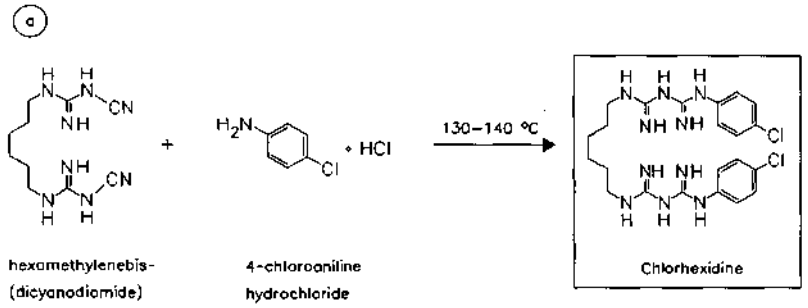
D: Limbatril (Roche)-comb.
Multum (Rosen Pharma)
Radepur (ASTA Medica AWD)
F: Librax (Roche)-comb.
GB: Librium (Roche)
I: Diapatol (Teofarma)-comb.
Librium (Roche)
Limbitryl (Roche)-comb.
Psicofar (Terapeutico)
Reliberan (Geymonat)
Sedans (Ganassini)-comb.
Seren Vita (Synthelabo)
J: Balance (Yamanouchi)
Contol (Takeda)
Sophiamin (Kyowa Yakuhin)
Trakipearl (Hishiyama)
USA: Librax (Roche Products; as hydrochloride)
Librium (Roche Products; as hydrochloride)
Limbitrol (Roche Products)
generics

Chlorhexidine

ATC: A01AB03; B05CA02; D08AC02; D09AA12; R02AA05; S01AX09; S02AA09; S03AA04
Use: antiseptic

RN: 55-56-1 MF: $C_{22}H_{30}Cl_2N_{10}$ MW: 505.46 EINECS: 200-238-7
LD_{50}: 24 mg/kg (M, i.v.); 2515 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 9200 µL/kg (R, p.o.)
CN: *N,N''*-bis(4-chlorophenyl)-3,12-diimino-2,4,11,13-tetraazatetradecanediimidamide

diacetate
RN: 56-95-1 MF: $C_{22}H_{30}Cl_2N_{10} \cdot 2C_2H_4O_2$ MW: 625.56 EINECS: 200-302-4
LD_{50}: 25 mg/kg (M, i.v.); 2 g/kg (M, p.o.)
dihydrochloride
RN: 3697-42-5 MF: $C_{22}H_{30}Cl_2N_{10} \cdot 2HCl$ MW: 578.38 EINECS: 223-026-6
LD_{50}: >5 g/kg (M, s.c.)
di-D-gluconate
RN: 18472-51-0 MF: $C_{22}H_{30}Cl_2N_{10} \cdot 2C_6H_{12}O_7$ MW: 897.77 EINECS: 242-354-0
LD_{50}: 12.9 mg/kg (M, i.v.); 1260 mg/kg (M, p.o.);
24.2 mg/kg (R, i.v.); 2 g/kg (R, p.o.)



Reference(s):
GB 705 838 (ICI; appl. 1951; valid from 1952).

Formulation(s): gel 1 g/100 g; powder 1 g/100 g; sol. 0.1 g/100 g, 0.2 g/100 g, 1 g/50 ml (as digluconate)

Trade Name(s):

D: Chlorhexamed (Blend-a-med)
Chlorhexidindigluconat (Engelhard)
Corsodyl (SmithKline Beecham OTC Medicines)
Frubilurgyl (Boehringer Mannh.)
Hansamed (Beiersdorf)
numerous combination preparations

F: Antalyre (Boehringer Ing.; as gluconate)-comb.
Collunovar (Dexo; as gluconate)
Collupressine (Synthélabo; as gluconate)-comb.
Collustan (Oberlin; as digluconate)-comb.
Corsadyl (SmithKline Beecham; as digluconate)
Cytéal (Sinbio; as gluconate)-comb.
Dacryne (Johnson & Johnson)
Diseptine (Nicholas; as gluconate)-comb.
Eludril (Inava; as digluconate)-comb.
Hibidil (Zeneca; as digluconate)
Hibiscrub (Zeneca; as digluconate)
Hibitane (Zeneca; as gluconate)
Merfene (Novartis; as gluconate)
Plurexid (Evans; as gluconate)
Prexidine (Pred; as gluconate)
Sepéal (Sinbio; as digluconate)
Thiovalone (Eurorga; as diacetate)-comb.

GB: Bactigras (Smith & Nephew)
Chlorhexitulle (Hoechst)
Corsodyl (SmithKline Beecham)
numerous preparations

I: Clorex (Formulario Naz.)
Contact (Vaas; as hydrochloride)
Corsodyl (SmithKline Beecham)
Effetre (Farma3 Medicalex)
Hansamed (Beiersdorf)
Hibidil (Zeneca)
Hibiscrup (Zeneca)
Hibitane (Zeneca)
Lenixil (Eurospital)
Neomercurocromo (SIT)
Neoxene (Ecobi)
Odontoxina (Ipfi)
Oramil (Ganassini)
Plak Out (Byk Gulden)
Sanoral (Kemiprogress)
Savlodil (Zeneca)-comb.
Savlol (Zeneca)-comb.
Vaxidina (Vaas)
Vidermina (Ganassini)

J: Hexadol (Green Cross)
Hibiscrub (ICI)
Hibitane Digluconate (Sumitomo)
Maskin (Maruishi)
Pabron (Taisho Seiyaku)
White Gol (Tamagawa Eizai)
White Rive (Eisai)

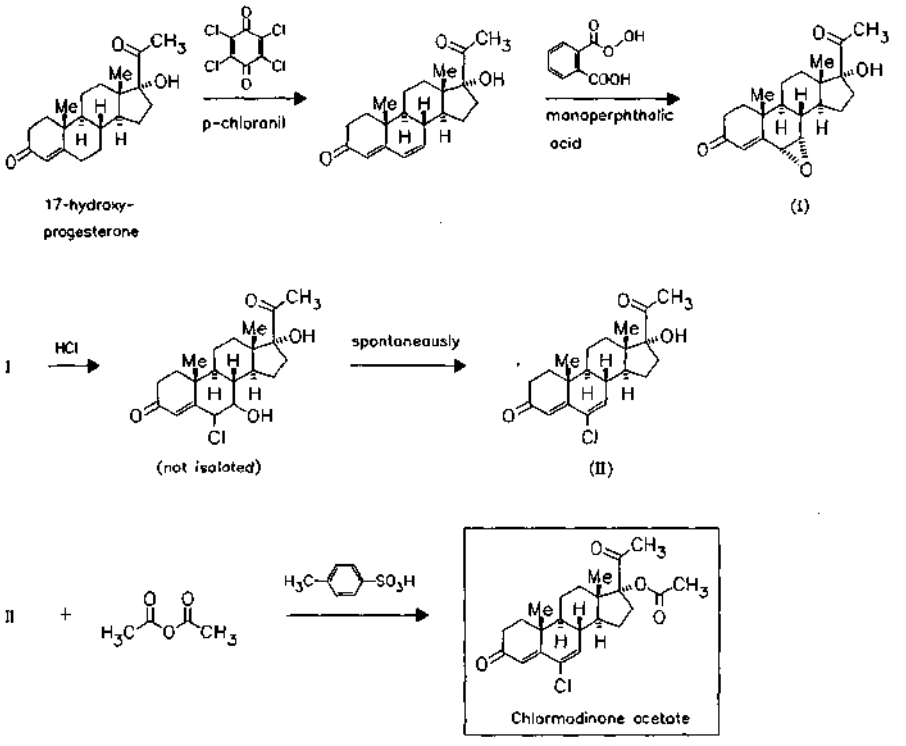
USA: Betasept (Purdue Frederick; as gluconate)
Hibiclens (Zeneca; as gluconate)
Hibistat (Zeneca; as gluconate)

Peridex (Procter & Gamble; as gluconate)	Periogard (Colgate Oral; as gluconate)

Chlormadinone acetate

ATC: G03D
Use: progestogen

RN: 302-22-7 MF: $C_{23}H_{29}ClO_4$ MW: 404.93 EINECS: 206-118-0
LD_{50}: >2 g/kg (M, i.v.); >15 g/kg (M, p.o.); >10 g/kg (R, p.o.)
CN: 17-(acetyloxy)-6-chloropregna-4,6-diene-3,20-dione



Reference(s):
DE 1 075 114 (E. Merck AG; appl. 29.4.1958).
Brückner, K. et al.: Chem. Ber. (CHBEAM) **94**, 1225 (1961).

Formulation(s): tabl. 2 mg, 5 mg

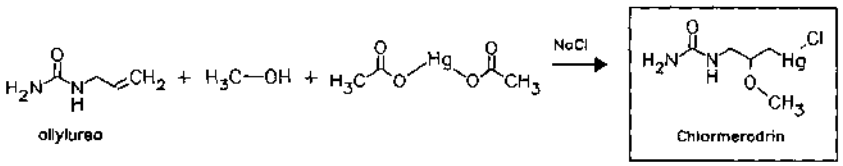
Trade Name(s):

D:	Chlormadinon (Jenapharm)		Menova (Merck)-comb.	I:	Fisiosequil (Recordati); wfm
	Gestafortin (Merck)		Neo-Eunomin		
	Gestamestrol (Hermal-Chemie)-comb.		(Grünenthal)-comb.	J:	Lutoral (Shionogi)
		F:	Lutéran (Solymés)		

Chlormerodrin

ATC: C03
Use: diuretic

RN: 62-37-3 MF: $C_5H_{11}ClHgN_2O_2$ MW: 367.20 EINECS: 200-530-4
LD_{50}: 215 mg/kg (M, p.o.);
150 mg/kg (R, p.o.)
CN: [3-[(aminocarbonyl)amino]-2-methoxypropyl-C^1,O^3]chloromercury



Reference(s):
US 2 635 982 (Lakeside Labs.; 1953; prior. 1951).

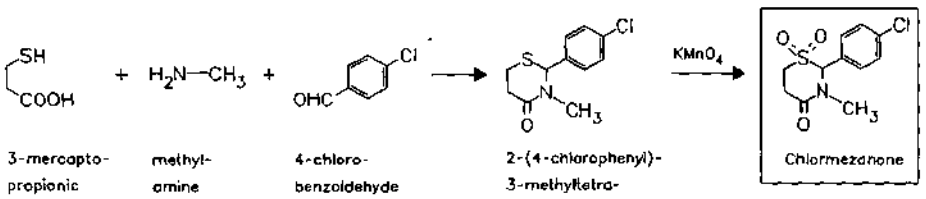
Formulation(s): amp.; tabl. 18 mg

Trade Name(s):
USA: Neohydrin (Lakeside); wfm

Chlormezanone

ATC: M03BB02
Use: muscle relaxant

RN: 80-77-3 MF: $C_{11}H_{12}ClNO_3S$ MW: 273.74 EINECS: 201-307-4
LD_{50}: 600 mg/kg (M, p.o.);
605 mg/kg (R, p.o.);
500 mg/kg (dog, p.o.)
CN: 2-(4-chlorophenyl)tetrahydro-3-methyl-4*H*-1,3-thiazin-4-one 1,1-dioxide



Reference(s):
GB 815 203 (Sterling Drug; appl. 3.7.1957; USA-prior. 20.7.1956).
Surrey, A.R. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 3469, 3471 (1958).

Formulation(s): suppos. 200 mg; tabl. 100 mg, 200 mg, 400 mg

Trade Name(s):

D:	Muskel Trancopal (Winthrop); wfm Muskel Trancopal comp. (Winthrop)-comb. with paracetamol; wfm	F:	Muskel Trancopal cum codeino (Winthrop)-comb. with paracetamol and codeine phosphate; wfm Alinam (Lucien); wfm	GB:	Supotran (Winthrop); wfm Trancogésic (Winthrop)-comb. with aspirine; wfm Trancopal (Winthrop); wfm

I: Trancoprin (Winthrop)-comb. with aspirine; wfm
Clormetadone (Nuovo Cons. Sanit. Naz.)-comb.
Condol (Maggioni-Winthrop)-comb.

J: Eblimon (Guidotti)-comb. numerous combination preparations
Myolespen (Dojin Iyaku)
Relizon (Mochida)
Trancopal (Daiichi)

Transanate (Teikoku Hormone)

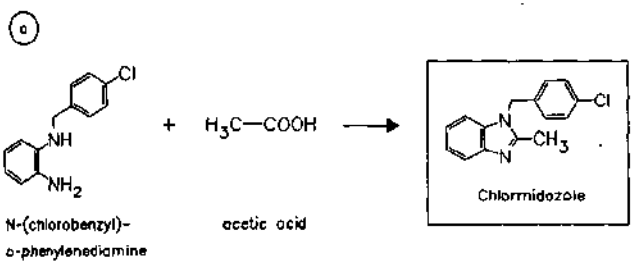
USA: Trancopal (Sanofi)

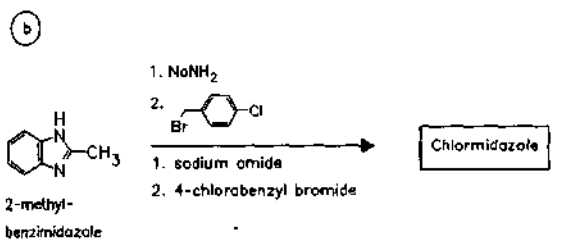
Chlormidazole
(Clomidazolum)

ATC: D01AC
Use: fungistatic, antifungal

RN: 3689-76-7 MF: $C_{15}H_{13}ClN_2$ MW: 256.74 EINECS: 222-998-9
CN: 1-[(4-chlorophenyl)methyl]-2-methyl-1*H*-benzimidazole

hydrochloride
RN: 54118-67-1 MF: $C_{15}H_{13}ClN_2 \cdot HCl$ MW: 293.20





Reference(s):
US 2 876 233 (Grünenthal; 3.3.1959; prior. 29.10.1956).

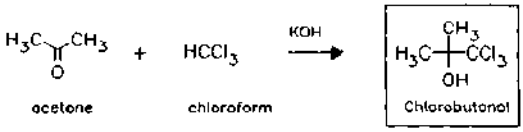
Formulation(s): cream 5 %; ointment 5 % (as hydrochloride)

Trade Name(s):
D: Myco-Jellin (Grünenthal)-comb. with fluocinolone acetonide; wfm
Polycid N (Grünenthal)-comb.; wfm

Chlorobutanol

ATC: A04AD04
Use: hypnotic, anesthetic

RN: 57-15-8 MF: $C_4H_7Cl_3O$ MW: 177.46 EINECS: 200-317-6
CN: 1,1,1-trichloro-2-methyl-2-propanol



Reference(s):
Budesinsky-Protiva, 235.
Willgerodt, C.: Ber. Dtsch. Chem. Ges. (BDCGAS) **14**, 2451 (1881).
US 2 462 389 (Socony-Vac Oil; 1949; prior. 1946).

Formulation(s): sol. 250 mg/100 ml

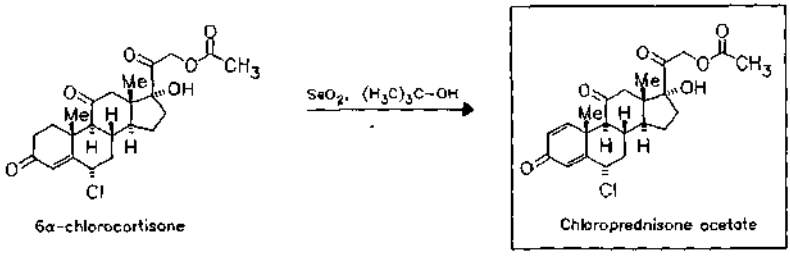
Trade Name(s):

D: Givalex (Norgine)
F: Alodont (Warner-Lambert)-comb.
Angispray (Monot)-comb.
Balsamorhinol (Janssen)-comb.
Ciella (RPR Cooper)-comb.
Eludril (Inava)-comb.
Givalex (Nagine Pharma)-comb.
Liquifilm (Allergan)-comb.
Optrex (Etris)-comb.
GB: Cerumol (L.A.B.)-comb.
Eludril (Chefaro)-comb.
Monphytol (L.A.B.)-comb.
I: Abiostil (Deca)-comb.
Antipulmina (Lisapharma)
Cerumenex (ASTA Medica)-comb.
Clorobutanolo (Tariff. Integrativo)
Corizzina (SIT)-comb.
Desalfa (Intes)-comb.
Fialetta odontalg. Knapp (Montefarmaco)-comb.
Oftalzina (SIT)-comb.
Respiro (Pierrel)-comb.
Rinoleina (Granelli)-comb.

Chloroprednisone acetate

ATC: H02AB; D07AB
Use: topical glucocorticoid

RN: 14066-79-6 MF: $C_{23}H_{27}ClO_6$ MW: 434.92 EINECS: 237-919-3
CN: (6α)-21-(acetyloxy)-6-chloro-17-hydroxypregna-1,4-diene-3,11,20-trione



Reference(s):
DE 1 079 042 (Syntex; appl. 1958; MEX-prior. 1957).
FR-M 666 (Syntex; appl. 20.9.1960).

alternative synthesis:
US 3 130 211 (Upjohn; 21.4.1964; prior. 1957, 1958).

pharmaceutical formulation:
GB 955 891 (Organon; valid from 1962; NL-prior. 1961).

Formulation(s): cream; ointment

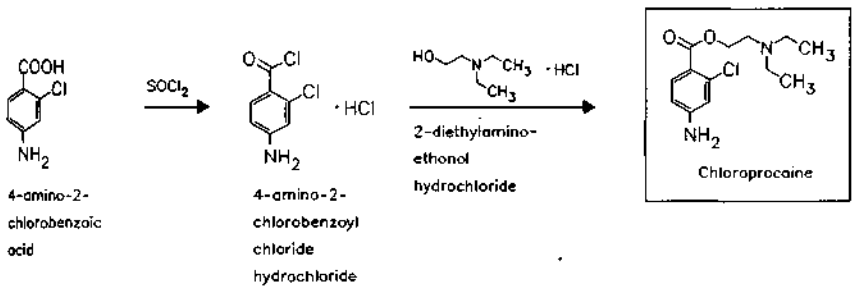
Trade Name(s):
USA: Adremycin (Organon); wfm
Topilan (Syntex); wfm

Chloroprocaine

ATC: N01BA04
Use: local anesthetic

RN: 133-16-4 MF: $C_{13}H_{19}ClN_2O_2$ MW: 270.76
CN: 4-amino-2-chlorobenzoic acid 2-(diethylamino)ethyl ester

monohydrochloride
RN: 3858-89-7 MF: $C_{13}H_{19}ClN_2O_2 \cdot HCl$ MW: 307.22 EINECS: 223-371-2
LD_{50}: 266 mg/kg (M, i.p.); 700 mg/kg (M, s.c.)



Reference(s):
US 2 460 139 (Wallace & Tiernan; 1949; appl. 1945).

Formulation(s): multiple-dose vial 1 %, 2 %; single-dose vial 2 %, 3 % (as hydrochloride)

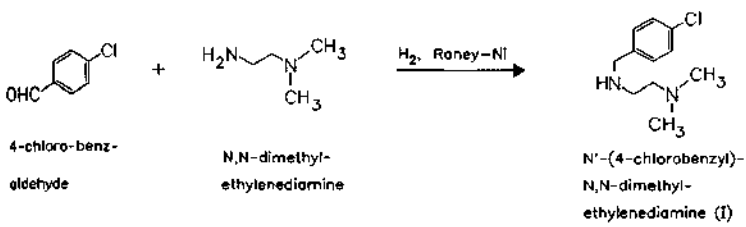
Trade Name(s):
J: Piocaine (Teikoku Kagaku-Nagase)
USA: Nesacaine (Astra)
Nesacaine (Pennwalt)

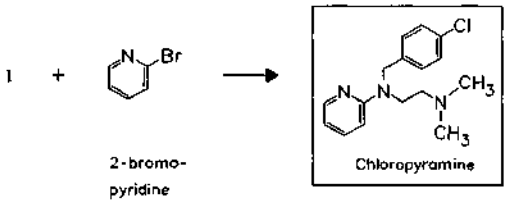
Chloropyramine
(Halopyramine; Chlortripelennamine)

ATC: D04AA09; R06AC03
Use: antihistaminic

RN: 59-32-5 MF: $C_{16}H_{20}ClN_3$ MW: 289.81 EINECS: 200-421-1
LD_{50}: 24.1 mg/kg (M, i.v.); 354 mg/kg (M, p.o.);
32.5 mg/kg (R, i.v.); 920 mg/kg (R, p.o.)
CN: *N*-[(4-chlorophenyl)methyl]-*N'*,*N'*-dimethyl-*N*-2-pyridinyl-1,2-ethanediamine

monohydrochloride
RN: 6170-42-9 MF: $C_{16}H_{20}ClN_3 \cdot HCl$ MW: 326.27 EINECS: 228-216-2





Reference(s):
US 2 569 314 (American Cyanamid; 1951; appl. 1947).
Vaughan, J.R. et al.: J. Org. Chem. (JOCEAH) **14**, 228 (1949).

Formulation(s): amp. 20 mg; cream 1 %; tabl. 25 mg

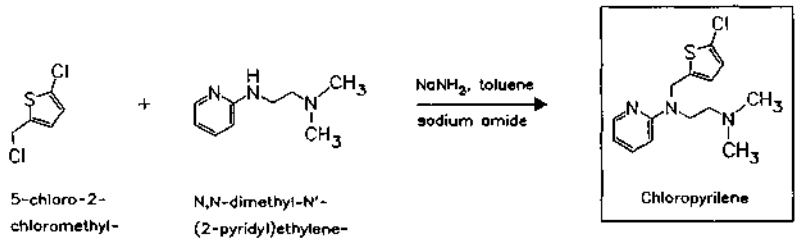
Trade Name(s):
D: Synpen (Geigy); wfm I: Sinopen (Geigy); wfm

Chloropyrilene
(Chlorthenylpyramine)

ATC: R06AC
Use: antihistaminic

RN: 148-65-2 MF: $C_{14}H_{18}ClN_3S$ MW: 295.84
LD_{50}: 105 mg/kg (M, i.p.)
CN: *N*-[(5-chloro-2-thienyl)methyl]-*N'*,*N'*-dimethyl-*N*-2-pyridinyl-1,2-ethanediamine

citrate (1:1)
RN: 148-64-1 MF: $C_{14}H_{18}ClN_3S \cdot C_6H_8O_7$ MW: 487.96 EINECS: 205-720-0
monohydrochloride
RN: 135-35-3 MF: $C_{14}H_{18}ClN_3S \cdot HCl$ MW: 332.30
LD_{50}: 438 mg/kg (M, p.o.)



Reference(s):
(cf. thenyldiamine, methapyrilene)
US 2 581 868 (Monsanto; 1952; prior. 1946).
Clapp, R.C. et al.: J. Org. Chem. (JOCEAH) **14**, 216 (1949).
Clapp, R.C. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 1549 (1947).

Formulation(s): tabl. 25 mg

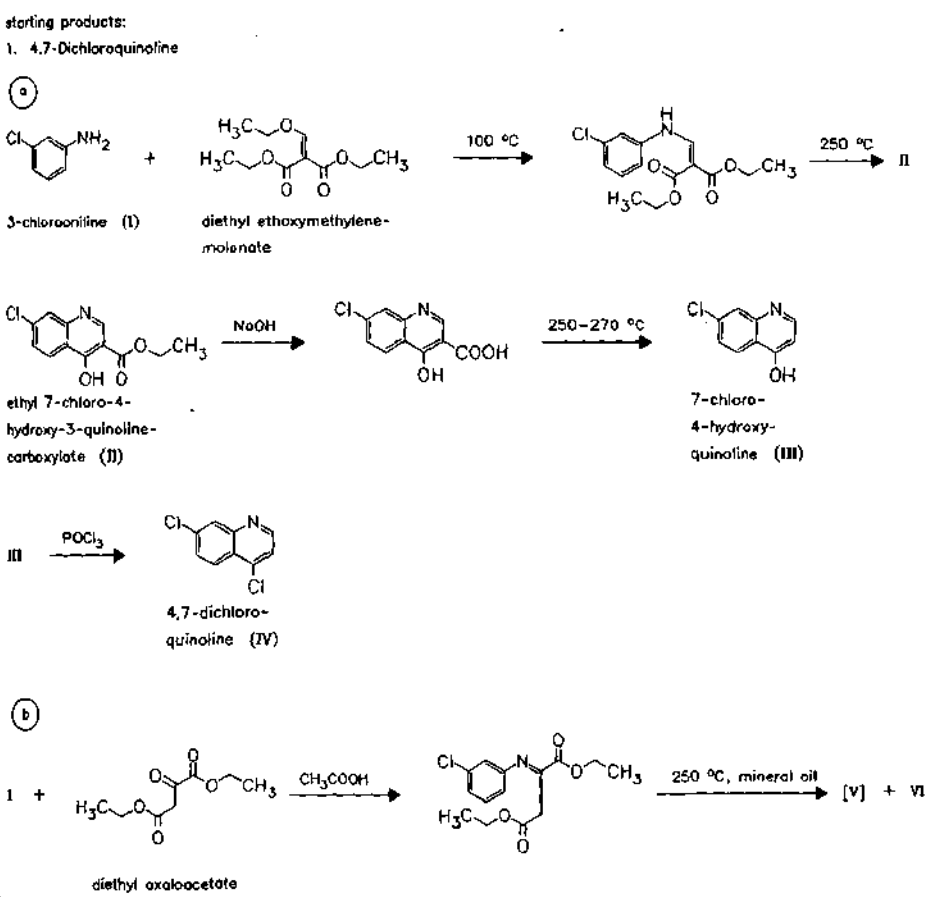
Trade Name(s):
I: Brevirina (Prodatti Erma)-comb.; wfm
Panta-Valeas (Valeas); wfm
USA: Tagathen (Lederle); wfm
Tagathen (Lederle; as citrate); wfm

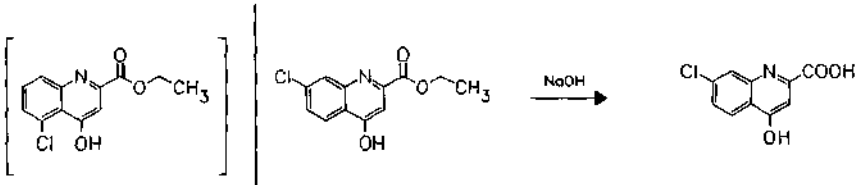
Chloroquine

ATC: P01BA01
Use: antirheumatic, antimalarial

RN: 54-05-7 MF: $C_{18}H_{26}ClN_3$ MW: 319.88 EINECS: 200-191-2
LD_{50}: 21.6 mg/kg (M, i.v.); 311 mg/kg (M, p.o.);
60 mg/kg (R, i.v.); 330 mg/kg (R, p.o.)
CN: N^4-(7-chloro-4-quinolinyl)-N^1,N^1-diethyl-1,4-pentanediamine

diphosphate
RN: 50-63-5 MF: $C_{18}H_{26}ClN_3 \cdot 2H_3PO_4$ MW: 515.87 EINECS: 200-055-2
LD_{50}: 500 mg/kg (M, p.o.)
sulfate (1:1)
RN: 132-73-0 MF: $C_{18}H_{26}ClN_3 \cdot H_2SO_4$ MW: 417.96 EINECS: 205-077-6
sulfate (1:1) monohydrate
RN: 6823-83-2 MF: $C_{18}H_{26}ClN_3 \cdot H_2O_4S \cdot H_2O$ MW: 435.97
dihydrochloride
RN: 3545-67-3 MF: $C_{18}H_{26}ClN_3 \cdot 2HCl$ MW: 392.80 EINECS: 222-592-1
2,5-dihydroxybenzoate
RN: 16510-14-8 MF: $C_{18}H_{26}ClN_3 \cdot xC_7H_6O_4$ MW: unspecified EINECS: 240-578-3
diorotate
RN: 16301-30-7 MF: $C_{18}H_{26}ClN_3 \cdot 2C_5H_4N_2O_4$ MW: 632.07 EINECS: 240-389-6
LD_{50}: 1130 mg/kg (M, p.o.)

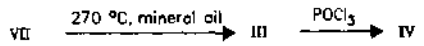




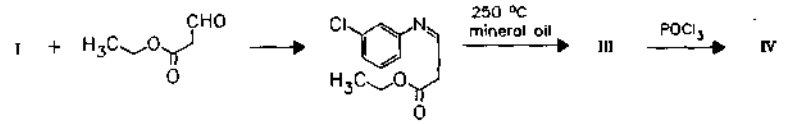
ethyl 5-chloro-4-hydroxy-2-quinoline-carboxylate (V) (removal by crystallization from acetic acid)

ethyl 7-chloro-4-hydroxy-2-quinoline-carboxylate (VI)

(VII)



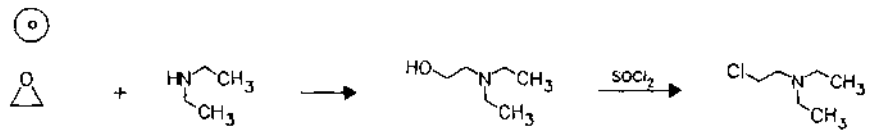
(c)



ethyl formyl-acetate

2. Novoldiamine

(a)

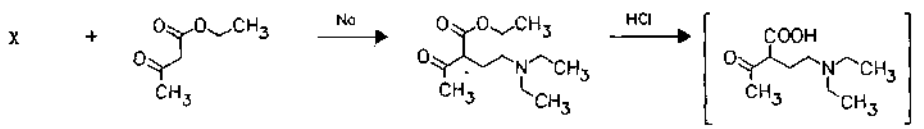


ethylene oxide (VIII)

diethyl amine (IX)

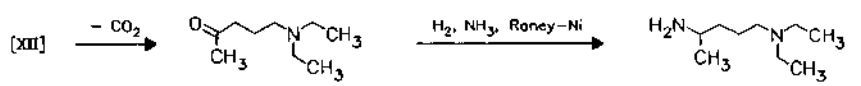
2-diethylamino-ethanol

2-diethylamino-ethyl chloride (X)



ethyl acetoacetate (XI)

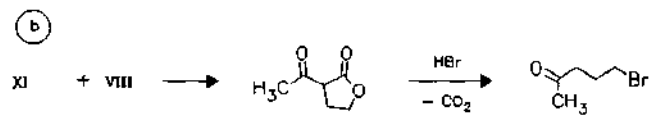
(XII)



1-diethylamino-4-pentanone (XIII)

novoldiamine (XIV)

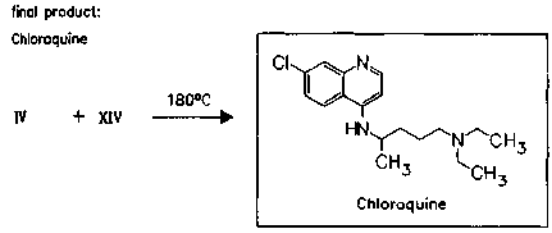
(b)



2-acetyl-butyrolactone

1-bromo-4-pentanone (XV)

final product:
Chloroquine



Reference(s):
US 2 233 970 (Winthrop; 1941; D-prior. 1937).
DRP 683 692 (I. G. Farben; appl. 1937).
Drake, N.L. et al.: J. Am. Chem. Soc. (JACSAT) **68**, 1214 (1946).
1a Price, C.C.; Roberts, R.M.: J. Am. Chem. Soc. (JACSAT) **68**, 1204 (1946).
DD 53 065 (S. Schwarz et al.; appl. 1966).
b Surrey, A.R.; Hammer, H.F.: J. Am. Chem. Soc. (JACSAT) **68**, 113 (1946).
c US 2 478 125 (American Cyanamid; 1949; appl. 1944).
2a DRP 486 079 (I. G. Farben; appl. 1924).
b Elderfield, R.C. et al.: J. Am. Chem. Soc. (JACSAT) **68**, 1579 (1946).

alternative syntheses of novoldiamine:
US 2 365 825 (Monsanto; 1944; appl. 1942).
GB 1 157 637 (Sterling Drug; appl. 1966; USA-prior. 1965).

aminating hydrogenation of novolketone, *continuous method:*
DOS 2 923 472 (Bayer; appl. 9.6.1979).

alternative synthesis of 4,7-dichloroquinoline *from* 3-chloroaniline *and acrylic acid ester:*
FR 1 514 280 (Roussel-Uclaf; appl. 10.1.1967).
EP 56 765 (Rhône-Poulenc; appl. 15.1.1982; F-prior. 16.1.1981).

alternative synthesis of chloroquine *from* 7-chloro-4-oxo-1,2,3,4-tetrahydroquinoline *and* novoldiamine:
EP 56 766 (Rhône-Poulenc; appl. 15.1.1982; F-prior. 16.1.1981).

chlorination of 7-chloro-4-hydroxyquinoline *with* benzotrichloride:
DOS 3 112 415 (Dynamit Nobel; appl. 28.3.1981).

Formulation(s): amp. 250 mg/5 ml; syrup 15 mg; tabl. 50 mg, 155 mg, 300 mg (as phosphate)

Trade Name(s):

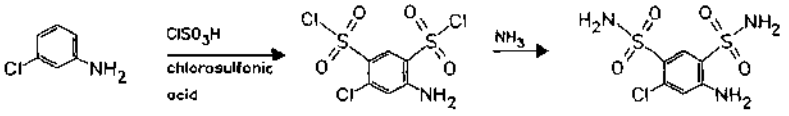
D:	Resochin (Bayer Vital)	GB:	Avloclor (Zeneca)	USA:	Aralen (Sanofi; as hydrochloride)
F:	Nivaquine (Rhône-Poulenc Rorer Specia)		Nivaquine (Rhône-Poulenc Rorer)		Aralen (Sanofi; as phosphate)
	Savarine (Zeneca Pharma)-comb.	I:	Cloroc (Formulario Naz.)		
			Clorochina (Bayer)		

Chlorothiazide

ATC: C03AA04
Use: diuretic

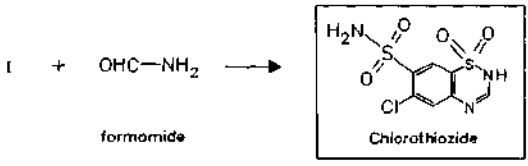
RN: 58-94-6 MF: $C_7H_6ClN_3O_4S_2$ MW: 295.73 EINECS: 200-404-9
LD_{50}: 940 mg/kg (M, i.v.); 8 g/kg (M, p.o.);
200 mg/kg (R, i.v.); 10 g/kg (R, p.o.);
1 g/kg (dog, i.v.)
CN: 6-chloro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide

sodium salt
RN: 7085-44-1 MF: $C_7H_5ClN_3NaO_4S_2$ MW: 317.71



3-chloroaniline

4-amino-6-chloro-1,3-benzenedisulfamide (I)



Reference(s):
US 2 809 194 (Merck & Co.; 8.10.1957; prior. 2.5.1956).
US 2 937 169 (Merck & Co.; 17.5.1960; prior. 25.9.1958).
Novello, E.C.; Sprague, J.M.: J. Am. Chem. Soc. (JACSAT) **79**, 2028 (1957).

alternative synthesis of 4-amino-6-chloro-1,3-benzenedisulfamide *(chlorosulfonation of* 1,3-dichlorobenzene *and subsequent reaction with* ammonia*):*
DE 1 119 290 (Hoechst; appl. 7.11.1959).

Formulation(s): amp. 500 mg/20 ml (as sodium salt); tabl. 250 mg, 500 mg

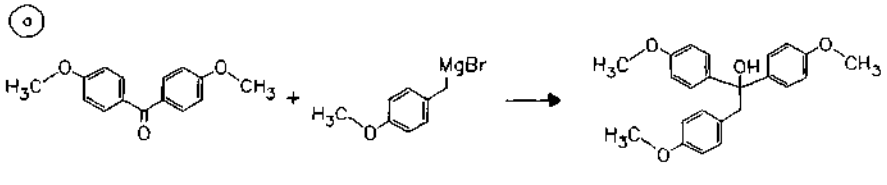
Trade Name(s):

D:	Chlotride (Sharp & Dohme); wfm	I:	Clotride (Merck Sharp & Dohme); wfm	USA:	Aldochlor (Merck)
F:	Diupreskal (Théraplix)-comb.; wfm		Saluren (Croce Bianca); wfm		Diupres (Merck)
	Diurilix (Théraplix)-comb.; wfm	J:	Aldoclor (Merck Sharp & Dohme)-comb. with methyldopa		Diuril (Merck)
GB:	Saluric (Merck Sharp & Dohme)		Chlotride (Merck-Banyu)		Diuril (Merck; as sodium salt)
					generics

Chlorotrianisene

ATC: G03CA06
Use: synthetic estrogen

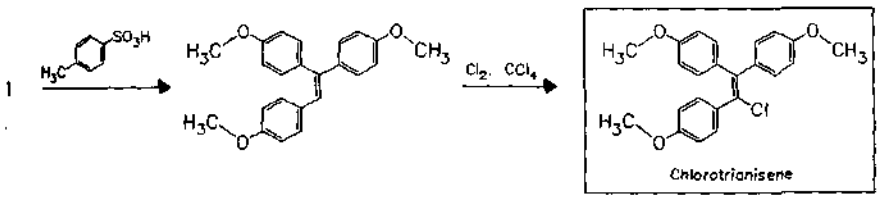
RN: 569-57-3 MF: $C_{23}H_{21}ClO_3$ MW: 380.87 EINECS: 209-318-6
CN: 1,1',1''-(1-chloro-1-ethenyl-2-ylidene)tris[4-methoxybenzene]



4,4'-dimethoxybenzophenone

4-methoxybenzyl-magnesium chloride

(I)



(b)

Reference(s):
a US 2 430 891 (Merrell; 1947; prior. 1941).
b BE 561 508 (ICI; valid from 1943; prior. 1942).

Formulation(s): cps. 12 mg, 24 mg, 72 mg

Trade Name(s):

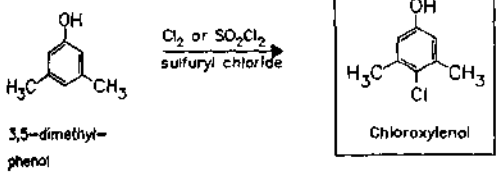
D:	Merbentul (Marion Merrell); wfm		Tace-FN (Merrell-Toraude); wfm	USA:	Chlotride (Merck & Co.); wfm
F:	Tace (Merrell Dow); wfm	GB:	Tace (Merrell); wfm		Diuril (Merck & Co.); wfm
		I:	Anisene (Farmila); wfm		Tace (Merrell Dow); wfm

Chloroxylenol

(Parachlorometaxylenol)

ATC: D08AE05
Use: antiseptic

RN: 88-04-0 MF: C_8H_9ClO MW: 156.61 EINECS: 201-793-8
LD_{50}: 1 g/kg (M, p.o.);
3830 mg/kg (R, p.o.)
CN: 4-chloro-3,5-dimethylphenol



Reference(s):
US 2 350 677 (W. Wiggins Cocker; 1944; GB-prior. 1939).

Formulation(s): cream 0.33 g/100 g; powder 0.33 g/100 g (combination); sol. 1 g/100 g (combination)

Trade Name(s):

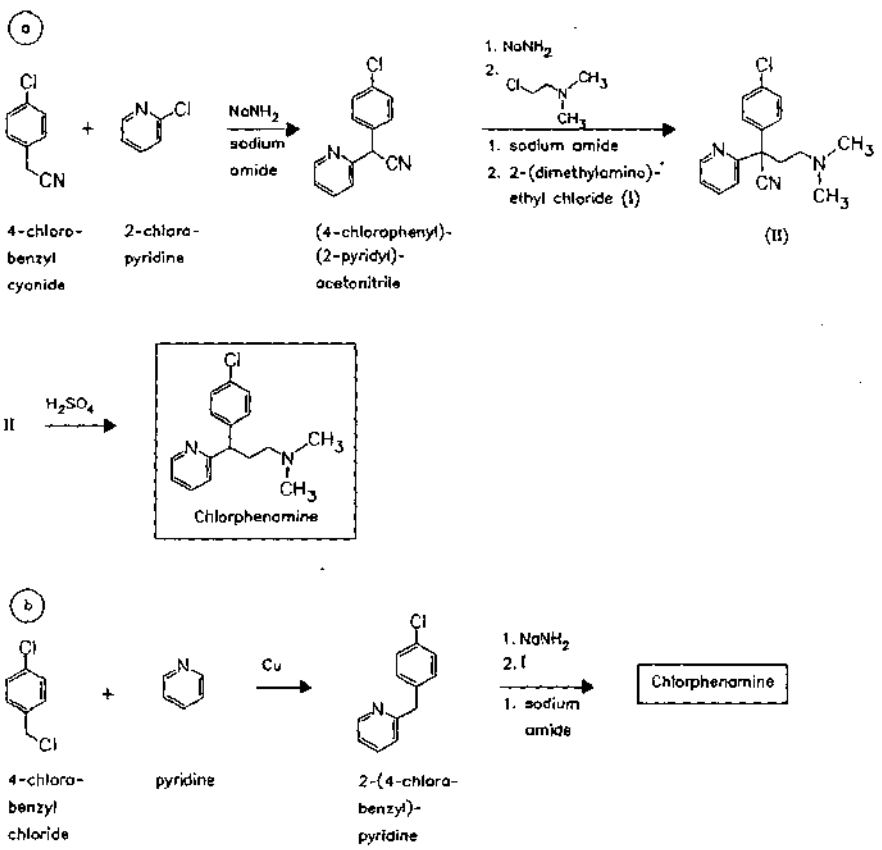
D:	Bacillotox (Bode)-comb.	I:	Dettol (Manetti Roberts); wfm		Cortic (Everett)
	Gehwol (Gerlach)-comb.				Zoto HC (Horizon)
GB:	Rinstead (Schering-Plough)-comb.		Foille (Delalande Isnardi)-comb.		
	Zeasorb (Stiefel)-comb.	USA:	Cortane-B (Blansett)		

Chlorphenamine
(Chlorpheniramine)

ATC: R06AB04
Use: antihistaminic

RN: 132-22-9 MF: $C_{16}H_{19}ClN_2$ MW: 274.80 EINECS: 205-054-0
LD_{50}: 20 mg/kg (M, i.v.); 121 mg/kg (M, p.o.);
118 mg/kg (R, p.o.)
CN: γ-(4-chlorophenyl)-*N*,*N*-dimethyl-2-pyridinepropanamine

maleate (1:1)
RN: 113-92-8 MF: $C_{16}H_{19}ClN_2 \cdot C_4H_4O_4$ MW: 390.87 EINECS: 204-037-5
LD_{50}: 26.1 mg/kg (M, i.v.); 130 mg/kg (M, p.o.);
306 mg/kg (R, p.o.);
97.6 mg/kg (dog, i.v.)



Reference(s):
US 2 567 245 (Schering Corp.; 1951; prior. 1948).
US 2 676 964 (Schering Corp.; 1954; prior. 1950).

Formulation(s): amp. 10 mg; cps. 2.5 mg, 4 mg, 8 mg (as maleate); syrup 3 mg/15 ml

Trade Name(s):

D: Balkis (Dolorgiet)-comb.
Codicaps (Thiemann)-comb.
Contac (SmithKline Beecham)
Grippostad (Stada)
Sedotussin (Rodleben, UCB, Vedim)

F: Arpha (Fournier SCA)-comb.

Bronchalène (Martin)-comb.
Hexapneumine (Doms-Adrian)-comb.
Hyrvalan (Monot)-comb.
Pneumopan (SmithKline Beecham)-comb.
Poroncorinol (Roche Nicholas)-comb.
Rhinofebral (Martin)-comb.
Rumicine (Schering-Plough)-comb.
Sup-Rhinite (SmithKline Beecham)

GB: Galepsend (Galen; as maleate)-comb.
Haymine (Pharmax; as maleate)-comb.
Piriton (Stafford-Miller)

I: Fienamina (Recordati)-comb.
Lentostamin (SIT)
Neocoricidin (Schering-Plough)-comb.
Rectocoricidin (Schering-Plough)-comb.
Trimeton (Schering-Plough)
combination preparations

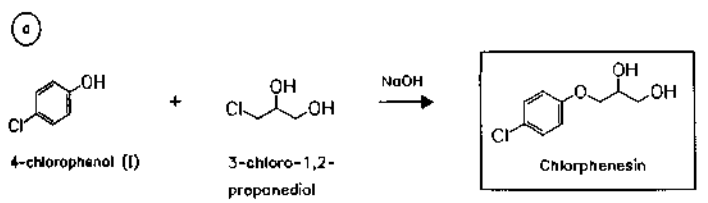
J: Allergin (Sankyo)
Atalis-D (Kanto-Isei)
Bismilla (Fuso)
Chlodamin (Maruko)
Chlor-Trimeton (Schering)
Lekrica (Yoshitomi)
Neorestamin (Kowa)
Poracemin (Horita)

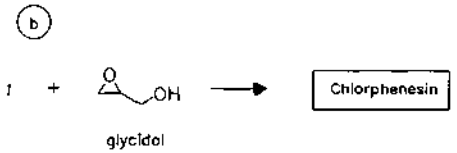
USA: Ah Chew (We; as maleate)
Ana-Kit (Bayer Allergy; as maleate)
Anaplex (ECR; as maleate)
Atrohist (Medeva; as maleate)
Atrohist (Medeva; as tannate)
Brexin (Savage; as maleate)
Codimal (Schwarz; as maleate)
Co-Pyronil (Dista; as maleate)
Cura-Vent/DA (Dura; as maleate)
D.A. II (Dura; as maleate)
Dallergyl (Laser; as maleate)
Donatussin (Laser; as maleate)
Endal (Forest; as maleate)
Extendryl (Fleming; as maleate)
Fedahist (Schwarz; as maleate)
Histussin (Sanofi; as maleate)
Hycamine (Endo; as maleate)
Hydrocodone (Pharmaceutical Associates; as maleate)
Kronofec (Ferndale; as maleate)
Mescolor (Horizon; as maleate)
Nalex-A (Blansett; as maleate)
ND (Seatrace; as maleate)
Notamine (Carnrick; as maleate)
Omnihist (We; as maleate)
Ornace (SmithKline Beecham; as maleate)
Pediacof (Sanofi; as maleate)
Protid (Lunsco; as maleate)
Rescon (Ion; as maleate)
Respa ARM (Respa; as maleate)
Rynaton (Wallace; as tannate)
Rynatuss (Wallace; as tannate)
Sinulin (Cernick; as maleate)
Sinutas Sinus Allergy MS (Warner-Lambert; as maleate)
Tamafed (Horizon; as tannate)
Triotann (Duramed; as tannate)
Tuss (Seatrace; as maleate)
Tussar (Rhône-Poulenc Rorer; as maleate)
Tussend (Monarch; as maleate)
Tylenol (McNeil; as maleate)

Chlorphenesin

ATC: D01AE07
Use: antifungal

RN: 104-29-0 MF: $C_9H_{11}ClO_3$ MW: 202.64 EINECS: 203-192-6
LD_{50}: 911 mg/kg (M, s.c.)
CN: 3-(4-chlorophenoxy)-1,2-propanediol





Reference(s):
GB 628 497 (British Drug Houses; appl. 1948).

Formulation(s): cream 10 mg/1 g; vaginal suppos. 10 mg

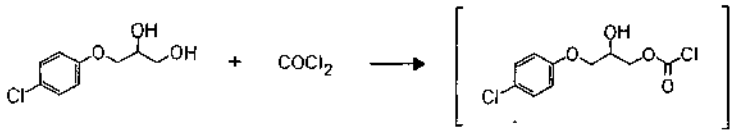
Trade Name(s):

D:	Soorphenesin (Kade)	Miol Cream
	Soorphenesin H (Kade)-comb.	(Comprehensive)-comb.; wfm
GB:	Aero-Mycil (Duncan, Flockhart); wfm	Mycil (Duncan, Flockhart); wfm

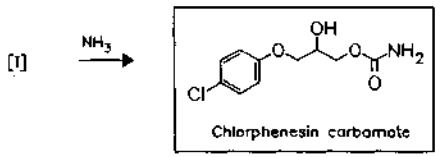
Chlorphenesin carbamate

ATC: D01AE07
Use: analgesic, muscle relaxant, tranquilizer

RN: 886-74-8 MF: $C_{10}H_{12}ClNO_4$ MW: 245.66 EINECS: 212-954-7
LD_{50}: 239 mg/kg (M, i.v.); 807 mg/kg (M, p.o.);
236 mg/kg (R, i.v.); 744 mg/kg (R, p.o.)
CN: 3-(4-chlorophenoxy)-1,2-propanediol 1-carbamate



3-(4-chlorophenoxy)-1,2-proponediol (cf. chlorphenesin) | phosgene | (I)



Reference(s):
US 3 161 567 (Upjohn; 15.12.1964; prior. 29.5.1963; medical use).
US 3 214 336 (Upjohn; 26.10.1965; prior. 26.8.1960).

Formulation(s): tabl. 400 mg

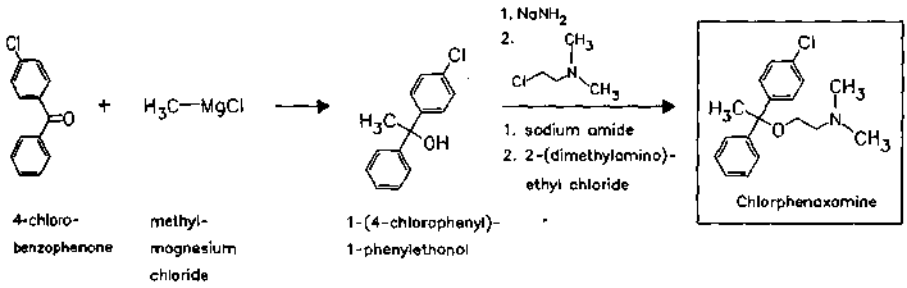
Trade Name(s):
USA: Maolate (Upjohn); wfm

Chlorphenoxamine

ATC: D04AA34; R06AA06
Use: antihistaminic

RN: 77-38-3 MF: $C_{18}H_{22}ClNO$ MW: 303.83
LD_{50}: 376 mg/kg (M, p.o.)
CN: 2-[1-(4-chlorophenyl)-1-phenylethoxy]-*N*,*N*-dimethylethanamine

hydrochloride
RN: 562-09-4 MF: $C_{18}H_{22}ClNO \cdot HCl$ MW: 340.29 EINECS: 209-227-1
LD_{50}: 44 mg/kg (M, i.v.); 345 mg/kg (M, p.o.);
1 g/kg (R, p.o.);
30.8 mg/kg (dog, i.v.)



Reference(s):
US 2 785 202 (ASTA-Werke; 12.3.1957; D-prior. 1952).
DE 1 009 193 (ASTA-Werke; appl. 1955).

Formulation(s): cream 15 mg/g; drg. 20 mg, 30 mg (combination); gel 15 mg/g; suppos. 24 mg, 60 mg; tabl. 20 mg

Trade Name(s):

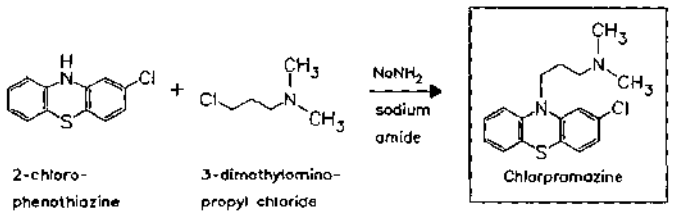
D:	Rodavan (ASTA Medica)-comb.		Systral (ASTA Medica)-comb.	GB:	Clorevan (Evans); wfm
	Systral (ASTA Medica)	F:	Systral (Lucien); wfm	J:	Systral (Kyorin)
				USA:	Phenoxene (Dow); wfm

Chlorpromazine

ATC: N05AA01
Use: antipsychotic, neuroleptic, psychosedative

RN: 50-53-3 MF: $C_{17}H_{19}ClN_2S$ MW: 318.87 EINECS: 200-045-8
LD_{50}: 16 mg/kg (M, i.v.); 135 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 142 mg/kg (R, p.o.);
30 mg/kg (dog, i.v.)
CN: 2-chloro-*N*,*N*-dimethyl-10*H*-phenothiazine-10-propanamine

monohydrochloride
RN: 69-09-0 MF: $C_{17}H_{19}ClN_2S \cdot HCl$ MW: 355.33 EINECS: 200-701-3
LD_{50}: 20 mg/kg (M, i.v.); 135 mg/kg (M, p.o.);
25 mg/kg (R, i.v.); 145 mg/kg (R, p.o.)



Reference(s):
US 2 645 640 (Rhône-Poulenc; 1953; F-prior. 1950).
DE 910 301 (Rhône-Poulenc; appl. 1951; F-prior. 1950).

Formulation(s): amp. 25 mg/ml, 50 mg/2 ml; drops 20 mg/ml; suppos. 25 mg, 100 mg; syrup 10 mg/5 ml; tabl. 10 mg, 25 mg, 50 mg, 100 mg, 200 mg (as hydrochloride)

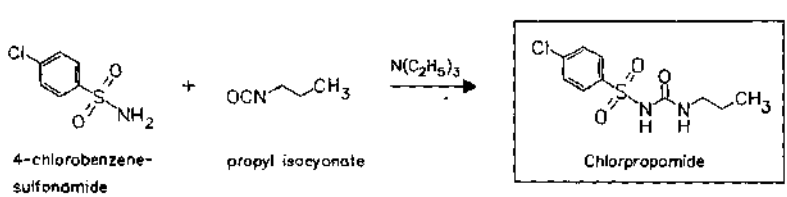
Trade Name(s):

D:	Propaphenin (Rodleben)		Prozin (Lusofarmaco)		Promexin (Meiji)
F:	Largactil (Rhône-Poulenc Rorer Specia)	J:	Acemin (Sanko)		Wintermin (Shionogi)
			Contomin (Yoshitomi)	USA:	Thorazine (SmithKline Beecham)
GB:	Largactil (Rhône-Poulenc Rorer)		Copormin (Kaken)		
			Doimazin (Nippon Shinyaku)		Thorazine (SmithKline Beecham; as hydrochloride)
I:	Clorpr (Formulario Naz.; Biologici Italia; Sifra)		Epokuhl (Kyowa)		
			Ishitomin (Kanto)		
	Largactil (Rhône-Poulenc Rorer)		Norcozine (Iwaki)		

Chlorpropamide

ATC: A10BB02
Use: antidiabetic

RN: 94-20-2 MF: $C_{10}H_{13}ClN_2O_3S$ MW: 276.74 EINECS: 202-314-5
LD_{50}: 500 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.); 590 mg/kg (R, i.v.); 2150 mg/kg (R, p.o.)
CN: 4-chloro-*N*-[(propylamino)carbonyl]benzenesulfonamide



Reference(s):
US 3 013 072 (Pfizer; 1961; prior. 1958).
US 3 349 124 (Pfizer; 24.10.1967; prior. 20.5.1957).
Ruschig, H. et al.: Arzneim.-Forsch. (ARZNAD) **8**, 448 (1958).

Formulation(s): tabl. 100 mg, 250 mg

Trade Name(s):

D:	Chloronase (Hoechst); wfm	I:	Clorprop (Formulario Naz.)	J:	Abemide (Kobayashi Kako)
	Diabetoral (Boehringer Mannh.); wfm		Diabemide (Guidotti)		
			Diabexan (Crosara)		Arodoc-C (Sawai)
F:	Diabinèse (Pfizer)		Pleiamide (Guidotti)-comb.		Chloronase (Hoechst)
GB:	Diabinese (Pfizer)				Diabinese (Taito Pfizer)

Diamide (Kanto) Shuabate (Toyama) USA: Diabinese (Pfizer)
Mellitos C (Ono) Toyomelin (Toyo Jozo)

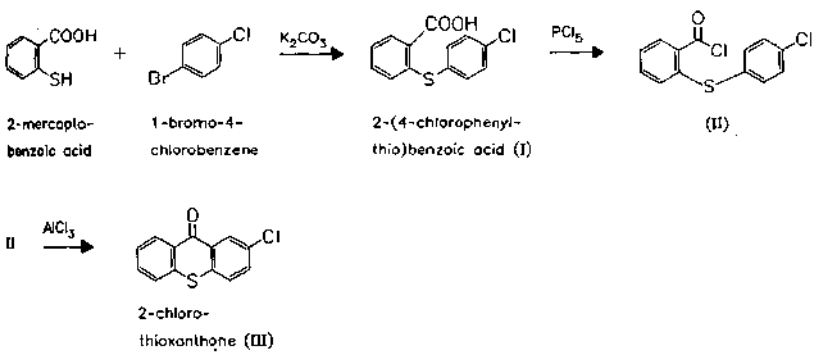
Chlorprothixene

ATC: N05AF03
Use: neuroleptic

RN: 113-59-7 MF: $C_{18}H_{18}ClNS$ MW: 315.87 EINECS: 204-032-8
LD_{50}: 36 mg/kg (M, i.v.); 50.1 mg/kg (M, p.o.);
200 mg/kg (R, p.o.)
CN: (Z)-3-(2-chloro-9*H*-thioxanthen-9-ylidene)-*N*,*N*-dimethyl-1-propanamine

hydrochloride
RN: 6469-93-8 MF: $C_{18}H_{18}ClNS \cdot HCl$ MW: 352.33 EINECS: 229-289-3
LD_{50}: 42.4 mg/kg (M, i.v.); 242 mg/kg (M, p.o.)
acetate
RN: 58889-16-0 MF: $C_{18}H_{18}ClNS \cdot C_2H_4O_2$ MW: 375.92

a)



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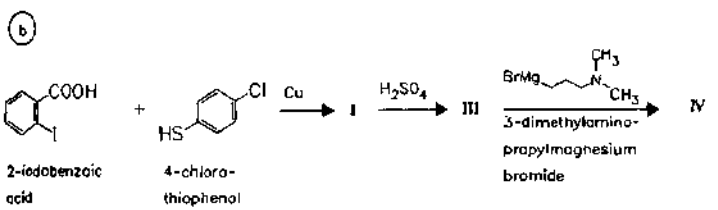
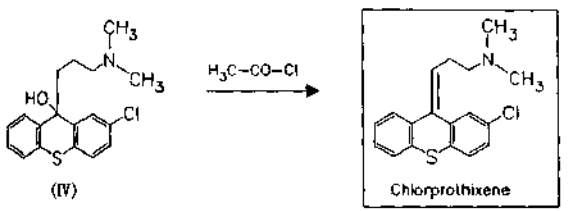
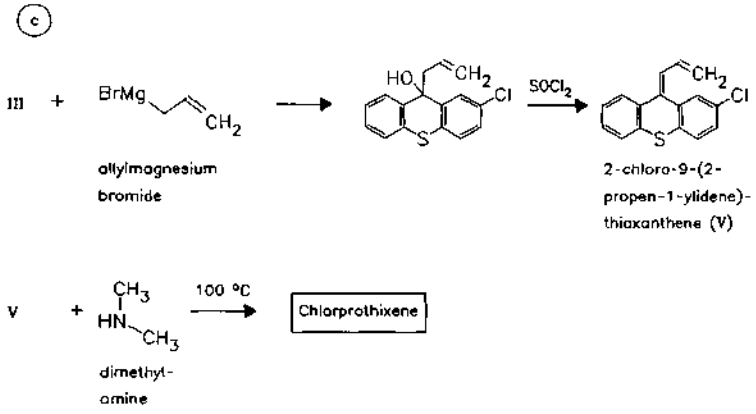






Reference(s):

a DE 1 044 103 (Hoffmann-La Roche; appl. 22.5.1957; CH-prior. 12.6.1956, 29.6.1956, 5.7.1956).
CH 349 617 (Hoffmann-La Roche; appl. 29.6.1956).
BE 558 171 (Hoffmann-La Roche; appl. 6.6.1957; CH-prior. 12.6.1956, 29.6.1956, 5.7.1956).

b US 2 951 082 (Merck & Co.; 30.8.1960; prior. 9.7.1956).

c US 3 116 291 (Kefalas; 31.12.1963; DK-prior. 4.12.1958).
DE 1 168 446 (Kefalas; appl. 1959; DK-prior. 1958).
DE 1 418 517 (Kefalas; appl. 1959; DK-prior. 1958).

separation of isomers:
US 3 115 502 (Roche; 24.12.1963; CH-prior. 19.6.1959).

alternative synthesis:
DE 1 162 382 (Kefalas; appl. 1959; DK-prior. 1958).

isomerization:
DE 1 190 955 (Roche; appl. 1960; CH-prior. 1959).

review:
Bonricino, G.E. et al.: J. Org. Chem. (JOCEAH) **26**, 2383 (1961).

alternative synthesis:
DOS 1 918 739 (Egyesült; appl. 12.4.1969; H-prior. 12.4.1968).

Formulation(s): amp. 50 mg/ml; drg. 15 mg, 50 mg; f. c. tabl. 15 mg, 50 mg, 100 mg; liquid 40 mg; sol. 20 mg/ml; susp. 20 mg/ml

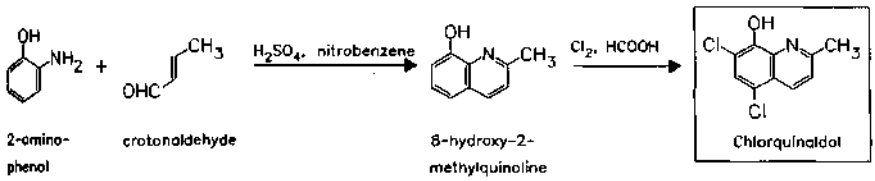
Trade Name(s):

D:	Truxal (Promonta Lundbeck)	GB:	Taractan (Roche); wfm		Tra-Quilan (Eisai)
		I:	Taractan (Roche); wfm		Truxal (Toyama)
F:	Taractan (Roche); wfm	J:	Chlothixen (Yoshitomi)	USA:	Taractan (Roche); wfm

Chlorquinaldol
(Clorquinaldol)

ATC: D08AH02; G01AC03; P01AA04; R02AA11
Use: antiseptic, antifungal

RN: 72-80-0 MF: $C_{10}H_7Cl_2NO$ MW: 228.08 EINECS: 200-789-3
LD_{50}: 660 mg/kg (R, p.o.);
2250 mg/kg (dog, p.o.)
CN: 5,7-dichloro-2-methyl-8-quinolinol



Reference(s):
US 2 411 670 (Geigy; 1946; CH-prior. 1942).
Bourquin, J.-P. et al.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **295**, 383 (1962).

Formulation(s): cream 10 mg, 130 mg

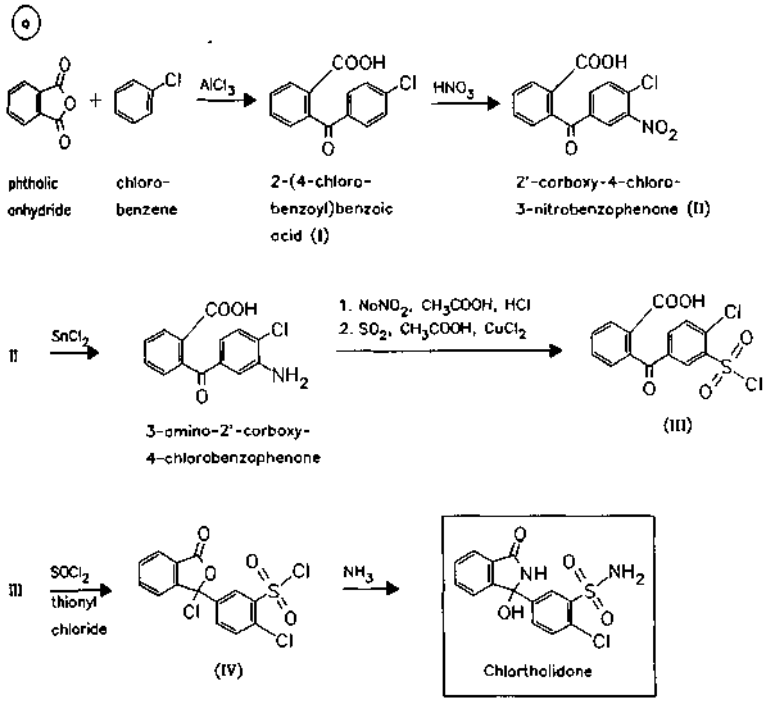
Trade Name(s):

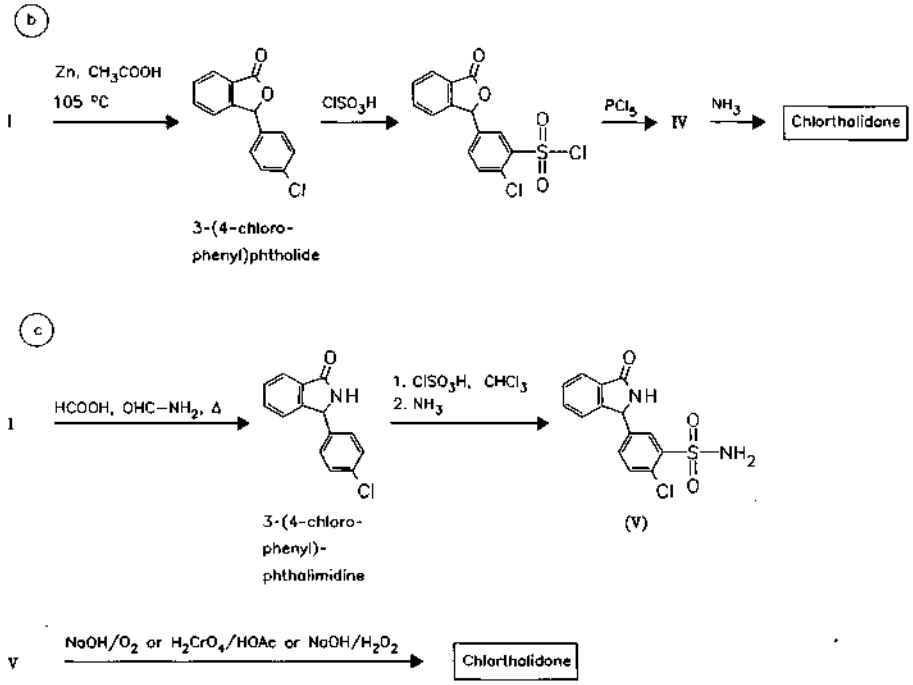
D: Nerisona (Schering)-comb.
Proctaspre (Henning)-comb.
F: Gynothérax (Bouchard); wfm
Nérisone (Schering)-comb.; wfm
Siogène (Geigy); wfm
Sterosan (Geigy); wfm
GB: Lacoid C (Yamanouchi)-comb.
I: Eczecur (Schering)-comb.
Impetex (Roche)-comb.
Norisona (Schering)-comb.
J: Lonjee (Sampo)-comb.
Rub-All T (Toyama)-comb.
Siosteran (Ciba-Geigy-Fujisawa)

Chlortalidone
(Chlorthalidone)

ATC: C03BA04
Use: diuretic, antihypertensive

RN: 77-36-1 MF: $C_{14}H_{11}ClN_2O_4S$ MW: 338.77 EINECS: 201-022-5
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 2-chloro-5-(2,3-dihydro-1-hydroxy-3-oxo-1*H*-isoindol-1-yl)benzenesulfonamide





Reference(s):

a US 3 055 904 (Geigy; 25.9.1962; CH-prior. 4.11.1957).
Graf, W. et al.: Helv. Chim. Acta (HCACAV) **42**, 1085 (1959).

b US 4 188 330 (Dow; 12.2.1980; appl. 10.10.1978).

c EP 51 215 (USV; appl. 22.10.1981; USA-prior. 31.10.1980).
EP 51 217 (USV; appl. 22.10.1981; USA-prior. 31.10.1980).

water soluble dispersions:
EP 125 420 (Boehringer Ing.; appl. 15.3.1984; USA-prior. 16.3.1983).

Formulation(s): tabl. 25 mg, 50 mg, 100 mg

Trade Name(s):

D:
Combipresan (Boehringer Ing.)-comb.
Darebon (Novartis Pharma)-comb.
Diu-Atenolol Verla (Verla)
Hydro-Long-Tablinen (Sanorania)
Hygroton (Novartis Pharma)
Prelis (Novartis Pharma)-comb.
Teneretic (Zeneca)-comb.
Trasitensin (Novartis Pharma)-comb.
Trepress (Novartis Pharma)-comb.
TRI-Horm (Zeneca)-comb.
combination preparations

F:
Hygroton (Novartis)
Logroton (Novartis)-comb.
Trasitensine (Novartis)-comb.

GB:
Hygroton (Novartis)
Kalspare (Dominion)-comb.
Tenoret 50 (Zeneca)-comb.
Tenoretic (Zeneca)-comb.

I:
Ataclor (Crosara)-comb.
Atenigron (Mitim)-comb.
Biotens (Kemyos Biomedical Research)-comb.
Carmian (Lifepharma)-comb.
Combipresan (Boehringer Ing.)-comb.
Diube (SIT)-comb.
Diurolab (Leben's)-comb.
Eupres Mite (Schiapparelli Searle)-comb.
Igroseles (Carlo Erba)-comb.
Igroton (Novartis)
Igroton-Lopresor (Novartis)-comb.
Igroton Reserpina (Novartis)-comb.
Target (Lisapharma)-comb.
Tenolone (Lusofarmaco)-comb.
Tenoretic (Zeneca)-comb.
Zambesil (Gentili)

J:
Hybasedock (Sawai)
Hygroton (Ciba-Geigy)

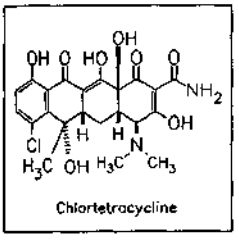
USA: Combipres (Boehringer Ing.) | Hygroton (Rhône-Poulenc Rorer) | Tenoretic (Zeneca) | Thalitone (Monarch)

Chlortetracycline

ATC: A01AB21; D06AA02; J01AA03; S01AA02
Use: antibiotic

RN: 57-62-5 MF: $C_{22}H_{23}ClN_2O_8$ MW: 478.89 EINECS: 200-341-7
LD_{50}: 134 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.);
118 mg/kg (R, i.v.);
150 mg/kg (dog, i.v.); 750 mg/kg (dog, p.o.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-7-chloro-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-2-naphthacenecarboxamide

monohydrochloride
RN: 64-72-2 MF: $C_{22}H_{23}ClN_2O_8 \cdot HCl$ MW: 515.35 EINECS: 200-591-7
LD_{50}: 100 mg/kg (M, i.v.); 2314 mg/kg (M, p.o.);
100 mg/kg (R, i.v.)



Chlortetracycline

From fermentation solutions of *Streptomyces aureofaciens*.

Reference(s):
US 2 482 055 (American Cyanamid; 1949; prior. 1948).
US 2 609 329 (American Cyanamid; 1949; prior. 1948).
US 2 899 422 (American Cyanamid; 1959; prior. 1956).
US 2 987 449 (American Cyanamid; 6.6.1961; prior. 23.2.1960).
US 3 050 446 (American Cyanamid; 21.8.1962; prior. 28.7.1960).
Duggar, B.M.: Ann. N. Y. Acad. Sci. (ANYAA9) **51**, 175 (1948).

Formulation(s): cream 10 mg/g, 30 mg/g, 3 %; eye ointment 10 mg/g (1 %); ointment 30 mg/10 g (3 %); pastes 30 mg; pessaries 100 mg (as hydrochloride)

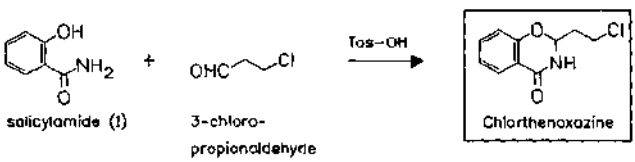
Trade Name(s):
D: Aureodelf (Lederle)-comb.
Aureomycin (Lederle)
F: Auréomycine (Specia); wfm
Tri-antibiotique Chibret (Chibret)-comb.; wfm
GB: Aureocort (Wyeth)-comb.
Aureomycin (Wyeth)
Deteclo (Wyeth)-comb.
I: Aureocort (Cyanamid)-comb.
Aureomicina (Cyanamid)
Aureomix (SIT)-comb.
J: Aureomycin (Lederle)
USA: Aureomycin (Lederle); wfm

Chlorthenoxazine

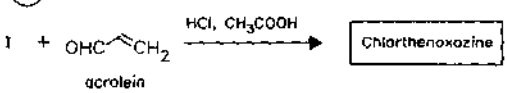
ATC: N02B
Use: anti-inflammatory, antipyretic, analgesic

RN: 132-89-8 MF: $C_{10}H_{10}ClNO_2$ MW: 211.65 EINECS: 205-082-3
LD_{50}: 11.155 g/kg (M, p.o.);
10 g/kg (R, p.o.)
CN: 2-(2-chloroethyl)-2,3-dihydro-4*H*-1,3-benzoxazin-4-one

a



b



Reference(s):
a DE 1 021 848 (Thomae; appl. 1955).
b DE 1 028 999 (Thomae; appl. 1956; addition to DE 1 021 848).

Formulation(s): tabl. 200 mg

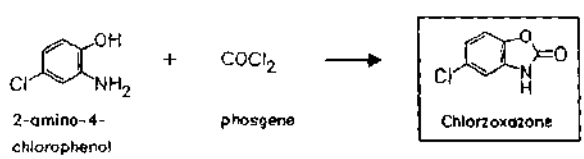
Trade Name(s):

D:	Cimporhin (Tomae)-comb.; wfm	Betix (Saba); wfm	Ossipirina (Radiumfarma); wfm
	Fiobrol (Geigy)-comb.; wfm	Megapir (Biotrading)-comb.; wfm	Oxal (Saita); wfm
		Ossazin (Sealari); wfm	Reugaril (Farber-Ref); wfm
I:	Atossipirina (Borromeo)-comb.; wfm	Ossazone (Brocchieri); wfm	Reulin (Isola-Ibi); wfm
			Reumital (Farge); wfm

Chlorzoxazone

ATC: M03BB03
Use: muscle relaxant

RN: 95-25-0 MF: $C_7H_4ClNO_2$ MW: 169.57 EINECS: 202-403-9
LD_{50}: 440 mg/kg (M, p.o.);
763 mg/kg (R, p.o.)
CN: 5-chloro-2(3*H*)-benzoxazolone



Reference(s):
US 2 895 877 (McNeil; 21.7.1959; prior. 30.7.1956).

Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):

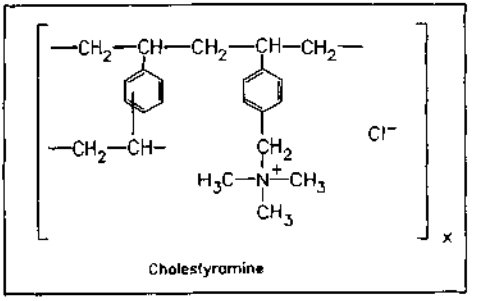
D: Paraflex (Cilag-Chemie)-comb.; wfm
I: Biomioran (Bioindustria); wfm
Paraflex (Cilag-Chemie); wfm
J: Chlozoxine (Sanko)
Chroxin (Kanto)
Deltapyrin (Kodama)-comb.
Framenco (Fuso)
Kiricoron (Sampo)-comb.
Mesin (Yamanouchi)
Nichirakishin (Nichiiko)
Pathorysin (Kowa Yakuhin)
Rheumadex Comp. (Nakataki)-comb.
Salinalon (Nippon Kayaku)-comb.
Solaxin (Eisai)
Sorazin (Toho)
Trancrol (Mohan)
USA: Parafon Forte (Ortho-McNeil Pharmceutical)-comb.

Cholestyramine

(Colestyramine)

Use: antipruritic at biliary congestion

RN: 11041-12-6 MF: unspecified MW: unspecified EINECS: 234-270-8
LD_{50}: >7.5 g/kg (M, p.o.); >4 g/kg (R, p.o.)
CN: cholestyramine



Chloromethylation of styrene-divinylbenzene-mixing polymerizate and following reaction with trimethylamine.

Reference(s):
"medical use"
US 3 383 281 (Merck & Co.; 14.5.1968; appl. 22.9.1961; prior. 15.7.1958).

Formulation(s): eff. tabl. 2 g; gran. 4 g; powder 4 g

Trade Name(s):

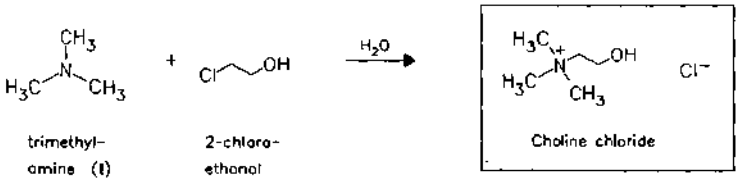
D: Lipocol (Merz & Co.)
Quantalan (Bristol-Myers Squibb)
Vasocan (Felgenträger)
F: Questran (Allard; Bristol-Myers Squibb)
GB: Questran (Bristol-Myers Squibb)
I: Cholestrol (Formenti)
Questran (Bristol It. Sud; as hydrochloride)
USA: LoCholest (Warner Chilcott Professional Products)
Questran (Bristol-Myers Squibb)

Choline chloride

ATC: A05B
Use: choleretic

RN: 67-48-1 MF: $C_5H_{14}ClNO$ MW: 139.63 EINECS: 200-655-4
LD_{50}: 53 mg/kg (M, i.v.); 3900 mg/kg (M, p.o.);
3400 mg/kg (R, p.o.)
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium chloride

(a)



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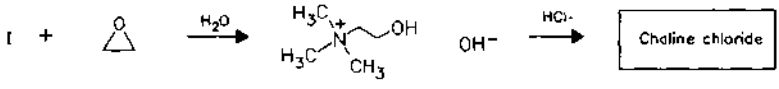


Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **9**, 586.
US 2 623 901 (Nopco; 1952; appl. 1950).

Formulation(s): emulsion 400 mg/5 ml

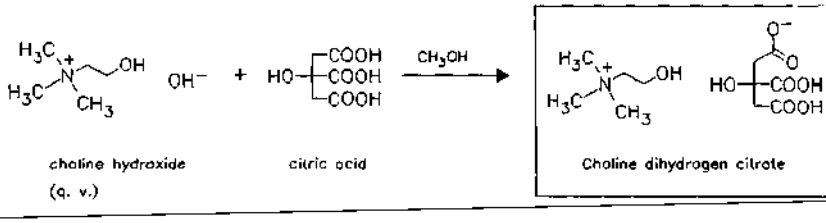
Trade Name(s):

D:	Geriatrie-Mulsin (Mucos)-comb.	I:	Betotal (Carlo Erba)-comb.	numerous combination preparations
F:	Desintex-Choline (M. Richard)-comb.		Colina Cloruro (Tariff. Integrativo)	

Choline dihydrogen citrate

ATC: C04AX; M03AB
Use: lipotropic

RN: 77-91-8 MF: $C_6H_7O_7 \cdot C_5H_{14}NO$ MW: 295.29 EINECS: 201-068-6
LD_{50}: >4800 mg/kg (M, i.v.); >4800 mg/kg (M, p.o.);
>4800 mg/kg (R, i.v.); >4800 mg/kg (R, p.o.)
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium salt with 2-hydroxy-1,2,3-propanetricarboxylic acid (1:1)



Reference(s):
US 2 870 198 (Nopco; 1959; appl. 1954).

Formulation(s): amp. 300 mg/ml

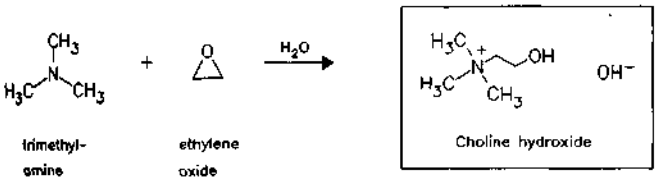
Trade Name(s):

D:	Neurotropan (Phönix)-comb.	Hépagrume (Synthélabo)-comb.	I:	Ipocol (Arnaldi)-comb.; wfm
F:	Citrocholine (Thérica)-comb.	Kalicitrine (Promedica)-comb.		Liverin (Perkins)-comb.; wfm
	Hepacholine Sortriol (Synthélabo)-comb.	Romarine-choline (Aérocid)-comb.		Rybutol (Bergamon)-comb.; wfm

Choline hydroxide

Use: parasympathomimetic

RN: 123-41-1 MF: $C_5H_{15}NO_2$ MW: 121.18 EINECS: 204-625-1
LD_{50}: 21.4 mg/kg (M, i.v.)
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium hydroxide



Intermediate for choline salts.

Reference(s):
Ullmanns Encykl. Tech. Chem., **4. Aufl.**, Vol. **9**, 586.
GB 379 260 (F. Körner; appl. 1932; D-prior. 1931).
DRP 655 882 (Prod. Aminés S. A., Brüssel; appl. 1931; B-prior. 1931).
Renshaw, R.R.: J. Am. Chem. Soc. (JACSAT) **32**, 128 (1910).
US 2 774 759 (American Cyanamid; 1956; appl. 1955).

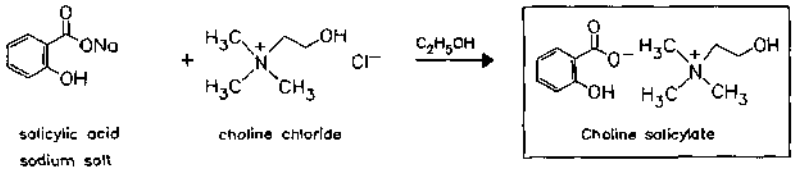
alternative synthesis from trimethylamine *and* 2-chloroethanol:
DE 801 210 (BASF; appl. 1948).
US 2 623 901 (Nopco; 1952; appl. 1950).

Trade Name(s):
USA: Choline/Inoritol Tablets (Solgar); wfm
Lipo-C (Legere); wfm

Choline salicylate
(Salicylate de choline)

ATC: N02BA03
Use: analgesic, anti-inflammatory, antipyretic

RN: 2016-36-6 MF: $C_5H_{14}NO \cdot C_7H_5O_3$ MW: 241.29 EINECS: 217-948-8
LD_{50}: 2690 mg/kg (M, p.o.)
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium salicylate (1:1)



Reference(s):
US 3 069 321 (Labs. for Pharmac. Dev.; 18.12.1962; appl. 4.4.1960).
BE 583 513 (Mundipharma; appl. 12.10.1959).

Formulation(s): drops 200 mg/ml; gel 87.1 mg/g; sol. 500 mg/100 ml

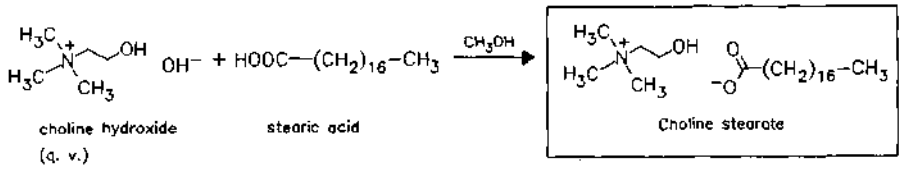
Trade Name(s):

D:	Audax (Mundipharma) Givalex (Norgine)-comb. Mundisal (Mundipharma)-comb.	F:	Givalex (Norgine Pharma)-comb. Pansoral (Inava)-comb.	I:	Salicol (Sais); wfm
		GB:	Bonjela (Reckitt & Colman)-comb.	J:	Satibon (Grelan)
				USA:	Trilisate (Purdue Frederick)

Choline stearate

ATC: C05
Use: anti-inflammatory, liver therapeutic

RN: 60154-01-0 MF: $C_{18}H_{35}O_2 \cdot C_5H_{14}NO$ MW: 387.65
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium octadecanoate (salt)



Reference(s):
US 2 774 759 (American Cyanamid; 1956; appl. 1955).

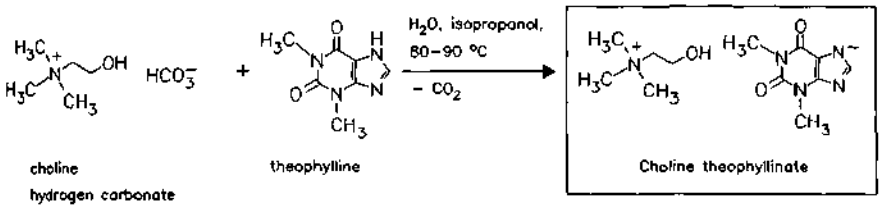
Formulation(s): ointment 2.95 g/100 g

Trade Name(s):
D: Chomelanum (Schur)

Choline theophyllinate
(Cholinophylline; Oxtriphylline; Oxytrimethylline)

ATC: R03DA02
Use: bronchodilator

RN: 4499-40-5 MF: $C_7H_7N_4O_2 \cdot C_5H_{14}NO$ MW: 283.33 EINECS: 224-798-7
CN: 2-hydroxy-*N*,*N*,*N*-trimethylethanaminium, salt with 3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione (1:1)



Reference(s):
US 2 776 287 (Nepera; 1957; appl. 1954).

Formulation(s): f. c. tabl. 200 mg; s. r. tabl. 400 mg, 600 mg

Trade Name(s):

D: Euspirax (Asche)
GB: Choledyl (Warner); wfm
Sabidal (Zyma); wfm
I: Sclerofillina (Medici Domus); wfm
Teofilcolina (Salfa); wfm
Teofilcolina sedativa (Salfa)-comb.; wfm
Theophyl-Choline (Perkins)-comb. with theophyllineacetate; wfm
J: Ishicolin (Kanto-Isei)
Theocolin (Eisai)

Chymopapain

ATC: M09AB01
Use: intervertebral disk damages therapeutic

RN: 9001-09-6 MF: unspecified MW: unspecified EINECS: 232-580-8
LD_{50}: 42.3 mg/kg (M, i.v.);
36.1 mg/kg (R, i.v.)
CN: chymopapain

Proteolytic enzyme from the latex of *Carica papaya* with an approximate molecular weight of 27000. It is differentiated from papain in electrophoresis behavior, in solubility and in substrate specifity. Isolation by acidify of papaya-latex with HCl, salting out with NaCl and following chromatographic purification. The formulation contains L-cysteine as reducing agent.

Reference(s):
Jansen, E.F.; Balls, A.K.: J. Biol. Chem. (JBCHA3) **137**, 459 (1941).
US 2 313 875 (E. F. Jansen, A.K. Balls; 1943; appl. 1940).
US 3 558 433 (Baxter Labs.; 26.1.1971; appl. 7.11.1967).

medical use:
US 4 439 423 (Smith Labs.; 27.3.1984; appl. 13.5.1981).
US 3 320 131 (Baxter Labs.; 1967; prior. 1963, 1964).

Formulation(s): vial 4 iu, 5 iu, 10 iu/1000 iu.

Trade Name(s):

D: Discase (Travenol); wfm
F: Chymodiactine (Knoll)
USA: Chymodiactin (Smith); wfm

α-Chymotrypsin
(Alphachymotrypsin)

ATC: B06AA04; S01KX01
Use: anti-inflammatory, proteolytic

RN: 9004-07-3 MF: unspecified MW: unspecified EINECS: 232-671-2
LD_{50}: 89 mg/kg (M, i.v.); >6 g/kg (M, p.o.);
84 mg/kg (R, i.v.); >4 g/kg (R, p.o.)
CN: chymotrypsin

Isolation from homogenized bovine pancreas by
1. extraction with 0,25 normal H_2SO_4.
2. Fractionated ammonium sulfate precipitaion of α-chymotrypsinogen (further fractions contain deoxyribonuclease, chymotrypsinogen B, ribonuclease, trypsinogen).
3. Activation of α-chymotrypsinogen by dissolution in 0,005 normal HCl, standardization to 0,1 molar $CaCl_2$ and 0,1 molar borate buffer pH 8.0; separation of inactive precipitate after 24 h; precipitation of Ca^{2+} as sulfate.
4. Fractionated ammonium sulfate precipitation (twice).
5. Crystallization from borat buffer at pH 8.0 (twice).
6. Desalting by gel chromatography or dialysis.
7. Sterile filtration.
8. Lyophilization.

Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **10**, 536.

properties, review:
Niemann, C.: Science (Washington, D.C.) (SCIEAS) **143**, 1287 (1964).

Formulation(s): amp. ca. 5 mg/ 5 ml; ointment ca. 5 mg/30 g

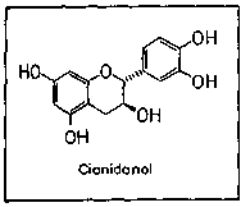
Trade Name(s):

D:	Alpha-Chymocutan (Strathmann)		Cirkan (Sinbio)-comb.		Zonulasi (SmithKline Beecham)
	Alpha-Chymotrase (Strathmann)	GB:	Chymar (Armour); wfm	J:	Chymoral (Tokyo Tanabe)
	Enzym-Wied (Wiedemann)-comb.		Chymocyclar (Armour); wfm		Chymotase (Mochida)
	Wobe-Mugos (Mucos)-comb.		Chymoral (Armour)-comb.; wfm		Chymozym (Teikoku Hormone)
F:	Alphachymotrypsine Choay (Sanofi Winthrop)		Deanase (Consolidated Chemicals); wfm		Kimopsin (Eisai)
	Alphacutanée (Leurquin)	I:	Ribociclina (Puropharma)-comb.		Zonolysine (Mochida)
				USA:	Orenzyme (Merrell Dow); wfm

Cianidanol
((+)-Catechin; (+)-Catechol; Cianidol; Cyanidanol; Cyanidol; Dexcyanidanol)

ATC: V09D
Use: liver therapeutic (inhibition of lipide peroxidation)

RN: 154-23-4 MF: $C_{15}H_{14}O_6$ MW: 290.27 EINECS: 205-825-1
CN: (2*R-trans*)-2-(3,4-dihydroxyphenyl)-3,4-dihydro-2*H*-1-benzopyran-3,5,7-triol



Cianidanol

Ingredient of various plants and trees ("catechu" from *Uncaria gambir* and *Acacia catechu*), obtained by extraction with water or ethyl acetate.

Reference(s):
Freudenberg, K. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **54**, 1204 (1921).
Freudenberg, K. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **55**, 1737 (1922).
Freudenberg, K. et al.: Justus Liebigs Ann. Chem. (JLACBF) **444**, 135 (1925).

absolute configuration:
Hardegger, E. et al.: Helv. Chim. Acta (HCACAV) **40**, 1819 (1957).

new crystal modifications:
US 4 515 804 (Zyma; 7.5.1985; GB-prior. 24.2.1982).

salts with basic amino acids:
US 4 285 964 (Continental Pharma; 25.8.1981; appl. 30.8.1979).
GB 2 057 437 (Continental Pharma; appl. 19.8.1980; USA-prior. 30.8.1979).
US 4 507 314 (Midit, Soc. Fiduciaire; 26.3.1985; appl. 20.7.1983).

Formulation(s): tabl. 750 mg

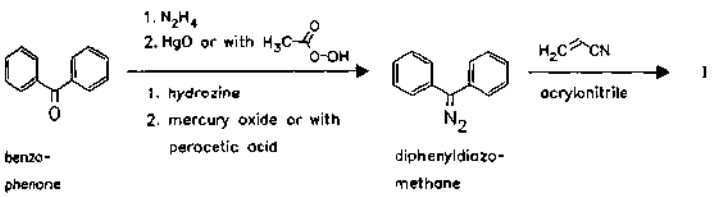
Trade Name(s):

D:	Catergen (Zyma); wfm	Catergen (Zyma); wfm		Transepar (Dompé); wfm
F:	Catergène (Zyma); wfm	DrenoliveR (Biochimica	J:	Catergen (Kanebo-Sankyo)
I:	Ausoliver (Ausonia); wfm	Zanardi); wfm		

Cibenzoline

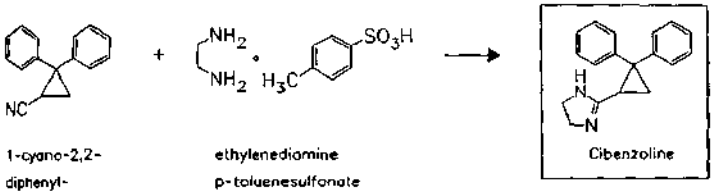
ATC: C01BG07
Use: class I antiarrhythmic

RN: 53267-01-9 MF: $C_{18}H_{18}N_2$ MW: 262.36 EINECS: 258-453-7
CN: 2-(2,2-diphenylcyclopropyl)-4,5-dihydro-1*H*-imidazole



benzo-phenone

diphenyldiazo-methane



1-cyano-2,2-diphenyl-cyclopropane (I)

ethylenediamine p-toluenesulfonate

Cibenzoline

Reference(s):
DOS 2 359 795 (Hexachimie; appl. 30.9.1973; GB-prior. 30.11.1972, 6.2.1973).
DOS 2 359 816 (Hexachimie; appl. 30.9.1973; GB-prior. 30.11.1972, 6.2.1973, 2.8.1973).
US 3 903 104 (Hexachimie; 9.1975; GB-prior. 30.11.1972, 6.2.1973, 2.8.1973).
US 3 905 993 (Hexachimie; 16.9.1975; GP-prior. 30.11.1972, 6.2.1973).

synthesis of diphenyldiazomethane:
Staudinger, H. et al.: Chem. Ber. (CHBEAM) **49** (1916), 1932
Adamson, J.R. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1975**, 2030.

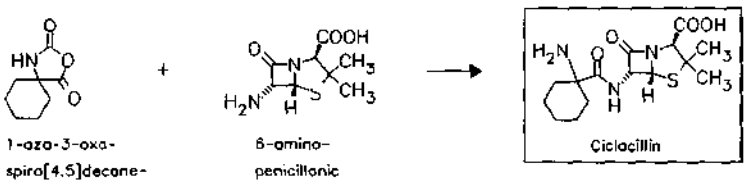
Formulation(s): cps. 130 mg; tabl. 130 mg; vial 100 mg

Trade Name(s):
F: Cipralan (UPSA; 1985) Exacor (Monsanto)

Ciclacillin
(Cyclacillin)

ATC: J01CA
Use: antibiotic

RN: 3485-14-1 MF: $C_{15}H_{23}N_3O_4S$ MW: 341.43 EINECS: 222-470-8
LD_{50}: 5010 mg/kg (M, p.o.);
5010 mg/kg (R, p.o.);
2500 mg/kg (dog, p.o.)
CN: [2*S*-(2α,5α,6β)]-6-[[(1-aminocyclohexyl)carbonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid



Reference(s):
US 3 194 802 (American Home; 13.7.1965; appl. 7.2.1963; prior. 26.2.1962).
US 3 553 201 (American Home; 5.1.1971; appl. 3.10.1967; prior. 13.5.1966).

alternative synthesis:
DOS 2 755 903 (Dobfar; appl. 15.12.1977; I-prior. 16.12.1976).

enzymatic:
DAS 2 050 982 (Kyowa Hakko; appl. 16.10.1970; J-prior. 24.10.1969).

via silyl-derivatives:
US 3 478 018 (American Home; 11.11.1969; appl. 2.10.1967).

Formulation(s): gran. 10 %; tabl. 250 mg, 500 mg

Trade Name(s):
D: Ultracillin (Grünenthal); wfm
GB: Calthor (Ayerst); wfm
Teejel (Napp)-comb.; wfm
J: Bionacillin-C (Takeda)
Citosarin (Toyo Jozo)
Vastcillin (Takeda)
Wyvital (Wyeth)
USA: Cyclapen (Wyeth); wfm

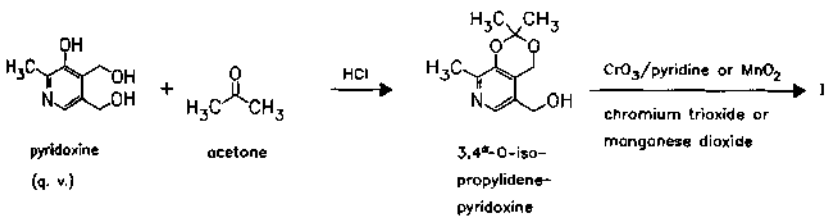
Cicletanine

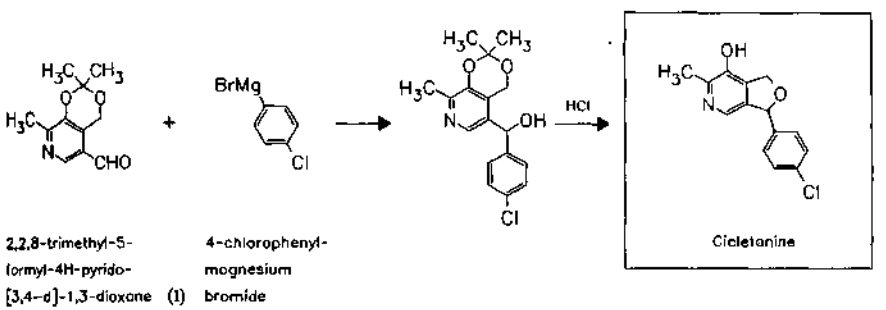
(Cycletanide)

ATC: C03BX03
Use: diuretic, antihypertensive

RN: 89943-82-8 MF: $C_{14}H_{12}ClNO_2$ MW: 261.71
LD_{50}: 4500 mg/kg (M, p.o.);
5000 mg/kg (R, p.o.)
CN: (±)-3-(4-chlorophenyl)-1,3-dihydro-6-methylfuro[3,4-*c*]pyridin-7-ol

hydrochloride
RN: 82747-56-6 MF: $C_{14}H_{12}ClNO_2 \cdot HCl$ MW: 298.17





Reference(s):
DOS 3 204 596 (Soc. de Conseils de Recherche et d'Appl. Sci.; appl. 10.2.1982; GB-prior. 10.2.1981).
US 4 383 998 (Soc. de Conseils de Recherche et d'Appl. Sci.; 17.5.1983; GB-prior. 10.2.1981).

synthesis of 2,2,8-trimethyl-5-formyl-4*H*-pyrido[3,4-*d*]-1,3-dioxane:
Koryntyk, W.; Wiedemann, W.: J. Org. Chem. (JOCEAH) **27**, 2531 (1962).
Koryntyk, W.; Kris, E.J.; Singh, R.P.: J. Org. Chem. (JOCEAH) **29**, 574 (1964).
Sattsangi, P.D.; Argoudelis, C.J.: J. Org. Chem. (JOCEAH) **33**, 1337 (1968).

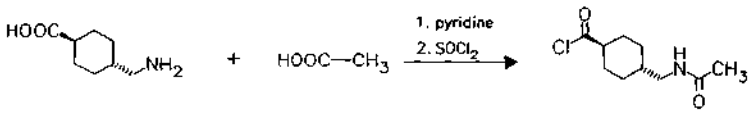
Formulation(s): cps. 50 mg, 100 mg (hydrochloride)

Trade Name(s):
D: Justar (Intersan; 1989) F: Tenstaten (Ipsen-Beaufour; 1988)

Ciclometasone

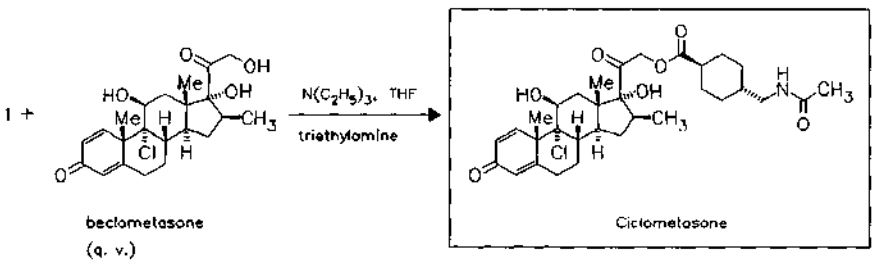
ATC: D07AB; H02AB
Use: glucocorticoid

RN: 86022-88-0 MF: $C_{32}H_{44}ClNO_7$ MW: 590.16 EINECS: 289-141-9
CN: [11β,16β,21(*trans*)]-21-[[[4-[(acetylamino)methyl]cyclohexyl]carbonyl]oxy]-9-chloro-11,17-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



tranexamic acid
(q. v.)

(I)



beclometasone
(q. v.)

Ciclometasone

Reference(s):
FR 2 280 384 (Rorer; appl. 1.8.1974).

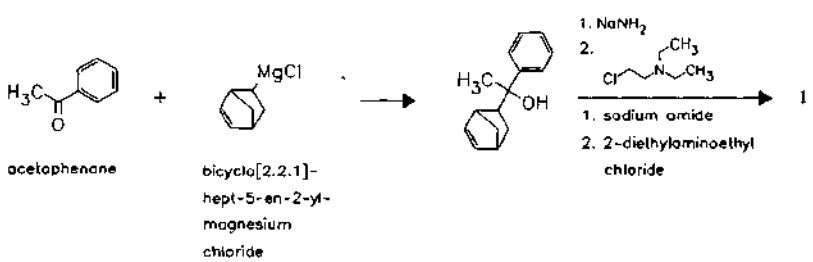
synthesis of 4-aminomethylcyclohexanecarboxylic acid:
Levine, M.; Sedlecky, R.: J. Org. Chem. (JOCEAH) **24**, 115 (1959).

Trade Name(s):
I: Cycloderm (Rottapharm) Telecort Sray (Rottapharm)

Ciclonium bromide

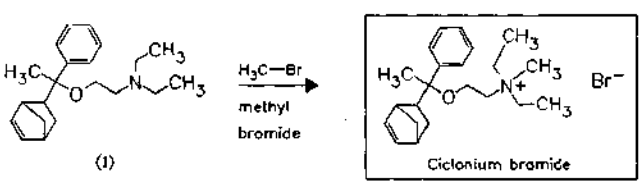
ATC: A03DA04
Use: antispasmodic, anticholinergic

RN: 29546-59-6 MF: $C_{22}H_{34}BrNO$ MW: 408.42 EINECS: 249-687-0
LD_{50}: 400 mg/kg (M, p.o.);
1030 mg/kg (R, p.o.)
CN: 2-(1-bicyclo[2.2.1]hept-5-en-2-yl-1-phenylethoxy)-*N*,*N*-diethyl-*N*-methylethanaminium bromide



acetophenone

bicyclo[2.2.1]-hept-5-en-2-yl-magnesium chloride



(I)

Ciclonium bromide

Reference(s):
DE 1 052 982 (ASTA; appl. 29.6.1957).

Formulation(s): amp. 10 mg/2 ml

Trade Name(s):

D: Dolo-Adamon (ASTA)-comb.; wfm
Tranquo-Adamon (ASTA Medica)-comb.; wfm

Ciclopirox

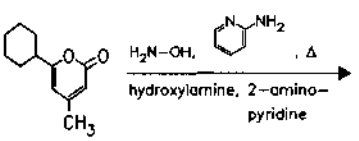
ATC: D01AE14; G01AX12
Use: antifungal

RN: 29342-05-0 MF: $C_{12}H_{17}NO_2$ MW: 207.27 EINECS: 249-577-2
CN: 6-cyclohexyl-1-hydroxy-4-methyl-2(1*H*)-pyridinone

ciclopirox olamine

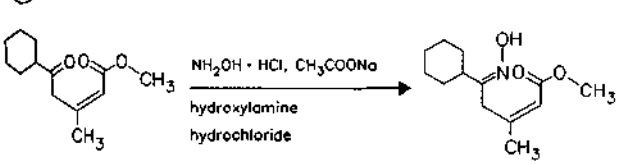
RN: 41621-49-2 MF: $C_{12}H_{17}NO_2 \cdot C_2H_7NO$ MW: 268.36 EINECS: 255-464-9
LD_{50}: 71 mg/kg (M, i.v.); 1740 mg/kg (M, p.o.);
72 mg/kg (R, i.v.); 2350 mg/kg (R, p.o.)

a



6–cyclohexyl–4–methyl–2–pyrone

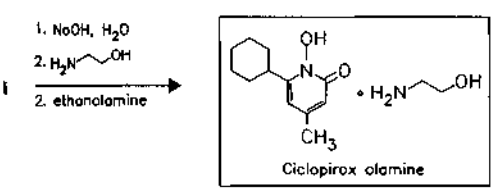
b



methyl 5–cyclohexyl–3–methyl–5–oxo–2–pentenoate (mixture with the 3–pentenoate; from methyl 4–methyl–2–butenoate)

methyl 5–cyclohexyl–3–methyl–5–hydroxyimino–2–pentenoate (I)

i



Reference(s):

a US 3 883 545 (Hoechst AG; 13.5.1975; appl. 16.11.1971; prior. 22.12.1972).
US 3 972 888 (Hoechst AG; 3.8.1976; D-prior. 25.3.1972).

b ZA 696 039 (Hoechst AG; appl. 12.8.1969; D-prior. 31.8.1968).
DE 1 795 270 (Hoechst AG; appl. 31.8.1968).
DOS 2 214 608 (Hoechst AG; appl. 25.3.1972).

Formulation(s): cream 1 %; powder 1 % (as olamine); sol. 10 mg/ml (as ciclopirox); vaginal cream 1 % (as olamine)

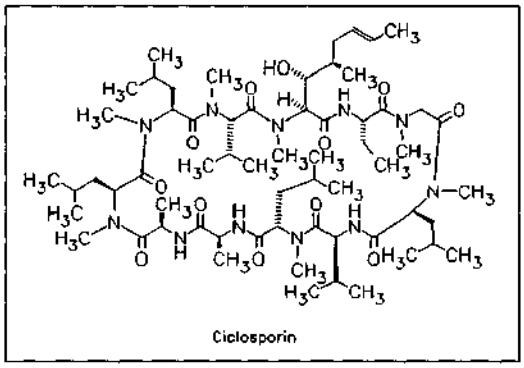
Trade Name(s):

D:	Batrafen (Hoechst; 1981)	Brumixol (Bruschettini)		Micoxolamina (Delalande Isnardi)
	Nagel-Batrafen (Hoechst)	Dafnegin (Poli)		
F:	Mycoster (Pierre Fabre; 1986)	Miclast (Pierre Fabre Phar.)	J:	Batrafen (Hoechst)
		Miclast (Lifepharma)	USA:	Loprox (Hoechst Marion Roussel; 1983)
I:	Batrafen (Hoechst)	Micomicen (Synthelabo)		

Ciclosporin
(Cyclosporin A)

ATC: L04AA01
Use: immunosuppressive

RN: 59865-13-3 MF: $C_{62}H_{111}N_{11}O_{12}$ MW: 1202.64
CN: [*R*-[*R**,*S**-(*E*)]]-cyclic(L-alanyl-D-alanyl-*N*-methyl-L-leucyl-*N*-methyl-L-leucyl-*N*-methyl-L-valyl-3-hydroxy-*N*,4-dimethyl-L-2-amino-6-octenoyl-L-α-aminobutyryl-*N*-methylglycyl-*N*-methyl-L-leucyl-L-valyl-*N*-methyl-L-leucyl)


Ciclosporin

Cyclic peptide from 11 amino acids. Preparation by fermentation of *Tolypocladium inflatum* Gams with addition of DL-α-aminobutyric acid to the fermentation medium. Isolation by homogenization of mycelium, extraction with 90 % methanol and column chromatographic purification.

Reference(s):
US 4 117 118 (Sandoz; 26.9.1978; prior. 29.11.1974, 15.8.1975, 9.9.1976; CH-prior. 9.4.1976).
DE 2 455 859 (Sandoz; appl. 26.11.1974; CH-prior. 6.12.1973, 21.10.1974).
Rüegger, A. et at.: Helv. Chim. Acta (HCACAV) **59**, 1075 (1976).
Kobel, H.; Traber, R.: Eur. J. Appl. Microbiol. Biotechnol. (EJABDD) **14**, 237 (1982).

structure:
Petcher, T.J. et al.: Helv. Chim. Acta (HCACAV) **59**, 1480 (1976).

total syntheses:
Wenger, R.M.: Angew. Chem. (ANCEAD) **97**, 88 (1985).
EP 34 567 (Sandoz; appl. 13.2.1981; CH-prior. 14.2.1980).

oral formulation:
US 5 766 629 (SangStat Med. Corp.; 16.6.1998; prior. 25.8.1995, 21.3.1996).

Formulation(s): cps. 25 mg, 50 mg, 100 mg; inj. sol. 250 mg/5 ml; oral sol. 100 mg/ml; sol. 100 mg

Trade Name(s):

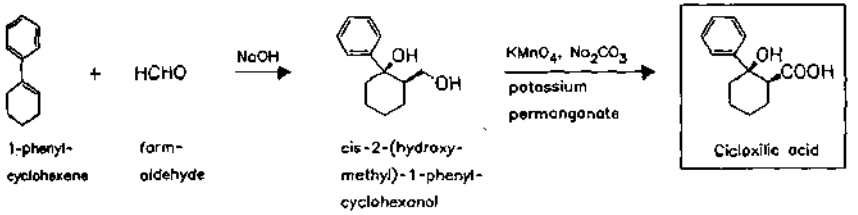
D:	Sandimmun (Novartis; 1983)	F:	Sandimmun (Novartis)	Sandimmun (Novartis; 1983)
		GB:	Neoral (Novartis)	

I: Sandimmun (Sandoz) USA: Neoral (Novartis)
J: Sandimmun (Novartis; 1986) Sandimmune (Novartis; 1983)

Cicloxilic acid

ATC: A05AX; A06AB
Use: choleretic, hepatic protectant

RN: 57808-63-6 MF: $C_{13}H_{16}O_3$ MW: 220.27 EINECS: 260-966-6
LD_{50}: 2095 mg/kg (M, p.o.);
1570 mg/kg (R, p.o.)
CN: *cis*-2-hydroxy-2-phenylcyclohexanecarboxylic acid



Reference(s):
BE 848 143 (Guidotti Int.; appl. 9.12.1976; I-prior. 12.11.1975).

alternative synthesis and use as choleretic:
US 3 700 775 (L. Turbanti; 24.10.1972; I-prior. 29.4.1966).

stereochemistry:
Turbanti, L. et al.: Arzneim.-Forsch. (ARZNAD) **28** (II), 1449 (1978).

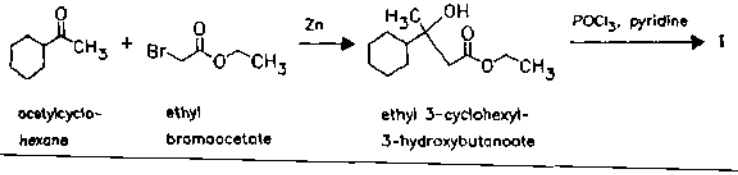
Formulation(s): amp. 60 mg; drg. 40 mg

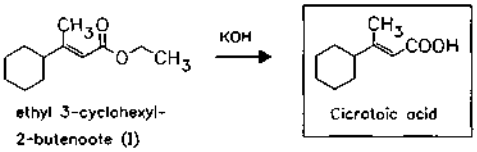
Trade Name(s):
I: Plecton (Guidotti) Pleiabil (Guidotti)-comb.

Cicrotoic acid

Use: choleretic
(Acide cicrotoique)

RN: 25229-42-9 MF: $C_{10}H_{16}O_2$ MW: 168.24 EINECS: 246-739-4
LD_{50}: 1925 mg/kg (M, p.o.);
2900 mg/kg (R, p.o.)
CN: 3-cyclohexyl-2-butenoic acid





Reference(s):
FR-M 4 665 (A. E. C. Soc. de Chim. Organ. et Biol.; appl. 3.5.1965).
Young et al.: J. Org. Chem. (JOCEAH) **28**, 928 (1963).

Formulation(s): cps. 250 mg

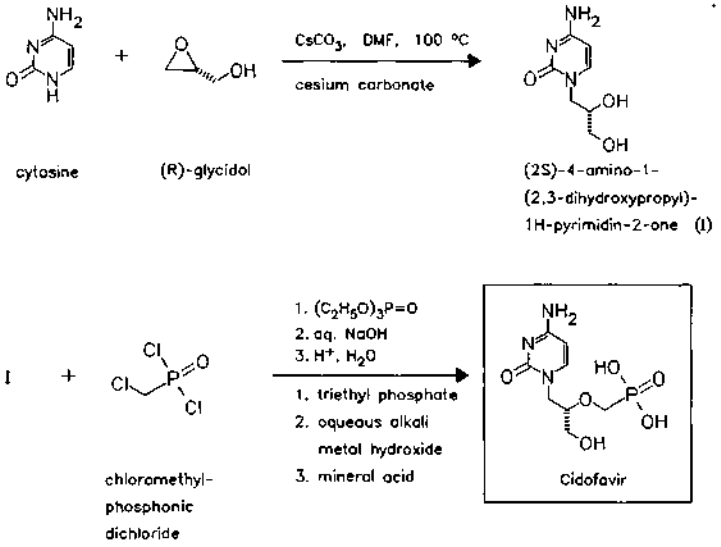
Trade Name(s):
F: Accroibile (Adrian-Marinier); wfm

Cidofovir
(HPMPC; GS-504; GS-0504)

ATC: J05AB12
Use: antiviral

RN: 113852-37-2 MF: $C_8H_{14}N_3O_6P$ MW: 279.19
CN: (*S*)-[[2-(4-amino-2-oxo-1(2*H*)-pyrimidinyl)-1-(hydroxymethyl)ethoxy]methyl]phosphonic acid

dihydrate
RN: 149394-66-1 MF: $C_8H_{14}N_3O_6P \cdot 2H_2O$ MW: 315.22



Reference(s):
EP 253 412 (Ceskoslovenska Akademie Ved., Czech., appl. 20.1.1988; CS-prior. 18.7.1986).
WO 9 624 355 (Astra; appl. 15.8.1996; WO-prior. 6.2.1995).
WO 9 713 528 (Dumex-Alpharma; appl. 17.4.1997; prior. 12.10.1995).

synthesis of (2*S*)-4-amino-1-(2,3-dihydroxypropyl)-1*H*-pyrimidin-2-one:
Holy, A.: Collect. Czech. Chem. Commun. (CCCCAK) **58** (3), 649 (1993).
Holy, A.: Collect. Czech. Chem. Commun. (CCCCAK) **43**, 2054 (1978).
Martin, J.C. et al.: Nucleosides Nucleotides (NUNUD5) **8** (5-6), 923 (1989).

Formulation(s): vial 375 mg (75 mg/ml anhydrous) for i.v. infusion

Trade Name(s):

D: VISTIDE (Pharmacia & Upjohn)

USA: Vistide (Gilead Science)

Cilastatin

ATC: J01DH51

Use: dehydropeptidase inhibitor (for combination with imipenem)

RN: 82009-34-5 MF: $C_{16}H_{26}N_2O_5S$ MW: 358.46 EINECS: 279-875-8

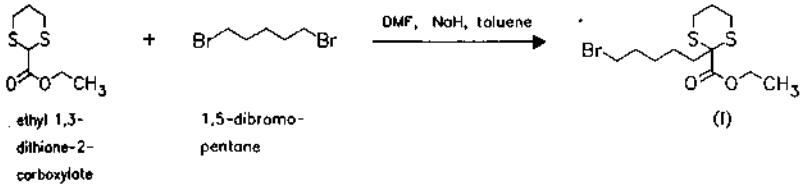
LD_{50}: 8 g/kg (M, route unreported);
8 g/kg (R, route unreported)

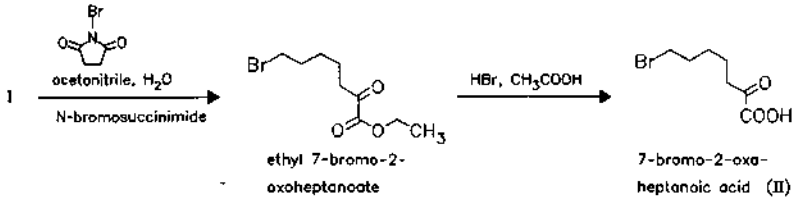
CN: [*R*-[*R**,*S**-(Z)]]-7-[(2-amino-2-carboxyethyl)thio]-2-[[(2,2-dimethylcyclopropyl)carbonyl]amino]-2-heptenoic acid

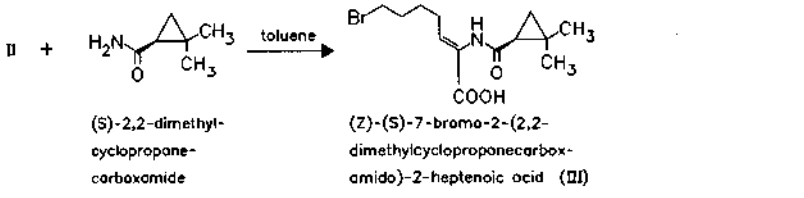
monosodium salt

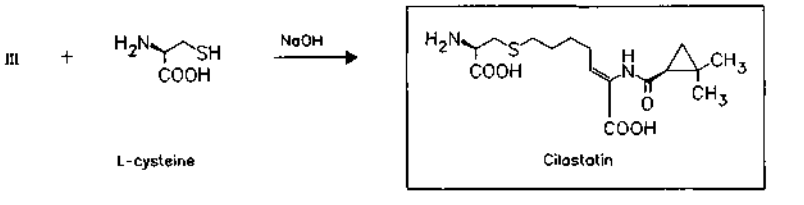
RN: 81129-83-1 MF: $C_{16}H_{25}N_2NaO_5S$ MW: 380.44 EINECS: 279-694-4

LD_{50}: 6786 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
5027 mg/kg (R, i.v.); >10 g/kg (R, p.o.)









Reference(s):
EP 10 573 (Merk & Co.; appl. 24.7.1979; USA-prior. 24.7.1978).
EP 48 301 (Merck & Co.; appl. 24.9.1980).

Formulation(s): amp. 250 mg, 500 mg, 750 mg (as sodium salt)

Trade Name(s):

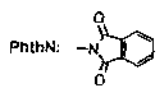
D:	Zienam (MSD; 1985)-comb. with imipenem	I:	Imipem (Neopharmed)-comb.	J:	Tienam (MSD)-comb.
F:	Tienam (Merck Sharp & Dohme-Chibret)-comb.		Tenacid (Sigma-Tau)-comb.	USA:	Primaxin (Merck; 1985)

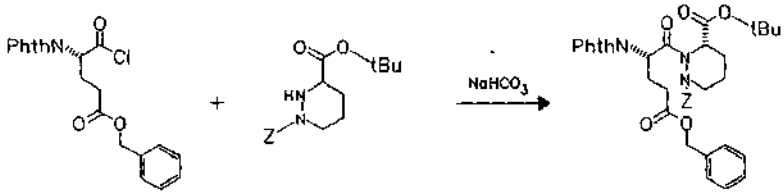
Cilazapril

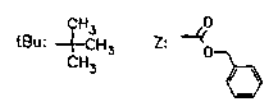
ATC: C09AA08
Use: antihypertensive (ACE inhibitor)

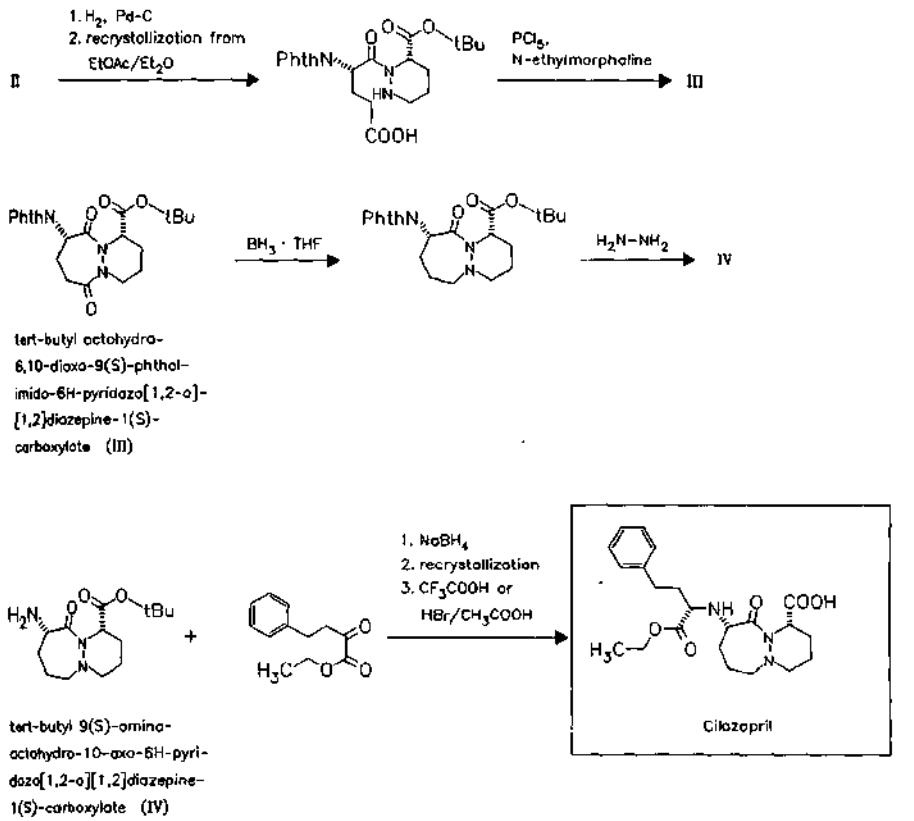
RN: 88768-40-5 MF: $C_{22}H_{31}N_3O_5$ MW: 417.51
CN: [1*S*-[1α,9α(*R**)]]-9-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]octahydro-10-oxo-6*H*-pyridazino[1,2-*a*][1,2]diazepine-1-carboxylic acid

monohydrate
RN: 92077-78-6 MF: $C_{22}H_{31}N_3O_5 \cdot H_2O$ MW: 435.52









Reference(s):
US 4 512 924 (Hoffmann-La Roche; 23.4.1985; GB-prior. 12.5.1982, 28.2.1983).
US 4 658 024 (Hoffmann-La Roche; 14.4.1987; GB-prior. 12.5.1982).
Attwood, M.R. et al.: FEBS Lett. (FEBLAL) **165**, 201 (1984).

tert-butyl 1-(benzyloxycarbonyl)-hexahydro-3-pyridazinecarboxylate:
Hassall, C.H. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4), 1451 (1979).

Formulation(s): f. c. tabl. 0.5 mg, 1 mg, 2.5 mg, 5 mg

Trade Name(s):

D:	Dynorm (Merck/Roche)	GB:	Vascace (Roche)	Initiss (Carlo Erba)
F:	Justor (Jacques Logeais)	I:	Inibace (Roche)	

Cilnidipine

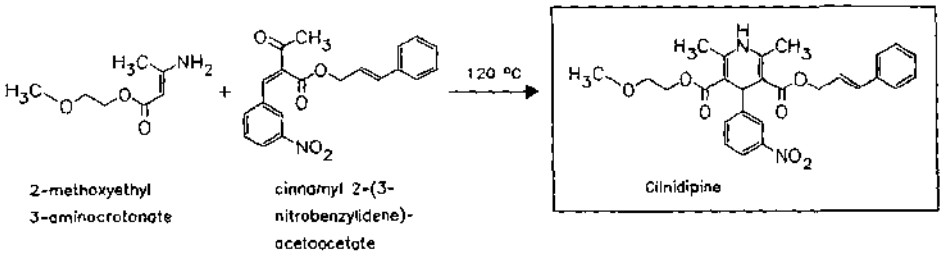
Use: antihypertensive, calcium antagonist

(FRC-8653)

RN: 132203-70-4 MF: $C_{27}H_{28}N_2O_7$ MW: 492.53
LD_{50}: >5 g/kg (M, p.o.);
4412 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: (*E*)-(±)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-methoxyethyl 3-phenyl-2-propenyl ester

unspecified stereochemistry
RN: 102106-21-8 MF: $C_{27}H_{28}N_2O_7$ MW: 492.53

(+)-enantiomer
RN: 132338-87-5 MF: $C_{27}H_{28}N_2O_7$ MW: 492.53
(–)-enantiomer
RN: 132295-21-7 MF: $C_{27}H_{28}N_2O_7$ MW: 492.53



Reference(s):
EP 161 877 (Fujirebio; appl. 2.5.1985; J-prior. 4.5.1984, 20.6.1984).
Drugs Future (DRFUD4) **21**(3), 249-253 (1996).

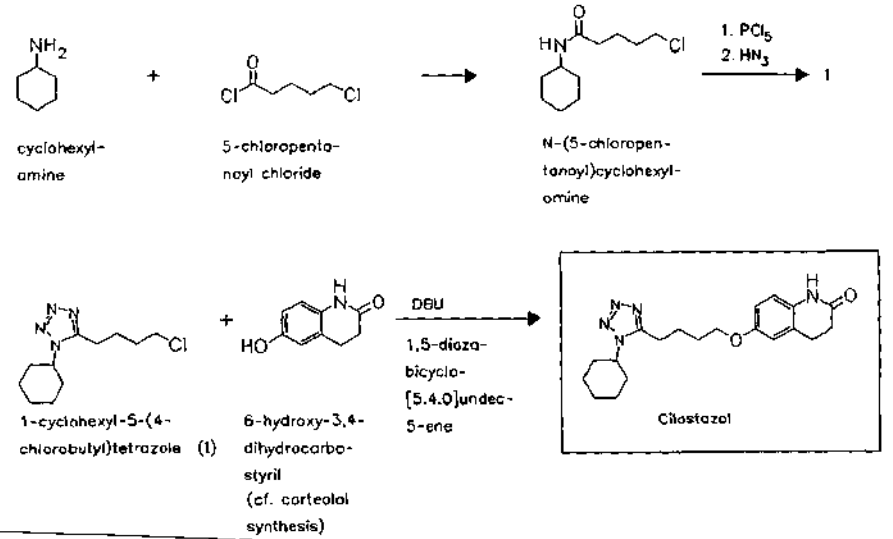
Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):
J: Atelec (Ajinomoto/Nippon-HMR) Cinalong (Fujirebio) Ciscard (Nippon Boehringer Ing.)

Cilostazol
(OPC-13013)

ATC: B01AC
Use: platelet aggregation inhibitor, cerebral vasodilating activity

RN: 73963-72-1 MF: $C_{20}H_{27}N_5O_2$ MW: 369.47
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 6-[4-(1-cyclohexyl-1*H*-tetrazol-5-yl)butoxy]-3,4-dihydro-2(1*H*)-quinolinone



Reference(s):
DOS 2 934 747 (Otsuka; appl. 28.8.1979; J-prior. 1.9.1978).
US 4 277 479 (Otsuka; 7.7.1981; J-prior. 1.9.1978).
Nishi, T. et al.: Chem. Pharm. Bull. (CPBTAL) **31**, 1151 (1983).

medical use for treatment of nephritis:
JP 2 178 227 (Otsuka; appl. 28.12.1988).

medical use for treatment of Raynaud's syndrome:
JP 2 178 226 (Otsuka, appl. 28.12.1988).

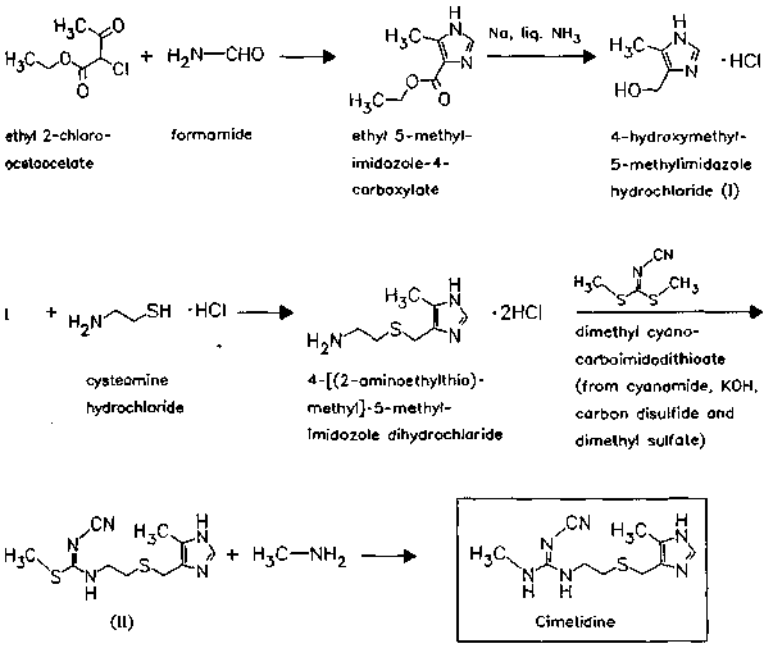
Formulation(s): tabl. 50 mg, 100 mg

Trade Name(s):
J: Pletaal (Otsuka) Retal (Otsuka; 1988)

Cimetidine

ATC: A02BA01
Use: peptic ulcer therapeutic (H_2-receptor antagonist)

RN: 51481-61-9 MF: $C_{10}H_{16}N_6S$ MW: 252.35 EINECS: 257-232-2
LD_{50}: 150 mg/kg (M, i.v.); 2550 mg/kg (M, p.o.);
106 mg/kg (R, i.v.); 5 g/kg (R, p.o.);
206 mg/kg (dog, i.v.); 2600 mg/kg (dog, p.o.)
CN: *N*-cyano-*N'*-methyl-*N''*-[2-[[(5-methyl-1*H*-imidazol-4-yl)methyl]thio]ethyl]guanidine



Reference(s):
US 3 894 151 (Smith Kline & French; 8.7.1975; GB-prior. 20.4.1972).
US 4 000 302 (Smith Kline & French; 28.12.1976; GB-prior. 20.4.1972).
DOS 2 320 131 (Smith Kline & French; appl. 19.4.1973; GB-prior. 20.4.1972) – medical use.
DOS 2 344 779 (Smith Kline & French; appl. 5.9.1973; GB-prior. 5.9.1972 and 8.2.1973).
US 3 950 333 (Smith Kline & French; 13.4.1976; appl. 14.3.1974; prior. 29.2.1972 and 20.9.1972).

cimetidine "A":
DOS 2 742 531 (Smith Kline & French; appl. 21.9.1977; GB-prior. 21.9.1976, 24.1.1977).
GB 1 543 238 (Smith Kline & French; appl. 21.9.1976, 24.1.1977, 20.9.1977; valid from 13.12.1977).

precursors and alternative methods:
DOS 2 637 670 (Smith Kline & French; appl. 20.8.1976; USA-prior. 20.8.1975 and 27.5.1976).
FR 2 321 490 (Smith Kline & French; appl. 16.8.1976; USA-prior. 20.8.1975 and 27.5.1976).
GB 1 338 169 (Smith Kline & French; appl. 9.3.1971 and 22.7.1971; valid from 9.3.1972).
DAS 2 211 454 (Smith Kline & French; appl. 9.3.1972; GB-prior. 9.3.1971 and 22.7.1971).
US 4 018 931 (Smith Kline & French; 19.4.1977; appl. 4.12.1975; prior. 29.2.1972, 20.9.1972 and 14.3.1974).
US 4 013 678 (Smith Kline & French; 22.3.1977; GB-prior. 2.9.1974).
US 3 984 293 (Smith Kline & French; 5.10.1976; prior. 2.9.1974).
BE 853 954 (Smith Kline Corp. GB appl. 26.4.1977; USA-prior. 22.2.1977).
US 4 063 023 (Smith Kline & French; 13.12.1977; prior. 20.8.1975).
DOS 2 649 059 (Smith Kline; appl. 28.10.1976; USA-prior. 29.10.1975).
DOS 2 718 715 (Smith Kline; appl. 27.4.1977; USA-prior. 22.2.1977).
US 4 049 672 (Smith Kline & French; 20.9.1977; appl. 17.3.1976; prior. 29.2.1972, 20.9.1972, 14.3.1974).
US 4 104 472 (Smith Kline & French; 1.8.1978; prior. 9.2.1977, 24.5.1977).
US 4 163 858 (Smith Kline; 7.8.1979; prior. 9.2.1977, 24.5.1977, 8.3.1978).
DOS 2 805 221 (Smith Kline; appl. 8.2.1978; USA-prior. 9.2.1977, 24.5.1977).
DOS 2 814 355 (BASF; appl. 3.4.1978).
DOS 2 855 836 (Lab. Om; appl. 22.12.1978; CH-prior. 28.12.1977, 7.12.1978).
FR-appl. 2 386 525 (Ricorvi; appl. 17.10.1977; E-prior. 6.4.1977).

X-ray structure:
Hädicke, E. et al.: Chem. Ber. (CHBEAM) **111**, 3222 (1978).

combination with conventional antihistaminics:
US 4 104 382 (Smith Kline & French; 1.8.1978; prior. 9.4.1973, 16.4.1975, 27.9.1976).

Formulation(s): amp. 200 mg/2 ml, 400 mg/4 ml, 1000 mg/10 ml; eff. tabl. 400 mg, 800 mg; f. c. and tabl. 200 mg, 400 mg, 800 mg

Trade Name(s):

D:
- Altramet (ASTA Medica AWD)
- Azucimet (Anipharma)
- Tagamet (SmithKline Beecham; 1977)

F:
- Stomédine (SmithKline Beecham)
- Tagamet (SmithKline Beecham; 1977)

GB:
- Algitec (SmithKline Beecham)-comb.
- Dyspamet (SmithKline Beecham)
- Galenamet (Galen)
- Tagamet (SmithKline Beecham; 1976)
- Zita (Eastern)

I:
- Biomag (Pulitzer)
- Brumetidina (Bruschettini)
- Citimid (CT)
- Dina (San Carlo)
- Eureceptor (Zambon)
- Gastromet (Bayropharm)
- Neo Gastransil (Schiapparelli Searle)
- Notul (Mendelejeff; as hydrochloride)
- Stomet (Allergan)
- Tagamet (Smith Kline & French; 1977)
- Tametin (SmithKline Beecham)
- Temic (Farma Uno)
- Ulcedin (AGIPS)
- Ulcestop (Metapharma; as hydrochloride)
- Ulcodina (Locatelli)
- Ulcofalk (Interfalk)
- Ulcomedina (Leben's)
- Ulis (Lafare)
- Vagolisal (Biotekfarma)

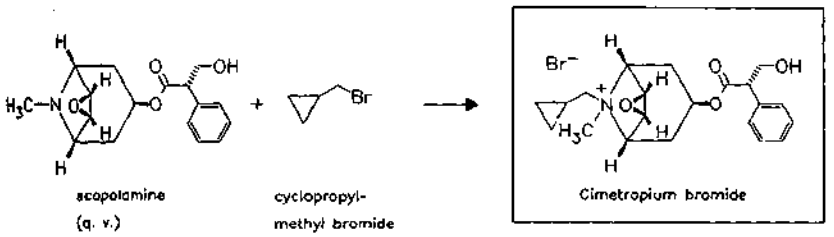
J:
- Tagamet (SKF-Fujisawa; 1982)

USA:
- Tagamet (SmithKline Beecham; 1977)

Cimetropium bromide

ATC: A03BB05
Use: anticholinergic, antispasmodic

RN: 51598-60-8 MF: $C_{21}H_{28}BrNO_4$ MW: 438.36
CN: [7(*S*)-(1α,2β,4β,5α,7β)]-9-(cyclopropylmethyl)-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9-methyl-3-oxa-9-azoniatricyclo[3.3.1.$0^{2,4}$]nonane bromide



Reference(s):
US 3 853 886 (De Angeli; 10.12.1974; appl. 13.4.1973; GB-prior. 18.4.1972).
US 3 952 108 (De Angeli; 20.4.1976, GB-prior. 18.4.1972).
DOS 2 316 728 (De Angeli; appl. 4.4.1973; GB-prior. 18.4.1972).

Formulation(s): amp. 5 mg/ml; suppos. 50 mg; syrup 1 %; tabl. 50 mg

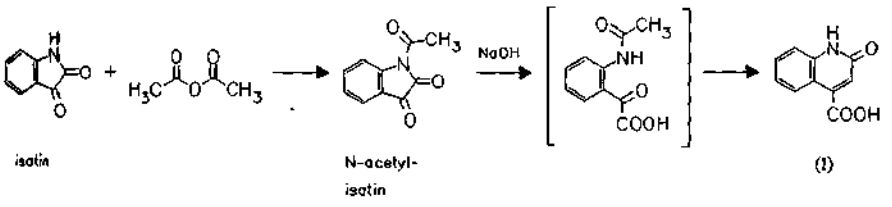
Trade Name(s):
I: Alginor (Boehringer Ing.; 1985)

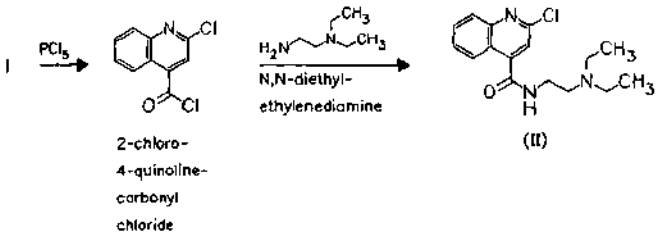
Cinchocaine
(Dibucaine)

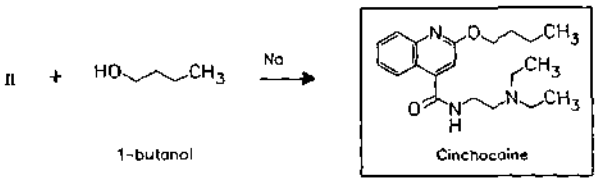
ATC: C05AD04; D04AB02; N01BB06; S01HA06
Use: local anesthetic

RN: 85-79-0 MF: $C_{20}H_{29}N_3O_2$ MW: 343.47 EINECS: 201-632-1
LD_{50}: 24.5 mg/kg (M, i.p.); 28.5 mg/kg (M, s.c.)
CN: 2-butoxy-*N*-[2-(diethylamino)ethyl]-4-quinolinecarboxamide

monohydrochloride
RN: 61-12-1 MF: $C_{20}H_{29}N_3O_2 \cdot HCl$ MW: 379.93 EINECS: 200-498-1
LD_{50}: 3800 µg/kg (M, i.v.);
52 mg/kg (R, i.v.)







Reference(s):
DRP 537 104 (Ciba; appl. 1926).
US 1 825 623 (Ciba; 1931; D-prior. 1926).
Miescher, K.: Helv. Chim. Acta (HCACAV) **15**, 163 (1932).

Formulation(s): amp. 6 mg/3 ml (as hydrochloride); rectal ointment 5 mg/100 g; suppos. 1 mg

Trade Name(s):

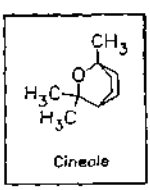
D:	Anumedin (Kade)-comb.		Ultrapoct (Schering)-comb.	I:	Algolisina (Celsius)-comb.
	Butazolidin (Novartis Pharma)-comb.	F:	Deliproct (Schering)-comb.		Nupercainal (Ciba); wfm
	Dolo-Posterine (Kade)		Ultraproct (Schering)-comb.		Ultraproct (Schering)-comb.
	Faktu (Byk Gulden; Roland)-comb.	GB:	Nupercainal (Novartis)	J:	Nupercain (Ciba-Geigy-Takeda)
	Otobacid (Asche)-comb.		Proctosedyl (Hoechst)-comb.		Percamin (Teikoku Kagaku-Nagase)
	Procto-Kaban (Asche)-comb.		Scheriproct (Schering)-comb.	USA:	Nupercaine (Ciba); wfm
	Protospre (Hennig)-comb.		Ultraproct (Schering)-comb.		
	Scheriproct (Schering)-comb.		Uniroid (Unigreg)-comb.		

Cineole

(Cajeputol; Eucalyptol)

ATC: R01AX; R05CA
Use: antiseptic, expectorant

RN: 470-82-6 MF: $C_{10}H_{18}O$ MW: 154.25 EINECS: 207-431-5
LD_{50}: 2480 mg/kg (R, p.o.)
CN: 1,3,3-trimethyl-2-oxabicyclo[2.2.2]octane



Principal ingredient of eucalyptus oils, isolated after separation of remaining terpenes with sulfuric acid.

Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **22**, 542.
DRP 499 732 (Rhein. Kampfer-Fabrik; appl. 1928).
US 2 090 620 (Newport Industries; 1937; appl. 1936).

Formulation(s): cps. 100 mg; sol. 2 g/100 g, 15 g/100 g

Trade Name(s):

D:	Denesol (Doerernkamp)-comb.		Eufimenth (Lichtenstein)-comb.		Pinimenthol (Spitzner)-comb.

Rowachol (Rowa-Wagner)-comb.
Rowatinex (Rowa-Wagner)-comb.
Soledum (Cassella-med)
Transpulmin (ASTA Medica)
Wick VapoRup (Wick Pharma)

GB: Rowachol (Rowa)-comb.
Rowatinex (Rowa)-comb.

I: Alc Ment Cmp (Formulario Naz.)-comb.
Balsamic (Formulario Naz.)-comb.
Brochenolo Balsamo (Midy)-comb.
numerous combination preparations

Calyptol (Rhône-Poulenc Rorer)
Eucal (Tariff. Nazionale)
Eucalipt (Tariff. Nazionale)
Rinostil (Deca)-comb.
numerous combination preparations

USA: Listerine Antiseptic (Warner-Lambert)

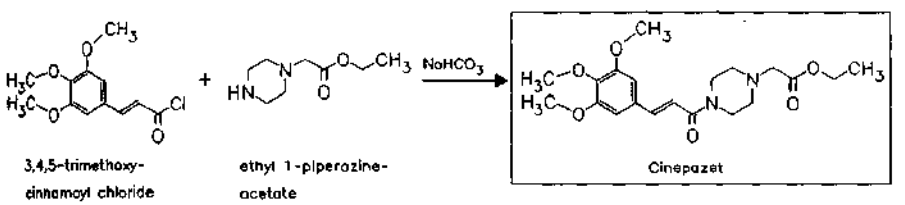
Cinepazet

(Cinepazate)

ATC: C01DX14
Use: vasodilator, antianginal

RN: 23887-41-4 MF: $C_{20}H_{28}N_2O_6$ MW: 392.45 EINECS: 245-927-3
LD_{50}: 1300 mg/kg (M, p.o.); 300 mg/kg (M, i.v.)
CN: 4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]-1-piperazineacetic acid ethyl ester

maleate (1:1)
RN: 50679-07-7 MF: $C_{20}H_{28}N_2O_6 \cdot C_4H_4O_4$ MW: 508.52 EINECS: 256-709-2



Reference(s):
DAS 1 795 402 (Delalande; appl. 26.9.1968; GB-prior. 29.9.1967).

Formulation(s): tabl. 300 mg

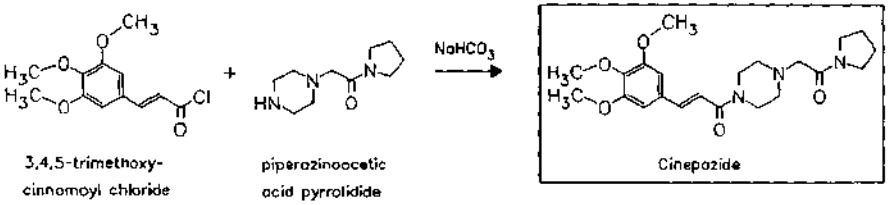
Trade Name(s):
F: Vascoril (Delalande); wfm I: Vascoril (Delalande); wfm

Cinepazide

ATC: C04AX27
Use: vasodilator (peripheral)

RN: 23887-46-9 MF: $C_{22}H_{31}N_3O_5$ MW: 417.51 EINECS: 245-928-9
CN: 1-[2-oxo-2-(1-pyrrolidinyl)ethyl]-4-[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]piperazine

maleate (1:1)
RN: 26328-04-1 MF: $C_{22}H_{31}N_3O_5 \cdot C_4H_4O_4$ MW: 533.58 EINECS: 247-613-1
LD_{50}: 617 mg/kg (M, i.v.); 1000 mg/kg (M, p.o.);
414 mg/kg (R, i.v.); 1310 mg/kg (R, p.o.)



Reference(s):
DE 1 915 795 (Delalande; appl. 27.3.1969; GB-prior. 3.4.1968).
DOS 2 043 350 (Delalande; appl. 1.9.1970; F-prior. 17.10.1969).
US 3 634 411 (Delalande; 11.1.1972; GB-prior. 3.4.1968).

Formulation(s): amp. 80 mg/2 ml; tabl. 200 mg (as maleate)

Trade Name(s):

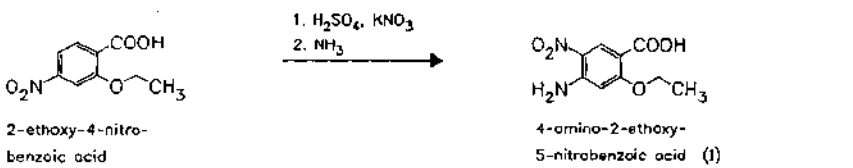
F:	Vasodistal (Delalande; 1974); wfm	Brepanael (Hotta)	Sebdeel (Mohan)
I:	Vasodistal (Delalande; 1978); wfm	Cinema (Choseido)	Sylpinale (Teikoku Kagaku)
J:	Anapazin (Zenyaku)	Ekarusin (Seiko Eiyo)	Tatsumedil (Tatsumi)
	Bilbvarde (Yoshindo)	Madesol (Sanwa)	Tineup (Maruko)
	Brendil (Daiichi; 1981)	Mishiline (Mishiyama)	Vasodeniel (MF-Taiyo)
	Brentomine (Daito Koeki Nichiiko)	Neubeat (Nippon Shoji)	
		Prosmet (Sawai)	
		Schulandere (Tsuruhara)	
		Scorojile (Kotobuki)	

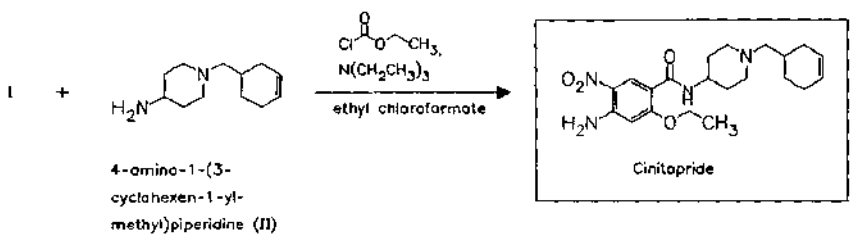
Cinitapride
(LAS-17177)

ATC: A04
Use: gastrointestinal

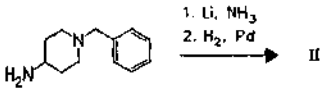
RN: 66564-14-5 MF: $C_{21}H_{30}N_4O_4$ MW: 402.50
CN: 4-amino-*N*-[1-(3-cyclohexen-1-ylmethyl)-4-piperidinyl]-2-ethoxy-5-nitrobenzamide

fumarate (1:1)
RN: 67135-13-1 MF: $C_{21}H_{30}N_4O_4 \cdot C_4H_4O_4$ MW: 518.57
tartrate
RN: 96623-56-2 MF: $C_{21}H_{30}N_4O_4 \cdot xC_4H_6O_6$ MW: unspecified
LD_{50}: >450 mg/kg (M, R, p.o.)





synthesis of II



4-amino-1-benzyl-piperidine

Reference(s):
GB 1 574 419 (Anphar; appl. 3.9.1980; GB-prior. 16.11.1976).
CH 628 886 (Anphar; appl. 31.3.1982; CH-prior. 1.1.1978).

synthesis of I:
Goldstein, H.; Brochon, R.: Helv. Chim. Acta (HCACAV) **32**, 2334 (1949).

synthesis of II/cinitapride:
DE 2 706 038 (A. Gallardo; appl. 12.2.1977; GB-prior. 17.2.1976).

alternative synthesis of cinitapride:
ES 2 001 458 (Fordonal; appl. 16.5.1988; E-prior. 12.12.1986).

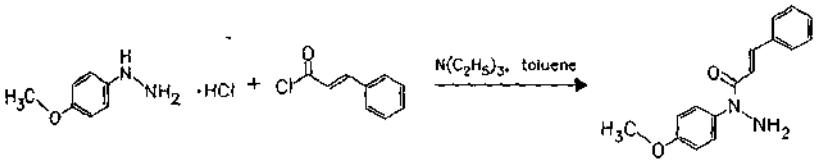
Formulation(s): sol. 0.2 mg/ml (as tartrate); tabl. 1 mg

Trade Name(s):
E: Cidine (Almirall; 1990)

Cinmetacin

ATC: M01AB
Use: non-steroidal anti-inflammatory

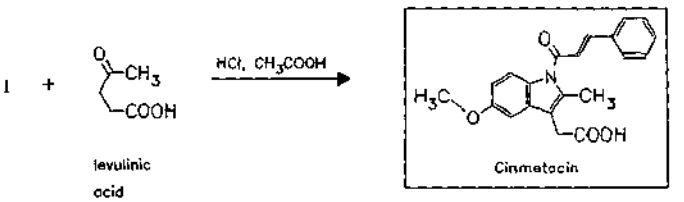
RN: 20168-99-4 MF: $C_{21}H_{19}NO_4$ MW: 349.39 EINECS: 243-555-6
LD_{50}: 360 mg/kg (M, i.p.); 750 mg/kg (M, p.o.);
590 mg/kg (R, i.p.); 1020 mg/kg (R, p.o.)
CN: 5-methoxy-2-methyl-1-(1-oxo-3-phenyl-2-propenyl)-1*H*-indole-3-acetic acid



(4-methoxyphenyl)-hydrazine hydrochloride

cinnamoyl chloride

(I)



levulinic acid

Reference(s):
US 3 576 800 (Sumitomo; 27.4.1971; J-prior. 12.5.1966, 27.6.1966, 30.6.1966, 8.7.1966, 1.8.1966, 19.8.1966, 15.12.1966, 16.12.1966, 20.12.1966, 6.1.1967, 7.1.1967, 16.1.1967, 17.1.1967).
ZA 672 683 (Sumitomo; appl. 12.4.1967; J-prior. 12.5.1966, 27.6.1966, 30.6.1977).
Yamamoto, H.; Nakao, M.: J. Med. Chem. (JMCMAR) **12**, 176 (1969).

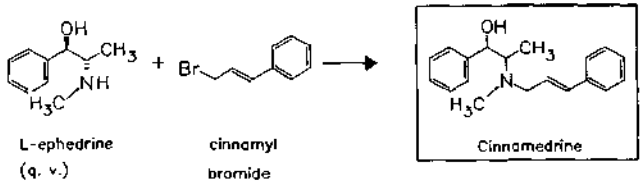
Formulation(s): cps 300 mg; suppos. 375 mg, 750 mg

Trade Name(s):
I: Cindomet (Chiesi); wfm J: Indolacin (Sumitomo)

Cinnamedrine
(Cinnamylephedrine)

ATC: N02
Use: uterine antispasmodic, treatment of menstrua syndrom

RN: 90-86-8 MF: $C_{19}H_{23}NO$ MW: 281.40 EINECS: 202-021-2
CN: α-[1-[methyl(3-phenyl-2-propenyl)amino]ethyl]benzenemethanol



Reference(s):
US 1 959 392 (Winthrop; 1934; D-prior. 1930).
Welsh, L.H.; Kennan, G.L.: J. Am. Pharm. Assoc. (JPHAA3) **30**, 123 (1941).

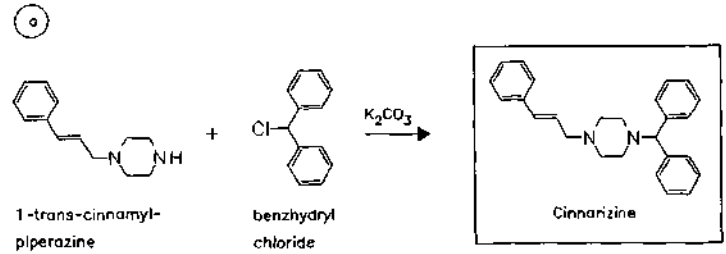
Formulation(s): tabl. 14.9 mg in combination with aspirine, coffeine

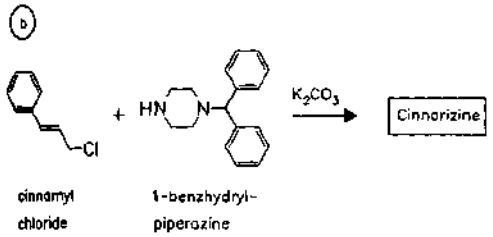
Trade Name(s):
USA: Midol (Glenbrook)-comb.;
wfm

Cinnarizine

ATC: N07CA02
Use: antihistaminic, vasodilator

RN: 298-57-7 MF: $C_{26}H_{28}N_2$ MW: 368.52 EINECS: 206-064-8
LD_{50}: 22 mg/kg (M, i.v.); >4500 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); >6500 mg/kg (R, p.o.);
>500 mg/kg (dog, p.o.)
CN: 1-(diphenylmethyl)-4-(3-phenyl-2-propenyl)piperazine





Reference(s):
US 2 882 271 (Janssen; 14.8.1959; NL-prior. 20.4.1956).
DE 1 086 235 (Janssen; appl. 10.4.1957; NL-prior. 20.4.1956).

combination with dihydroergotamine:
DOS 2 820 937 (Dolorgiet; appl. 12.5.1978).

Formulation(s): cps. 75 mg; tabl. 20 mg, 25 mg, 75 mg

Trade Name(s):

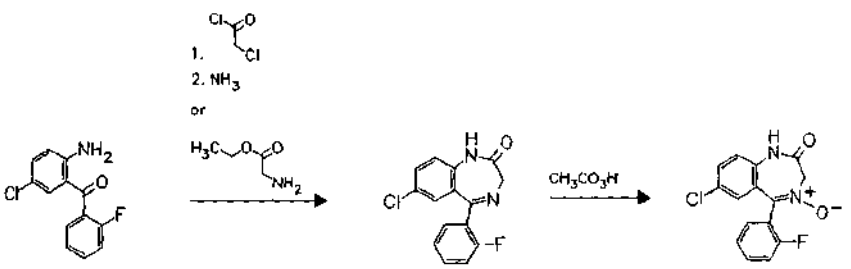
D:	Arlevert (Henning)-comb.	J:	Annarizine (Sioe)	Izaberizin (Toho)
	Cinnacet (Sanofi Winthrop)		Aplactan (Eisai)	Katoseran (Hishiyama)
	Cinnarizin forte R.A.N.		Aplexal (Taiyo-Yakuko	Milactan (Miwa)
	(R.A.N.)		Takayama)	Processine (Sankyo)
F:	Sureptil (Synthélabo)-comb.		Apomiterl (Teizo)	Roin (Maruishi)
GB:	Stugeron (Janssen-Cilag)		Apsatan (Wakamoto)	Salarizine (Iwaki)
I:	Cinazyn (Fisons Italchimici)		Cerebalan (Tobishi)	Sapratol (Daigo-Takeda)
	Stugeron (Janssen)		Corathiem (Ohta)	Sedatromin (Takeda)
	Sureptil (Delalande Isnardi)-comb.		Denapol (Teisan)	Sigmal (Fuji Zoki)
			Eglen (Tatsumi)	Siptazin (Isei)
			Hirdsyn (Fuso)	Spaderizine (Kotobuki)
			Toliman (Corvi)	Tolesmin (Sato)

Cinolazepam

(Ox-373)

ATC: N05CD13
Use: hypnotic benzodiazepine

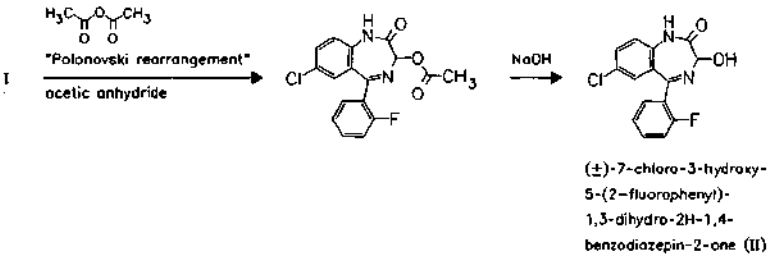
RN: 75696-02-5 MF: $C_{18}H_{13}ClFN_3O_2$ MW: 357.77
LD_{50}: 3.5 g/kg (R, p.o.)
3.5 g/kg (M, p.o)
CN: (±)-7-Chloro-5-(2-fluorophenyl)-2,3-dihydro-3-hydroxy-2-oxo-1*H*-1,4-benzodiazepine-1-propanenitrile

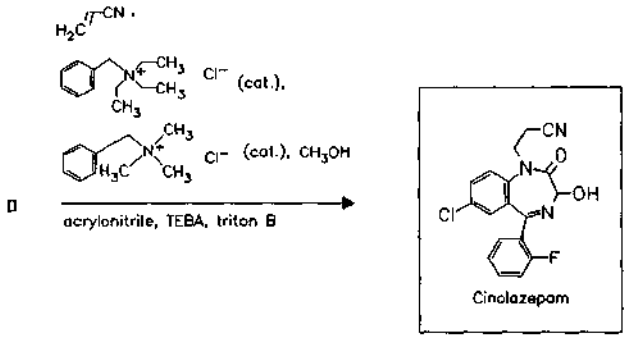


2-amine-5-chloro-2'-fluorobenzophenone (cf. flunitrazepam synthesis, doxefazepam synthesis)

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one

(I)





Reference(s):
DE 2 950 235 (Gerot Pharmazeutika; appl. 23.12.1979; A-prior. 18.12.1978).

synthesis of intermediate II:
Earley, J.V.; Fryer, R.I.; Winter, D.; Sternbach, L.H.: J. Med. Chem. (JMCMAR) **11** (4), 774 (1968).

Formulation(s): tabl. 40 mg

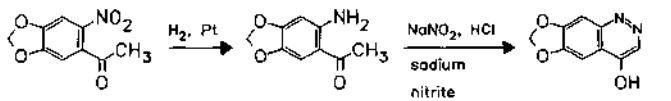
Trade Name(s):
A: Geroderm (Gerot; 1993)

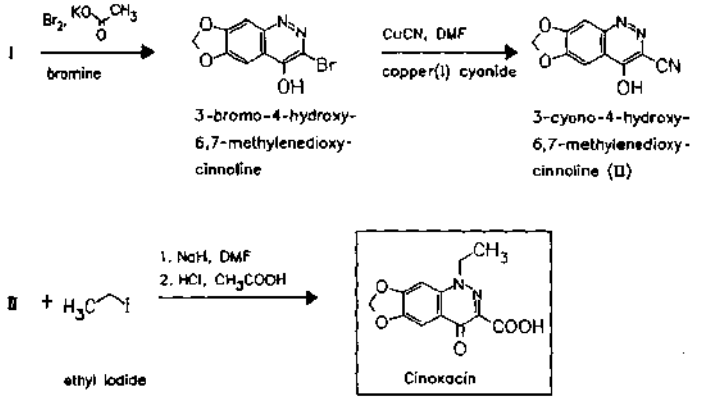
Cinoxacin

(Acidum azolinicum; Azolinic acid)

ATC: G04AB05
Use: antibacterial (treatment of urinary tract infections)

RN: 28657-80-9 MF: $C_{12}H_{10}N_2O_5$ MW: 262.22 EINECS: 249-133-8
LD_{50}: 900 mg/kg (R, i.v.); 4160 mg/kg (R, p.o.)
CN: 1-ethyl-1,4-dihydro-4-oxo[1,3]dioxolo[4,5-g]cinnoline-3-carboxylic acid





Reference(s):
US 3 669 965 (Eli Lilly; 13.6.1972; prior. 29.12.1969).
DOS 2 005 104 (Eli Lilly; appl. 4.2.1970).

Formulation(s): cps. 250 mg, 500 mg

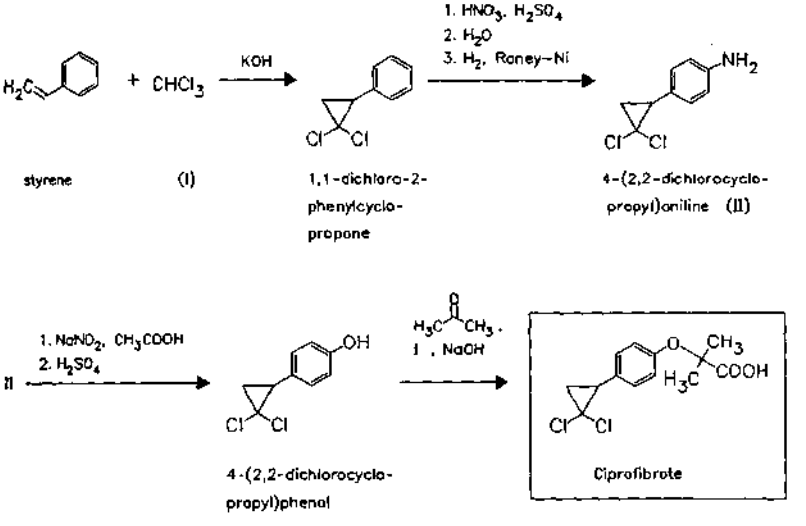
Trade Name(s):

D:	Cinoxacin (Rosen Pharma)	Noxigram (Firma)	J:	Cinobact (Shionogi)
GB:	Cinobac (Lilly; 1979)	Uronorm (Alfa Wassermann)	USA:	Cinobac (Dista; 1981); wfm
I:	Cinobac (Lilly)	Uroxacin (Malesci)		
	Nossacin (Corvi)			

Ciprofibrate

ATC: B04AC; C01AB08
Use: antihyperlipidemic, clofibrate derivative

RN: 52214-84-3 MF: $C_{13}H_{14}Cl_2O_3$ MW: 289.16 EINECS: 257-744-6
CN: 2-[4-(2,2-dichlorocyclopropyl)phenoxy]-2-methylpropanoic acid



Reference(s):
US 3 948 973 (Sterling Drug; 6.4.1976; prior. 29.8.1972).
DOS 2 343 606 (Sterling Drug; appl. 29.8.1973; USA-prior. 29.8.1972).

synthesis of 4-(2,2-dichlorocyclopropyl)aniline:
Nefedov, O.M.; Shafran, R.N.: Zh. Org. Khim. (ZORKAE) **1974**, 477.
C.A. (CHABA8) **80**, 145626o (1974).

Formulation(s): cps. 100 mg, tabl. 100 mg

Trade Name(s):
F: Lipanor (Sanofi Winthrop; 1985) GB: Modalim (Sanofi Winthrop)

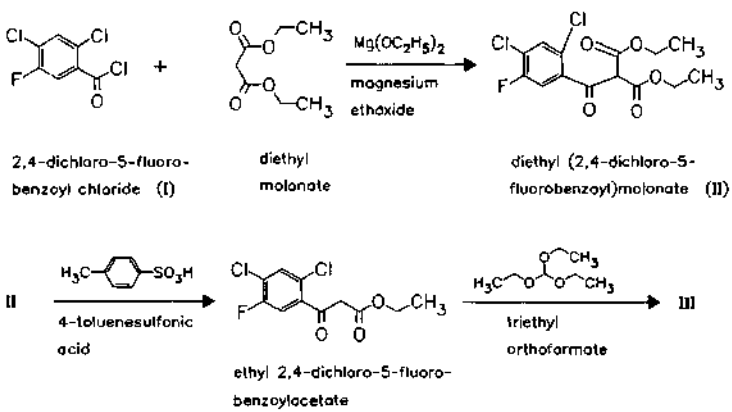
Ciprofloxacin
(Bay-o-9867)

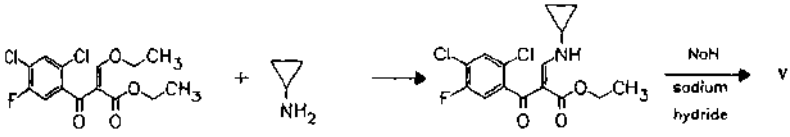
ATC: J01MA02; S03AA07
Use: antibacterial

RN: 85721-33-1 MF: $C_{17}H_{18}FN_3O_3$ MW: 331.35
LD_{50}: 122 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
207 mg/kg (R, i.v.); >2 g/kg (R, p.o.)
CN: 1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-3-quinolinecarboxylic acid

monohydrate
RN: 113078-43-6 MF: $C_{17}H_{18}FN_3O_3 \cdot H_2O$ MW: 349.36
monohydrochloride
RN: 93107-08-5 MF: $C_{17}H_{18}FN_3O_3 \cdot HCl$ MW: 367.81
hydrochloride
RN: 86483-48-9 MF: $C_{17}H_{18}FN_3O_3 \cdot xHCl$ MW: unspecified
LD_{50}: 258 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
300 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
lactate (1:1)
RN: 97867-33-9 MF: $C_{17}H_{18}FN_3O_3 \cdot C_3H_6O_3$ MW: 421.43

a

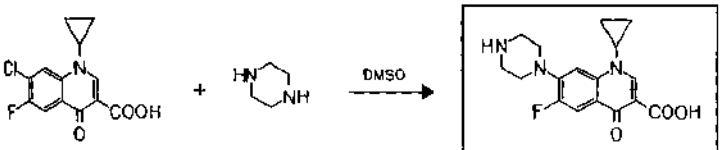




ethyl 2-(2,4-dichloro-5-fluorobenzoyl)-3-ethoxyacrylate (III)

cyclopropylamine (IV)

ethyl 3-cyclopropylamino-2-(2,4-dichloro-5-fluorobenzoyl)acrylate

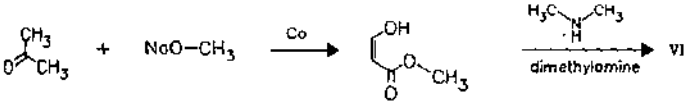


7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid (V)

piperazine

Ciprofloxacin

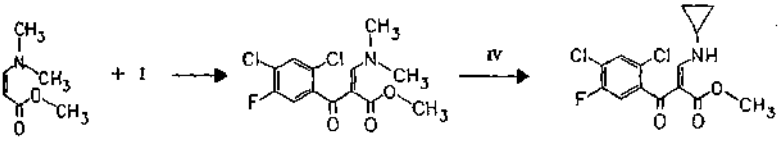
(b)



acetone

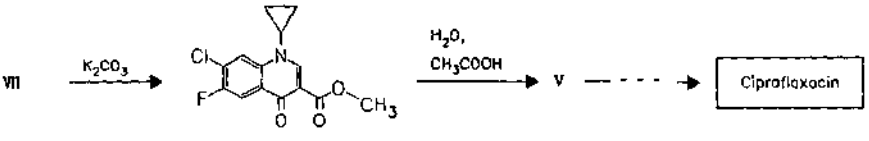
sodium methylate

methyl 3-hydroxyacrylate



methyl 3-dimethylamino-acrylate (VI)

(VII)



Reference(s):
EP 49 355 (Bayer AG; appl. 21.8.1981; D-prior. 3.9.1980).
US 4 670 444 (Bayer AG; 2.6.1987; D-prior. 3.9.1980).
DE 3 273 892
DOS 3 142 854 (Bayer AG; appl. 29.10.1981).
US 4 620 007 (Bayer AG; 28.10.1986; D-prior. 3.9.1980, 29.10.1981).
Grohe, K.; Heitzer, H.: Liebigs Ann. Chem. (LACHDL) **1987**, 29.
EP 657 448 (Bayer AG; appl. 28.11.1994; D-prior. 10.12.1993).

Formulation(s): amp. 100 mg/10 ml, 200 mg/200 ml, 400 mg/400 ml; eye drops 3 mg/3 ml; tabl. 100 mg, 200 mg, 250 mg, 500 mg, 750 mg; vial 100 mg/50 ml, 200 mg/100 ml (as hydrochloride)

Trade Name(s):

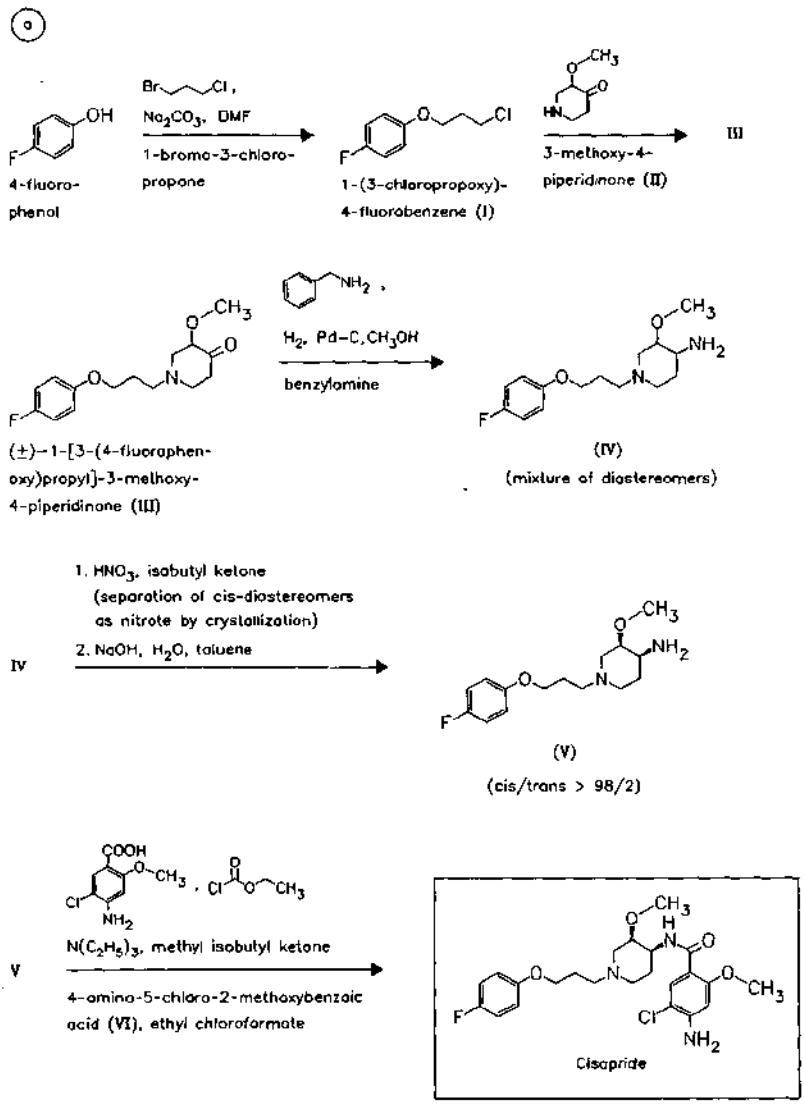
D:	Ciloxan (Alcon)	F:	Ciflox (Bayer)		Flociprin (IBI; 1989)
	Ciprobay (Bayer Vital; 1987)	GB:	Ciloxan (Alcon)	USA:	Ciloxan (Alcon)
			Ciproxin (Bayer; 1987)		Cipro (Bayer; 1987)
	Uniflox (Bayer)	I:	Ciproxin (Bayer; 1989)		

Cisapride

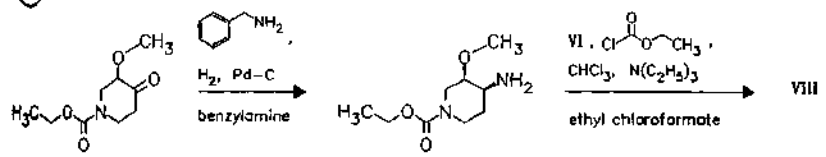
ATC: A03FA02
Use: gastrokinetic, promotility

RN: 81098-60-4 MF: $C_{23}H_{29}ClFN_3O_4$ MW: 465.95 EINECS: 279-689-7
CN: (±)-*cis*-4-Amino-5-chloro-2-methoxy-*N*-[1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidyl]benzamide

(+)-tartrate
RN: 189888-25-3 MF: $C_{23}H_{29}ClFN_3O_4 \cdot C_4H_6O_6$ MW: 616.04

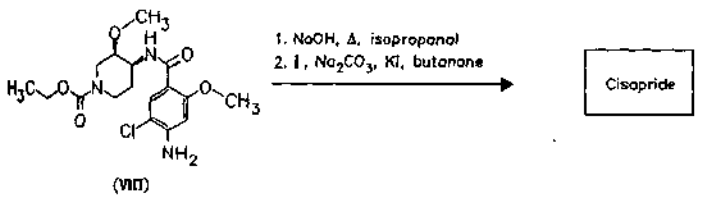


(b)



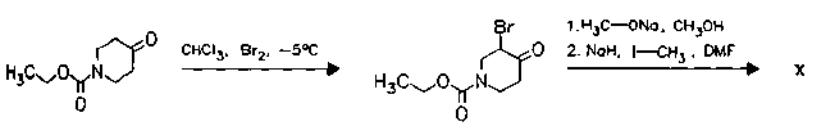
ethyl (±)-3-methoxy-4-oxo-1-piperidine-carboxylate (VII)

ethyl (±)-cis-4-amino-3-methoxy-1-piperidine-carboxylate



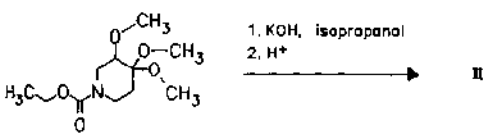
(VIII)

preparation of 3-methoxy-4-piperidinone (II):



ethyl 4-oxo-1-piperidinecarboxylate (IX)

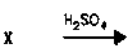
ethyl (±)-3-bromo-4-oxo-1-piperidine-carboxylate



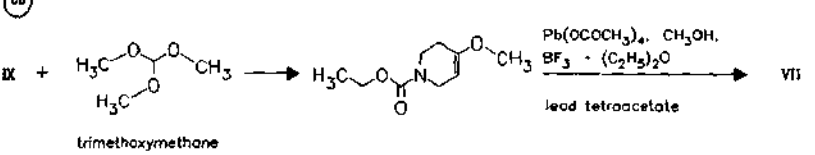
ethyl (±)-3,4,4-trimethoxy-1-piperidine-carboxylate (X)

preparation of ethyl (±)-3-methoxy-4-oxo-1-piperidinecarboxylate (VII):

(ba)



(bb)



Reference(s):

a WO 9 816 511 (Janssen Pharmaceuticals; appl. 9.10.1997; EP-prior. 15.10.1996).
a,b EP 76 530 (Janssen Pharmaceuticals; 13.4.1983; USA-prior. 1.10.1981).
bb Singh, V.S.; Singh, C.; Dikshit, D.K.: Synth. Commun. (SYNCAV) **28** (1), 45 (1998).

Formulation(s): f. c. cps. 400 mg; susp. 1 mg/ml (as hydrate); tabl. 5 mg, 10 mg, 20 mg, 25 mg, 50 mg, 100 mg.

Trade Name(s):

D:	Alimix (Janssen-Cilag)	GB:	Prepulsid (Janssen-Cilag)		Prepulsid (Janssen-Cilag)
	Propulsin (Janssen-Cilag)	I:	Alimix (Cilag)	USA:	Propulsid (Janssen; 1997)
F:	Prepulsid (Janssen-Cilag)		Cipril (Fisons)		

Cisatracurium besylate

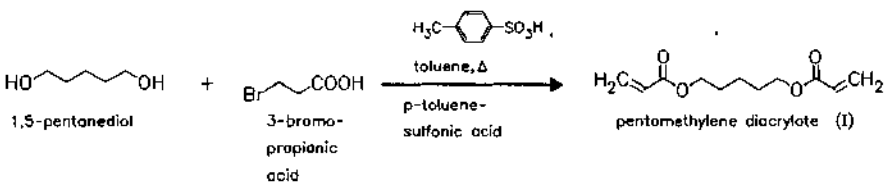
(51W89; 51W)

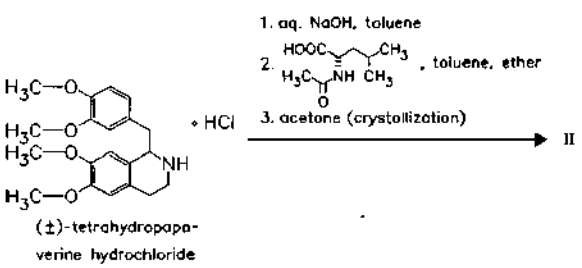
ATC: M03AC11
Use: neuromuscular blocker

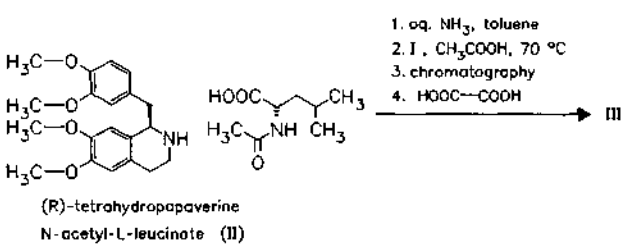
RN: 96946-42-8 MF: $C_{53}H_{72}N_2O_{12} \cdot 2C_6H_5O_3S$ MW: 1243.50
CN: [1*R*-[1α,2α(1'*R**,2'*R**)]]-2,2'-[1,5-pentanediylbis[oxy(3-oxo-3,1-propanediyl)]]bis[1-[(3,4-dimethoxyphenyl)methyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-2-methylisoquinolinium] dibenzenesulfonate

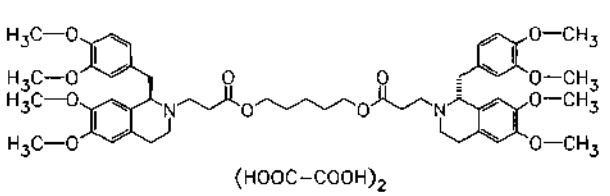
cation

RN: 96946-41-7 MF: $C_{53}H_{72}N_2O_{12}$ MW: 929.16

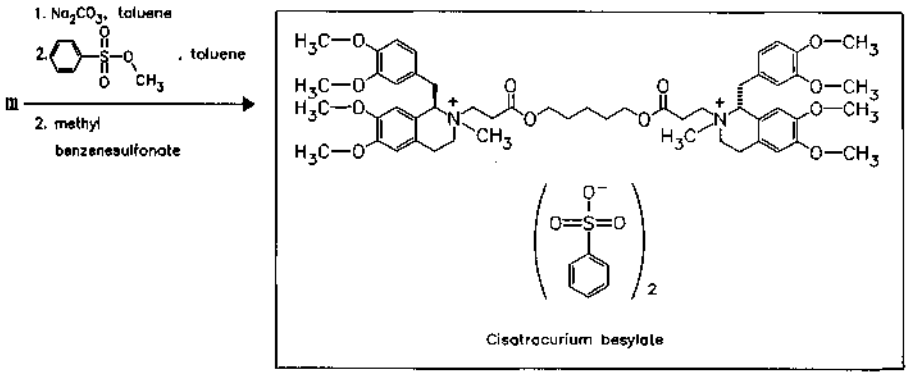








(1R,1'R)-2,2'-(3,11-dioxa-4,10-dioxatrideca-methylene)bis-(1,2,3,4-tetrahydro-6,7-dimeth-oxy-1-veratrylisoquinaline) dioxalate (III)



Reference(s):
WO 9 200 965 (Wellcome Foundation; appl. 23.1.1992; GB-prior. 13.7.1990).
US 5 453 510 (Burroughs Wellcome Co.; appl. 26.9.1995; GB-prior. 13.7.1990; USA-prior. 12.7.1991).
Boyd, A.H. et al.: Br. J. Anaesth. (BJANAD) **74** (4), 400 (1995).

Formulation(s): amp. (inj.) 2 mg/ml (25 ml, 10 ml, 2.5 ml)

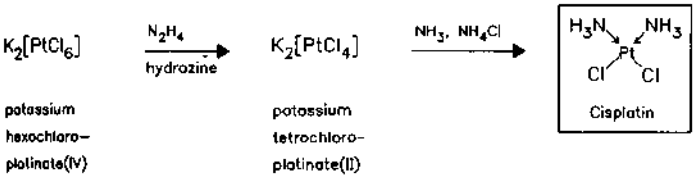
Trade Name(s):

D:	Nimbex (Glaxo Wellcome; Zeneca)	GB:	Nimbex (Glaxo Wellcome; as besylate)	J:	Ciprxan (Bayer)
				USA:	Nimbex (Glaxo Wellcome)

Cisplatin

ATC: L01XA01
Use: antineoplastic

RN: 15663-27-1 MF: $Cl_2H_6N_2Pt$ MW: 300.05 EINECS: 239-733-8
LD_{50}: 3.4 mg/kg (R, i.v.);
9.7 mg/kg (g. p., i.v.)
CN: diamminedichloroplatinum (*SP*-4-2)



Reference(s):
US 4 273 755 (MPD Techn.; 16.6.1981; prior. 16.8.1979).
EP 30 782 (MPD Techn.; USA-prior. 16.8.1979).
DE 3 305 248 (Degussa AG; D-prior. 16.2.1983).
Kaufmann, G.B. et al.: Inorg. Synth. (INSYA3) **7**, 239 (1963).
Rosenberg, B. et al.: Nature (London) (NATUAS) **222**, 385 (1969).

injectable solution:
DOS 2 906 700 (Bristol-Myers; appl. 21.2.1979; USA-prior. 30.5.1978).

Formulation(s): vial (lyo.) 10 mg, 25 mg, 50 mg; vial (sol.) 10 mg/20 ml, 50 mg/100 ml, 100 mg/200 ml

Trade Name(s):

D:	Cisplatin Azupharma (Azupharma)	Cisplatin-Lösung (ASTA Medica AWD)	Cisplatin medac (medac)

	Platiblastin (Pharmacia & Upjohn)	GB:	Neoplatin (Mead Johnson; 1979); wfm		Pronto Platamine (Farmitalia)
	Platinex (Bristol-Myers Squibb; 1979)		Platinex (Bristol-Myers); wfm		generics and combination preparations
	generics and combination preparations		Platosin (Nordic); wfm	J:	Briplatin (Bristol Squibb)
F:	Cisplatine Dakota (Dakota)	I:	Citoplatino (Rhône-Poulenc Rorer)		Randa (Nippon Kayaku)
	Cisplatine Lilly (Lilly)		Platamine (Farmitalia)	USA:	Platinol (Bristol-Myers Squibb; 1978)
	Cisplatyl (Rhône-Poulenc Rorer Bellon)		Platinex (Bristol It. Sud)		

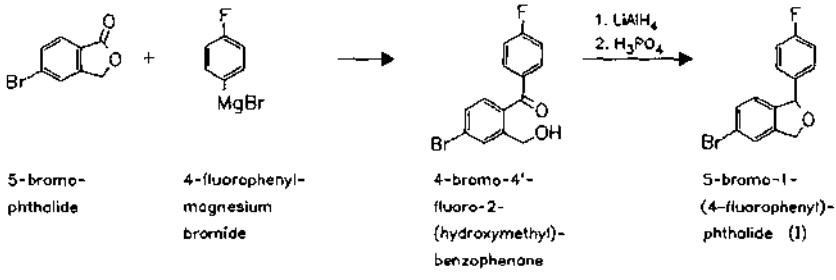
Citalopram

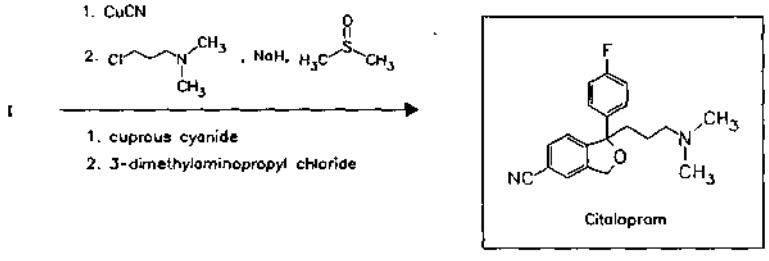
(Nitalapram; LU 10171; ZD-211)

ATC: N06AB04
Use: antidepressant, selective serotonin-uptake inhibitor

RN: 59729-33-8 MF: $C_{20}H_{21}FN_2O$ MW: 324.40 EINECS: 261-891-1
CN: 1-[3-(dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydro-5-isobenzofurancarbonitrile

monohydrobromide
RN: 59729-32-7 MF: $C_{20}H_{21}FN_2O \cdot HBr$ MW: 405.31 EINECS: 261-890-6
monohydrochloride
RN: 85118-27-0 MF: $C_{20}H_{21}FN_2O \cdot HCl$ MW: 360.86 EINECS: 285-680-9
fumarate
RN: 107190-73-8 MF: $C_{20}H_{21}FN_2O \cdot xC_4H_4O_4$ MW: unspecified





Reference(s):
DE 2 657 013 (Kefalas; appl. 16.12.1976; GB-prior. 14.1.1976).
US 4 136 193 (Kefalas; 7.1.1977; appl. 23.1.1979; GB-prior. 14.1.1976).
Bigler, A.J. et al.: Eur. J. Med. Chem. (EJMCA5) **12**, 289 (1977).

alternative synthesis:
EP 171 943 (Lundbeck; appl. 19.7.1985; GB-prior. 6.8.1984).
WO 9 819 511 (Lundbeck; appl. 10.11.1997; WO-prior. 10.11.1997).
WO 9 819 512 (Lundbeck; WO-prior. 10.12.1997).
WO 9 819 513 (Lundbeck; DK-prior. 8.7.1997).

synthesis of enantiomers:
EP 347 066 (Lundbeck; appl. 1.6.1989; GB-prior. 14.6.1988).

preparation of 5-bromophthalide:
Levy; Stephen: J. Chem. Soc. (JCSOA9) **1931**, 867, 870.

Formulation(s): f. c. tabl. 20 mg, 40 mg (as hydrobromide)

Trade Name(s):

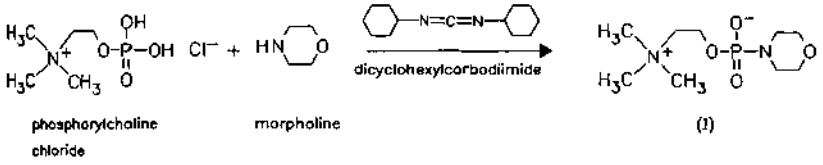
D:	Cipramil (Promonta Lundbeck)	GB:	Cipramil (Lundbeck; as hydrochloride)	USA:	Celexa (Forest)

Citicoline

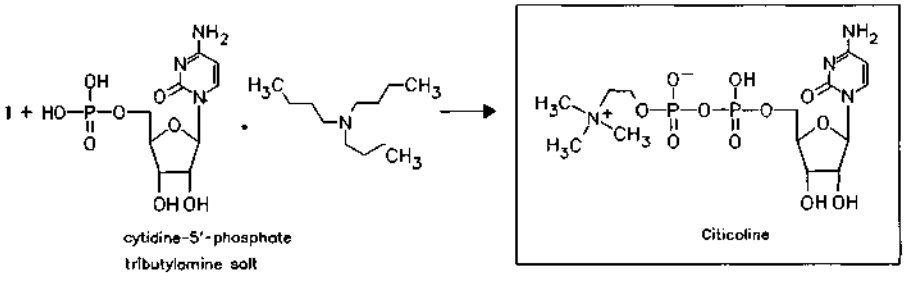
ATC: N06BX06
Use: cerebrostimulant, antiparkinsonian, lipometabolism coenzyme (lecithin- and plasmalogen biosynthesis)

RN: 987-78-0 MF: $C_{14}H_{26}N_4O_{11}P_2$ MW: 488.33 EINECS: 213-580-7
LD_{50}: 4600 mg/kg (M, i.v.); 27.142 g/kg (M, p.o.);
2973 mg/kg (R, i.v.); 18.501 g/kg (R, p.o.)
CN: cytidine-5'-(trihydrogen diphosphate) mono[2-(trimethylammonio)ethyl] ester hydroxide inner salt

(a)



phosphorylcholine chloride — morpholine — (I)



(b) fermentatively from cytidylic acid, choline phosphate and glucose in presence of alkali phosphates, magnesium sulfate by means of microorganisms, which produce fructose-1,6-bisphosphate

Reference(s):
Kennedy, E.P.: J. Biol. Chem. (JBCHA3) **222**, 185 (1956).

a JP-appl. 7 004 747 (Takeda; appl. 18.12.1967).
similar processes:
JP-appl. 6 540 ('64) (Takeda; appl. 11.5.1960).
JP-appl. 6 541 ('64) (Takeda; appl. 23.8.1960).
JP-appl. 13 024 ('60) (Takeda; appl. 9.9.1960).
JP-appl. 1 384 ('67) (Takeda; appl. 22.8.1963).
JP-appl. 7 004 505 (Toho; appl. 22.9.1967).

crystalline monohydrate:
DOS 2 019 308 (Takeda; appl. 22.4.1970; J-prior. 24.4.1969).
b DOS 2 054 785 (Asahi; appl. 6.11.1970; J-prior. 26.11.1969).

similar process:
DOS 2 037 988 (Kyowa Hakko; appl. 30.7.1970; J-prior. 4.8.1969).

Formulation(s): amp. 250 mg/2 ml, 500 mg/4 ml, 1000 mg/8 ml (as sodium salt)

Trade Name(s):

F: Rexort (Takeda)

I: Anticolin (Farge)
Brassel (Schiapparelli Searle)
Cebroton (Sancarlo)
Cidifos (Neopharmed)
Cidilin (Errekappa Euroter.)
Citicolin (Piam)
Citifar (Lafare)
Citsav (Savio IBN)
Difosfocin (Magis)
Encelin (Crosara)
Flussorex (Lampugnani)
Gerolin (CT)
Kemodyn (Esseti)
Logan (Ist. Chim. Inter.)
Neurex (Salus Research)
Neuroton (Nuovo Cons. Sanit. Naz.)
Nicholin (Cyanamid)
Nicotsint (Leben's)
Polineural (Biotekfarma)
Sinkron (Ripari-Gero)
Sintoclar (Pulitzer)

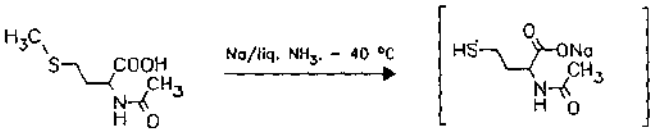
J: Andes (Nippon Kayaku)
Ceregut (Kodama)
Colite (Nippon Chemiphar)
Corenalin (Kaken)
Cyscholin (Kanto)
Daicoline (Daisan)
Dereb (Ohta)
Emicholine-F (Dojin)
Emilian (Beppu)
Ensign (Yamanouchi)
Erholen (Nichiiko)
Haibrain (Ono)
Hornbest (Hoei)
Intelon (Takata)
Nicholin (Takeda)
Plube (Mochida)
Recognan (Toyo Jozo)
Rupis (Vitacain)
Suncholin (Mohan)

Citiolone

(Acetylhomocysteine thiolactone)

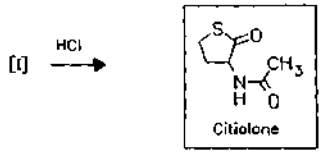
ATC: A05BA04
Use: liver therapeutic

RN: 1195-16-0 MF: $C_6H_9NO_2S$ MW: 159.21 EINECS: 214-793-8
LD_{50}: 1200 mg/kg (M, i.v.)
CN: *N*-(tetrahydro-2-oxo-3-thienyl)acetamide



N-acetyl-DL-methionine

N-acetylhomocysteine sodium salt (I)



Citiolone

Reference(s):
DE I 134 683 (Degussa; appl. 16.3.1961).

Formulation(s): cps. 200 mg, 400 mg; gran. 200 mg; suppos. 250 mg, 500 mg

Trade Name(s):

D: Contratum Ultra (Hermal)-comb.; wfm
Hepa-Merz (Merz)-comb.; wfm

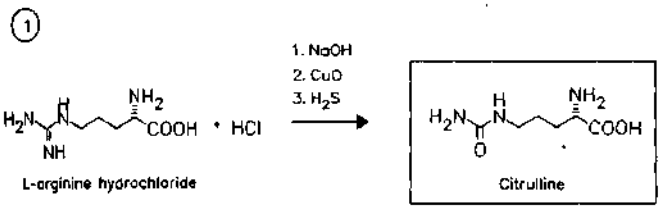
Hepasteril B. compositum, forte (Fresenius)-comb.; wfm
Mederma (Merz)-comb.; wfm
Reducdyn (Nordmark)-comb.; wfm
Sterofundin-CH (Braun Melsungen); wfm
Tutofusin LC (Pfrimmer); wfm
F: Thioncycline (Merrell)-comb.; wfm
Thioxidréne (Bottu); wfm
I: Citiolase (Roussel)

Citrulline

ATC: V03AB99
Use: liver therapeutic

RN: 372-75-8 MF: $C_6H_{13}N_3O_3$ MW: 175.19 EINECS: 206-759-6
CN: N^5-(aminocarbonyl)-L-ornithine

malate (1:1)
RN: 70796-17-7 MF: $C_6H_{13}N_3O_3 \cdot C_4H_4O_4$ MW: 291.26



2 by fermentation
a from Saccharomyces genus
b from ornithine
c from Arthrobacter

Reference(s):
1 Fox, S.W.: J. Biol. Chem. (JBCHA3) **123**, 687 (1938).
2a JP 52 143 288 (Kyowa; appl. 20.5.1976).
2b JP 50 148 588 (Miura; appl. 23.5.1974).
2c JP 53 075 387 (Kyowa; appl. 13.12.1976).

alternative syntheses:
JP 122 48/67 (Ajinomoto; appl. 11.9.1965).
JP 117 58/68 (Kyowa; appl. 15.11.1965).
Fox, S.W. et al.: J. Org. Chem. (JOCEAH) **6**, 410 (1941).

crystallization:
JP 7 100 174 (Ajinomoto; appl. 20.11.1968).

isolation from Citrullus vulgaris Schrad.:
Wada, M.: Biochem. Z. (BIZEA2) **224**, 420 (1930).

use as liver therapeutic:
FR-M 4 182 (Inst. de Recherche Sci.; appl. 9.3.1965).
FR-M 5 594 (Dimaphar; appl. 1.7.1966).
FR-M 5 703 (Lab. Carriere Carron; appl. 30.8.1965).
FR-M 6 305 (Dimaphar; appl. 15.12.1966).

use as digestant:
FR-M 5 695 (Lab. Carriere Carron; appl. 29.8.1966).

citrulline fumarate:
FR-M 6 306 (Dimaphar; appl. 15.12.1966).

citrulline maleate:
FR-M 6 443 (Dimaphar; appl. 21.4.1967).

Formulation(s): amp. 60 mg/15 ml; drg. 25 mg, 100 mg

Trade Name(s):

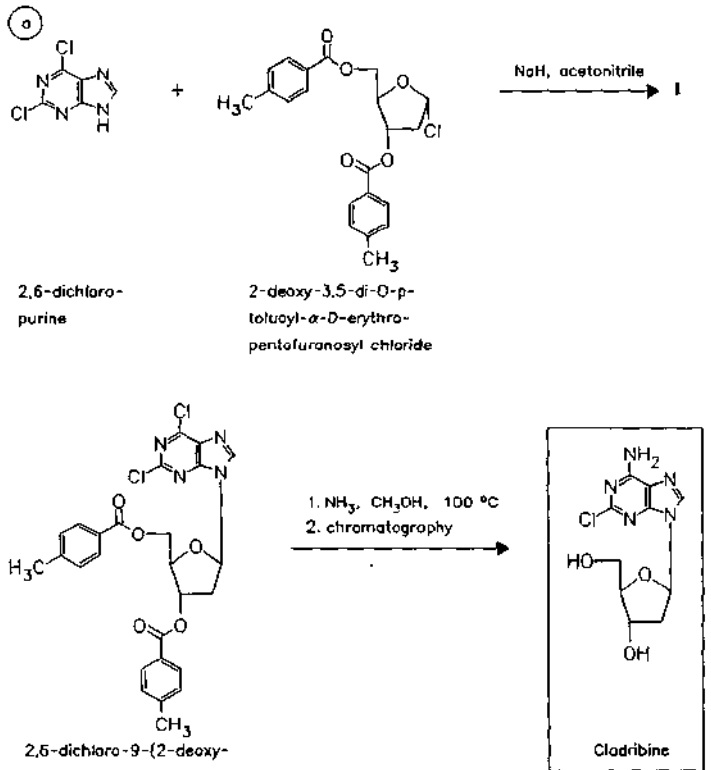
D:	Polilevo (Taurus Pharma)-comb.		Perifago (Pharmacia & Upjohn)		Citruplexina (Synthelabo)-comb.
F:	Azonutril (Pharmacia & Upjohn)-comb.		Stimol (Biocodex; as malate)		Ipoazotal (SIT)-comb.
	Epuram (Pharmafarm)-comb.	I:	Biotassina (UCM)-comb.		

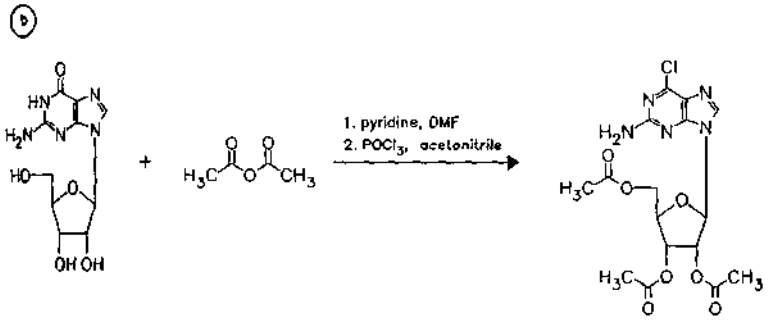
Cladribine

(NSC-105014-F; RWJ-26251; 2-CdA)

ATC: L01BB04
Use: antineoplastic

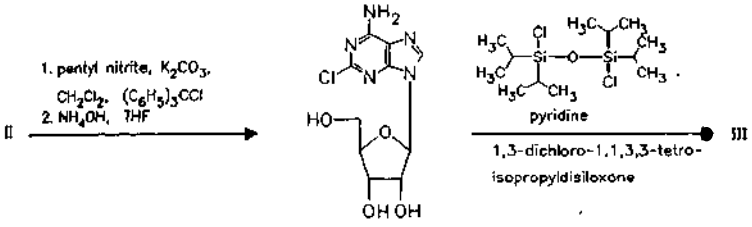
RN: 4291-63-8 MF: $C_{10}H_{12}ClN_5O_3$ MW: 285.69
CN: 2-chloro-2'-deoxyadenosine





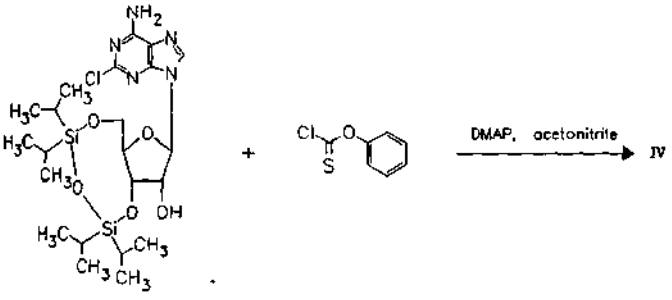
guanosine

9-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-2-amino-6-chloropurine (II)



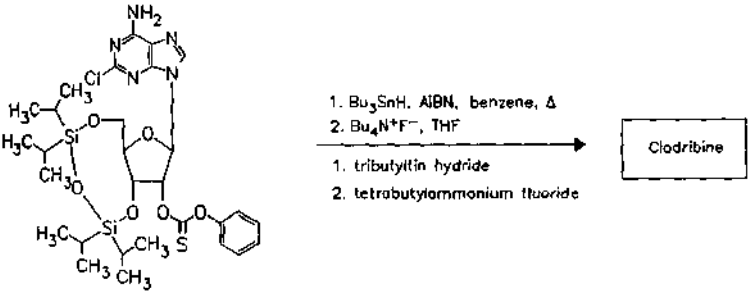
2-chloro-adenosine

1,3-dichloro-1,1,3,3-tetra-isopropyldisiloxane



(III)

O-phenyl chloro-thioformate



2-chloro-2'-O-phenoxy-thiocarbonyl-3',5'-O-(tetraisopropyldisiloxanylene)-adenosine (IV)

Reference(s):

a Kazimierczuk, Z. et al.: J. Am. Chem. Soc. (JACSAT) **106**, 6379-6382 (1984).
EP 173 059 (Univ. Brigham Young; appl. 17.7.1985; USA-prior. 6.8.1984, 15.1.1987).
Christensen, L.F. et al.: J. Med. Chem. (JMCMAR) **15**, 735 (1972).

b US 5 208 327 (Ortho Pharm. Corp.; appl. 16.4.1992; USA-prior. 18.12.1991).

compositions for treatment of rheumatoid arthritis:
US 5 310 732 (Scripps Res. Inst.; appl. 19.2.1992; USA-prior. 3.2.1986).

Formulation(s): inj. sol. 10 mg/10 ml

Trade Name(s):

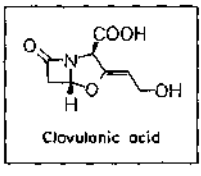
D:	Leustatin (Janssen-Cilag)	GB:	Leustat (Janssen-Cilag)
F:	Leustatine (Janssen-Cilag)	USA:	Leustatin (Ortho Biotech)

Clavulanic acid

ATC: J01CR02
Use: β-lactamase inhibitor

RN: 58001-44-8 MF: $C_8H_9NO_5$ MW: 199.16 EINECS: 261-069-2
LD_{50}: 4 g/kg (M, i.v.); 4526 mg/kg (M, p.o.);
7936 mg/kg (R, p.o.)
CN: [2*R*-(2α,3*Z*,5α)]-3-(2-hydroxyethylidene)-7-oxo-4-oxa-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 57943-81-4 MF: $C_8H_8NNaO_5$ MW: 221.14 EINECS: 261-032-0
LD_{50}: 4 g/kg (M, i.p.); 4500 mg/kg (M, s.c.)



Clavulanic acid

From cultures of *Streptomyces clavuligerus*.

Reference(s):
US 4 529 720 (Beecham; 16.7.1985; GB-prior. 2.4.1974).
US 4 367 175 (Glaxo; 4.1.1983; GB-prior. 7.2.1975).
GB 1 508 977 (Beecham; appl. 11.4.1975; GB-prior. 20.4.1974, 21.6.1974, 9.10.1974, 11.12.1974).
DOS 2 517 316 (Beecham; appl. 18.4.1975; GB-prior. 20.4.1974, 21.6.1974, 9.10.1974, 11.12.1974).
DE 2 560 074 (Beecham; appl. 18.4.1975; GB-prior. 20.4.1974, 21.6.1974, 9.10.1974, 11.12.1974).

pure salts (e. g. Na-, Li- and other salts):
US 4 490 294 (Beecham; 25.12.1984; GB-prior. 7.2.1975, 17.3.1975).
US 4 490 295 (Beecham; 25.12.1984; GB-prior. 7.2.1975, 17.3.1975).
GB 1 543 563 (Glaxo; appl. 7.2.1975, 17.3.1975; Compl. Spect. 6.2.1976).

tert-butylamine salt:
EP 26 044 (Beecham; appl. 15.8.1980; GB-prior. 24.8.1979).
US 4 454 069 (Beecham; 12.6.1984; GB-prior. 24.8.1979).

various salts:
US 4 367 175 (Glaxo; 4.1.1983; GB-prior. 7.2.1975, 17.3.1975).

esters:
GB 1 508 978 (Beecham; appl. 11.4.1975; GB-prior. 20.4.1974, 21.6.1974, 9.10.1974, 11.12.1974).

formulation with amoxicillin:
EP 8 905 (Beecham; appl. 21.8.1979; GB-prior. 6.9.1978).
US 4 301 149 (Beecham; 17.11.1981; GB-prior. 11.10.1977).
EP 49 061 (Beecham; appl. 6.9.1981; GB-prior. 27.9.1980).
EP 52 962 (Beecham; appl. 2.11.1981; GB-prior. 20.11.1980).
GB 2 084 016 (Beecham; GB-prior. 27.9.1980).

formulation with penicillins and cephalosporins:
DOS 2 559 411 (Beecham; appl. 18.4.1975; GB-prior. 20.4.1974, 21.6.1974, 9.10.1974, 11.12.1974).

Formulation(s): drops 12.5 mg/ml; f. c. tabl. 125 mg; tabl. 125 mg; vial 0.1 g, 0.2 g, 0.275 g, 0.6 g, 1.2 g, 2.2 g (as potassium salt)-comb. with amoxicillin

Trade Name(s):

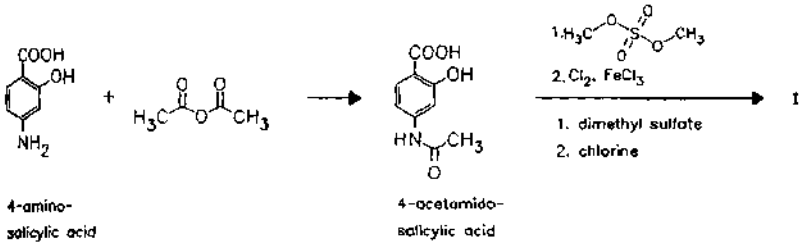
D: Augmentan (SmithKline Beecham; 1982)-comb. with amoxicillin
Betabactyl (SmithKline Beecham)-comb. with ticarcillin
F: Augmentin (SmithKline Beecham; 1984)
Ciblor (Inava)
Claventin (SmithKline Beecham)
GB: Augmentin (SmithKline Beecham; 1984)-comb. with amoxicillin
Timentin (SmithKline Beecham)-comb. with ticarcilline
I: Augmentin (SmithKLine B. Farm.)-comb.
Clavucar (Smith Kline & French)-comb. with ticarcilline
Clavulin (Carlo Erba)-comb.
Neoduplamox (Smith Kline & French)-comb.
Timentin (SmithKline Beecham)-comb. with ticarcilline
J: Augmentin (Beecham-Meiji)-comb. with amoxicillin
USA: Augmentin (SmithKline Beecham; 1984)-comb. with amoxicillin
Timentin (SmithKline Beecham)
all combination preparations with amoxicillin

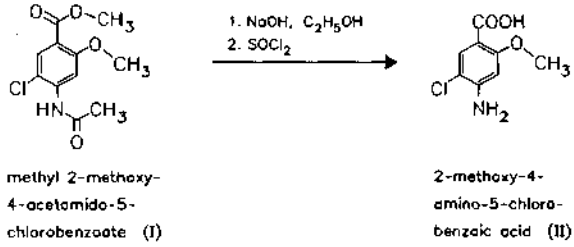
Clebopride

ATC: A03FA06; A04AD
Use: anti-emetic, specific antagonist of peripheral and central dopamine receptors, reversible MAO-inhibitor

RN: 55905-53-8 MF: $C_{20}H_{24}ClN_3O_2$ MW: 373.88 EINECS: 259-885-9
LD_{50}: 260 mg/kg (M, i.m.); 40 mg/kg (M, i.p.); 51 mg/kg (M, i.v.); 490 mg/kg (M, p.o.); 350 mg/kg (M, s.c.); 1450 mg/kg (R, i.m.); 155 mg/kg (R, i.p.); 39 mg/kg (R, i.v.); 2540 mg/kg (R, p.o.); 4850 mg/kg (R, s.c.)
CN: 4-amino-5-chloro-2-methoxy-*N*-[1-(phenylmethyl)-4-piperidinyl]benzamide

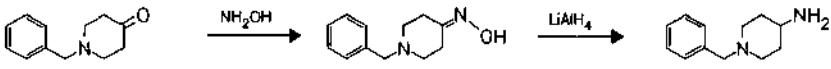
monohydrochloride
RN: 57645-39-3 MF: $C_{20}H_{24}ClN_3O_2 \cdot HCl$ MW: 410.35
LD_{50}: >1 g/kg (M, p.o.)
malate (1:1)
RN: 57645-91-7 MF: $C_{20}H_{24}ClN_3O_2 \cdot C_4H_6O_5$ MW: 507.97 EINECS: 260-874-6
LD_{50}: 51 mg/kg (M, i.v.); 490 mg/kg (M, p.o.);
39 mg/kg (R, i.v.); 2540 mg/kg (R, p.o.);
>800 mg/kg (dog, p.o.)





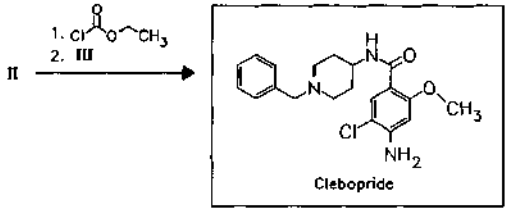
methyl 2-methoxy-4-acetamido-5-chlorobenzoate (I)

2-methoxy-4-amino-5-chloro-benzoic acid (II)



1-benzyl-piperidine-4-one

1-benzyl-4-amino-piperidine (III)



Reference(s):
DE 2 513 136 (Anphar; appl. 21.3.1975; GB-prior. 21.3.1974).
US 4 138 492 (Anphar; 6.2.1979; appl. 17.3.1975; GB-prior. 21.3.1974).
Prieto, J. et al.: J. Pharm. Pharmacol. (JPPMAB) **29**, 147 (1977).

alternative synthesis:
JP 63 295 558 (Asahi; appl. 26.5.1987).
JP 63 295 557 (Asahi; appl. 26.5.1987).

synthesis of intermediates:
JP 63 295 559 (Asahi; appl. 26.5.1987).

transdermal patch:
EP 303 445 (Fordonal; appl. 9.8.1988; J-prior. 13.8.1987).

Formulation(s): amp. 1 mg; sol. 0.5 mg; syrup 0.5 mg; tabl. 0.25 mg, 0.5 mg (as hydrogen maleate)

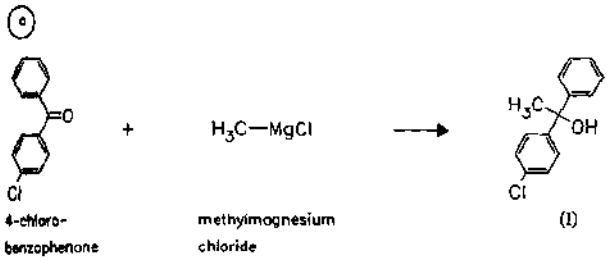
Trade Name(s):
I: Cleprid (Recordati; 1987)
Motilex (Guidotti)
J: Amicos (Banyu; 1985)
Clast (Meiji)

Clemastine
(Meclastine)

ATC: D04AA14; R06AA04
Use: antiallergic, antihistaminic

RN: 15686-51-8 MF: $C_{21}H_{26}ClNO$ MW: 343.90
CN: [*R*-(*R**,*R**)]-2-[2-[1-(4-chlorophenyl)-1-phenylethoxy]ethyl]-1-methylpyrrolidine

hydrogen fumarate (1:1)
RN: 14976-57-9 MF: $C_{21}H_{26}ClNO \cdot C_4H_4O_4$ MW: 459.97 EINECS: 239-055-2
LD_{50}: 43 mg/kg (M, i.v.); 730 mg/kg (M, p.o.);
82 mg/kg (R, i.v.); 3550 mg/kg (R, p.o.)



Reference(s):
Ebnöther, A.; Weber, H.-P.: Helv. Chim. Acta (HCACAV) **59**, 2462 (1976).
GB 942 152 (Sandoz; appl. 14.12.1960; CH-prior. 19.1.1960, 3.8.1960, 27.9.1960).
FR-M 1 313 (Sandoz; appl. 13.7.1961).

preparation of 2-(2-chloroethyl)-1-methylpyrrolidine *enantiomers:*
Vernier, J.M. et al.: J. Med. Chem. (JMCMAR) **42** (10), 1684 (1999).

Formulation(s): amp. 2 mg/5 ml; gel 300 mg/g (as hydrogen fumarate); syrup 0.5 mg/10 ml; tabl. 1 mg

Trade Name(s):

D: Corto-Tavegil (Novartis Pharma)-comb.
Tavegil (Novartis Consumer Health)
F: Tavégil (Sandoz); wfm
GB: Tavegil (Novartis; as hydrogen fumarate)
I: Tavegil (Sandoz)
J: Alagyl (Sawai)
Alusas (Fuso)
Anhistan (Nippon Zoki)
Antriptin (Nippon Yakuhin)
Batomu (Zensei)
Benanzyl (Isei)
Chlonaryl (Ohta)
Clemanyl (Kyoritsu Yamagata)
Fuluminol (Tatsumi)
Fumalestine (Hishiyama)
Fumartin (Torii)
Histamedine (Mohan)
Inbestan (Maruko)
Kinotomin (Toa Eiyo)

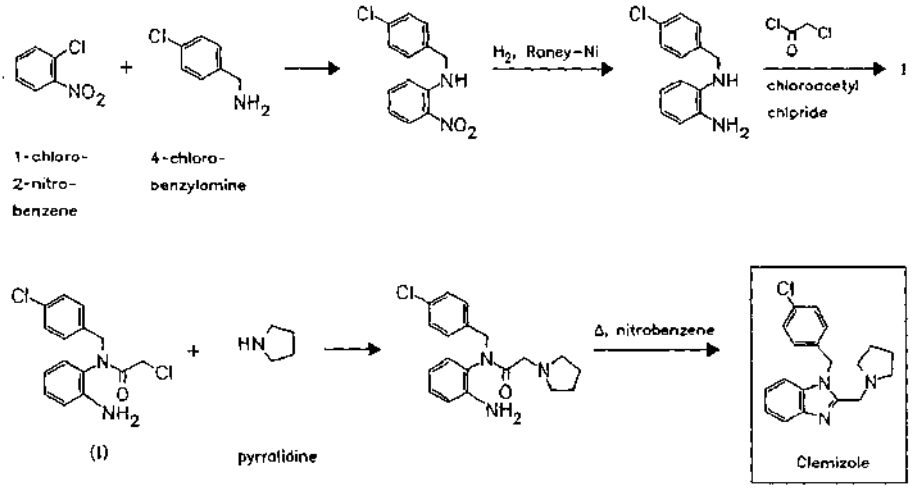
Lacretin (Tokyo Tanabe)
Lecasol (Kaken)
Maikohis (Nihon Yakuhin)
Mallermin-F (Taiyo Yakuko)
Marsthine (Towa)
Masletenc (Shioe)
Natarilan (Nippon Chemiphar)
Piloral (Nippon Kayaku)
Raseltin (Maruishi)
Reconin (Toyama)
Romien (Fuji Zoki)
Tavegyl (Sandoz-Sankyo)
Telgin G (Takata)
Trabest (Hoei)
Xolamin (Sanko)
generics and combination preparations
USA: Tavist (Dorsey); wfm
Travist (Sandoz); wfm

Clemizole

ATC: R06A
Use: antihistaminic, antiallergic

RN: 442-52-4 MF: $C_{19}H_{20}ClN_3$ MW: 325.84 EINECS: 207-133-5
CN: 1-[(4-chlorophenyl)methyl]-2-(1-pyrrolidinylmethyl)-1*H*-benzimidazole

monohydrochloride
RN: 1163-36-6 MF: $C_{19}H_{20}ClN_3 \cdot HCl$ MW: 362.30 EINECS: 214-605-4
LD_{50}: 75 mg/kg (M, i.v.); 837 mg/kg (M, p.o.);
74 mg/kg (R, i.v.); 1950 mg/kg (R, p.o.)



Reference(s):
US 2 689 853 (Schering AG; 1954; D-prior. 1950).

alternative syntheses:
DE 980 644 (Schering AG; appl. 1950).
DE 901 649 (Schering AG; appl. 1951).

Formulation(s): cream 10 mg/40 g; suppos. 5 mg

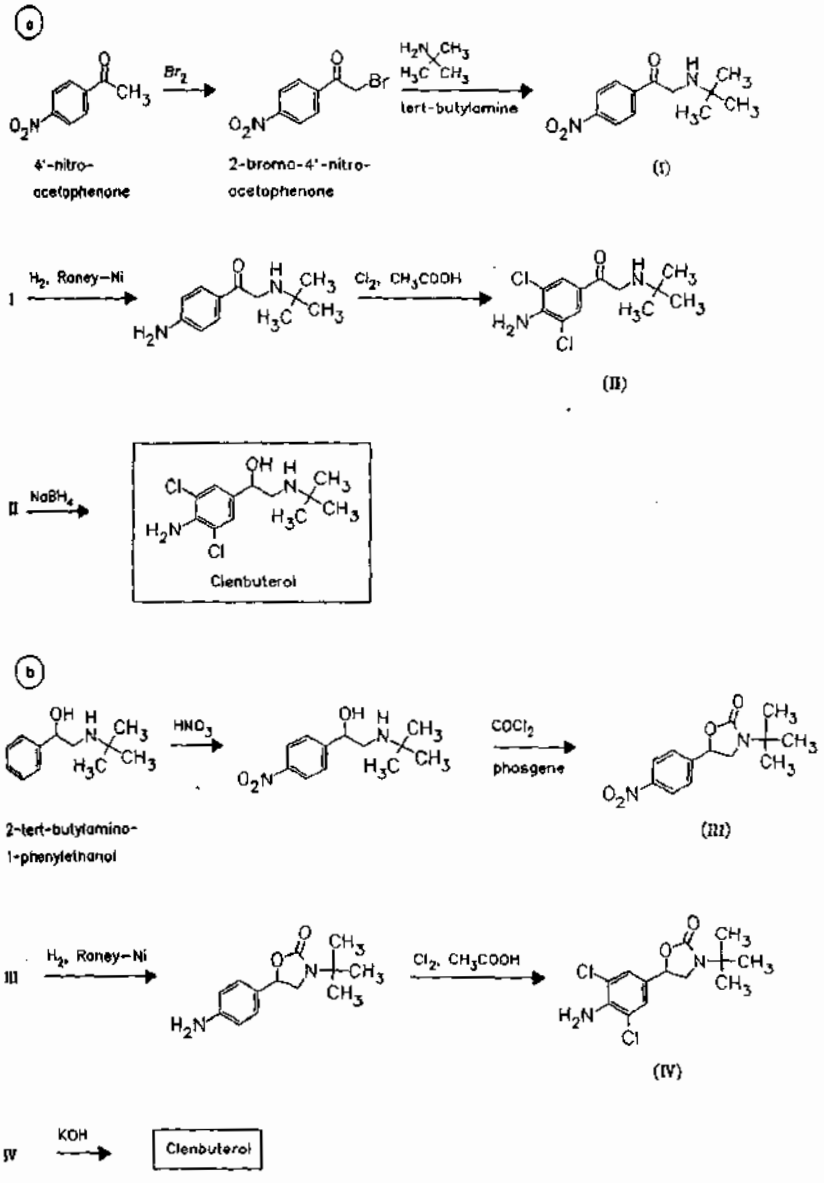
Trade Name(s):
D: Megacillin (Grünenthal)-comb. with penicillin; wfm
Scheriproct (Scherax)-comb.; wfm
Ultraproct (Scherax)-comb.; wfm
F: Deliproct (Schering)-comb.; wfm
Ultralan (Schering); wfm
Ultraproct (Schering)-comb.; wfm
GB: Scheriproct (Schering)-comb.; wfm
Ultraproct (Schering)-comb.; wfm
I: Ultraproct (Schering)-comb.
J: Histacur (Nichidoku)

Clenbuterol

ATC: R03AC14; R03CC13
Use: bronchodilator

RN: 37148-27-9 MF: $C_{12}H_{18}Cl_2N_2O$ MW: 277.20 EINECS: 253-366-0
LD_{50}: 27.6 mg/kg (M, i.v.)
CN: 4-amino-3,5-dichloro-α-[[(1,1-dimethylethyl)amino]methyl]benzenemethanol

hydrochloride
RN: 21898-19-1 MF: $C_{12}H_{18}Cl_2N_2O \cdot HCl$ MW: 313.66



Reference(s):
Keck, J. et al.: Arzneim.-Forsch. (ARZNAD) **22**, 861 (1972).
a DOS 1 793 416 (Thomae; appl. 5.9.1967).
BE 704 213 (Thomae; appl. 22.9.1967; D-prior. 22.9.1966, 15.2.1967, 2.6.1967).
US 3 536 712 (Boehringer Ing.; 27.10.1970; D-prior. 22.9.1966, 15.2.1967, 2.6.1967).
b DOS 2 157 040 (Thomae; appl. 17.11.1971).
DE 1 543 928 (Thomae; appl. 22.9.1966).

alternative syntheses:
DAS 2 354 959 (Thomae; appl. 2.11.1973).

Formulation(s): drops 0.059 mg/ml, 15 mg/2 ml; syrup 0.005 mg/5 ml; tabl. 0.01 mg, 0.02 mg (as hydrochloride)

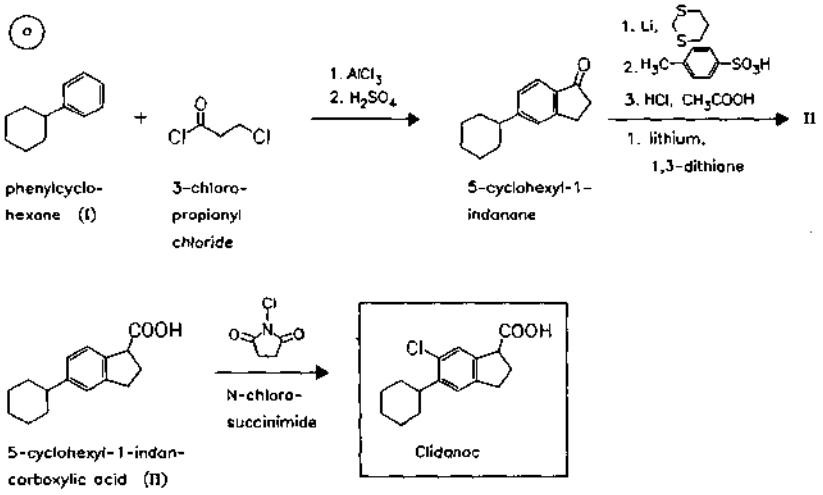
Trade Name(s):

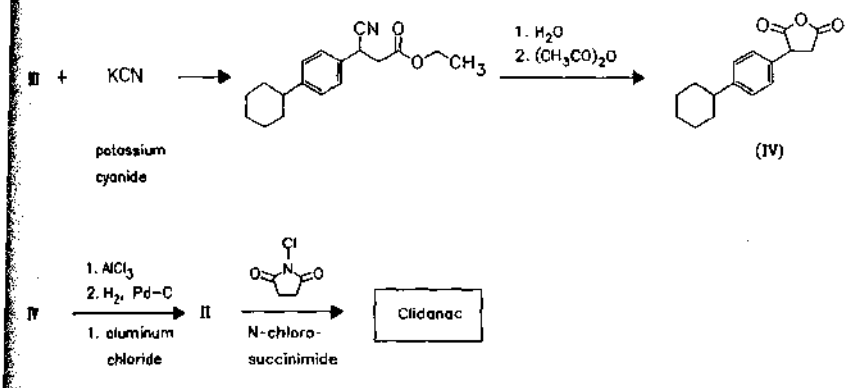
D:	Contraspasmin (ASTA Medica AWD)	I:	Broncodil (Leben's)		Prontovent (Salus Research)
	Spiropent (Boehringer Ing.)		Clenasma (Biomedica Foscama)		Spiropent (Boehringer Ing.)
	Spasmo-Mucosolvan (Boehringer Ing.)-comb.		Contrasmina (Falqui)	J:	Spiropent (Teijin-Kissei)
			Monores (Valeas)		

Clidanac

ATC: M01AB
Use: non-steroidal anti-inflammatory, antipyretic

RN: 34148-01-1 MF: $C_{16}H_{19}ClO_2$ MW: 278.78
LD_{50}: 41 mg/kg (R, p.o.)
CN: 6-chloro-5-cyclohexyl-2,3-dihydro-1*H*-indene-1-carboxylic acid





Reference(s):

a Juby, P.F. et al.: J. Med. Chem. (JMCMAR) **15**, 1297 (1972).
(alternative synthesis described)

b DOS 2 004 038 (Bristol-Myers; appl. 29.1.1970; USA-prior. 31.1.1969).
US 3 565 943 (Bristol-Myers; 23.2.1971; prior. 17.9.1969, 31.1.1969).
US 3 663 627 (Bristol-Myers; 16.5.1972; prior. 1.6.1970).

alternative synthesis:

DOS 2 330 856 (Takeda; appl. 16.6.1973; J-prior. 19.6.1972, 21.11.1972).

Formulation(s): tabl. 15 mg

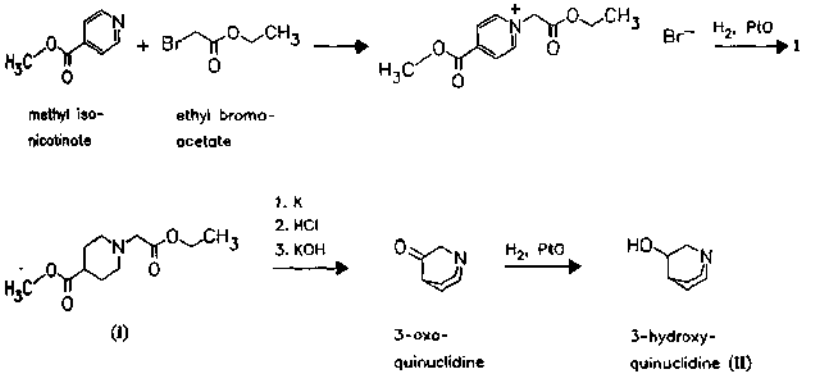
Trade Name(s):

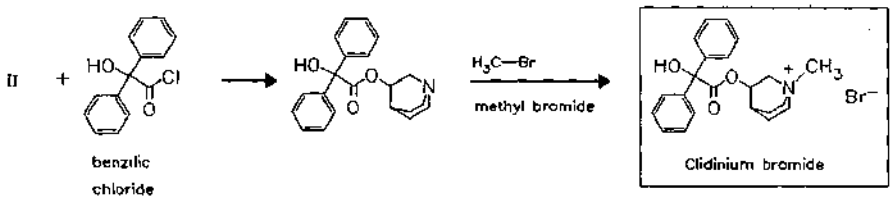
J: Britai (Bristol-Banyu) Indanol (Takeda)

Clidinium bromide

ATC: A03CA02
Use: anticholinergic

RN: 3485-62-9 MF: $C_{22}H_{26}BrNO_3$ MW: 432.36 EINECS: 222-471-3
LD_{50}: 16 mg/kg (M, i.v.); 492 mg/kg (M, p.o.);
26 mg/kg (dog, i.v.)
CN: 3-[(hydroxydiphenylacetyl)oxy]-1-methyl-1-azoniabicyclo[2.2.2]octane bromide





Reference(s):
US 2 648 667 (Hoffmann-La Roche; 1955; prior. 1951).

Formulation(s): drg. 2.5 mg

Trade Name(s):

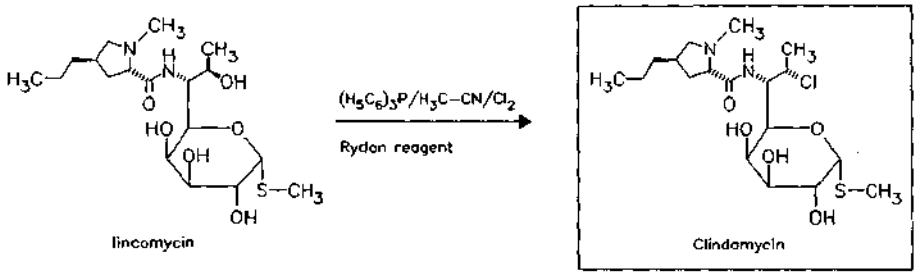
D:	Librax (Roche)-comb. with chlorodiazepoxide; wfm	GB:	Libraxin (Roche)-comb. with chlorodiazepoxide; wfm	I:	Librax (Roche)-comb. with chlorodiazepoxide
F:	Librax (Roche)-comb. with chlorodiazepoxide			USA:	Librax (Roche)-comb. with chlorodiazepoxide

Clindamycin

ATC: D10AF01; G01AA10; J01FF01
Use: antibiotic

RN: 18323-44-9 MF: $C_{18}H_{33}ClN_2O_5S$ MW: 424.99 EINECS: 242-209-1
LD_{50}: 2618 mg/kg (R, s.c.)
CN: (2*S*-*trans*)-methyl 7-chloro-6,7,8-trideoxy-6-[[(1-methyl-4-propyl-2-pyrrolidinyl)carbonyl]amino]-1-thio-L-*threo*-α-D-*galacto*-octopyranoside

monohydrochloride
RN: 21462-39-5 MF: $C_{18}H_{33}ClN_2O_5S \cdot HCl$ MW: 461.45 EINECS: 244-398-6
LD_{50}: 245 mg/kg (M, i.v.); 2539 mg/kg (M, p.o.);
2193 mg/kg (R, p.o.)



Reference(s):
US 3 496 163.
DE 1 795 740
US 3 418 414 (Upjohn; 24.12.1968; appl. 31.8.1966).
US 3 475 407 (Upjohn; 28.10.1969; appl. 22.12.1967).
US 3 509 127 (Upjohn; 28.4.1970; appl. 30.4.1968).

use as antimalarial:
US 3 627 887 (Upjohn; 14.12.1971; appl. 17.10.1969).

Rydon reagent:
Landauer, S.R.; Rydon, H.N.: J. Chem. Soc. (JCSOA9) **1953**, 2224.
Coe, D.G. et al.: J. Chem. Soc. (JCSOA9) **1954**, 2281.
Rydon, H.N.; Tonge, B.L.: J. Chem. Soc. (JCSOA9) **1956**, 3043.

Formulation(s): amp. 300 mg/2 ml, 600 mg/4 ml, 900 mg/6 ml; cps. 150 mg, 300 mg; gel 10 mg/g; sol. 10 mg/ml (as hydrochloride or phosphate); vaginal cream 20 mg

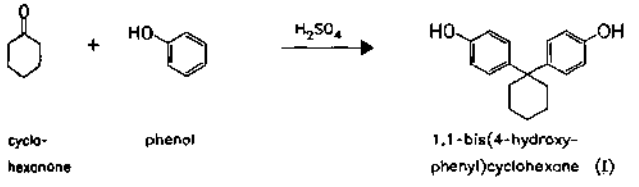
Trade Name(s):

D:	Sobelin (Upjohn; 1968) generics		Clinamycina (Savoma) Dalacin C (Pharmacia &	USA:	Cleocin (Pharmacia & Upjohn; 1970)
F:	Dalacine (Pharmacia &		Upjohn; 1969)		Clinda-Derm (Paddock)
	Upjohn; 1972)	I:	Dalacin C (Upjohn)		Clindets Pledgets (Stiefel)
GB:	Cleocin (Upjohn)	J:	Dalacin (Upjohn; 1971)		

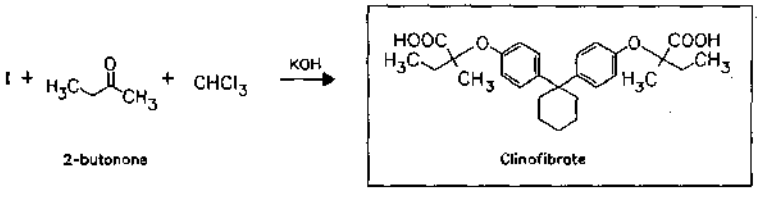
Clinofibrate

ATC: B04AC
Use: antihyperlipidemic, clofibrate derivative

RN: 30299-08-2 MF: $C_{28}H_{36}O_6$ MW: 468.59
LD_{50}: 255 mg/kg (M, i.p.); 1800 mg/kg (M, p.o.); 410 mg/kg (M, s.c.); 205 mg/kg (R, i.p.); >4000 mg/kg (R, p.o.); 2200 mg/kg (R, s.c.)
CN: 2,2'-[cyclohexylidenebis(4,1-phenyleneoxy)]bis[2-methylbutanoic acid]



cyclo-hexanone — phenol — 1,1-bis(4-hydroxy-phenyl)cyclohexane (I)



2-butanone — Clinofibrate

Reference(s):
US 3 716 583 (Sumitomo; 13.2.1972; appl. 7.4.1970; J-prior. 16.4.1969).
US 3 821 404 (Sumitomo; 28.6.1974; J-prior. 16.4.1969).
DOS 2 017 331 (Sumitomo; appl. 10.4.1970; J-prior. 16.4.1969, 2.5.1969).

synthesis of 1,1-bis(4-hydroxyphenyl)cyclohexane:
DD 46 281 (G. Drefahl, E. Littmann; appl. 22.1.1962).

Formulation(s): tabl. 100 mg, 200 mg

Trade Name(s):

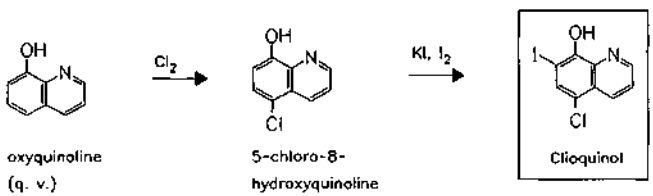
J:	Deslipoze (Kowa Yakuhin)	Lipirate (Hishiyama)	Lipofibrate (Taiyo)
	Lipaderin (Uji)	Lipoclin (Sumitomo; 1981)	Prinmate (Sawai)

Clioquinol

(Chloroiodoquine; Iodochlorhydroxyquin)

ATC: D08AH30; D09AA10; G01AC02; P01AA02; S02AA05
Use: wound- and bowel-antiseptic

RN: 130-26-7 MF: C_9H_5ClINO MW: 305.50 EINECS: 204-984-4
LD_{50}: 69 mg/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 5-chloro-7-iodo-8-quinolinol



Reference(s):
DRP 117 767 (Ciba; 1899).

Formulation(s): cream 3 g/100 g; emulsion 0.5 g/100 g; ointment 3 g/100 g

Trade Name(s):

D: Linola-sept (Wolff)
Locacorten-Vioform (Novartis Pharma)-comb.
Millicorten-Vioform (Novartis Pharma)-comb.

F: Diprosept (Schering-Plough)-comb.

GB: Betnovate-C (Glaxo Wellcome)-comb.
Locorten-Vioform (Novartis)-comb.
Synalar C (Zeneca)-comb.
Vioform-hydrocortisone (Novartis)-comb.

I: Dermadex Chinol (SmithKline Beecham)-comb.
Diproform (Schering-Plough)-comb.
Iodoclorossich TI (Tariff. Integrativo)
Locorten (Zyma)-comb.

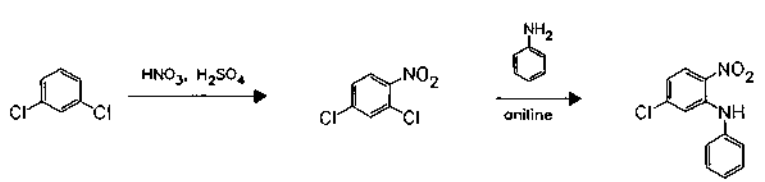
J: Emaform (Tanabe)
Entero-Vioform (Ciba-Geigy-Takeda)
Mexaform (Ciba-Geigy-Takeda)-comb.

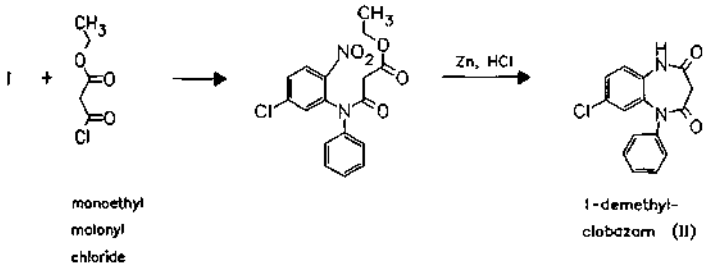
USA: Racet (Lemmon)-comb.; wfm
Vioform (Ciba); wfm
Reticus (Farmila)-comb.
Viobeta (IDI)-comb.
Viocidina (IDI)

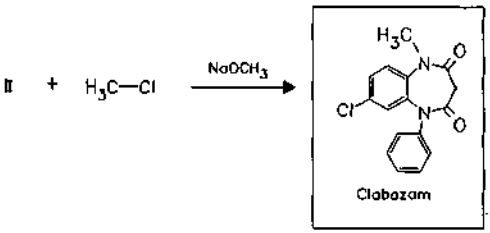
Clobazam

ATC: N05BA09
Use: minor tranquilizer

RN: 22316-47-8 MF: $C_{16}H_{13}ClN_2O_2$ MW: 300.75 EINECS: 244-908-7
LD_{50}: 510 mg/kg (M, i.p.); 840 mg/kg (M, p.o.);
>2000 mg/kg (R, p.o.)
CN: 7-chloro-1-methyl-5-phenyl-1*H*-1,5-benzodiazepine-2,4(3*H*,5*H*)-dione







Reference(s):
DAS 1 793 837 (Roussel-Uclaf; appl. 14.12.1967; I-prior. 14.12.1966).
US 3 984 398 (Roussel-Uclaf; 5.10.1976; I-prior. 14.12.1966).
US 3 836 653 (Boehringer Ing.; 17.9.1974; D-prior. 7.2.1967, 18.1.1968).
DOS 1 670 190 (Boehringer Ing.; appl. 7.2.1967).
DOS 1 670 306 (Boehringer Ing.; appl. 18.1.1968).
Weber, K.H. et al.: Justus Liebigs Ann. Chem. (JLACBF) **756**, 128 (1972).

1-demethylclobazam:
DAS 1 668 634 (Roussel-Uclaf; appl. 14.12.1967; I-prior. 14.12.1966).

synthesis of 5-chloro-2-nitrodiphenylamine:
Laubenheimer: Ber. Dtsch. Chem. Ges. (BDCGAS) **9**, 771 (1876).

combination with nomifensine:
DOS 2 724 683 (Hoechst; appl. 1.6.1977).

Formulation(s): cps.-10 mg; tabl. 10 mg, 20 mg

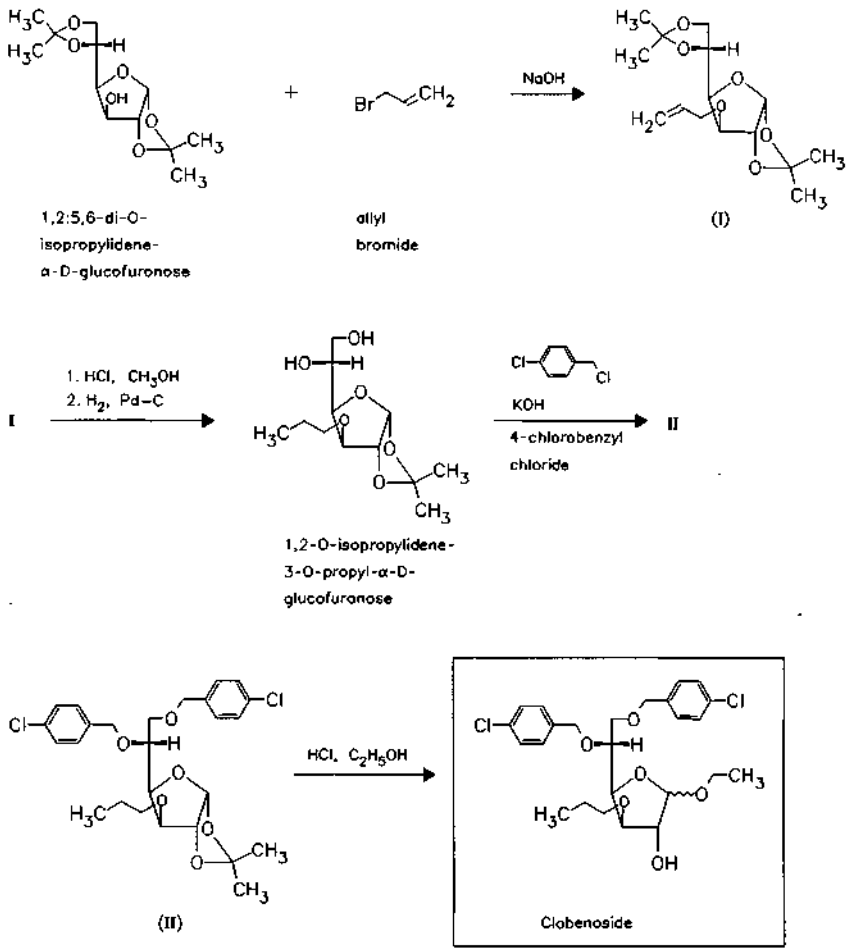
Trade Name(s):

D:	Frisium (Hoechst; 1977)	GB:	Frisium (Hoechst; 1979)
F:	Urbanyl (Synthélabo; 1975)	I:	Frisium (Hoechst Italia Sud)

Clobenoside

ATC: C05
Use: anti-inflammatory, vasoprotective

RN: 29899-95-4 MF: $C_{25}H_{32}Cl_2O_6$ MW: 499.43 EINECS: 249-940-5
CN: ethyl 5,6-bis-*O*-[(4-chlorophenyl)methyl]-3-*O*-propyl-D-glucofuranoside



Reference(s):
DOS 1 793 338 (Ciba-Geigy; appl. 3.9.1968; CH-prior. 11.9.1967).
US 3 665 884 (Ciba-Geigy; 11.4.1972; CH-prior. 11.9.1967).
US 3 542 761 (Ciba-Geigy; 24.11.1970; appl. 25.4.1968; CH-prior. 11.9.1967).

synthesis of 1,2-*O*-isopropylidene-3-*O*-propyl-α-D-glucofuranose:
DOS 2 031 161 (Ciba; appl. 24.6.1970; CH-prior. 3.7.1969).
Cunningham, J. et al.: Tetrahedron Lett. (TELEAY) **19**, 1191 (1964).
Corbettand, W.M.; McKay, J.E.: J. Chem. Soc. (JCSOA9), 2930 (1961).

Formulation(s): tabl. 200 mg, gel

Trade Name(s):

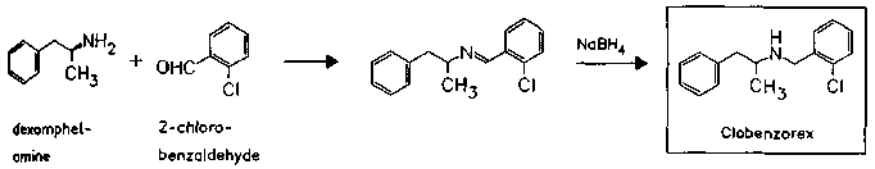
CH:	Aglidin (Zyma)	Finocal (Zyma)
	Arvigol (Zyma)	Flogasol (Vifor)

Clobenzorex

ATC: A08AA08
Use: appetite depressant

RN: 13364-32-4 MF: $C_{16}H_{18}ClN$ MW: 259.78 EINECS: 236-434-4
CN: (+)-*N*-[(2-chlorophenyl)methyl]-α-methylbenzeneethanamine

hydrochloride
RN: 5843-53-8 MF: $C_{16}H_{18}ClN \cdot HCl$ MW: 296.24 EINECS: 227-434-5
LD_{50}: 103 mg/kg (M, i.p.);
103 mg/kg (R, i.p.)



dexomphetamine — 2-chlorobenzaldehyde — Clobenzorex

Reference(s):
FR 1 429 306 (S. I. F. A.; appl. 23.11.1964).

Formulation(s): cps. 30 mg (as hydrochloride)

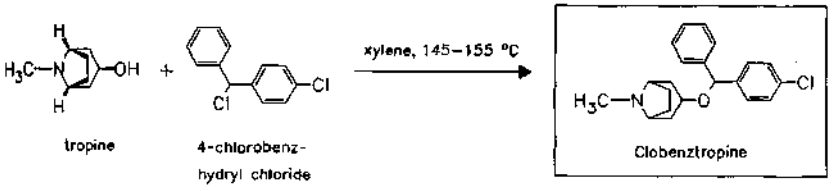
Trade Name(s):
F: Dinintel (Roussel Diamant; as hydrochloride)

Clobenztropine
(Chlorobenztropine)

ATC: R06
Use: antihistaminic

RN: 5627-46-3 MF: $C_{21}H_{24}ClNO$ MW: 341.88
CN: 3-[(4-chlorophenyl)phenylmethoxy]-8-methyl-8-azabicyclo[3.2.1]octane

hydrochloride
RN: 14008-79-8 MF: $C_{21}H_{24}ClNO \cdot HCl$ MW: 378.34
LD_{50}: 174 mg/kg (M, p.o.);
364 mg/kg (R, p.o.)



tropine — 4-chlorobenzhydryl chloride — Clobenztropine

Reference(s):
US 2 782 200 (Schenley Labs.; 1957; appl. 1955).

alternative synthesis (*with* 4-chlorodiphenyldiazomethane):
US 2 799 680 (S. Fromer; 1957; appl. 1954).

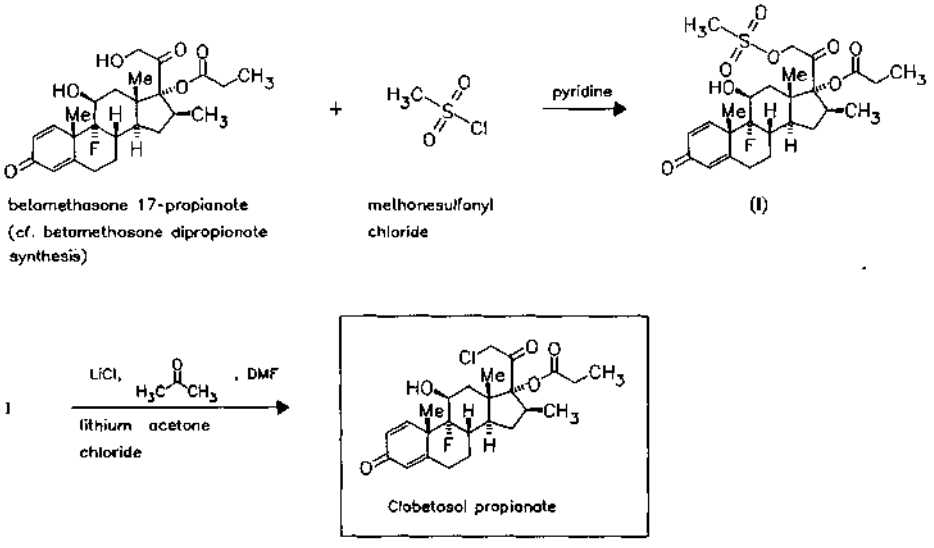
Trade Name(s):
USA: Teprin (Endo); wfm

Clobetasol propionate

ATC: D07AD01
Use: topical glucocorticoid

RN: 25122-46-7 MF: $C_{25}H_{32}ClFO_5$ MW: 466.98 EINECS: 246-634-3
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (11β,16β)-21-chloro-9-fluoro-16-methyl-17-(1-oxopropoxy)pregna-1,4-diene-3,20-dione

clobetasol
RN: 25122-41-2 MF: $C_{22}H_{28}ClFO_4$ MW: 410.91 EINECS: 246-633-8



Reference(s):
DE 1 902 340 (Glaxo; appl. 17.1.1969; GB-prior. 19.1.1968).
US 3 721 687 (Glaxo; 20.3.1973; GB-prior. 4.4.1968).

Formulation(s): cream 0.05 %; ointment 0.05 %; sol. 0.5 mg/g (0.05 %)

Trade Name(s):

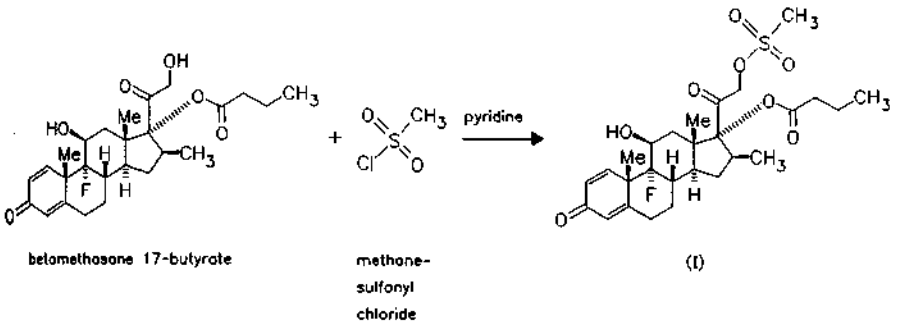
D:	Dermoxin (Glaxo Wellcome/Cascan; 1976)	I:	Clobesol (Glaxo Wellcome)		Myalore (Ohta)
	Dermoxinale (Glaxo Wellcome/Cascan; 1977)	J:	Betaleston (Nihon Yakuhin)		Siodelbate (Tatsumi)
	Karison (Dermapharm)		Delspart (Kodama)		Solvega (Hisamitsu)
F:	Dermoval (Glaxo Wellcome)		Dermovate (Glaxo; 1979)	USA:	Cormax (Oclassen)
GB:	Dermovate (Glaxo Wellcome; 1973)		Entyfluson (Taiyo)		Temovate (Glaxo Wellcome; 1986)
			Glydil (Shinshin)		
			Mahady (Wukamoto)		

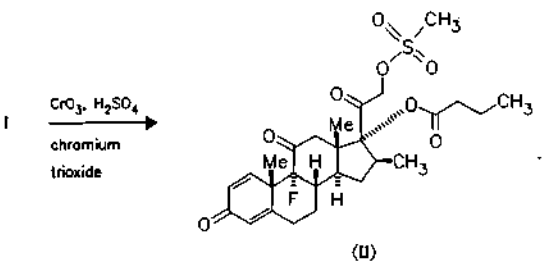
Clobetasone butyrate

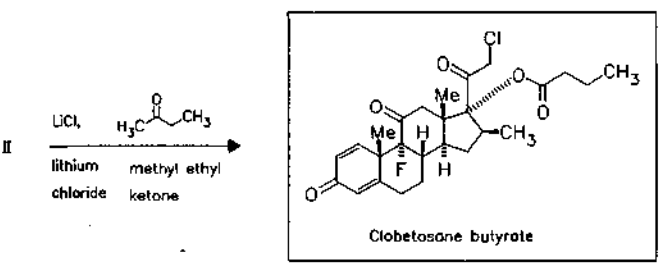
ATC: D07AB01; S01BA09
Use: topical glucocorticoid

RN: 25122-57-0 MF: $C_{26}H_{32}ClFO_5$ MW: 478.99 EINECS: 258-953-5
LD_{50}: >6 g/kg (M, p.o.);
>6 g/kg (R, p.o.)
CN: (16β)-21-chloro-9-fluoro-16-methyl-17-(1-oxobutoxy)pregna-1,4-diene-3,11,20-trione

clobetasone
RN: 54063-32-0 MF: $C_{22}H_{26}ClFO_4$ MW: 408.90







Reference(s):
DE 1 902 340 (Glaxo; appl. 17.1.1969; GB-prior. 19.1.1968).
US 3 721 687 (Glaxo; 20.3.1973; GB-prior. 4.4.1968).
cf. synthesis of betamethasone-17-butyrate

Formulation(s): eye drops 0.1 %; cream, ointment 0.5 mg/g (0.05 %)

Trade Name(s):

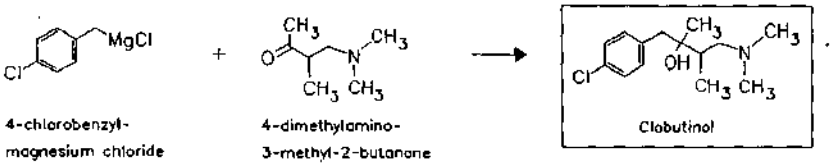
D:	Emovate (Glaxo; 1980)		Trimovate (Glaxo Wellcome)-comb.		Visucloben (Merck Sharp & Dohme)
GB:	Clobuvate (Dominion)	I:	Eumovate (Glaxo; 1983)	J:	Kindavate (Glaxo; 1984)
	Eumovate (Glaxo Wellcome; 1975)				

Clobutinol

ATC: R05DB03
Use: antitussive

RN: 14860-49-2 MF: $C_{14}H_{22}ClNO$ MW: 255.79 EINECS: 238-926-4
LD_{50}: 53 mg/kg (M, i.v.); 334 mg/kg (M, p.o.);
63 mg/kg (R, i.v.); 802 mg/kg (R, p.o.);
45.3 mg/kg (dog, i.v.)
CN: 4-chloro-α-[2-(dimethylamino)-1-methylethyl]-α-methylbenzeneethanol

hydrochloride
RN: 1215-83-4 MF: $C_{14}H_{22}ClNO \cdot HCl$ MW: 292.25 EINECS: 214-931-7
LD_{50}: 40.9 mg/kg (M, i.v.); 334 mg/kg (M, p.o.);
63 mg/kg (R, i.v.); 802 mg/kg (R, p.o.);
45.3 mg/kg (dog, i.v.)



Reference(s):
DE 1 146 068 (Thomae; appl. 21.3.1959).
DE 1 150 686 (Thomae; appl. 12.5.1960).
US 3 121 087 (Thomae; 11.2.1964; prior. 18.3.1960, 28.6.1961).
Engelhorn, R.: Arzneim.-Forsch. (ARZNAD) **10**, 794 (1960).

alternative synthesis:
DE 1 153 380 (Thomae; appl. 21.5.1959).

Formulation(s): amp. 20 mg/2 ml; drg. 40 mg; cps. 40 mg, 80 mg; drops 40 mg/0.67 ml; syrup 40 mg/10 ml (as hydrochloride)

Trade Name(s):

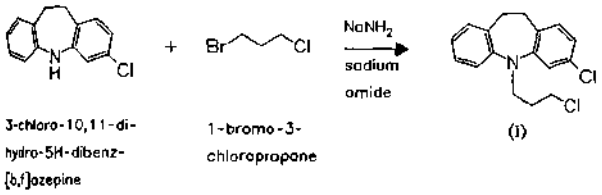
D:	Mentopin (Hermes)		Silomat (Boehringer Ing.)		Silomat compositum
	Nullatuss (Pharma		Tussamed (Hexal)		(Fher)-comb.
	Wernigerode)	F:	Silomat (Boehringer Ing.)	J:	Silomat (Morishita)
	Rotafuss (MIP Pharma)	I:	Silomat (Fher)		

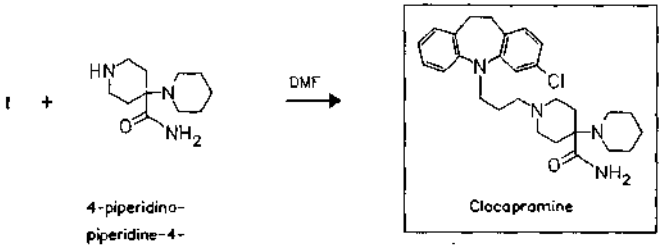
Clocapramine

ATC: S01B
Use: thymoleptic

RN: 47739-98-0 MF: $C_{28}H_{37}ClN_4O$ MW: 481.08
CN: 1'-[3-(3-chloro-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepin-5-yl)propyl][1,4'-bipiperidine]-4'-carboxamide

hydrochloride hydrate
RN: 28058-62-0 MF: $C_{28}H_{38}Cl_2N_4O \cdot HCl \cdot H_2O$ MW: 572.02





Reference(s):
DOS 1 905 765 (Yoshitomi; appl. 6.2.1969; J-prior. 7.2.1968).
US 3 668 210 (Yoshitomi; 6.6.1972; J-prior. 7.2.1968).

Formulation(s): tabl. 10 mg, 25 mg (as hydrochloride)

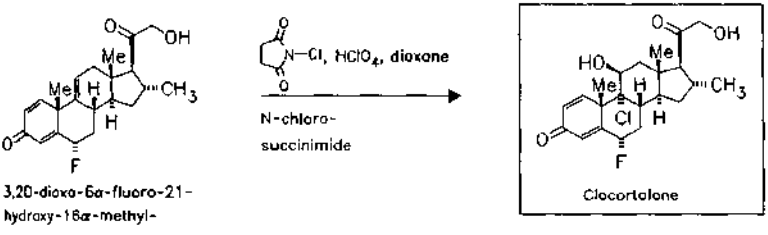
Trade Name(s):
J: Clofekton (Yoshitomi-Takeda; 1974)

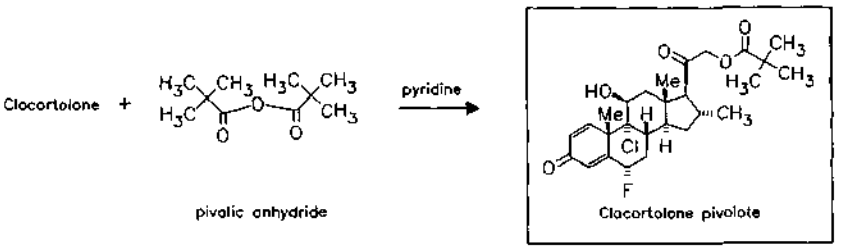
Clocortolone

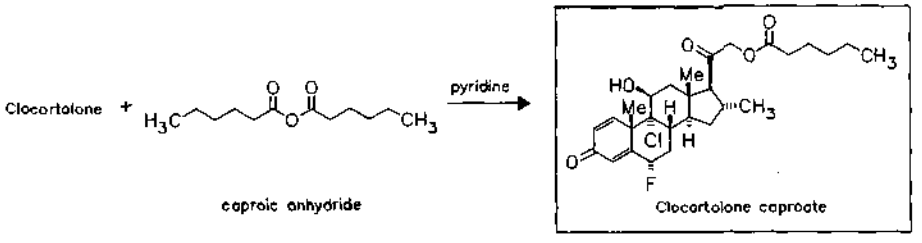
ATC: D07AB21
Use: glucocorticoid

RN: 4828-27-7 MF: $C_{22}H_{28}ClFO_4$ MW: 410.91 EINECS: 225-406-7
CN: (6α,11β,16α)-9-chloro-6-fluoro-11,21-dihydroxy-16-methylpregna-1,4-diene-3,20-dione

pivalate
RN: 34097-16-0 MF: $C_{27}H_{36}ClFO_5$ MW: 495.03 EINECS: 251-826-5
caproate
RN: 4891-71-8 MF: $C_{28}H_{38}ClFO_5$ MW: 509.06 EINECS: 225-513-9







Reference(s):
NL-appl. 6 412 708 (Schering AG; appl. 2.11.1964; D-prior. 9.11.1963).
FR 6 752 M (Schering AG; appl. 9.11.1966; D-prior. 9.11.1965).

synthesis of starting compound:
DOS 1 913 042 (Schering AG; appl. 11.3.1969).

alternative synthesis:
DOS 2 011 559 (Schering AG; appl. 7.3.1970).

Formulation(s): cream 1 mg/g

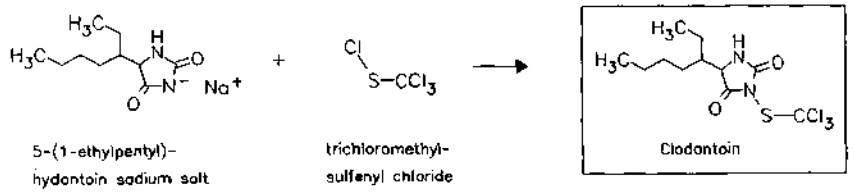
Trade Name(s):

D:	Crino-Kaban (Asche; as pivalate-comb.) Kaban (Asche; as pivalate and capronate-comb.)	Kabanimat (Asche; as pivalate and capronate) Procto Kaban (Asche; as capronate)-comb.	I:	Cilder (Cilag; as pivalate); wfm
			USA:	Cloderm (Penederm; as pivalate)

Clodantoin
(Chlordantoin)

ATC: G01AX01
Use: fungicide

RN: 5588-20-5 MF: $C_{11}H_{17}Cl_3N_2O_2S$ MW: 347.69 EINECS: 226-995-3
LD_{50}: >1165 mg/kg (R, p.o.)
CN: 5-(1-ethylpentyl)-3-[(trichloromethyl)thio]-2,4-imidazolidinedione



Reference(s):
US 2 553 770 (Standard Oil; 1951; prior. 1949).

Formulation(s): cream; gel; powder

Trade Name(s):
GB: Sporostacin (Ortho); wfm
USA: Sporostacin (Ortho)-comb.; wfm
J: Gynelan (Eisai)-comb.

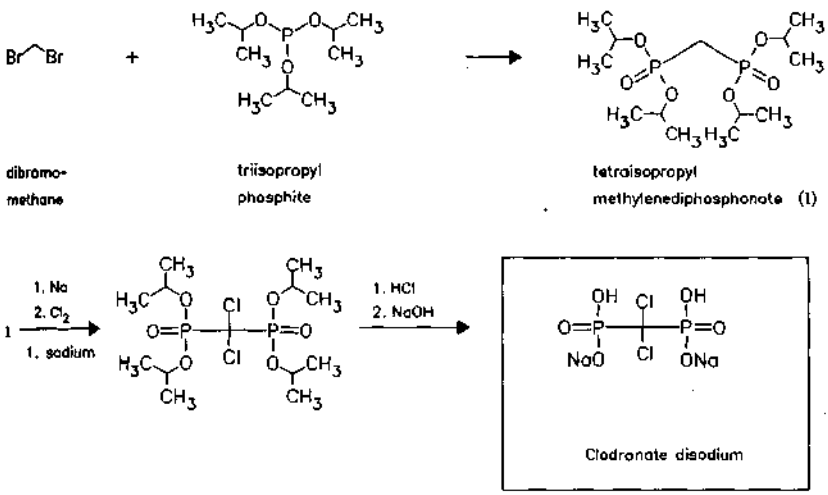
Clodronate disodium

(Clodronic acid disodium salt)

ATC: M05BA02
Use: calcium metabolism regulator

RN: 22560-50-5 MF: $CH_2Cl_2Na_2O_6P_2$ MW: 288.86 EINECS: 245-078-9
CN: (dichloromethylene)bis(phosphonic acid) disodium salt

free acid
RN: 10596-23-3 MF: $CH_4Cl_2O_6P_2$ MW: 244.89 EINECS: 234-212-1



Reference(s):
DOS 1 467 655 (Procter & Gamble; appl. 17.3.1964; USA-prior. 18.3.1963).
DOS 1 793 768 (Procter & Gamble; appl. 17.3.1964; USA-prior. 18.3.1963).
US 3 404 178 (Procter & Gamble; 1.10.1968; appl. 18.3.1963, 7.10.1965).
US 3 422 021 (Procter & Gamble; 14.1.1969; appl. 18.3.1963).
Quimby, O.F. et al.: J. Org. Chem. (JOCEAH) **32**, 4111 (1967).

alternative synthesis:
McKenna, C.E. et al.: Phosphorus sulfur **37**, 1 (1998)

Formulation(s): amp. 300 mg/5 ml, 300 mg/10 ml; cps. 400 mg; f. c. tabl. 520 mg, 800 mg

Trade Name(s):
D: Bonefos (Astra; medac)
Ostac (Roche; 1988)
F: Clastoban (Rorer; Roger Bellon)
Cytos (Roche)
I: Clasteon (Gentili)
Difosfonal (SPA)
Ossiten (Roche)

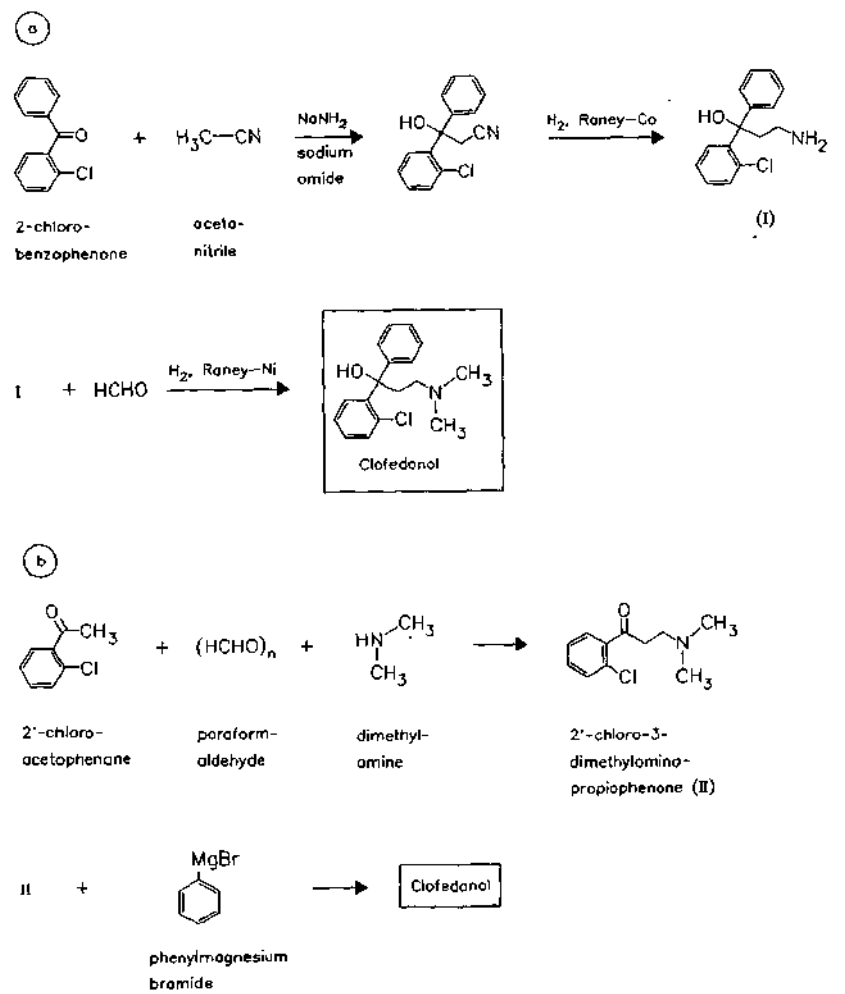
Clofedanol

(Chlophedianol)

ATC: R05DB10
Use: antitussive

RN: 791-35-5 MF: $C_{17}H_{20}ClNO$ MW: 289.81 EINECS: 212-340-9
LD_{50}: 70 mg/kg (M, i.v.); 300 mg/kg (M, p.o.)
CN: 2-chloro-α-[2-(dimethylamino)ethyl]-α-phenylbenzenemethanol

hydrochloride
RN: 511-13-7 MF: $C_{17}H_{20}ClNO \cdot HCl$ MW: 326.27 EINECS: 208-124-9
LD_{50}: 42 mg/kg (M, i.v.); 284 mg/kg (M, p.o.);
53 mg/kg (R, i.v.); 350 mg/kg (R, p.o.);
84 mg/kg (dog, p.o.)



Reference(s):
DE 1 080 568 (Bayer; appl. 8.1.1958).
DE 1 083 277 (Bayer; appl. 19.3.1958).
US 3 031 377 (Bayer; 24.4.1962; appl. 26.11.1957).

Formulation(s): syrup 25 mg, 30 mg; tabl. 12.5 mg (as hydrochloride)

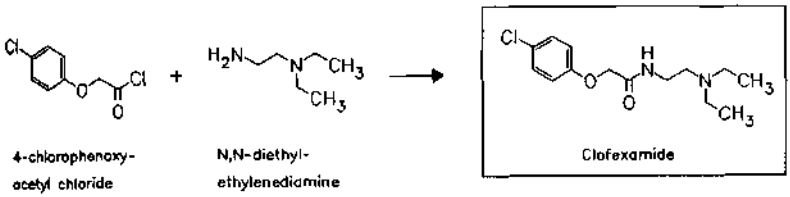
Trade Name(s):

D: Dicton (Dolorgiet)-comb.; wfm
Pectolitan (Kettelhack-Riker); wfm
F: Tussiplégyl (Bayer); wfm
I: Soltux (Corvi)-comb.
J: Coldrin (Nippon Shinyaku)
USA: Ulo (Riker); wfm

Clofexamide

ATC: N06B
Use: psychoanaleptic

RN: 1223-36-5 MF: $C_{14}H_{21}ClN_2O_2$ MW: 284.79 EINECS: 214-951-6
CN: 2-(4-chlorophenoxy)-*N*-[2-(diethylamino)ethyl]acetamide



Reference(s):
GB 942 761 (Centre National de la Recherche Scientifique; appl. 8.4.1960; F-prior. 15.4.1959, 30.7.1959).

Formulation(s): tabl. 50 mg, 400 mg

Trade Name(s):

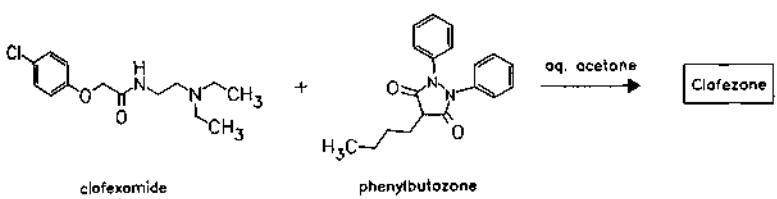
F: Clofexan à la noramidopyrine (Anphar)-comb.; wfm

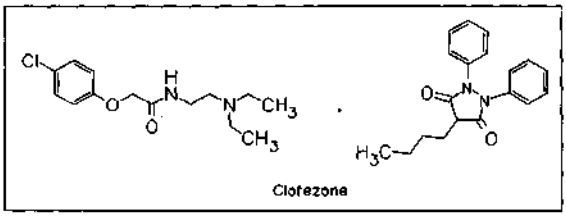
Clofezone

ATC: M01AA05; M02AA03
Use: anti-inflammatory, antirheumatic

RN: 17449-96-6 MF: $C_{19}H_{20}N_2O_2 \cdot C_{14}H_{21}ClN_2O_2$ MW: 593.17 EINECS: 241-466-7
LD_{50}: 1700 mg/kg (M, p.o.);
1950 mg/kg (R, p.o.)
CN: 2-(4-chlorophenoxy)-*N*-[2-(diethylamino)ethyl]acetamide compd. with 4-butyl-1,2-diphenyl-3,5-pyrazolidinedione (1:1)

dihydrate
RN: 60104-29-2 MF: $C_{19}H_{20}N_2O_2 \cdot C_{14}H_{21}ClN_2O_2 \cdot 2H_2O$ MW: 629.20





Reference(s):
US 3 491 190 (P. Rumpf, J.-E., G. Thuillier; 20.1.1970; F-prior. 8.9.1965).

Formulation(s): cps. 200 mg, 400 mg; ointment 5 g/100 g; suppos. 400 mg

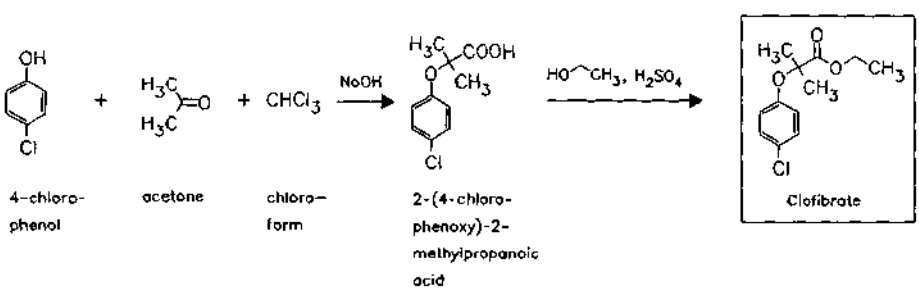
Trade Name(s):

D:	Perclusone (Mack, Illert.); wfm	F:	Perclusone (Serb)-comb.	J:	Panas (Grelan)
		I:	Perclusone (Marxer); wfm		

Clofibrate

ATC: C01AB01
Use: cholesterol depressant, antihyperlipidemic, antiarteriosclerotic

RN: 637-07-0 MF: $C_{12}H_{15}ClO_3$ MW: 242.70 EINECS: 211-277-4
CN: 2-(4-chlorophenoxy)-2-methylpropanoic acid ethyl ester



Reference(s):
Julia, M. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1956**, 777.
US 3 262 850 (ICI; 26.7.1966; GB-prior. 20.6.1958).

Formulation(s): cps. 250 mg, 500 mg

Trade Name(s):

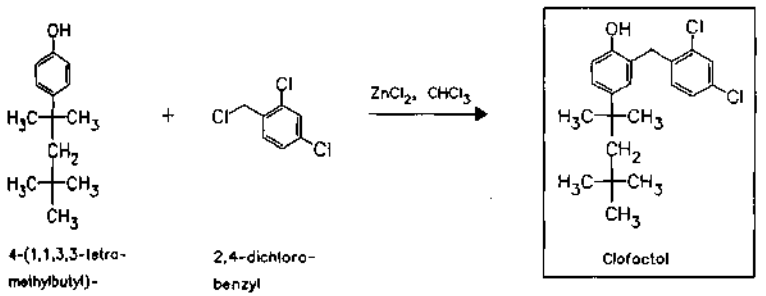
D:	Regelan N 500 (Zeneca)	Atemarol (Kowa Yakuhin)	Cholestol (Toho)
F:	Lipavlon (Zeneca)	Athebrate (Kakenyaku)	Cholesrun (Hokuriku)
GB:	Atromid S (Zeneca)	Atherolate (Fuji Zoki)	Clarol (Toyama)
I:	Sinteroid (Crinos)	Atheromide (Ono)	Clobrate (Chugai)
J:	Amotril (Sumitomo)	Atmol (Taisho)	Clobren (Morishita)
	Apoterin A (Seiko)	Atosterine (Kanto)	Clofbate (Mohan)
	Artchard (Nissin)	Auparton (Samva)	Climinon (Meiji)
	Ateculon (Nippon Chemiphar)	Binograc (Zeria)	Deliva (Nippon Kayaku)
	Ateles (Tokyo Hosei)	Bresit (Toyo Jozo)	Hyclorate (Funay)
		Cholenal (Yamanouchi)	Hypocerol (Fuso)

Liprinal (Banyu) Scrobin (Nikken) USA: Atromid S (Wyeth-Ayerst)

Clofoctol

ATC: J01XX03; R07A
Use: antibacterial (in respiratory infections)

RN: 37693-01-9 MF: $C_{21}H_{26}Cl_2O$ MW: 365.34 EINECS: 253-632-6
LD_{50}: >4000 mg/kg (R, p.o.)
CN: 2-[(2,4-dichlorophenyl)methyl]-4-(1,1,3,3-tetramethylbutyl)phenol



4-(1,1,3,3-tetramethylbutyl)-phenol

2,4-dichlorobenzyl chloride

Reference(s):
FR 1 602 455 (I. R. C. E. B. A.; appl. 21.8.1968; GB-prior. 31.8.1967).
US 3 830 852 (I. R. C. E. B. A.; 20.8.1974; F-prior. 18.8.1970).
DOS 2 140 765 (I. R. C. E. B. A.; appl. 13.8.1971; F-prior. 18.8.1970).

preparation of 4-(1,1,3,3-tetramethylbutyl)phenol:
US 2 726 270 (Dow Chem.; 1951).
DE 842 073 (Reichhold Chem. Inc.; 1950).
US 2 732 448 (California Research Corp.; 1953).
US 2 572 019 (DuPont de Nemours & Co.; 1950).
further patents are described before 1950.

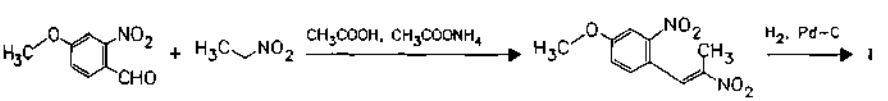
Formulation(s): suppos. 100 mg, 200 mg, 750 mg

Trade Name(s):
F: Octofène (Débat; 1978) I: Gramplus (Chiesi) Octofene (Roussel; 1985)

Clometacin

ATC: N02
Use: anti-inflammatory, analgesic

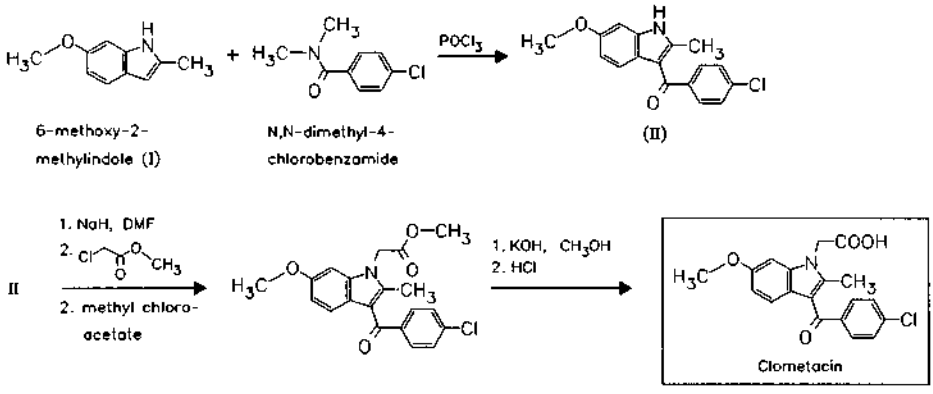
RN: 25803-14-9 MF: $C_{19}H_{16}ClNO_4$ MW: 357.79 EINECS: 247-271-3
LD_{50}: 1 g/kg (M, p.o.)
CN: 3-(4-chlorobenzoyl)-6-methoxy-2-methyl-1*H*-indole-1-acetic acid



4-methoxy-2-nitrobenzoldehyde

nitroethone

1-(2-nitro-4-methoxy-phenyl)-2-nitropropene



Reference(s):
DE 1 901 167 (Roussel-Uclaf; appl. 10.1.1969; F-prior. 11.1.1968, 10.4.1968, 10.9.1968, 11.9.1968, 10.12.1968).

Formulation(s): tabl. 150 mg

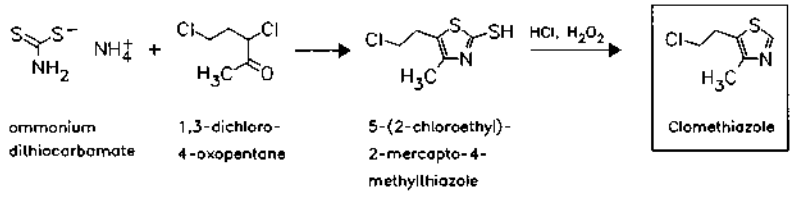
Trade Name(s):
F: Dupéran (Cassenne); wfm

Clomethiazole

ATC: N05CM02
Use: anticonvulsant, hypnotic, sedative

RN: 533-45-9 MF: C_6H_8ClNS MW: 161.66 EINECS: 208-565-7
LD_{50}: 94 mg/kg (M, i.v.); 2110 mg/kg (M, p.o.)
CN: 5-(2-chloroethyl)-4-methylthiazole

ethanedisulfonate (2:1)
RN: 1867-58-9 MF: $C_6H_8ClNS \cdot 1/2C_2H_6O_6S_2$ MW: 513.51 EINECS: 217-483-0
LD_{50}: 150 mg/kg (M, i.v.); 835 mg/kg (M, p.o.)



Reference(s):
CH 200 248 (Roche; 1937).

sulfonate:
US 3 031 457 (R. Charonnat, J. Chareton, A. Boune; 24.4.1962; F-prior. 28.9.1955).

alternative syntheses:
Buchman, E.R.: J. Am. Chem. Soc. (JACSAT) **58**, 1803 (1936).
Sawa, Y.; Ishida, T.: Yakugaku Zasshi (YKKZAJ) **76**, 337 (1956).

Formulation(s): amp. 0.8 % (as ethanedisulfonate); cps. 192 mg, 300 mg; syrup 320 mg/10 ml; tabl. 500 mg

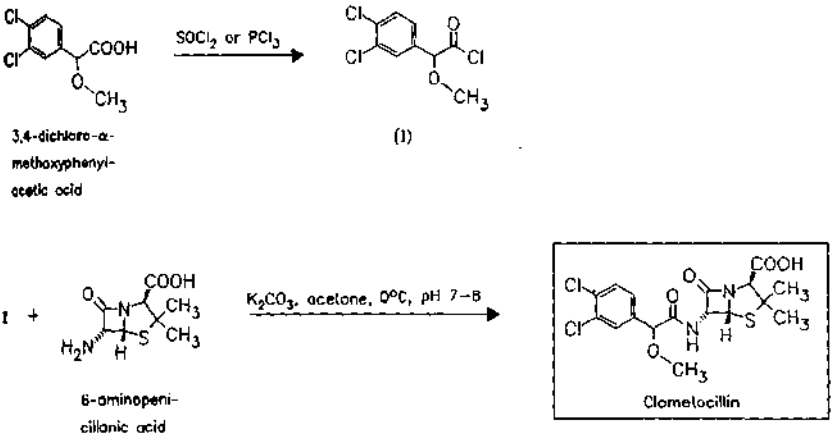
Trade Name(s):
D: Distraneurin (Astra) F: Hémineurine (Débat); wfm GB: Heminevrin (Astra)

Clometocillin
(Chlomethocillin)

ATC: J01CE07
Use: antibiotic

RN: 1926-49-4 MF: $C_{17}H_{18}Cl_2N_2O_5S$ MW: 433.31 EINECS: 217-657-6
CN: [2*S*-(2α,5α,6β)]-6-[[(3,4-dichlorophenyl)methoxyacetyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt
RN: 15433-28-0 MF: $C_{17}H_{17}Cl_2KN_2O_5S$ MW: 471.40



Reference(s):
US 3 007 920 (Recherche Industrie Therapeutiques; 7.11.1961; GB-prior. 28.10.1960).

Formulation(s): tabl. 500 mg

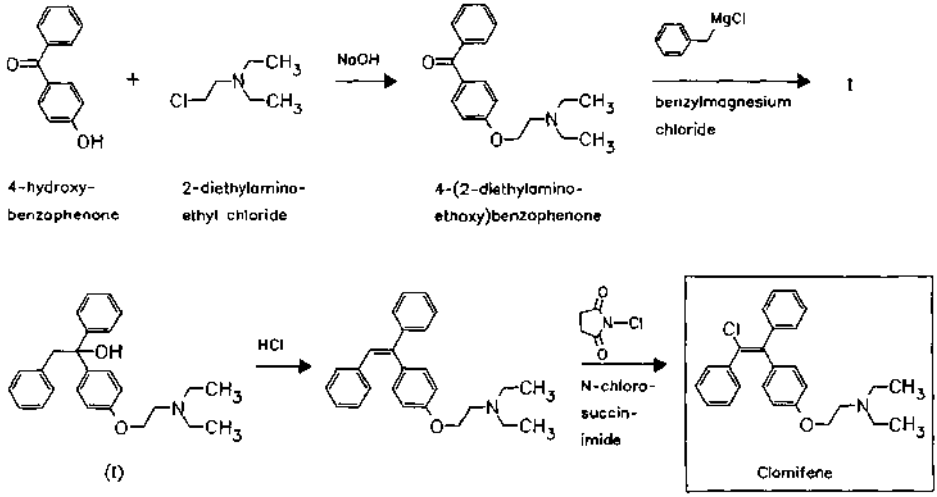
Trade Name(s):
F: Rixapen (Smith Kline & French); wfm

Clomifene
(Clomiphene)

ATC: G03GB02
Use: synthetic gonadotropin stimulant, antiestrogen

RN: 911-45-5 MF: $C_{26}H_{28}ClNO$ MW: 405.97 EINECS: 213-008-6
LD_{50}: 1700 mg/kg (M, p.o.)
CN: 2-[4-(2-chloro-1,2-diphenylethenyl)phenoxy]-*N*,*N*-diethylethanamine

citrate (1:1)
RN: 50-41-9 MF: $C_{26}H_{28}ClNO \cdot C_6H_8O_7$ MW: 598.09 EINECS: 200-035-3
LD_{50}: 1400 mg/kg (M, p.o.);
5750 mg/kg (R, p.o.)



Reference(s):
US 2 914 563 (Merrell); 24.11.1959; prior. 6.8.1957).

medical use:
BE 782 321 (Richardson-Merrell); appl. 19.4.1971).

Formulation(s): cps. 50 mg; tabl. 50 mg (as citrate)

Trade Name(s):

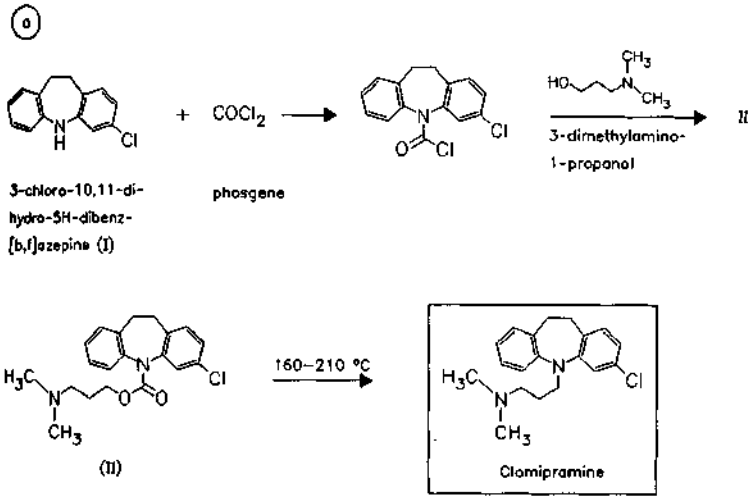
D:	Clomhexal (Hexal)	GB:	Clomid (Hoechst)		Orifen (Iwaki)
	Dyneric (Henning Berlin)		Serophene (Hoechst)	USA:	Clomid (Hoechst Marion
	Pergotime (Serono)	I:	Clomid (Lepetit)		Roussel; as citrate)
F:	Clomid (Marion Merrell)		Prolifen (Chiesi)		Serophene (Serono)
	Pergotime (Serono)	J:	Clomid (Shionogi)		

Clomipramine

ATC: N06AA04
Use: antidepressant

RN: 303-49-1 MF: $C_{19}H_{23}ClN_2$ MW: 314.86 EINECS: 206-144-2
LD_{50}: 27 mg/kg (M, i.v.); 380 mg/kg (M, p.o.);
613 mg/kg (R, p.o.)
CN: 3-chloro-10,11-dihydro-*N*,*N*-dimethyl-5*H*-dibenz[*b*,*f*]azepine-5-propanamine

monohydrochloride
RN: 17321-77-6 MF: $C_{19}H_{23}ClN_2 \cdot HCl$ MW: 351.32 EINECS: 241-344-3
LD_{50}: 22 mg/kg (M, i.v.); 470 mg/kg (M, p.o.);
26 mg/kg (R, i.v.); 914 mg/kg (R, p.o.);
32 mg/kg (dog, i.v.); 383 mg/kg (dog, p.o.)



Reference(s):
US 3 515 785 (Geigy; 2.6.1970; CH-prior. 6.12.1958; 12.1.1959).
DE 1 161 278 (Geigy; appl. 5.12.1959; CH-prior. 6.12.1958, 12.1.1959).
CH 371 799 (Geigy; appl. 6.12.1958).
Craig, P.N. et al.: J. Org. Chem. (JOCEAH) **26**, 135 (1961).

starting material:
DE 1 166 200 (Geigy; appl. 1959; CH-prior. 1958, 1959).
US 3 056 774 (Geigy; 1962; CH-prior. 1958).
US 3 056 776 (Geigy; 1962; CH-prior. 1959).

Formulation(s): amp. 25 mg/2 ml; drg. 25 mg; f. c. tabl. 10 mg, 25 mg; s. r. tabl. 75 mg

Trade Name(s):

D:	Anafranil (Novartis) Hydiphen (ASTA Medica AWD)	F:	Anafranil (Novartis)	J:	Anafranil (Fujisawa)
		GB:	Anafranil (Novartis)	USA:	Anafranil (Novartis; as hydrochloride)
		I:	Anafranil (Geigy)		

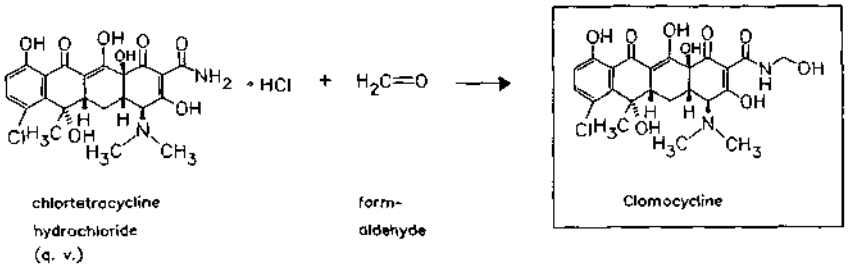
Clomocycline

(Chlormethylencycline; Clomociclina)

ATC: J01AA11
Use: antibiotic

RN: 1181-54-0 MF: $C_{23}H_{25}ClN_2O_9$ MW: 508.91
LD_{50}: 115 mg/kg (M, i.v.); 2830 mg/kg (M, p.o.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-7-chloro-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-*N*-(hydroxymethyl)-6-methyl-1,11-dioxo-2-naphthacenecarboxamide

sodium salt
RN: 68-20-2 MF: $C_{23}H_{24}ClN_2NaO_9$ MW: 530.89



Reference(s):
BE 628 142 (Leo Ind. Chim. Farm. S.p.A.; appl. 7.2.1963).

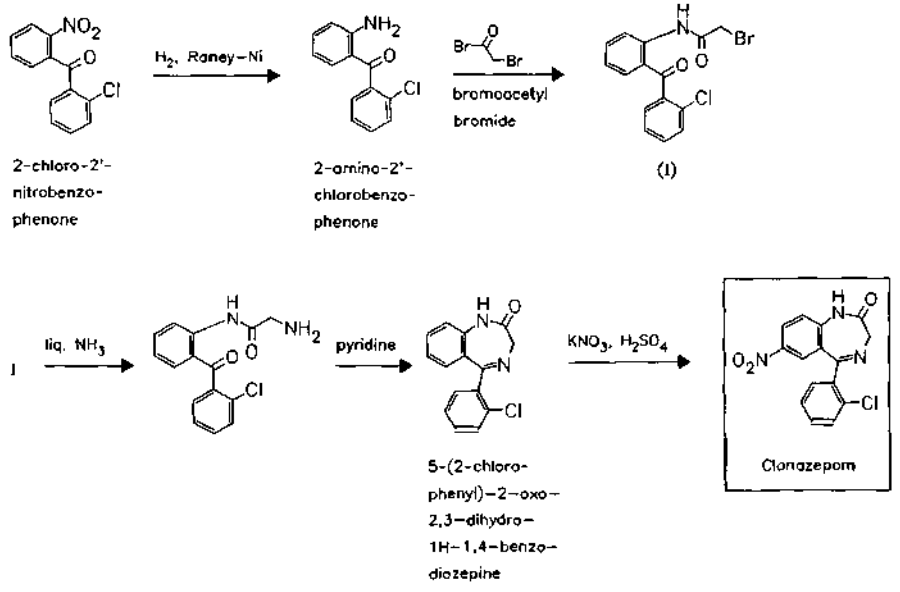
Formulation(s): cps. 170 mg (as sodium salt)

Trade Name(s):
GB: Megaclor (Pharmax); wfm I: Megaclor (Pharmax); wfm

Clonazepam

ATC: N03AE01
Use: anticonvulsant

RN: 1622-61-3 MF: $C_{15}H_{10}ClN_3O_3$ MW: 315.72 EINECS: 216-596-2
CN: 5-(2-chlorophenyl)-1,3-dihydro-7-nitro-2*H*-1,4-benzodiazepin-2-one



Reference(s):
Sternbach, L.H. et al.: J. Med. Chem. (JMCMAR) **6**, 261 (1963).
US 3 116 203 (Hoffmann-La Roche; 31.12.1963; prior. 14.3.1962).
US 3 123 529 (Hoffmann-La Roche; 3.3.1964; prior. 9.3.1962).
US 3 121 114 (Roche; 11.2.1964; CH-prior. 2.12.1960).
US 3 203 990 (Roche; 31.8.1965; prior. 27.6.1960, 20.4.1961, 21.3.1962).
US 3 335 181 (Roche; 8.8.1967; appl. 17.4.1964).

Formulation(s): amp. 1 mg/ml; sol. 2.5 mg/ml; tabl. 0.25 mg, 0.5 mg, 1 mg, 2 mg

Trade Name(s):

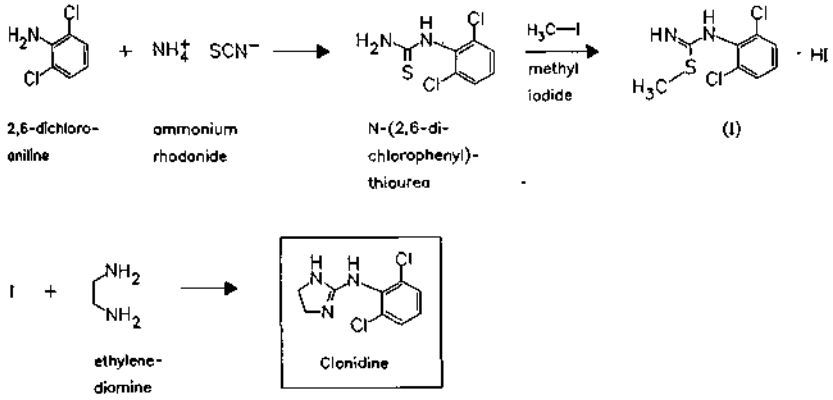
D:	Antalepsin (ASTA Medica AWD) Rivotril (Roche)	F:	Rivotril (Roche)	USA:	Klonopin (Roche Labs.)
		GB:	Rivotril (Roche)		
		I:	Rivotril (Roche)		

Clonidine

ATC: C02AC01; N02CX02; S01EA04
Use: antihypertensive

RN: 4205-90-7 MF: $C_9H_9Cl_2N_3$ MW: 230.10 EINECS: 224-119-4
CN: *N*-(2,6-dichlorophenyl)-4,5-dihydro-1*H*-imidazol-2-amine

monohydrochloride
RN: 4205-91-8 MF: $C_9H_9Cl_2N_3 \cdot HCl$ MW: 266.56 EINECS: 224-121-5
LD_{50}: 17.6 mg/kg (M, i.v.); 135 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 126 mg/kg (R, p.o.);
6 mg/kg (dog, i.v.); 30 mg/kg (dog, p.o.)



Reference(s):
DE 1 303 141 (Boehringer Ing.; appl. 9.10.1961).
US 3 202 660 (Boehringer Ing.; 24.8.1965; D-prior. 9.10.1961).
US 3 236 857 (Boehringer Ing.; 22.2.1966; D-prior. 9.10.1961, 4.10.1963).
BE 653 933 (Boehringer Ing.; appl. 2.10.1964; D-prior. 4.10.1963; 31.7.1964).
GB 1 016 514 (Boehringer Ing.; appl. 2.10.1962; D-prior. 9.10.1961).
GB 1 034 938 (Boehringer Ing.; appl. 28.9.1964; D-prior. 4.10.1963; addition to GB 1 016 514).

alternative syntheses:
DAS 1 770 874 (VEB Arzneimittelwerke Dresden; appl. 12.7.1968).
DAS 2 505 297 (Lentia; appl. 7.2.1975; A-prior. 5.4.1974).

Formulation(s): eye drops 0.625 mg/ml, 1.25 mg/ml; inj. sol. 0.15 mg/1 ml, 0.75 mg/ml; s. r. cps. 0.25 mg; tabl. 0.075 mg, 0.15 mg, 0.3 mg

Trade Name(s):

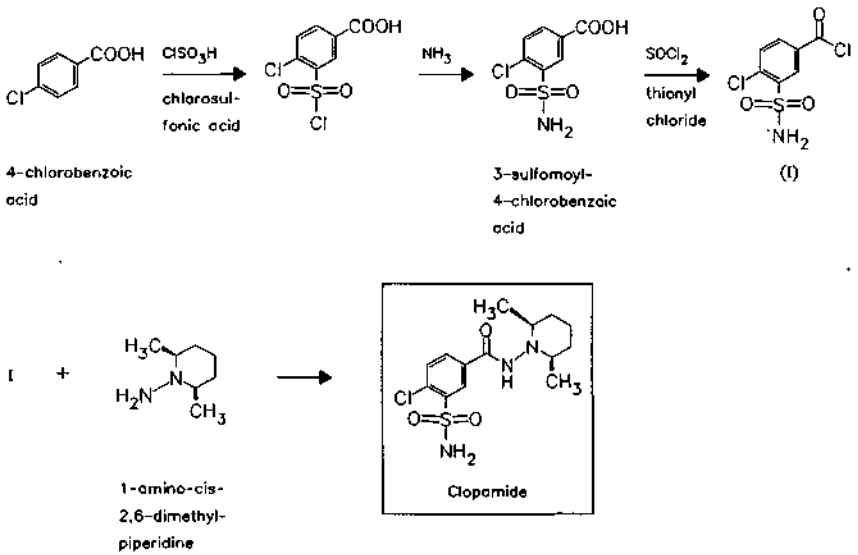
D:	Aruclonin (Chauvin ankerpharm) Catapresan (Boehringer Ing.) Combipresan (Boehringer Ing.)-comb. Dispaclonidin (CIBA Vision) Dixarit (Boehringer Ing.) Haemiton (ASTA Medica AWD) Haemiton (ASTA Medica AWD)-comb. Isoglaucon (Alcon) Mirfat (Merckle) Paracefan (Boehringer Ing.)	F:	Catapressan (Boehringer Ing.)		
		GB:	Catapres (Boehringer Ing.) Dixarit (Boehringer Ing.)		
		I:	Adesipress (Carlo Erba) Catapresan (Boehringer Ing.)-comb.		

Combipresan (Boehringer Ing.)	Isoglaucon (Boehringer Ing.; as hydrochloride)	J:	Catapres (Tanabe)
		USA:	Catapres (Boehringer Ing.)

Clopamide

ATC: C03BA03
Use: diuretic

RN: 636-54-4 MF: $C_{14}H_{20}ClN_3O_3S$ MW: 345.85 EINECS: 211-261-7
CN: *cis*-3-(aminosulfonyl)-4-chloro-*N*-(2,6-dimethyl-1-piperidinyl)benzamide



Reference(s):
Jucker, E.; Lindenmann, A.: Helv. Chim. Acta (HCACAV) **45**, 2316 (1962).
CH 412 891 (Sandoz; appl. 6.6.1961; addition to CH 396 905).
CH 396 905 (Sandoz; appl. 9.11.1960).
CH 436 288 (Sandoz; appl. 11.6.1963).

combination with dihydroergocristine *and* reserpine:
US 3 567 828 (Sandoz; 2.3.1971; appl. 12.8.1968; CH-prior. 17.8.1967).
DAS 1 792 271 (Sandoz; appl. 13.8.1968; CH-prior. 17.8.1967).

Formulation(s): drg. 2.5 mg, 5 mg (comb. with reserpine); tabl. 20 mg

Trade Name(s):

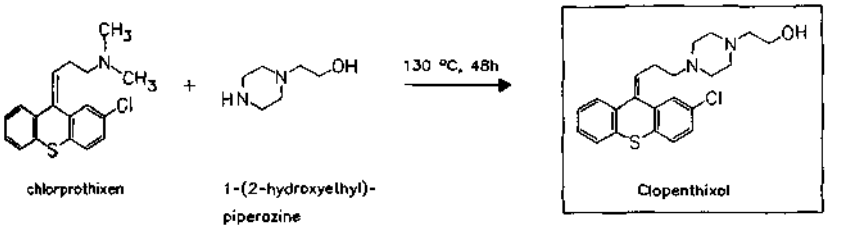
D:	Brinaldix (Novartis Pharma)		Viskaldix (Novartis Pharma)-comb.	I:	Brinerdina (Sandoz)-comb.
	Briserin/mite (Novartis Pharma)-comb.	F:	Viskaldix (Sandoz)-comb.	USA:	Brinaldix (Sandoz); wfm
		GB:	Viskaldix (Novartis)-comb.		

Clopenthixol

ATC: N05AF02
Use: neuroleptic

RN: 982-24-1 MF: $C_{22}H_{25}ClN_2OS$ MW: 400.97 EINECS: 213-566-0
LD_{50}: 226 mg/kg (M, i.p.)
CN: 4-[3-(2-chloro-9*H*-thioxanthen-9-ylidene)propyl]-1-piperazineethanol

dihydrochloride
RN: 633-59-0 MF: $C_{22}H_{25}ClN_2OS \cdot 2HCl$ MW: 473.90 EINECS: 211-194-3
LD_{50}: 111 mg/kg (M, i.v.); 560 mg/kg (M, p.o.);
125 mg/kg (R, i.v.); 660 mg/kg (R, p.o.)



Reference(s):
US 3 116 291 (Kefalas; 31.12.1963; DK-prior. 4.12.1958).
DE 1 231 254 (Kefalas; appl. 1960; DK-prior. 1959).
US 3 149 103 (Kefalas A/S; 15.9.1964; DK-prior. 14.7.1959).
GB 932 494 (Kefalas; appl. 3.12.1959; DK-prior. 4.12.1958, 14.8.1959).

alternative syntheses:
DE 1 443 983 (Roche; appl. 16.1.1962; CH-prior. 8.2.1961, 30.3.1961).
DE 1 795 506 (Kefalas; appl. 3.12.1959; DK-prior. 4.12.1958, 14.8.1959).
DOS 1 918 739 (Egyesült; appl. 12.4.1969; H-prior. 12.4.1968).

separation of isomers:
DAS 2 429 101 (Kefalas; appl. 18.6.1974; GB-prior. 25.6.1973).

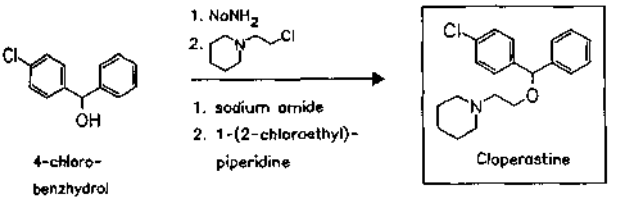
Formulation(s): amp. 10 mg/ml, 25 mg/ml; drg. 10 mg, 25 mg; tabl. 25 mg (as dihydrochloride)

Trade Name(s):
D: Ciatyl (Bayer Vital) GB: Clopixol (Lundbeck) I: Sordinol (Pierrel)

Cloperastine

ATC: R05DB21
Use: antitussive

RN: 3703-76-2 MF: $C_{20}H_{24}ClNO$ MW: 329.87 EINECS: 223-042-3
LD_{50}: 439 mg/kg (g.p., route unreported)
CN: 1-[2-[(4-chlorophenyl)phenylmethoxy]ethyl]piperidine



Reference(s):
GB 670 622 (Parke Davis; appl. 1948; CH-prior. 1947).

salt with 2-[(6-hydroxy[1,1'-biphenyl]-3-yl)carbonyl]benzoic acid:
GB 1 179 945 (Yoshitomi; appl. 7.7.1967; J-prior. 7.7.1966; 16.8.1966, 15.11.1966 and 1.3.1967).

Formulation(s): syrup 0.2 %; tabl. 5 mg, 10 mg, 20 mg

Trade Name(s):

I: Nitossil (Zyma)
Risoltuss (Magis)
Seki (Astra-Simes)
J: Hustazol (Yoshitomi)

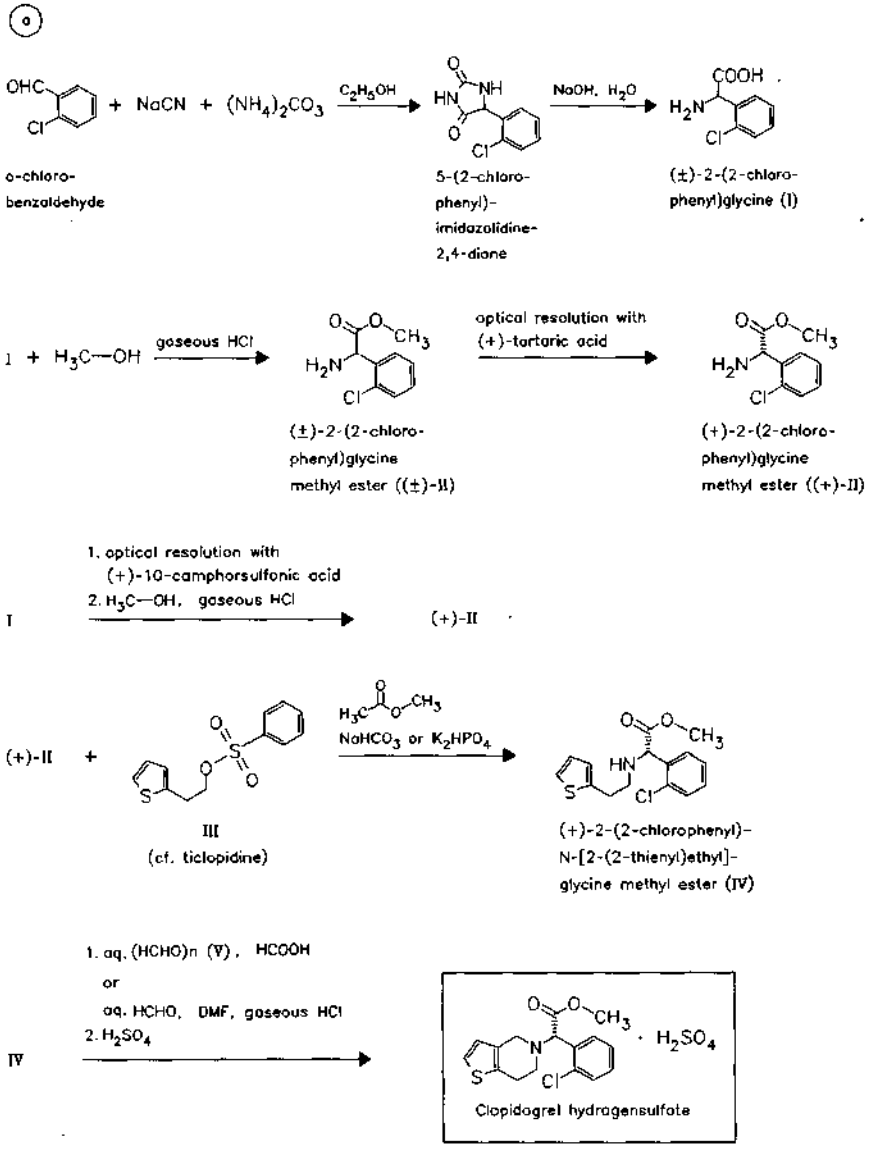
Clopidogrel hydrogensulfate

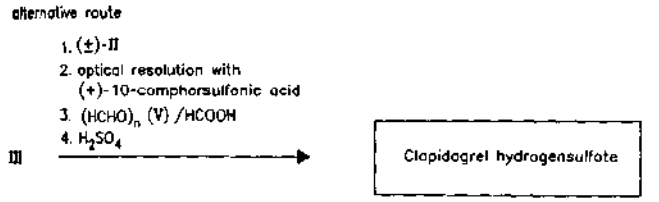
(SR-25990C)

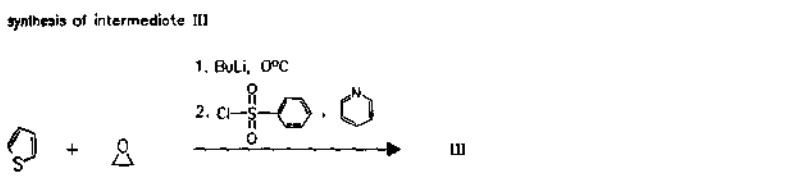
ATC: B01AC04
Use: platelet anti-aggregatory

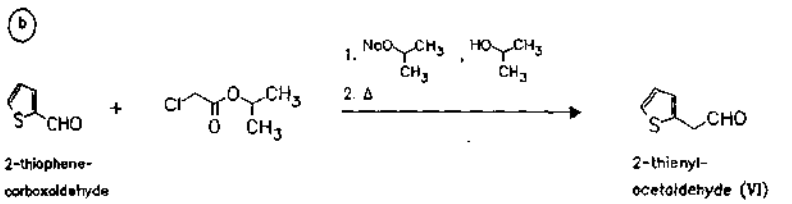
RN: 120202-66-6 MF: $C_{16}H_{16}ClNO_2S \cdot H_2SO_4$ MW: 419.91
CN: (*S*)-.α-(2-Chlorophenyl)-6,7-dihydrothieno[3,2-*c*]pyridine-5(4*H*)-acetic acid methyl ester sulfate (1:1)

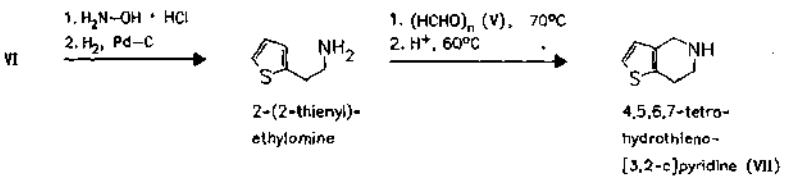
(±)-base
RN: 90055-48-4 MF: $C_{16}H_{16}ClNO_2S$ MW: 321.83
(+)-base
RN: 113665-84-2 MF: $C_{16}H_{16}ClNO_2S$ MW: 321.83

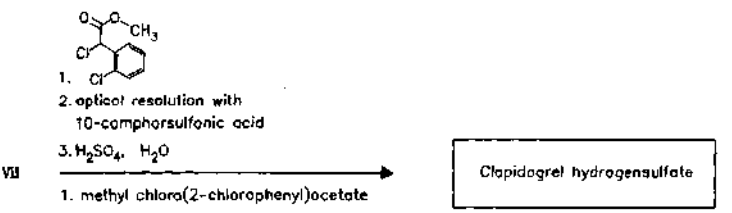












Reference(s):

a EP 99 802 (Sanofi; appl. 5.7.1983; F-prior. 13.7.1982).
optical resolution of (±)-clopidogrel *with* (+)-10-camphorsulfonic acid:
EP 281 459 (Elf Sanofi; appl. 16.2.1988; F-prior. 17.2.1987).

b EP 465 358 (Sanofi; appl. 3.7.1991; F-prior. 4.7.1990).

alternative route for preparing 2-thienylethylamine derivatives from thienylglycidic acid derivatives:
WO 9 839 322 (Sanofi; appl. 5.3.1998; F-prior. 5.3.1997).

pharmaceutical compositions:
WO 9 729 753 (Sanofi; appl. 17.2.1997; F-prior. 19.2.1996).
WO 9 717 064 (Sanofi; appl. 30.10.1996; F-prior. 3.11.1995).

synthesis of optical pure (2-chlorophenyl)glycine:
Garcia, M.J.; Azerad, R: Tetrahedron: Asymmetry (TASYE3) **8** (1), 85 (1997).

synthesis of (2-chlorophenyl)glycine:
Hayashi: Chem. Pharm. Bull. (CPBTAL) **7**, 912, 1914 (1959).
Kobow, M.; Sprung, W.-D.; Schulz, E.: Pharmazie (PHARAT) **45** (7), 529 (1990).

Formulation(s): f. c. tabl. 75 mg (as hydrogen sulfate)

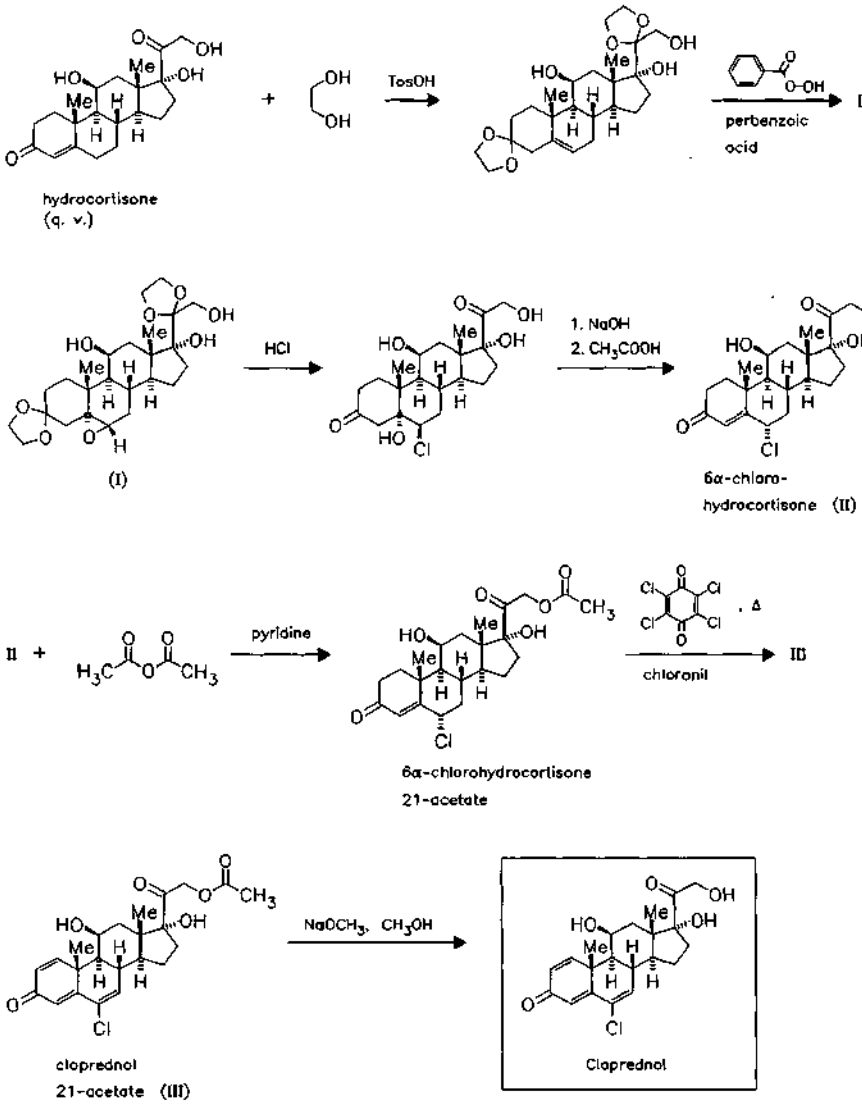
Trade Name(s):

D: Iscover (Bristol-Myers Squibb)
Plavix (Sanofi-Synthelabo; 1988)
GB: Plavix (Sanofi Winthrop)
USA: Plavix (Sanofi Pharm; Bristol-Myers Squibb; 1998)

Cloprednol

ATC: H02AB14
Use: glucocorticoid

RN: 5251-34-3 MF: $C_{21}H_{25}ClO_5$ MW: 392.88 EINECS: 226-052-6
CN: (11β)-6-chloro-11,17,21-trihydroxypregna-1,4,6-triene-3,20-dione



Reference(s):
US 3 232 965 (Syntex; 1.2.1966; prior. 8.7.1957, 20.6.1958).
GB 890 835 (Syntex; appl. 20.6.1958; Mex.-prior. 22.6.1957, 20.7.1957).

alternative synthesis:
US 3 264 332 (Schering Corp.; 2.8.1966; prior. 7.1.1959).

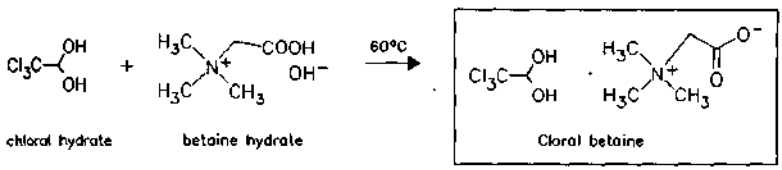
Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg

Trade Name(s):
D: Syntestan (Syntex/Roche) I: Cloradryn (Recordati)

Cloral betaine
(Betainchloralum; Chloral Betaine)

ATC: N05C
Use: hypnotic, sedative

RN: 2218-68-0 MF: $C_2H_3Cl_3O_2$ MW: 165.40 EINECS: 218-722-1
LD_{50}: 800 mg/kg (M, p.o.)
CN: 1-carboxy-*N,N,N*-trimethylmethanaminium inner salt compd. with 2,2,2-trichloro-1,1-ethanediol (1:1)



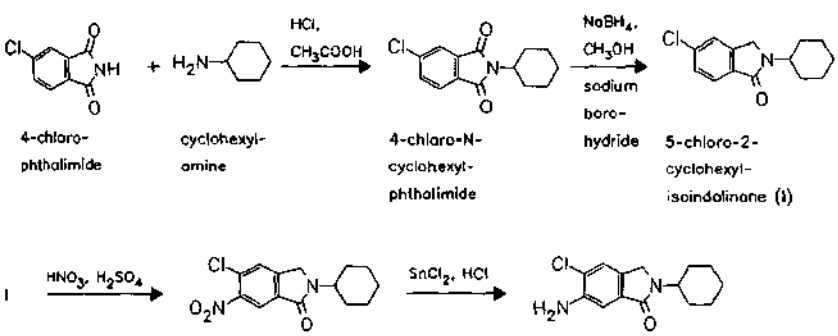
Reference(s):
US 3 028 420 (British Drug Houses; 3.4.1962).
GB 874 246 (British Drug Houses; appl. 26.6.1959; valid from 27.5.1960).

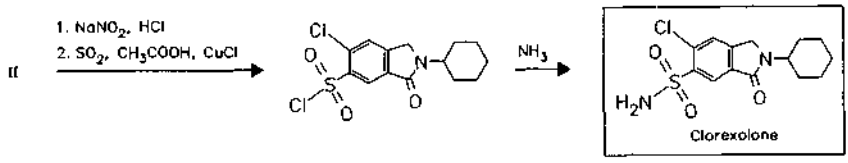
Trade Name(s):
USA: Beta-Chlor (Mead Johnson); wfm
Quinamm (Merrell-National); wfm
Quinine sulfate (Perepac); wfm

Clorexolone

ATC: C03BA12
Use: diuretic

RN: 2127-01-7 MF: $C_{14}H_{17}ClN_2O_3S$ MW: 328.82 EINECS: 218-342-6
LD_{50}: 230 mg/kg (M, i.v.); >6 g/kg (M, p.o.);
120 mg/kg (R, i.v.); 6 g/kg (R, p.o.)
CN: 6-chloro-2-cyclohexyl-2,3-dihydro-3-oxo-1*H*-isoindole-5-sulfonamide





Reference(s):
BE 620 654 (May & Baker; appl. 25.7.1962; GB-prior. 28.7.1961).

Formulation(s): tabl. 10 mg, 25 mg

Trade Name(s):

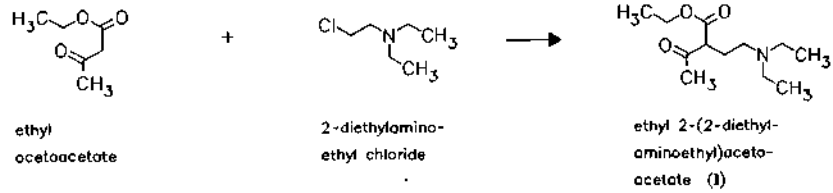
F:	Flonatril (Specia); wfm Speciatensol (Specia)-comb.; wfm	GB:	Nefrolan (May & Baker); wfm
		J:	Nefrolan (Teikoku Zoki)

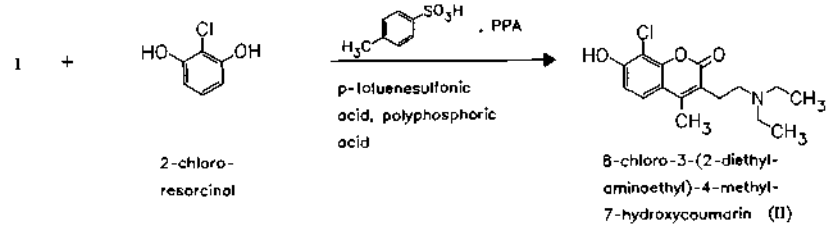
Cloricromen
(AD-6)

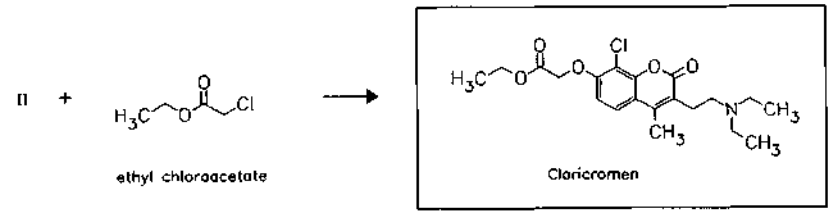
ATC: B01AC02; C01
Use: coronary vasodilator, antithrombotic

RN: 68206-94-0 MF: $C_{20}H_{26}ClNO_5$ MW: 395.88
LD_{50}: 10 mg/kg (R, i.v.); 1250 mg/kg (R, p.o.)
CN: [[8-chloro-3-[2-(diethylamino)ethyl]-4-methyl-2-oxo-2*H*-1-benzopyran-7-yl]oxy]acetic acid ethyl ester

hydrochloride
RN: 74697-28-2 MF: $C_{20}H_{26}ClNO_5 \cdot HCl$ MW: 432.34







Reference(s):
DOS 2 846 083 (Fidia; appl. 23.10.1978; I-prior. 17.11.1977).
US 4 296 039 (Fidia; 20.10.1981; I-prior. 17.11.1977).

synthesis of ethyl 2-(2-diethylaminoethyl)acetoacetate:
Weizmann, Ch.; Bergmann, E.; Sulzbacher, M.: J. Org. Chem. (JOCEAH) **15**, 918 (1950).

synthesis of 2-chlororesorcinol:
Schamp, N.: Bull. Soc. Chim. Belg. (BSCBAG) **73**, 35 (1946).
Wauzlick, H.V.; Mohrmann, S.: Chem. Ber. (CHBEAM) **96**, 2257 (1963).

Formulation(s): amp. 30 mg/5 ml; cps. 100 mg; vial 30 mg

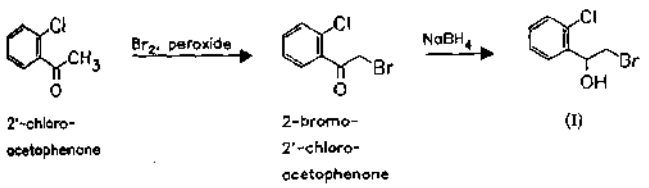
Trade Name(s):
I: Assogen (Metapharma) Proendotel (Fidia; 1991)

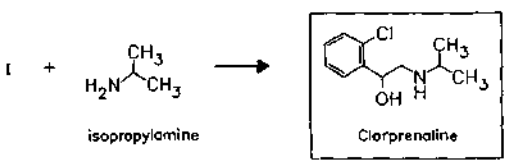
Clorprenaline

ATC: R03
Use: bronchodilator

RN: 3811-25-4 MF: $C_{11}H_{16}ClNO$ MW: 213.71 EINECS: 223-291-8
CN: 2-chloro-α-[[(1-methylethyl)amino]methyl]benzenemethanol

hydrochloride monohydrate
RN: 5588-22-7 MF: $C_{11}H_{16}ClNO \cdot HCl \cdot H_2O$ MW: 268.18
LD_{50}: 54 mg/kg (M, i.v.); 298 mg/kg (M, p.o.);
68 mg/kg (R, i.v.); 450 mg/kg (R, p.o.);
>400 mg/kg (dog, p.o.)





Reference(s):
US 2 816 059 (Lilly; 1957; appl. 1956).

Formulation(s): sol. 2 % (inhalation)

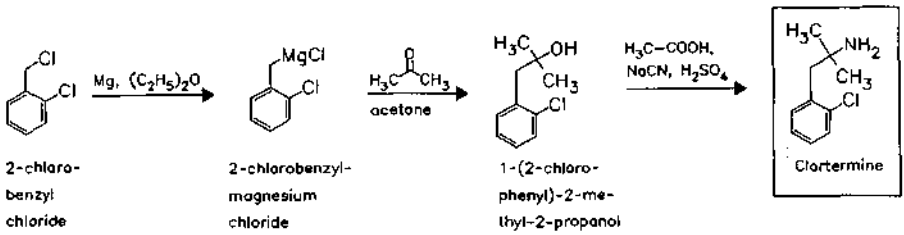
Trade Name(s):
J: Aremans (Zensei)
Asthone (Eisai)
Bronocon (Wakamoto)
Clopinerin (Nippon Shoji)
Clorprenalin HCl (Kongo)
Conselt (San-a)
Cosmoline (Chemiphar)
Fusca (Hoei)
Kalutein (Tatsumi)
Neoasutoma (Nihon Yakuhin)
Pentadoll (Showa)
Propran (Kobayashi Kako)

Clortermine

ATC: N07
Use: appetite depressant

RN: 10389-73-8 MF: $C_{10}H_{14}ClN$ MW: 183.68
CN: 2-chloro-α,α-dimethylbenzeneethanamine

hydrochloride
RN: 10389-72-7 MF: $C_{10}H_{14}ClN \cdot HCl$ MW: 220.14
LD_{50}: 332 mg/kg (R, p.o.)



Reference(s):
US 3 415 937 (Ciba; 10.12.1968; appl. 1.12.1966; prior. 31.8.1964).

Formulation(s): tabl. 50 mg

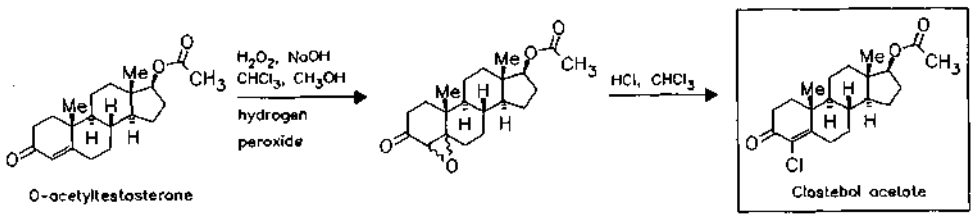
Trade Name(s):
USA: Voranil (USV); wfm

Clostebol acetate

ATC: D11AE
Use: anabolic

RN: 855-19-6 MF: $C_{21}H_{29}ClO_3$ MW: 364.91 EINECS: 212-720-4
CN: (17β)-17-(acetyloxy)-4-chloroandrost-4-en-3-one

clostebol
RN: 1093-58-9 MF: $C_{19}H_{27}ClO_2$ MW: 322.88 EINECS: 214-133-9



Reference(s):
US 2 953 582 (Soc. Farmaceutici Italia; 20.9.1960; appl. 26.10.1956; I-prior. 23.4.1956).
US 2 933 510 (Julian Labs.; 19.4.1960, Prior. 3.2.1955).

Formulation(s): cream 0.5 %; sugar coated tabl. 15 mg; vial 10 mg/1.5 ml

Trade Name(s):
D: Megagrisevit (Pharmacia & Upjohn)
Megagrisevit N (Pharmacia & Upjohn)-comb.
F: Trofoseptine (Boehringer Ing.)-comb.

I: Alfa-Trofodermine (Farmitalia)

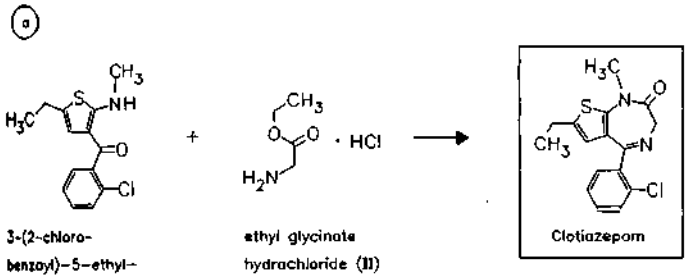
Trofodermin (Farmitalia)-comb. with neomycine

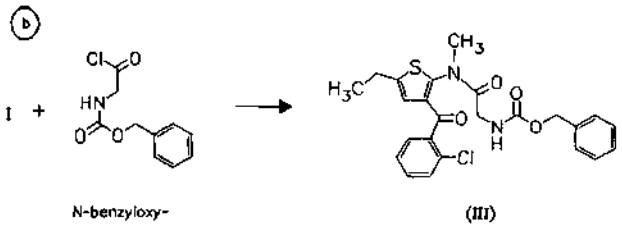
J: Steranabol (Sumitomo)

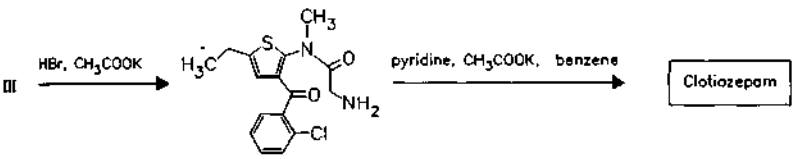
Clotiazepam

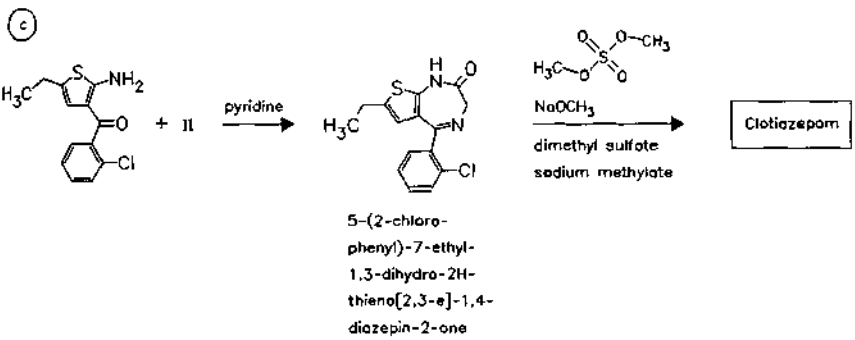
ATC: N05BA21
Use: anxiolytic, benzodiazepine analog

RN: 33671-46-4 MF: $C_{16}H_{15}ClN_2OS$ MW: 318.83 EINECS: 251-627-3
LD_{50}: 440 mg/kg (M, i.p.); 636 mg/kg (M, p.o.)
CN: 5-(2-chlorophenyl)-7-ethyl-1,3-dihydro-1-methyl-2*H*-thieno[2,3-*e*]-1,4-diazepin-2-one









Reference(s):
DOS 2 107 356 (Yoshitomi; appl. 16.2.1971; J-prior. 17.2.1970, 23.2.1970, 7.3.1970, 25.6.1970, 31.7.1970).
US 3 849 405 (Yoshitomi; 19.11.1974; J-prior. 17.2.1970, 23.2.1970, 7.3.1970, 25.6.1970, 31.7.1970).

Formulation(s): drops 1 %; tabl. 5 mg, 10 mg, 20 mg

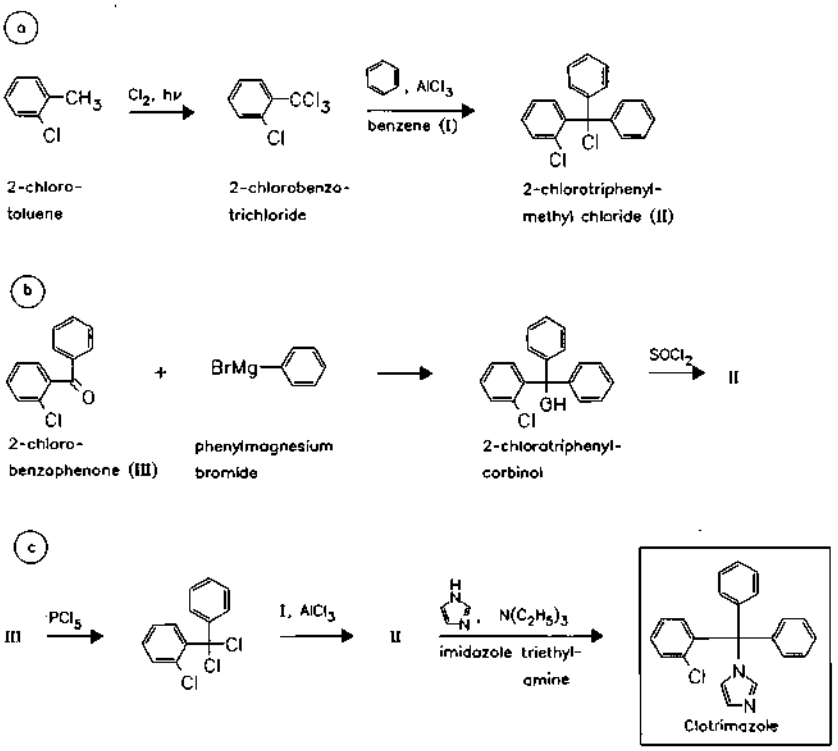
Trade Name(s):

D:	Trecalmo (Bayer Vital; 1979)	J:	Tienor (Farmaka)		Reilyfter (Maruko)
F:	Vératran (Murat; 1984)		Emolex (Nichiiko)		Rize (Yoshitomi)
I:	Rizen (Puropharma; 1984)		Isocline (Sawai)		
			Lieze (Yoshitomi)		

Clotrimazole

ATC: A01AB18; D01AC01; G01AF02
Use: antifungal

RN: 23593-75-1 MF: $C_{22}H_{17}ClN_2$ MW: 344.85 EINECS: 245-764-8
LD_{50}: 761 mg/kg (M, p.o.);
708 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 1-[(2-chlorophenyl)diphenylmethyl]-1*H*-imidazole



Reference(s):
DE 1 617 481 (Bayer; appl. 15.9.1967).
DAS 1 670 976 (Bayer; appl. 29.1.1968).
DE 1 670 977 (Bayer; D-prior. 29.1.1968).
US 3 660 577 (Bayer; 2.5.1972; D-prior. 15.9.1967).
US 3 705 172 (Bayer; 5.12.1972; D-prior. 15.9.1967).

mode of mechanism:
Berg, D. et al.: Arzneim.-Forsch. (ARZNAD) **34** (I), 139 (1984).

medical use at Herpes labialis:
US 4 438 129 (Pennwalt; 20.3.1984; appl. 27.9.1982).

special formulations:
DOS 3 321 043 (Bayer; appl. 10.6.1983).
EP 128 459 (Bayer; appl. 30.5.1984; D-prior. 10.6.1983).
EP 112 485 (Bayer; appl. 17.11.1983; D-prior. 25.11.1982).

combination with corticosteroids:
EP 49 468 (Schering Corp.; appl. 30.9.1981; USA-prior. 6.10.1980).

Formulation(s): pessaries 100 mg, 200 mg, powder 10 mg/g (1 %); 500 mg; sol. 1 %, 10 mg; spray 10 mg/ml (1 %); topical cream 1 %, 10 mg; vaginal cream 10 mg, 20 mg/ml (2 %, 10 %); vaginal tabl. 100 mg, 200 mg, 500 mg

Trade Name(s):

D: Antifungal (Hexal)
Apocanda (esparma)
ARU Spray (Chauvin ankerpharm)
Azutrimazol (Azupharma)
Benzoderm (Athenstaedt)
Candazol (Apogepha)
Canesten (Bayer; 1973)
Canifug (Wolff)
Cloderm (Dermapharm)
Cutistad (Stada)
Durafungol (durachemie)
Gilt (Solvay Arzneimittel)
Gyno Canesten (Bayer Vital)
Holfungin (Holborn)
Jenamazol (Jenapharm)
Lobalacid (Kade)
Mono Baycuten (Bayropharm)
Mycofug (Hermal)
Myko Cordes (Ichthyol)
Mykofungin (Wyeth)
Mykohaug (betapharm)
Ovis (Warner-Lambert)
Pedisafe (BASF Generics)
Radical (Maurer)
Uromycol (Hayer)

F: Trimysten (Roger Bellon; 1978); wfm

GB: Canesten (Bayer; 1973)
Canesten HC (Bayer)-comb.
Lotriderm (Dominion)-comb.
Masnoderm (Dominion)

I: Antimicotico Same (Savoma)
Canesten (Bayropharm; 1973)
Desamix Effe (Savoma)-comb.
Gyno-Canesten (Bayropharm)
Meclon (Farmigea)

J: Empecid (Bayer-Takeda; 1976)
Tao (Toko-Fujisawa)

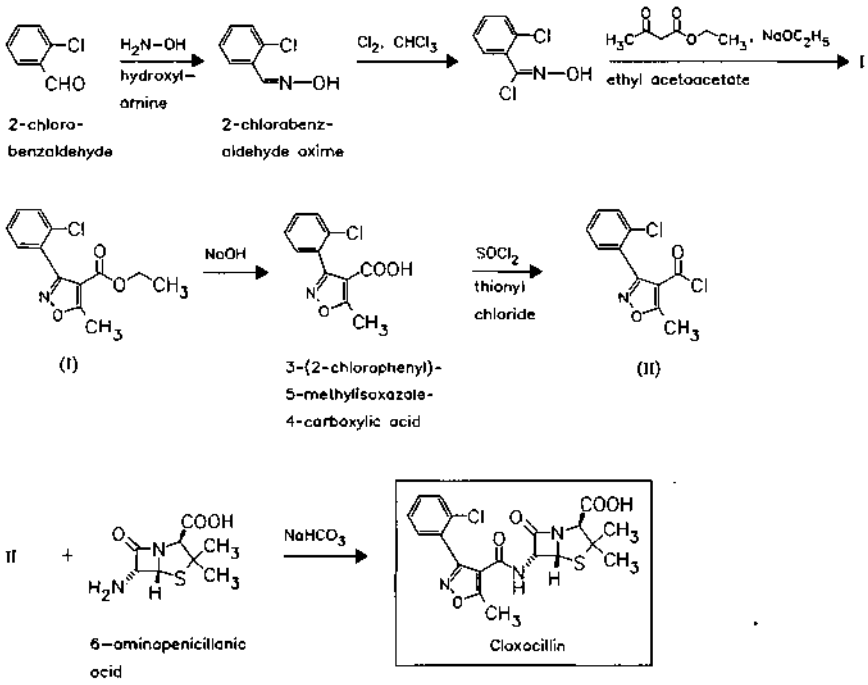
USA: Fungoid (Pedinol)
Lotrimin (Schering; 1976)
Lotrisone (Schering)
Mycelex (Bayer)

Cloxacillin

ATC: J01CF02
Use: antibiotic

RN: 61-72-3 MF: $C_{19}H_{18}ClN_3O_5S$ MW: 435.89 EINECS: 200-514-7
CN: [2*S*-(2α,5α,6β)]-6-[[[3-(2-chlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 642-78-4 MF: $C_{19}H_{17}ClN_3NaO_5S$ MW: 457.87 EINECS: 211-390-9
LD_{50}: 916 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
1660 mg/kg (R, i.v.); 5 g/kg (R, p.o.)
monosodium salt monohydrate
RN: 7081-44-9 MF: $C_{19}H_{17}ClN_3NaO_5S \cdot H_2O$ MW: 475.89
LD_{50}: 1100 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
1660 mg/kg (R, i.v.); 5 g/kg (R, p.o.)



Reference(s):
US 2 996 501 (Beecham; 15.8.1961; GB-prior. 31.3.1960).
GB 905 778 (Beecham; appl. 31.3.1960; valid from 14.3.1961).
GB 958 478 (Beecham; appl. 28.2.1963; USA-prior. 13.3.1962).

Formulation(s): amp. 250 mg, 500 mg; cps. 250 mg, 500 mg; tabl. 250 mg, 500 mg (as sodium salt)

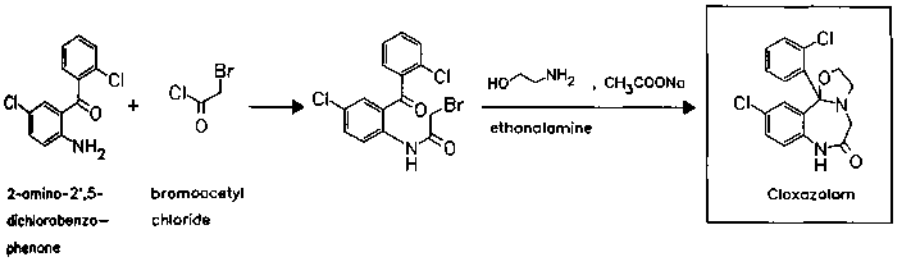
Trade Name(s):

D:	Ampiclox (Beecham)-comb. with ampicillin; wfm	GB:	Ampiclox (SmithKline Beecham)-comb. with ampicillin		Methocillin-S (Meiji Seika)
	Pyoclox (Beecham)-comb. with carbenicillin; wfm		Orbenin (Beecham); wfm		Orbenin (Beecham-Fujisawa)
	Pyolox (Beecham)-comb. with carbenicillin; wfm	I:	Amplium (Sigma-Tau)-comb.		Prostaphlin (Bristre-Banyu)
F:	Orbenine (SmithKline Beecham)		Cloxac (Formulario Naz.)		Solcillin C (Takeda)-comb.
		J:	Acucillin (Fuji)-comb.	USA:	Totaclox (Beecham)-comb.
					Cloxapen (Beecham); wfm
					Tegopen (Bristol); wfm

Cloxazolam

ATC: N05BA22
Use: tranquilizer

RN: 24166-13-0 MF: $C_{17}H_{14}Cl_2N_2O_2$ MW: 349.22
LD_{50}: 2630 mg/kg (M, p.o.);
1780 mg/kg (R, p.o.)
CN: 10-chloro-11b-(2-chlorophenyl)-2,3,7,11b-tetrahydrooxazolo[3,2-*d*][1,4]benzodiazepin-6(5*H*)-one



Reference(s):
DOS 1 812 252 (Sankyo; appl. 26.11.1968; J-prior. 27.11.1967).
DOS 1 817 923 (Sankyo; appl. 26.11.1968; J-prior. 27.11.1967).

alternative synthesis:
DOS 1 954 065 (Sankyo; appl. 23.10.1969; J-prior. 24.10.1968, 17.4.1969).
US 3 696 094 (Sankyo; 3.10.1972; J-prior. 24.10.1968, 25.10.1968).
US 3 772 371 (Sankyo; 13.11.1973; J-prior. 27.11.1967).

review:
Schulte, E.: Dtsch. Apoth. Ztg. (DAZEA2) **115**, 1253, 1828 (1975).

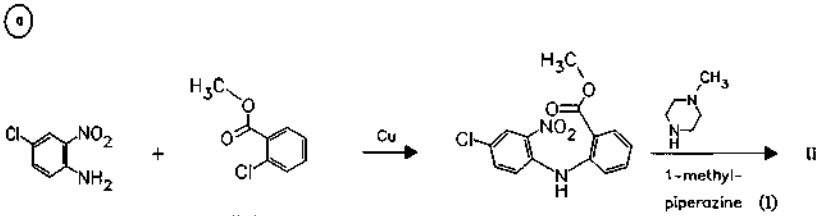
Formulation(s): tabl. 1 mg, 2 mg

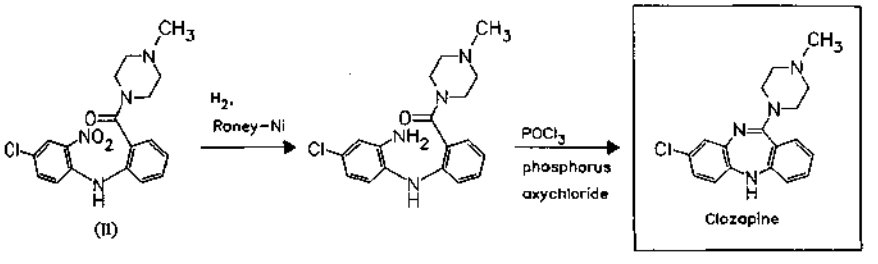
Trade Name(s):
J: Enadel (Taito Pfizer) Sepazon (Sankyo)

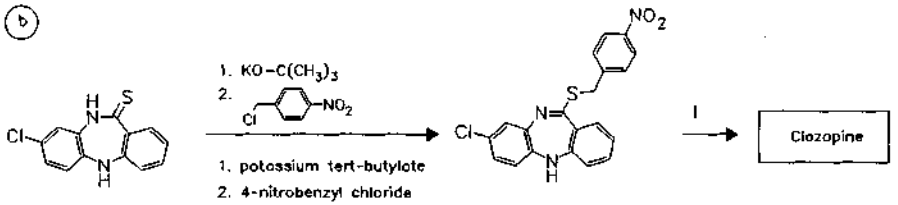
Clozapine

ATC: N05AH02
Use: neuroleptic

RN: 5786-21-0 MF: $C_{18}H_{19}ClN_4$ MW: 326.83 EINECS: 227-313-7
LD_{50}: 36.5 mg/kg (M, i.v.); 150 mg/kg (M, p.o.);
41.6 mg/kg (R, i.v.); 251 mg/kg (R, p.o.);
145 mg/kg (dog, p.o.)
CN: 8-chloro-11-(4-methyl-1-piperazinyl)-5*H*-dibenzo[*b*,*e*][1,4]diazepine







8-chloro-11-thioxo-10,11-dihydro-5H-dibenzo[b,e][1,4]-diozepine

Reference(s):
CH 404 677 (Dr. A. Wander; appl. 2.12.1960).
CH 398 620 (Dr. A. Wander; appl. 16.8.1960).
GB 980 853 (Dr. A. Wander; appl. 16.8.1961; CH-prior. 16.8.1960, 2.12.1960).
NL 147 426 (Dr. A. Wander; appl. 24.5.1963; CH-prior. 25.5.1962, 8.6.1962, 5.12.1962, 15.2.1963).
DE 1 280 879 (Wander; appl. 7.8.1961; CH-prior. 16.8.1960, 2.12.1960).
US 3 539 573 (Wander; 10.11.1970; CH-prior. 16.8.1060, 2.12.1960, 20.7.1961, 25.5.1962, 5.12.1962, 15.2.1963, 22.3.1967, 11.7.1967, 3.11.1967).
Hunziker, F. et al.: Helv. Chim. Acta (HCACAV) **50**, 1588 (1967).

Formulation(s): inj. sol. 50 mg/2 ml; tabl. 25 mg, 100 mg

Trade Name(s):

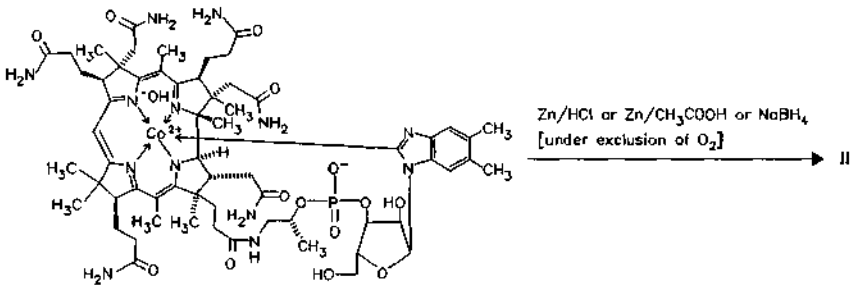
D:	Clozaril (Novartis)	F:	Leponex (Novartis)
	Leponex (Novartis Pharma)	USA:	Clozaril (Novartis)

Cobamamide

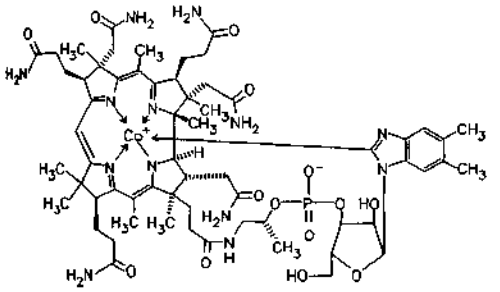
(Adenosylcobalamin; Coenzym B_{12}; Dibencozide)

ATC: B03BA04
Use: anabolic

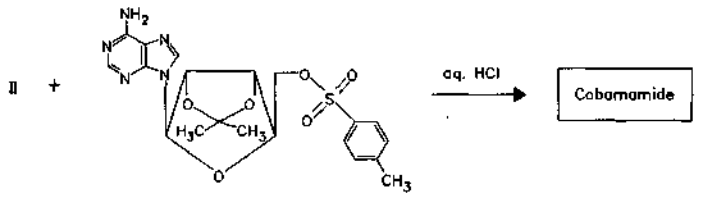
RN: 13870-90-1 MF: $C_{72}H_{100}CoN_{18}O_{17}P$ MW: 1579.61 EINECS: 237-627-6
LD_{50}: 1 g/kg (M, i.v.)
CN: cobinamide *Co*-(5'-deoxyadenosine-5') deriv. hydroxide dihydrogen phosphate (ester) inner salt 3'-ester with 5,6-dimethyl-1-α-D-ribofuranosyl-1*H*-benzimidazole



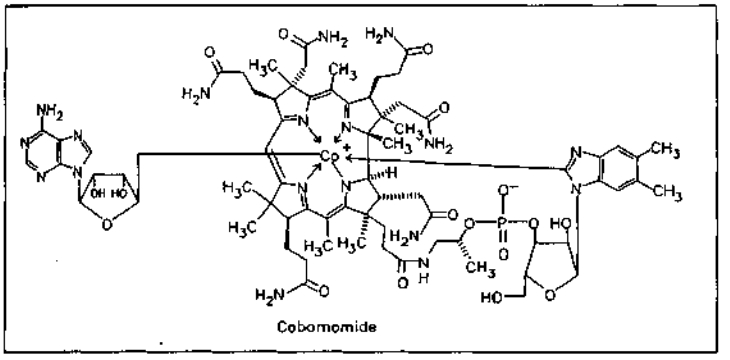
hydroxocobolomin (I)
(q. v.)



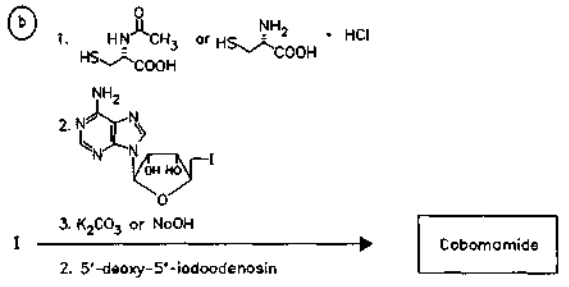
hydridocobalamin (II)



2',3'-O-isopropylidene-
5'-O-tosyladenosine



Cobamamide



Reference(s):

a Bernhauer, K. et al.: Angew. Chem. (ANCEAD) **75**, 1145 (1963).
US 3 213 082 (Glaxo; 19.10.1965; GB-prior. 11.12.1961).
b US 3 461 114 (Yamanouchi; 12.8.1969; J-prior. 1.10.1966).

Formulation(s): cps. 0.25 mg, 1 mg; drops 30 mg; tabl. 0.25 mg, 1 mg, 2.5 mg

Trade Name(s):

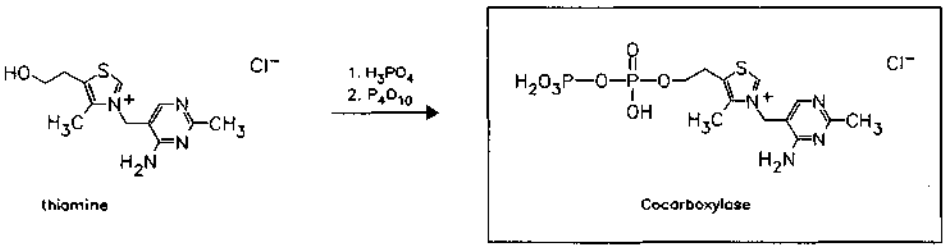
D: Xobaline (Albert-Roussel); wfm

F: Vibalgan (Doms-Adrian)-comb.
I: Amico (SIT)-comb.
Aminozim (Pierrel)-comb.
Anabasi (Zilliken)
Calciozim (Pierrel)-comb.
Calisvit (Menarini)-comb.
Cobaforte (Roussel)
Cocametina B12 (Sigma-Tau)-comb.
Glutacomplex (Chemil)-comb.
Hepafactor Complex (Sigma-Tau)-comb.
Indusil (Recordati)
J: Actavix (Nippon Kayaku)
Actimide (Tobishi)
Ademide (Toyo Jozo)
Cabarol (Daiko)
Calomide (Yamanouchi)
Cobaforte (Roussel-Chugai)
Cobalan (Daiichi)
Cobaltamin-S (Wakamoto)
Cobamyde (Shiu Nihon Jitsugyo)
Funacomide (Funai)
Hokuramide (Hokuriku)
Hycobal (Eisai)
Hyrasedon (Sawai)
Lasedmeide (Choseido)
Metamide (Nakataki)
Sabalamin (Sato-Santen)
Satomid (Shinshin)
generics and combination preparations

Cocarboxylase

ATC: A11DA
Use: enzyme against metabolic disturbance

RN: 154-87-0 MF: $C_{12}H_{19}ClN_4O_7P_2S$ MW: 460.77 EINECS: 205-836-1
LD_{50}: >1 g/kg (M, i.m.);
>500 mg/kg (R, i.m.)
CN: 3-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-4-methyl-5-(4,6,6-trihydroxy-4,6-dioxido-3,5-dioxa-4,6-diphosphahex-1-yl)thiazolium chloride



Work up of the reaction mixtures on ion-exchangers.

Reference(s):
US 2 991 284 (E. Merck AG; 4.7.1961; D-prior. 28.9.1957).

Formulation(s): vial 5.8 mg/10 mg, 20 mg, 50 mg, 100 mg

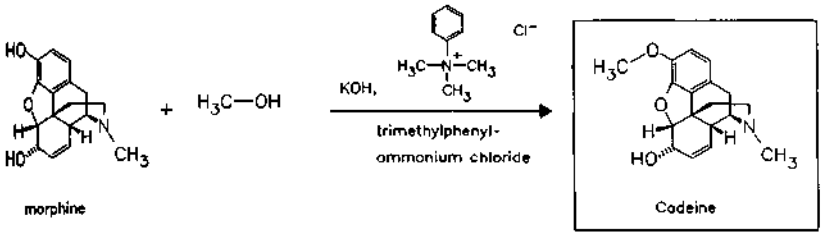
Trade Name(s):
D: Cernevit (Baxter)-comb.
F: Cernénit (Baxter)-comb.
Plenyl (Oberlin)-comb.
generics and combination preparations
I: Adenobeta (Salus Research)-comb.
Adenoplex (Lepetit)-comb.
Adenovit (Nuovo Cons. Sanit. Naz.)-comb.
Benexol (Roche)-comb.
Bivitasi (ISI)
Firmavit (Firma)-comb.
Fosforilasi (Polifarma)-comb.
Neogeynevral (Geymonat)-comb.
Piruvasi (Delalande Isnardi)-comb.
salts and combination preparations
J: Bicholase (Fuso)
Cocalbose (Fuji Zoki)
Cocalox (Maruko)
Co-Carten (Sanken)
Coxylase (Funai)
Carboxin (Toa Eiyo-Yamanouchi)
Hiactose (Ohno)
Metabolase (Takeda)
Neo Alinachiol (Kanto)
Nutrase (Kyorin)
Paraboramin (Hoei)
Proffit (Isei)
Pyrolase (Chugai)
Reborase (Kanto)
Thiamilase (Hokuriku)

Codeine

ATC: R05DA04
Use: antitussive, narcotic, analgesic

RN: 76-57-3 MF: $C_{18}H_{21}NO_3$ MW: 299.37 EINECS: 200-969-1
LD_{50}: 54 mg/kg (M, i.v.); 250 mg/kg (M, p.o.);
75 mg/kg (R, i.v.); 427 mg/kg (R, p.o.);
69 mg/kg (dog, i.v.)
CN: (5α,6α)-7,8-didehydro-4,5-epoxy-3-methoxy-17-methylmorphinan-6-ol

hydrobromide
RN: 125-25-7 MF: $C_{18}H_{21}NO_3 \cdot HBr$ MW: 380.28 EINECS: 204-730-2
LD_{50}: 535 mg/kg (M, p.o.)
hydriodide
RN: 125-26-8 MF: $C_{18}H_{21}NO_3 \cdot HI$ MW: 427.28 EINECS: 204-731-8
phosphate (1:1)
RN: 52-28-8 MF: $C_{18}H_{21}NO_3 \cdot H_3PO_4$ MW: 397.36 EINECS: 200-137-8
LD_{50}: 62 mg/kg (M, i.v.); 237 mg/kg (M, p.o.);
54 mg/kg (R, i.v.); 85 mg/kg (R, p.o.);
97.8 mg/kg (dog, i.v.)



Reference(s):
Ehrhart-Ruschig **I**, 117-118.
DRP 247 180 (C. H. Boehringer; 1912).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **3**, 232.

Formulation(s): cps. 30 mg; drops 2.4 g/100 ml; suppos. 30 mg; syrup 0.117 g/100 g; tabl. 30 mg, 50 mg

Trade Name(s):

D: Bronchicum (Nattermann)
Codeinum phophoricum
Compretten (Glaxo Wellcome/Cascan)
Codicept (Sanol)
Codipront (Mack, Illert.)
Dolomo (Klinge; as phosphate)-comb.
Dolviran (Bayer Vital)-comb.
Gelonida (Gödecke; as phosphate)-comb.
Lonarid (Boehringer Ing.)-comb.
Optipyrin (Thiemann)-comb.
Spasmo-Cibalgin Comp. (Novartis Pharma)-comb.
Treupel (ASTA Medica AWD)
Tricodein (Soleo)
Tussipect (Beiersdorf-Lilly)-comb.
numerous combination preparations

F: numerous combination preparations

GB: Aspar (Hoechst)-comb.
Codafen Continus (Napp; as phosphate)-comb.
Migralere (Pfizer Consumer; as phosphate)-comb.
Solpadol (Sanofi Winthrop; as phosphate)-comb.
Tylex (Schwarz; as phosphate)-comb.
numerous combination preparations

I: Bromocodeina (Menarini)
Codeinol (Saba)-comb.
Codipront (Bracco)-comb.
Lactocol (Ogna)-comb.
Hedrix Plan (Saba)-comb.
Senodin (Bristol-Myers Squibb)-comb.
numerous combination preparations

J: Codeine Phosphate (Dainippon; Sankyo; Shionogi; Takeda; Tanabe)

USA: Brontex (Procter & Gamble; as phosphate)
Dimetane (Robins; as phosphate)

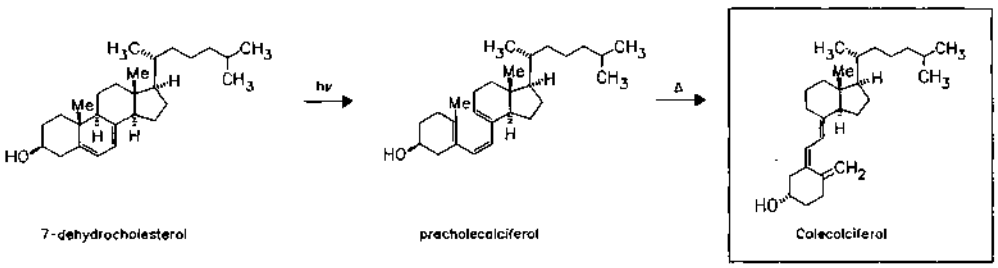
Nucofed (Monarch; as phosphate)	Robitussin (Robins; as phosphate)	numerous generics and combination preparations

Colecalciferol

(Cholecalciferol; Vitamin D_3)

ATC: A11CC05
Use: antirachitic

RN: 67-97-0 MF: $C_{27}H_{44}O$ MW: 384.65 EINECS: 200-673-2
LD_{50}: 42.5 mg/kg (M, p.o.);
42 mg/kg (R, p.o.);
80 mg/kg (dog, p.o.)
CN: (3β,5Z,7*E*)-9,10-secocholesta-5,7,10(19)-trien-3-ol



Reference(s):
Kirk-Othmer, Encycl. Chem. Technol., Vol. **21**, 549 ff.
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **18**, 236 ff. (synthesis of 7-dehydrocholesterol as described).

synthesis from 7-dehydrocholesterol ester:
US 3 661 939 (Nisshin Flour Milling; 9.5.1972; J-prior. 16.12.1969).

synthesis from 25-fluorocholesterol ester:
JP-appl. 540 46-768 (Teijin; appl. 19.9.1977).

crystalline vitamin D_3:
US 3 665 020 (Hoffmann-La Roche; 23.5.1972; CH-prior. 25.2.1969).

total synthesis:
Inhoffen, H.H.: Angew. Chem. (ANCEAD) **72**, 875 (1960).

Formulation(s): amp. 1.25 mg/ml, 2.5 mg/ml; cps. 0.5 mg; drops 0.5 mg/ml; emulsion 6 mg/ml; tabl. 0.01 mg, 0.25 mg, 5 mg

Trade Name(s):

D:
Dekristol (Jenapharm)
D-Mulsin (Mucos)
D-Tracetten (Albert-Roussel, Hoechst)
D_3-Vicotrat (Heyl)
Ospur D_3 (Henning)
Provitina D_3 (Promonta)
Vigantol (Merck)
Vigantoletten (Merck)
Vigorsan (Albert-Roussel, Hoechst)
numerous combination preparations

F:
Alvityl (Solvay)-comb.
Cernévit (Baxter)-comb.
Quotivit (Mayoly-Spindler)-comb.
Survitine (Roche Nicholas)-comb.
numerous combination preparations

GB:
Octovit (Smith Kline & French)-comb.; wfm

I:
Adiboran (Eurospital)-comb.
Antilinf (Delalande Isnardi)-comb.
Calciozim (Pierrel)-comb.
Calisvit (Menarini)-comb.
Haliborange (Eurospital)-comb.
Iper D_3 (Zambon Italia)
Tridelta (Ceccarelli)

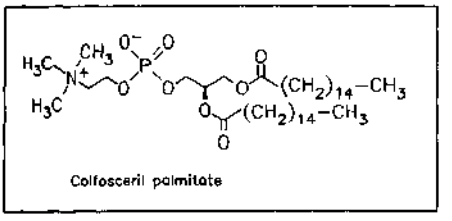
J:
Vitasol AD_3 + E (Tiger)-comb.

USA: Al-Vite (Drug Industries)-comb.; wfm | Ultra "A" & "D" (Nature's Bounty); wfm | Ultra "D"-Tabl. (Nature's Bounty); wfm

Colfosceril palmitate

ATC: R07AA01
Use: synthetic lung surfactant, prophylactic treatment of respiratory distress syndrome

RN: 99732-49-7 MF: $C_{40}H_{80}NO_8P \cdot C_{16}H_{34}O \cdot [C_{14}H_{22}O \cdot C_2H_4O \cdot CH_2O]x$ MW: unspecified
CN: (*R*)-*N*,*N*,*N*-trimethyl-10-oxo-7-[(1-oxohexadecyl)oxy]-3,5,9-trioxa-4-phosphapentacosan-1-aminium-4-oxide inner salt, mixt. with formaldehyde polymer with oxirane and 4-(1,1,3,3-tetramethylbutyl)phenol and 1-hexadecanol



Lyophilization of 1,2-dipalmitoyl-*sn*-3-glycerophosphorylcholine, *n*-hexadecan-1-ol, tyloxapol solution in 0.1 n NaCl.

Reference(s):
US 4 826 821 (The Regents of the Univ. of California; 2.5.1989; appl. 5.11.1986; prior. 26.6.1985, 17.10.1983).
EP 50 793 (The Regents of the Univ. of California; appl. 14.10.1981; USA-prior. 24.10.1980).

Formulation(s): vial 108 mg (lyo.)

Trade Name(s):
D: Exosurf (Glaxo Wellcome)
GB: Exosurf Neonatal (Glaxo Wellcome; 1991)
I: Exosurf Neonatate (Glaxo Wellcome)
USA: Exosurf (Glaxo Wellcome; 1991)

Colistin

(Colistin A + B; Polymyxin E)

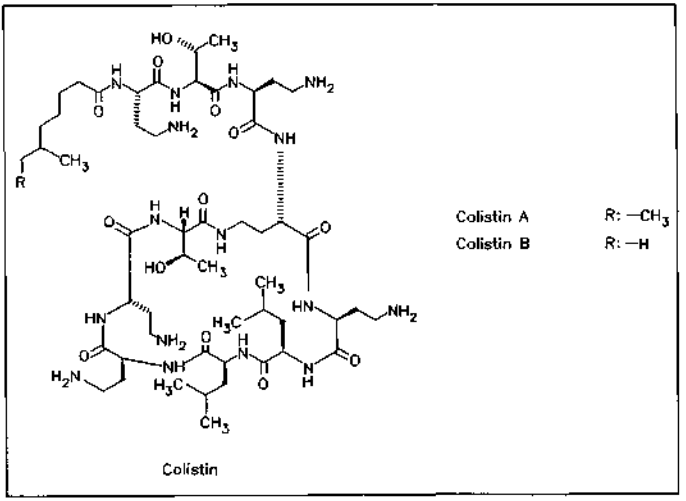
ATC: A07AA10; J01XB01
Use: antibiotic (macrocyclic peptide)

RN: 1066-17-7 MF: unspecified MW: unspecified EINECS: 213-907-3
CN: colistin

sulfate ·
RN: 1264-72-8 MF: $H_2O_4S \cdot x$ unspecified MW: unspecified EINECS: 215-034-3
LD_{50}: 6 mg/kg (M, i.v.); 793 mg/kg (M, p.o.)

pentasodium mesylate
RN: 8068-28-8 MF: unspecified MW: unspecified EINECS: 232-516-9
LD_{50}: 222 mg (M, i.v.); >767 mg (M, p.o.);
5450 mg/kg (R, p.o.)

Cyclopolypeptide antibiotic from *Aerobacillus colistinus*.



Reference(s):
Vogler, K.; Studer, R.O.: Experientia (EXPEAM) **22**, 345 (1966).

Formulation(s): tabl. 24 mg, 95 mg; vial 33.3 mg

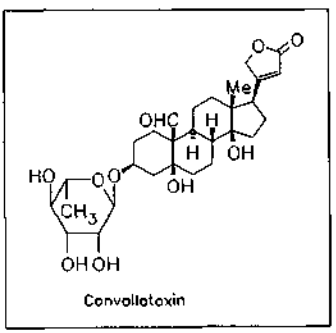
Trade Name(s):

D:	Diarönt (Chephasaar)		Colimycine (Bellon)		Methacolimycin (Kaken)
F:	Bacicoline (Merck Sharp & Dohme-Chibret)-comb.	GB:	Colomycin (Pharmax)	USA:	Coly-Mycin (Parke Davis; as sulfate)
	Colicort (Merck Sharp & Dohme-Chibret)-comb.	I:	Colbiocin (SIFI)-comb.		
			Colimicina (UCB)		
		J:	Colimycin-S (Kaken)		

Convallatoxin

ATC: C01AA
Use: cardiac glycoside, cardiotonic

RN: 508-75-8 MF: $C_{29}H_{42}O_{10}$ MW: 550.65 EINECS: 208-086-3
LD_{50}: 1 mg/kg (M, i.v.); >2 g/kg (M, p.o.);
15.2 mg/kg (R, i.v.)
CN: (3β,5β)-3-[(6-deoxy-α-L-mannopyranosyl)oxy]-5,14-dihydroxy-19-oxocard-20(22)-enolide



From *Convallaria majalis*.

Reference(s):
DRP 490 648 (Hoffmann-La Roche; appl. 1928; CH-prior. 1928).
Karrer, P.: Helv. Chim. Acta (HCACAV) **12**, 506 (1929).
SU 64 447 (F. D. Zilbert; appl. 1945).
PL 51 371 (Inst. Farmaceutyczny; appl. 17.5.1965).

partial synthesis:
Reichstein, T. et al.: Helv. Chim. Acta (HCACAV) **33**, 1541 (1950).
DD 19 239 (E. Lüdde; appl. 18.7.1960).
SU 198 319 (Kharkov Scientific Research Chemical-Pharmaceutical Institut; appl. 9.8.1965).

alternative syntheses:
The Merck Index, 2505 (Rahway 1990).
DOS 1 933 090 (Hoechst; appl. 30.6.1969).

Trade Name(s):

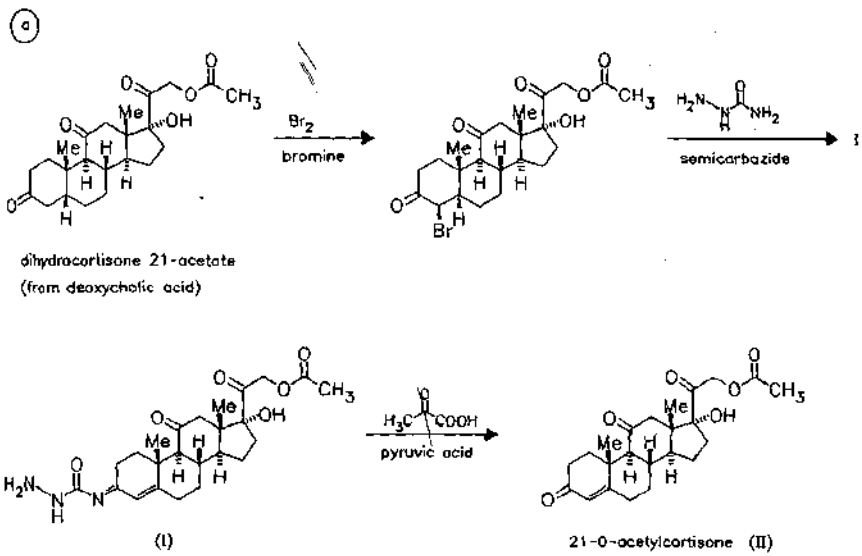
D:	Cor-Eusedon (Krewel); wfm	several combination products containing standardized *Convallaria majalis* extract.	I:	several combination products containing standardized *Convallaria majalis* extract.

Cortisone

ATC: H02AB10; S01BA03
Use: glucocorticoid

RN: 53-06-5 MF: $C_{21}H_{28}O_5$ MW: 360.45 EINECS: 200-162-4
LD_{50}: 230 mg/kg (M, i.p.)
CN: 17,21-dihydroxypregn-4-ene-3,11,20-trione

acetate
RN: 50-04-4 MF: $C_{23}H_{30}O_6$ MW: 402.49 EINECS: 200-006-5



II $\xrightarrow{KHCO_3,\ CH_3OH}$ Cortisone

(b)

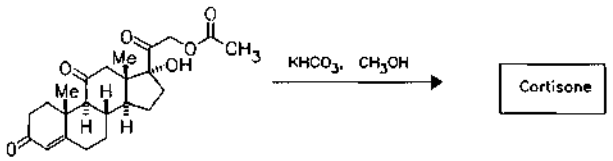
progesterone $\xrightarrow[\text{[Rhizopus arrhizus Fischer (ATCC–11145)]}]{\text{microbiological hydroxylation}}$ (III)

III $\xrightarrow[\text{chromium(VI) oxide}]{CrO_3}$ $\xrightarrow[\text{diethyl oxalate}]{\text{diethyl oxalate},\ NaOCH_3}$ (IV)

IV $\xrightarrow[\text{1. bromine}]{\text{1. } Br_2\ \text{2. } NaO{-}CH_3}$ $\xrightarrow{\text{NH}\ ,\ H^+}$ (V)

V $\xrightarrow[\text{lithium alanate}]{LiAlH_4}$ $\xrightarrow{\text{1. } H_2O/H^+\ \text{2. } (H_3C{-}CO)_2O}$ (VI)

VI $\xrightarrow[\text{chromium(VI) oxide}]{CrO_3}$ $\xrightarrow[\text{1. osmium(VIII) oxide}\ \text{2. manganese dioxide or N-methylmorpholine/hydrogen peroxide}]{\text{1. } OsO_4\ \text{2. } MnO_2 \text{ or } O(CH_2CH_2)_2N{-}CH_3\ /H_2O_2}$ VII



(VII)

Reference(s):

a Applezweig, N.: Steroid Drugs, Vol. **1**, 14, 61 (New York, Toronto, London 1962).
Kendall, E.C. et al.: J. Biol. Chem. (JBCHA3) **166**, 345 (1946), **173**, 271 (1948).
synthesis of dihydrocortisone acetate:
Applezweig, N.: Steroid Drugs, Vol. **1**, 62 (New York, Toronto, London 1962).
The Merck Index, 2862 (Rahway 1976).

b US 2 602 769 (Upjohn; 1952; prior. 1950).
US 2 769 823 (Upjohn; 1956; appl. 1954).
Applezweig, N.: Steroid Drugs, Vol. **1**, 59 (New York, Toronto, London 1962).

alternative syntheses:
FR 1 091 734 (Upjohn; appl. 1953; USA-prior. 1952).

cf. hydrocortisone *from* dehydropregnenolone acetate:
Ehrhart, Ruschig, **III**, 399.

from ergosterol *and* stigmasterol:
Rosenkranz, G.: Fortschr. Chem. Org. Naturst. (FCONAA) **10**, 274 (1953).

from hecogenin:
Applezweig, N.: Steroid Drugs, Vol. **1**, 66 (New York, Toronto, London 1962).

from sitosterol:
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

total synthesis:
Fieser, L.F.; Fieser, M.: Steroide, 779 (Weinheim 1961).

review:
Fieser, L.F.; Fieser, M.: Steroide, 679 (Weinheim 1961).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 50.

Formulation(s): ointment 0.5 %, 1 %; tabl. 25 mg, 5 mg, 50 mg; vial 25 mg (2.5 mg/ml), 500 mg (50 mg/ml) (as acetate)

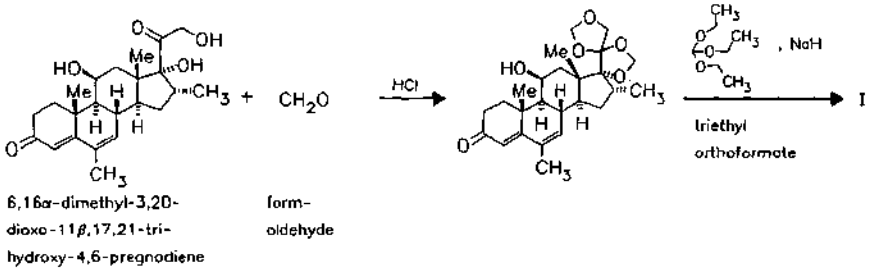
Trade Name(s):

D:	Cortison Augensalbe Dr. Winzer (Dr. Winzer) Cortison Ciba (Novartis Pharma)	I:	Cortone Acetato (Merck Sharp & Dohme) Dutimelan (Hoechst)-comb.	J:	Cortisone Acetat Sup. (Upjohn) Cortone (Banyu) Scheroson (Schering)
F:	Cortisme Roussel (Roussel)		generics	USA:	Cortisone Acetate (Merck)
GB:	Cortisyl (Hoechst)				Cortone Acetat (Merck)

Cortivazol

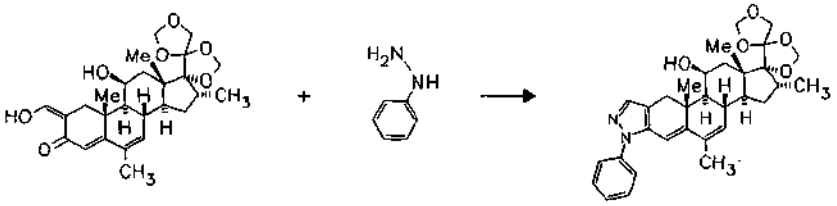
ATC: H02AB17
Use: glucocorticoid

RN: 1110-40-3 MF: $C_{32}H_{38}N_2O_5$ MW: 530.67 EINECS: 214-175-8
CN: (11β,16α)-21-(acetyloxy)-11,17-dihydroxy-6,16-dimethyl-2'-phenyl-2'*H*-pregna-2,4,6-trieno[3,2-*c*]pyrazol-20-one



6,16α-dimethyl-3,20-dioxo-11β,17,21-trihydroxy-4,6-pregnodiene

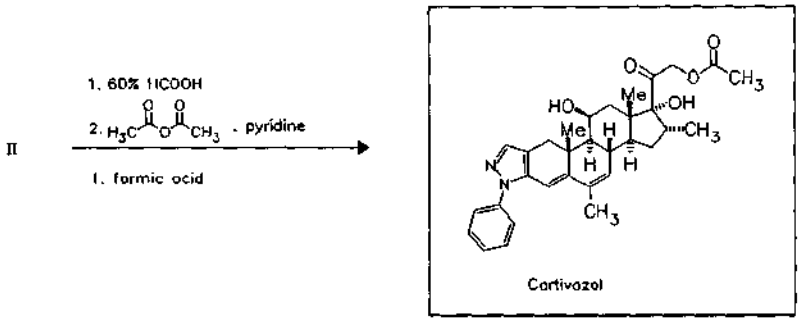
formoldehyde



(I)

phenylhydrozine

(II)



Reference(s):
US 3 067 194 (Merck & Co.; 4.12.1962; prior. 1.12.1961, 4.11.1960).
US 3 300 483 (Merck & Co.; 24.1.1967; prior. 4.12.1962, 2.7.1962, 1.12.1961, 4.11.1960).
Fried, J.H. et al.: J. Am. Chem. Soc. (JACSAT) **85**, 236 (1963).

Formulation(s): syringe 3.75 mg

Trade Name(s):
F: Altim (Roussel)

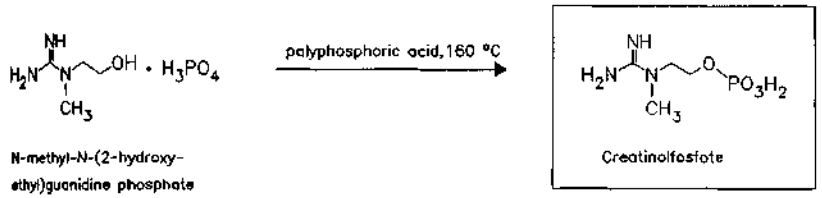
Creatinolfosfate

(Creatinol phosphate)

ATC: C01EB05
Use: cardiac preparation, cardiac stimulant

RN: 6903-79-3 MF: $C_4H_{12}N_3O_4P$ MW: 197.13 EINECS: 230-011-8
LD_{50}: 1200 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
1300 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: *N*-methyl-*N*-[2-(phosphonooxy)ethyl]guanidine

disodium salt
RN: 6903-80-6 MF: $C_4H_{10}N_3Na_2O_4P$ MW: 241.10



Reference(s):
DOS 2 550 430 (E. Allievi; appl. 13.11.1974; I-prior. 13.11.1974).

alternative syntheses:
FR-M 6 401 (Siphar; appl. 14.11.1966).
Ferrari, G.; Casagrande, C.: Farmaco, Ed. Sci. (FRPSAX) **20**, 879 (1965).

medical use as cardiac preparation:
DOS 2 144 584 (Siphar; appl. 6.9.1971; B-prior. 7.9.1970).

effervescent tablet:
BE 755 826 (Siphar; appl. 7.9.1970).

Formulation(s): amp. 510 mg/4 ml; eff. gran. 500 mg/6 g; tabl. 250 mg

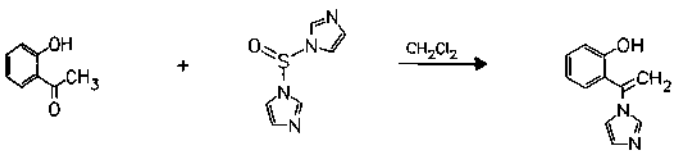
Trade Name(s):
I: Aplodan (Astra-Simes)

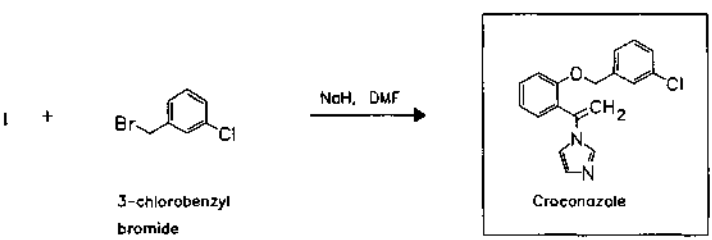
Croconazole
(Cloconazole)

ATC: D01A
Use: topical antifungal (for treatment of candidiasis)

RN: 77175-51-0 MF: $C_{18}H_{15}ClN_2O$ MW: 310.78
CN: 1-[1-[2-[(3-chlorophenyl)methoxy]phenyl]ethenyl]-1*H*-imidazole

monohydrochloride
RN: 77174-66-4 MF: $C_{18}H_{15}ClN_2O \cdot HCl$ MW: 347.25
LD_{50}: 1150 mg/kg (M, p.o.);
2 g/kg (R, p.o.)





Reference(s):
DOS 3 021 467 (Shionogi; appl. 6.6.1980; J-prior. 7.6.1979, 7.9.1979).
US 4 328 348 (Shionogi; 4.5.1982; J-prior. 7.6.1979, 7.9.1979).
US 4 463 011 (Shionogi; 31.7.1984; J-prior. 7.6.1979, 7.9.1979).
US 4 483 866 (Shionogi; 20.11.1984; J-prior. 7.6.1979, 7.9.1979).

Formulation(s): cream 1 %; sol. 10 mg/g (1 %) (as hydrochloride)

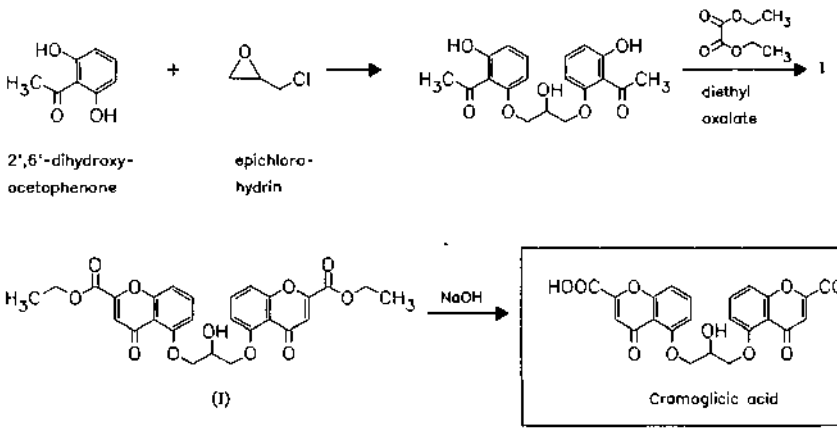
Trade Name(s):
D: Pilzcin (Merz & Co.) J: Pilzcin (Shionogi)

Cromoglicic acid
(Acidum cromoglicicum)

ATC: A07EB01; R01AC01; R03BC01; S01GX01
Use: antiallergic

RN: 16110-51-3 MF: $C_{23}H_{16}O_{11}$ MW: 468.37 EINECS: 240-279-8
LD_{50}: >2.15 g/kg (R, p.o.)
CN: 5,5'-[(2-hydroxy-1,3-propanediyl)bis(oxy)]bis[4-oxo-4*H*-1-benzopyran-2-carboxylic acid]

disodium salt
RN: 15826-37-6 MF: $C_{23}H_{14}Na_2O_{11}$ MW: 512.33 EINECS: 239-926-7
LD_{50}: 3300 mg/kg (M, i.v.); >11 g/kg (M, p.o.);
>4 g/kg (R, i.v.); >11 g/kg (R, p.o.);
>1.6 g/kg (dog, i.v.); >4 g/kg (dog, p.o.)



Reference(s):
DAS 1 543 579 (Fisons; appl. 23.3.1966; GB-prior. 25.3.1965, 9.12.1965, 17.12.1965).
GB 1 144 905 (Fisons; valid from 3.3.1966; prior. 25.3.1965, 9.12.1965, 17.12.1965).
US 3 419 578 (Fisons; 31.12.1968; GB-prior. 25.3.1965, 9.12.1965).
Barker, G. et al.: J. Med. Chem. (JMCMAR) **16**, 87 (1973).
US 3 671 625 (Fisons; 20.6.1972; GB-prior. 25.3.1965).
US 3 686 412 (Fitzmonrice et al.; 22.8.1972; GB-prior. 25.3.1965).
US 3 777 033 (Fisons; 4.12.1973; GB-prior. 25.3.1965).

disodium cromoglycate *with particular mass density:*
DOS 2 741 202 (Fisons; appl. 13.9.1977; GB-prior. 23.9.1976, 16.10.1976).

combination with anti-inflammatories:
US 4 066 756 (Fisons; 3.1.1978; GB-prior. 28.11.1975).
US 4 151 292 (Fisons; 24.4.1979; GB-prior. 25.1.1977).

Formulation(s): aerosol 1 mg/0.05 ml; cps. 100 mg; gran. 100 mg, 200 mg; nasal spray 2.8 mg/0.14 ml, 20 mg/ml; ophthalmic drops 10 mg/0.5 ml, 20 mg/ml (as disodium salt)

Trade Name(s):

D: Aarane (Rhône-Poulenc Rorer; 1983)-comb.
Allergochrom (Ursapharm)
Allergospasmin (ASTA Medica AWD; 1983)-comb.
Colimune (Fisons)
Colimune s 100/s 200 (Fisons)
Durachroman (durachemie)
Intal (Fisons; Rhône-Poulenc Rorer; 1970)
Lomupren (Fisons)
Opticrom (Fisons)
Pulbil (Klinge)
Vividrin (Mann)
generics

F: Cromedil (Europhta)
Cromoptic (Chauvin)
Intercron (Laphal)
Lomudal (Rhône-Poulenc Rorer Specia)
Lomusol (Rhône-Poulenc Rorer Specia)
Nalcron (Rhône-Poulenc Rorer Specia)
Opticron (Rhône-Poulenc Rorer Specia)

GB: Intal Syncroner (Rhône-Poulenc Rorer; 1968)
Nalcrom (Rhône-Poulenc Rorer)
Opticrom (Rhône-Poulenc Rorer)
Rynacrom Spray (Rhône-Poulenc Rorer)

I: Cromantal (Nuovo Cons. Sanit. Naz.)
Frenal (Schiapparelli Searle)
Gastrofrenal (Schiapparelli Searle)
Lomudal (Fisons)
Nalcrom (Fisons)
Rinofrenal (Schiapparelli Searle)-comb.
Sificrom (SIFI)
Visuglican (Merck Sharp & Dohme)-comb.

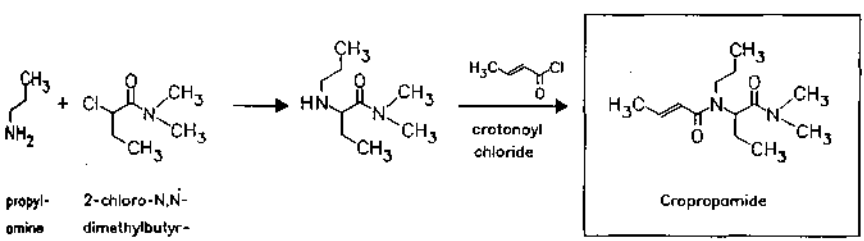
J: Intal (Fujisawa; 1971)

USA: Aarane (Syntex); wfm
Intal (Fisons; 1973); wfm
Nasalcrom (Fisons); wfm
Opticrom (Fisons); wfm

Cropropamide

ATC: R07AB
Use: respiratory tonic

RN: 633-47-6 MF: $C_{13}H_{24}N_2O_2$ MW: 240.35 EINECS: 211-193-8
CN: *N*-[1-[(dimethylamino)carbonyl]propyl]-*N*-propyl-2-butenamide



Reference(s):
US 2 447 587 (Geigy; 1948; CH-prior. 1942).

Formulation(s): drops 15 % (comb. with crotetamide)

Trade Name(s):

D: Micoren (Geigy)-comb. with crotetamide; wfm

F: Micorène (Ciba-Geigy)-comb. with crotetamide; wfm

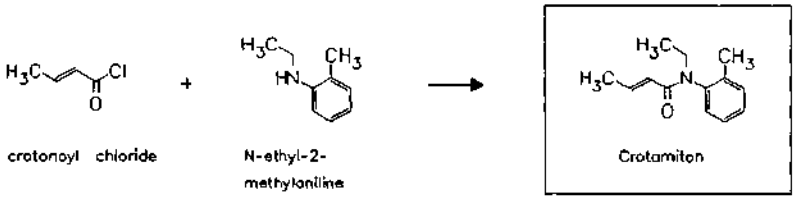
GB: Micoren (Geigy)-comb. with crotetamide; wfm

I: Micoren (Geigy)-comb. with crotetamide; wfm

Crotamiton

ATC: P03A
Use: antipruritic, scabicide

RN: 483-63-6 MF: $C_{13}H_{17}NO$ MW: 203.29 EINECS: 207-596-3
LD_{50}: 1600 mg/kg (M, p.o.);
1500 mg/kg (R, p.o.)
CN: *N*-ethyl-*N*-(2-methylphenyl)-2-butenamide



Reference(s):
GB 615 137 (Geigy; appl. 1946).

Formulation(s): cream 0.1 g/g; gel 50 mg/100 g; ointment 100 mg/100 g; sol. 10 %

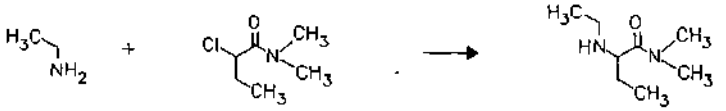
Trade Name(s):

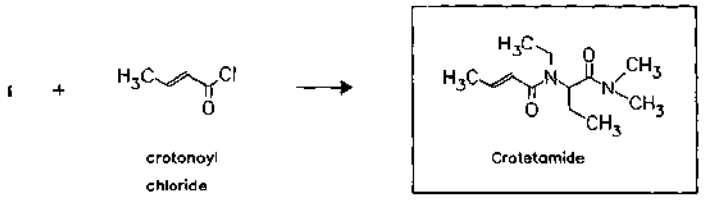
D:	Crotamitex-Gel (gepepharm)	F:	Eurax (Zyma)		Eurax (Ciba-Geigy-Fujisawa)
	Euraxil (Novartis Consumer Health)	GB:	Eurax (Novartis Consumer)	USA:	Eurax (Westwood-Squibb)
		I:	Eurax (Zyma)		
		J:	Dermarin (Taisho)		

Crotetamide

ATC: R07AB
Use: respiratory tonic

RN: 6168-76-9 MF: $C_{12}H_{22}N_2O_2$ MW: 226.32 EINECS: 228-208-9
CN: *N*-[1-[(dimethylamino)carbonyl]propyl]-*N*-ethyl-2-butenamide





Reference(s):
US 2 447 587 (Geigy; 1948; CH-prior. 1942).

Formulation(s): drops 15 % (comb. with cropropamide)

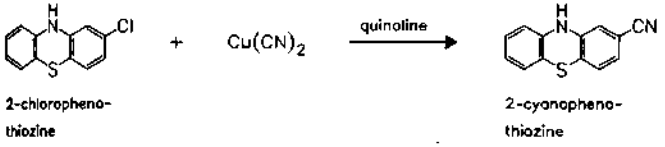
Trade Name(s):

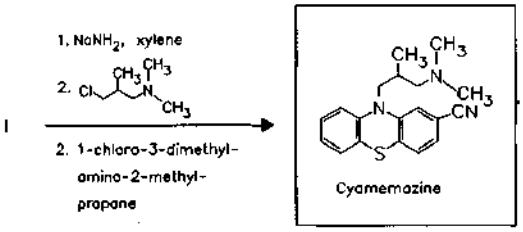
D:	Micoren (Geigy)-comb. with cropropamide; wfm	F:	Micorène (Ciba-Geigy)-comb. with cropropamide; wfm	GB:	Micoren (Geigy)-comb. with cropropamide
				I:	Micoren (Zyma)-comb. with cropropamide

Cyamemazine
(Cyamepromazine)

ATC: N05AA06
Use: neuroleptic, tranquilizer

RN: 3546-03-0 MF: $C_{19}H_{21}N_3S$ MW: 323.46 EINECS: 222-594-2
CN: 10-[3-(dimethylamino)-2-methylpropyl]-10*H*-phenothiazine-2-carbonitrile





Reference(s):
US 2 877 224 (Rhône-Poulenc; 1959; F-prior. 1955).
DE 1 056 611 (Rhône-Poulenc; appl. 1956; F-prior. 1955).

Formulation(s): amp. 50 mg/5 ml; drops 4 %; sol. 40 mg/ml; tabl. 25 mg, 100 mg

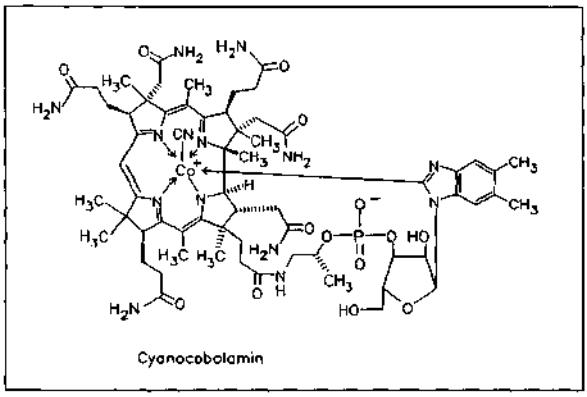
Trade Name(s):

D:	Neutromil (Farmitalia)-comb.; wfm	F:	Tercian (Specia)

Cyanocobalamin
(Vitamin B_{12})

ATC: B03BA01
Use: antipernicious vitamin

RN: 68-19-9 MF: $C_{63}H_{88}CoN_{14}O_{14}P$ MW: 1355.39 EINECS: 200-680-0
CN: cobinamide cyanide hydroxide dihydrogen phosphate (ester) inner salt 3'-ester with 5,6-dimethyl-1-α-D-ribofuranosyl-1*H*-benzimidazole



By fermentation with *Streptomyces griseus*, *S. olivaceus*, *S. aureofaciens*, *Bacillus megatherium* or *Propionobacterium freudenreichii*. Molasses is used generally as fermentation medium, $CoCl_2$ and 5,6-dimethylbenzimidazole are added. Various adsorption and extraction methods are used for isolation from the fermentation liquors.

Reference(s):
review:
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **18**, 219.
Kirk-Othmer Encycl. Chem. Technol., 2nd Ed. (15SWA8), Vol. **21**, (1963-1971), 544.
Bernhauer, K. et al.: Angew. Chem. (ANCEAD) **75**, 1145 (1963).

fermentative preparation:
US 2 505 053 (Merck & Co.; 1950; appl. 1948).
US 2 530 416 (Merck & Co.; 1950; appl. 1949).
US 2 563 794 (Merck & Co.; 1951; appl. 1949).
US 2 582 589 (Abbott; 1952; appl. 1949).
US 2 595 499 (Merck & Co.; 1952; appl. 1948).
US 2 650 896 (Merck & Co.; 1953; appl. 1950).
US 2 703 302 (Merck & Co.; 1955; appl. 1952).
US 2 703 303 (Merck & Co.; 1955; prior. 1948).
DE 1 046 258 (Soc. Farmaceutici Italia; appl. 1956; I-prior. 1955).
DE 1 076 889 (Distillers; appl. 1958; GB-prior. 1957).
US 2 951 017 (Distillers; 1960; GB-prior. 1957).
US 3 000 793 (Merck & Co.; 1961; prior. 1955).
US 3 018 225 (Merck & Co.; 23.1.1962; prior. 1953).
DE 1 080 264 (Distillers; appl. 1958; GB-prior. 1957).
DE 1 091 705 (Roche; appl. 1959).
DE 1 109 317 (Roche; appl. 1959).
GB 1 451 694 (Richter Gedeon; appl. 25.10.1974; H-prior. 26.10.1973).
US 4 119 492 (Nippon Oil; 10.10.1978; J-prior. 5.2.1976).

yield increasing by addition of betaine to the nutritive medium:
US 3 000 793 (Merck & Co.; 1961; appl. 1957).
US 2 923 666 (Pabst Brewing Comp.; 1960; appl. 1954).

isolation from liver preparations:
US 2 594 314 (Merck & Co.; 1952; appl. 1948).
US 2 609 325 (Merck & Co.; 1952; appl. 1948).

purification and isolation:
US 2 607 717 (Merck & Co.; 1952; appl. 1949).
US 2 626 888 (Merck & Co.; 1953; appl. 1950).
US 2 628 186 (Research Corp.; 1953; appl. 1950).
US 3 057 851 (Armour; 9.10.1962; prior. 1955).

Formulation(s): amp. 0.1 mg, 1 mg; drg. 1 mg; drops 0.05 mg; inj. flask 0.5 mg, 1 mg, 5 mg

Trade Name(s):

D:
B_{12} "Ankermann" (Wörwag)
B_{12}-Horfervit (Arteva Pharma)
B_{12} Rotexmedica (Rotexmedica)
B_{12}-Steigerwald (Steigerwald)
B_{12}-Vicotrat (Heyl)
Biovital (Dr. Schieffer)-comb.
Bryonon (Protina)-comb.
Cervevit (Baxter)-comb.
Cobidec (Warner-Lambert)-comb.
Cytobion (Merck)
Dodecatol (Heyl)-comb.
Dolo-Neurobion (Merckle)-comb.
Eryfer (Cassella-med)-comb.
Eukalasan (Steigerwald)-comb.
Hämo-Vibolex (Anphasaar)
Lophakomb (Lomapharm)
Multibionta (Merckle)-comb.
Natabec (Warner-Lambert)
Neurotrat (Knoll)-comb.
Vicapan B_{12} (Merckle)
Vitamin B 12 forte (Hevert)
Vitamin B_{12} (OTW)
Vitamin B12 Injektionslösung (Wiedemann)
Vitamin-B_{12}-ratiopharm (ratiopharm)
numerous combination preparations

F:
Alvityl (Solvay)-comb.
Azedanit (Whitehall)-comb.
B_{12} Mille Delagrange (Synthélabo)
Berocca (Nicholas)-comb.
Forvital (Whitehall)-comb.
Pharmaton (Boehringer Ing.)-comb.
Soluvit (UCB)-comb.
Synergil (Dakota)
Vitamine B_{12} Aguettant (Aguettant)
Vitamine B_{12} Lavoisier (Chaix et du Marais)
Vivamyne (Whitehall)-comb.
numerous combination preparations

GB:
Cytacon (Goldshield)
Cytamen (Evans)

I:
Cobequin (Casarini)
Dobetin (Angelini)
Efargen (Teofarma)-comb.
Epargriseovit (Farmitalia)-comb.
Eritrovit B12 (Lisapharma)
Mionevrasi (Boehringer Mannh.)-comb.
Neoeparibiol (Ecobi)-comb.
Reticulogen (Lilly)
Tonicum (SIT)-comb.
numerous combination preparations

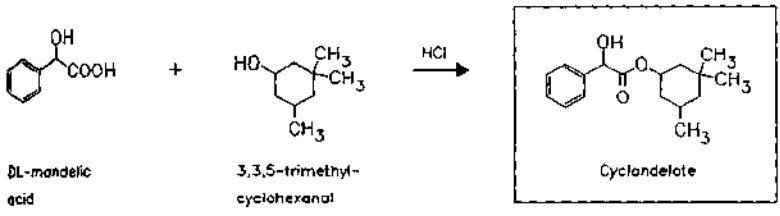
J:
Actamin B_{12} (Yashima)
Redisol (Merck-Banyu)
numerous combination preparations

USA:
Bevitamel (Westlake)
Chromagen (Savage)
Cyanocobalamin (Elkins-Sinn)
Fetrin (Lunsco)
Hemocyte-F (U.S. Pharmaceutical)
Mega-B (Arco)
Nascobal (Schwarz)
Niferex (Schwarz)
Nu-Iron-Plus Elixier (Merz)
Rubramin PC (Squibb)
Trinsicon (UCB)
numerous combination preparations

Cyclandelate

ATC: C04AX01
Use: antispasmodic

RN: 456-59-7 MF: $C_{17}H_{24}O_3$ MW: 276.38 EINECS: 207-271-6
LD_{50}: >10 g/kg (M, p.o.); 5 g/kg (R, p.o.)
CN: α-hydroxybenzeneacetic acid 3,3,5-trimethylcyclohexyl ester



Reference(s):
US 2 707 193 (Brocades-Stheeman; 1955; NL-prior. 1949).

purification:
US 3 663 597 (American Home; 16.5.1972; appl. 5.5.1970).

Formulation(s): cps. 400 mg; drg. 200 mg, 400 mg

Trade Name(s):

D: Eucebral-N (Südmedica)-comb.
Natil (3M Medica)
Spasmocyclon (3M Medica)

F: Cyclergine (Poirier)
Cyclospasmol (Yamanouchi)
Novodil (Augot)
Vascunormyl (Alcon)-comb.

GB: Cyclobral (Norgine); wfm
Cyclospasmol (Brocades); wfm

I: Ciclospasmol (Brocades)

J: Anticen (Nippon Kayaku)
Aposelebin (Hokuriku)
Capilan (Takeda)
Capistar (Kowa Yakuhin)
Ceaclan (Mohan)
Cepidan (Meiji)
Circle-one (Funai)
Circulat (Kotani)
Cyclan (Ohta)
Cyclan-Cap. (Nichiiko)
Cyclansato (SS)
Cycleat Cap. (Hishiyama)
Cycralate (Kanto)
Hacosan (Sanko)
Hi-Cyclane Cap. (Tyama)
Mandelic (Seiko)
Marucyclan (Maruko)
Mitalon (Toyo Pharmar)
Newcellan Cap. (Kowa)
Saiclate (Morishita)
Sancyclan (Santen)
Sepyron Cap. (Sankyo)
Spadelate Cap. (Zeria)
Venalal (Mochida)
Zirkulat (Nippon Shoji)

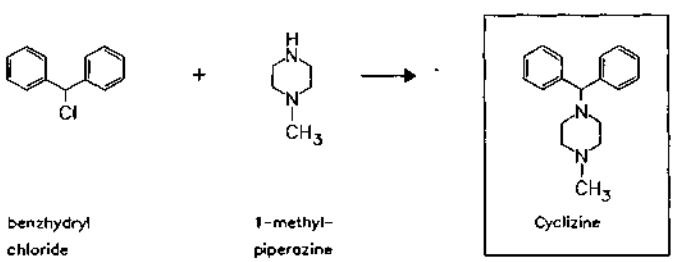
USA: Cyclospasmol (Ives); wfm generics; wfm

Cyclizine

ATC: R06AE03
Use: antihistaminic, anti-emetic

RN: 82-92-8 MF: $C_{18}H_{22}N_2$ MW: 266.39 EINECS: 201-445-5
LD_{50}: 147 mg/kg (M, p.o.)
CN: 1-(diphenylmethyl)-4-methylpiperazine

monohydrochloride
RN: 303-25-3 MF: $C_{18}H_{22}N_2 \cdot HCl$ MW: 302.85 EINECS: 206-136-9
LD_{50}: 165 mg/kg (M, p.o.)
lactate (1:1)
RN: 5897-19-8 MF: $C_{18}H_{22}N_2 \cdot C_3H_6O_3$ MW: 356.47



Reference(s):
US 2 630 435 (Burroughs Wellcome; 1953; prior. 1948).

Formulation(s): amp. 50 mg; suppos. 100 mg; tabl. 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D: Migräne-Kranit spezial (Krewel)-comb.; wfm

F: Migwell (Glaxo Wellcome; as hydrochloride)-comb.

GB: Diconal (Glaxo Wellcome)-comb.
Migril (Glaxo Wellcome)-comb.
Valoid (Glaxo Wellcome)

I: Marzine (Wellcome; as hydrochloride)

J: Cleamine (Kodama)-comb.

USA: Marezine (Burroughs Wellcome); wfm

Marezine (Burroughs Wellcome; as hydrochloride); wfm

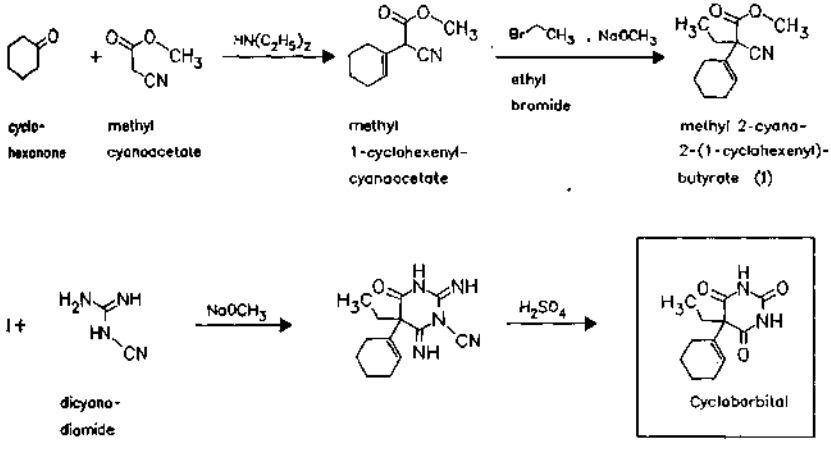
Cyclobarbital

(Hexemal; Cyclobarbitone)

ATC: N05CA10
Use: hypnotic

RN: 52-31-3 MF: $C_{12}H_{16}N_2O_3$ MW: 236.27 EINECS: 200-138-3
LD_{50}: 840 mg/kg (M, p.o.)
CN: 5-(1-cyclohexen-1-yl)-5-ethyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

calcium salt
RN: 5897-20-1 MF: $C_{12}H_{16}N_2O_3 \cdot xCa$ MW: unspecified EINECS: 227-590-4
calcium salt (2:1)
RN: 143-76-0 MF: $C_{24}H_{30}CaN_4O_6$ MW: 510.60 EINECS: 205-610-2



Reference(s):
DRP 442 655 (Bayer; 1924).
GB 231 150 (Bayer; 1924).

Formulation(s): cps. 75 mg; tabl. 100 mg, 200 mg (as calcium salt)

Trade Name(s):

D: Dormopan (Bayropharm)-comb.; wfm
Gastripan (Merckle)-comb.; wfm
Itridal (Homburg)-comb.; wfm
Medinox (Pfleger)-comb.; wfm
Phanodorm (Bayer); wfm
Somnubene (Merckle)-comb.; wfm
Somnupan C (Merckle); wfm
Stodinox (Lorenz)-comb.; wfm
Tempidorm N (Roland)-comb.; wfm
generics; wfm

F: Dormopan (Bayer-Pharma)-comb.; wfm

GB: Phanodorm (Winthrop); wfm
Rapidal (Medo)

I: Cyclobarbitalum (Sale di calcio)

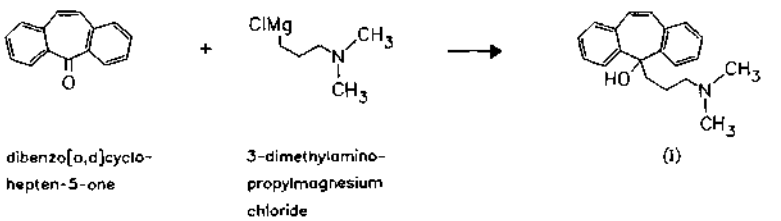
J: Adorm (Shionogi)

Cyclobenzaprine

ATC: M03BX08
Use: muscle relaxant, psychosedative

RN: 303-53-7 MF: $C_{20}H_{21}N$ MW: 275.40 EINECS: 206-145-8
LD_{50}: 36 mg/kg (M, i.v.); 250 mg/kg (M, p.o.)
CN: 3-(5*H*-dibenzo[*a*,*d*]cyclohepten-5-ylidene)-*N*,*N*-dimethyl-1-propanamine

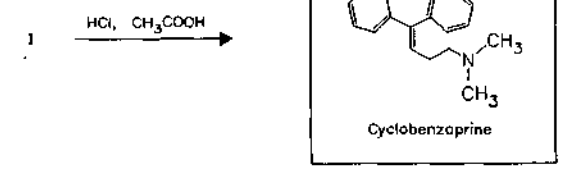
hydrochloride
RN: 6202-23-9 MF: $C_{20}H_{21}N \cdot HCl$ MW: 311.86 EINECS: 228-264-4
LD_{50}: 36 mg/kg (M, i.v.); 250 mg/kg (M, p.o.)



dibenzo[a,d]cyclo-hepten-5-one

3-dimethylamino-propylmagnesium chloride

(I)



Reference(s):
US 3 272 864 (Merck & Co.; 13.9.1966; appl. 19.4.1962).
US 3 409 640 (Schering Corp.; 5.11.1968; appl. 22.7.1959).

medical use:
US 3 882 246 (Merck & Co.; 6.5.1975; prior. 31.1.1973, 21.5.1971, 9.4.1974).

Formulation(s): cps. 10 mg; tabl. 10 mg, 30 mg (as hydrochloride)

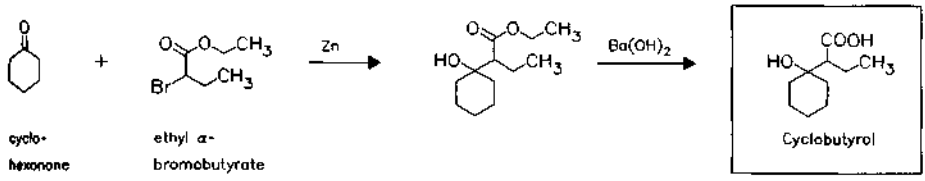
Trade Name(s):
I: Flexiban (Neopharmed) USA: Flexeril (Merck; as hydrochloride)

Cyclobutyrol

ATC: A05AX03
Use: choleretic

RN: 512-16-3 MF: $C_{10}H_{18}O_3$ MW: 186.25 EINECS: 208-138-5
LD_{50}: 2900 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
1760 mg/kg (R, i.v.); 4820 mg/kg (R, p.o.)
CN: α-ethyl-1-hydroxycyclohexaneacetic acid

monosodium salt
RN: 1130-23-0 MF: $C_{10}H_{17}NaO_3$ MW: 208.23 EINECS: 214-458-6
calcium salt
RN: 40043-69-4 MF: $C_{10}H_{18}O_3 \cdot xCa$ MW: unspecified
betaine salt (1:1)
RN: 23579-12-6 MF: $C_{10}H_{17}O_3 \cdot C_5H_{12}NO_2$ MW: 303.40 EINECS: 245-750-1



Reference(s):
DE 1 094 254 (Lab. J. Logeais; appl. 14.2.1959; F-prior. 19.2.1958).
US 3 065 134 (Lab. J. Logeais; 20.11.1962; F-prior. 19.2.1958).
Maillard, J. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1958**, 244.

Formulation(s): amp. 200 mg; tabl. 250 mg (as sodium salt)

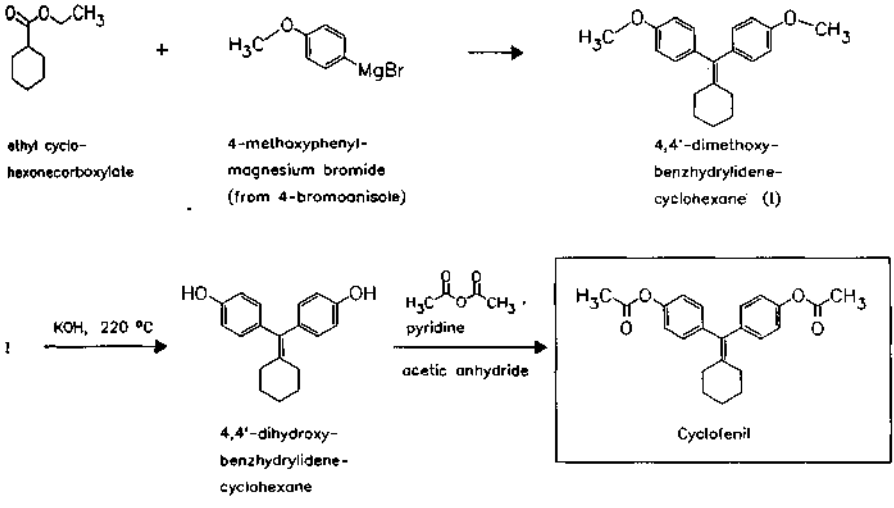
Trade Name(s):

D:	Benestan (Karlspharma)-comb.; wfm		Trommgallol (Trommsdorff)-comb.; wfm	I:	Epa-Bon (Sifarma)
		F:	Hébucol (J. Logeais)	J:	Lipotrin (Eisai)
					Riphole N (Nichiiko)

Cyclofenil

ATC: G03GB01
Use: gonadotropin stimulant (against infertility)

RN: 2624-43-3 MF: $C_{23}H_{24}O_4$ MW: 364.44 EINECS: 220-089-1
LD_{50}: >12.5 g/kg (M, p.o.);
>12 g/kg (R, p.o.)
CN: 4-[[4-(acetyloxy)phenyl]cyclohexylidenemethyl]phenol acetate



Reference(s):
US 3 287 397 (K.G. Olsson et al.; 22.11.1966; GB-prior. 22.11.1960).

Formulation(s): tabl. 100 mg, 200 mg, 400 mg

Trade Name(s):

D:	Fertodur (Schering); wfm	GB:	Ondonit (Roussel); wfm	I:	Fertodur (Schering)
F:	Ondogyne (Roussel); wfm		Rehibin (Thames); wfm		Neoclym (Poli)

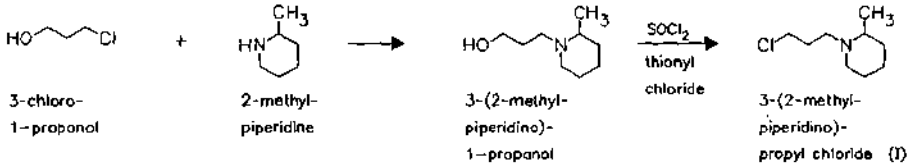
J: Sexovid (Teikoku Zoki)

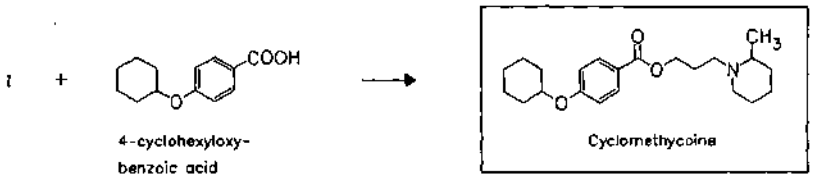
Cyclomethycaine

ATC: S01HA
Use: local anesthetic

RN: 139-62-8 MF: $C_{22}H_{33}NO_3$ MW: 359.51
CN: 4-(cyclohexyloxy)benzoic acid 3-(2-methyl-1-piperidinyl)propyl ester

sulfate (2:1)
RN: 6202-05-7 MF: $C_{22}H_{33}NO_3 \cdot 1/2H_2SO_4$ MW: 817.10
sulfate (1:1)
RN: 50978-10-4 MF: $C_{22}H_{33}NO_3 \cdot H_2SO_4$ MW: 457.59





Reference(s):
US 2 439 818 (S. M. McElvain, T. P. Carney; 1948; appl. 1946).
McElvain, S.M.; Carney, T.P.: J. Am. Chem. Soc. (JACSAT) **68**, 2592 (1946).

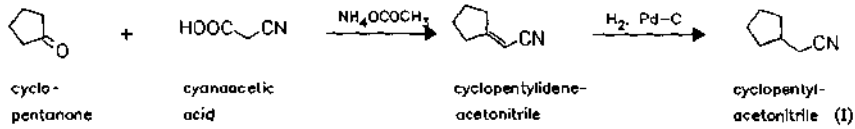
Formulation(s): cream 0.5 % - 1 %; ointment 0.5 - 1%; spray 0.25 %

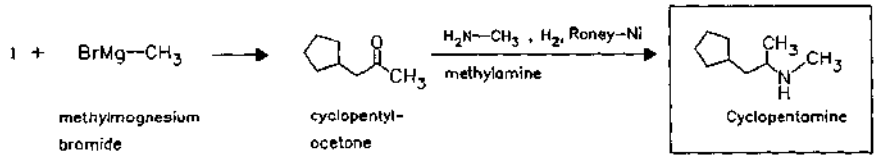
Trade Name(s):
USA: Surfacaine (Lilly); wfm

Cyclopentamine

ATC: R01AA02
Use: sympathomimetic

RN: 102-45-4 MF: $C_9H_{19}N$ MW: 141.26
CN: *N*,α-dimethylcyclopentaneethanamine





Reference(s):
US 2 520 015 (Eli Lilly; 1950; prior. 1948).

Formulation(s): amp. 10 mg, 25 mg; sol.

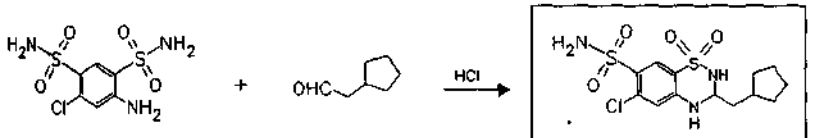
Trade Name(s):

D:	Copyronilum (Lilly)-comb.; wfm	F:	Cyclonarol (Hépatrol); wfm	I:	Copyronil (Lilly); wfm
				USA:	Clopane (Lilly); wfm

Cyclopenthiazide
(Cyclomethiazide)

ATC: C03AA07
Use: diuretic, antihypertensive

RN: 742-20-1 MF: $C_{13}H_{18}ClN_3O_4S_2$ MW: 379.89 EINECS: 212-012-5
LD_{50}: 232 mg/kg (M, i.v.); >1 g/kg (M, p.o.);
142 mg/kg (R, i.v.); 1 g/kg (R, p.o.)
CN: 6-chloro-3-(cyclopentylmethyl)-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



6-amino-4-chloro-1,3-benzenedisulfonamide (cf. chlorothiazide synthesis)

cyclopentylacetaldehyde

Reference(s):
BE 587 225 (Ciba; appl. 3.2.1960; USA-prior. 4.2.1959).
Whitehead, C.W. et al.: J. Org. Chem. (JOCEAH) **26**, 2814 (1961).

Formulation(s): tabl. 0.25 mg, 0.5 mg

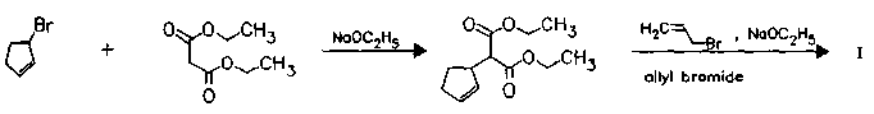
Trade Name(s):

D:	Navidrex (Ciba); wfm		Trasidrex (Novartis)-comb.	USA:	Navidrix (Ciba-Geigy); wfm
GB:	Navidrex (Novartis) Navispare (Novartis)-comb.	J:	Navidrex (Ciba-Geigy-Takeda)		

Cyclopentobarbital

ATC: N05CA
Use: hypnotic

RN: 76-68-6 MF: $C_{12}H_{14}N_2O_3$ MW: 234.26 EINECS: 200-979-6
LD_{50}: 90 mg/kg (R, i.p.)
CN: 5-(2-cyclopenten-1-yl)-5-(2-propenyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

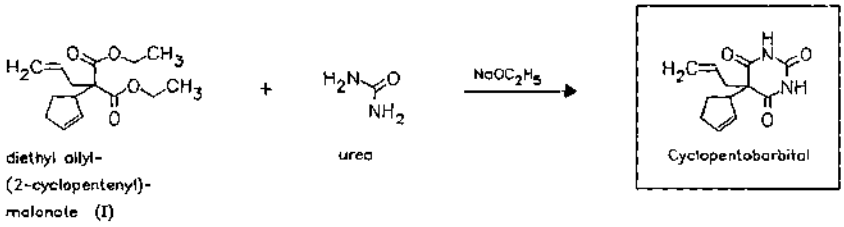


3-bromocyclopentene

diethyl malonate

diethyl 2-cyclopentenylmalonate

allyl bromide



Reference(s):
DRP 589 947 (Comp. de Béthune; appl. 1930; F-prior. 1929).

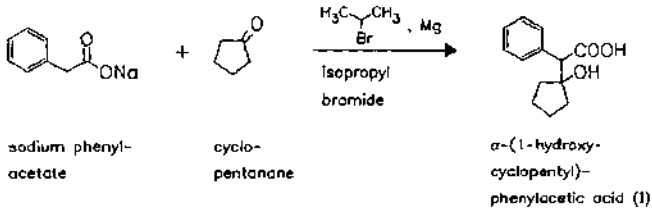
Trade Name(s):
D: Cyclopal (Siegfried); wfm

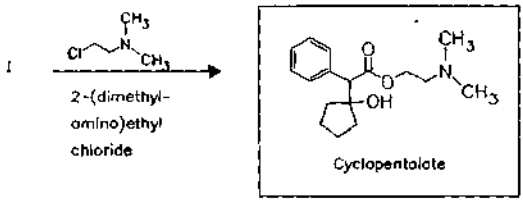
Cyclopentolate

ATC: S01FA04
Use: antispasmodic, mydriatic

RN: 512-15-2 MF: $C_{17}H_{25}NO_3$ MW: 291.39 EINECS: 208-136-4
CN: α-(1-hydroxycyclopentyl)benzeneacetic acid 2-(dimethylamino)ethyl ester

hydrochloride
RN: 5870-29-1 MF: $C_{17}H_{25}NO_3 \cdot HCl$ MW: 327.85 EINECS: 227-521-8
LD_{50}: 84 mg/kg (M, i.v.); 960 mg/kg (M, p.o.);
>4 g/kg (R, p.o.)





Reference(s):
US 2 554 511 (Schieffelin & Co.; 1951; prior. 1949).

Formulation(s): eye drops 5 mg (0.5 %, 1 %) (as hydrochloride)

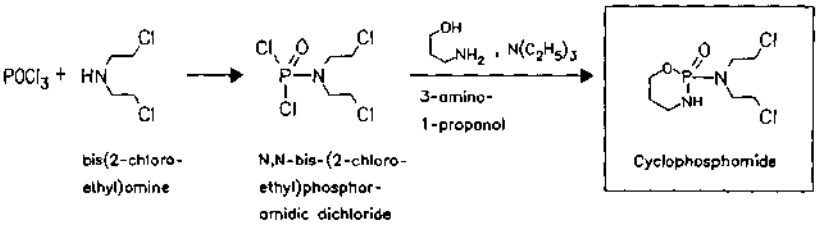
Trade Name(s):

D:	Cyclopentolat Augentropfen (Alcon)	GB:	Minims Cyclopentolate (Chauvin)	Cyclomydril (Alcon)-comb.; wfm
	Zyklolat EDO (Mann)		Mydrilate (Boehringer Ing.)	generics and combinatio
F:	Skiacol (Alcon)	I:	Ciclolux (Allergan)	preparations; wfm
		USA:	Cyclogyl (Alcon); wfm	

Cyclophosphamide

ATC: L01AA01
Use: antineoplastic

RN: 50-18-0 MF: $C_7H_{15}Cl_2N_2O_2P$ MW: 261.09 EINECS: 200-015-4
LD_{50}: 140 mg/kg (M, i.v.); 137 mg/kg (M, p.o.);
148 mg/kg (R, i.v.); 160 mg/kg (R, p.o.)
CN: *N,N*-bis(2-chloroethyl)tetrahydro-2*H*-1,3,2-oxazaphosphorin-2-amine 2-oxide



Reference(s):
DE 1 057 119 (ASTA-Werke; appl. 10.2.1956).
US 3 018 302 (ASTA-Werke; 23.1.1962; D-prior. 10.2.1956).

Formulation(s): drg. 50 mg; f. c. tabl. 50 mg; vial 100 mg, 200 mg, 500 mg, 1000 mg

Trade Name(s):

D:	Cyclo-cell (cell pharm) Cyclostin (Pharmacia & Upjohn) Endoxan (ASTA Medica AWD)	F:	Endoxan ASTA (ASTA Medica)	J:	Endoxan (Shionogi)
		GB:	Endoxana (ASTA Medica)	USA:	Cytoxan (Bristol-Myers Squibb)
		I:	Endoxan-Asta (ASTA Medica)		

Cycloserine
(Orientomycin)

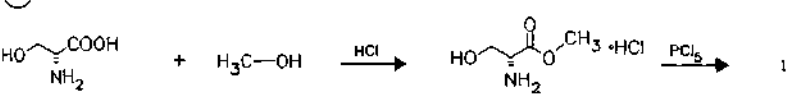
ATC: J04AB01
Use: antibiotic (tuberculostatic)

RN: 68-41-7 MF: $C_3H_6N_2O_2$ MW: 102.09 EINECS: 200-688-4
LD_{50}: 560 mg/kg (M, i.v.); 5290 mg/kg (M, p.o.);
>5 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: (*R*)-4-amino-3-isoxazolidinone

hydrogen tartrate
RN: 17139-97-8 MF: $C_3H_6N_2O_2 \cdot C_4H_6O_6$ MW: 252.18

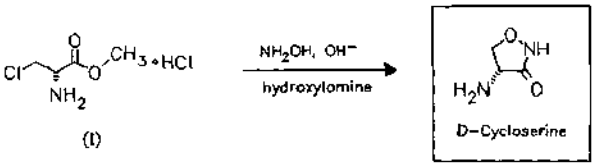
(a) from fermentation solutions of Streptomyces garyphalus, S. orchidaceus, S. lavendulae

(b)



D-serine

D-serine methyl ester hydrochloride



Reference(s):

a US 2 773 878 (Pfizer; 1956; appl. 1952).
US 2 789 983 (Commercial Solvents; 1957; prior. 1954).
US 2 845 433 (Merck & Co.; 1958; appl. 1955).

b Plattner, P.A. et al.: Helv. Chim. Acta (HCACAV) **40**, 1531 (1957).
Smrt, J. et al.: Experientia (EXPEAM) **13**, 291 (1957).

alternative syntheses:

US 2 772 280 (Merck & Co.; 1956; appl. 1954).
US 2 840 565 (Merck & Co.; 1958; appl. 1954).

Formulation(s): cps. 250 mg; tabl. 250 mg

Trade Name(s):

D:	D-Cycloserin "Roche" (Roche); wfm	GB:	Cycloserine Roche (Roche); wfm		Orientmycin (Kayaku-Kakenyaku)
F:	D-Cyclosérine Roche (Roche); wfn	I:	Ciclozer (Formulario Naz.)		Seromycin (Lilly-Schionogi)
		J:	Cyclomycin (Shionogi)	USA:	Seromycin (Dura)

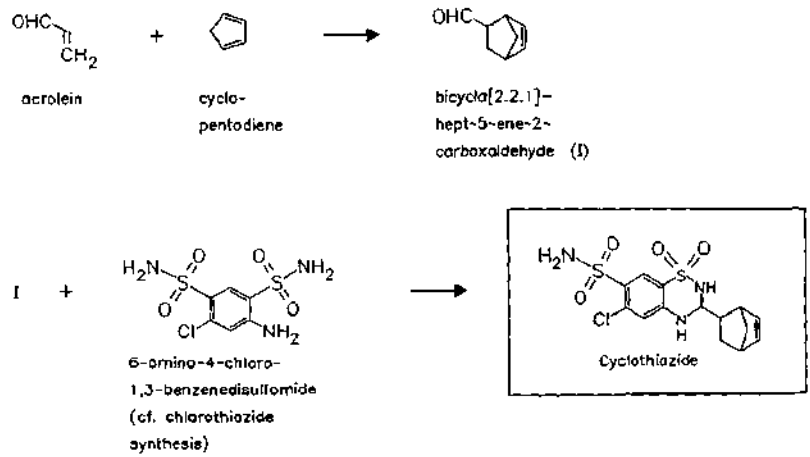
Cyclothiazide

ATC: C03AA09
Use: diuretic

RN: 2259-96-3 MF: $C_{14}H_{16}ClN_3O_4S_2$ MW: 389.88 EINECS: 218-859-7

LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)

CN: 3-bicyclo[2.2.1]hept-5-en-2-yl-6-chloro-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
US 3 275 625 (Boehringer Ing.; 27.9.1966; prior. 23.1.1961).
DE 1 125 938 (Thomae; appl. 12.2.1960).
GB 915 236 (Eli Lilly; appl. 25.7.1961; USA-prior. 31.10.1960).

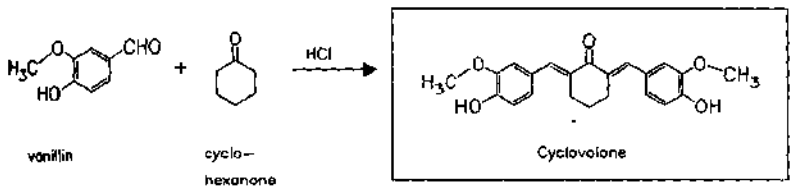
Formulation(s): tabl. 2.5 mg, 3 mg

Trade Name(s):
D: Dimapres (Dieckmann)-comb.; wfm
F: Cyclotériam (Roussel Diamant)-comb. with triamterene
J: Valmiran (Boehringer-Tanabe)
USA: Anhydron (Lilly)

Cyclovalone

Use: digestant, choleretic

RN: 579-23-7 MF: $C_{22}H_{22}O_5$ MW: 366.41 EINECS: 209-438-9
LD_{50}: 56 mg/kg (M, i.v.)
CN: 2,6-bis[(4-hydroxy-3-methoxyphenyl)methylene]cyclohexanone



Reference(s):
AT 180 258 (A. v. Waldheim Chem. Pharm. Fabrik; appl. 1953).
Rumpel, W.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **287**, 350 (1954).

Formulation(s): gran. 0.66/100 g

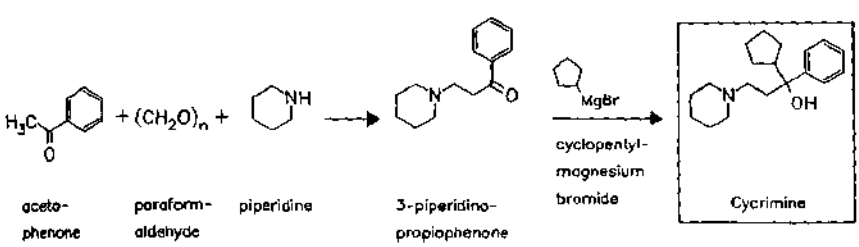
Trade Name(s):
D: Beveno (Fischer); wfm
F: Vanilone (Iénapharm)
GB: Vanisorbyl (Nicholas); wfm

Cycrimine

ATC: N04
Use: antiparkinsonian

RN: 77-39-4 MF: $C_{19}H_{29}NO$ MW: 287.45 EINECS: 201-024-6
CN: α-cyclopentyl-α-phenyl-1-piperidinepropanol

hydrochloride
RN: 126-02-3 MF: $C_{19}H_{29}NO \cdot HCl$ MW: 323.91 EINECS: 204-764-8
LD_{50}: 50 mg/kg (M, i.v.); 349 mg/kg (M, p.o.);
628 mg/kg (R, p.o.)



Reference(s):
US 2 680 115 (Winthrop-Stearns, 1954; prior. 1949).

Formulation(s): tabl. 0.25 mg, 0.5 mg

Trade Name(s):
I: Pagitane (Lilly); wfm USA: Pagitane (Lilly); wfm

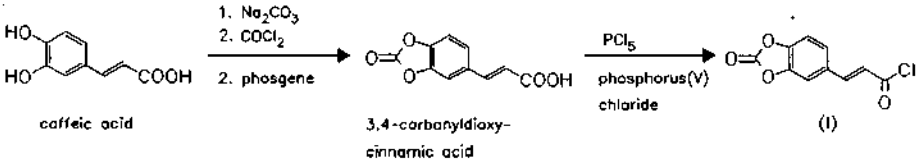
Cynarine

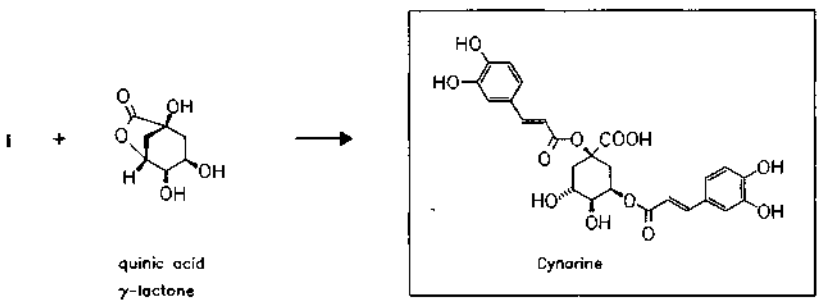
ATC: A06AB20
Use: choleretic

RN: 1182-34-9 MF: $C_{25}H_{24}O_{12}$ MW: 516.46 EINECS: 214-655-7
LD_{50}: 1900 mg/kg (M, i.p.)
CN: (1α,3α,4α,5β)-1,4-bis[[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]oxy]-3,5-dihydroxycyclohexanecarboxylic acid

(a) from Cynara scolymus (artichokes) leaves by extraction

(b)





Reference(s):
a US 2 863 909 (Farmitalia; 9.12.1958; I-prior. 28.5.1954).
b US 3 100 224 (Farmitalia; 6.8.1963; I-prior. 28.5.1954).

synthesis of quinic acid lactone:
Wolinsky, J. et al.: J. Org. Chem. (JOCEAH) **29**, 3596 (1964).

Formulation(s): tabl.

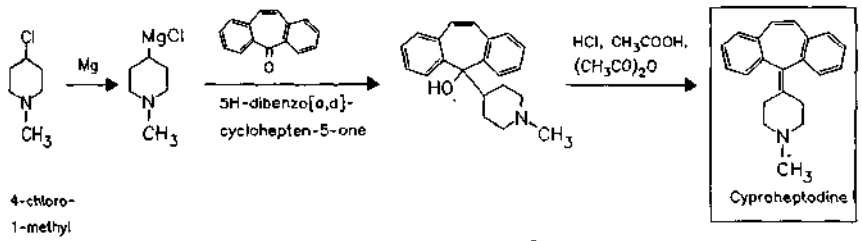
Trade Name(s):
D: Benestan (Karlspharma)-comb.; wfm
Listrocol (Carlo Erba); wfm
Methiocholin (Pfleger)-comb.; wfm
J: Plemocil (Sumitomo)

Cyproheptadine

ATC: R06AX02
Use: antiallergic, appetite stimulant

RN: 129-03-3 MF: $C_{21}H_{21}N$ MW: 287.41 EINECS: 204-928-9
LD_{50}: 15 mg/kg (M, i.v.); 106 mg/kg (M, p.o.);
295 mg/kg (R, p.o.)
CN: 4-(5*H*-dibenzo[*a*,*d*]cyclohepten-5-ylidene)-1-methylpiperidine

hydrochloride
RN: 969-33-5 MF: $C_{21}H_{21}N \cdot HCl$ MW: 323.87 EINECS: 213-535-1
LD_{50}: 23 mg/kg (M, i.v.); 69 mg/kg (M, p.o.);
295 mg/kg (R, p.o.)



4-chloro-
1-methyl
piperidine

Reference(s):
US 3 014 911 (Merck & Co.; 26.12.1961; prior. 13.7.1959).
Engelhardt, E.L. et al.: J. Med. Chem. (JMCMAR) **8**, 829 (1965).

Formulation(s): syrup 2 mg/5 ml; tabl. 4 mg (as hydrochloride)

Trade Name(s):

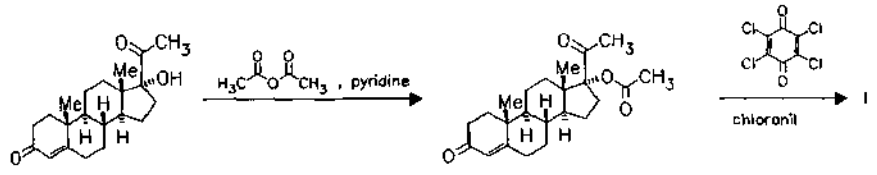
D:	Peritol (medphano)	GB:	Periactin (Merck Sharp & Dohme)		Periactin (Merck-Banyu)
F:	Périactine (Merck Sharp & Dohme; as hydrochloride)	I:	Periactin (Neopharmed)	USA:	Periactin (Merck; as hydrochloride)
		J:	Ifrasarl (Showa Shinyaku)		

Cyproterone acetate

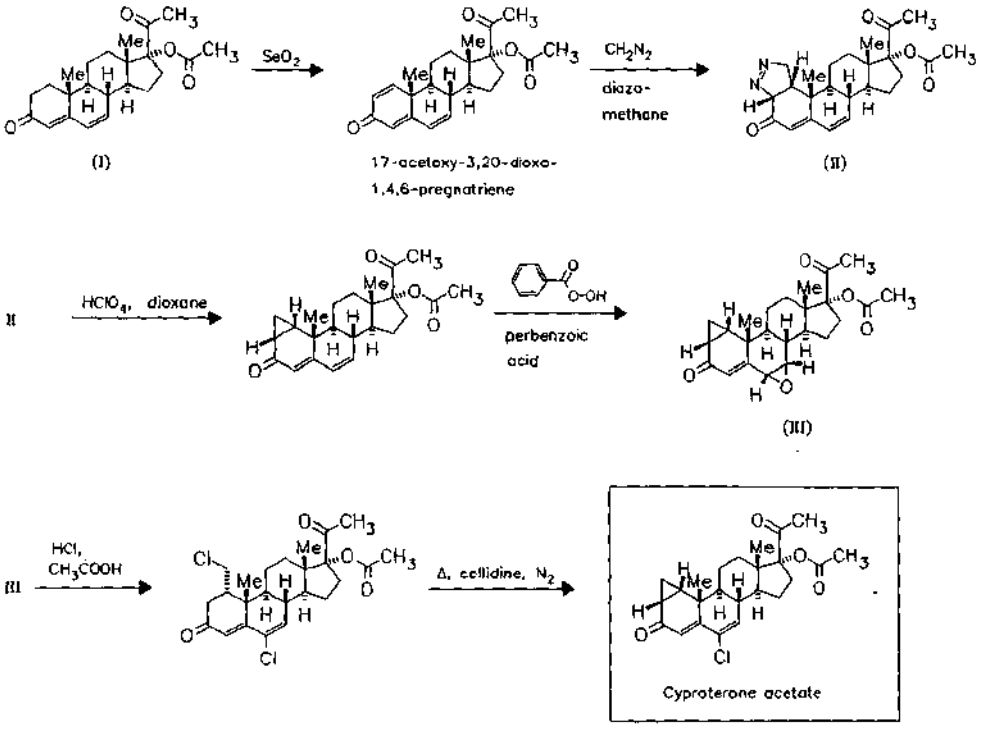
ATC: L02BB; G03HB
Use: antiandrogen

RN: 427-51-0 MF: $C_{22}H_{27}ClO_3$ MW: 374.91 EINECS: 207-048-3
CN: (1β,2β)-17-(acetyloxy)-6-chloro-1,2-dihydro-3'*H*-cyclopropa[1,2]pregna-1,4,6-triene-3,20-dione

cyproterone
RN: 2098-66-0 MF: $C_{22}H_{27}ClO_3$ MW: 374.91



17-hydroxy-
progesterone



Reference(s):
DE 1 072 991 (Schering AG; appl. 25.10.1958).
DE 1 096 353 (Schering AG; appl. 11.7.1959).
DE 1 158 966 (Schering AG; appl. 29.4.1961).
DE 1 189 991 (Schering AG; appl. 31.5.1963).
US 3 234 093 (Schering AG; 8.2.1966; appl. 24.4.1962; D-prior. 29.4.1961).

synthesis of 17-hydroxyprogesterone:
DE 1 119 266 (Schering AG; appl. 18.12.1957).
US 2 962 510 (Schering AG; 29.11.1960; appl. 9.12.1958; D-prior. 18.12.1957).

alternative synthesis:
DE 1 183 500 (Schering AG; appl. 12.10.1962).
DOS 3 331 824 (Schering AG; appl. 1.9.1983).
DOS 4 006 165 (Schering AG; appl. 25.2.1990).

review:
Wiechert, R.: Z. Naturforsch., B: Anorg. Chem., Org. Chem., Biochem., Biophys., Biol. (ZENBAX) **196**, 944 (1964).

Formulation(s): amp. 300 mg/3 ml; tabl. 10 mg, 50 mg

Trade Name(s):

D:	Androcur (Schering)		Climéne (Schering)		Dianette (Schering)-comb.
	Climen (Schering)-comb.		Diane (Schering)-comb.	I:	Androcur (Schering)
	Diane (Schering)-comb.	GB:	Androcur (Schering)		Diane (Schering)-comb.
F:	Androcur (Schering)		Cyprostat (Schering)	J:	Androcur (Schering)

Cytarabine

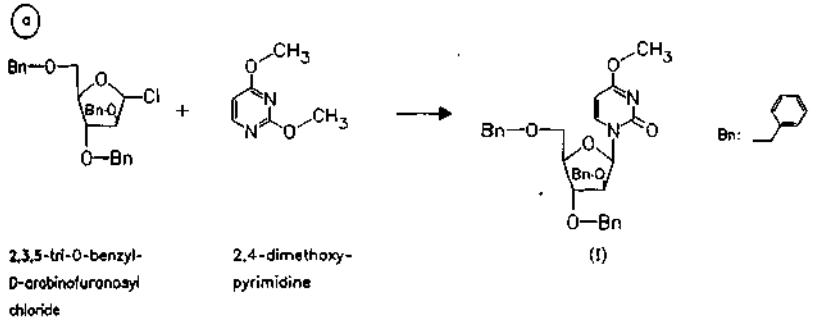
(ara C; Cytosine arabinoside)

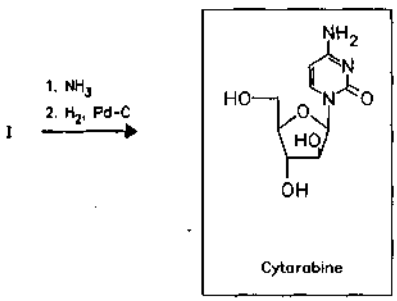
ATC: L01BC01
Use: antineoplastic, antiviral

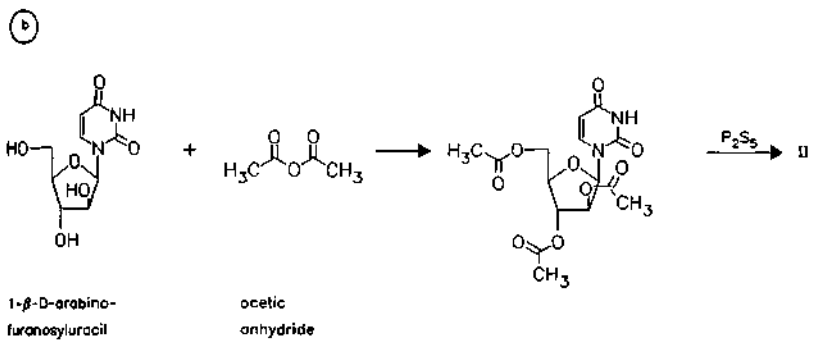
RN: 147-94-4 MF: $C_9H_{13}N_3O_5$ MW: 243.22 EINECS: 205-705-9
LD_{50}: >7 g/kg (M, i.v.); 3150 mg/kg (M, p.o.);
>5 g/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: 4-amino-1-β-D-arabinofuranosyl-2(1*H*)-pyrimidinone

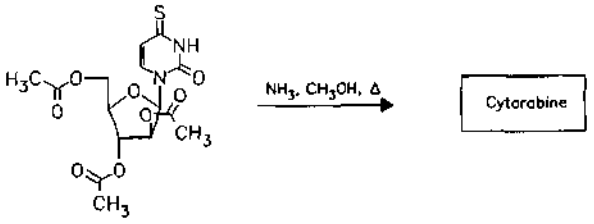
monohydrochloride

RN: 69-74-9 MF: $C_9H_{13}N_3O_5 \cdot HCl$ MW: 279.68 EINECS: 200-713-9
LD_{50}: 826 mg/kg (M, p.o.);
>3.2 g/kg (R, p.o.);
172 mg/kg (dog, i.v.)









(II)

Reference(s):
NL-appl. 6 511 420 (Merck & Co.; appl. 1.9.1965; USA-prior. 2.9.1964).
US 3 116 282 (Upjohn; 1963, prior. 1959).

alternative synthesis:
Roberts, W.K.; Dekker, C.A.: J. Org. Chem. (JOCEAH) **32**, 816 (1967).
Fromageot, H.P.M.; Reese, C.B.: Tetrahedron Lett. (TELEAY) **1966**, 3499.
Claesen, C.A.A. et al.; Tetrahedron Lett. (TELEAY) **26**, 3859 (1985).

Formulation(s): amp. 40 mg/2 ml, 100 mg/5 ml, 1 g/20 ml, 1 g/10 ml

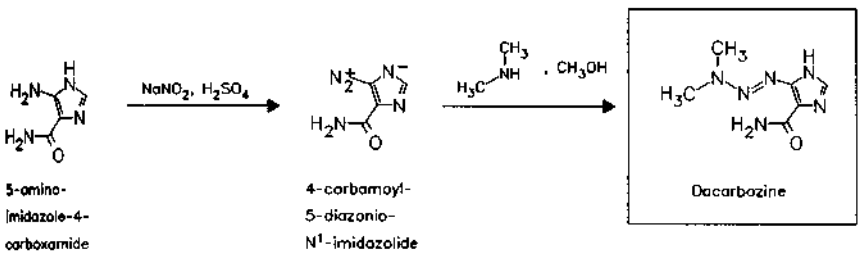
Trade Name(s):

D:	Alexan (Mack) ARA-cell (cell pharm) Udicil (Pharmacia & Upjohn)		Cytarbel (Rhône-Poulenc Roger Bellon)	J:	Erpalfa (Intes) Cyclocide (Nippon Shinyaku) Cytosar (Upjohn)
F:	Aracytine (Pharmacia & Upjohn)	GB:	Cytosar (Pharmacia & Upjohn)	USA:	Cytosar-U (Pharmacia & Upjohn)
		I:	Alexan (Byk Gulden) Aracytin (Upjohn)		

Dacarbazine
(DTIC)

ATC: L01XX13
Use: antineoplastic

RN: 4342-03-4 MF: $C_6H_{10}N_6O$ MW: 182.19 EINECS: 224-396-1
LD_{50}: 466 mg/kg (M, i.v.); 2032 mg/kg (M, p.o.);
411 mg/kg (R, i.v.); 2147 mg/kg (R, p.o.)
CN: 5-(3,3-dimethyl-1-triazenyl)-1*H*-imidazole-4-carboxamide



Reference(s):
Shealy, J.F. et al.: J. Org. Chem. (JOCEAH) **27**, 2150 (1962).

Formulation(s): lyo. 100 mg, 200 mg

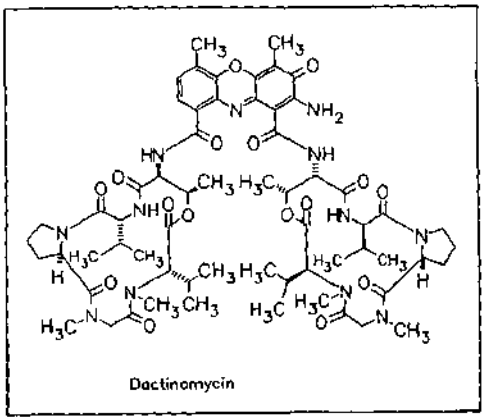
Trade Name(s):

D:	Detimedac (medac) D.T.I.C. 100/200 (Rhône-Poulenc)	GB:	DTIC-DOME (Bayer)	USA:	DTIC-DOME (Bayer)
		I:	Deticene (Rhône-Poulenc Rorer)		
F:	Deticene (Rhône-Poulenc Rorer Bellon)	J:	Dacarbazine (Kyowa Hakko)		

Dactinomycin
(Actinomycin D; Meractinomycin)

ATC: L01DA01
Use: antibiotic, antineoplastic

RN: 50-76-0 MF: $C_{62}H_{86}N_{12}O_{16}$ MW: 1255.44 EINECS: 200-063-6
LD_{50}: 1025 µg/kg (M, i.v.); 13 mg/kg (M, p.o.);
460 µg/kg (R, i.v.); 7200 µg/kg (R, p.o.)
CN: stereoisomer of *N*,*N*'-[(2-amino-4,6-dimethyl-3-oxo-3*H*-phenoxazine-1,9-diyl)bis[carbonylimino[2-(1-hydroxyethyl)-1-oxo-2,1-ethanediyl]imino[2-(1-methylethyl)-1-oxo-2,1-ethanediyl]-1,2-pyrrolidinediylcarbonyl(methylimino)(1-oxo-2,1-ethanediyl)]]bis[*N*-methyl-L-valine] di-ξ-lactone



Dactinomycin

From cultures of *Actinomyces antibioticus* and chromatographic purification on Al_2O_3.

Reference(s):
US 2 378 876 (Merck & Co.; 1945; appl. 1941).

Formulation(s): lyo. 0.5 mg

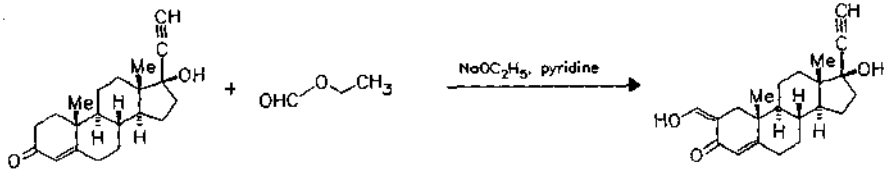
Trade Name(s):

D:	Lyovac (Merck Sharp & Dohme)	I:	Cosmegen (Merck Sharp & Dohme)
GB:	Cosmegen Lyovac (Merck Sharp & Dohme)	USA:	Cosmegen (Merck Sharp & Dohme)

Danazol

ATC: G03XA01
Use: antigonadotropin, anterior pituitary suppressant

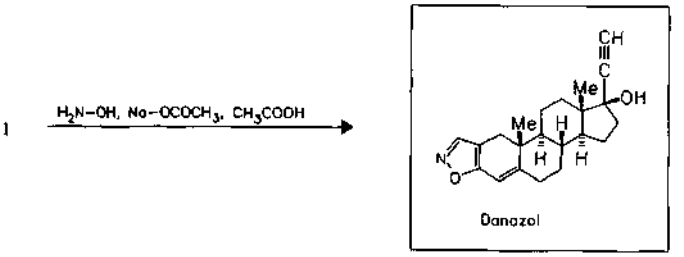
RN: 17230-88-5 MF: $C_{22}H_{27}NO_2$ MW: 337.46 EINECS: 241-270-1
LD_{50}: 4830 mg/kg (M, p.o.);
>17 g/kg (R, p.o.);
>5 g/kg (dog, p.o.)
CN: (17α)-pregna-2,4-dien-20-yno[2,3-*d*]isoxazol-17-ol



17α-ethynyl-17β-hydroxy-3-oxo-4-androstene

ethyl formate

(I)



Reference(s):
GB 905 844 (Sterling Drug; valid from 1959; USA-prior. 1958).
US 3 135 743 (Sterling Drug; 2.6.1964; prior. 29.6.1960, 23.7.1958).
Pirkle, W.H. et al.: J. Med. Chem. (JMCMAR) **6**, 1 (1963).
Clinton, R.O. et al.: J. Am. Chem. Soc. (JACSAT) **83**, 1478 (1961).

Formulation(s): cps. 50 mg, 100 mg, 200 mg

Trade Name(s):

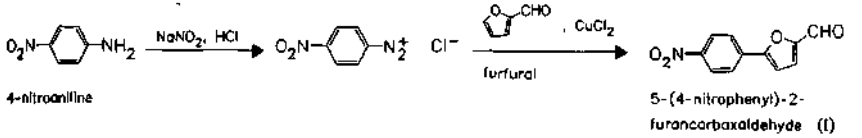
D:	Winobanin (Sanofi Winthrop)	GB:	Danol (Sanofi Winthrop)	J:	Bonzol (Tokyo Tanabe)
F:	Danatrol (Sanofi Winthrop)	I:	Danatrol (Maggioni-Winthrop)	USA:	Danocrine (Sanofi)

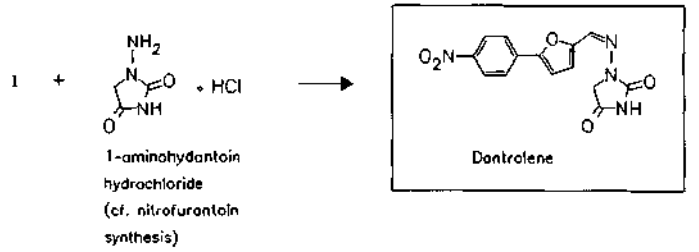
Dantrolene

ATC: M03CA01
Use: skeletal muscle relaxant, antispasmodic

RN: 7261-97-4 MF: $C_{14}H_{10}N_4O_5$ MW: 314.26 EINECS: 230-684-8
LD_{50}: >7 g/kg (M, i.v.)
CN: 1-[[[5-(4-nitrophenyl)-2-furanyl]methylene]amino]-2,4-imidazolidinedione

sodium salt hydrate (2:7)
RN: 24868-20-0 MF: $C_{14}H_9N_4NaO_5 \cdot 7/2H_2O$ MW: 798.58





Reference(s):
US 3 415 821 (Norwich Pharmacal Co.; 10.12.1968; appl. 7.9.1965).
Snyder, H.R. Jr. et al.: J. Med. Chem. (JMCMAR) **10**, 807 (1967).

use as antiarrhythmic:
EP 105 859 (Norwich Eaton; appl. 30.9.1983; USA-prior. 1.10.1982).

Formulation(s): amp. 20 mg (as sodium salt); cps. 25 mg, 50 mg (as sodium salt); susp. 5 mg/ml

Trade Name(s):

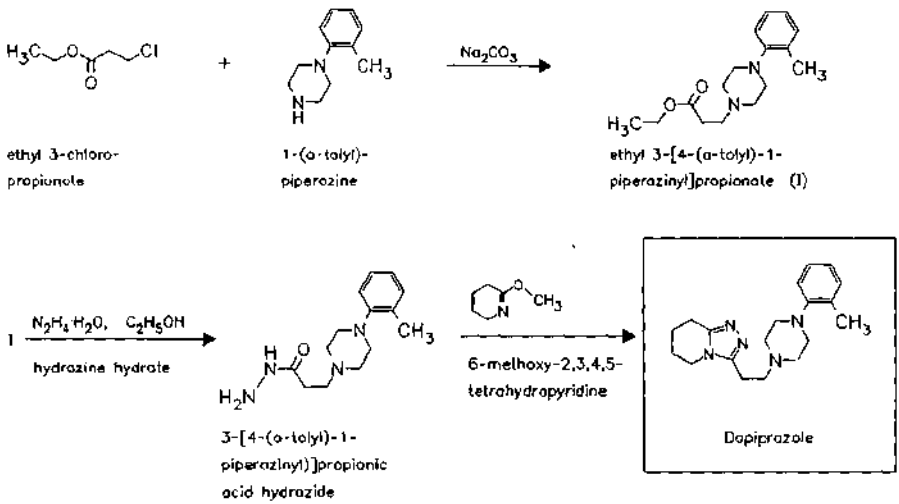
D:	Dantamacrin (Röhm Pharma) Dantrolen (Röhm Pharma)	F:	Dantrium (Lipha Santé Division Oberval; as sodium salt)	I:	Dantrium (Formenti)
				J:	Dantrium (Yamanouchi)
		GB:	Dantrium (Procter & Gamble)	USA:	Dantrium (Procter & Gamble)

Dapiprazole
(AF-2139)

ATC: N05AX; S01EX02
Use: antipsychotic, antiglaucoma

RN: 72822-12-9 MF: $C_{19}H_{27}N_5$ MW: 325.46
LD_{50}: 260 mg/kg (M, i.p.)
CN: 5,6,7,8-tetrahydro-3-[2-[4-(2-methylphenyl)-1-piperazinyl]ethyl]-1,2,4-triazolo[4,3-*a*]pyridine

monohydrochloride
RN: 72822-13-0 MF: $C_{19}H_{27}N_5 \cdot HCl$ MW: 361.92



Reference(s):
DE 2 915 318 (Angelini; appl. 14.4.1979; I-prior. 18.4.1978).
US 4 307 095 (Angelini; 22.12.1981; prior. 29.3.1979, 29.8.1980; I-prior. 18.4.1978).
US 4 307 096 (Angelini; 22.12.1981; prior. 29.3.1979, 29.8.1980; I-prior. 18.4.1978).
US 4 325 952 (Angelini; 20.4.1982; prior. 29.3.1979, 29.8.1980; I-prior. 18.4.1978).
BE 877 161 (Angelini; appl. 21.6.1979).

ophthalmic composition:
EP 288 659 (Angelini; appl. 25.1.1988).
US 4 879 294 (Angelini; 7.11.1989; appl. 28.1.1988).

Formulation(s): eye drops 50 mg/10 ml (5 %) (as hydrochloride)

Trade Name(s):

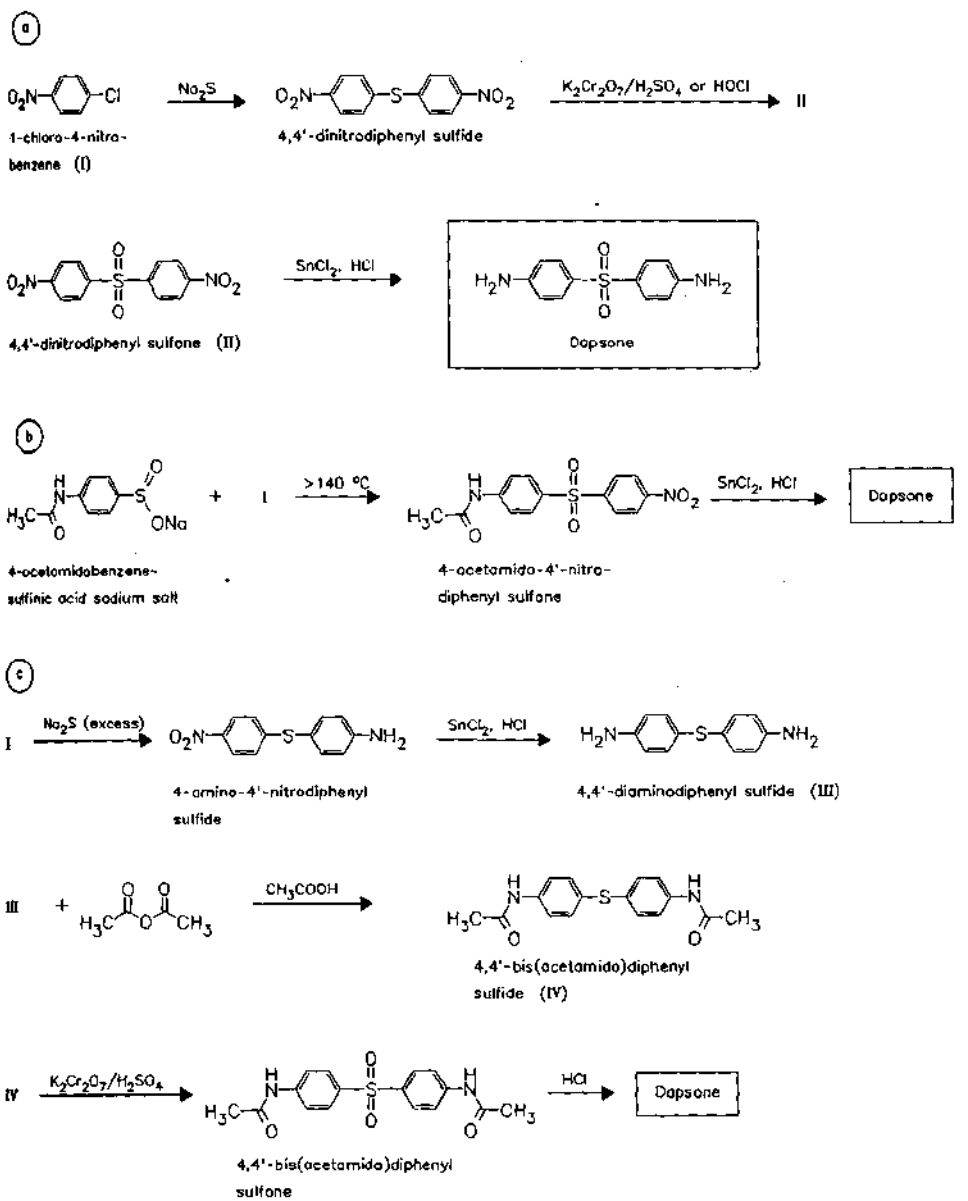
D: Remydrial (Winzer) I: Glamidolo (Angelini; 1987) USA: Rev-Eyes; wfm

Dapsone

(DADPS; DDS; Diphenylsulfone)

ATC: J04BA02
Use: chemotherapeutic (leprosy)

RN: 80-08-0 MF: $C_{12}H_{12}N_2O_2S$ MW: 248.31 EINECS: 201-248-4
LD_{50}: 225 mg/kg (M, i.v.); 250 mg/kg (M, p.o.); 1 g/kg (R, p.o.)
CN: 4,4'-sulfonylbis[benzenamine]



Reference(s):
a Fromm, E.; Wittmann, J.: Ber. Dtsch. Chem. Ges. (BDCGAS) **41**, 2264 (1908).
US 2 385 889 (Merck & Co.; 1945; appl. 1945).
b Ferry, C.W. et al.: Org. Synth. (ORSYAT) **22**, 32 (1942).
GB 510 127 (Schering AG; appl. 1938; D-prior. 1937).
similar process:
US 2 227 400 (American Cyanamide Co.; 1940; appl. 1939).
c Raiziss, G.W. et al.: J. Am. Chem. Soc. (JACSAT) **61**, 2763 (1939).

S-oxidation with hydrogen peroxide:
Arendonk, A.M. Van; Kleiderer, E.C.: J. Am. Chem. Soc. (JACSAT) **62**, 3521 (1940).

preparation via 4,4'-dichlorodiphenyl sulfone:
GB 506 227 (I.G. Farben; appl. 1937).
FR 829 926 (I.G. Farben; appl. 1937; D-prior. 1936, 1937).
FR 844 220 (Lab. Franç. de Chimiothérapie et M. A. Girard; appl. 1938; D-prior. 1937).

Formulation(s): tabl. 50 mg

Trade Name(s):

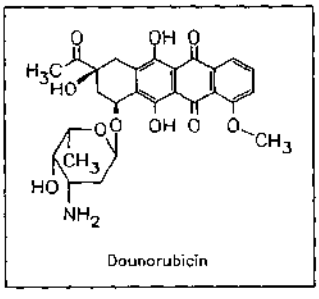
D:	Dapson-Fatol (Saarstickstoff-Fatol)	GB:	Maloprim (Glaxo Wellcome)-comb.	J:	Protogen (Yoshitomi)
F:	Disulone (Rhône-Poulenc Rorer Specia)	I:	Avlosulfon (Ayerst-Usa); wfm	USA:	generic

Daunorubicin
(Daunomycin)

ATC: L01DB02
Use: antineoplastic, anthracycline antibiotic

RN: 20830-81-3 MF: $C_{27}H_{29}NO_{10}$ MW: 527.53 EINECS: 244-069-7
LD_{50}: 5 mg/kg (M, i.p.); 29 mg/kg (M, i.v.);
8 mg/kg (R, i.p.); 13 mg/kg (R, i.v.)
CN: (8*S-cis*)-8-acetyl-10-[(3-amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-1-methoxy-5,12-naphthacenedione

hydrochloride
RN: 23541-50-6 MF: $C_{27}H_{29}NO_{10} \cdot HCl$ MW: 563.99 EINECS: 245-723-4
LD_{50}: 50 mg/kg (M, i.v.); 205 mg/kg (M, p.o.);
14.3 mg/kg (R, i.v.); 290 mg/kg (R, p.o.)



Daunorubicin

Fermentation of *Streptomyces peucetius*.

Reference(s):
BE 639 897 (Farmitalia; appl. 14.11.1963).
Marco, A. Di et al.: Nature (London) (NATUAS) **201**, 706 (1964).

structure and stereochemistry:
Arcamone, F. et al.: J. Am. Chem. Soc. (JACSAT) **86**, 5334 (1964).
Iwamoto et al.: Tetrahedron Lett. (TELEAY) **1968**, 3891.
Arcamone, F. et al.: Gazz. Chim. Ital. (GCITA9) **100**, 949 (1970).

total synthesis:
Acton et al.: J. Med. Chem. (JMCMAR) **17**, 659 (1974).

alternative syntheses:
DOS 2 519 157 (Farmitalia; appl. 30.4.1975; GB-prior. 2.5.1974).
FR 2 183 710 (Farmitalia; appl. 6.5.1973; I-prior. 6.5.1972).
EP-appl. 100 075 (Sanraku-Ocean; appl. 22.7.1983; J-prior. 24.7.1982).

purification:
BE 898 506 (Farmitalia; appl. 20.12.1983; I-prior. 23.12.1982).

Formulation(s): lyo. 20 mg

Trade Name(s):

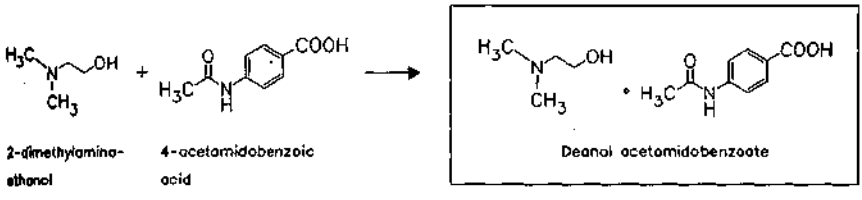
D:	Daunoblastin (Carlo Erba)	GB:	Cerubidin (Rhône-Poulenc Rorer)	USA:	Cerubidine (Bedford; as hydrochloride)
F:	Cérubidine (Rhône-Poulenc Roger Bellon; as hydrochloride)		Daunoxome (NeXstar)		DaunoXome (NeXstar; as citrate)
		I:	Daunoblastina (Farmitalia)		
		J:	Daunomycin (Meiji Seika)		

Deanol acetamidobenzoate

(Deanoli acetabenzoas)

ATC: N06BX04
Use: stimulant

RN: 3635-74-3 MF: $C_9H_9NO_3 \cdot C_4H_{11}NO$ MW: 268.31 EINECS: 222-858-7
LD_{50}: 3918 mg/kg (M, p.o.)
CN: 4-(acetylamino)benzoic acid compd. with 2-(dimethylamino)ethanol (1:1)



Reference(s):
GB 879 259 (Riker; appl. 1957; USA-prior. 1956).

Formulation(s): tabl. 100 mg

Trade Name(s):

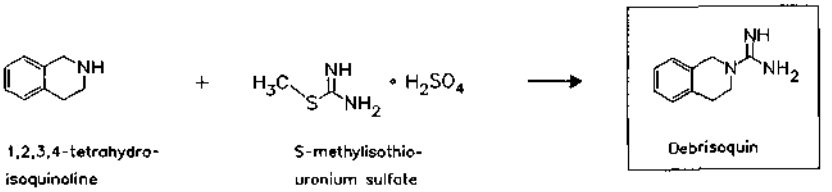
D:	Deanol Riker (Kettelhack-Riker); wfm	F:	Diforène (Choay); wfm	USA:	Deaner (Riker); wfm
		I:	Pabenol (Gentili)		

Debrisoquin

ATC: C02CC04
Use: antihypertensive

RN: 1131-64-2 MF: $C_{10}H_{13}N_3$ MW: 175.24 EINECS: 214-470-1
CN: 3,4-dihydro-2(1*H*)-isoquinolinecarboximidamide

sulfate (2:1)
RN: 581-88-4 MF: $C_{10}H_{13}N_3 \cdot 1/2H_2SO_4$ MW: 448.55 EINECS: 209-472-4
LD_{50}: 31.7 mg/kg (M, i.v.); 235 mg/kg (M, p.o.);
610 mg/kg (R, p.o.)



Reference(s):
BE 629 007 (Hoffmann-La Roche; appl. 28.2.1963; USA-prior. 6.3.1962, 18.12.1962).
DE 1 244 788 (Hoffmann-La Roche; appl. 25.2.1963; USA-prior. 6.3.1962, 18.12.1962).
Wenner, W.: J. Med. Chem. (JMCMAR) **8**, 125 (1965).

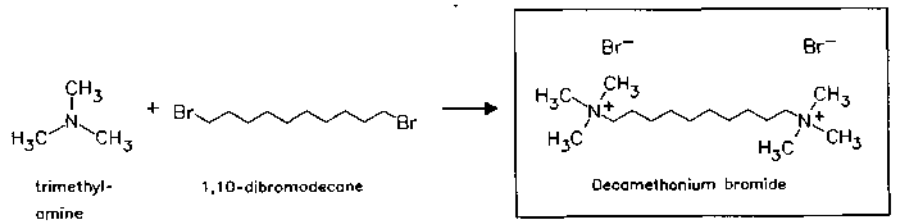
Formulation(s): tabl. 10 mg

Trade Name(s):
GB: Declinax (Roche); wfm
Declinax (Roche; as sulfate); wfm
USA: Declinax (Roche); wfm
Declinax (Roche; as sulfate); wfm

Decamethonium bromide

ATC: M03
Use: muscle relaxant

RN: 541-22-0 MF: $C_{16}H_{38}Br_2N_2$ MW: 418.30 EINECS: 208-772-2
LD_{50}: 630 µg/kg (M, i.v.); 190 mg/kg (M, p.o.)
CN: *N,N,N,N',N',N'*-hexamethyl-1,10-decanediaminium dibromide



Reference(s):
Blomquist, A.T. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 678 (1959).

Formulation(s): tabl. 0.25 mg, 0.5 mg

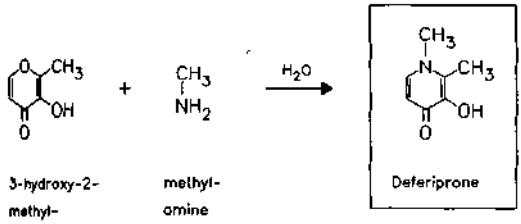
Trade Name(s):
USA: Syncurine (Burroughs Wellcome); wfm

Deferiprone

(L1; CGP-37391; CP20)

ATC: V03AC02
Use: metal antagonist

RN: 30652-11-0 MF: $C_7H_9NO_2$ MW: 139.15
LD_{50}: 2 g/kg (R, p.o.)
CN: 3-hydroxy-1,2-dimethyl-4(1*H*)-pyridinone



Reference(s):
Dobbin, P.S. et al.: J. Med. Chem. (JMCMAR) **36**(17), 2448 (1993).
Kontogiorghes, G.J.; Sheppard, L.: Inorg. Chim. Acta (ICHAA3) **136**, 11 (1987).

clinical studies:
Vreugdenhil, G.; Swaak, G.; Kontoghiorghes, G.J.; VanEijk, H.G.: Lancet (LANCAO) **2**(8676), 1398-1399 (1989).

Formulation(s): caps. 250 mg, 500 mg

Trade Name(s):
IND: Deferrum (Cangene) Kelfer (Cipla)

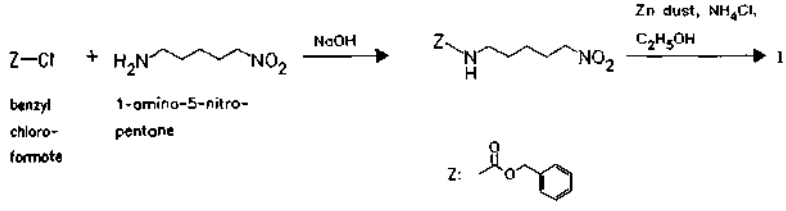
Deferoxamine

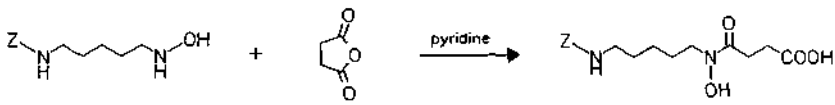
(Desferrioxamine)

ATC: V03AC01
Use: iron complex former (for therapy of iron storage diseases)

RN: 70-51-9 MF: $C_{25}H_{48}N_6O_8$ MW: 560.69 EINECS: 200-738-5
LD_{50}: 250 mg/kg (M, i.v.); 1340 mg/kg (M, p.o.);
329 mg/kg (R, i.v.)
CN: *N*-[5-[[4-[[5-(acetylhydroxyamino)pentyl]amino]-1,4-dioxobutyl]hydroxyamino]pentyl]-*N*-(5-aminopentyl)-*N*-hydroxybutanediamide

monomesylate
RN: 138-14-7 MF: $C_{25}H_{48}N_6O_8 \cdot CH_4O_3S$ MW: 656.80 EINECS: 205-314-3
LD_{50}: 273 mg/kg (M, i.v.); 15.2 g/kg (M, p.o.);
330 mg/kg (R, i.v.); 17.3 g/kg (R, p.o.)

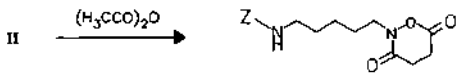




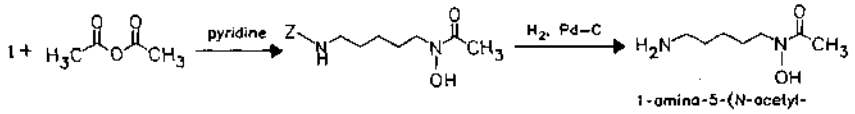
1-benzyloxycarbonyl-amino-5-hydroxyamino-pentane (I)

succinic anhydride

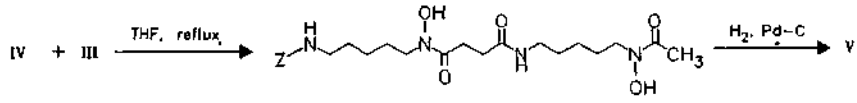
(II)

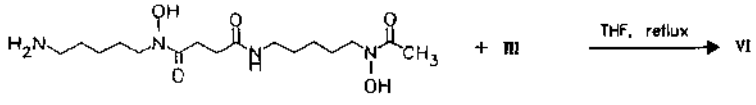


2-(5-benzyloxycarbonyl-aminopentyl)-3,6-dioxo-tetrahydro-1,2-oxazine (III)

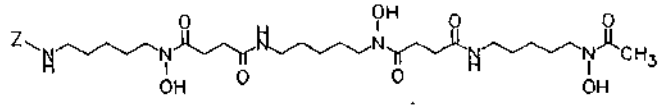


1-amino-5-(N-acetyl-hydroxyamino)pentane (IV)

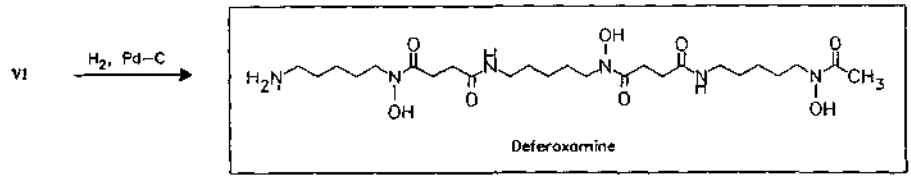




(V)



Z-deferoxamine (VI)



Reference(s):
isolation from metabolites of actinomyceten:
Bickel, H. et al.: Helv. Chim. Acta (HCACAV), **43**, 2118 (1960).

constitutional elucidation:
Bickel, H. et al.: Helv. Chim. Acta (HCACAV) **43**, 2129 (1960).

synthesis:
BE 609 053 (Ciba; appl. 11.10.1961; CH-prior. 11.10.1960, 23.11.1960, 7.4.1961, 26.4.1961, 29.6.1961, 10.8.1961, 11.8.1961).
BE 619 532 (Ciba; appl. 28.6.1962; CH-prior. 29.6.1961).
Prelog, V.; Walser, A.: Helv. Chim. Acta (HCACAV) **45**, 631 (1962).

Formulation(s): amp. 500 mg; inj. powder 500 mg; lyo. 500 mg, 2 g

Trade Name(s):

D:	Desferal (Ciba)	I:	Desferal (Ciba-Geigy)	USA:	Desferal (Novartis; as mesylate)
F:	Desféral (Ciba-Geigy)	J:	Desferal (Novartis-Takeda)		
GB:	Desferal (Novartis)				

Defibrotide

ATC: B01AX01; B06A
Use: antithrombotic, cholinergic channel modulator, stimulates fibrinolysis

RN: 83712-60-1 MF: unspecified MW: unspecified
CN: defibrotide; polydeoxyribonucleotides from bovine lung

Extraction from mammalian organs with aqueous solution of Zn salts.

Reference(s):
DE 2 154 278 (Crinos; appl. 3.11.1971; I-prior. 3.11.1970).
DE 2 154 277 (Crinos; appl. 3.11.1971; I-prior. 3.11.1970).
US 3 829 567 (Crinos; 13.8.1974; I-prior. 3.11.1970).
US 3 899 481 (Crinos; 12.8.1975; I-prior. 3.11.1970).
EP 263 155 (Crinos; 10.4.1987; I-prior. 17.4.1986).

medical use for renal dialysis patients:
EP 317 766 (Crinos; appl. 20.10.1988; I-prior. 23.10.1987).

medical use for treatment of myocardial ischaemia:
EP 152 148 (Crinos; appl. 11.2.1985; I-prior. 16.2.1984).

medical use for treatment of peripheral arterial disease:
EP 137 543 (Crinos; appl. 7.9.1984; I-prior. 12.9.1983).

medical use for treatment of acute renal insufficiency:
EP 137 542 (Crinos; appl. 7.9.1984; I-prior. 12.9.1983).

Formulation(s): vial 200 mg

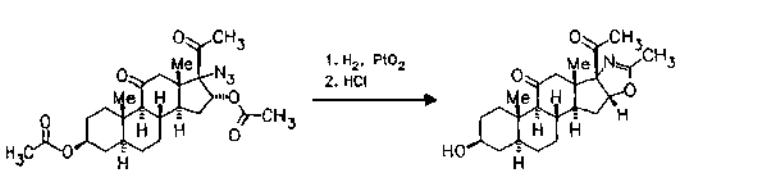
Trade Name(s):
I: Dasovas (Carlo Erba) Noravid (Roussel; 1986) Prociclide (Crinos; 1986)

Deflazacort

(Azacort; Oxazacort)

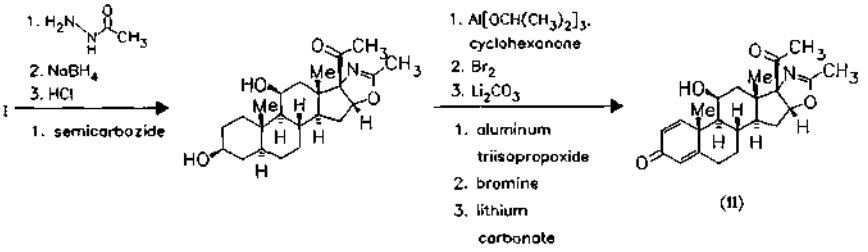
ATC: H02AB13
Use: glucocorticoid, anti-inflammatory

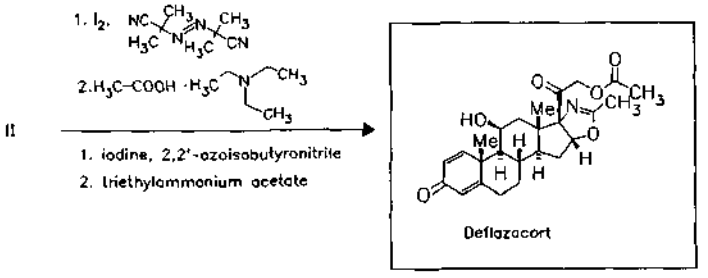
RN: 14484-47-0 MF: $C_{25}H_{31}NO_6$ MW: 441.52 EINECS: 238-483-7
LD_{50}: 5200 mg/kg (M, p.o.)
CN: (11β,16β)-21-(acetyloxy)-11-hydroxy-2'-methyl-5'*H*-pregna-1,4-dieno[17,16-*d*]oxazole-3,20-dione



17α-azido-3β,16α-diacetoxy-5α-pregnane-11,20-dione

3β-hydroxy-2'-methyl-5α,5'βH-pregnano[17,16-d]oxazole-11,20-dione (I)





Reference(s):
GB 1 077 393 (Lepetit; appl. 22.4.1965).
Nathansohn, G. et al.: J. Med. Chem. (JMCMAR) **10**, 799 (1967).

synthesis of 17α-azido-3β,16α-diacetoxy-5a-pregnane-11,20-dione:
Nathansohn, G. et al.: Gazz. Chim. Ital. (GCITA9) **35**, 1338 (1965).

alternative synthesis:
US 3 624 077 (Lepetit; 30.11.1971; GB-prior. 11.1.1966).
Nathansohn, G. et al.: Steroids (STEDAM) **13**, 383 (1969).

Formulation(s): tabl. 6 mg, 30 mg

Trade Name(s):

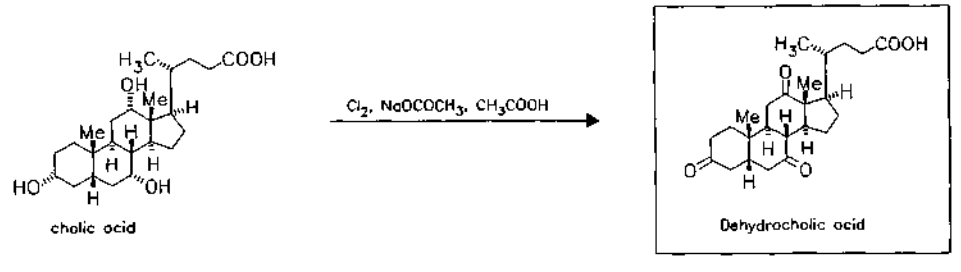
D:	Calcort (Albert-Roussel, Hoechst)	I:	Deflan (Guidotti) Flantadin (Lepetit)

Dehydrocholic acid

(Acide déhydrocholique)

ATC: A05
Use: choleretic, liver protective drug

RN: 81-23-2 MF: $C_{24}H_{34}O_5$ MW: 402.53 EINECS: 201-335-7
LD_{50}: 1492 mg/kg (M, i.v.); 3100 mg/kg (M, p.o.);
750 mg/kg (R, i.v.); 4 g/kg (R, p.o.)
CN: (5β)-3,7,12-trioxocholan-24-oic acid



Reference(s):
US 2 966 499 (Merck & Co.; 27.12.1960; prior. 9.4.1958).

Formulation(s): amp. for i.v. and i.m. inj. 1 g/5 ml H_2O (as sodium salt); tabl. 250 mg

Trade Name(s):

D: Decholin (Cassella-Riedel)
Eupond N (Ferring)
Felacomp (Verla)-comb.
numerous combination preparations

F: Dycholium (Théraplix); wfm

GB: Dehydrocholin (Duncan, Flockhart); wfm

I: Certobil (Metapharma)-comb.
Debridat (Sigma-Tau)-comb.
Heparbil (Montefarmaco)-comb.
numerous combination preparations

J: Dehychol (Nippon Eiyo)
Dehydrochol (Kanto; Sawai; Hokuriku)
Hydrochol (Kyorin)

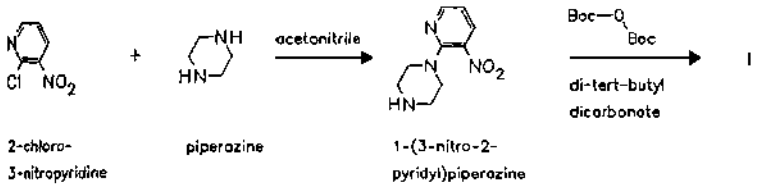
USA: Atrocholin (Glaxo); wfm
Bilax (Drug Industries)-comb.; wfm
Cholan (Pennwalt)-comb.; wfm
Cholan-DH (Pennwalt); wfm
Cholan-HMB (Pennwalt)-comb.; wfm
Decholin (Miles); wfm
Decholin Sodium (Dome); wfm
Gastroenterase (Wallace)-comb.; wfm
Hepahydrin (Great Southern); wfm
Ketochol (Searle); wfm
Neocholan (Dow); wfm
Neolax (Central)-comb.; wfm
Sodium Dehydrocholate (City Chem.); wfm
Sodium Dehydrocholate (Endo); wfm

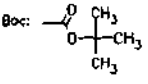
Delavirdine mesilate
(U-90152S)

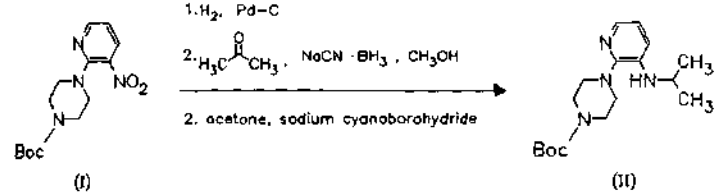
ATC: J05AG02
Use: antiviral, HIV-1 reverse transcriptase inhibitor

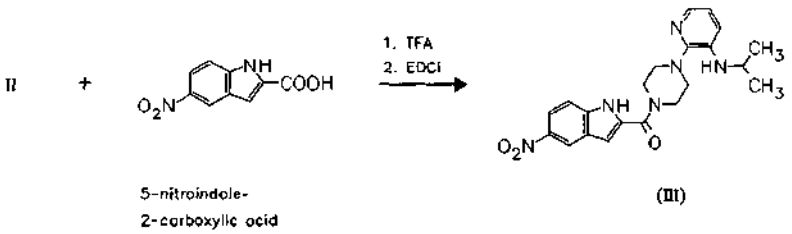
RN: 147221-93-0 MF: $C_{22}H_{28}N_6O_3S \cdot CH_4O_3S$ MW: 552.68
CN: 1-[3-[(1-Methylethyl)amino]-2-pyridinyl]-4-[[5-[(methylsulfonyl)amino]-1*H*-indol-2-yl]-carbonyl]piperazine monomethanesulfonate

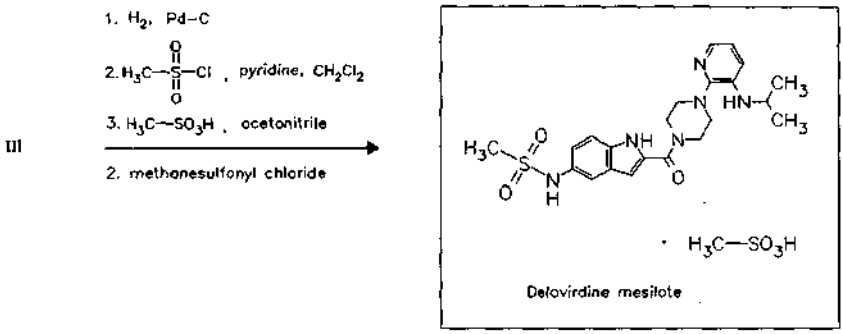
base
RN: 136817-59-9 MF: $C_{22}H_{28}N_6O_3S$ MW: 456.57











Reference(s):
Romero, D.L. et al.: J. Med. Chem. (JMCMAR) **36**, 1505 (1993).
WO 9 109 849 (Upjohn; USA-prior. 28.12.1989).
Pedersen, O.S.; Pedersen, E.B.: Synthesis (SYNTBF), **2000**, 479.

water clathrates:
WO 9 422 836 (Upjohn + Co.; appl. 15.3.1994; USA-prior. 26.3.1993).

novel crystal form:
WO 9 528 398 (Upjohn + Co.; appl. 1.3.1995; USA-prior. 15.4.1994).

combination with HIV-protease inhibitors:
WO 9 726 880 (Pharmacia + Upjohn; appl. 10.12.1996; USA-prior. 26.1.1996).
WO 9 616 675 (Rega Inst.; appl. 29.11.1995; USA-prior. 30.11.1994).

combination and use with other reverse transcriptase inhibitors:
WO 9 409 781 (Upjohn + Co.; appl. 10.9.1993; USA-prior. 28.10.1992).

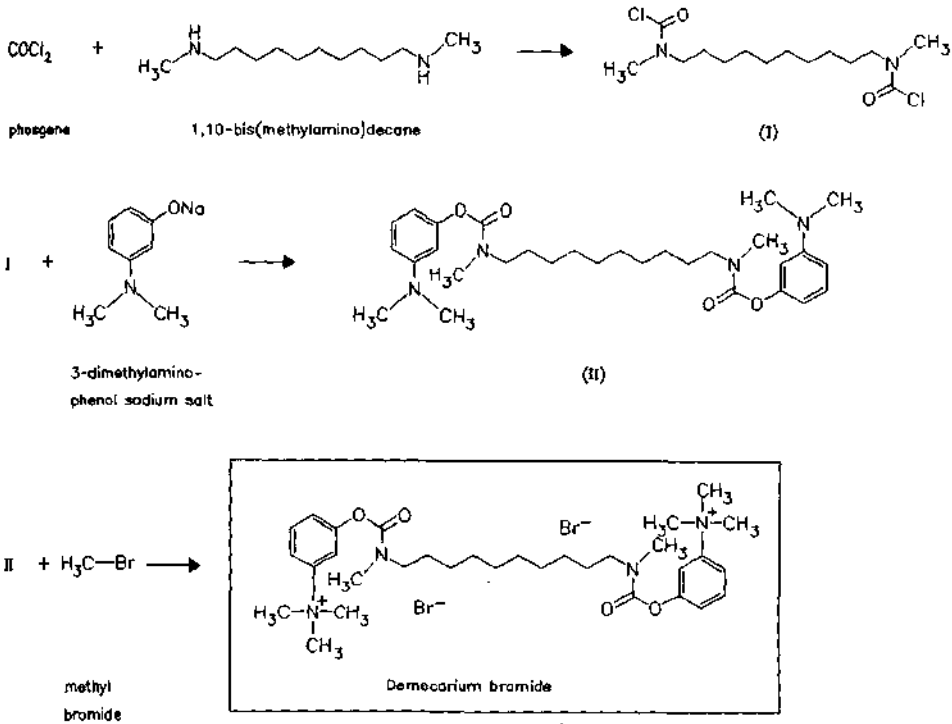
Formulation(s): tabl. 100 mg

Trade Name(s):
USA: Rescriptor (Pharmacia & Upjohn; 1997)

Demecarium bromide

ATC: S01EB04
Use: cholinesterase inhibitor

RN: 56-94-0 MF: $C_{32}H_{52}Br_2N_4O_4$ MW: 716.60 EINECS: 200-301-9
LD_{50}: 6490 µg/kg (M, p.o.)
CN: 3,3'-[1,10-decanediylbis[(methylimino)carbonyloxy]]bis[*N,N,N*-trimethylbenzenaminium] dibromide



Reference(s):
US 2 789 891 (Österr. Stickstoffwerke; 1957; A-prior. 1954).

Formulation(s): collyre 0.25 %, 0.5 %, 1 %

Trade Name(s):

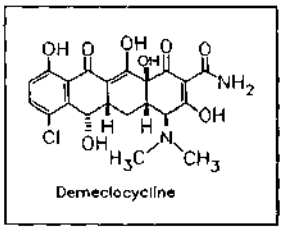
D:	Tosmilen (Lentia); wfm	GB:	Tosmilen (Astra); wfm	J:	Tosmilen (Chugai)
F:	Tosmilène (Chibret); wfm		Tosmilen (Sinclair); wfm	USA:	Humorsol (Merck)

Demeclocycline
(Demethylchlortetracycline)

ATC: D06AA01; J01AA01
Use: antibiotic

RN: 127-33-3 MF: $C_{21}H_{21}ClN_2O_8$ MW: 464.86 EINECS: 204-834-8
LD_{50}: 79 mg/kg (M, i.v.);
>6.75 g/kg (R, p.o.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-7-chloro-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-1,11-dioxo-2-naphthacene carboxamide

monohydrochloride
RN: 64-73-3 MF: $C_{21}H_{21}ClN_2O_8 \cdot HCl$ MW: 501.32 EINECS: 200-592-2
LD_{50}: 275 mg/kg (M, i.v.); 2150 mg/kg (M, p.o.);
94 mg/kg (R, i.v.); 2372 mg/kg (R, p.o.)



From fermentation solutions of a *Streptomyces aureofaciens* mutant.

Reference(s):
US 2 878 289 (American Cyanamid; 17.3.1959; prior. 28.5.1956).
US 3 012 946 (American Cyanamid; 12. 12. 1961; appl. 16.11.1960).
US 3 019 172 (American Cyanamid; 30.1.1962; appl. 14.3.1960).
US 3 050 446 (American Cyanamid; 21.8.1962; appl. 28.7.1960).
US 3 154 476 (Olin Mathieson; 27.10.1964; appl. 29.4.1963).
DE 1 041 213 (American Cyanamid; appl. 24.5.1957; USA-prior. 28.5.1956).
McCormick, J.R.D. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 4561 (1957).

Formulation(s): tabl. 150 mg, 300 mg (as hydrochloride)

Trade Name(s):

D: Demebronc (Lederle)-comb.
Ledermycin (Novalis Arzn.)
Lederstatin (Novalis Arzn.)-comb.

F: Ledermycine (Lederle-Novalis); wfm

GB: Deteclo (Wyeth)-comb.
Ledermycin (Wyeth)

I: Demebronc (Cyanamid)-comb.
Demetraciclina (Libral); wfm
Detracin (Sierochimica); wfm
Detravis (Vis); wfm
Dimeral (Panther-Osfa Chemie); wfm
Diuciclin (Benvegna); wfm
Elkamicina (Biotrading); wfm
Fidocin (Farmaroma); wfm
Isodemetil (Isola-Ibi); wfm
Latomicina (Farber-Ref); wfm
Ledermicina (Cyanamid)
Lesten (Serono)-comb.; wfm
Magis-Ciclina (Tiber); wfm
Mirciclina (Francia Farm.); wfm
Neo-Cromaciclin (Panther-Osfa Chemie)
Oldem (Firma)-comb.
Tetradek (SIT)
Tollerclin (Scalari)
Varibiotic (Cyanamid)-comb.
Veraciclina (AFI)
numerous combination preparations

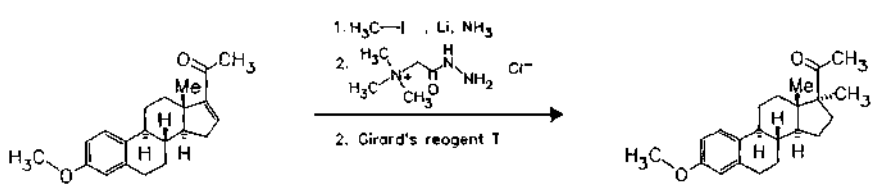
J: Demethylchlor Tetracycline (Kaken)
Ledermycin (Lederle)

USA: Declomycin (Lederle Labs.; as hydrochloride)

Demegestone

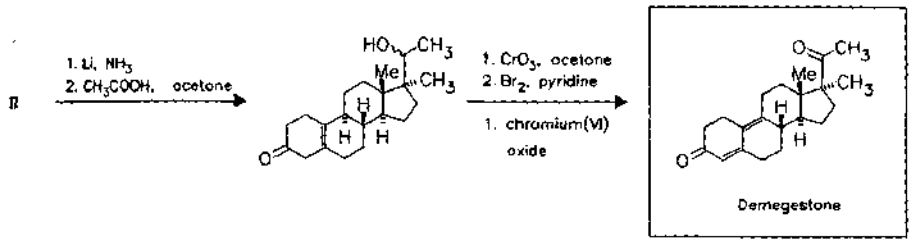
ATC: G03DB05
Use: progestogen

RN: 10116-22-0 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 233-320-6
CN: 17-methyl-19-norpregna-4,9-diene-3,20-dione



3-methoxy-20-oxo-19-nor-pregna-1,3,5(10),16-tetraene (I)
(from estrone 3-methyl ether)

(II)



Reference(s):
US 3 453 267 (Roussel-Uclaf; 1.7.1969; F-prior. 31.12.1964, 25.2.1965, 24.3.1965, 14.6.1965, 3.9.1965, 17.9.1965).
US 3 547 959 (Roussel-Uclaf; 15.12.1970; F-prior. 27.12.1965).
Joly, R. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1973**, 2694.

starting material:
Burn, D.; Petrov, v.: J. Chem. Soc. (JCSOA9) **1962**, 364.

total synthesis:
Velluz, L. et al.: Tetrahedron (TETRAB), **1966**, Suppl. 8, part II, 495.

Formulation(s): tabl. 500 mg

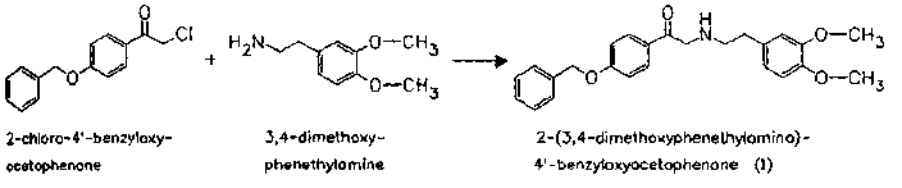
Trade Name(s):
F: Lutionex (Roussel Diamant)

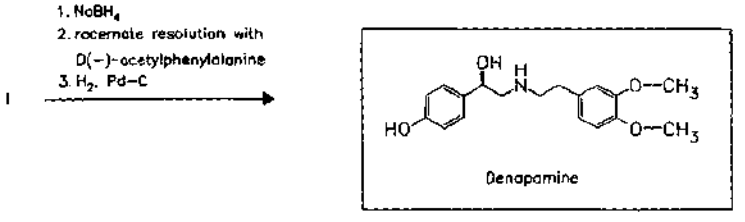
Denopamine
(TA-064)

ATC: C01CA
Use: orally active cardiostimulant, β_1-receptor agonist

RN: 71771-90-9 MF: $C_{18}H_{23}NO_4$ MW: 317.39
LD_{50}: 198 mg/kg (M, i.v.); 5672 mg/kg (M, p.o.); 9369 mg/kg (R, p.o.)
CN: (*R*)-α-{[{2-(3,4-dimethoxyphenyl)ethyl]amino]methyl}-4-hydroxybenzenemethanol

hydrochloride
RN: 64299-19-0 MF: $C_{18}H_{23}NO_4 \cdot HCl$ MW: 353.85





Reference(s):
DOS 2 542 881 (Tanabe; appl. 25.9.1975).
US 4 032 575 (Tanabe; 28.6.1977; appl. 1.10.1975).
US 4 072 759 (Tanabe; 7.2.1978; appl. 10.11.1976; prior. 1.10.1975).
Umino, N. et al.: Chem. Pharm. Bull. (CPBTAL) **27**, 1479 (1979).

enantioselective synthesis starting from optically active 4-hydroxyphenylglycine:
JP 85 009 702 (Tanabe; appl. 14.11.1977).
JP 85 009 703 (Tanabe; appl. 14.11.1977).
Ikezaki, M. et al.: Yakugaku Zasshi (YKKZAJ) **106**, 80 (1986).

Formulation(s): gran. 5 %; tabl. 5 mg, 10 mg

Trade Name(s):
J: Kalgut (Tanabe; 1988)

Deptropine
(Dibenzheptropine)

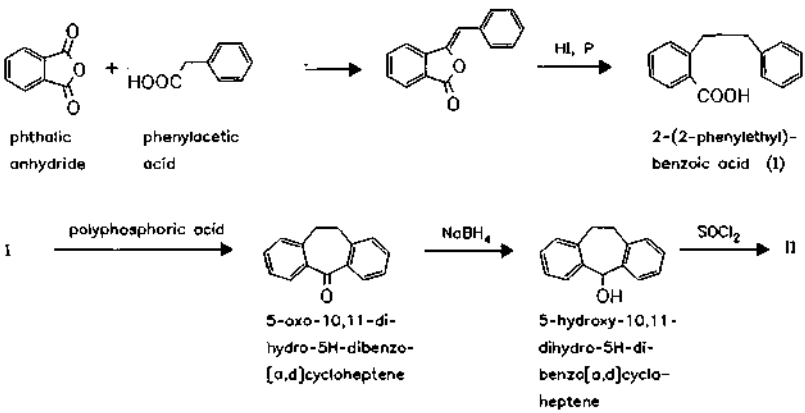
ATC: R06AX16
Use: antihistaminic, anticholinergic

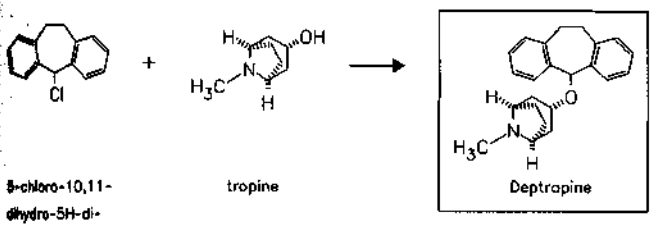
RN: 604-51-3 MF: $C_{23}H_{27}NO$ MW: 333.48 EINECS: 210-069-0
CN: *endo*-3-[(10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-yl)oxy]-8-methyl-8-azabicyclo[3.2.1]octane

citrate (1:1)
RN: 2169-75-7 MF: $C_{23}H_{27}NO \cdot C_6H_8O_7$ MW: 525.60 EINECS: 218-516-1
LD_{50}: 32 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
445 mg/kg (R, p.o.);
75 mg/kg (dog, p.o.)

methobromide
RN: 10139-98-7 MF: $C_{24}H_{30}BrNO$ MW: 428.41
LD_{50}: 1150 µg/kg (M, i.v.); 680 mg/kg (M, p.o.);
1200 µg/kg (R, i.v.); 800 mg/kg (R, p.o.);
71 mg/kg (dog, p.o.)

methiodide
RN: 38146-43-9 MF: $C_{24}H_{30}INO$ MW: 475.41





5-chloro-10,11-dihydro-5H-dibenzo[a,d]cyclo-heptene (II)

tropine

Reference(s):
Stelt, C. van der et al.: J. Med. Pharm. Chem. (JMPCAS) **4**, 335 (1961).

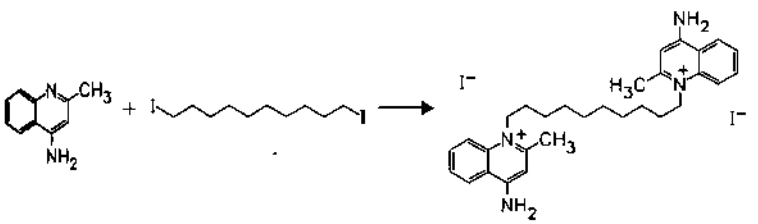
Formulation(s): tabl. 1 mg

Trade Name(s):
GB: Brontina (Brocades); wfm
Brontisol (Brocades)-comb.; wfm
I: Brontin (Formenti)

Dequalinium chloride

ATC: D08AH01; G01AC05; R02AA02
Use: bacteriostatic, antifungal

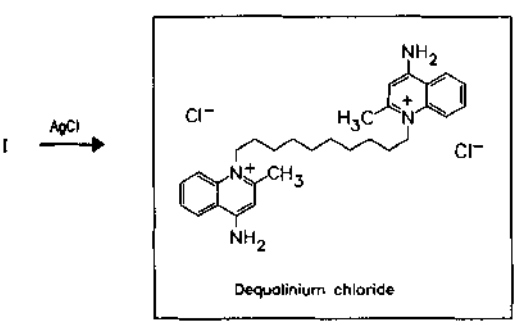
RN: 522-51-0 MF: $C_{30}H_{40}Cl_2N_4$ MW: 527.58 EINECS: 208-330-9
LD_{50}: 1900 µg/kg (M, i.v.)
CN: 1,1'-(1,10-decanediyl)bis[4-amino-2-methylquinolinium] dichloride



4-amino-quinaldine

1,10-diiododecane

dequolinium iodide (I)



Reference(s):
GB 745 956 (Allen & Hanburys; appl. 1953).

Formulation(s): different tabl., creams, sol. and gels

Trade Name(s):

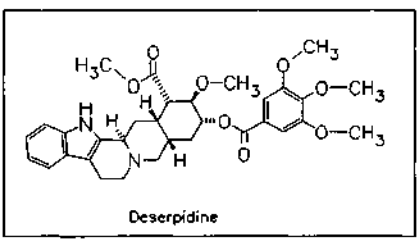
D:	Dequafungan Hautspray (Kreussler) Dequavagyn (Kreussler) Eriosept (Kreussler) Evazol (Ravensberg) Maltyl (Merckle) Optipect (Thiemann) Phylletten (Arznei Müller-Rorer) Soor-Gel (Engelhard) Sorot (Ravensberg) Tonsillol (Merckle)-comb. numerous combination preparations	F:	Humex Fournier Kinaldine (Labs. Urgo)-comb. Oroseptol (SmithKline Beecham)-comb.		Lariquin (Manetti Roberts) Osangin (Antonetto) Rinospray (Midy)-comb. Sterox (Granelli)-comb. Transpulmina gola (Sigurtà)-comb.
		GB:	Labosept (L.A.B.)		
		I:	Aperdan (Tiber)-comb. Decabis (Gazzoni) Dequadin (Eurospital) Dequadin (Importex) Faringina (SIT) Farmocillina (Zyma) Golosan (Lifepharma) Kinogen (Geymonat)-comb.	J:	Almani S (Tanabe)-comb. Dequadin Lozenges (Torii) Honkon-N (Zenyaku)-comb. Neues Troch (Toyo Pharmar) SP Troche (Meiji Seika)

Deserpidine

(Desmethoxyreserpine)

ATC: C02AA05
Use: neuroleptic

RN: 131-01-1 MF: $C_{32}H_{38}N_2O_8$ MW: 578.66 EINECS: 205-004-8
LD_{50}: 500 mg/kg (M, p.o.);
15 mg/kg (R, i.v.)
CN: (3β,16β,17α,18β,20α)-17-methoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]yohimban-16-carboxylic acid methyl ester



a By extraction of *Rauwolfia serpentina* (L.) Beuth. roots, separation of in greater quantity available reserpine as heavy soluble thiocyanate and column chromatographic purification of the mother liquors.
b By extraction of *Rauwolfia canescens, R. hirsuta, R. tetraphylla, R. indecora, R. vomitoria* Afz. or *R. cubana* roots and purification by fractional crystallization and/or column chromatography on Al_2O_3.

Reference(s):
a US 2 887 489 (Ciba; 1959; CH-prior. 1956).
b US 2 982 769 (Ciba; 1961; appl. 1955).

Formulation(s): tabl. 0.25 mg; tabl. 0.25 mg (comb. with 5 mg methyclothiazide)

Trade Name(s):

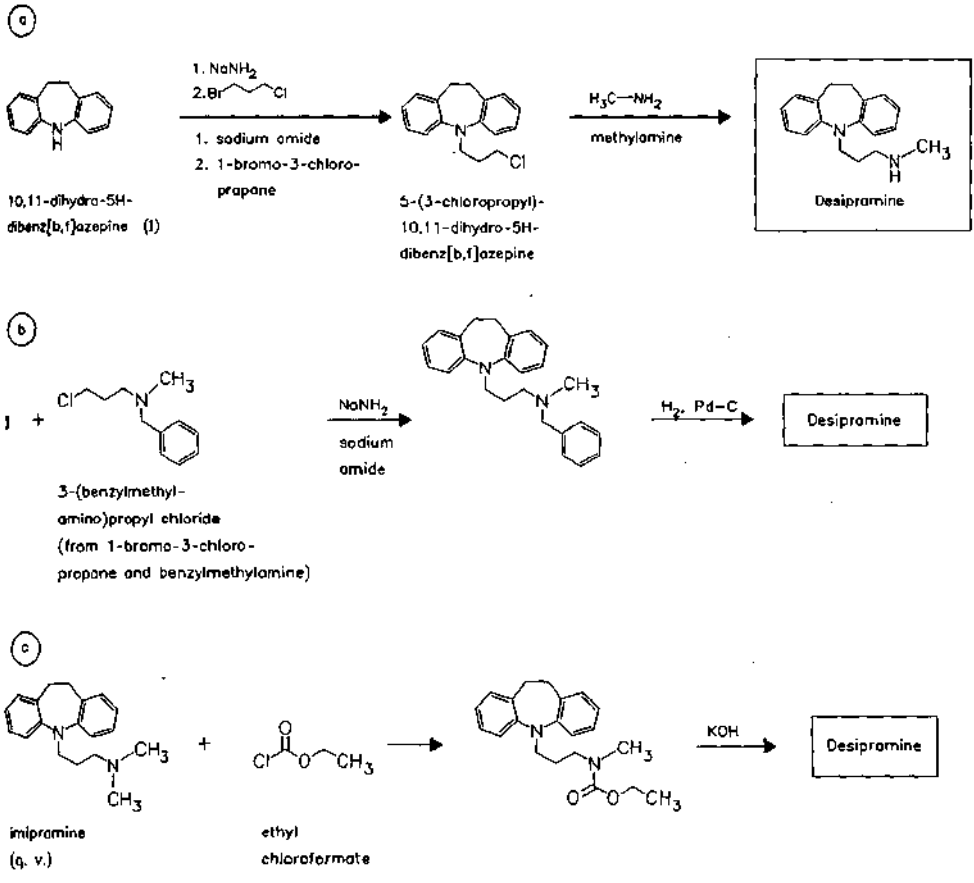
F:	Enduronyl (Abbott)-comb.; wfm		Harmonyl (Abbott); wfm		Oreticyl (Abbott)-comb.; wfm
GB:	Enduronyl (Abbott)-comb.; wfm	USA:	Enduronyl (Abbott)-comb.; wfm Harmonyl (Abbott); wfm		

Desipramine

ATC: N06AA01
Use: antidepressant

RN: 50-47-5 MF: $C_{18}H_{22}N_2$ MW: 266.39 EINECS: 200-040-0
LD_{50}: 22 mg/kg (M, i.v.); 448 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 375 mg/kg (R, p.o.)
CN: 10,11-dihydro-*N*-methyl-5*H*-dibenz[*b*,*f*]azepine-5-propanamine

monohydrochloride
RN: 58-28-6 MF: $C_{18}H_{22}N_2 \cdot HCl$ MW: 302.85 EINECS: 200-373-1
LD_{50}: 37 mg/kg (M, i.v.); 315 mg/kg (M, p.o.);
19 mg/kg (R, i.v.); 871 mg/kg (R, p.o.);
25 mg/kg (dog, i.v.)



Reference(s):
a FR-M 796 (Geigy; appl. 3.9.1960; CH-prior. 4.9.1959).
GB 908 788 (Geigy; appl. 1960; CH-prior. 1959).
DE 1 189 550 (Geigy; appl. 1960; CH-prior. 1959).
b US 3 454 698 (Colgate-Palmolive; 8.7.1969; prior. 25.5.1960).
US 3 454 554 (Colgate-Palmolive; 8.7.1969; prior. 25.5.1960).
c DE 1 288 599 (Geigy; appl. 13.3.1962; CH-prior. 14.3.1961).
DE 1 445 800 (Geigy; appl. 2.3.1962; CH-prior. 3.3.1961).

Formulation(s): drg. 25 mg; tabl. 25 mg

Trade Name(s):

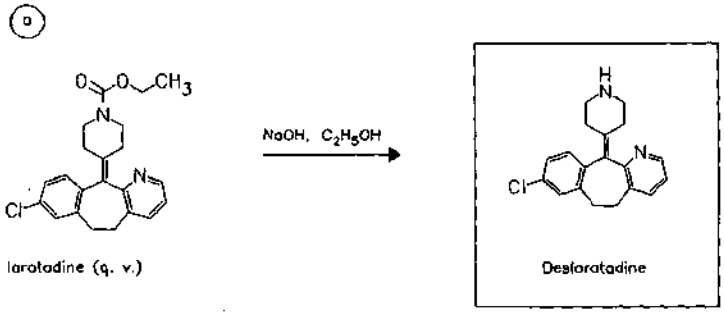
D:	Pertofran (Novartis Pharma) Petylyl (ASTA Medica AWD)	F:	Pertofran (Novartis; as hydrochloride)	J:	Pertofran (Fujisawa)
		GB:	Pertofran (Geigy); wfm	USA:	Norpramin (Hoechst Marion Roussel; as hydrochloride)
		I:	Nortimil (Chiesi)		

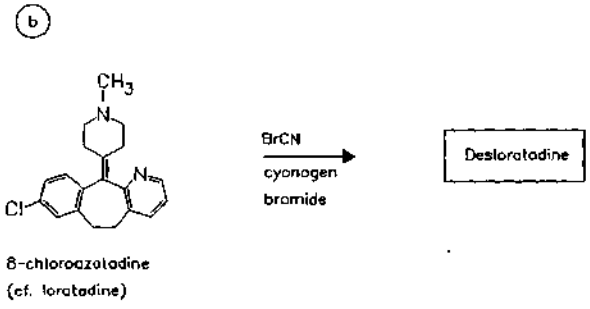
Desloratadine

(Sch-34117)

Use: non-sedating antihistamine
metabolite of loratadine

RN: 100643-71-8 MF: $C_{19}H_{19}ClN_2$ MW: 310.83
CN: 8-Chloro-6,11-dihydro-11-(4-piperidinylidene)-*5H*-benz[5,6]cyclohepta[1,2-*b*]pyridine





Reference(s):
a,b WO 8 503 707 (Schering Corp.; appl. 8.2.1985; USA-prior. 15.2.1984).

polymorphs:
WO 9 901 450 (Schering Corp.; appl. 1.7.1998; USA-prior. 2.7.1997).

eye drops containing loratadine metabolites:
WO 9 848 803 (Schering-Plough K.K.; WO-prior. 25.4.1997).

treatment of allergic rhinitis and asthma with desloratadine:
WO 9 834 611 (Sepracor; appl. 10.2.1998; USA-prior. 11.2.1997).
WO 9 620 708 (Sepracor; appl. 11.12.1995; USA-prior. 30.12.1994).

transdermal dosage system:
DE 4 442 999 (Hexal Pharma; D-prior. 2.12.1994).

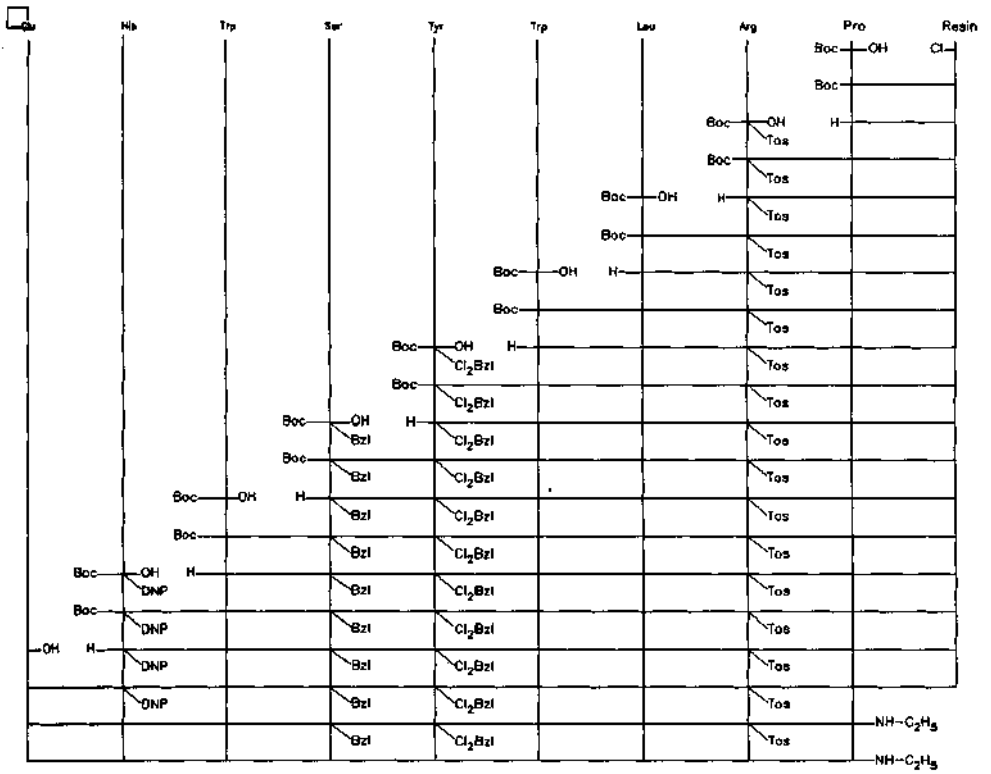
Trade Name(s):
USA: DCL (Schering-Plough; 2000)

Deslorelin

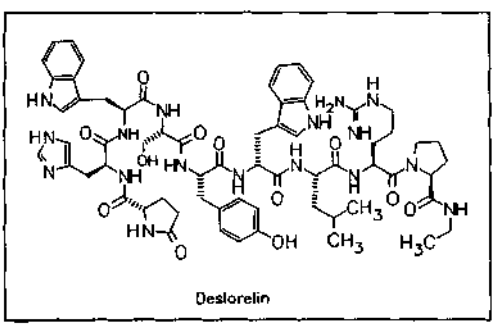
Use: GnRH-agonist (for treatment of precocious puberty)

RN: 57773-65-6 MF: $C_{64}H_{83}N_{17}O_{12}$ MW: 1282.48

CN: 6-D-tryptophan-9-(*N*-ethyl-L-prolinamide)-10-deglycinamide luteinizing hormone-releasing factor (pig)



Tos: Tosyl
Boc: tert-butoxycarbonyl
Cl_2Bzl: 2,4-dichlorobenzyl
Bzl: benzyl
DNP: 2,4-dinitrophenyl



Reference(s):
DOS 2 830 629 (Salk Inst.; appl. 12.7.1978; USA-prior. 14.7.1977, 26.6.1978).
US 4 218 439 (Salk Inst.; 19.8.1980; appl. 26.6.1978; prior. 14.7.1977).

Formulation(s): vial 500 µg

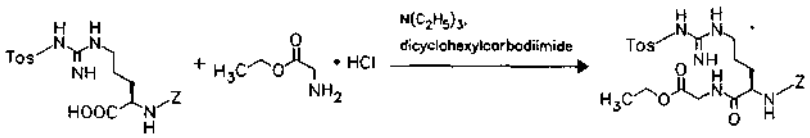
Trade Name(s):
GB: Somagard (Monmouth; 1991); wfm
USA: Somagard (Roberts; 1990); wfm

Desmopressin

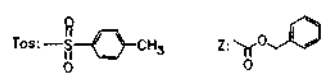
ATC: H01BA02
Use: antidiuretic

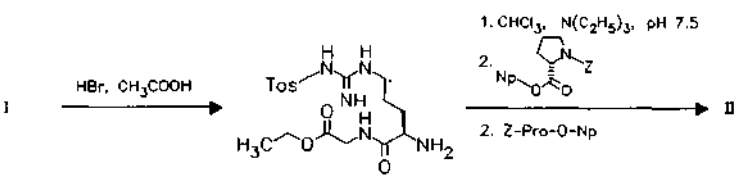
RN: 16679-58-6 MF: $C_{46}H_{64}N_{14}O_{12}S_2$ MW: 1069.24 EINECS: 240-726-7
CN: 1-(3-mercaptopropanoic acid)-8-D-argininevasopressin

acetate (1:2)
RN: 16789-98-3 MF: $C_{46}H_{64}N_{14}O_{12}S_2 \cdot 2C_2H_4O_2$ MW: 1189.34

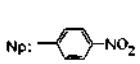


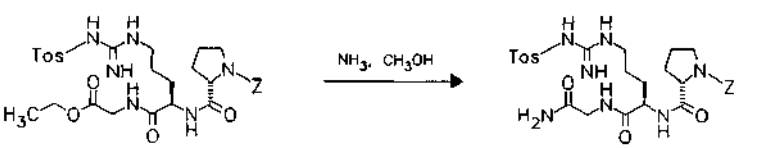
Z-D-Arg(Tos)-OH Gly-OEt · HCl Z-D-Arg(Tos)-Gly-OEt (I)



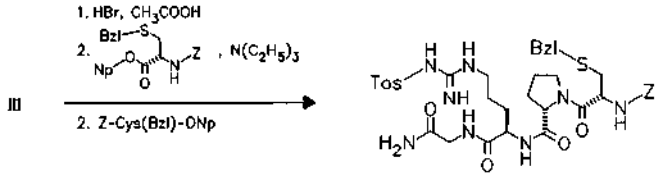


D-Arg(Tos)-Gly-OEt

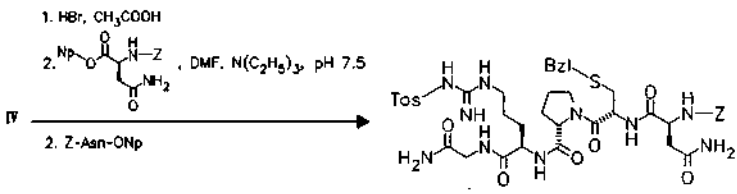




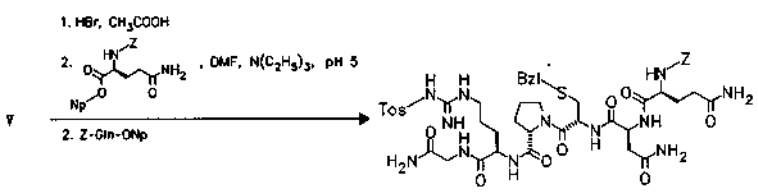
Z-Pro-D-Arg(Tos)-Gly-OEt (II) Z-Pro-D-Arg(Tos)-Gly-NH_2 (III)



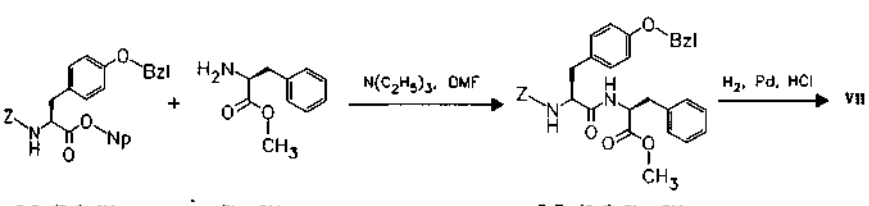
Z-Cys(Bzl)-Pro-D-Arg(Tos)-Gly-NH_2 (IV)



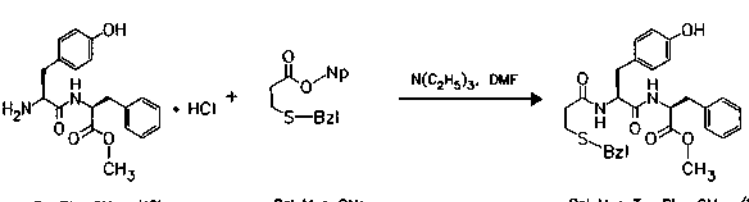
Z-Asn-Cys(Bzl)-Pro-D-Arg(Tos)-Gly-NH_2 (V)



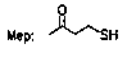
Z-Gln-Asn-Cys(Bzl)-Pro-D-Arg(Tos)-Gly-NH_2 (VI)

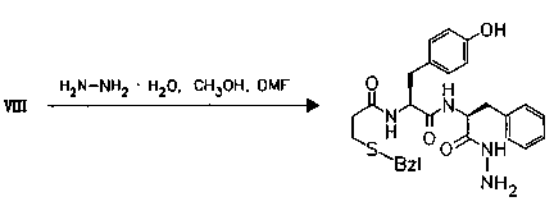


Z-Tyr(Bzl)-ONp Phe-OMe Z-Tyr(Bzl)-Phe-OMe

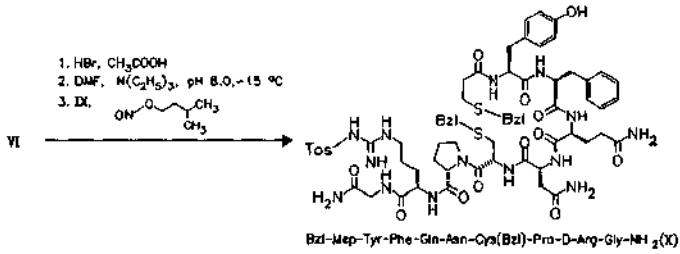


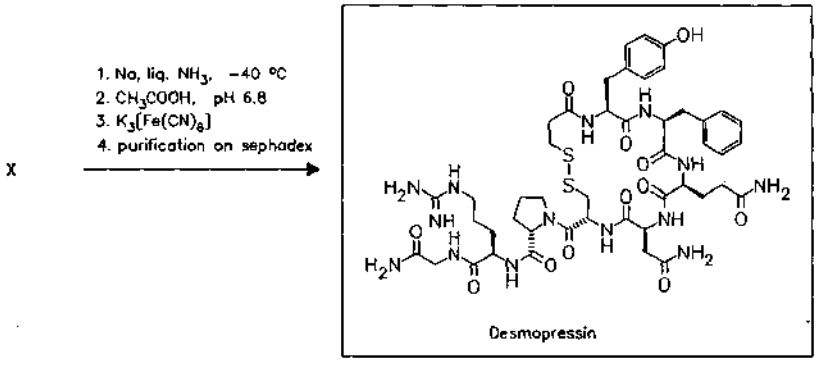
Tyr-Phe-OMe · HCl Bzl-Mep-ONp Bzl-Mep-Tyr-Phe-OMe (VIII)





Bzl-Mep-Tyr-Phe-NH-NH_2 (IX)





Reference(s):
US 3 454 549 (Sandoz; 8.7.1969; CH-prior. 17.7.1964).
US 3 497 491 (Ceskoslovenska Akad.; 24.2.1970; CS-prior. 15.9.1966).
Huguenin, R.L.; Boissonas, R.A.: Helv. Chim. Acta (HCACAV) **49**, 695 (1966).
DOS 2 723 453 (Ferring; appl. 24.5.1977; S-prior. 24.5.1976).
DOS 2 749 932 (Ferring; appl. 8.11.1977; S-prior. 12.11.1976).
GB 1 539 317 (Ferring; appl. 20.5.1977; S-prior. 24.5.1976).
GB 1 539 318 (Ferring; appl. 4.11.1977; S-prior. 12.11.1976).

Formulation(s): amp. 4 µg; doses spray 0.1 mg; tabl. 0.1 mg, 0.2 mg

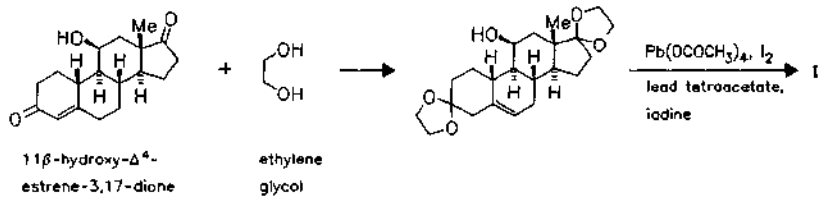
Trade Name(s):

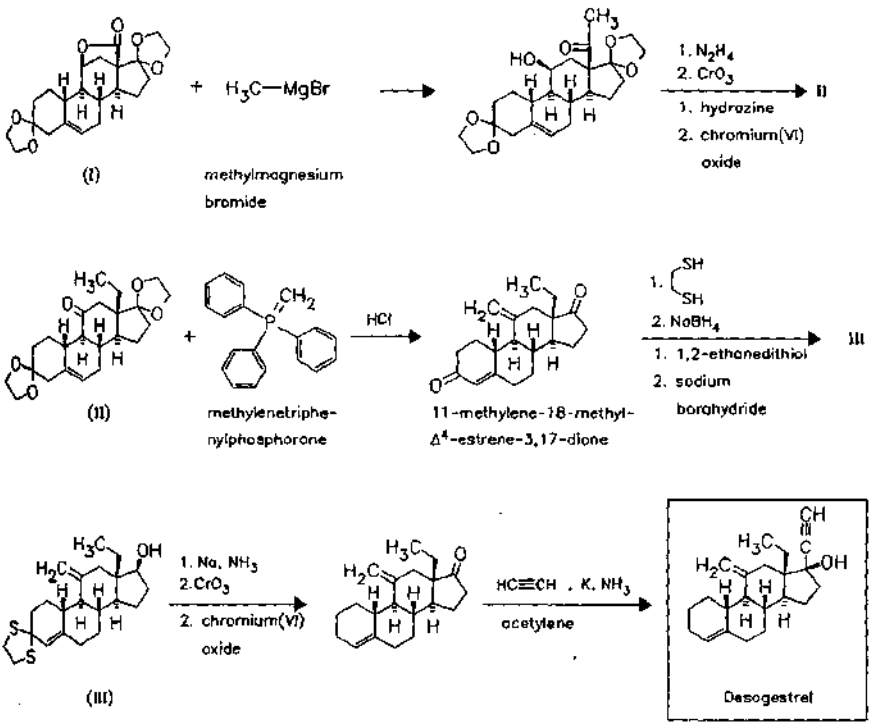
D:	DDAVP (Ferring) Minirin (Ferring)	GB:	DDAVP (Ferring) Minirin (Ferring)	USA:	DDAVP (Rhône-Poulenc Rorer; as acetate)
F:	Minirin (Ferring; as acetate)	J:	Desmopressin (Kyowa Hakko)		

Desogestrel

ATC: G03AA
Use: progestogen, oral contraceptive (in combination with ethinylestradiol)

RN: 54024-22-5 MF: $C_{22}H_{30}O$ MW: 310.48 EINECS: 258-929-4
CN: (17α)-13-ethyl-11-methylene-18,19-dinorpregn-4-en-20-yn-17-ol





Reference(s):
US 3 927 046 (Akzona; 16.12.1975; appl. 3.12.1973; NL-prior. 9.12.1972).
DE 2 361 120 (Organon; appl. 7.12.1973; NL-prior. 9.12.1972; 15.11.1973).
NL 7 411 607 (Akzo; appl. 2.9.1974).
Broek, A.S. van den et al.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **94**, 35 (1975).

Formulation(s): tabl. 150 µg (in combination with ethinylestradiol)

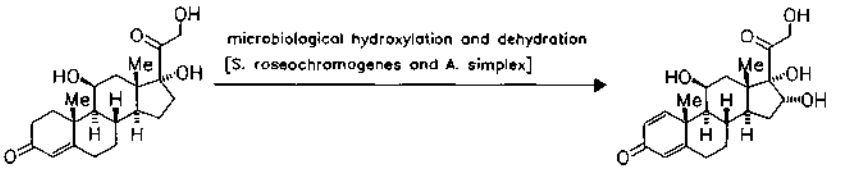
Trade Name(s):

D:	Biviol (Nourypharma)-comb.		Oviol (Nourypharma)-comb.		Mercilon (Organon; 1982)-comb.
	Cyclosa (Nourypharma)-comb.	F:	Cydeane (Monsanto)-comb.	I:	Mercilon (Organon)-comb.
	Cydeane (Monsanto)-comb.		Mercilon (Organon)-comb.		Planum (Menarini)-comb.
	Lovelle (Organon)-comb.		Varnoline (Organon; 1984)-comb.		Practil (Organon)-comb.
	Marvelon (Organon; 1981)-comb.	GB:	Marvelon (Organon)-comb.		Securgin (Menarini)-comb.
				USA:	Desogen (Organon)
					Ortho-Cept (Ortho-McNeil Pharmaceutical)

Desonide
(Prednacinolone)

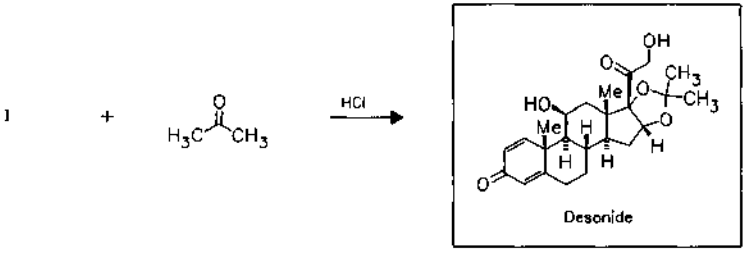
ATC: D07AB08; S01BA11
Use: topical glucocorticoid

RN: 638-94-8 MF: $C_{24}H_{32}O_6$ MW: 416.51 EINECS: 211-351-6
LD_{50}: 3710 mg/kg (M, p.o.)
CN: (11β,16α)-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



hydrocortisone
(q. v.)

16α-hydroxyprednisolone (I)



Reference(s):
US 3 536 586 (Squibb; 27.10.1970; prior. 25.1.1968).
US 2 990 401 (American Cyanamid; 27.6.1961; prior. 18.6.1958, 11.3.1958).
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 4573 (1959).

synthesis of hydrocortisone:
Allen, W.S.; Bernstein, S.: J. Am. Chem. Soc. (JACSAT) **78**, 1909 (1956).
Bernstein, S.: Recent Prog. Horm. Res. (RPHRA6) **14**, 1 (1958).

alternative synthesis:
US 3 549 498 (Squibb; 22.12.1970; prior. 2.4.1968).

Formulation(s): cream 1 mg/g

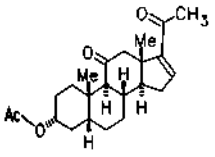
Trade Name(s):

D:	Sterax (Galderma)	I:	Cloressidina (Farmacologico Milanese)		Reticus (Farmila)
F:	Locapred (Pierre Fabre)		Desonix (Usar)-comb.		Reticus Antimicotico (Farmila)-comb.
	Locatrop (Pierre Fabre)		PR 100 (Farmacologico Milanese)	J:	Tridesonit (Miles)
	Tridésonit (Dome-Hollister-Stier)		Prenacid (SIFI)	USA:	Des Owen (Galderma)
GB:	Tridesilon (Lagap); wfm				Tridesilon (Bayer)

Desoximetasone
(Desoximethasone)

ATC: D07AC03; D07XC02
Use: topical glucocorticoid

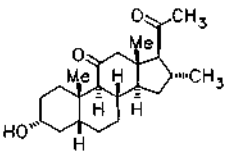
RN: 382-67-2 MF: $C_{22}H_{29}FO_4$ MW: 376.47 EINECS: 206-845-3
CN: (11β,16α)-9-fluoro-11,21-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



3α-acetoxy-16-pregnene-11,20-dione (from deoxycholic acid)

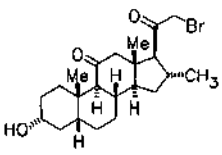
$H_3C—MgBr$, LiBr

methylmagnesium bromide

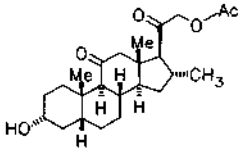


3α-hydroxy-16α-methyl-pregnane-11,20-dione (I)

I —(Br_2, CH_3OH, CH_3COCl)→

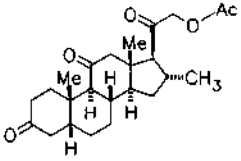


—(NaO—Ac, DMF)→



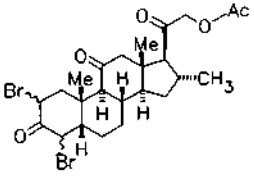
21-acetoxy-3α-hydroxy-16α-methylpregnane-11,20-dione (II)

II —(CrO_3, H_2SO_4)→



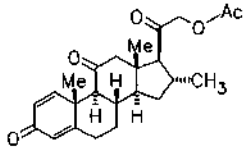
21-acetoxy-16α-methyl-pregnane-3,11,20-trione

—(Br_2, HBr, $CH_3COOC_2H_5$)→

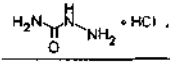


(III)

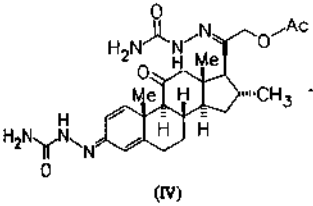
III —(DMF, Li_2CO_3, LiBr)→



21-acetoxy-16α-methyl-pregno-1,4-diene-3,11,20-trione

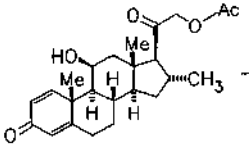
—( , NaHCO3)→ IV

semicarbazide hydrochloride



(IV)

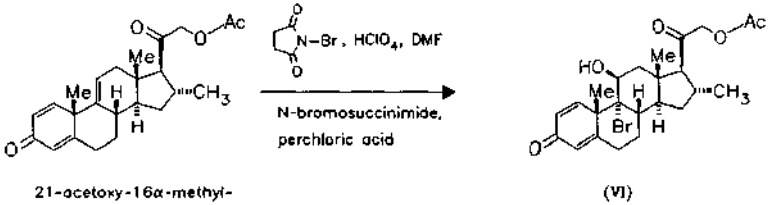
—(1. KBH_4, 2. $CH_3COCOOH$)→



21-acetoxy-11β-hydroxy-16-methyl-1,4-pregnadiene-3,20-dione

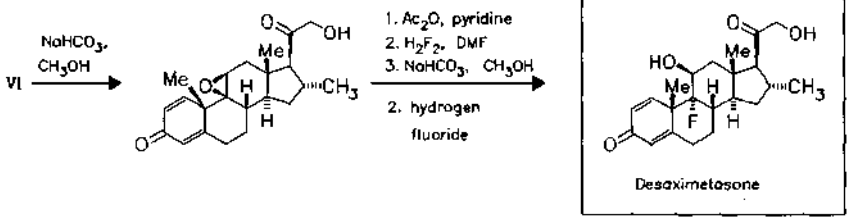
—($H_3C—SO_2—Cl$, DMF, pyridine)→ V

methanesulfonyl chloride



21-acetoxy-16α-methyl-1,4,9(11)-pregnatriene-3,20-dione (V)

(VI)



Reference(s):
US 3 099 654 (Roussel-Uclaf; 30.6.1963; F-prior. 17.8.1960).
DOS 1 159 441 (Roussel-Uclaf; appl. 4.8.1961; F-prior. 17.8.1960).
FR 1 296 544 (Roussel-Uclaf; appl. 17.8.1960).
Joly, R. et al.: Arzneim.-Forsch. (ARZNAD) **24**, 1 (1974).

synthesis of 21-acetoxy-11β-hydroxy-16α-methyl-1,4-pregnadien-3,20-dione:
DOS 1 205 096 (Roussel-Uclaf; appl. 12.5.1961; F-prior. 14.5.1960, 16.5.1960).

alternative synthesis:
BE 614 196 (Schering AG; appl. 21.2.1962; D-prior. 22.2.1961).
US 3 232 839 (Schering AG; 1.2.1966; D-prior. 22.2.1961, 27.6.1963).

Formulation(s): cream 0.25 %, 0.05 %; lotion 0.25 %; ointment 0.35 %

Trade Name(s):

D:	Topisolon (Hoechst)		Topifram (Roussel Diamant)-comb.	USA:	Topicort (Hoechst Marion Roussel)
	Topisolon (Hoechst)-comb.				
F:	Topicorte (Roussel Diamant)	GB:	Stiedex LP (Stiefel)		
		I:	Flubason (Hoechst)		

Desoxycortone acetate

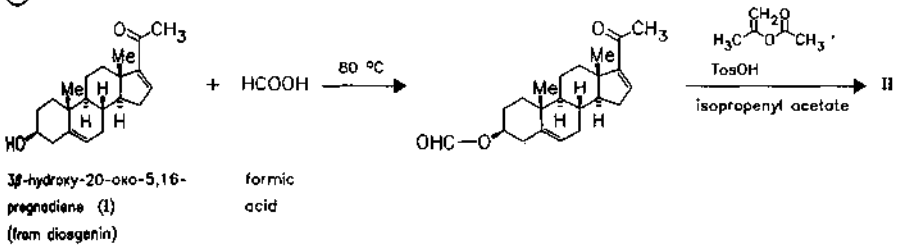
(Deoxycorticosterone acetate; Deoxycortone acetate)

ATC: H02AA03
Use: mineralocorticoid

RN: 56-47-3 MF: $C_{23}H_{32}O_4$ MW: 372.51 EINECS: 200-275-9
CN: 21-(acetyloxy)pregn-4-ene-3,20-dione

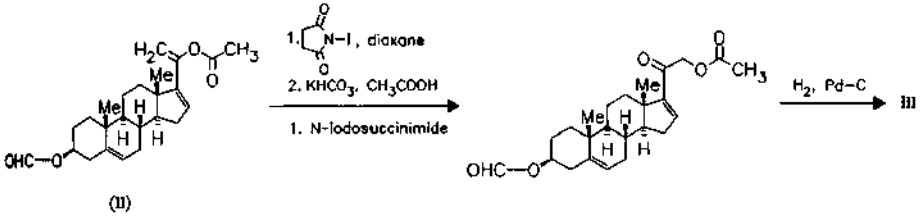
desoxycortone
RN: 64-85-7 MF: $C_{21}H_{30}O_3$ MW: 330.47 EINECS: 200-596-4
LD_{50}: 1 g/kg (M, route unreported)

a)

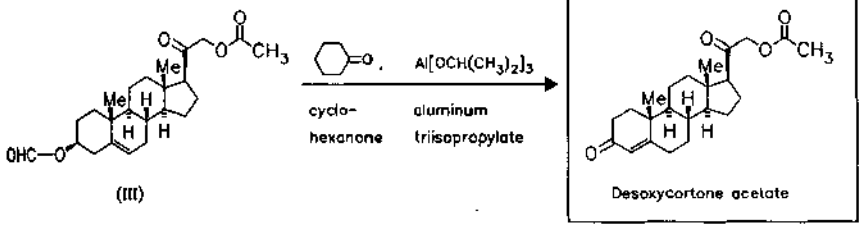


3β-hydroxy-20-oxo-5,16-pregnadiene (I) (from diosgenin)

formic acid



(II)

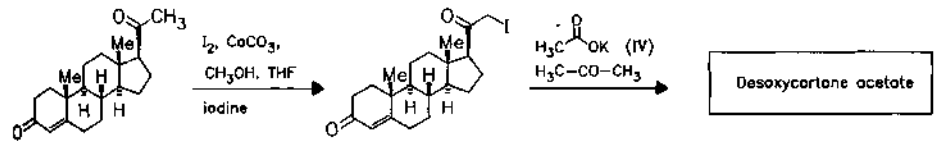


(III)

cyclohexanone

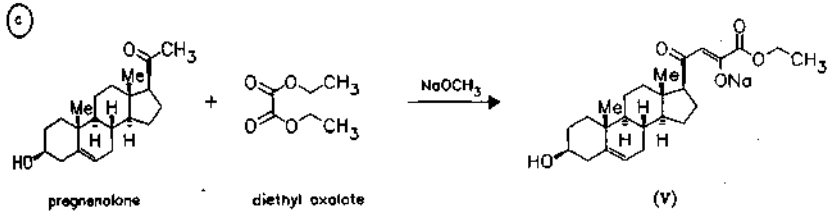
aluminum triisopropylate

b)



progesterone

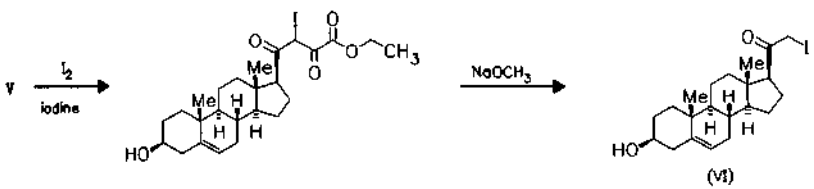
c)



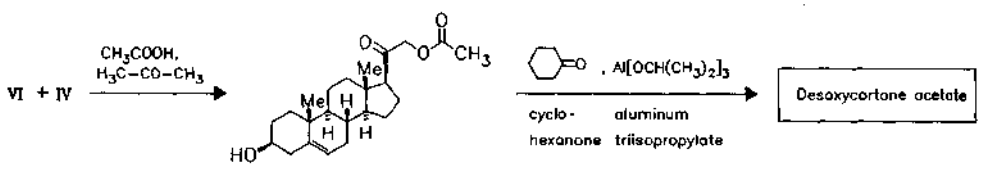
pregnenolone

diethyl oxalate

(V)



(VI)



Reference(s):

a Sondheimer, F. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 5034 (1957).
synthesis of I:
Wall, M.E.: J. Am. Chem. Soc. (JACSAT) **77**, 5665 (1955).
b Ringold, H.J.; Stork, G.: J. Am. Chem. Soc. (JACSAT) **80**, 250 (1958).
c Ruschig, H.: Angew. Chem. (ANCEAD) **60**, 247 (1948).
Ruschig, H.: Chem. Ber. (CHBEAM) **88**, 878 (1955).

alternative syntheses:

DE 871 153 (Hoechst; appl. 1937).
DE 875 353 (Schering AG; appl. 1938).
US 2 312 480 (Roche-Organon; 1943, CH-prior. 1937).
US 2 409 043 (Schering Corp.; 1946, D-prior. 1939).
US 2 470 903 (W. C. Ross; 1949; GB-prior. 1945).
Serini, A. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **72**, 391 (1939).
Wilds, A.L.; Shunk, C.H.: J. Am. Chem. Soc. (JACSAT) **70**, 2427 (1948).

review:

Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 52.

Formulation(s): amp. 10 mg/ml

Trade Name(s):

D:	Docabolin (Nourypharma; as phenylpropionate-comb.); wfm	GB:	Percorten M Crystals (Ciba; as pivalate); wfm		Sinsurrene (Parke Davis)-comb.; wfm
F:	Syncortyl (Roussel Diamant)	I:	Cortiron (Schering); wfm	J:	Syncorta (Takeda)
			Neodin (Lusofarmaco); wfm	USA:	Doca Acetate (Organon); wfm
					Percorten (Ciba); wfm

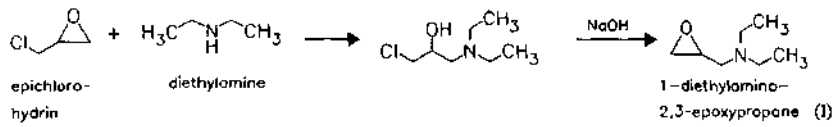
Detajmium bitartrate

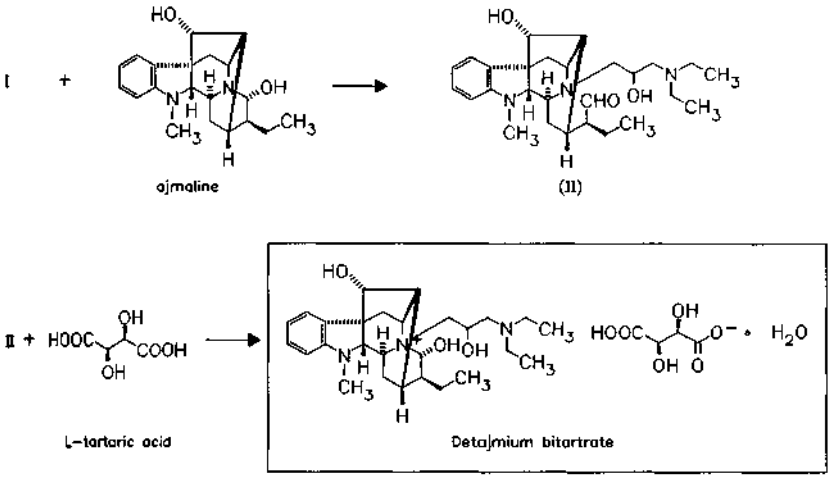
ATC: C01B
Use: antiarrhythmic

RN: 53862-81-0 MF: $C_{27}H_{42}N_3O_3 \cdot C_4H_6O_6 \cdot H_2O$ MW: 624.75
LD_{50}: 6000 µg/kg (R, i.v.)
CN: (17*R*,21α)-4-[3-(diethylamino)-2-hydroxypropyl]-17,21-dihydroxyajmalanium salt with [*R*(*R**,*R**)]-2,3-dihydroxybutanedioic acid (1:1) monohydrate

tartrate (1:1)

RN: 33774-52-6 MF: $C_{27}H_{42}N_3O_3 \cdot C_4H_6O_6$ MW: 606.74
LD_{50}: 10 mg/kg (R, i.v.); 290 mg/kg (R, p.o.)





Reference(s):
DE 2 025 286 (VEB Arzneimittelwerk Dresden; appl. 23.5.1970; DDR-prior. 28.7.1969).
GB 1 244 597 (VEB Arzneimittelwerk Dresden; appl. 5.7.1970; DDR-prior. 28.7.1969).

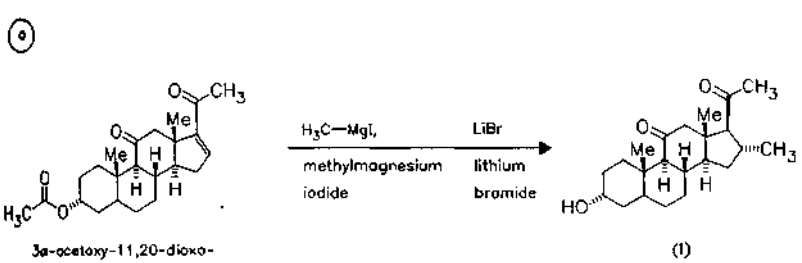
Formulation(s): sugar coated tabl. 25 mg

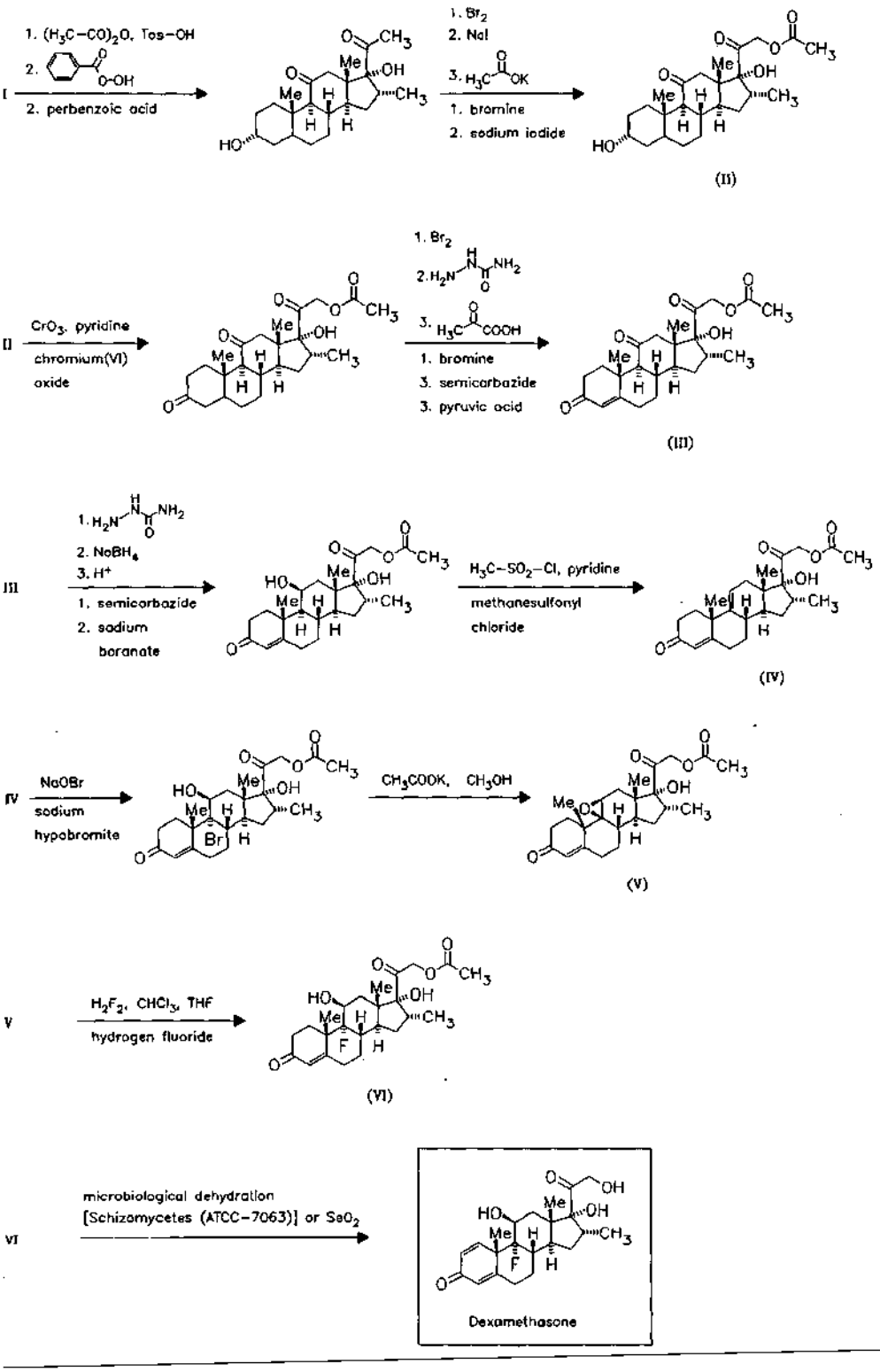
Trade Name(s):
D: Tachmalcor (ASTA Medica AWD)

Dexamethasone
(Dexametasone)

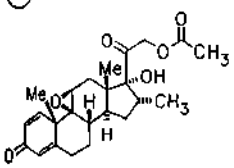
ATC: A01AC02; C05AA09; D07AB19; D07XB05; D10AA03; H02AB02; R01AD03; S01BA01; S01CB01; S02BA06; S03BA01
Use: glucocorticoid

RN: 50-02-2 MF: $C_{22}H_{29}FO_5$ MW: 392.47 EINECS: 200-003-9
LD_{50}: >3 g/kg (R, p.o.)
CN: (11β,16α)-9-fluoro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione




I
1. (H3C–CO)2O, Tos–OH
2.
O-OH
2. perbenzoic acid
1. Br2
2. NaI
3. H3C–COOK
1. bromine
2. sodium iodide
(II)
II
CrO3, pyridine
chromium(VI) oxide
1. Br2
2. H2N–NH–CO–NH2
3. H3C–COOH
1. bromine
3. semicarbazide
3. pyruvic acid
(III)
III
1. H2N–NH–CO–NH2
2. NoBH4
3. H+
1. semicarbazide
2. sodium boranate
H3C–SO2–Cl, pyridine
methanesulfonyl chloride
(IV)
IV
NaOBr
sodium hypobromite
CH3COOK, CH3OH
(V)
V
H2F2, CHCl3, THF
hydrogen fluoride
(VI)
VI
microbiological dehydration
[Schizomycetes (ATCC–7063)] or SeO2
Dexamethasone

(b)



H_2F_2, DMF →

Dexamethasone

21-acetoxy-3,20-dioxo-9β,11β-epoxy-17α-hydroxy-16α-methyl-1,4-pregnadiene (VII) (from 11-oxo-16-dehydroprogesterone)

Reference(s):

a Arth, G.E. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 3160 (1958).
DE 1 113 690 (Merck & Co.; appl. 22.2.1958; USA-prior. 27.2.1957).
Applezweig, N.: Steroid Drugs, Vol. **1**, 72 (New York, Toronto, London 1962).

b Oliveto, E.P. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4431 (1958).
US 2 852 511 (Olin Mathieson; 1958; prior. 1953).
US 3 007 923 (Lab. Franç. de Chimiothérapie, 7.11.1961; appl. 12.1.1960; F-prior. 22.1.1959).
Applezweig, N.: Steroid Drugs, Vol. **1**, 74 (New York, Toronto, London 1962).

synthesis from tigogenin:
Ohta, T. et al.: Org. Process Res. Dev. (OPRDFK) **1**, 420 (1997).

synthesis of VII:
Oliveto, E.P. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4431 (1958).
Marker, R.E.; Crooks, H.: J. Am. Chem. Soc. (JACSAT) **64**, 1280 (1942).
GB 869 511 (Upjohn; appl. 24.4.1959; USA-prior. 26.5.1958).

alternative synthesis:
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

review:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 57.

Formulation(s): aerosol 0.075 mg/per pump; amp. 5 mg/ml; eye drops 1 mg/ml; f. c. tabl. 0.5 mg, 0.75 mg, 1.5 mg; ointment 0.1 %; sol. 0.03 %; suppos. 2.2 mg

Trade Name(s):

D:
afpred forte Dexa (Hefa-Frenon)
Anemul (Medopharm)
Baycuten (Bayropharm; as acetate)-comb.
Chibro-Cadou (Chibret)
Cortidexason Crinale, Salbe (Dermapharm)-comb.
Cortisumman (Dr. Winzer)
Corto-Tavegil (Novartis Pharma)-comb.
Dexa-Allvoran (TAD)
Dexa Biciron (Alcon)-comb.
Dexagel (Mann)
Dexa Loscon (Galderma)
Dexamonozon (Medice)
Dexamytex (Mann)-comb.
Dexa-Philogout (Azupharma)
Dexa Polyspectral (Alcon)
Dexa-Rhinospray (Boehringer Ing.)
Dexa-sine (Thilo)
Duodexa N Salbe (Kade)
Fortecortin (Merck)
Isopto-Dex (Alcon)-comb.
Lipotalan (Merckle)
Localison (Dorsch)-comb.
Millicorten (Novartis Pharma)-comb.
Nystalocal (Nourypharma)-comb.
Otobacid (Asche)-comb.
Predni (Sanirania)
Predni-F-Tablinen (Sanorania)
Predni-F-Tablinen (Sanorania)
Sokaral (Pharma-Allergan)
Solutio Cordes (Ichthyol)
Spersadex (CIBA Vision)
Tuttozem (Strathmann)
Tuttozem N (Mayo)
various combination preparations and generics

F:
Décadron (Merck Sharp & Dohme-Chibret)
Dectancyl (Rousse)
Diamant; as acetate)
Maxidex (Alcon)
numerous combination preparations

GB:
Decadron (Merck Sharp & Dohme)
Maxidex (Alcon)-comb.

Maxitrol (Alcon)-comb.
Otomize (Stafford-Miller)
Sofradex (Florizel)-comb.

I: Antimicotico liquido/pomata (IFI)-comb.
Aurizone (SIFI)-comb.
Decadron (Merck Sharp & Dohme)
Desalark (Farmacologico Milanese)
Desamix-neomicina (Savoma)-comb.
Deseronil (Sca)
Fluorobioptal (Farmila)-comb.
Lasoproct (Bayer)-comb.
Luxazone (Allergan)
Nasicortin (Bracco)-comb.
Neocortoten (Ripari-Gero)-comb.
Rinedrone (Deca)-comb.
Tobradere (Alcon)-comb.
Visumetazone (Merck Sharp & Dohme)

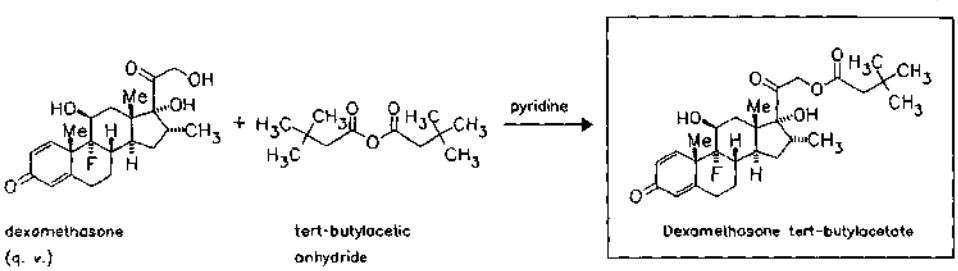
J: various combination preparations
Alpermell (Nippon Shinyaku)
Amumetazon (Choseido)
Aphtasolon (Showa Yakka)
Bisno-DS (Ohta)
Carulon (Yamanouchi)
Corson (Takeda)
Dab M (Zenyaku)
Decaderm (Banyu)
Decadron (Banyu)
Dectan (Nippon Roussel-Chugai)
Dekisachosei (Choseido)
Delenar (Schering-Shionogi)-comb.
Dersene (Ikeda)
Dethamedin (Ohta)
Dexa A (Shinsei Sawai)
Dexaltin (Nippon Kayaku)
Dexamamalet (Showa)
Dexame (Dojin)
Dexasone (Hokuriku)
Eurason D (Ciba-Geigy)
Metasolon (Shionogi)
Mitasone (Toyo Pharmar)
Orgadron (Organon-Sankyo)
Rheumadex (Nakataki)-comb.
Rheumatol (Sankyo)-comb.
Santeson (Santa)
Sawasone (Sawai)
Sunia-D Comp. (Zeria Shinyaku)

USA: Dalalone (Forest; as acetate)
Decadron (Merck)
Decadron (Merck; as acetate)
Decaspray (Merck)
TobraDex (Alcon)
several combination preparations and generics

Dexamethasone *tert*-butylacetate

ATC: A01AC; D07AB; R01AD
Use: glucocorticoid

RN: 24668-75-5 MF: $C_{28}H_{39}FO_6$ MW: 490.61 EINECS: 246-389-2
CN: (11β,16α)-21-(3,3-dimethyl-1-oxobutoxy)-9-fluoro-11,17-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



Reference(s):
DOS 2 317 954 (Jelen. Zaklady Farm. Polfa; appl. 10.4.1973; PL-prior. 21.4.1972).

aerosol:
US 3 282 781 (Merck & Co. 1.11.1966; prior. 25.11.1960).

Formulation(s): nasal drops 0.2 mg

Trade Name(s):

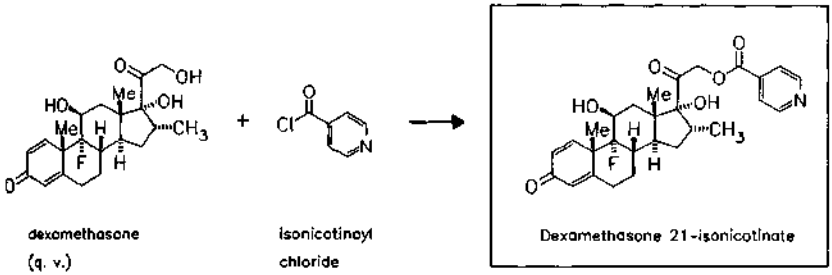
D: Dissiden (Allegopharma)-comb.; wfm
Nasicortin (Merck)-comb.; wfm

USA: Decadron T.B.A. (Merck Sharp & Dohme); wfm

Dexamethasone 21-isonicotinate

ATC: D07AB; S01BA
Use: glucocorticoid

RN: 2265-64-7 MF: $C_{28}H_{32}FNO_6$ MW: 497.56 EINECS: 218-866-5
LD_{50}: 3470 mg/kg (M, p.o.);
3562 mg/kg (R, p.o.)
CN: (11β,16α)-9-fluoro-11,17-dihydroxy-16-methyl-21-[(4-pyridinylcarbonyl)oxy]pregna-1,4-diene-3,20-dione



dexamethasone (q. v.) + isonicotinoyl chloride → Dexamethasone 21-isonicotinate

Reference(s):
ZA 623 489 (Thomae; appl. 1.8.1962; D-prior. 19.8.1961).

Formulation(s): aerosol 0.125 mg/puff, 0.02 mg; eye drops 0.25 mg/ml; sol. 0.025 %

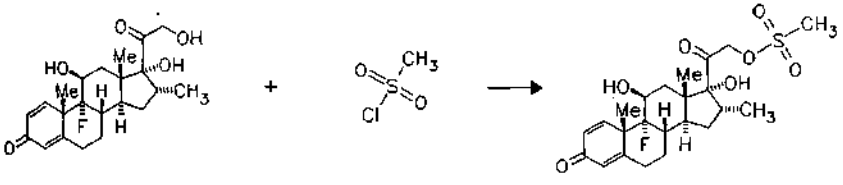
Trade Name(s):

D:	Auxiloson (Boehringer Ing.) Corti Biciron (S & K Pharma)-comb.	Dexa Biciron (Alcon)-comb. Dexa Loscon (Galderma) Dexa-Rhinospray (Boehringer Ing.)-comb.	F:	Auxisone (Boehringer Ing.)
			GB:	Dexa-Rhinaspray (Boehringer Ing.)-comb.
			I:	Desalfa (Intes)-comb.

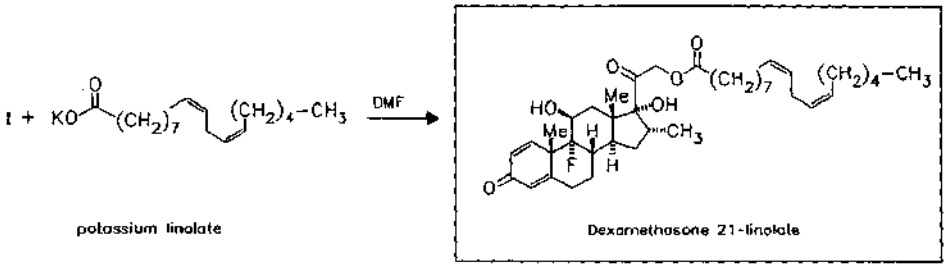
Dexamethasone 21-linolate

ATC: D07AB
Use: glucocorticoid

RN: 39026-39-6 MF: $C_{40}H_{59}FO_6$ MW: 654.90 EINECS: 254-254-4
CN: [11β,16α,21-(Z,Z)]-9-fluoro-11,17-dihydroxy-16-methyl-21-[(1-oxo-9,12-octadecadienyl)oxy]-pregna-1,4-diene-3,20-dione



dexamethasone (q. v.) + methanesulfonyl chloride → (I)



Reference(s):
GB 1 292 785 (ISF; valid from 19.4.1971; I-prior. 17.10.1970).

Formulation(s): cream 0.2 %; lotion 0.15 %

Trade Name(s):

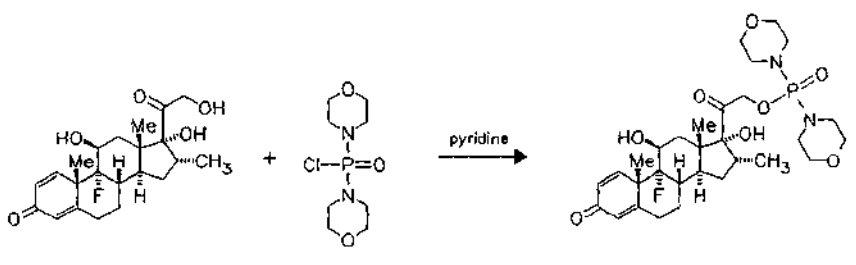
I:	Kanaderm 200 (Firma)-comb. with kanamycine Situalin (Puropharma)	Situalin Antibiotico (Puropharma)-comb. with bekanamycine

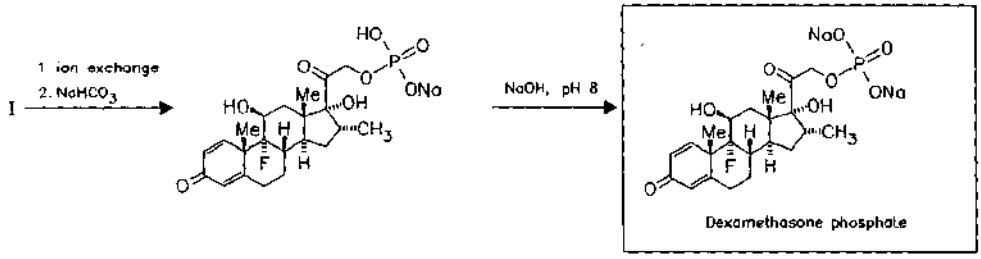
Dexamethasone phosphate

ATC: H02AB; S01BA; S03BA; D07AB
Use: glucocorticoid

RN: 312-93-6 MF: $C_{22}H_{30}FO_8P$ MW: 472.45 EINECS: 206-232-0
CN: (11β,16α)-9-fluoro-11,17-dihydroxy-16-methyl-21-(phosphonooxy)pregna-1,4-diene-3,20-dione

disodium salt
RN: 2392-39-4 MF: $C_{22}H_{28}FNa_2O_8P$ MW: 516.41 EINECS: 219-243-0
LD_{50}: 1800 mg/kg (M, p.o.)





Reference(s):
DE 1 134 075 (Merck AG, appl. 26.11.1959).

alternative synthesis:
US 2 939 873 (Merck & Co.; 7.6.1960; appl. 26.1.1959; prior. 20.11.1957).
Jrmscher, K.: Chem. Ind. (London) (CHINAG) **1961**, 1035.

Formulation(s): amp. 5 mg/ml, 48 mg/2 ml, 20 mg/5 ml, 8 mg/2 ml, 120 mg/5 ml; eye drops 1.1 mg/ml; ointment 0.2 %

Trade Name(s):

D: Dexabene (Merckle)
Dexa-Brachialin (Steigerwald)
Dexa-Effekton (Brenner-Efeka)
Spersadexolin (Dispersa)-comb.
Totocortin (Winzer)
various combination preparations and generics

F: Cébédex (Chauvin; as disodium salt)
Cébédexacol (Chauvin; as disodium salt)-comb.
Chibro-Cardon (Merck Sharp & Dohme-Chibret; as monosodium salt)-comb.
Corticétine (Chauvin; as monosodium salt)-comb.
Dexagrane (Leurquin; as monosodium salt)
Frakidex (Chauvin; as monosodium salt)-comb.
Soludécadron (Merck Sharp & Dohme-Chibret; as monosodium salt)

I: Decadron Fosfato (Merck Sharp & Dohme)
Desalark (Farm. Mil.)
Eta-Biocortilen (SIFI)-comb. with neomycine
Eta-Cortilen (SIFI)
Kanazone (SIT)-comb. with kanamycine
Soldesam (Farm. Mil.)

J: Corson (Takeda)
Decadron (Banyu)
Donray (Kodama)
Orgadrone (Sankyo)
Solcort (Fuji)
Teikason (Teika)

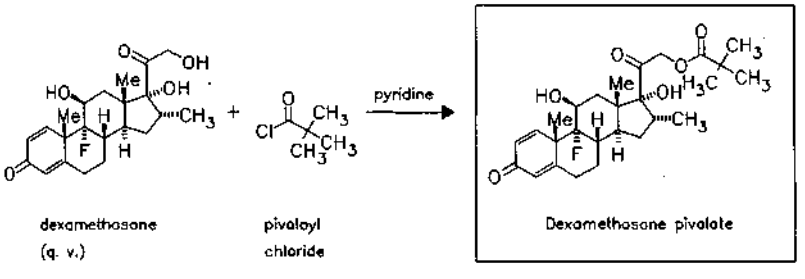
USA: Decadron Phosphate (Merck)
Neo-Decadron Phosphate (Merck)-comb.

Dexamethasone pivalate

(Dexamethasone trimethylacetate)

ATC: D07AB
Use: glucocorticoid

RN: 1926-94-9 MF: $C_{27}H_{37}FO_6$ MW: 476.59 EINECS: 217-659-7
CN: (11β,16α)-21-(2,2-dimethyl-1-oxopropoxy)-9-fluoro-11,17-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



Reference(s):
US 3 033 881 (Ciba; 8.5.1962; CH-prior. 4.7.1958).
CH 398 585 (Ciba; appl. 1956)

alternative syntheses:
ES 320 497 (Lab. M. Cuatrecasas; appl. 30.11.1965).
DOS 2 317 954 (Jelen. Zaklady Farm. Polfa; appl. 10.4.1973; PL-prior. 21.4.1972).

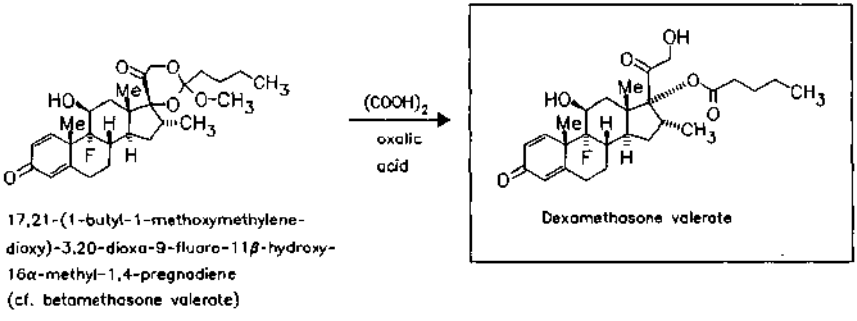
Formulation(s): ointment 0.02 %

Trade Name(s):
D: Millicorten-Vioform (Ciba)

Dexamethasone valerate

ATC: D07AB
Use: glucocorticoid

RN: 33755-46-3 MF: $C_{27}H_{37}FO_6$ MW: 476.59 EINECS: 251-669-2
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (11β,16α)-9-fluoro-11,21-dihydroxy-16-methyl-17-[(1-oxopentyl)oxy]pregna-1,4-diene-3,20-dione



17,21-(1-butyl-1-methoxymethylene-dioxy)-3,20-dioxo-9-fluoro-11β-hydroxy-16α-methyl-1,4-pregnadiene
(cf. betamethasone valerate)

Reference(s):
DOS 2 111 114 (Inst. Luso Farmaco; appl. 9.3.1971; I-prior. 14.3.1970).

alternative syntheses:
DOS 2 055 221 (Lab. Chim. Farm. Blasina; appl. 10.11.1970).

Formulation(s): cream 0.1 %

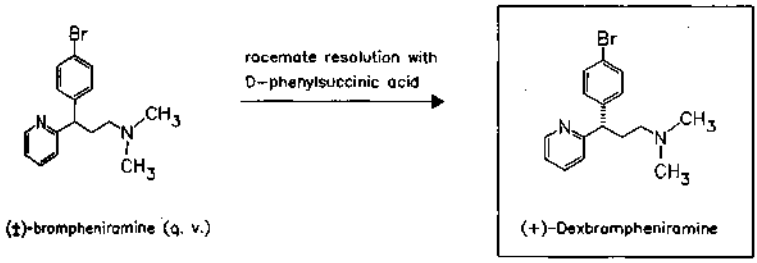
Trade Name(s):
I: Dermadex (SmithKline Beecham)
J: Voalla (Maruho)
Zaluks (Hokuriku)

Dexbrompheniramine

ATC: R06AB06
Use: antihistaminic

RN: 132-21-8 MF: $C_{16}H_{19}BrN_2$ MW: 319.25 EINECS: 205-053-5
CN: (*S*)-γ-(4-bromophenyl)-*N*,*N*-dimethyl-2-pyridinepropanamine

maleate (1:1)
RN: 2391-03-9 MF: $C_{16}H_{19}BrN_2 \cdot C_4H_4O_4$ MW: 435.32 EINECS: 219-236-2
LD_{50}: 25 mg/kg (M, i.v.); 176 mg/kg (M, p.o.);
191 mg/kg (R, p.o.)



Reference(s):
US 3 030 371 (L. A. Walter; 17.4.1962; appl. 1958).
US 3 061 517 (Schering Corp.; 30.10.1962; prior. 16.2.1962).

Formulation(s): tabl. 2 mg

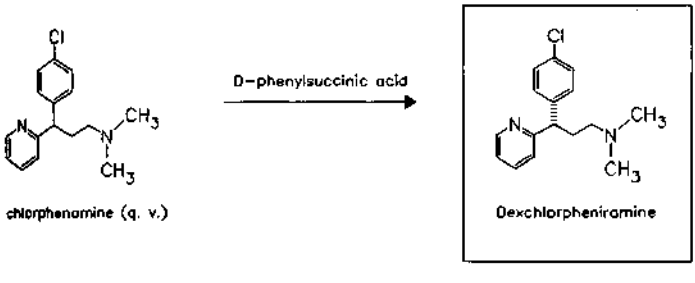
Trade Name(s):
USA: Disobrom (Geneva)

Dexchlorpheniramine

ATC: R06AB02
Use: antihistaminic

RN: 25523-97-1 MF: $C_{16}H_{19}ClN_2$ MW: 274.80 EINECS: 247-073-7
CN: (*S*)-γ-(4-chlorophenyl)-*N*,*N*-dimethyl-2-pyridinepropanamine

maleate (1:1)
RN: 2438-32-6 MF: $C_{16}H_{19}ClN_2 \cdot C_4H_4O_4$ MW: 390.87 EINECS: 219-450-6
LD_{50}: 28 mg/kg (M, i.v.); 189 mg/kg (M, p.o.);
267 mg/kg (R, p.o.)



Reference(s):
GB 834 984 (Scherico; appl. 4.7.1958; USA-prior. 4.3.1958).
US 3 030 371 (L. H. Walter; 17.4.1962; appl. 4.3.1958).
US 3 061 517 (Schering Corp.; 30.10.1962; prior. 16.2.1962).

Formulation(s): drg. 6 mg; tabl. 2 mg

Trade Name(s):
D: Celestamine (Essex)-comb. Polaronil (Byk Essex)
F: Celestamine (Schering-Plough; as meleate)
I: Polaramin (Schering-Plough)
J: Polaramine (Schering-Shionogi)
USA: Baylarmine (Bay); wfm

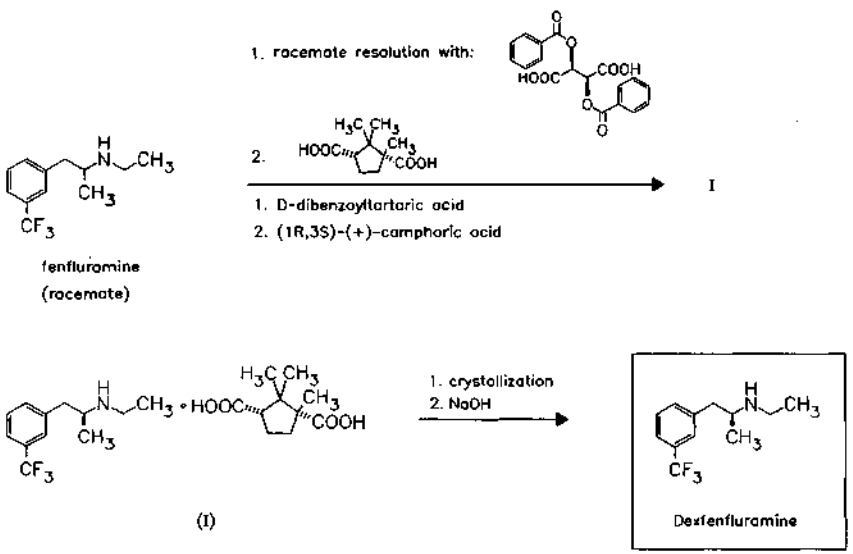
Dexchlor Repeat Action (Schein); wfm | Poladex (T.D.); wfm | Polaramine (Schering); wfm

Dexfenfluramine

ATC: A08AA04
Use: antiobesity, S-enantiomer of fenfluramine

RN: 3239-44-9 MF: $C_{12}H_{16}F_3N$ MW: 231.26
LD_{50}: 115 mg/kg (R, p.o.)
CN: (*S*)-*N*-ethyl-α-methyl-3-(trifluoromethyl)benzeneethanamine

hydrochloride
RN: 3239-45-0 MF: $C_{12}H_{16}F_3N \cdot HCl$ MW: 267.72 EINECS: 221-806-0



Reference(s):
DE 1 293 774 (Sience-Union et Cie., Soc. Franç. de Recherche Médicale; appl. 22.6.1965; USA-prior. 27.7.1964).
GB 1 078 186 (Sience-Union et Cie., Soc. Franç. de Recherche Médicale; appl. 16.6.1965; USA-prior. 27.7.1964).

medical use as antidepressant:
EP 2 531 146 (R. J. Wurtman et al.; USA-prior. 16.6.1987).

medical use for intermittent carbohydrate craving:
EP 53 175 (J. R.Wurtman et al.; appl. 15.6.1981; USA-prior. 16.6.1980).

Formulation(s): cps. 15 mg

Trade Name(s):

D:	Isomeride (as hydrochloride); wfm	GB:	Adifax (Servier; 1990 as hydrochloride); wfm		Isomeride (Servier; 1990 as hydrochloride)
F:	Isomeride (Ardix; as hydrochloride); wfm	I:	Glypolix (Servier; as hydrochloride)	USA:	Redux (Wyeth-Ayerst)

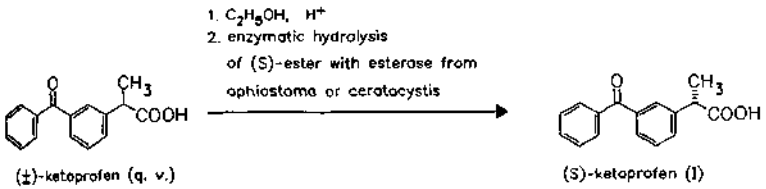
Dexketoprofen trometamol

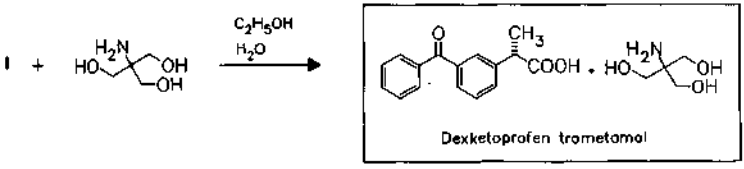
((*S*)-(+)-Ketoprofen; LM-1158 as acid)

ATC: M01AE17
Use: analgesic, anti-inflammatory

RN: 156604-79-4 MF: $C_{16}H_{14}O_3 \cdot C_4H_{11}NO_3$ MW: 375.42
CN: (*S*)-3-benzoyl-α-methylbenzeneacetic acid compd. with 2-amino-2-(hydroxymethyl)-1,3-propanediol (1:1)

dexketoprofen
RN: 22161-81-5 MF: $C_{16}H_{14}O_3$ MW: 254.29





Reference(s):
WO 9 411 332 (Lab. Menarini; appl. 9.11.1993; E-prior. 10.11.1992).
WO 9 420 449 (Dompé Farmac.; appl. 7.3.1994; I-prior. 9.3.1993).

enantio-selective synthesis of (+)-(*S*)-2-(3-benzoylphenyl)propionic acid:
Fadel, A.: Synlett. (SYNLES) **1**, 48 (1992).

stereoselective hydrolysis of ketoprofene esters using esterase:
US 5 912 164 (Lab. Menarini; appl. 9.5.1997; GB-prior. 3.3.1993; 3.3.1994; USA-prior. 31.8.1995; 5.9.1995).
WO 9 420 633 (Lab. Menarini; appl. 9.5.1997; GB-prior. 3.3.1993; 3.3.1994; USA-prior. 31.8.1995; 5.9.1995).
WO 9 304 189 (Lab. Menarini; appl. 19.8.1992; GB-prior. 22.8.1991).
Hernaiz, M.J.: J. Mol. Catal. A: Chem. (JMCCF2) **96** (3), 317 (1995).
Garcia, M.: Biotechnol. Lett. (BILED3) **19** (10), 999 (1997).
WO 9 015 146 (Rhône-Poulenc; appl. 1.6.1990; USA-prior. 5.6.1989).

Formulation(s): tabl. 12.5 mg, 25 mg

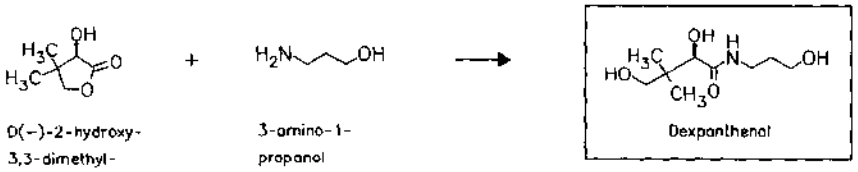
Trade Name(s):
D: Enantyum (Lab. Menarini; 1998)

Dexpanthenol

(Pantothenyl alcohol; Panthenol)

ATC: A11HA30; D03AX03; S01XA12
Use: growth factor, wound remedy

RN: 81-13-0 MF: $C_9H_{19}NO_4$ MW: 205.25 EINECS: 201-327-3
LD_{50}: 7 g/kg (M, i.v.); 15 g/kg (M, p.o.)
CN: (*R*)-2,4-dihydroxy-*N*-(3-hydroxypropyl)-3,3-dimethylbutanamide



D(−)-2-hydroxy-3,3-dimethyl-butanolide

3-amino-1-propanol

Reference(s):
US 2 413 077 (Roche; 1946; CH-prior. 1942).

use as aerosol:
DAS 2 531 260 (Desitin-Werke; appl. 12.7.1975).

Formulation(s): amp. 500 mg; emulsion 50 mg; eye and nasal ointment 50 mg; inj. sol. 500 mg/2 ml; nasal spray 50 mg; ointment 5 %, 50 mg; sol. 50 mg; tabl. 100 mg

Trade Name(s):

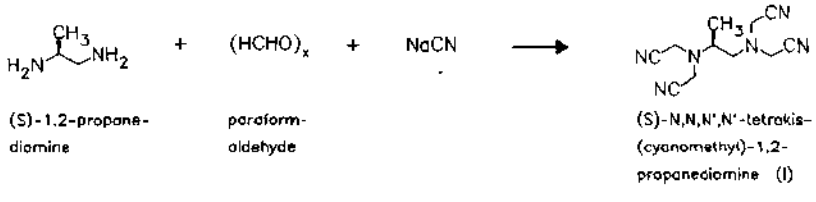
D:	Bepanthen Roche (Roche)		Ucee (Merck Produkte)		Hydrosol polyvitaminé (Roche)-comb.
	Corveregel (Mann)		Urupan (Merckle)		
	Cutemol (Medopharm)		generics	I:	Bepanten (Roche)
	Dexpanthenol Heumann (Heumann)	F:	Alvityl (Solvay Pharma)-comb.		Pantenolo(Formulario Naz.)
	Marolderm (Dermapharm)		Bécozyme injèctable (Roche)-comb.	J:	Pantene (Shionogi)
	Pan-Ophthal (Winzer)		Bepanthene (Roche)		Pantol (Toa Eiyo-Yamanouchi)
	Panthenol Drobena (Drobena)		Cernévit (Clintec Nutrition Clinique)-comb.	USA:	Ilopan (Savage)
	Pelina (MIP Pharma)				Ilopan-Choline (Savage)

Dexrazoxane

(ICRF-187)

ATC: V03AB; V03AF02
Use: antineoplastic, protectant of anthracycline induced cardiotoxicity, (+)-enantiomer of razoxane (q. v.)

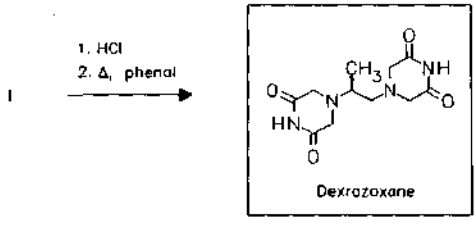
RN: 24584-09-6 MF: $C_{11}H_{16}N_4O_4$ MW: 268.27
CN: (*S*)-4,4'-(1-methyl-1,2-ethanediyl)bis[2,6-piperazinedione]



(S)-1,2-propanediamine

paraformaldehyde

(S)-N,N,N',N'-tetrakis-(cyanomethyl)-1,2-propanediamine (I)



Reference(s):
EP 330 381 (Erbamont; appl. 17.2.1989; USA-prior. 17.2.1988)

alternative synthesis:
EP 2 845 594 (Monsanto; appl. 22.3.1988; USA-prior. 23.3.1987).
DE 1 910 283 (National Research Development Corp.; appl. 28.2.1969; USA-prior. 2.7.1968).
GB 1 234 935 (National Research Development Corp.; appl. 3.7.1967).

Formulation(s): lyo. for inf. 500 mg

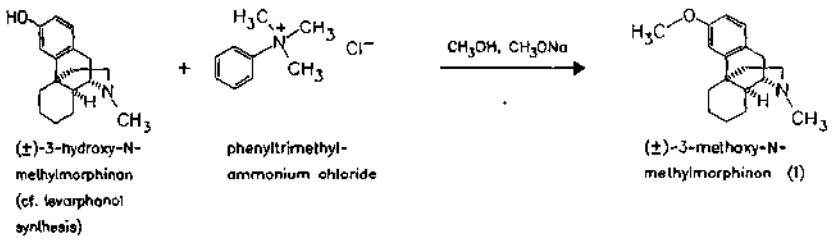
Trade Name(s):
I: Cardioxane (Eurocetus) USA: Zinecard (Pharmacia & Upjohn)
Eucardion (Dompé Biotec)

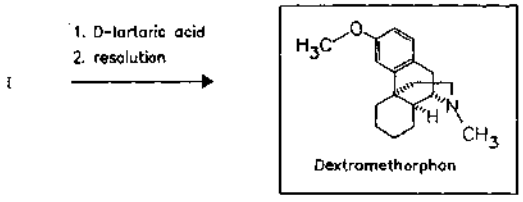
Dextromethorphan
(D-Methorphan)

ATC: R05DA09
Use: antitussive, analgesic

RN: 125-71-3 MF: $C_{18}H_{25}NO$ MW: 271.40 EINECS: 204-752-2
LD_{50}: 210 mg/kg (M, p.o.);
16.286 mg/kg (R, i.v.); 116 mg/kg (R, p.o.)
CN: (9α,13α,14α)-3-methoxy-17-methylmorphinan

hydrobromide
RN: 125-69-9 MF: $C_{18}H_{25}NO \cdot HBr$ MW: 352.32 EINECS: 204-750-1
LD_{50}: 34 mg/kg (M, i.v.); 165 mg/kg (M, p.o.);
350 mg/kg (R, p.o.)
hydrobromide monohydrate
RN: 6700-34-1 MF: $C_{18}H_{25}NO \cdot HBr \cdot H_2O$ MW: 370.33





Reference(s):
US 2 676 177 (Roche; 1954; CH-prior. 1949).
Schnider, O.; Grüssner, A.: Helv. Chim. Acta (HCACAV) **34**, 2211 (1951).

medical use as analgesic:
US 4 316 888 (Nelson Research; 23.2.1982; appl. 15.4.1980).
US 4 446 140 (Nelson Research; 1.5.1984; prior. 10.12.1981, 29.3.1982).

nasal use as antitussive:
US 4 454 140 (Roche; 12.6.1984; appl. 7.9.1982).

Formulation(s): syrup 5 mg, 6.65 mg

Trade Name(s):

D: Arpha (Fournier Pharma)
NeoTussan (Novartis)
Robitussin plus (Scheurich)-comb.
tuss (Rentschler)
Wick (Wick Pharma)

F: Nodex (Brothier; as hydrobromide)
Nortussine (Norgine; as hydrobromide)-comb.
Tuxium (Galephar; as hydrobromide)

GB: Actifed Compound (Wellcome)-comb.; wfm
Actifed Compound Linctus (Wellcome)-comb.; wfm
Benafed (Parke Davis)-comb.; wfm
Cosylan (Parke Davis); wfm
Lotussin (Searle); wfm
Syrtussar (Armour); wfm

I: Actifed (Wellcome)-comb.
Aricodil (Malesci)-comb.
Balsatux (Edmond)-comb.
Bechilar (Montefarmaco)
Benadryl Complex (Parke Davis)-comb.
Broncal (SmithKline Beecham)-comb.
Bronchenolo Tosse (Midy)
Broncobeta (Beta)-comb.
Broncodex (Pastor Farina)-comb.
Canfodion (Gentili)
DextroB Afo (Afom)
Euci (Falqui)-comb.
Fluprim (Roche)
Ingro (Farmacologico Milanese)-comb.
Iodozan (SmithKline Beecham)-comb.
Neoborocillina (Schiapparelli)-comb.
Ozopulmin (Geymonat)-comb.
Resyl (Zyma)-comb.
Romilar (Roche)-comb.
Sanabronchiol (Kalda)
Sedotus Valda (Valda)
Torfan (Abbott)-comb.
Valatux (Farmacologico Milanese)
Vicks Medinait (Procter & Gamble)-comb.

J: Coughcon (Kyowa Yakuhin-Santen)
Dextophan (Hishiyama)
Hihustan-M (Maruko)
Medicon (Shionogi)
Methorcon (Kyowa Yakuhin)
Oricolon (Dojin)
Radeophan (Tokyo Tanabe)
Testamin (Toyama)

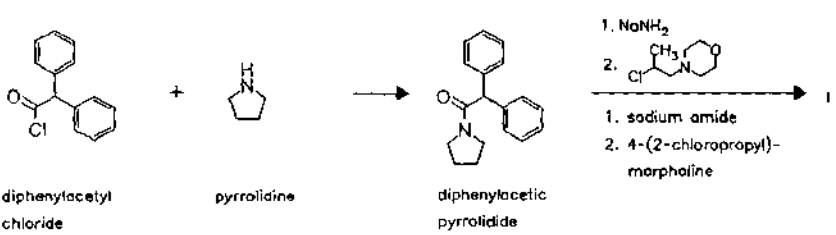
USA: Anatuss (Merz; as hydrobromide)
Benylin (Warner-Lambert; as hydrobromide)
Bromfed-DM (Muro; as hydrobromide)
Codimal (Schwarz; as hydrobromide)
Diabe-Tuss DM (Paddock; as hydrobromide)
Dimetane-DX (Robins; as hydrobromide)
Donatussin (Laser; as hydrobromide)
Duratuss DM (UCB; as hydrobromide)
Fenesin DM (Dura; as hydrobromide)
Muco-Fen (Wakefield; as hydrobromide)
Poly-Histine DM (Sanofi; as hydrobromide)
Safe Tussin (Kramer; as hydrobromide)
Syn-Rx DM (Medeva; as hydrobromide)
Tussar DM (Rhône-Poulenc Rorer; as hydrobromide)-comb.
Tussi-Organidin (Wallace; as hydrobromide)
Tylenol (McNeil; as hydrobromide)
generics

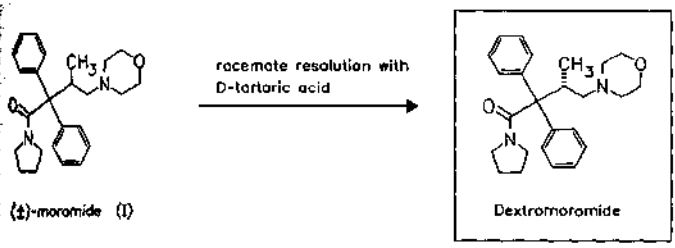
Dextromoramide

ATC: N02AC01
Use: analgesic

RN: 357-56-2 MF: $C_{25}H_{32}N_2O_2$ MW: 392.54 EINECS: 206-613-1
LD_{50}: 21 mg/kg (M, i.v.); 168 mg/kg (M, p.o.); 13 mg/kg (R, i.v.); 71.8 mg/kg (R, p.o.)
CN: (*S*)-1-[3-methyl-4-(4-morpholinyl)-1-oxo-2,2-diphenylbutyl]pyrrolidine

bitartrate (1:1)
RN: 2922-44-3 MF: $C_{25}H_{32}N_2O_2 \cdot C_4H_6O_6$ MW: 542.63 EINECS: 220-870-7
LD_{50}: 71.8 mg/kg (R, p.o.)





Reference(s):
BE 544 757 (Janssen; appl. 5.2.1957; NL-prior. 9.2.1956).
DE 1 117 126 (Janssen; appl. 5.12.1956; NL-prior. 9.2.1956).
GB 822 055 (Janssen; appl. 23.10.1956; NL-prior. 9.2.1956).

Formulation(s): suppos. 13.8 mg; tabl. 6.9 mg, 13.8 mg (as bitartrate)

Trade Name(s):

D:	Jetrium (Hek); wfm	GB:	Palfium (B.M. Pharm)
F:	Palfium (Delalande)	I:	Narcolo (Lusofarmaco)

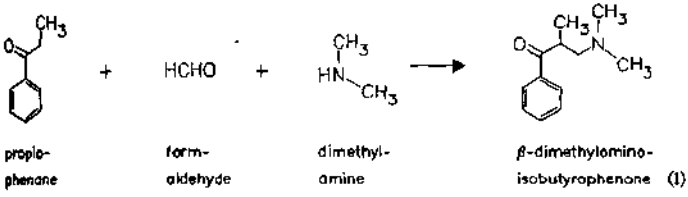
Dextropropoxyphene

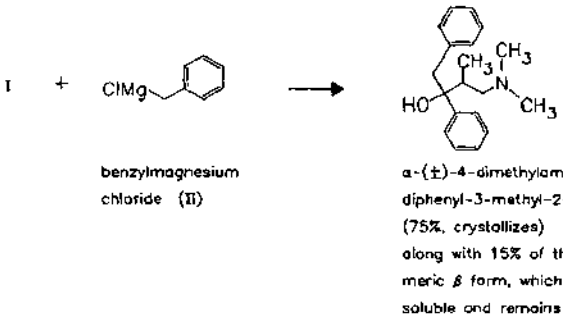
(Dextropropoxiphene; α-D-Propoxyphene; Propoxyphene)

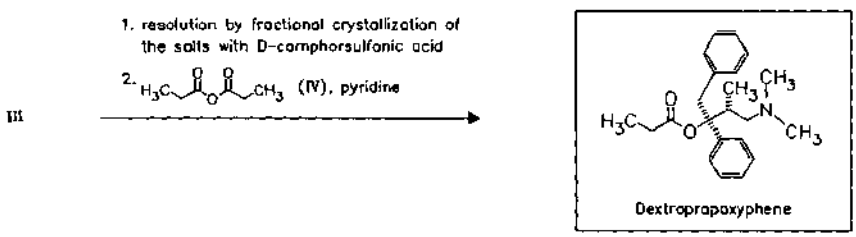
ATC: N02AC04
Use: analgesic

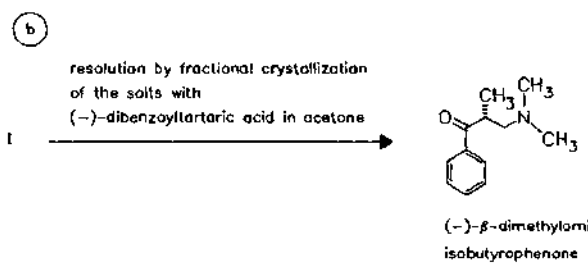
RN: 469-62-5 MF: $C_{22}H_{29}NO_2$ MW: 339.48 EINECS: 207-420-5
LD_{50}: 25 mg/kg (M, i.v.); 140 mg/kg (M, p.o.);
135 mg/kg (R, p.o.)
CN: [*S*-(*R**,*S**)]-α-[2-(dimethylamino)-1-methylethyl]-α-phenylbenzeneethanol propanoate (ester)

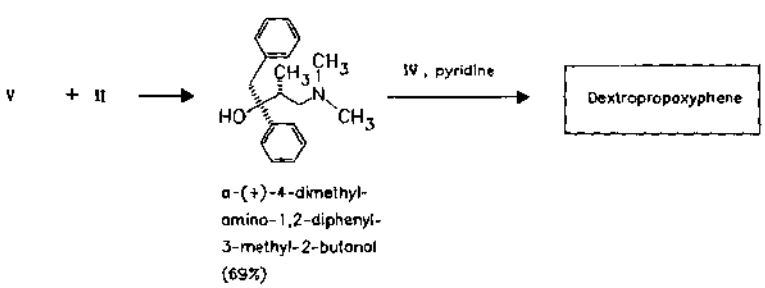
hydrochloride
RN: 1639-60-7 MF: $C_{22}H_{29}NO_2 \cdot HCl$ MW: 375.94 EINECS: 216-683-5
LD_{50}: 28 mg/kg (M, i.v.); 282 mg/kg (M, p.o.);
15 mg/kg (R, i.v.); 230 mg/kg (R, p.o.)
29 mg/kg (dog, i.v.); 100 mg/kg (dog, p.o.)
napsylate (1:1) monohydrate
RN: 26570-10-5 MF: $C_{22}H_{29}NO_2 \cdot C_{10}H_8O_3S \cdot H_2O$ MW: 565.73
LD_{50}: 973 mg/kg (M, p.o.);
485 mg/kg (R, p.o.); 990 mg/kg (Rf, p.o.)











Reference(s):

US 2 728 779 (Lilly; 1955; prior. 1952).
Pohland, A.; Sullivan, H.R.: J. Am. Chem. Soc. (JACSAT) **75**, 4458 (1953); **77**, 3400 (1955).
Pohland, A. et al.: J. Org. Chem. (JOCEAH) **28**, 2483 (1963).

Formulation(s): cps. 150 mg (hydrochloride; s. r. formulation); cps. 65 mg (hydrochloride); tabl. 100 mg (napsylate); susp. 50 mg/5 ml (napsylate)

Trade Name(s):

D: Develin retard (Gödecke)
F: Antalvic (Hoechst Houdé; as hydrochloride)
Di-Antalvic (Hoechst Houdé; as hydrochloride)-comb.
Propofan (Marion Merrell)-comb.
GB: Cosalgesic (Lox)-comb.
Distalgesic (Dista)-comb.
Doloxene (Lilly)
Doloxene Co. (Lilly)-comb
I: Liberen (Lisapharma)

	combination preparations	Darvon-N (Lilly; as napsylate)	Wygesic (Wyeth-Ayerst; as hydrochloride)
USA:	Darvocet-N (Lilly; as napsylate)	Propacet (Teva; as napsylate)	numerous combination preparations
	Darvon (Lilly; as hydrochloride)		

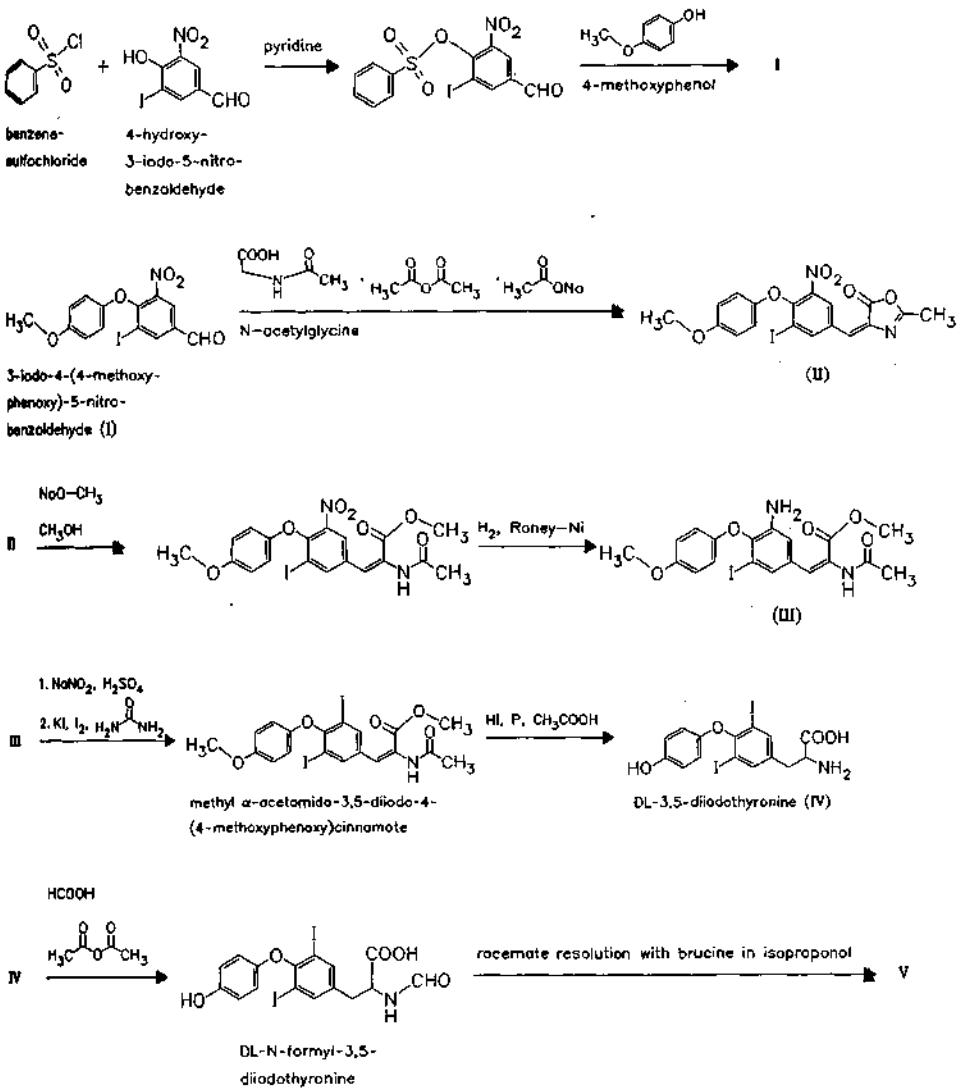
Dextrothyroxine

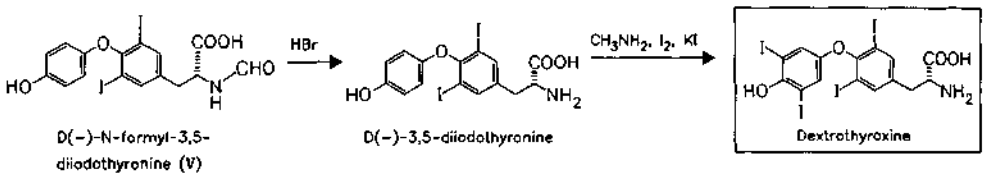
(D-Thyroxine)

ATC: C10AX01
Use: cholesterol depressant, antihyperlipidemic

RN: 51-49-0 MF: $C_{15}H_{11}I_4NO_4$ MW: 776.87 EINECS: 200-102-7
CN: *O*-(4-hydroxy-3,5-diiodophenyl)-3,5-diiodo-D-tyrosine

sodium salt
RN: 137-53-1 MF: $C_{15}H_{10}I_4NNaO_4$ MW: 798.85 EINECS: 205-301-2





Reference(s):
Nahm, H.; Siedel, W.: Chem. Ber. (CHBEAM) **96**, 1 (1963).
DE 1 067 826 (Hoechst; appl. 24.12.1955).
DE 1 077 673 (Hoechst; appl. 19.8.1958).

Formulation(s): tabl. 2 mg

Trade Name(s):

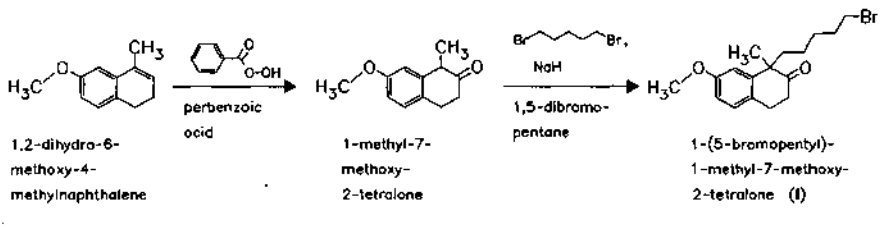
D:	Dynothel (Henning Berlin)		Nadrothyron-D (Nadrol)	USA:	Choloxin (Flint); wfm
	Eulipos (Boehringer Mannh.)	F:	Biotirmone (Solac); wfm		
			Débétrol (Choay); wfm		

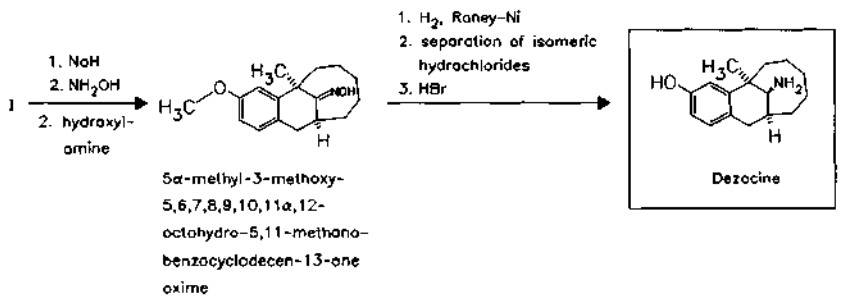
Dezocine

(Wy-16225)

ATC: N02AX03
Use: central acting analgesic, mixed opioid agonist antagonist related to pentazocine

RN: 53648-55-8 MF: $C_{16}H_{23}NO$ MW: 245.37
LD_{50}: 129 mg/kg (M, i.m.); 313 mg/kg (M, p.o.); 270 mg/kg (R, i.m.); 232 mg/kg (R, p.o.)
CN: [5*R*-(5α,11α,13*S**)]-13-amino-5,6,7,8,9,10,11,12-octahydro-5-methyl-5,11-methanobenzocyclodecen-3-ol





Reference(s):
BE 776 173 (American Home; appl. 2.12.1971; USA-prior. 4.12.1970).
DE 2 159 324 (American Home; appl. 30.11.1971; USA-prior. 3.12.1970).
Freed, M.E. et al.: J. Med. Chem. (JMCMAR) **19**, 560 (1976); **16**, 595 (1973).

synthesis of 1-methyl-7-methoxy-2-tetralone:
Howele, F.H.; Taylor, D.A.H.: J. Chem. Soc. (JCSOA9) **1958**, 1248.

pharmaceutical formulations:
US 4 605 671 (American Home; 12.8.1986; appl. 23.7.1985; prior. 28.9.1984).
WO 9 000 390 (American Home; appl. 19.6.1989; S-prior. 8.7.1988).
EP 180 303 (American Home; appl. 27.8.1985; USA-prior. 28.9.1984, 23.7.1985).

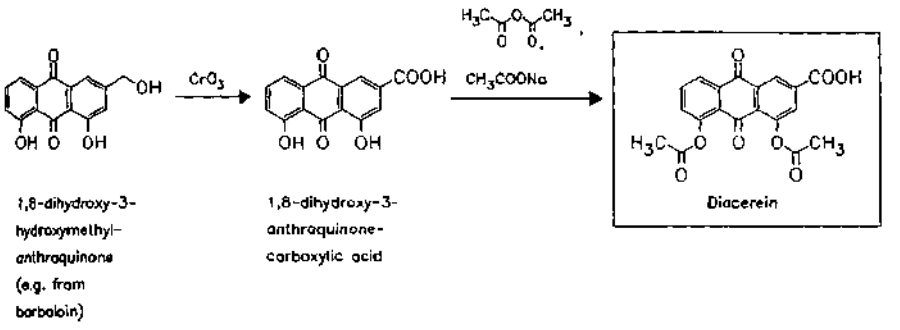
Formulation(s): vial and Tubex syringe 5 mg/2 ml, 10 mg/2 ml, 15 mg/2 ml

Trade Name(s):
USA: Dalgan (Wyeth-Ayerst; 1990)

Diacerein

ATC: M01AX21
Use: anti-inflammatory

RN: 13739-02-1 MF: $C_{19}H_{12}O_8$ MW: 368.30 EINECS: 237-310-2
LD_{50}: 7500 mg/kg (R, route unreported)
CN: 4,5-bis(acetyloxy)-9,10-dihydro-9,10-dioxo-2-anthracenecarboxylic acid



1,8-dihydroxy-3-hydroxymethyl-anthraquinone (e.g. from barbaloin)

1,8-dihydroxy-3-anthraquinone-carboxylic acid

Reference(s):
DOS 2 711 493 (C. A. Friedmann; appl. 16.3.1977; SA-prior. 16.3.1976).
Oesterle, O.A.: Arch. Pharm. (Weinheim, Ger.) (ARPMAS) **241**, 604 (1903).
Robinson, R.; Simonsen, J.L.: J. Chem. Soc. (JCSOA9) **1909**, 1085.
Cahn, R.S.; Simonsen, J.L.: J. Chem. Soc. (JCSOA9) **1932**, 2573.

Formulation(s): cps. 50 mg

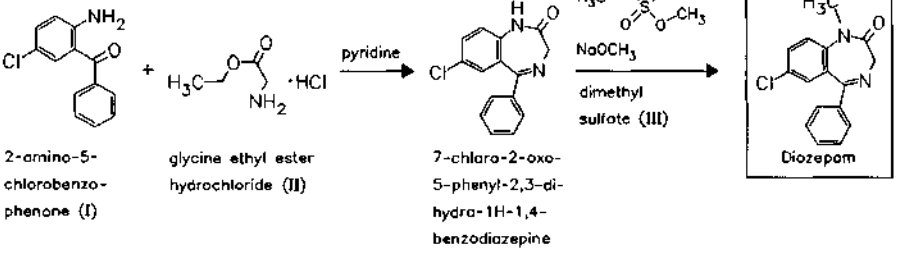
Trade Name(s):
I: Artrodar (Proter) Fisiodar (Gentili)

Diazepam

ATC: N05BA01
Use: tranquilizer, hypnotic

RN: 439-14-5 MF: $C_{16}H_{13}ClN_2O$ MW: 284.75 EINECS: 207-122-5
CN: 7-chloro-1,3-dihydro-1-methyl-5-phenyl-2*H*-1,4-benzodiazepin-2-one

a

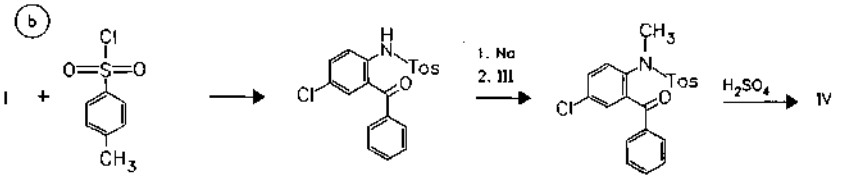


2-amino-5-chlorobenzophenone (I)

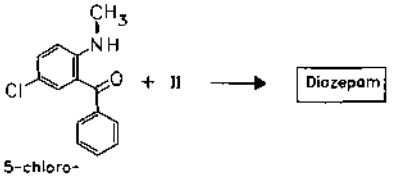
glycine ethyl ester hydrochloride (II)

7-chloro-2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepine

b

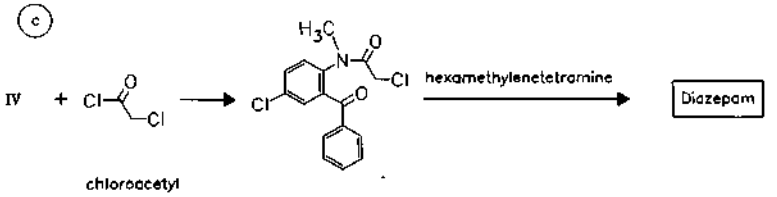


p-toluenesulfonyl chloride (Tos-Cl)



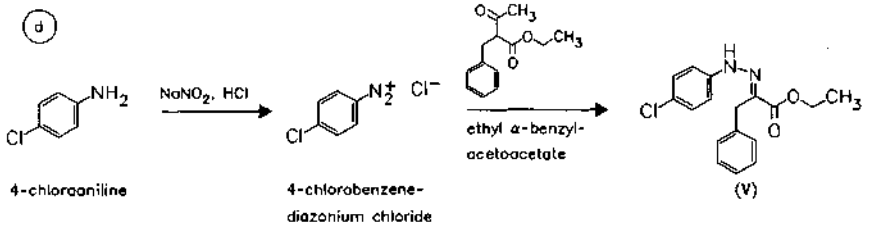
5-chloro-2-methylamino-benzophenone (IV)

c



chloroacetyl chloride

d

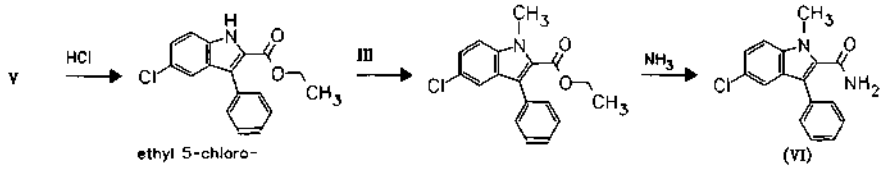


4-chloroaniline

4-chlorobenzene-diazonium chloride

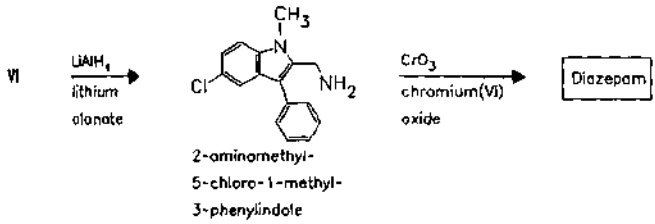
ethyl α-benzyl-acetoacetate

(V)



ethyl 5-chloro-3-phenylindole-2-carboxylate

(VI)



[Alternatively to the Japp-Klingemann reaction phenylpyruvic acid or ethyl phenylpyruvate can be condensed with 4-chlorophenylhydrazine.]

Reference(s):

a,b US 3 109 843 (Hoffmann-La Roche; 5.11.1963; appl. 21.6.1962; prior. 28.7.1961).
US 3 136 815 (Hoffmann-La Roche; 9.6.1964; USA-prior. 10.12.1959).
DE 1 136 709 (Hoffmann-La Roche; appl. 7.12.1960; USA-prior. 10.12.1959).
DE 1 145 626 (Hoffmann-La Roche; appl. 7.12.1960; USA Prior. 10.12.1959).
DE 1 290 143 (Hoffmann-La Roche; prior. 7.12.1960).
US 3 371 085 (Roche; 27.2.1968; CH-prior. 2.10.1960).

c DAS 2 016 084 (Hoffmann-La Roche; appl. 3.4.1970; CH-prior. 16.10.1969).
DOS 2 233 482 (Hoffmann-La Roche; appl. 7.7.1972; GB-prior. 8.7.1971).

d Yamamoto, H. et al.: Chem. Ber. (CHBEAM) **101**, 4245 (1968).
US 3 632 573 (Sumitomo; 4.1.1972; J-prior. 9.10.1967).

variant with α-benzylcyanoacetic acid ester:
US 4 069 230 (Sumitomo; 17.1.1978; J-prior. 4.6.1975, 9.6.1975).

alternative syntheses:
DAS 1 545 724 (Delmar Chemicals; appl. 14.1.1965; GB-prior. 14.1.1964).
DAS 1 695 789 (Sumitomo; appl. 2.11.1967; J-prior. 2.11.1966, 16.11.1966, 6.9.1967).
DAS 1 944 404 (Takeda; appl. 2.9.1969; J-prior. 3.9.1968).
DOS 2 252 378 (Roche; appl. 25.10.1972; CH-prior. 18.11.1971).
Sugasawa, T. et al.: J. Heterocycl. Chem. (JHTCAD) **16**, 445 (1979).

purification:
US 3 102 116 (Hoffmann-La Roche; 27.8.1963; prior. 12.3.1962).
DAS 1 906 262 (Sumitomo; appl. 7.2.1969; J-prior. 21.2.1968).

Formulation(s): amp. (i.v. or i.m.) 10 mg/2 ml; tabl. 2 mg, 5 mg, 10 mg

Trade Name(s):

D: Faustan (ASTA Medica AWD)
Lamra (Merckle)
Stesolid (Dumex)
Tranquase (Azuchemie)
Valiquid (Roche; 1985)
Valium (Roche; 1963)

F: Novazam (Génévrier)
Valium (Roche)

GB: Diazemuls (Dumex)
Stesolid (Dumex)
Valclair (Sinclair)
Valium (Roche; 1963)

I: Aliseum (Zoja)
Ansiolin (Roussel)
Eridan (SIT)
Noan (Ravizza)
Spasmeridan (UCB)-comb.
Spasmomen (Menarini)-comb.
Tranquirit (Rhône-Poulenc Rorer)
Valium (Roche; 1965)
Valpinax (Crinos)-comb.
Valtrax (Valeas)-comb.
Vatran (Valeas)

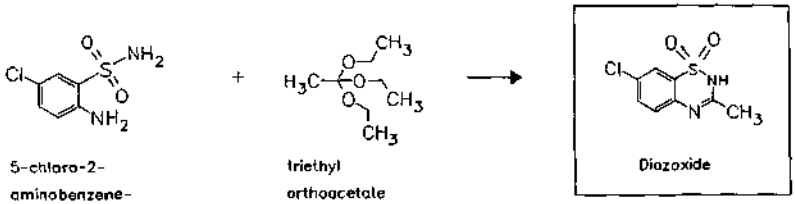
J: Cercine (Takeda)
Horizon (Yamanouchi)
Sedaril (Kodama)
Serenamin (Toyo Jozo)
Serenzin (Sumitomo; 1968)
Sonacon (Chugai)

USA: Diastat (Athena)
Dizac (Ohmeda)
Valium (Roche Products; 1963)
Valrelease (Roche)

Diazoxide

ATC: C02DA01; V03AH01
Use: antihypertensive, hyperglycemic

RN: 364-98-7 MF: $C_8H_7ClN_2O_2S$ MW: 230.68 EINECS: 206-668-1
LD_{50}: 228 mg/kg (M, i.v.); 444 mg/kg (M, p.o.);
980 mg/kg (R, p.o.)
CN: 7-chloro-3-methyl-2*H*-1,2,4-benzothiadiazine 1,1-dioxide



Reference(s):
US 2 986 573 (Schering Corp.; 30.5.1961; prior. 18.1.1961).
US 3 345 365 (Schering Corp.; 3.10.1967; prior. 19.9.1960, 18.1.1961, 31.3.1964).

Formulation(s): amp. 300 mg/20 ml (i.v. inj.); cps. 25 mg, 100 mg

Trade Name(s):

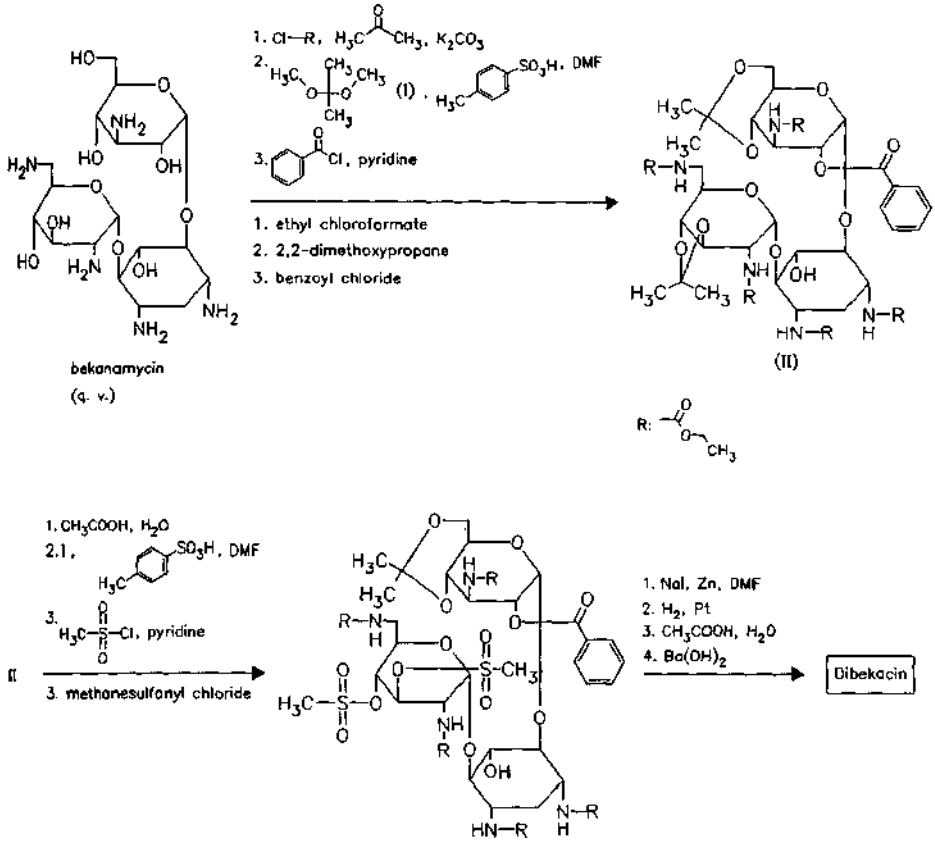
D:	Hypertonalum (Essex Pharma)		Proglicem (Schering-Plough)		Proglicem (Schering-Plough)
	Proglicem (Essex Pharma)	GB:	Eudemine (Fink)	USA:	Hyperstat (Schering)
F:	Hyperstat (Schering-Plough)	I:	Hyperstat (Schering-Plough)		Proglycem (Baker Norton)

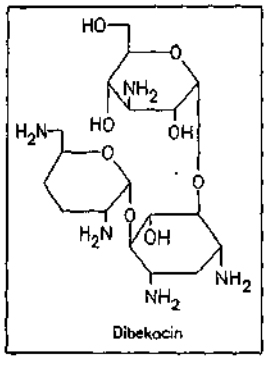
Dibekacin

ATC: J01GB09; J01KD
Use: aminoglycoside antibiotic

RN: 34493-98-6 MF: $C_{18}H_{37}N_5O_8$ MW: 451.52 EINECS: 252-064-6
LD_{50}: 373-380 mg/kg (M, i.p.); 61-68 mg/kg (M, i.v.)
CN: *O*-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-*O*-[2,6-diamino-2,3,4,6-tetradeoxy-α-D-*erythro*-hexopyranosyl-(1→4)]-2-deoxy-D-streptamine

sulfate
RN: 58580-55-5 MF: $C_{18}H_{37}N_5O_8 \cdot xH_2SO_4$ MW: unspecified EINECS: 261-341-0
LD_{50}: 62.6 mg/kg (M, i.v.); >6950 mg/kg (M, p.o.);
140 mg/kg (R, i.v.); 6950 mg/kg (R, p.o.)





Reference(s):
DE 2 135 191 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; appl. 14.7.1971; J-prior. 29.7.1970, 11.5.1971).
Umezawa, S. et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **45**, 3624 (1972).
Umezawa, H. et al.: J. Antibiot. (JANTAJ) **24**, 485 (1971).

alternative syntheses:
DOS 2 414 416 (Hoechst; appl. 26.3.1974).
DOS 2 654 764 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; appl. 3.12.1976; J-prior. 10.12.1975, 9.12.1975).
DOS 2 655 731 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; appl. 9.12.1976; J-prior. 11.12.1975).
DOS 2 756 057 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; appl. 15.12.1977; J-prior. 16.12.1976).
US 4 169 939 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; 2.10.1979, J-prior. 16.12.1976).
Migake, T. et al.: Carbohydr. Res. (CRBRAT) **49**, 141 (1976).

Formulation(s): amp. 50 mg/ml, 75 mg/1.5 ml

Trade Name(s):

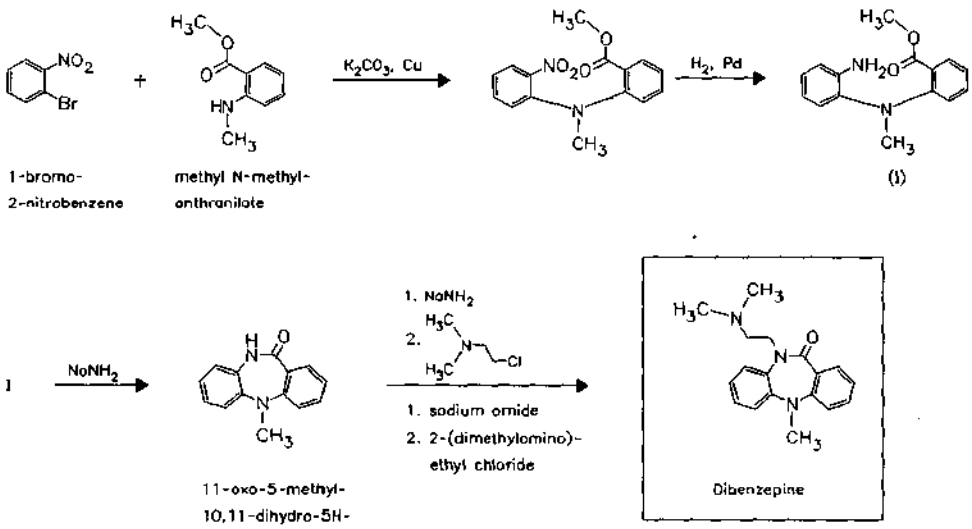
D:	Orbicin (Mack); wfm	I:	Icacine (Bristol); wfm	J:	Panimycin (Meiji Seika Kaisha)
F:	Débékacyl (Bellon; as sulfate)		Kappabi (Carlo Erba); wfm		

Dibenzepine

ATC: N06AA08
Use: antidepressant

RN: 4498-32-2 MF: $C_{18}H_{21}N_3O$ MW: 295.39
LD_{50}: 22 mg/kg (M, i.v.); 194 mg/kg (M, p.o.);
22 mg/kg (R, i.v.); 220 mg/kg (R, p.o.)
CN: 10-[2-(dimethylamino)ethyl]-5,10-dihydro-5-methyl-11*H*-dibenzo[*b*,*e*][1,4]diazepin-11-one

monohydrochloride
RN: 315-80-0 MF: $C_{18}H_{21}N_3O \cdot HCl$ MW: 331.85 EINECS: 206-255-6
LD_{50}: 22 mg/kg (M, i.v.); 174 mg/kg (M, p.o.);
22 mg/kg (R, i.v.); 220 mg/kg (R, p.o.)



Reference(s):
DE 1 263 774 (Wander; appl. 13.9.1960; CH-prior. 22.9.1959).
US 3 419 547 (Wander; 31.12.1968; CH-prior. 22.9.1959).
GB 961 106 (Wander; appl. 22.9.1960; CH-prior. 22.9.1959).
FR 1 295 371 (Wander; appl. 20.9.1960; CH-prior. 22.9.1959).
Hunziker, F. et al.: Arzneim.-Forsch. (ARZNAD) **13**, 324 (1963).

Formulation(s): amp. 20 mg/ml; drg. 40 mg, 80 mg; s. r. tabl. 240 mg; tabl. 80 mg

Trade Name(s):

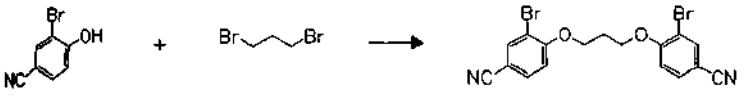
D:	Noveril (Novartis Pharma); wfm		Noveril (Sandoz); wfm	J:	Noveril (Morishita)
		GB:	Noveril (Wander); wfm		
F:	Ecatril (Sandoz); wfm	I:	Noveril (Sandoz)		

Dibrompropamidine

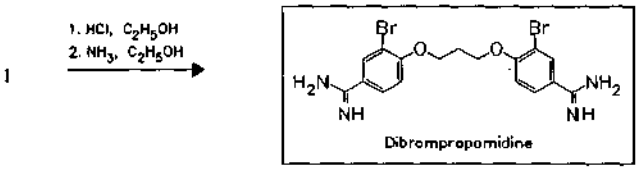
ATC: D08AC01; S01AX14
Use: chemotherapeutic

RN: 496-00-4 MF: $C_{17}H_{18}Br_2N_4O_2$ MW: 470.17
CN: 4,4'-[1,3-propanediylbis(oxy)]bis[3-bromobenzenecarboximidamide]

diisethionate (1:2)
RN: 614-87-9 MF: $C_{17}H_{18}Br_2N_4O_2 \cdot 2C_2H_6O_4S$ MW: 722.43 EINECS: 210-399-5



3-bromo-4-hydroxybenzonitrile | 1,3-dibromopropane | (I)



Reference(s):
GB 598 911 (May & Baker; appl. 1945).

Formulation(s): eye drops 0.1 %; eye ointment 0.15 %

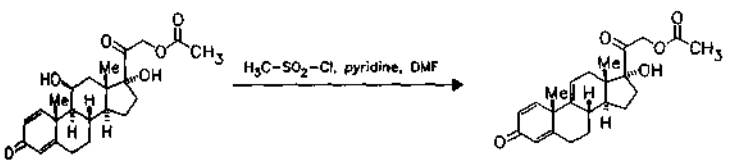
Trade Name(s):
GB: Brolene (May & Baker)
Brulidine (May & Baker)
Golden Eye Ointment (Typharm)
Otamidyl (May & Baker)
Phenergan (May & Baker; as isethionate)-comb.

Dichlorisone

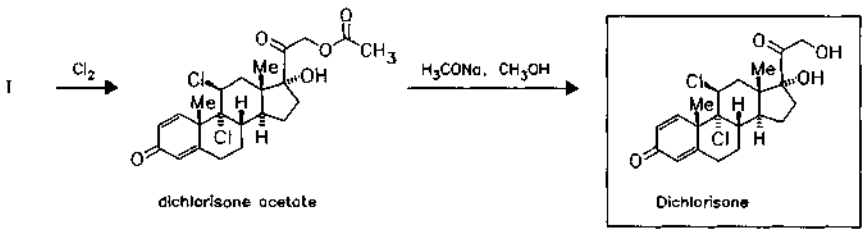
ATC: D07AA
Use: topical glucocorticoid

RN: 7008-26-6 MF: $C_{21}H_{26}Cl_2O_4$ MW: 413.34 EINECS: 230-283-8
CN: (11β)-9,11-dichloro-17,21-dihydroxypregna-1,4-diene-3,20-dione

acetate
RN: 79-61-8 MF: $C_{23}H_{28}Cl_2O_5$ MW: 455.38 EINECS: 201-213-3



prednisolone-21-acetate | 21-acetoxy-17-hydroxy-1,4,9(11)-pregnatrien-3,20-dione (I)



Reference(s):
US 2 894 963 (Schering Corp.; 1959; prior. 1958).
Robinson, C.H. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 2191 (1959).

Formulation(s): cream 0.25 %

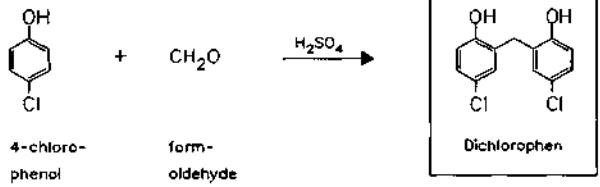
Trade Name(s):

I:	Astroderm (Lagap); wfm	J:	Diloderm Cream (Schering-Shionogi)	Neo-Diloderm Cream (Schering-Shionogi)-comb.

Dichlorophen

ATC: P02DX
Use: antifungal, antiseptic, anthelmintic

RN: 97-23-4 MF: $C_{13}H_{10}Cl_2O_2$ MW: 269.13 EINECS: 202-567-1
LD_{50}: 1 g/kg (M, p.o.);
17 mg/kg (R, i.v.); 1506 mg/kg (R, p.o.);
2 g/kg (dog, p.o.)
CN: 2,2'-methylenebis(4-chlorophenol)



Reference(s):
DRP 530 219 (I. G. Farben; appl. 1927).
GB 1 208 325 (BDH; appl. 22.4.1968; valid from 15.4.1969).
US 2 334 408 (B.T. Bush; 1943; appl. 1941).
DAS 2 551 498 (Bayer; appl. 17.11.1975).

Formulation(s): cream 10 mg; powder 50 mg; sol. 10 mg; spray 10 mg

Trade Name(s):

D:	Fissan Brustwarzensalbe (Fink)-comb.; wfm		Ovis Fußbad/Spray (Warner); wfm	GB:	Anthiphen (May & Baker); wfm
	Onychofissan (Fink)-comb.; wfm		Wespuril (Spitzner)-comb.; wfm		
	Ovis Flüssigkeit/salbe (Warner)-comb.; wfm	F:	Plath-Lyse (Génévrier); wfm		

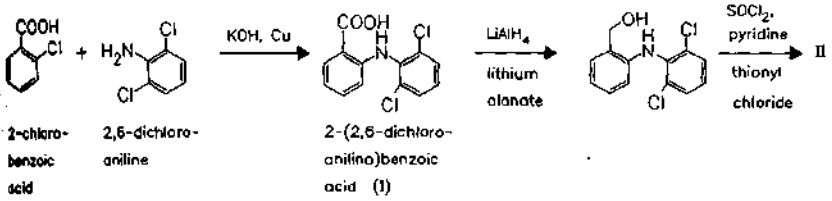
Diclofenac

ATC: M01AB05; M02AA15; S01BC03
Use: anti-inflammatory, antirheumatic

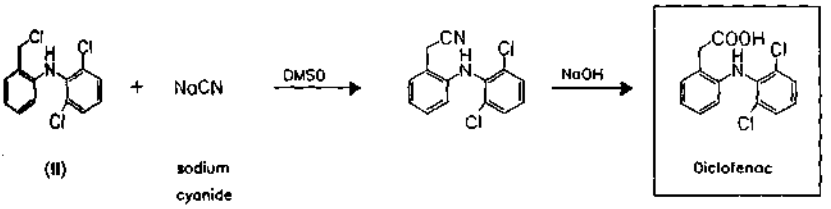
RN: 15307-86-5 MF: $C_{14}H_{11}Cl_2NO_2$ MW: 296.15 EINECS: 239-348-5
LD_{50}: 170 mg/kg (M, p.o.);
62.5 mg/kg (R, p.o.)
CN: 2-[(2,6-dichlorophenyl)amino]benzeneacetic acid

monosodium salt
RN: 15307-79-6 MF: $C_{14}H_{10}Cl_2NNaO_2$ MW: 318.14 EINECS: 239-346-4
LD_{50}: 116 mg/kg (M, i.v.); 390 mg/kg (M, p.o.);
117 mg/kg (R, i.v.); 150 mg/kg (R, p.o.)

a)

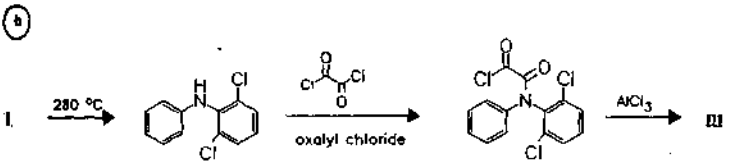


2-chlorobenzoic acid | 2,6-dichloroaniline | 2-(2,6-dichloroanilino)benzoic acid (I)

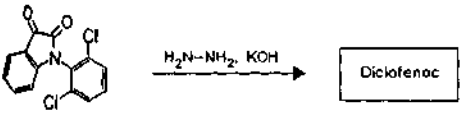


(II) | sodium cyanide

b)



2,6-dichlorodiphenylamine



1-(2,6-dichlorophenyl)indole-2,3-dione (III)

Reference(s):
US 3 558 690 (Geigy; 26.1.1971; CH-prior. 8.4.1965, 25.2.1966, 30.3.1966, 20.12.1967).
DAS 1 543 639 (Ciba-Geigy; appl. 7.4.1966; CH-prior. 8.4.1965).
DAS 1 793 592 (Ciba-Geigy; appl. 7.4.1966; CH-prior. 8.4.1965).
US 3 652 762 (Ciba-Geigy; 28.3.1972; prior. 9.12.1968, 29.9.1969, 14.4.1970).
US 3 778 470 (Geigy; 11.12.1973; appl. 2.10.1970; prior. 4.4.1966).
CH 492 679 (Geigy; appl. 30.3.1966).

alternative synthesis:
DOS 2 613 838 (Ikeda Mohando; appl. 31.3.1976; J-prior. 31.3.1975).

Formulation(s): amp. 75 mg; cps. and drg. 25 mg, 50 mg, 100 mg, 140 mg; eye drops 1 mg, 0.3 mg, 5 mg/5 ml; gel 11.6 mg, 1 %; inj. sol. 75 mg/3 ml; suppos. 12.5 mg, 25 mg, 50 mg, 100 mg; tabl. 25 mg, 50 mg, 75 mg

Trade Name(s):

D:
- Allvoran (TAD)
- arthrex (BASF Generics)
- Benfofen (Sanofi Winthrop)
- Delphimix (Cyanamid)
- Delphinac (Lederle)
- Diclac (Hexal)
- diclo (ct-Arzneimittel)
- Diclofenbeta (betapharm)
- Diclo KD (Kade)
- Diclophlogont (Azupharma)
- Diclo-Phlogont (Azuchemie)
- Diclo-Puren (Isis Puren)
- Diclo-rectal (Beiersdorf)
- Diclo-saar (Chephasaar)
- Diclo-Spondyril (Dorsch)
- Diclo-Tablinen (Beiersdorf-Tablinen)
- Diclo-Wolff (Wolff)
- Dolgit-Diclo (Dolorgiet)
- Dolobasan (Sagitta)
- Duravolten (durachemie)
- Effekton (Brenner-Efeka/Law)
- Jenafenac (Jenapharm)
- Lexobene (Merckle)
- Monoflam (Lichtenstein)
- Myogit (Pfleger)
- Rehumavincin (Owege)
- Rewodina (ASTA Medica AWD)
- Sigafenac (Kytta-Siegfried)
- Toryxil (Baer)
- Voltaren (Novartis Pharma; 1976)

F:
- Flector (Génévrier)
- Voltaréne (Novartis; 1976)
- Xenid (Biogalénique)

GB:
- Voltarol (Novartis; 1979)
- numerous generics

I:
- Dicloreum (Alfa Wassermann)
- Flogofenac (Ecobi)
- Forgenac (Zoja)
- Novapirina (Zyma)
- Voltaren (Ciba-Geigy; 1975)

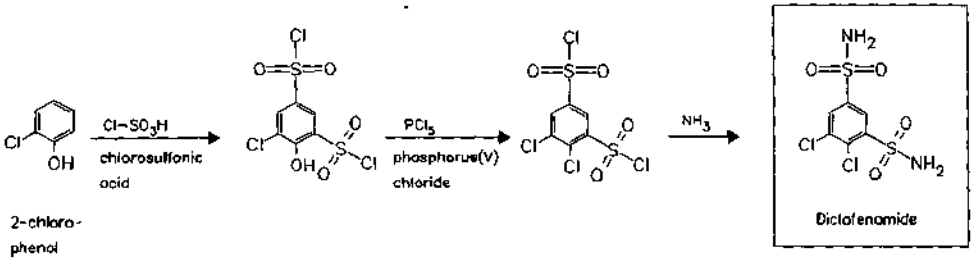
J:
- Adefuronic (Taiyo)
- Dichronic (San-a)
- Docell (Nippon Kayaku)
- Irinatolon (Tatumi)
- Neriodin (Teikoku)
- Nifleriel (Mohan)
- Sofarin (Nippon Chemiphar)
- Tsudohmin (Toho)
- Voltaren (Fujisawa; 1974)

USA:
- Voltaren (Novartis; as sodium salt)

Diclofenamide
(Dichlorphenamide)

ATC: S01EC02
Use: carboanhydrase inhibitor (against glaucoma)

RN: 120-97-8 MF: $C_6H_6Cl_2N_2O_4S_2$ MW: 305.16 EINECS: 204-440-6
LD_{50}: 643 mg/kg (M, i.v.); 1710 mg/kg (M, p.o.)
CN: 4,5-dichloro-1,3-benzenedisulfonamide



Reference(s):
US 2 835 702 (Merck & Co.; 20.5.1958; prior. 2.5.1956).

Formulation(s): tabl. 50 mg

Trade Name(s):

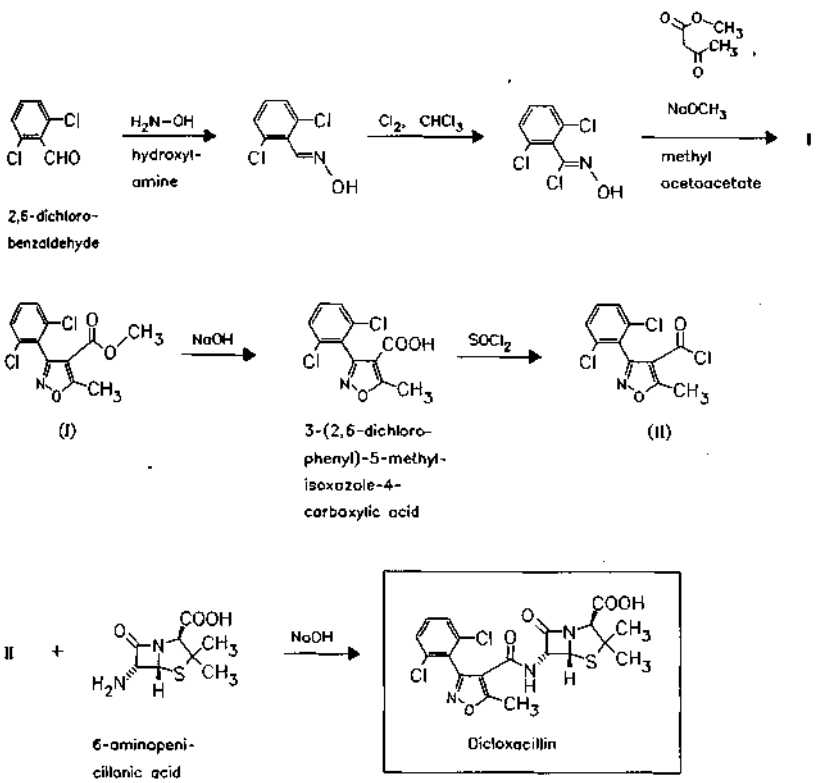
GB: Daranide (Merck Sharp & Dohme); wfm
I: Antidrasi (SmithKline Beecham); Fenamide (Farmigea); Glaumid (SIFI)
J: Daranide (Merck-Banyu)
USA: Daranide (Merck)

Dicloxacillin

ATC: J01CF01
Use: antibiotic

RN: 3116-76-5 MF: $C_{19}H_{17}Cl_2N_3O_5S$ MW: 470.33 EINECS: 221-488-3
CN: [2*S*-(2α,5α,6β)]-6-[[[3-(2,6-dichlorophenyl)-5-methyl-4-isoxazolyl]carbonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt monohydrate
RN: 13412-64-1 MF: $C_{19}H_{16}Cl_2N_3NaO_5S \cdot H_2O$ MW: 510.33
LD_{50}: 875 mg/kg (M, i.v.); 4560 mg/kg (M, p.o.);
520 mg/kg (R, i.v.); 3579 mg/kg (R, p.o.);
>3 g/kg (dog, p.o.)



Reference(s):
US 3 239 507 (Beecham; 8.3.1966; GB-prior. 17.10.1962).
GB 978 299 (Beecham; appl. 17.10.1962; addition to GB 905 778 from 14.3.1961).
BE 657 504 (Bayer; appl. 23.12.1964; D-prior. 24.12.1963).

Formulation(s): cps. 500 mg (as sodium salt)

Trade Name(s):
D: Dichlor-Stapenor (Bayer)
F: Cefaplus (Labif)-comb.; wfm
I: Diclocil (Bristol); wfm; Diclo (Firma)

Diclocil (Bristol); wfm
Diclocillin (Aristochimica); wfm
Diclocillin (Lagap); wfm
Diclocta (Lusofarmaco)-comb.; wfm
Dicloeta (Lusofarmaco)-comb.; wfm
Diclomax (Pulitzer); wfm
Dicloxapen (Magis); wfm
Diflor (Coli); wfm
Etadipen (Ghimas)-comb.; wfm
Novapen (IBP); wfm
Versaclox (Bristol)-comb.; wfm
numerous combination preparations

J: Clocil (Bristre-Banyu)
Combipenix (Toyo Jozo)-comb.
Diclex (Meiji)
Staphcillin (Banyu)

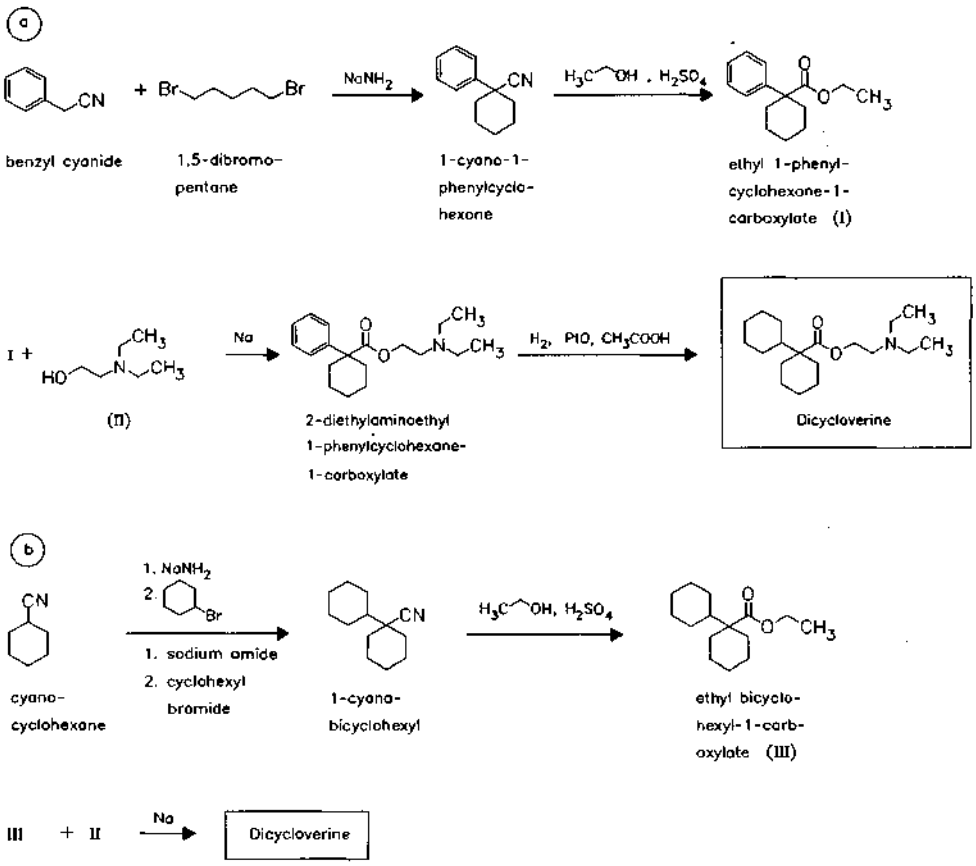
USA: Dycill (Beecham); wfm
Dynapen (Bristol); wfm
Pathocil (Wyeth); wfm
Veracillin (Ayerst); wfm

Dicycloverine
(Dicyclomine)

ATC: A03AA07
Use: antispasmodic, anticholinergic

RN: 77-19-0 MF: $C_{19}H_{35}NO_2$ MW: 309.49 EINECS: 201-009-4
CN: [1,1'-bicyclohexyl]-1-carboxylic acid 2-(diethylamino)ethyl ester

hydrochloride
RN: 67-92-5 MF: $C_{19}H_{35}NO_2 \cdot HCl$ MW: 345.96 EINECS: 200-671-1
LD_{50}: 31.5 mg/kg (M, i.v.); 625 mg/kg (M, p.o.); 1290 mg/kg (R, p.o.)



Reference(s):
US 2 474 796 (Merrell Comp.; 1949; prior. 1946).
Tilford, C.H. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 2903 (1947).

Formulation(s): cps. 10 mg

Trade Name(s):

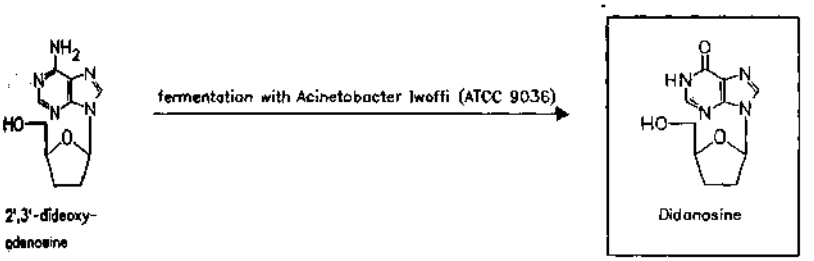
D:	Atumin (Merrell); wfm	I:	Bentyl (Merrell)		Mamiesan (Kyowa
	Spasmo-Rhoival (Tosse)-comb.; wfm		Merankol (Lepetit)-comb.		Yakuhin-Hoei)
GB:	Diarrest (Galen)-comb.	J:	Bentyl (Shionogi)	USA:	Bentyl (Hoechst Marion Roussel; as hydrochloride)
	Kolanticon (Hoechst)-comb.		Bentyl/Phenobarbital (Shionogi)-comb.		generics
	Merbentyl (Florizel)		Incron (Seiko Eiyo)-comb.		
			Kolantyl (Shionogi)		

Didanosine

(DDI; Dideoxyinosine)

ATC: J05AF02
Use: anti-AIDS therapeutic, symptomatic oral treatment

RN: 69655-05-6 MF: $C_{10}H_{12}N_4O_3$ MW: 236.23
LD_{50}: >2 g/kg (M, p.o.);
>2 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 2',3'-dideoxyinosine



Reference(s):
US 4 970 148 (Ajinomoto; 13.11.1990; appl. 7.10.1988; J-prior. 24.12.1987, 7.10.1987, 13.9.1988).
Plunkett, W.; Cohen, S.S.: Cancer Res. (CNREA8) **35**, 1547 (1975).

alternative synthesis:
US 5 011 774 (Bristol-Myers Squibb; 30.4.1991; appl. 28.2.1990; prior. 17.7.1987).
Prisbe, E.J.; Martin, J.C.: Synth. Commun. (SYNCAV) **15**, 401 (1985).
Horwitz, J.P. et al.: J. Org. Chem. (JOCEAH) **32**, 817 (1967).

purification:
US 4 962 193 (Ajinomoto; 9.10.1990; appl. 28.12.1988; J-prior. 22.12.1987).
JP I 175 991 (Ajinomoto; appl. 29.12.1987).
JP I 165 390 (Ajinomoto; appl. 22.12.1987).

medical use for treatment of AIDS:
EP 206 497 (Wellcome; appl. 14.5.1986; GB-prior. 15.5.1985, 20.2.1986).
EP 216 510 (US Department of Health; appl. 21.8.1986; USA-prior. 26.8.1985).
US 4 861 759 (US Department of Health; 29.8.1989; appl. 15.5.1989; prior. 11.8.1987, 26.8.1985, 4.12.1986).
US 5 026 687 (National Institute of Health; 25.6.1991; appl. 3.1.1990).

medical use for treatment of hepatitis B virus infections:
WO 9 014 091 (US Department of Health; appl. 15.5.1990; USA-prior. 15.5.1989, 4.12.1986, 11.8.1987).

synthesis of dideoxyadenosine:
The Merck Index, 3091 (Rahway 1989).

Formulation(s): chewable tabl. 10 mg, 25 mg, 50 mg, 100 mg, 150 mg; powder 2 g, 4 g

Trade Name(s):

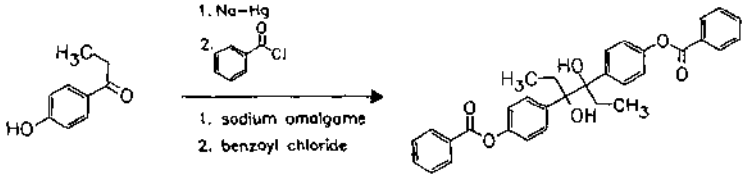
D:	Videx (Bristol-Myers Squibb)	GB:	Videx (Bristol-Myers Squibb)	USA:	Videx (Bristol-Myers Squibb; 1991)
F:	Videx (Bristol-Myers Squibb)	J:	Videx (Bristol-Myers Squibb; 1992)		

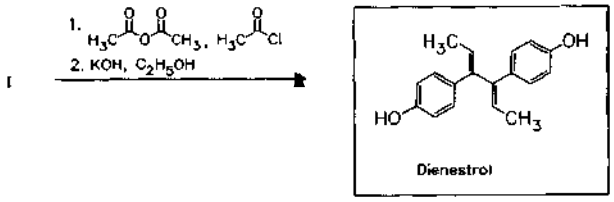
Dienestrol

(Dienoestrol)

ATC: G03CB01; G03CC02
Use: estrogen

RN: 84-17-3 MF: $C_{18}H_{18}O_2$ MW: 266.34 EINECS: 201-519-7
CN: 4,4'-(1,2-diethylidene-1,2-ethanediyl)bis[phenol]





Reference(s):
GB 566 881 (Boots Pure Drug; appl. 1943).
US 2 464 203 (Boots; 1949; GB-prior. 1943).
US 2 465 505 (Roche; 1949; CH-prior. 1944).

alternative synthesis:
Hobday, G.I.; Short, W.F.: J. Chem. Soc. (JCSOA9) **1943**, 609.

review:
Ehrhart, Ruschig **III**, 330.
Dodds, E.C. et al.: Proc. R. Soc. London, Ser. B (PRLBA4) **127**, 162 (1939).

Formulation(s): cream 0.01 %; tabl. 5 mg, 25 mg

Trade Name(s):

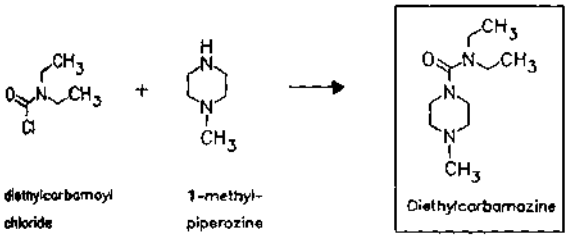
D:	Sanoprostal (Pharmakochemie)-comb.; wfm	GB:	Ortho Dienoestrol (Janssen-Cilag)		Sebohormal (Bruschettini)-comb.
F:	Cycladiène (Bruneau); wfm	I:	Sebohermal (Bruschettini)-comb.	USA:	Ortho Dienestrol (Ortho-McNeil Pharmaceutical)

Diethylcarbamazine

ATC: P02CB02
Use: anthelmintic

RN: 90-89-1 MF: $C_{10}H_{21}N_3O$ MW: 199.30 EINECS: 202-023-3
LD_{50}: 240 mg/kg (M, i.p.)
CN: *N,N*-diethyl-4-methyl-1-piperazinecarboxamide

citrate (1:1)
RN: 1642-54-2 MF: $C_{10}H_{21}N_3O \cdot C_6H_8O_7$ MW: 391.42 EINECS: 216-696-6
LD_{50}: 180 mg/kg (M, i.v.); 660 mg/kg (M, p.o.);
1400 mg/kg (R, p.o.)
phosphate (1:1)
RN: 16289-41-1 MF: $C_{10}H_{21}N_3O \cdot H_3PO_4$ MW: 297.29



Reference(s):
US 2 467 893 (American Cyanamid; 1949; prior. 1946).
US 2 467 895 (American Cyanamid; 1949; prior. 1946).

Formulation(s): tabl. 50 mg

Trade Name(s):

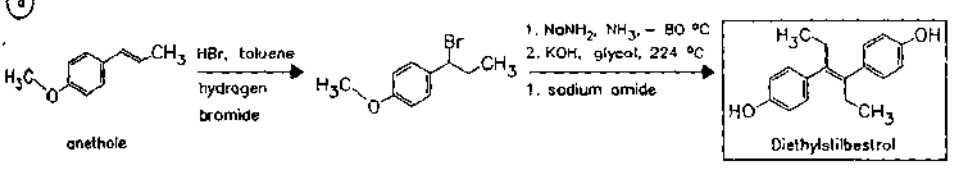
D:	Hetrazan (Lederle); wfm	GB:	Banocide (Wellcome); wfm	USA:	Hetrazan (Lederle); wfm
F:	Notézine (Specia); wfm	J:	Hetrazan (Lederle)		

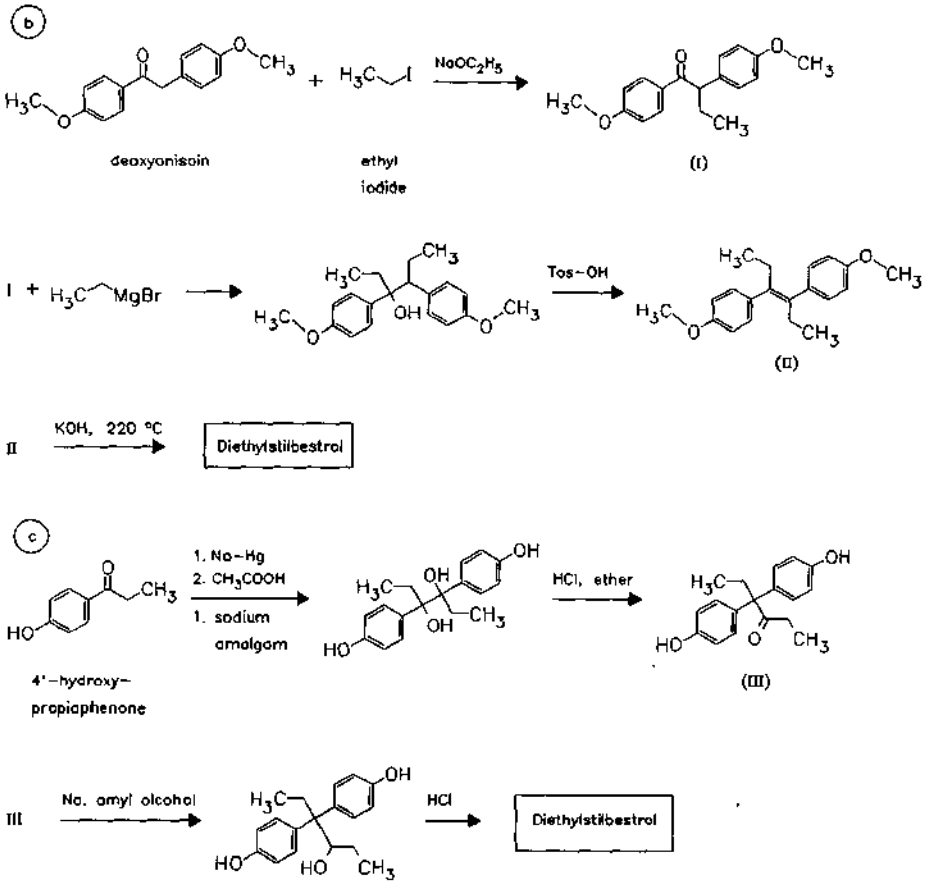
Diethylstilbestrol

(Diäthylstilböstrol)

ATC: G03CB02; G03CC05; L02AA01
Use: formerly in estrogenic hormone therapy, listed as a known carcinogen

RN: 56-53-1 MF: $C_{18}H_{20}O_2$ MW: 268.36 EINECS: 200-278-5
LD_{50}: 300 mg/kg (M, i.v.); >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (*E*)-4,4'-(1,2-diethyl-1,2-ethenediyl)bis[phenol]





Reference(s):

a US 2 392 852 (Lilly; 1946; prior. 1941).
US 2 402 054 (Lilly; 1946; prior. 1941).

b Dodds, E.C.: Nature (London) (NATUAS) **141**, 247 (1938).

c US 2 421 401 (Hoffmann-La Roche; 1947; S-prior. 1943).
DRP 715 542 (Schering AG; appl. 1939).

alternative syntheses:

Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 327.
GB 526 927 (Richter Gedeon; appl. 1939; H-prior. 1938).
BE 665 818 (Miles Lab.; appl. 23.6.1965; USA-prior. 24.6.1964).

review:

Solmssen, U.V.: Chem. Rev. (Washington, D. C.) (CHREAY) **37**, 481 (1945).
Ehrhart, Ruschig, **III**, 327.

Formulation(s): tabl. 1 mg, 5 mg

Trade Name(s):

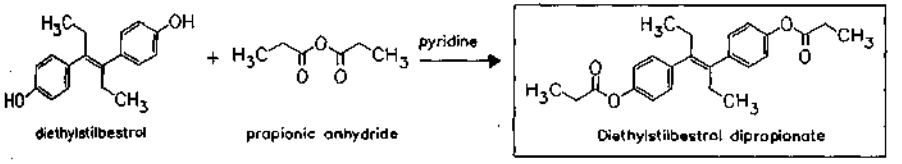
D: Cyren A (Bayer); wfm
F: Distilbène (Gerda)
GB: Menopax Cream (Nicholas); wfm
Stilboestrol and Lactid Acid (Norgine)-comb.; wfm
USA: Diethylstilbestrol (Lilly); wfm
Tylosterone (Lilly)-comb.; wfm

Diethylstilbestrol dipropionate

(Diethylstilboestrol-dipropionat; Diäthylstilboestrol-dipropionat)

ATC: G03CB
Use: estrogen

RN: 130-80-3 MF: $C_{24}H_{28}O_4$ MW: 380.48 EINECS: 204-995-4
CN: (*E*)-4,4'-(1,2-diethyl-1,2-ethenediyl)bis[phenol] dipropanoate



Reference(s):
Dodds, E.C. et al.: Proc. R. Soc. London, Ser. B (PRLBA4) **127**, 140 (1939).

Formulation(s): amp.

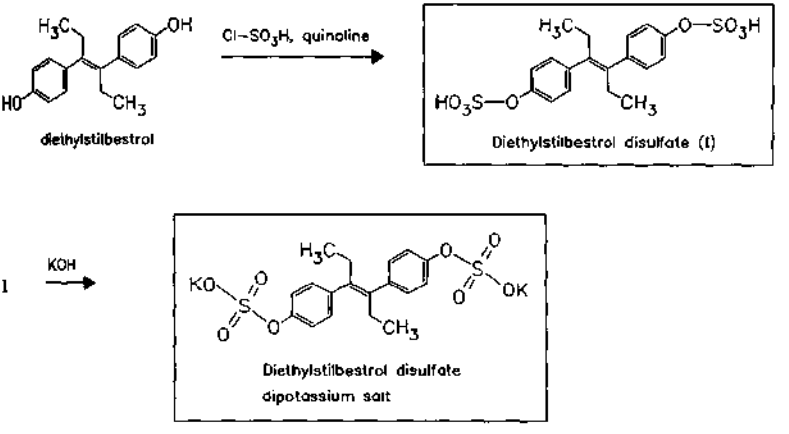
Trade Name(s):
D: Klimax "Taeschner" (Taeschner); wfm
USA: Dibestil (Breon); wfm

Diethylstilbestrol disulfate

(Diethylstilboestroldisulfat; Diäthylstilboestroldisulfat)

ATC: G03CB
Use: estrogen

RN: 316-23-4 MF: $C_{18}H_{20}O_8S_2$ MW: 428.48 EINECS: 206-257-7
CN: (*E*)-4,4'-(1,2-diethyl-1,2-ethenediyl)bis[phenol]bis(hydrogen sulfate)



Reference(s):
US 2 234 311 (Ciba; 1941; CH-prior. 1938).

Formulation(s): ointment

Trade Name(s):

I:	Idroestril (Maggioni); wfm	Pappy (Kanto)	Stilbestohormon (Tokyo Hosei)
J:	Estiol (Hokuriku)		

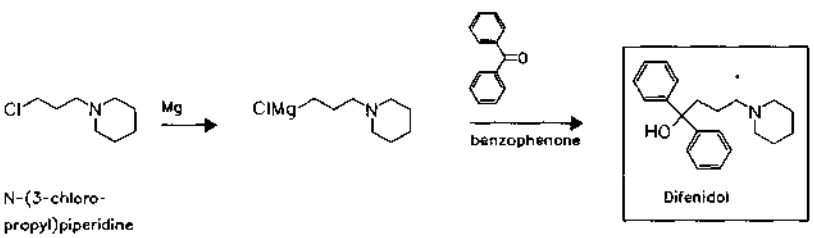
Difenidol

(Diphenidol)

ATC: A04; D04
Use: anti-emetic, antihistaminic

RN: 972-02-1 MF: $C_{21}H_{27}NO$ MW: 309.45 EINECS: 213-540-9
LD_{50}: 32 mg/kg (M, i.v.); 450 mg/kg (M, p.o.);
815 mg/kg (R, p.o.)
CN: α,α-diphenyl-1-piperidinebutanol

hydrochloride
RN: 3254-89-5 MF: $C_{21}H_{27}NO \cdot HCl$ MW: 345.91 EINECS: 221-850-0
LD_{50}: 37 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 515 mg/kg (R, p.o.)
pamoate (2:1)
RN: 26363-46-2 MF: $C_{21}H_{27}NO \cdot 1/2C_{23}H_{16}O_6$ MW: 1007.28



N-(3-chloro-propyl)piperidine

Reference(s):
US 2 411 664 (Ciba; 1946; CH-prior. 1941).

Formulation(s): tabl. 25 mg, 50 mg

Trade Name(s):

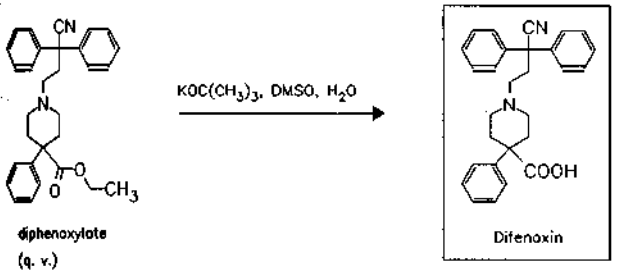
J:	Ansumin (SS Seiyaku)	Meniedolin (Toyo Shinyaku)		Verterge (Nippon Chemiphar)
	Antiul (Tokyo Hosei)	Meranom (Hokuriku)		Wansar (Hoei)
	Cephadol (Nippon S.)	Midnighton (Takata)		Yophadol (Yoshindo/ Horita)
	Cerachidol (Ono)	Pineroro (Maruko)		
	Cerrosa (Toyo Pharmar)	Promodor (Torii)	USA:	Vontrol (Smith Kline & French); wfm
	Degidole (Nihon Yakuhin)	Satanolon (Tatsumi)		
	Gipsydol (Nihon Yakuhin)	Sofalead (Nikken)		
	Maniol (Morishita)	Solnomin (Zensei)		
	Mecalmin (Yoshitomi-Takeda)	Tatimil (Mohan)		

Difenoxin

ATC: A07DA04
Use: antidiarrheal, antiperistaltic

RN: 28782-42-5 MF: $C_{28}H_{28}N_2O_2$ MW: 424.54
CN: 1-(3-cyano-3,3-diphenylpropyl)-4-phenyl-4-piperidinecarboxylic acid

monohydrochloride
RN: 35607-36-4 MF: $C_{28}H_{28}N_2O_2 \cdot HCl$ MW: 461.01 EINECS: 252-640-7
LD_{50}: 149 mg/kg (R, p.o.)



Reference(s):
DAS 1 953 342 (Janssen; appl. 23.10.1969; USA-prior. 4.11.1968).
US 3 646 207 (Janssen; 29.2.1972; appl. 4.11.1968).

Formulation(s): tabl. 0.5 mg

Trade Name(s):

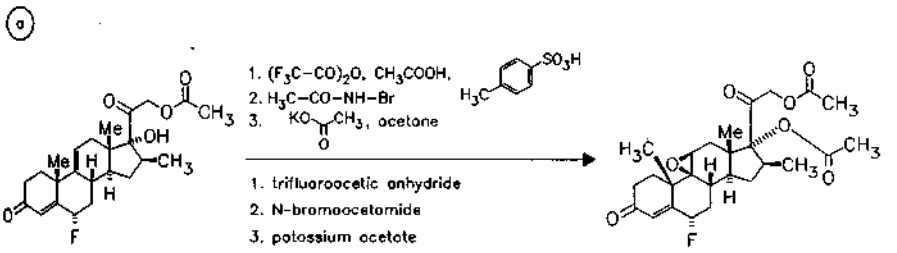
D:	Lyspafena (Cilag-Chemie)-comb.; wfm	I:	Motofen (Cilag)-comb.; wfm	USA:	Motofen (Carnrick; as hydrochloride)

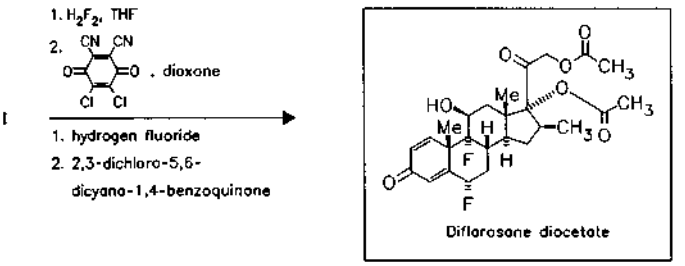
Diflorasone diacetate

ATC: D07AC10
Use: topical glucocorticoid

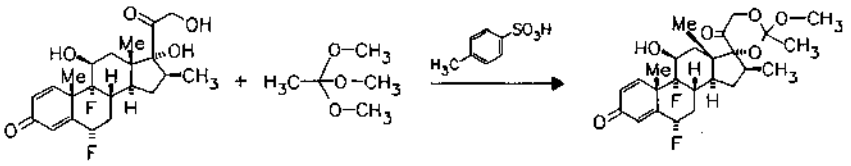
RN: 33564-31-7 MF: $C_{26}H_{32}F_2O_7$ MW: 494.53 EINECS: 251-575-1
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (6α,11β,16β)-17,21-bis(acetyloxy)-6,9-difluoro-11-hydroxy-16-methylpregna-1,4-diene-3,20-dione

diflorasone
RN: 2557-49-5 MF: $C_{22}H_{28}F_2O_5$ MW: 410.46 EINECS: 219-875-7





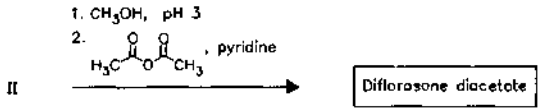
(b)



6α,9α-difluoro-3,20-dioxo-16β-methyl-11β,17,21-trihydroxy-1,4-pregnodiene

trimethyl orthoocetate

(II)



II

Diflorasone diacetate

Reference(s):
DE 2 308 731 (Upjohn; appl. 22.2.1973; USA-prior. 9.3.1972).
US 3 980 778 (Upjohn; 14.9.1976; appl. 20.5.1975; prior. 25.10.1973, 20.12.1972, 9.3.1972).
NL 7 303 262 (Upjohn; appl. 8.3.1973; USA-prior. 9.3.1972, 20.12.1972).

starting material:
US 3 557 158 (Upjohn; 19.1.1971; prior. 22.1.1962, 18.3.1959, 4.8.1958).

Formulation(s): cream 0.05 %; ointment 0.05 %

Trade Name(s):

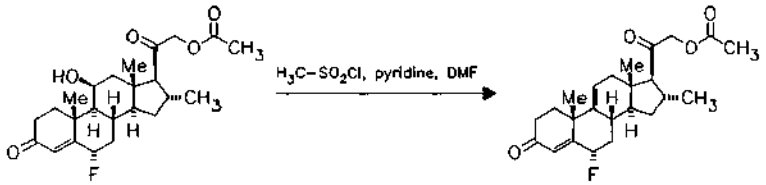
D:	Florone (Galderma; 1982)		Sterodelta crema (Gibipharma)		Difial (Yamanouchi; 1985)
I:	Dermaflor (Brocchieri)	J:	Diacort (Upjohn-Sumitomo; 1985)	USA:	Florone (Dermik; 1978)
	Sterodelta (Metapharma)				Maxiflor (Allergan; 1981)

Difluocortolone valerate

ATC: D07AC06
Use: glucocorticoid

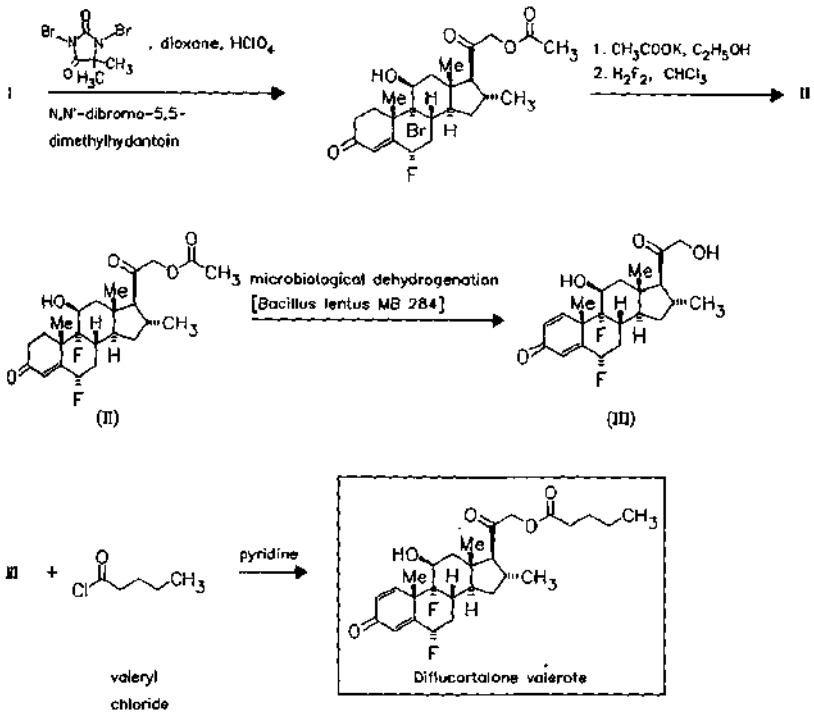
RN: 59198-70-8 MF: $C_{27}H_{36}F_2O_5$ MW: 478.58 EINECS: 261-655-8
LD_{50}: 450 mg/kg (M, i.p.); >4 g/kg (M, p.o.); 180 mg/kg (M, s.c.); 98 mg/kg (R, i.p.); 3.1 g/kg (R, p.o.); 13 mg/kg (R, s.c.)
CN: (6α,11β,16α)-6,9-difluoro-11-hydroxy-16-methyl-21-[(1-oxopentyl)oxy]pregna-1,4-diene-3,20-dione

difluocortolone
RN: 2607-06-9 MF: $C_{22}H_{28}F_2O_4$ MW: 394.46 EINECS: 220-022-6



21-acetoxy-3,20-dioxo-6α-fluoro-11β-hydroxy-16α-methyl-4-pregnene
(cf. fluocortolone synthesis)

(I)



Reference(s):
DE 1 211 194 (Schering; 27.7.1963) continuation of DE 1 169 444.
DE 1 169 444 (Schering; 22.2.1961).
Kieslich, K. et al.: Arzneim.-Forsch. (ARZNAD) **26**, 1462 (1976).
(alternative syntheses described)

Formulation(s): cream 0.1 %; ointment 0.1 %

Trade Name(s):

D: Neribas (Schering)
Nerisona (Schering)
Nerisona C (Schering)-comb.
Travocort (Schering)-comb.

F: Nerisone (Schering; as valerate)
Nerisone C (Schering; as valerate)-comb.

GB: Nerisone (Schering)

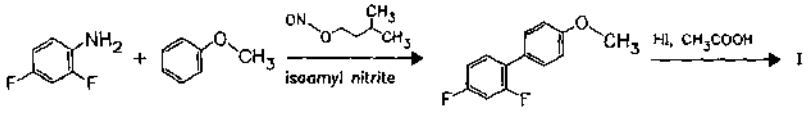
I: Cortical (Caber)
Cortifluoral (Schering)-comb.
Dermaflogil (Nuovo Cons. Sanit. Naz.)-comb.
Dermeval (Firma)
Dermobios (Biotekfarma)-comb.
Dervin (Boniscontro & Gazzone)
Dicortal (Medici)
Flu-Cortanest (Piam)
Impetex (Roche)-comb.
Nerisona (Schering)
Nerisona C (Schering)-comb.
Temetex (Roche)
several combination preparations

J: Afusona (Toyama)
Arusona (Hotta)
Dertron (Sankyo)
Lizatlone (Kaken)
Lorizon (Shinshin)
Neridalon (Taiyo)
Nerisona (Nippon Schering)
Sawatolone (Sawai)
Texmeten (Roche)
Youtolon (Tatsumi)

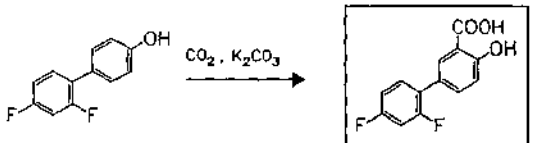
Diflunisal

ATC: N02BA11
Use: anti-inflammatory, analgesic, antipyretic

RN: 22494-42-4 MF: $C_{13}H_8F_2O_3$ MW: 250.20 EINECS: 245-034-9
LD_{50}: 439 mg/kg (M, p.o.);
392 mg/kg (R, p.o.)
CN: 2',4'-difluoro-4-hydroxy[1,1'-biphenyl]-3-carboxylic acid



2,4-difluoro-aniline | anisole | 4-(2,4-difluoro-phenyl)anisole



4-(2,4-difluoro-phenyl)phenol (I)

Reference(s):
Hannah, J. et al.: J. Med. Chem. (JMCMAR) **21**, 1093 (1978).
DE 1 618 663 (Merck & Co.; appl. 3.3.1967; USA-prior. 8.9.1966).
DAS 2 532 559 (Merck & Co.; appl. 21.7.1975; USA-prior. 22.7.1974, 16.4.1975, 1.5.1975).
US 3 674 870 (Merck & Co.; 4.7.1972; appl. 9.6.1970; USA-prior. 23.12.1964, 8.9.1966, 19.1.1968).
US 3 681 445 (Merck & Co.; 1.8.1972; appl. 19.1.1968; USA-prior. 23.12.1964, 8.9.1966).
US 3 714 226 (Merck & Co.; 30.1.1973; appl. 9.6.1970; prior. 23.12.1964, 8.9.1966, 19.1.1968).

alternative syntheses:
US 3 992 459 (Merck & Co.; 16.11.1976; appl. 1.5.1975).
US 4 131 618 (Merck & Co.; 26.12.1978; appl. 29.12.1977).
US 4 225 730 (Merck & Co.; 30.9.1980; appl. 11.5.1978; prior. 22.7.1974, 16.4.1975)

Formulation(s): tabl. 250 mg, 500 mg

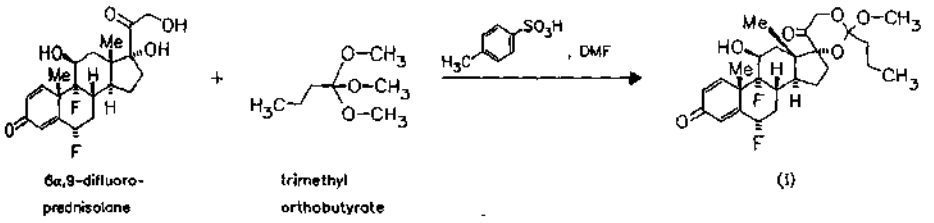
Trade Name(s):

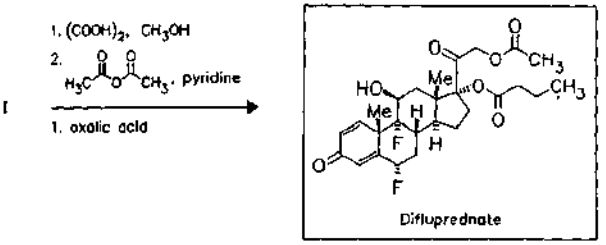
D: Fluniget (Ferlux; 1982); wfm
F: Dolobis (Merck Sharp & Dohme-Chibret; 1981)
GB: Dolobid (Morson; 1978)
I: Adomal (Malesci)
Aflogos (Biomedica Foscama; as arginine salt)
Artrodol (AGIPS)
Difludol (Edmond)
Diflusan (Leben's)
Dolisal (Guidotti)
Dolobid (Merck Sharp & Dohme; 1979)
Fluodonil (Biologici Italia)
Flustar (Firma)
Reuflos (Roussel)
J: Dolobid (Merck-Banyu; 1984)
USA: Dolobid (Merck; 1982)

Difluprednate

ATC: D07AC19
Use: topical glucocorticoid

RN: 23674-86-4 MF: $C_{27}H_{34}F_2O_7$ MW: 508.56 EINECS: 245-815-4
LD_{50}: >4 g/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: (6α,11β)-21-(acetyloxy)-6,9-difluoro-11-hydroxy-17-(1-oxobutoxy)pregna-1,4-diene-3,20-dione





Reference(s):
US 3 780 177 (Warner-Lambert; 18.12.1973; I-prior. 6.6.1967).
ZA 6 803 686 (Warner-Lambert; appl. 21.5.1968; I-prior. 16.6.1967).
Gardi, R. et al.: J. Med. Chem. (JMCMAR) **15**, 556 (1972).

Formulation(s): gel 0.05 %

Trade Name(s):
F: Epitopic (Gerda)
J: Myser (Mitsubishi Chem.-Tokyo Tanabe)

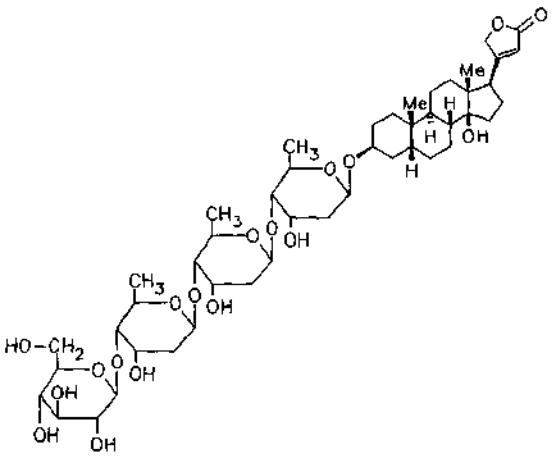
Digitoxin

ATC: C01AA04
Use: cardiac glycoside, cardiotonic

RN: 71-63-6 MF: $C_{41}H_{64}O_{13}$ MW: 764.95 EINECS: 200-760-5
LD_{50}: 0.18 mg/kg (cat, p.o.)
CN: (3β,5β)-3-[(*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-14-hydroxycard-20(22)-enolide

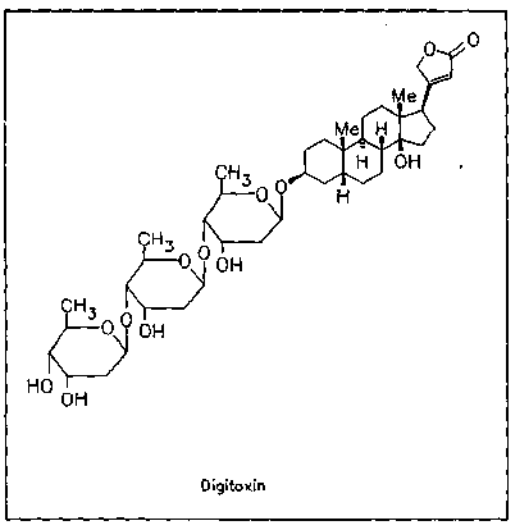
(a)

Digitalis purpurea —extraction→



purpureaglycoside A (I)

I —enzymatic hydrolysis [Digilanidase]→



Digitoxin

(b) from Digitalis lanata

Reference(s):

a DRP 646 930 (Sandoz; appl. 1933).
US 2 449 673 (Wyeth; 1948; prior. 1944).
US 2 557 916 (Wyeth; 1951; appl. 1948).
US 2 615 884 (Wyeth; 1952; prior. 1948).
HU 155 252 (Richter Gedeon; appl. 14.12.1966).

b HU 156 753 (Richter Gedeon; appl. 7.1.1968).
IN 62 497 (Council of Scientifique & Industrial Research; appl. 17.9.1958).

alternative syntheses:

Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. 8, 229.
DOS 2 006 926 (Deutsche Akademie der Wissenschaften; appl. 16.2.1970; DDR-prior. 15.8.1969).

Formulation(s): amp. 0.1 mg/ml, 0.25 mg/ml; eye drops 0.02 mg/ml; lotion; tabl. 0.05 mg, 0.07 mg, 0.1 mg, 0.25 mg

Trade Name(s):

D:	Digicor (Henning)		Diraultheon (Robugen)-comb.		Ditavène (Pharmadéveloppement)-comb.
	Digimed (Hormosan)		Ditaven (Cascan)-comb.		
	Digimed (Trommsdorff)		Ditaven Lot. (Cascan)	GB:	Nativelle Digitaline (Wilcox); wfm
	Digimerck (Merck)		mono-glycocard (R.A.N.)		
	Digipural (Schaper & Brümmer)		Recorsan-Herzdragees (Recorsan)	I:	Digifar (Farmila)-comb.
	Digitoxin Didier (Hormosan)		Tardigal (Beiersdorf)		Digitalina (Procter & Gamble)
	Digitoxin Hameln (Hameln)		Targital (Beiersdorf)		Digitos (Formulario Naz.)
	Digophton-Augentropfen (ankerpharm)		generics	J:	Digitoxin (Shionogi)
		F:	Digitaline Nativelle (Procter & Gamble)		Digitoxin-Sandoz (Sankyo)
				USA:	Crystodigin (Lilly)

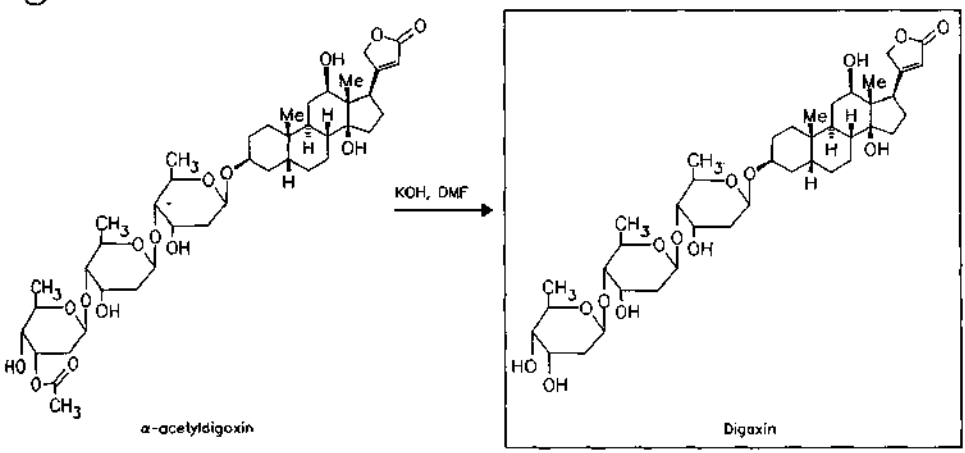
Digoxin

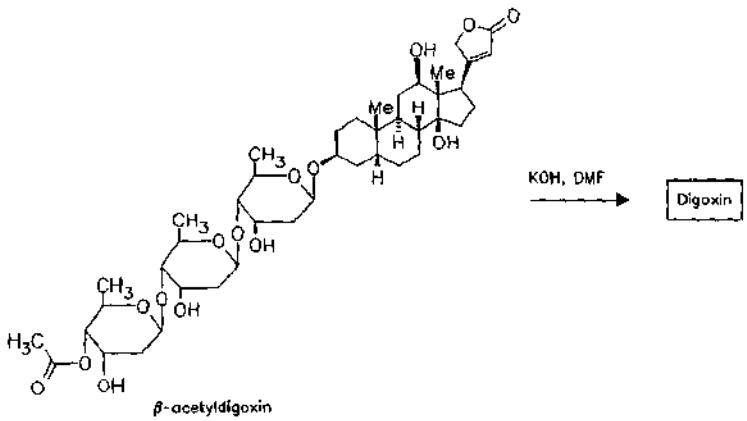
ATC: C01AA05
Use: cardiac glycoside, cardiotonic

RN: 20830-75-5 MF: $C_{41}H_{64}O_{14}$ MW: 780.95 EINECS: 244-068-1
LD_{50}: 7.670 mg/kg (M, i.v.); 17.78 mg/kg (M, p.o.);
25 mg/kg (R, i.v.); 28.27 mg/kg (R, p.o.)
CN: (3β,5β,12β)-3-[(*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-12,14-dihydroxycard-20(22)-enolide

(a) from Digitalis lanata by extraction

(b)





Reference(s):

a GB 337 091 (Wellcome Found.; appl. 1929).
Smith, S.: J. Chem. Soc. (JCSOA9) **1930**, 508.
IN 62 497 (Council of Scientific & Industrial Research; appl. 17.9.1958).
HU 149 778 (Richter Gedeon; appl. 8.12.1959).
HU 151 897 (Richter Gedeon; appl. 29.2.1964).
HU 156 753 (Richter Gedeon; appl. 7.6.1968).
DAS 2 225 039 (VEB Arzneimittelwerke Dresden; appl. 23.5.1972; DDR-prior. 24.1.1972).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 231.

b DD 70 088 (C. Lindig, K. Repke; appl. 1.11.1968).

alternative synthesis:
DD 134 644 (VEB Arzneimittelwerke Dresden; appl. 6.10.1977).

Formulation(s): amp. 0.1 mg/ml, 0.25 mg/ml, 0.2 mg/ml, 0.5 mg/2 ml; cps. 0.1 mg, 0.2 mg; drops; tabl. 0.125 mg, 0.25 mg

Trade Name(s):

D:	Digacin (Beiersdorf-Lilly)	GB:	Lanoxin (Glaxo Wellcome)	J:	Digosin (Chugai)
	Dilanacin (ASTA Medica AWD)	I:	Digomal (Malesci)		Digosin Erixir (Chugai)
	Lanicor (Boehringer Mannh.)		Digos (Biologici Italia)		Digoxin (Yamanouchi)
	Lenoxin (Glaxo Wellcome)		Digoss (Formulario Naz.; Sifra)		Lanoxin (Wellcome-Tanabe)
	Novodigal Amp. (Beiersdorf)		Digossina (Scfm)	USA:	Lanoxicaps (Glaxo Wellcome)
F:	Digoxine Nativelle (Procter & Gamble)		Eudigox (Astra-Simes)		Lanoxin (Glaxo Wellcome)
			Lanicor (Boehringer Mannh.)		generic
			Lanoxin (Wellcome)		

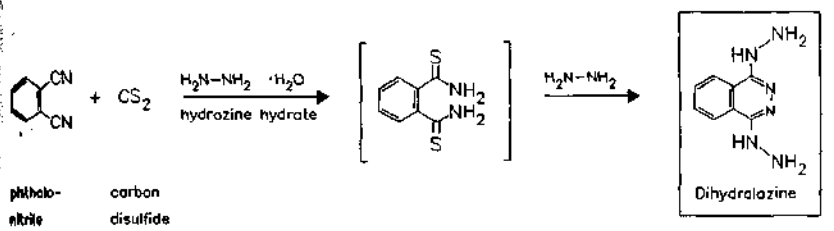
Dihydralazine

(Dihydrallazine)

ATC: C02DB01
Use: antihypertensive

RN: 484-23-1 MF: $C_8H_{10}N_6$ MW: 190.21 EINECS: 207-605-0
LD_{50}: 300 mg/kg (M, i.v.)
CN: 2,3-dihydro-1,4-phthalazinedione dihydrazone

sulfate (1:1)
RN: 7327-87-9 MF: $C_8H_{10}N_6 \cdot H_2SO_4$ MW: 288.29 EINECS: 230-808-0
LD_{50}: 400 mg/kg (M, p.o.);
400 mg/kg (R, p.o.)



phthalonitrile — carbon disulfide

Reference(s):
DE 845 200 (Cassella; appl. 1951).
DE 847 748 (Ciba; appl. 1949; CH-prior. 1947).

Formulation(s): tabl. 25 mg, 50 mg (as sulfate)

Trade Name(s):

D: Adelphan-Esidrix (Novartis Pharma)-comb.
Depressan (OPW)
Dihyzin (Henning Berlin)
Nepresol (Novartis Pharma)-comb.
Obsilazin (Isis Pharma)-comb.
Triniton (Apogepha)
Tri-Torrat (Boehringer Mannh.)-comb.

F: Népressol (Novartis; as hydrogen sulfate)

Trasipressol (Novartis; as hydrogen sulfate)-comb.

I: Adelfan (Novartis)-comb.
Ipogen (Gentili)-comb.
Nepresol (Novartis)

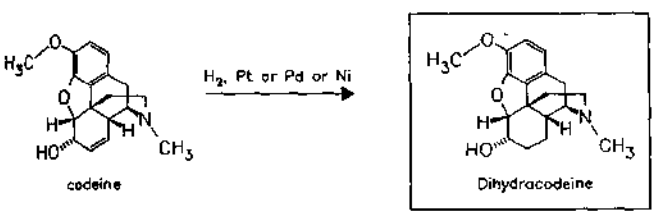
Dihydrocodeine

(Drocode)

ATC: N02AA08
Use: antitussive, analgesic

RN: 125-28-0 MF: $C_{18}H_{23}NO_3$ MW: 301.39 EINECS: 204-732-3
LD_{50}: 80 mg/kg (M, i.v.)
CN: (5α,6α)-4,5-epoxy-3-methoxy-17-methylmorphinan-6-ol

hydrogen tartrate (1:1)
RN: 5965-13-9 MF: $C_{18}H_{23}NO_3 \cdot C_4H_6O_6$ MW: 451.47 EINECS: 227-747-7
LD_{50}: 359 mg/kg (R, p.o.)



Reference(s):
Ehrhart, Ruschig **I**, 118.
Stein, A.: Pharmazie (PHARAT) **10**, 180 (1955).

Formulation(s): cps. 20 mg; sol. 10 mg/g; s. r. tabl. 60 mg, 90 mg, 120 mg; syrup 12.1 mg/5 ml; tabl. 10 mg (as hydrogen tartrate)

Trade Name(s):

D: Antibex forte (Lappe)-comb.
Antitussivum (Ysatfabrik)-comb.
DHC (Mundipharma)
Makatussin (Roland)-comb.
Paracodin (Knoll)

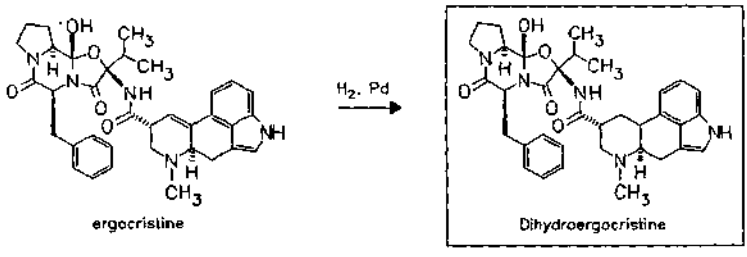
	Remedacen (Rhône-Poulenc Rorer)		Remedeine (Napp)-comb.	USA:	DHC plus (Purdue Frederick; as bitartrate)
	Tiamon (Temmler)-comb.	I:	Alla Paracodina (Knoll)-comb.		Synalgos-DC (Wyeth-Ayerst; as bitartrate)
F:	Dicodin (ASTA Medica; as tartrate)		Paracodina (Knoll)		
GB:	DF-118 forte (Napp)		Sciroppo Knoll paracodina (Knoll)-comb.		
	DHC Continus (Napp)		Tavolette (Knoll)		

Dihydroergocristine

ATC: C04AE04
Use: adrenolytic, sympatholytic

RN: 17479-19-5 MF: $C_{35}H_{41}N_5O_5$ MW: 611.74 EINECS: 241-493-4
CN: (5'α,10α)-9,10-dihydro-12'-hydroxy-2'-(1-methylethyl)-5'-(phenylmethyl)ergotaman-3',6',18-trione

monomesylate
RN: 24730-10-7 MF: $C_{35}H_{41}N_5O_5 \cdot CH_4O_3S$ MW: 707.85 EINECS: 246-434-6
LD_{50}: 70 mg/kg (M, i.v.); >2500 mg/kg (M, p.o.);
91 mg/kg (R, i.v.); 2643 mg/kg (R, p.o.);
>50 mg/kg (dog, i.v.); >1250 mg/kg (dog, p.o.)



constituent of dihydroergotoxine (q. v.)

Reference(s):
Stoll, A.; Hofmann, A.: Helv. Chim. Acta (HCACAV) **26**, 2070 (1943).

combination with pentoxifylline:
BE 865 891 (Roussel-Uclaf; appl. 11.4.1978; F-prior. 12.4.1977).

Formulation(s): amp. 0.3 mg/ml; sol. 1 mg/ml; tabl. 1.5 mg (as mesylate)

Trade Name(s):

D:	Bellaserp (Atmos)-comb.; wfm		Panthesin-Hydergin (Sandoz)-comb.; wfm		Decril (Damor)
	Briserin (Sandoz)-comb.; wfm		Rexiluven (Sandoz)-comb.; wfm		Defluina (Teofarma)
	Card-Hydergin (Sandoz)-comb.; wfm		Sinedyston (Steiner); wfm		Diertina (Poli)
	Decme (Spitzner); wfm		Vertebran N (Rentschler); wfm		Difluid (Bioprogress)
	Decme (Zyma); wfm		Wallerox (Sandoz); wfm		Ergo (Foletto)
	Defluina (Natrapharm)-comb.; wfm	F:	Cervilanc (Cassenne)-comb.		Ergotina (Ist. Chim. Inter.)
	Enirant Tropflösung (Desitin); wfm		Iskédyl (Pierre Fabre; as mesylate)-comb.		Gral (Boniscontro & Gazzone)
	Nehydrin (TAD); wfm	I:	Brinerdina (Sandoz)-comb.		Sandoven (Sandoz)-comb.
					Unergol (Poli)

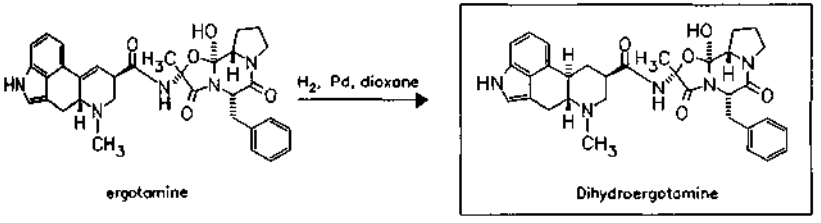
Dihydroergotamine

ATC: N02CA01
Use: sympatholytic, antimigraine agent

RN: 511-12-6 MF: $C_{33}H_{37}N_5O_5$ MW: 583.69 EINECS: 208-123-3
LD_{50}: 118 mg/kg (M, i.v.)
CN: (5'α,10α)-9,10-dihydro-12'-hydroxy-2'-methyl-5'-(phenylmethyl)ergotaman-3',6',18-trione

monomesylate
RN: 6190-39-2 MF: $C_{33}H_{37}N_5O_5 \cdot CH_4O_3S$ MW: 679.80 EINECS: 228-235-6
LD_{50}: >2 g/kg (M, p.o.);
>2 g/kg (R, p.o.)

tartrate (2:1)
RN: 5989-77-5 MF: $C_{33}H_{37}N_5O_5 \cdot 1/2C_4H_6O_6$ MW: 1317.46 EINECS: 227-816-1
LD_{50}: 118 mg/kg (M, i.v.);
110 mg/kg (R, i.v.)



Reference(s):
Stoll, A.; Hoffmann, A.: Helv. Chim. Acta (HCACAV) **26**, 2070 (1943).
DE 883 153 (Sandoz; appl. 1941; CH-prior. 1940).

nasal formulation:
DOS 2 802 113 (Sandoz; appl. 19.1.1978).

Formulation(s): amp. 1 mg, 2 mg; s. r. cps. 2.5 mg; 5.0 mg; tabl. 1 mg, 2.5 mg (as mesylate)

Trade Name(s):

D:
- Agit (Sanofi Winthrop)
- Agit (Sanofi Winthrop)-comb.
- Angionorm (Farmasan)
- clavigrenin (Hormosan)
- DET-MS (Rentschler)
- DHE-Puren (Isis Puren)
- Dihydergot-forte/retard (Novartis Pharma)
- Dihydergot-plus (Novartis Pharma)-comb.
- Dihytamin (ASTA Medica AWD)
- Effortil (Boehringer Ing.)-comb.
- Embolex (Novartis Pharma)-comb.
- Ergo-Lonarid (Boehringer Ing.)-comb.
- Ergomimet (Klinge)
- Ergont (Desitin)
- ergotam (ct-Arzneimittel)
- Optalidon (Novartis Pharma)
- Tonopres-forte (Boehringer Ing.)
- Venelbin (Hoechst)-comb.
- Verladyn (Verla)

F:
- Ikaran (Pierre Fabre; as mesylate)
- Séglor (Sanofi Winthrop; as mesylate)
- Tamik (EG Labo; as mesylate)

GB: Dihydergot (Sandoz); wfm

I:
- Diidergot (Sandoz)
- Ikaran (Formenti)
- Seglor (Synthelabo)

J: Dihydergot (Sandoz-Sankyo)

USA: DHE 45 (Novartis)

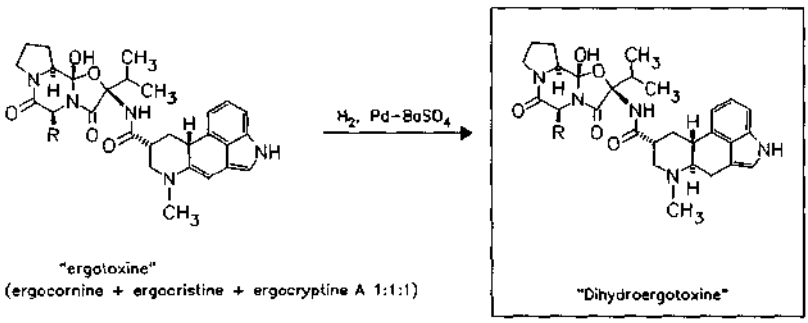
Dihydroergotoxine

(Dihydroergocornine Dihydro-α-ergocryptine)

ATC: C04AE
Use: sympatholytic, cognition adjuvant

RN: 11032-41-0 MF: unspecified MW: unspecified
LD_{50}: 71 mg/kg (M, s.c.)
CN: dihydroergotoxine

mesylate
RN: 8067-24-1 MF: unspecified MW: unspecified



9,10-Dihydroergocornine R: 

9,10-Dihydroergocristine R: 

9,10-Dihydroergocryptine A R: 

Reference(s):
Stoll, A.; Hofmann, A.: Helv. Chim. Acta (HCACAV) **26**, 2070 (1943).
DE 883 153 (Sandoz; appl. 1941; CH-prior. 1940).

nasal formulation:
DOS 2 802 113 (Sandoz; appl. 19.1.1978).

Formulation(s): amp. 0.3 mg/ml, 1.5 mg/5 ml; sol. 1 mg/ml, 2 mg/ml; tabl. 2 mg

Trade Name(s):

D:
Circanol (3M Medica)
Dacoren (Nattermann)
DCCK (Rentschler)
Defluina (Nattermann)
Enirant (gepepharm)
Ergodesit (Desitin)
ergoplus (Hormosan)
ergotux (ct-Arzneimittel)
Hydergin/-forte (Novartis Pharma)
Hydro-Cebral-ratiopharm (ratiopharm)
Nehydrin (TAD)
Orphol (Opfermann)
Sponsin (Farmasan)

F:
Capergyl (Thérica)
Ergodose (Murat; as mesylate)
Hydergine (Novartis; as mesylate)
Optamine (Théraplix)
Pérénan (Sanofi Winthrop)

GB:
Hydergine (Novartis)

I:
Coristin (San Carlo)
Hydergina (Sandoz)
Ischelium (Polifarma)
Ischelium Papaverina (Polifarma)-comb. with papaverine hydrochloride
Progeril (Midy)
Progeril Papaverina (Midy)-comb. with papaverine
Trelidat (Coop. Farm.)
Visergil (Sandoz)-comb.

J:
Hydergine (Sandoz-Sankyo)

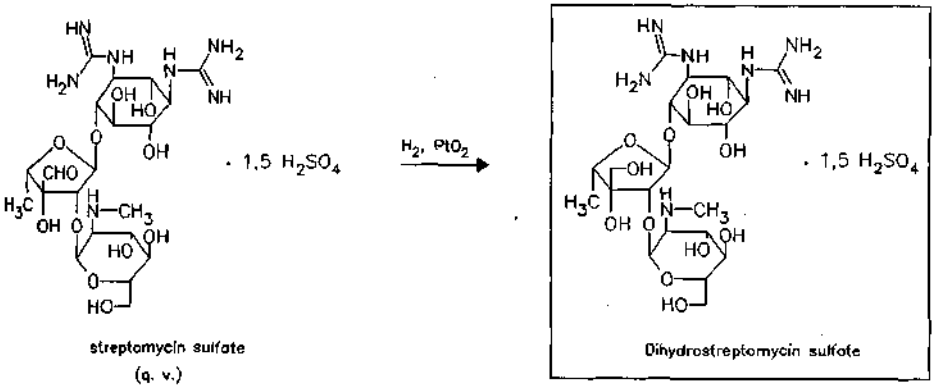
USA:
Circanol (Riker); wfm
Deapril (Mead Johnson); wfm
Hydergine (Sandoz); wfm
Hydro-Ergoloid (Schein); wfm
Hydro-Ergot (Interstate); wfm

Dihydrostreptomycin sulfate

ATC: S01AA15
Use: antibiotic

RN: 5490-27-7 MF: $C_{21}H_{41}N_7O_{12} \cdot 3/2H_2SO_4$ MW: 1461.43 EINECS: 226-823-7
LD_{50}: 186 mg/kg (M, i.v.)
CN: *O*-2-deoxy-2-(methylamino)-α-L-glucopyranosyl-(1→2)-*O*-5-deoxy-3-*C*-(hydroxymethyl)-α-L-lyxofuranosyl-(1→4)-*N*,*N*'-bis(aminoiminomethyl)-D-streptamine sulfate (2:3) (salt)

dihydrostreptomycin
RN: 128-46-1 MF: $C_{21}H_{41}N_7O_{12}$ MW: 583.60 EINECS: 204-888-2
LD_{50}: 200 mg/kg (M, i.v.);
200 mg/kg (R, i.v.)



streptomycin sulfate (q. v.)

Dihydrostreptomycin sulfate

Reference(s):
US 2 498 574 (Merck & Co.; 1950; prior. 1946).
GB 642 249 (Squibb; appl. 1947; USA-prior. 1946).

review:
Ehrhart, Ruschig **IV**, 317.

Formulation(s): amp. 1 g/2 ml; vial 1 g

Trade Name(s):

D: Didrothenat (Grünenthal); wfm
Dihydrostreptomycin "Heyl" (Heyl); wfm
Dihydrostreptomycin "Heyl" Double-mycin (Heyl)-comb.; wfm
Entera-strept (Heyl)-comb.; wfm
Penimycin (Winger)-comb.; wfm
Solvo-strept (Heyl); wfm

F: Abiocine (Lepetit); wfm
Dihydromycine (Specia); wfm

GB: Dihydrostreptomycine Diamant (Diamant); wfm
Entercine (Robapharm)-comb.; wfm
Tri-antibiotique Chibret (Chibret)-comb.; wfm
numerous combination preparations; wfm
Guanimycin (Allen & Hanburys)-comb.; wfm

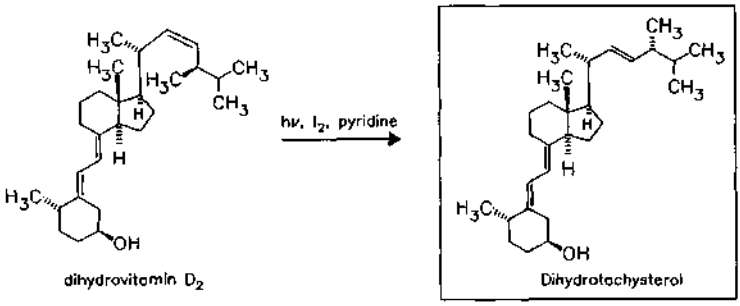
I: Dihydrostreptomicina Icar (ISF); wfm
Streptoguanidin (Lisapharma)-comb.; wfm
Streptomagna (Wyeth)-comb.; wfm
Streptomicina Morgan (Morgan); wfm
Trimicina (Farmitalia)-comb.; wfm
combination preparations; wfm

Dihydrotachysterol

(Dihydrotachysterin)

ATC: A11CC02
Use: calcium regulator, vitamin D-analog

RN: 67-96-9 MF: $C_{28}H_{46}O$ MW: 398.68 EINECS: 200-672-7
LD_{50}: 288 mg/kg (M, p.o.)
CN: (3β,5*E*,7*E*,10α,22*E*)-9,10-secoergosta-5,7,22-trien-3-ol



Reference(s):
DE 1 108 215 (Merck AG; appl. 22.12.1959).

synthesis of dihydrovitamin D_2:
Schubert, K.: Biochem. Z. (BIZEA2) **327**, 507 (1956).

alternative syntheses:
DE 730 017 (IG Farben; appl. 1938).
DE 1 026 748 (Philips Gloilampenfabrieken; appl. 1956; NL-prior. 1955).
US 2 228 491 (Winthrop; 1941; D-prior. 1938).

medical use:
DE 1 492 177 (A. Schumacher; appl. 3.11.1965).

Formulation(s): cps. 0.125 mg, 0.5 mg; drops 0.1 %; syrup 0.25 mg/ml

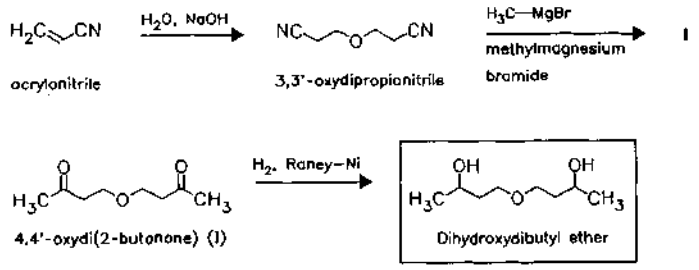
Trade Name(s):

D:	A.T.10 (Bayer)	F:	Calcamine (Wander); wfm	J:	A.T.10 (Bayer)
	Tachystin (Chauvin ankerpharm)	GB:	A.T.10 (Sanofi Winthrop)		Hytakerol (Torii)
		I:	A.T.10 (Bayer-Yoshitomi)	USA:	DHT (Roxane)

Dihydroxydibutyl ether

ATC: A03
Use: choleretic, antispasmodic

RN: 821-33-0 MF: $C_8H_{18}O_3$ MW: 162.23 EINECS: 212-475-3
CN: 4,4'-oxybis[2-butanol]



Reference(s):
FR 1 267 084 (M. A. Joulty; appl. 1960).

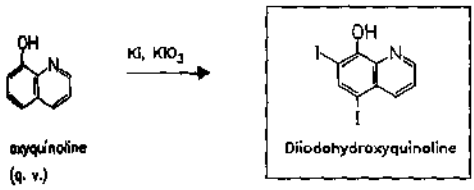
Formulation(s): cps. 500 mg; sol. 0.35 g/ml

Trade Name(s):
F: Dyskinébyl (Novartis)
I: Discinil (Lusofarmaco)
Discinil Complex (Lusofarmaco)-comb.
Diskin (Benedetti)
Fluidobil (Lifepharma)-comb.

Diiodohydroxyquinoline
(Diiodohydroxyquin; Iodoquinol)

ATC: G01AC01
Use: intestinal antiseptic, antiamebic

RN: 83-73-8 MF: $C_9H_5I_2NO$ MW: 396.95 EINECS: 201-497-9
LD_{50}: 56 mg/kg (M, i.v.)
CN: 5,7-diiodo-8-quinolinol



Reference(s):
DRP 411 050 (F. Passek; 1925).

Formulation(s): cream 1 % (comb. with hydrocortisone); tabl. 210 mg, 650 mg

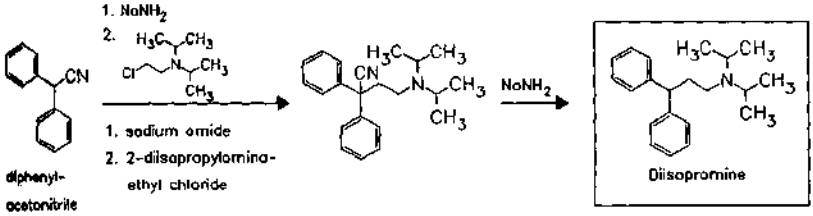
Trade Name(s):
D: Entero-sediv (Grünenthal)-comb.; wfm
F: Direxiode (Delalande); wfm
GB: Diodoquin (Searle); wfm
I: Diiodoidrossichina (Tariff. Integrativo)
Ioquin (Abbott); wfm
USA: Vytone (Dermik)
Yodoxin (Glenwood)

Diisopromine

ATC: A03AX02
Use: choleretic, antispasmodic

RN: 5966-41-6 MF: $C_{21}H_{29}N$ MW: 295.47 EINECS: 227-752-4
CN: *N,N*-bis(1-methylethyl)-γ-phenylbenzenepropanamine

hydrochloride
RN: 24358-65-4 MF: $C_{21}H_{29}N \cdot HCl$ MW: 331.93 EINECS: 246-201-9



Reference(s):
GB 808 158 (Janssen; appl. 1956; NL-prior. 1955).

Formulation(s): tabl. (comb. with 2 mg diisopromine)

Trade Name(s):

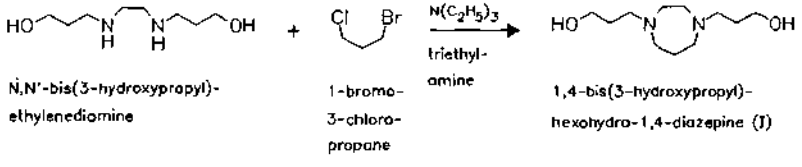
D:	Agofell (Janssen)	Ulcolind (Lindopharm)-comb.; wfm	F:	Mégabyl (LeBrun); wfm
			I:	Do-Bil (Dompé); wfm

Dilazep

ATC: C01DX10
Use: coronary vasodilator

RN: 35898-87-4 MF: $C_{31}H_{44}N_2O_{10}$ MW: 604.70
CN: 3,4,5-trimethoxybenzoic acid (tetrahydro-1*H*-1,4-diazepine-1,4(5*H*)-diyl)di-3,1-propanediyl ester

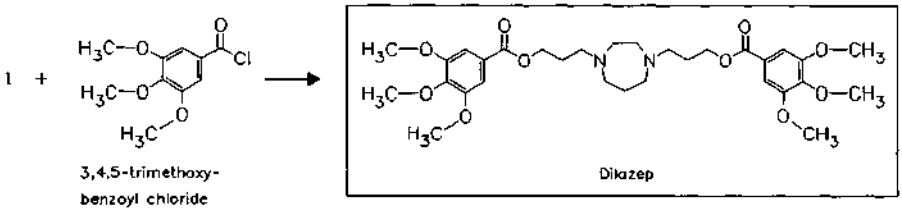
dihydrochloride
RN: 20153-98-4 MF: $C_{31}H_{44}N_2O_{10} \cdot 2HCl$ MW: 677.62 EINECS: 243-548-8
LD_{50}: 16.8 mg/kg (M, i.v.); 2860 mg/kg (M, p.o.);
13.7 mg/kg (R, i.v.); >2150 mg/kg (R, p.o.);
11.2 mg/kg (dog, i.v.); >316 mg/kg (dog, p.o.)



N,N'-bis(3-hydroxypropyl)-ethylenediamine

1-bromo-3-chloro-propane

1,4-bis(3-hydroxypropyl)-hexohydro-1,4-diazepine (J)



3,4,5-trimethoxy-benzoyl chloride

Dilazep

Reference(s):
GB 1 107 470 (ASTA-Werke; appl. 2.12.1966; D-prior. 16.12.1965).
DE 1 545 575 (ASTA-Werke; appl. 16.12.1965).
US 3 532 685 (ASTA-Werke; 6.10.1970; D-prior. 16.12.1965).

Formulation(s): drg. 56 mg (as dihydrochloride)

Trade Name(s):

D:	Cormelian (ASTA Medica); wfm	I:	Cormelian (Schering)
		J:	Comelian (Kowa)

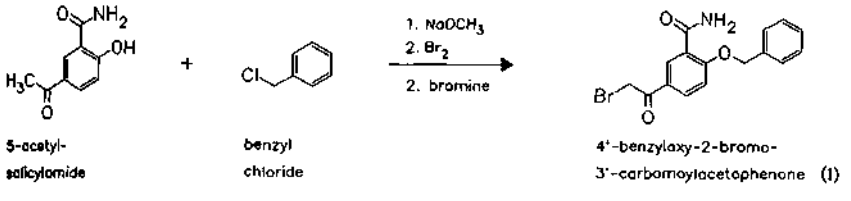
Dilevalol

((*R,R*)-Labetalol)

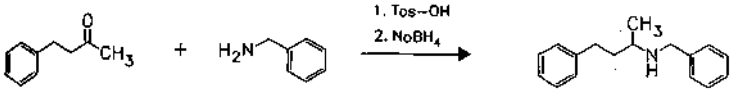
ATC: C02CB
Use: α- and β-adrenoceptor antagonist, isomer of labetalol, antihypertensive

RN: 75659-07-3 MF: $C_{19}H_{24}N_2O_3$ MW: 328.41
LD_{50}: 1719 mg/kg (M, p.o.);
1228 mg/kg (R, p.o.)
CN: [*R*-(*R**,*R**)]-2-hydroxy-5-[1-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]ethyl]benzamide

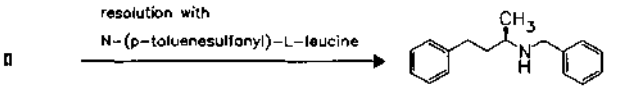
monohydrochloride
RN: 75659-08-4 MF: $C_{19}H_{24}N_2O_3 \cdot HCl$ MW: 364.87
LD_{50}: 1079 mg/kg (M, p.o.);
82 mg/kg (R, i.v.); 1026 mg/kg (R, p.o.)



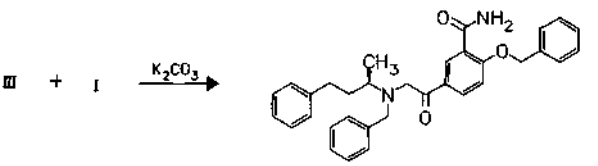
5-acetyl-salicylamide + benzyl chloride → 4'-benzylaxy-2-bromo-3'-carbamoylacetophenone (I)



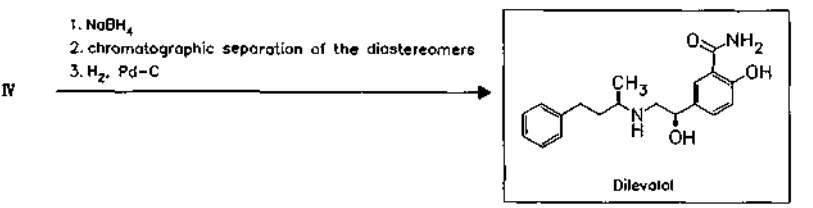
4-phenyl-2-butanone + benzylamine → (±)-N-benzyl-1-methyl-3-phenylpropylamine (II)



II → (R)-(+)-N-benzyl-1-methyl-3-phenylpropylamine (III)



III + I → O-benzyl-5-[N-benzyl-N-[(R)-1-methyl-3-phenylpropyl]-glycyl]salicylamide (IV)



IV → Dilevalol

Reference(s):
EP 9 702 (Schering Corp.; appl. 17.9.1979; USA-prior. 20.9.1978).

improvement of diastereomer separation:
DOS 2 616 403 (Scherico; appl. 14.4.1976; USA-prior. 17.4.1975).
US 4 173 583 (Schering Corp.; 6.11.1979; appl. 21.9.1978; prior. 17.4.1975).

synthesis without chromatographic purification:
EP 92 787 (Schering Corp.; appl. 20.4.1983; USA-prior. 26.4.1982).

chiral reduction of IV:
EP 382 157 (Schering Corp.; appl. 6.2.1990; USA-prior. 10.2.1989, 26.9.1989).
US 4 948 732 (Schering Corp.; 14.8.1990; prior. 26.9.1989, 10.2.1989).
Clifton, J.E. et al.: J. Med. Chem. (JMCMAR) **25**, 670 (1982).
Gold, E.H. et al.: J. Med. Chem. (JMCMAR) **25**, 1363 (1982).

Formulation(s): tabl. 50 mg, 100 mg

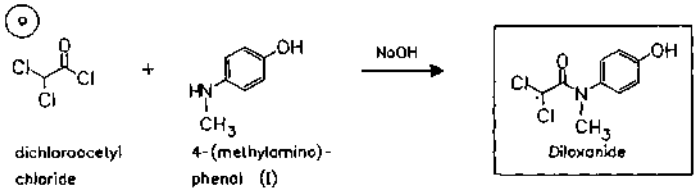
Trade Name(s):

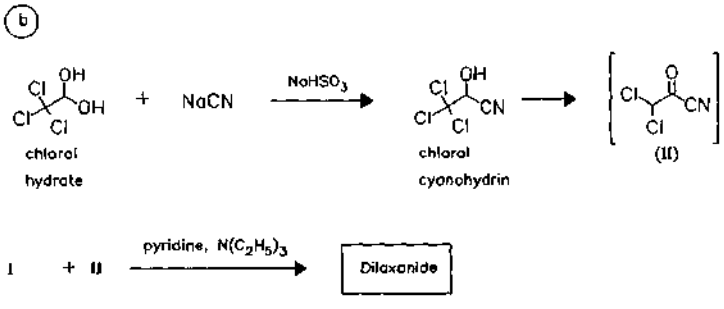
I:	Abetol (CT)	Lolum (Lifepharma)	J:	Dilevalon (Shionogi; 1989 as hydrochloride); wfm	
	Alfabetal (Mitim)	Pressalolo (Locatelli)			
	Amipress (Salus Research)	Pressalolo (Locatelli)-comb.		Levadil (Schering Corp.; 1990 as hydrochloride); wfm	
	Biotens (Kernyos)-comb.	Trandate (Glaxo)			
	Diurolab (Leben's)	Trandiur (Teofarma)			
	Ipolab (Leben's)				

Diloxanide

ATC: P01AC01
Use: antiamebic, antiprotozoal

RN: 579-38-4 MF: $C_9H_9Cl_2NO_2$ MW: 234.08 EINECS: 209-439-4
LD_{50}: 2 g/kg (M, p.o.)
CN: 2,2-dichloro-*N*-(4-hydroxyphenyl)-*N*-methylacetamide





Reference(s):
a GB 767 148 (Boots; appl. 1954).
b GB 786 806 (Boots; appl. 22.7.1955; Compl. 3.7.1956).

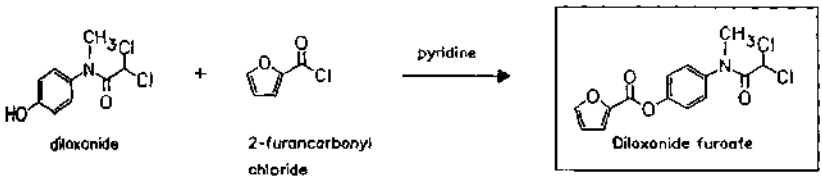
Formulation(s): 1.5 g/day

Trade Name(s):
J: Entamide (Boots)

Diloxanide furoate

ATC: P01AX
Use: antiamoebic, antiprotozoal

RN: 3736-81-0 MF: $C_{14}H_{11}Cl_2NO_4$ MW: 328.15 EINECS: 223-108-1
CN: 2-furancarboxylic acid 4-[(dichloroacetyl)methylamino]phenyl ester



Reference(s):
GB 855 556 (Boots; prior. 6.5.1958, 4.6.1958, 14.4.1959).

Formulation(s): tabl. 500 mg

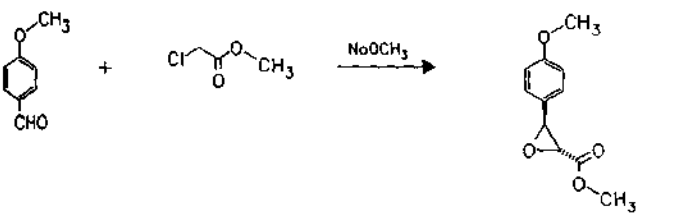
Trade Name(s):
GB: Furamide (Knoll)

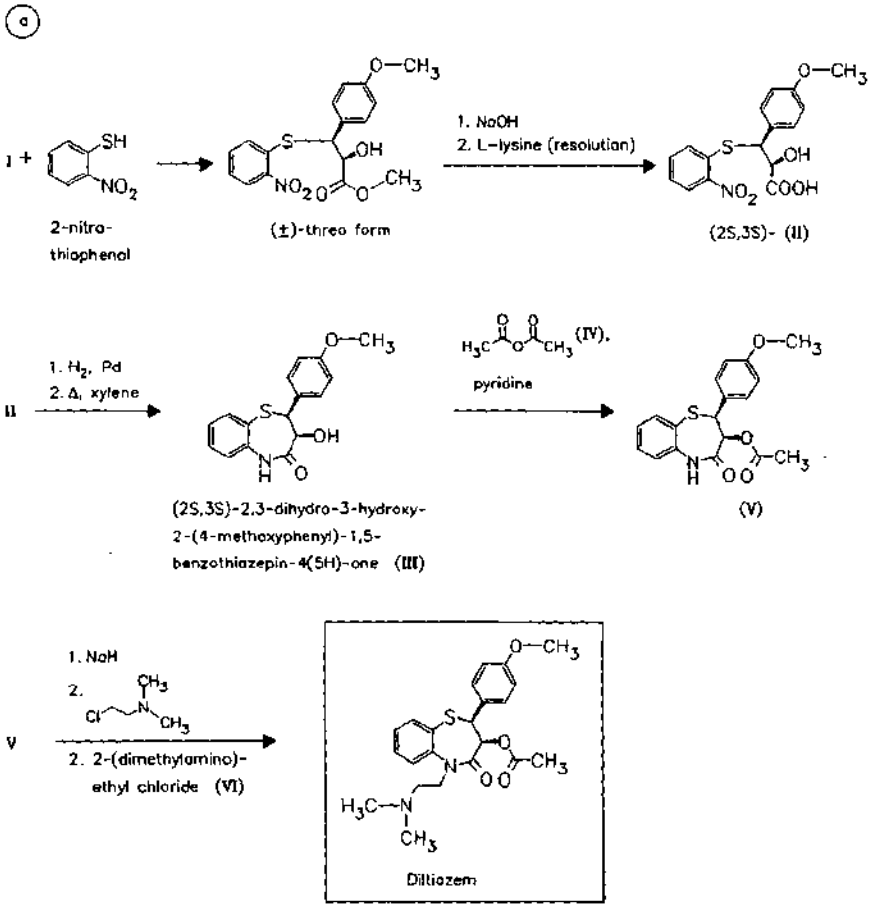
Diltiazem

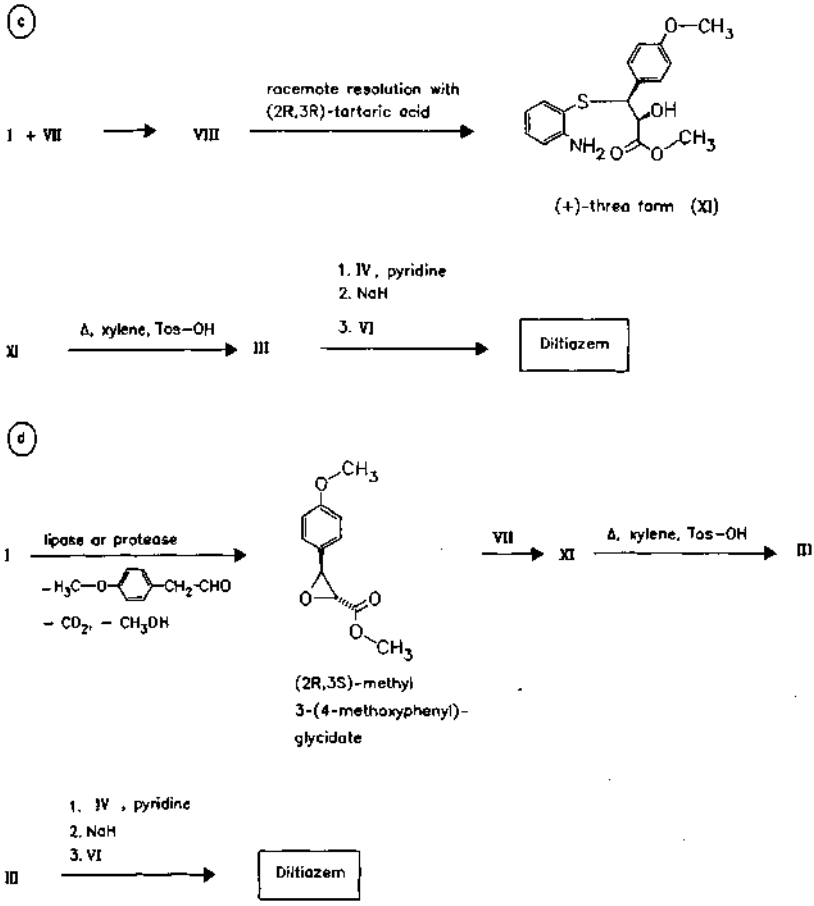
ATC: C08DB01
Use: coronary therapeutic (calcium antagonist)

RN: 42399-41-7 MF: $C_{22}H_{26}N_2O_4S$ MW: 414.53 EINECS: 255-796-4
LD_{50}: 61 mg/kg (M, i.v.); 740 mg/kg (M, p.o.)
CN: (2*S*-*cis*)-3-(acetyloxy)-5-[2-(dimethylamino)ethyl]-2,3-dihydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-4(5*H*)-one

monohydrochloride
RN: 33286-22-5 MF: $C_{22}H_{26}N_2O_4S \cdot HCl$ MW: 450.99 EINECS: 251-443-3
LD_{50}: 58 mg/kg (M, i.v.); 508 mg/kg (M, p.o.);
38 mg/kg (R, i.v.); 560 mg/kg (R, p.o.)




a
I +
2-nitro-thiophenol
(±)-threo form
1. NaOH
2. L-lysine (resolution)
(2S,3S)- (II)
1. H2, Pd
2. Δ, xylene
II
(2S,3S)-2,3-dihydro-3-hydroxy-2-(4-methoxyphenyl)-1,5-benzothiazepin-4(5H)-one (III)
(IV),
pyridine
(V)
1. NaH
2.
2. 2-(dimethylamino)-ethyl chloride (VI)
V
Diltiazem
b
I +
2-amino-thiophenol (VII)
(±)-threo-methyl 2-hydroxy-3-(2-aminophenylthio)-3-(4-methoxyphenyl)-propionate (VIII)
NaOH
(±)-threo-form (IX)
IX
α-methylbenzylamine or cinchonidine
(2S,3S) (X)
X
Δ, xylene
III
1. IV , pyridine
2. NaH
3. VI
Diltiazem



Reference(s):

Kugita, H. et al.: Chem. Pharm. Bull. (CPBTAL) (Tokyo) **18**, 2028, 2284 (1970); **19**, 595 (1971).
DE 1 805 714 (Tanabe; appl. 28.10.1968; J-prior. 28.10.1967, 17.6.1968).
US 3 562 257 (Tanabe; 9.2.1971; J-prior. 28.10.1967, 17.6.1968).

a US 4 420 628 (Tanabe Seiaku; appl. 13.12.1983; J-prior. 27.2.1981, 22.5.1981).
Inoue, H. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4), **1984**, 1725.
Inoue, H. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4), **1985**, 421.

b US 4 416 819 (Tanabe; 22.11.1983; appl. 9.7.1982).
US 4 438 035 (Tanabe; 20.3.1984; appl. 1.12.1982; J-prior. 7.12.1981).

c US 5 144 025 (Zambon Group S.p.A.; 1.9.1992; I-prior. 2.4.1990).
EP 669 327 (Zambon Group A.p.A.; appl. 12.4.1990; I-prior. 13.4.1989).

d US 5 274 300 (Sepracor; 28.12.1993; appl. 10.2.1089; prior. 26.10.1988).
US 5 244 803 (Tanabe; 14.9.1993; appl. 7.9.1990; J-prior. 13.9.1989).
Gentile, A.; Giordano, C.: J. Org. Chem. (JOCEAH) **57**, 6635 (1992).
Rossy, G. et al. (Synthelabo): Manuf. Chem. (MCHMDI) **1993** (4), 20.

alternative synthesis:
glycidic ester via chlorohydrin route:
US 5 081 240 (Sanofi; 14.1.1992; F-prior. 18.7.1989).

enantioselective Darzens condensation:
Schwartz, A. et al.: J. Org. Chem. (JOCEAH) **57**, 851 (1992).

condensation of cyclic sulfite with 2-aminothiophenol:
Lohray, B.B. et al.: J. Org. Chem. (JOCEAH) **60**, 5983 (1995).

further routes:
DOS 3 337 176 (Ist Lusofarmaco; appl. 12.10.1983; I-prior. 15.10.1982).
DOS 3 415 035 (Shionogi; appl. 19.4.1984; J-prior. 21.4.1983).
EP 158 303 (Abic; appl. 5.4.1985; IL-prior. 13.4.1984).

combination with dihydropyridines:
US 4 504 476 (A. Schwartz et al.; 12.3.1985; appl. 16.9.1983).

inhalative formulation:
EP 133 252 (Gödecke AG; appl. 19.7.1984; D-prior. 20.7.1983).

slow and controlled release formulations:
EP 315 197 (Gödecke AG; appl. 4.11.1988; D-prior. 6.11.1987).
EP 318 398 (Ethypharm; appl. 25.11.1988; F-prior. 26.11.1987).
EP 320 097 (Elan Corp.; appl. 14.10.1988; IE-prior. 16.10.1987, 20.11.1987, 18.3.1988).
EP 340 105 (Sanofi; appl. 25.4.1989; F-prior. 27.4.1988).
US 4 859 470 (Alza Corp.; 22.8.1989; appl. 2.6.1988).
US 5 000 962 (Schering Corp.; 19.3.1991; appl. 25.8.1989).

Formulation(s): amp. 10 mg, 100 mg; cps. 60 mg, 90 mg, 120 mg, 180 mg, 240 mg; lyo. 25 mg; s. r. cps. 90 mg, 120 mg, 180 mg, 240 mg; s. r. tabl. 120 mg, 180 mg; tabl. 30 mg, 60 mg, 90 mg

Trade Name(s):

D:
Corazet (Mundipharma)
Dilicardin (Azupharma)
Dilsal (TAD)
Dil-Sanorania (Sanorania)
Dilta (AbZ-Pharma)
Diltahexal (Hexal)
Diltaretard (betapharm)
Dilti (ct-Arzneimittel)
Diltiuc (durachemie)
Dilzem (Gödecke; 1981)
dilzereal (realpharma)

F:
Bi-Tildiem (Labs. Synthélabo)
Deltrazen (Pharmacia & Upjohn SA)
Diacor (Labs. Houdé)
Dilrène (Dakota)
Mono-Tildiem (Labs. Synthélabo)
Tildiem (Labs. Synthélabo; 1980)

GB:
Adizem XL (Napp)
Angitil SR (Trinity)
Britiazim (Thames)
Dilzem SR (Elan)
Slozem (Lipha)
Tildiem (Lorex; 1984)
Viazem XL (Du Pont)

I:
Altiazem (Lusofarmaco; 1984)
Angizem (Inverni della Beffa)
Carzem (Rottapharm)
Citizem (CT)
Diladel (Delalande Isnardi)
Dilem (Ist. Chim. Inter.)
Dilzene (Sigma-Tau)
Tildiem (Synthelabo)
Zilden (Schiapparelli)

J:
Clarute (Santen)
Gadoserin (Toho)
Helsibon (Tobishi)
Herbesser (Tanabe; 1987)
Pazeadin (Taiyo)
Tiaves (Rorer)
Ziruvate (Choseido-Kayaku)

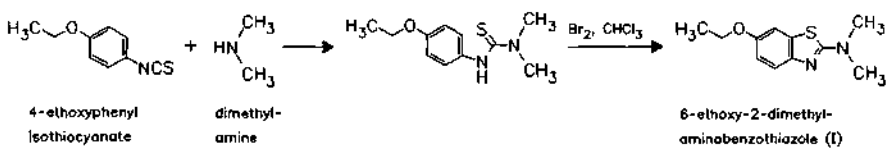
USA:
Cardizem (Hoechst Marion Roussel; 1982)
Dilacor XR (Watson)
Tiazec (Forest)

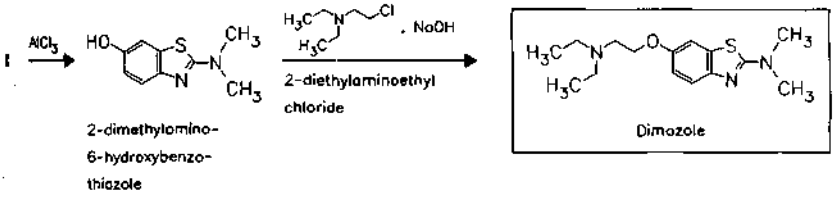
Dimazole
(Diamthazole)

ATC: D01AE17
Use: antifungal

RN: 95-27-2 MF: $C_{15}H_{23}N_3OS$ MW: 293.44 EINECS: 202-406-5
CN: 6-[2-(diethylamino)ethoxy]-*N*,*N*-dimethyl-2-benzothiazolamine

dihydrochloride
RN: 136-96-9 MF: $C_{15}H_{23}N_3OS \cdot 2HCl$ MW: 366.36 EINECS: 205-270-5
LD_{50}: 98 mg/kg (M, i.v.); 430 mg/kg (M, p.o.); 880 mg/kg (R, p.o.)





Reference(s):
US 2 578 757 (Hoffmann-La Roche; 1951; prior. 1949).

Formulation(s): topical 5 %

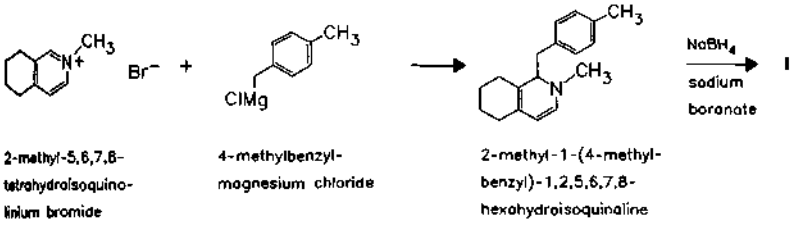
Trade Name(s):
GB: Asterol (Roche); wfm
I: Asterol (Roche); wfm
J: Asterol "Roche" (Roche-Shionogi)

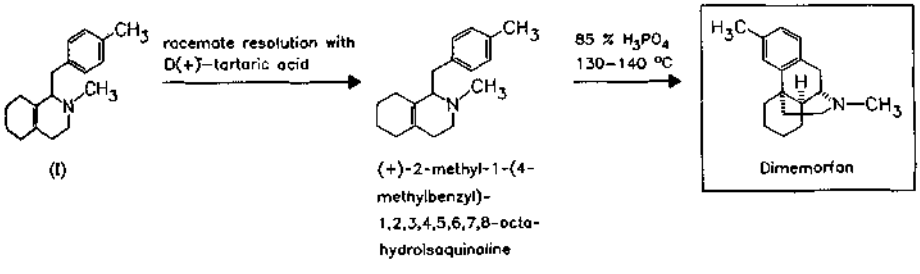
Dimemorfan

ATC: R05DA11
Use: antitussive, sedative

RN: 36309-01-0 MF: $C_{18}H_{25}N$ MW: 255.41 EINECS: 252-963-3
CN: (9α,13α,14α)-3,17-dimethylmorphinan

phosphate
RN: 40678-33-9 MF: $C_{18}H_{25}N \cdot xH_3PO_4$ MW: unspecified





Reference(s):
DOS 2 128 607 (Yamanouchi; appl. 9.6.1971; J-prior. 20.6.1970, 2.2.1971, 9.2.1971).

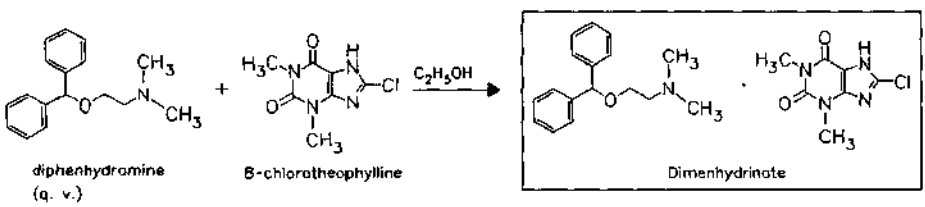
Formulation(s): drops 5 mg/ml; cps. 10 mg; syrup 2.5 mg/ml

Trade Name(s):
I: Gentus (Gentili)
Tusben (Benedetti)
J: Astomin (Yamanouchi)

Dimenhydrinate

ATC: A04AD49
Use: anti-emetic

RN: 523-87-5 MF: $C_{17}H_{21}NO \cdot C_7H_7ClN_4O_2$ MW: 469.97 EINECS: 208-350-8
LD_{50}: 203 mg/kg (M, p.o.);
200 mg/kg (R, i.v.); 1320 mg/kg (R, p.o.)
CN: 2-(diphenylmethoxy)-*N*,*N*-dimethylethanamine, compd. with 8-chlorotheophylline



Reference(s):
US 2 499 058 (Searle; 1950; prior. 1949).
US 2 534 813 (Searle; 1950; appl. 1950).

Formulation(s): drg. 10 mg, 20 mg, 50 mg, 150 mg, 200 mg (s. r. cps.); sol. for inj. 62 mg/10 ml (i.v.), 100 mg/2 ml (i.m.); suppos.40 mg, 70 mg, 80 mg, 150 mg; tabl. 50 mg

Trade Name(s):

D: Arlevert (Hennig)-comb.
Dimen (Heumann)
Mandros (Dolorgiet)
Migraeflux (Henning)-comb.
Reisetabletten ratiopharm (ratiopharm)
RubieMen (RubiePharm)
Superprep/-forte (Hermes)
Vertigo-Vomex (Yamanouchi)
Vomacur (Hexal)
Vomex (Yamanouchi)

F: Dramamine (Monsanto)
Mercalm (Lab. Phygiène)-comb.
Nausicalm (Lab. Brother SA)

GB: Dramamine (Searle)

I: Lomarin (Geymonat)
Motozina (Biomedica Foscama)
Travelgum (ASTA Medica)
Valontan (Recordati)
Xamamina (SmithKline Beecham)
generics

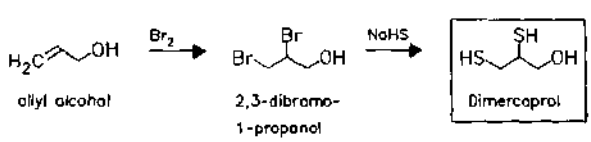
J: Dramamine (Dainippon)
Vomiles (Fujisawa)

USA: Dimenhydrinate (Wyeth-Ayerst)

Dimercaprol
(Dithioglycerin)

ATC: V03AB09
Use: antidote (heavy metal poisonings)

RN: 59-52-9 MF: $C_3H_8OS_2$ MW: 124.23 EINECS: 200-433-7
LD_{50}: 56 mg/kg (M, i.v.); 217 mg/kg (M, p.o.)
CN: 2,3-dimercapto-1-propanol



Reference(s):
US 2 402 665 (Du Pont; 1946; appl. 1942).
US 2 432 797 (Minister of Supply of the United Kingdom; 1947; GB-prior. 1942).
US 2 436 137 (Du Pont; 1948; appl. 1944).
Stocken, L.A.; Thompson, R.H.S.: Biochem. J. (BIJOAK) **40**, 529, 535, 548 (1946).

synthesis via 2,3-dichloropropanol:
Ing, H.R.: J. Chem. Soc. (JCSOA9) **1948**, 1393.

Formulation(s): amp. 100 mg

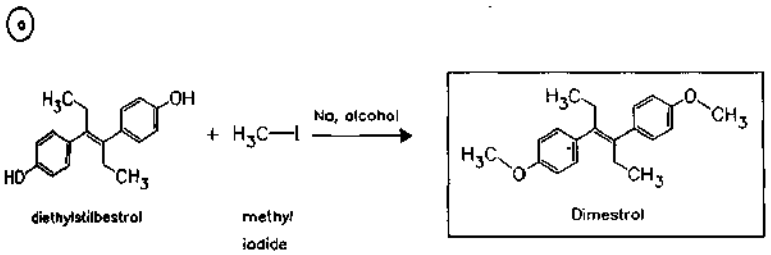
Trade Name(s):

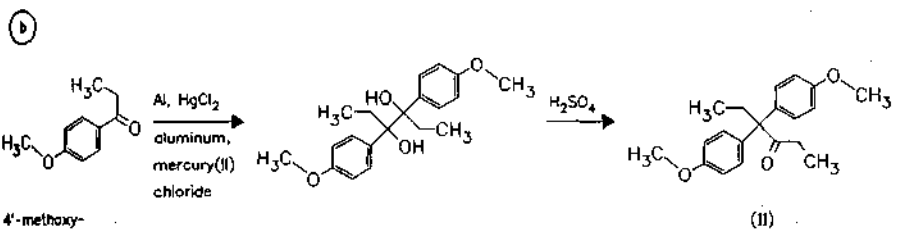
D:	Sulfactin Homburg (Homburg); wfm	I:	B.A.L. Boots (Boots Italia)	USA:	BAL (Hynson Westcott & Dunning); wfm
F:	B.A.L (L'Arguenon)	J:	Bal (Daiichi)		

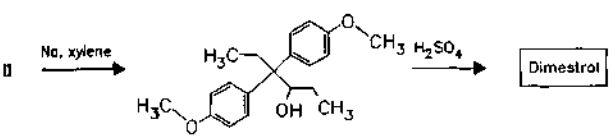
Dimestrol
(Dimethoxydiethylstilbene)

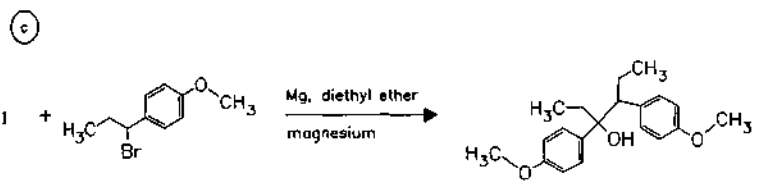
ATC: G03
Use: estrogen

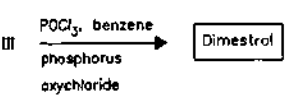
RN: 130-79-0 MF: $C_{20}H_{24}O_2$ MW: 296.41 EINECS: 204-994-9
CN: (*E*)-1,1'-(1,2-diethyl-1,2-ethenediyl)bis[4-methoxybenzene]











Reference(s):
a Reid, E.E.; Wilson, E.: J. Am. Chem. Soc. (JACSAT) **64**, 1625 (1942).
b Sisido, K.; Nozaki, H.: J. Am. Chem. Soc. (JACSAT) **70**, 776 (1948).
c GB 584 253 (B.T. Bush; appl. 1943; USA-prior. 1941).
GB 584 705 (B.T. Bush; appl. 1943; USA-prior. 1941).
DE 897 559 (Bayer; appl. 1938).

alternative synthesis:
DE 824 043 (Boehringer Ing.; appl. 1949).

review:
Solmssen, U.V.: Chem. Rev. (Washington, D. C.) (CHREAY) **36**, 481 (1945).

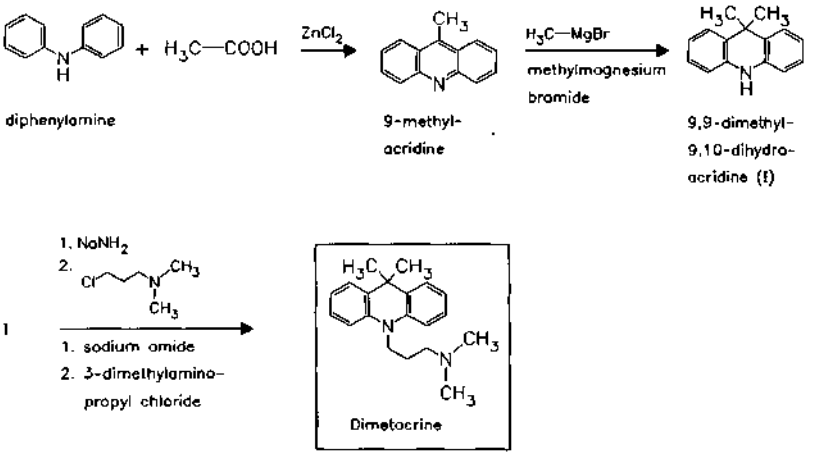
Trade Name(s):
D: Depot-Oestromon (Merck);
wfm

Dimetacrine

ATC: N06AA18
Use: antidepressant, thymoleptic

RN: 4757-55-5 MF: $C_{20}H_{26}N_2$ MW: 294.44
LD_{50}: 39600 µg/kg (M, i.v.); 1293 mg/kg (M, p.o.);
1850 mg/kg (R, p.o.)
CN: *N,N*,9,9-tetramethyl-10(9*H*)-acridinepropanamine

tartrate (1:1)
RN: 3759-07-7 MF: $C_{20}H_{26}N_2 \cdot C_4H_6O_6$ MW: 444.53 EINECS: 223-166-8
LD_{50}: 40.9 mg/kg (M, i.v.); 755 mg/kg (M, p.o.);
38 mg/kg (R, i.v.); 1671 mg/kg (R, p.o.)



Reference(s):
DE 1 224 315 (Kefalas; appl. 7.9.1961; GB-prior. 16.9.1960).
GB 933 875 (Kefalas S/A; appl. 16.9.1960; valid from 13.9.1961).
US 3 284 454 (Siegfried; 8.11.1966; CH-prior. 18.12.1961, 3.8.1962).
Molnar, I.; Wagner-Jauregg, T.: Helv. Chim. Acta (HCACAV) **48**, 1782 (1965).

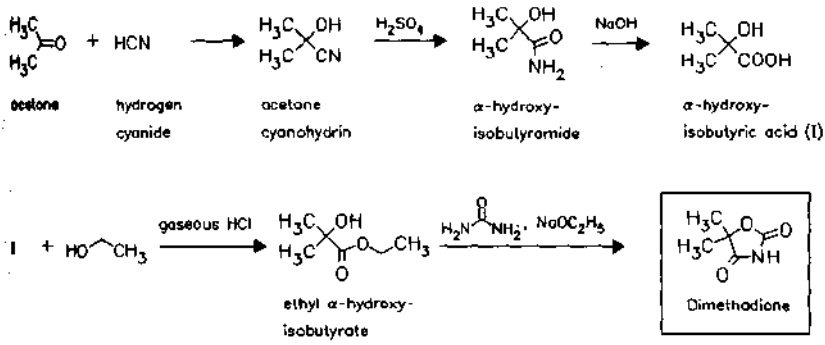
Formulation(s): drg. 100 mg (as hydrochloride)

Trade Name(s):
D: Istonil (Siegfried); wfm J: Istonyl (Nippon Chemiphar)

Dimethadione

Use: anticonvulsant

RN: 695-53-4 MF: $C_5H_7NO_3$ MW: 129.12 EINECS: 211-781-4
LD_{50}: 850 mg/kg (M, i.p.)
CN: 5,5-dimethyl-2,4-oxazolidinedione



Reference(s):
Stoughton, R.W.: J. Am. Chem. Soc. (JACSAT) **63**, 2376 (1941).

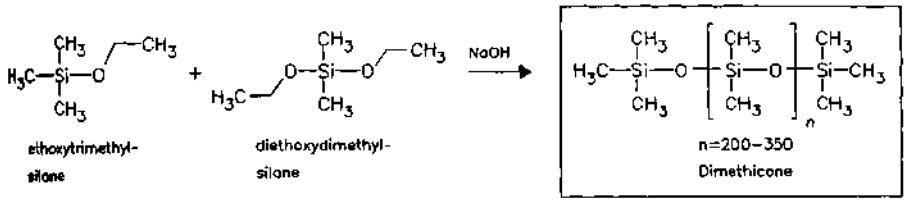
Trade Name(s):
USA: Eupractone (Travenol); wfm

Dimethicone

(Dimethylpolysiloxane; Simethicone)

ATC: A09A
Use: antacid, antiflatulant

RN: 8050-81-5 MF: unspecified MW: unspecified
LD_{50}: 900 mg/kg (dog, i.v.)
CN: simethicone



Reference(s):
US 2 441 098 (Corning Glass; 1948; appl. 1946).

from dimethyldichlorosilane, e. g.:
DE 1 007 063 (General Electric; appl. 1956; USA-prior. 1955).
DOS 2 148 669 (Wacker-Chemie; appl. 29.9.1971).
DOS 2 521 742 (Wacker-Chemie; appl. 15.5.1975).

Formulation(s): cream 4 oz.; lotion 4 oz.

Trade Name(s):

D: Absorber HFV (Arteva Pharma)
Aegrosan (Opfermann)
Busala (Pharma Selz)
Ceolat (Solvay Arzneimittel)
Dimeticon-ratiopharm (ratiopharm)
Espumisan (Berlin-Chemie)
ILIO-Funktion Kautabletten (Robugen)
Meteosan (Novartis)
sab simplex (Parke Davis)
Symadal (Chauvin ankerpharm)

F: Gastrobul (Lab. Guerbet)-comb.
Gel de polysilane (Labs. UPSA)
Rennie Deflantine (Labs. Roche Nicholas SA)-comb.
numerous combination preparations

GB: Infacol (Pharmax)
numerous combination preparations

I: Mylicon (Parke Davis)
Olio Silic (Tariff. Integrativo)
Polisilon (Midy)-comb.
Silisan (Lipha)-comb.

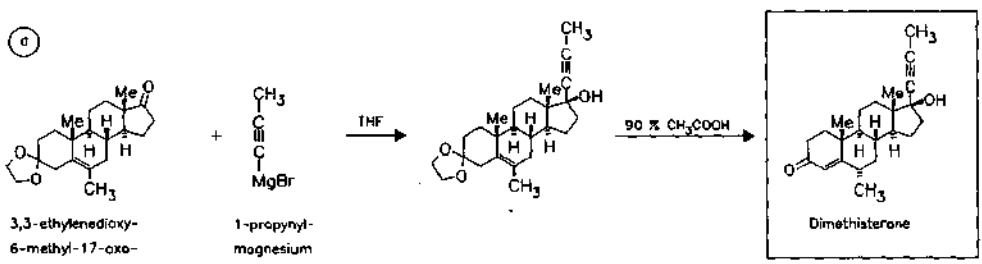
J: Aeropax (Green Cross)
Ganatone (Hokuriku)
Gasace (Kanto)
Gascon (Kissei)
Gasless (Hishiyama)
Gaspanon (Kotani)
Gasteel (Fuso)
Gaszeron (Nichiiko)
Gersmin (Kowa)
Harop (Toyo Pharmar)
Magarte (Mohan)
Polysilo (Toa)
Silies (Nippon Shoji)
Sili-Met-San S (Nippon Shoji)
Spalilin (Maruishi)

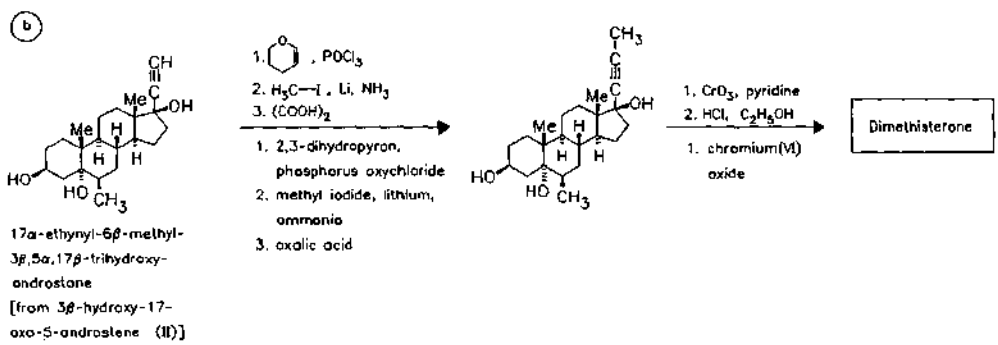
USA: Eucerin (Beiersdorf)
Moisturel (Westwood-Squibb)

Dimethisterone

ATC: G03D
Use: progestogen

RN: 79-64-1 MF: $C_{23}H_{32}O_2$ MW: 340.51 EINECS: 201-215-4
CN: (6α,17β)-17-hydroxy-6-methyl-17-(1-propynyl)androst-4-en-3-one





Reference(s):

a US 2 927 119 (British Drug Houses; 1.3.1960; appl. 15.5.1958; GB-prior. 21.5.1957).

synthesis of I:
Petrov, V. et al.: J. Chem. Soc. (JCSOA9) **1957**, 4105; **1960**, 3676.
b US 2 939 819 (British Drug Houses; 7.6.1960; GB-prior. 25.1.1957).
Petrov, V. et al.: J. Chem. Soc. (JCSOA9) **1959**, 1957.
synthesis of II:
Petrov, V. et al.: J. Chem. Soc. (JCSOA9) **1957**, 4099.
Ruzicka, L.; Hofman, K.: Helv. Chim. Acta (HCACAV) **20**, 1280 (1937).

Formulation(s): tabl. 5-15 mg

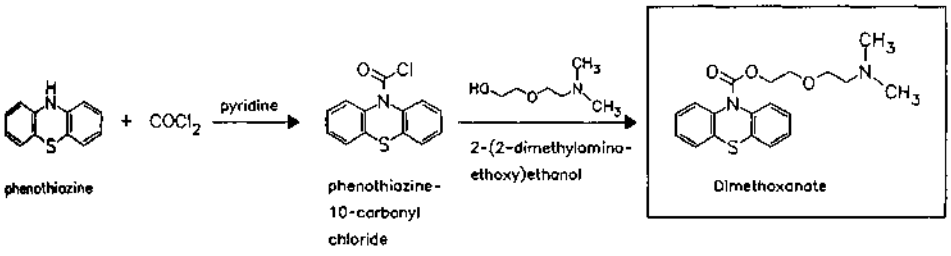
Trade Name(s):
GB: Secrosteron (Duncan, Flockhart); wfm
J: Secrosteron (Santen-Yamanouchi)
USA: Oracon (Mead Johnson); wfm

Dimethoxanate

Use: antitussive

RN: 477-93-0 MF: $C_{19}H_{22}N_2O_3S$ MW: 358.46 EINECS: 207-520-9
CN: 10*H*-phenothiazine-10-carboxylic acid 2-[2-(dimethylamino)ethoxy]ethyl ester

monohydrochloride
RN: 518-63-8 MF: $C_{19}H_{22}N_2O_3S \cdot HCl$ MW: 394.92 EINECS: 208-255-1
LD_{50}: 580 mg/kg (M, p.o.);
1500 mg/kg (R, p.o.)



Reference(s):
DE 1 036 259 (Ayerst; appl. 1955; USA-prior. 1955).

Formulation(s): syrup 12.5 mg/5 ml

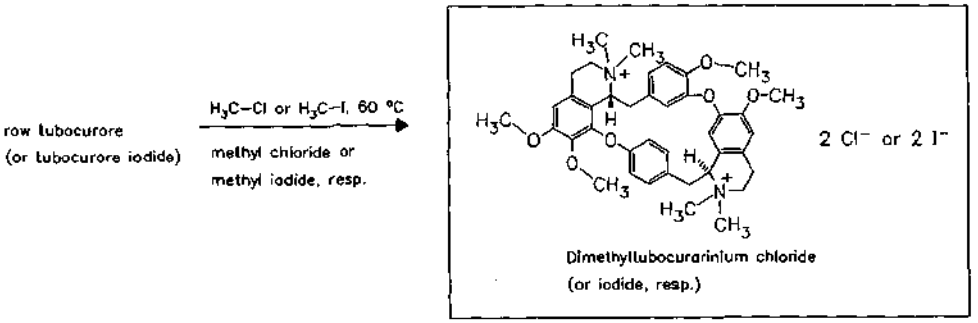
Trade Name(s):
F: Cotrane (Clin-Midy); wfm
Cotrane (Midyfarm); wfm
I: Cothera (Ayerst); wfm
Perlatos (Farm. Mil.); wfm
Tussizid (Beolet); wfm

Dimethyltubocurarinium chloride
(Metocurine chloride)

ATC: M03AA04
Use: muscle relaxant

RN: 33335-58-9 MF: $C_{40}H_{48}Cl_2N_2O_6$ MW: 723.74 EINECS: 251-461-1
CN: 6,6',7',12'-tetramethoxy-2,2,2',2'-tetramethyltubocuraranium dichloride

Iodide
RN: 7601-55-0 MF: $C_{40}H_{48}I_2N_2O_6$ MW: 906.64 EINECS: 231-510-3
LD_{50}: 230 µg/kg (M, i.v.);
35 µg/kg (R, i.v.)



Reference(s):
US 2 581 903 (Eli Lilly; 1952; prior. 1949).

Formulation(s): vial 2 mg/ml (20 ml)

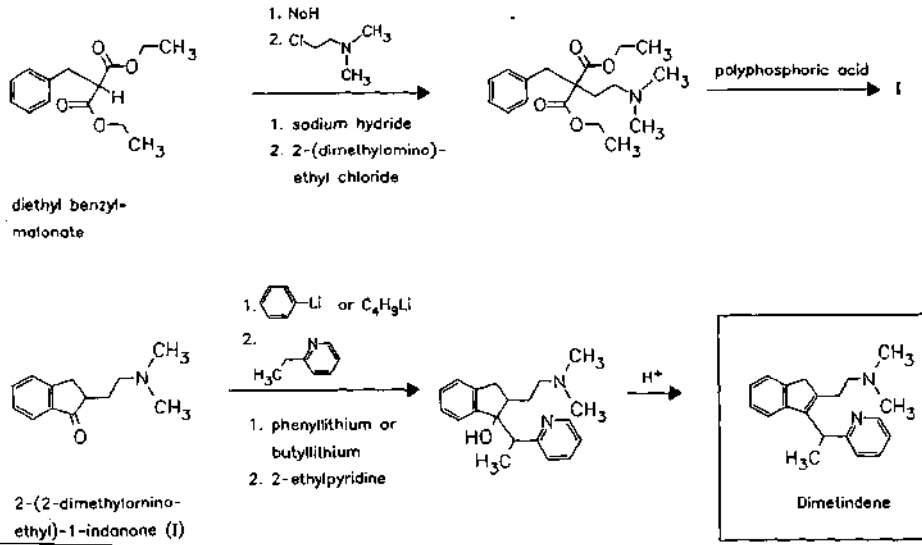
Trade Name(s):
D: Methyl Curarin HAF (Ethicon); wfm
USA: Mecostrin (Squibb); wfm
Metubine Jodide (Lilly)

Dimetindene
(Dimethindene)

ATC: D04AA13; R06AB03
Use: antihistaminic, antipruritic

RN: 5636-83-9 MF: $C_{20}H_{24}N_2$ MW: 292.43 EINECS: 227-083-8
LD_{50}: 27 mg/kg (R, i.v.); 618 mg/kg (R, p.o.);
45 mg/kg (dog, i.v.)
CN: *N*,*N*-dimethyl-3-[1-(2-pyridinyl)ethyl]-1*H*-indene-2-ethanamine

maleate (1:1)
RN: 3614-69-5 MF: $C_{20}H_{24}N_2 \cdot C_4H_4O_4$ MW: 408.50 EINECS: 222-789-2
LD_{50}: 26.8 mg/kg (R, i.v.); 618 mg/kg (R, p.o.)



Reference(s):
US 2 947 756 (Ciba; 2.8.1960; appl. 5.5.1959; prior. 12.8.1958, 3.11.1958, 10.2.1959).
US 2 970 149 (Ciba; 31.1.1961; appl. 3.11.1958).

Formulation(s): amp. 4 mg; drg. 1 mg; drops 1 mg/ml; gel 1 mg/g; s. r. drg. 2.5 mg; s. r. tabl. 2.5 mg; syrup 0.122 mg/ml

Trade Name(s):

D:	Fenistil (Zyma-Blaes) Vibrocil (Zyma)-comb.		Vibrocil (Zyma)-comb.; wfm	J:	Foristal (Ciba-Geigy-Takeda)
GB:	Fenostil (Zyma); wfm Fenostil-Retard (Zyma); wfm	I:	Fengel (Zyma) Fenistil (Zyma) Vibrocil (Zyma)-comb.	USA:	Forhistal (Ciba); wfm Triten (Marion); wfm

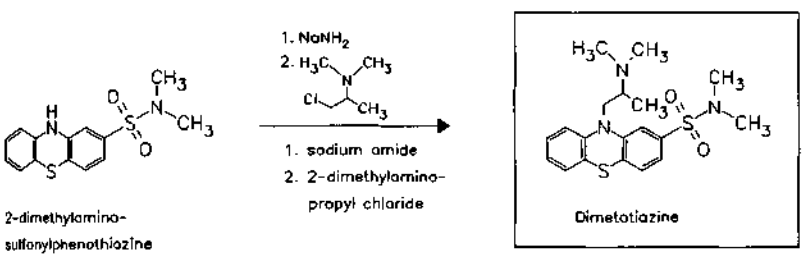
Dimetotiazine

(Dimethothiazine; Fonazine)

ATC: N02CX05
Use: antiallergic, antihistaminic, antimigraine agent

RN: 7456-24-8 MF: $C_{19}H_{25}N_3O_2S_2$ MW: 391.56 EINECS: 231-229-6
LD_{50}: 100 mg/kg (M, i.v.); 740 mg/kg (M, p.o.)
CN: 10-[2-(dimethylamino)propyl]-*N*,*N*-dimethyl-10*H*-phenothiazine-2-sulfonamide

mesylate
RN: 7455-39-2 MF: $C_{19}H_{25}N_3O_2S_2 \cdot CH_4O_3S$ MW: 487.67



Reference(s):
GB 814 512 (Rhône-Poulenc; appl. 15.7.1957; F-prior. 1.8.1956, 18.12.1956).
FR 1 179 968 (Rhône-Poulenc; appl. 1.8.1956).

Formulation(s): cps. 20 mg (base); suppos. 50 mg; tabl. 25 mg (mesylate)

Trade Name(s):

D:	Migristene (Rhodia Pharma); wfm	I:	Alius (Roussel)		Neomestin (Taiyo)
F:	Migristène (Specia); wfm	J:	Bistermin (Toyo Shinyaku)		Serevirol (Fuji Zoki)
GB:	Banistyl (May & Baker); wfm		Calsekin (Kanto-Isei) Demethotiazine (Mohan) Migristene (Shionogi)		

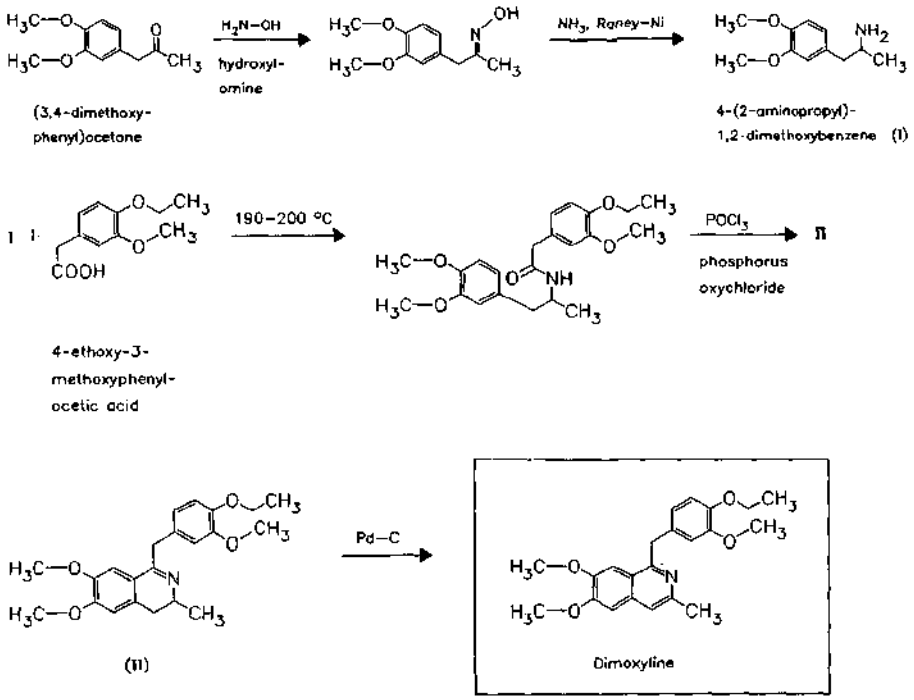
Dimoxyline

(Dioxyline)

ATC: A03
Use: antispasmodic, vasodilator

RN: 147-27-3 MF: $C_{22}H_{25}NO_4$ MW: 367.45
CN: 1-[(4-ethoxy-3-methoxyphenyl)methyl]-6,7-dimethoxy-3-methylisoquinoline

phosphate (1:1)
RN: 5667-46-9 MF: $C_{22}H_{25}NO_4 \cdot H_3PO_3$ MW: 449.44 EINECS: 227-126-0



Reference(s):
US 2 728 769 (Eli Lilly; 1955; prior. 1949).

Formulation(s): tabl. 100 mg

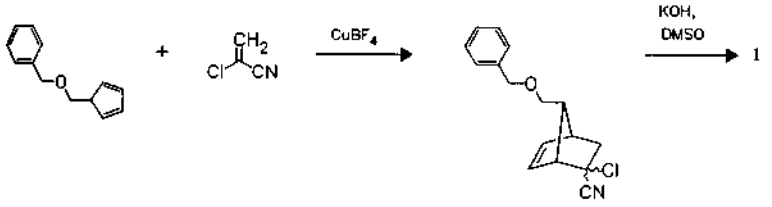
Trade Name(s):
I: Paverona (Lilly); wfm USA: Paveril (Lilly); wfm

Dinoprost
(Prostagladin $F_{2\alpha}$)

ATC: G02AD01
Use: oxytocic, abortifacient

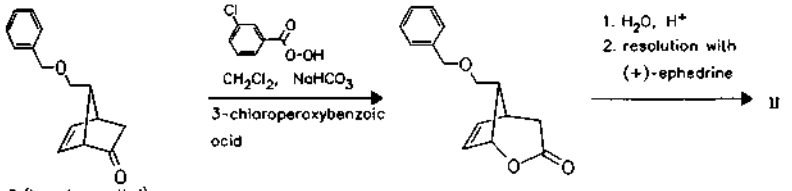
RN: 551-11-1 MF: $C_{20}H_{34}O_5$ MW: 354.49
LD_{50}: 56 mg/kg (M, i.v.); 1300 mg/kg (M, p.o.);
106 mg/kg (R, i.v.); 1170 mg/kg (R, p.o.);
2.5-5.0 mg/kg (rabbit, i.m., i.v.)
CN: (5*Z*,9α,11α,13*E*,15*S*)-9,11,15-trihydroxyprosta-5,13-dien-1-oic acid

tromethamine salt (1:1)
RN: 38562-01-5 MF: $C_{20}H_{34}O_5 \cdot C_4H_{11}NO_3$ MW: 475.62 EINECS: 254-002-3
LD_{50}: 331 mg/kg (M, i.v.); 711 mg/kg (M, p.o.);
101 mg/kg (R, i.v.); 665 mg/kg (R, p.o.)

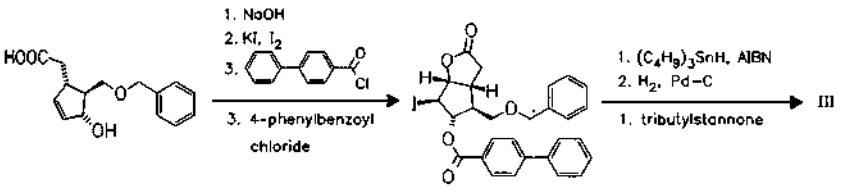


2,4-cyclopentadienylmethyl benzyl ether

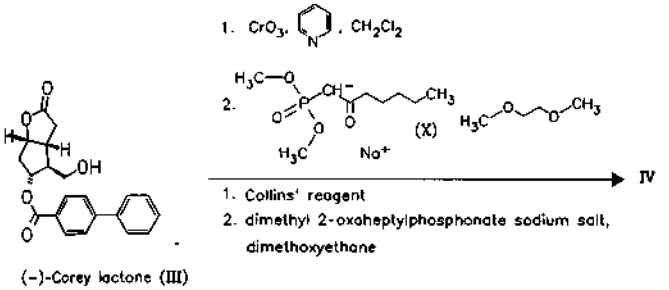
2-chloroacrylonitrile



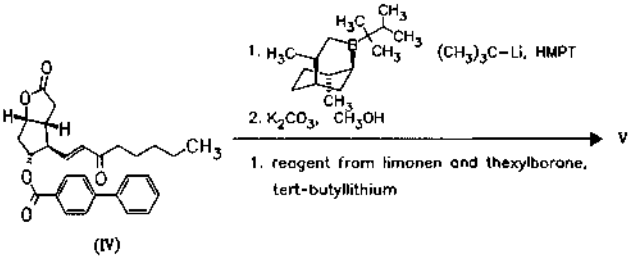
7-(benzyloxymethyl)-3-oxo-5-norbornene (I)



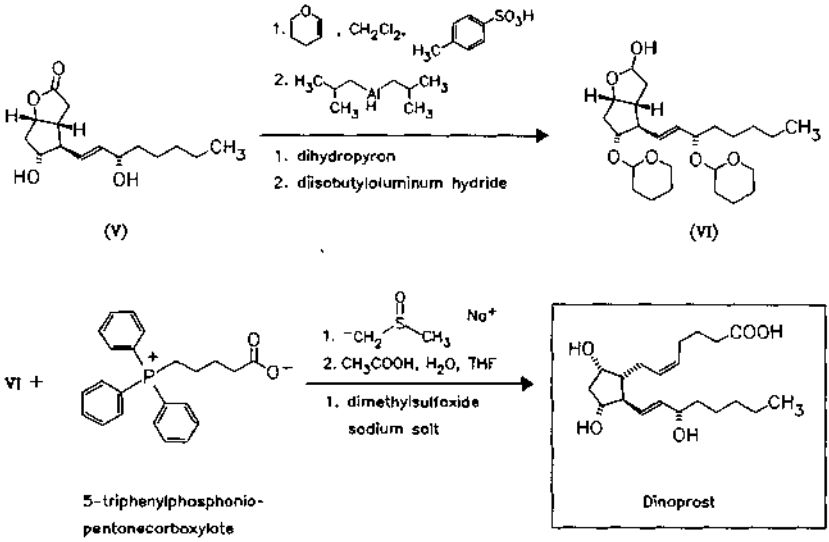
(II)



(–)-Corey lactone (III)



(IV)



Reference(s):
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **91**, 5675 (1969).
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **92**, 397 (1970).
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **92**, 2586 (1970).
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **93**, 1491 (1971).

alternative syntheses:
Fried, J. et al.: J. Am. Chem. Soc. (JACSAT) **94**, 4342, 4343 (1972).
Corey, E.J. et al.: Tetrahedron Lett. (TELEAY) **1970**, 307.
Bundy, G.L. et al.: J. Am. Chem. Soc. (JACSAT) **94**, 2123 (1972).
Corey, E.J.; Varma, R.K.: J. Am. Chem. Soc. (JACSAT) **93**, 7319 (1971).
Schneider, W.P.; Murray, H.C.: J. Org. Chem. (JOCEAH) **38**, 397 (1973).
Tanouchi, T. et al.: Chem. Lett. (CMLTAG) **1976**, 739.
NL 6 505 799 (Unilever; 6.5.1965).
DOS 2 145 125 (Upjohn; 9.9.1971; USA-prior. 11.9.1970, 2.7.1971).
DOS 2 328 131 (Schering AG; 30.5.1973).
US 3 933 892 (Hoffmann-La Roche; 20.1.1976; prior. 18.1.1974, 12.2.1973).

isolation:
GB 1 040 544 (Karolinska Inst.; valid from 21.2.1963; prior. 19.3.1962).

racemic prostaglandin $F_{2\alpha}$:
US 3 933 891 (Upjohn; 20.1.1976; prior. 8.7.1974, 3.10.1973, 17.6.1975, 2.7.1971, 11.11.1970).
US 3 987 083 (Upjohn; 19.10.1976; prior. 6.12.1974, 14.3.1969).
US 3 983 155 (Upjohn; 28.9.1976; prior. 6.12.1974, 14.3.1969).
US 3 983 154 (Upjohn; 28.9.1976; prior. 6.12.1974, 14.3.1969).
US 3 983 153 (Upjohn; 28.9.1976; prior. 6.12.1974, 14.3.1969).
US 3 981 880 (Upjohn; 21.9.1976; prior. 6.12.1974, 14.3.1969).
US 3 980 691 (Upjohn; 14.9.1976; prior. 6.12.1974, 14.3.1969).
US 3 959 346 (Upjohn; 25.5.1976; prior. 6.12.1974, 14.3.1969).

tromethamine salt:
US 3 657 327 (Upjohn; 18.4.1972; prior. 1.6.1970).

use for control of conception cyclus:
DOS 1 943 492 (Upjohn; appl. 27.8.1969; USA-prior. 29.8.1968).

review:
Prostaglandin Research (Ed. P. Crabbé) p. 1, 121 New York, San Francisco, London 1977.

Formulation(s): amp. 5 mg/ml

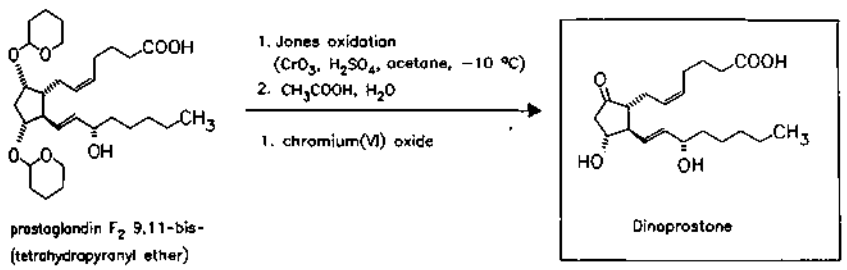
Trade Name(s):

D:	Minprostin $F_{2\alpha}$ (Pharmacia & Upjohn)	I:	Prostin $F_{2\alpha}$ (Upjohn); wfm		Prostarmon-F (Ono)
F:	Prostine $F_{2\alpha}$ (Pharmacia & Upjohn SA)	J:	Glandinon (Mochida)		Zinoprost (Ono)
GB:	Prostin F2 (Pharmacia & Upjohn)		Penacelan-F (Glaxo-Fuji)	USA:	Prostin $F_{2\alpha}$ (Upjohn); wfm
			Pronalgon (Upjohn)		
			Prosmon (Fuji)		
			Prostamodin (Kanebo)		

Dinoprostone
(Prostaglandin E_2)

ATC: G02AD02
Use: oxytocic, abortifacient

RN: 363-24-6 MF: $C_{20}H_{32}O_5$ MW: 352.47 EINECS: 206-656-6
LD_{50}: 23.2 mg/kg (M, i.v.); 750 mg/kg (M, p.o.);
59.5 mg/kg (R, i.v.); 500 mg/kg (R, p.o.)
CN: (5Z,11α,13*E*,15*S*)-11,15-dihydroxy-9-oxoprosta-5,13-dien-1-oic acid



Reference(s):
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **92**, 397 (1970).

alternative syntheses:
US 3 948 981 (Upjohn; 6.4.1976; prior. 18.12.1974, 3.10.1973, 2.7.1971, 11.9.1970).
Schneider, W.P. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **1973**, 254.
Heather, J.B. et al.: Tetrahedron Lett. (TELEAY) **1973**, 2313.

isolation:
GB 1 040 544 (Karlinska Inst.; valid from 21.2.1963; prior. 29.3.1962).

further literature:
cf. dinoprost synthesis

medical use as broncholytic:
ZA 681 055 (American Home Products; appl. 31.1.1968; USA-prior. 20.2.1967).

review:
Prostaglandin Research (Ed. P. Crabbé) p. 1, 121, New York, San Francisco, London 1977.

Formulation(s): amp. 0.5 g/0.5 ml, 0.75 mg/0.75 ml; rectangular tabl. 0.5 mg; syringe with gel 0.5 mg; vaginal gel 0.5 mg/3 g, 1 mg/3 g, 2 mg/3 g; vaginal tabl. 3 mg

Trade Name(s):

D:	Minprostin E_2 (Pharmacia & Upjohn)	F:	Prépidil gel (Pharmacia & Upjohn SA)	GB:	Prepidil (Pharmacia & Upjohn)
	Prepidil Gel (Pharmacia & Upjohn)		Prostine E_2 (Pharmacia & Upjohn SA)		Propress RS (Ferring)

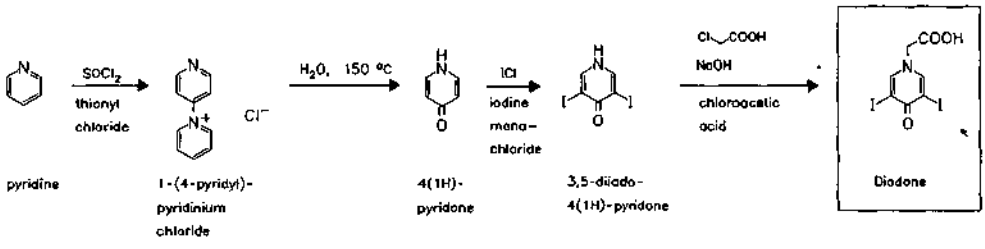
	Prostin E2 (Pharmacia & Upjohn)	J:	Prostadiel-E (Taiyo)	Prepidil (Pharmacia & Upjohn)
I:	Prepidil gel (Upjohn)		Prostaglandin E_2 (Kaken)	Prostin E_2 (Pharmacia & Upjohn)
	Prostin E_2 (Upjohn)		Prostarmon-E (Ono)	
		USA:	Cervidil (Forest)	

Diodone
(Jodopyracet)

ATC: V08AA10
Use: X-ray contrast medium

RN: 101-29-1 MF: $C_7H_5I_2NO_3$ MW: 404.93 EINECS: 202-932-5
CN: 3,5-diiodo-4-oxo-1(4*H*)-pyridineacetic acid

meglumine salt
RN: 3736-90-1 MF: $C_7H_5I_2NO_3 \cdot C_7H_{17}NO_5$ MW: 600.14
LD_{50}: 5900 mg/kg (R, i.v.)
diethanolamine salt (1:1)
RN: 300-37-8 MF: $C_7H_5I_2NO_3 \cdot C_4H_{11}NO_2$ MW: 510.07 EINECS: 206-089-4
LD_{50}: 6400 mg/kg (M, i.v.);
5400 mg/kg (R, i.v.)
morpholine salt (1:1)
RN: 3737-08-4 MF: $C_7H_5I_2NO_3 \cdot C_4H_9NO$ MW: 492.05



Reference(s):
DRP 554 702 (E. Koenigs, H. Greiner; 1929).
DRP 579 224 (I. G. Farben; 1930).
US 1 993 039 (I. G. Farben; 1935; D-prior. 1931).
GB 517 382 (ICI; appl. 1938).

Formulation(s): amp. 35 %, 50 %, 70 %

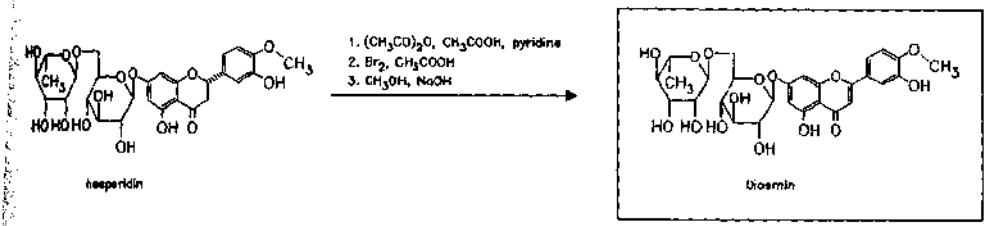
Trade Name(s):

D:	Broncho-Abrodil (Schering); wfm	GB:	Umbradil (Astra)-comb.; wfm	I:	Joduron (Bracco); wfm
				J:	Pyraceton (Daiichi)

Diosmin

ATC: C05CA03
Use: antihemorrhagic, vein tonic

RN: 520-27-4 MF: $C_{28}H_{32}O_{15}$ MW: 608.55 EINECS: 208-289-7
CN: 7-{[6-*O*-(6-deoxy-α-L-mannopyranosyl)-β-D-glucopyranosyl]oxy}-5-hydroxy-2-(3-hydroxy-4-methoxyphenyl)-4*H*-1-benzopyran-4-one



Reference(s):
Zemplén, G.; Bognár, R.: Ber. Dtsch. Chem. Ges. (BDCGAS) **76**, 452 (1943).
Lorette, N.B. et al.: J. Org. Chem. (JOCEAH) **16**, 930 (1951).
Horowitz, R.M.: J. Org. Chem. (JOCEAH) **21**, 1184 (1956).

technical method:
DOS 2 602 314 (Hommel; appl. 22.1.1976; CH-prior. 16.5.1975).

Formulation(s): cps. 300 mg; cream 4 g/100 g; tabl. 150 mg

Trade Name(s):

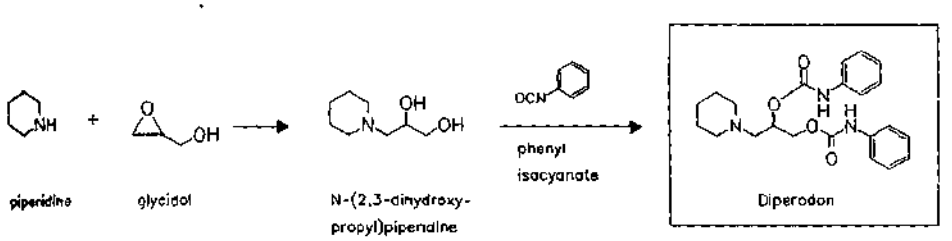
D:	Tovene (Solvay Arzneimittel)		Endium (Labs. Europhta)		Daflon (Servier)
			Flebosmil (Socopharm)		Diosven (CT)
F:	Daflon (Servier)		Litosmil (Evans Medical)		Doven (Prophin)
	Dio (Labs. Scienex)		Médiveine (Elerté)		Venosmine (Geymonat)
	Diosmil (Rhône-Poulenc Rorer)		Préparation H Veinotonic (Whitehall)		
	Diovenor (Innothéra)	I:	Arvenum (Stroder)		

Diperodon
(Diperocainum)

ATC: D04AB
Use: local anesthetic

RN: 101-08-6 MF: $C_{22}H_{27}N_3O_4$ MW: 397.48 EINECS: 202-913-1
CN: 3-(1-piperidinyl)-1,2-propanediol bis(phenylcarbamate) (ester)

monohydrochloride
RN: 537-12-2 MF: $C_{22}H_{27}N_3O_4 \cdot HCl$ MW: 433.94 EINECS: 208-659-8
LD_{50}: 890 mg/kg (M, s.c.)



Reference(s):
US 2 004 132 (T. H. Rider; 1935; prior. 1931).
Rider, T.H.: J. Am. Chem. Soc. (JACSAT) **52**, 1528, 2115 (1930).

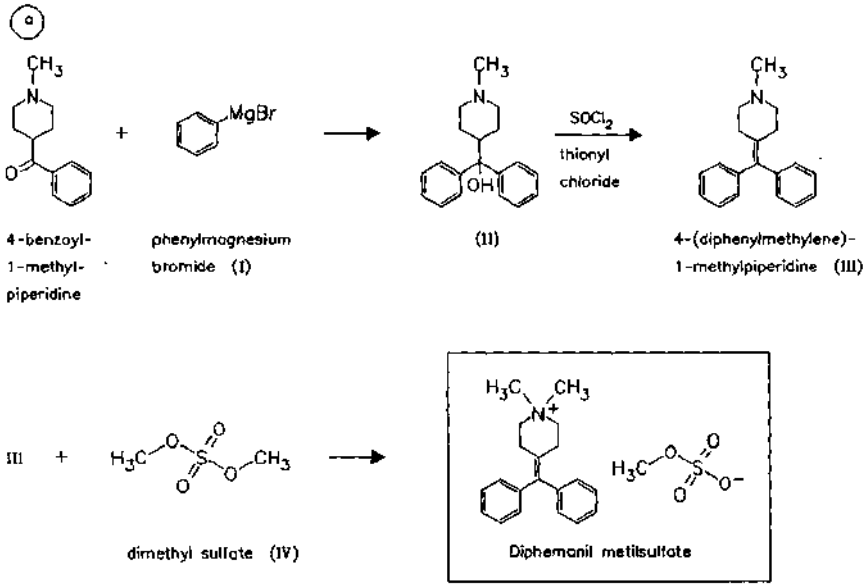
Formulation(s): ointment (comb.)

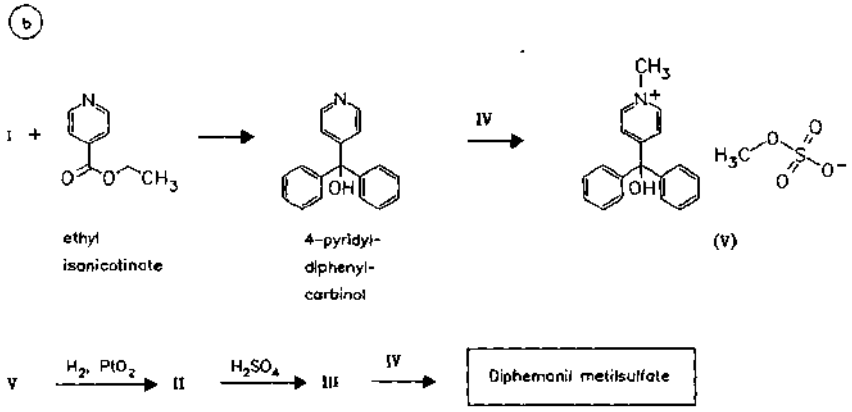
Trade Name(s):
USA: Diothane (Merrell); wfm Proctodon (Rowell); wfm

Diphemanil metilsulfate

ATC: D11AA
Use: anticholinergic, antispasmodic

RN: 62-97-5 MF: $C_{20}H_{24}N \cdot CH_4O_3S$ MW: 374.53 EINECS: 200-552-4
LD_{50}: 4012 µg/kg (M, i.v.); 317 mg/kg (M, p.o.);
5 mg/kg (R, i.v.); 1107 mg/kg (R, p.o.)
CN: 4-diphenylmethylene-1,1-dimethylpiperidinium methyl sulfate





Reference(s):
US 2 739 968 (Schering Corp.; 1956; prior. 1951).

Formulation(s): cream 2 %; tabl. 50 mg, 100 mg

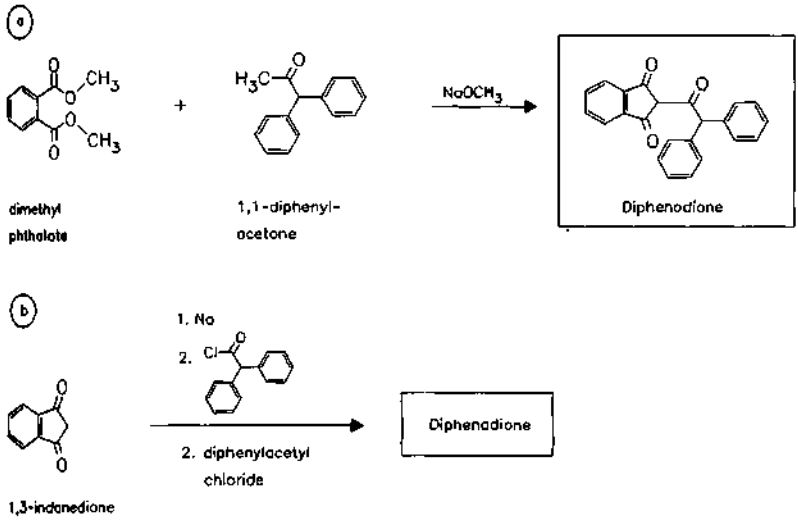
Trade Name(s):
F: Prantal (Cétrane); wfm I: Prantal (Schering-Plough)

J: Prantal (Schering-Shionogi) USA: Prantal (Schering); wfm

Diphenadione

ATC: B01AA10
Use: anticoagulant, rodenticide

RN: 82-66-6 MF: $C_{23}H_{16}O_3$ MW: 340.38 EINECS: 201-434-5
LD_{50}: 28.3 mg/kg (M, p.o.);
1500 µg/kg (R, p.o.);
3 mg/kg (dog, p.o.)
CN: 2-(diphenylacetyl)-1*H*-indene-1,3(2*H*)-dione



Reference(s):
US 2 672 483 (Upjohn; 1954; prior. 1951).

Formulation(s): tabl. 20 mg, 50 mg

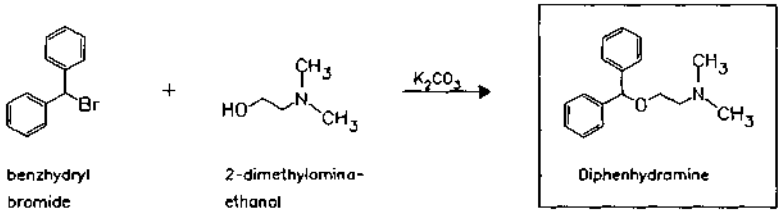
Trade Name(s):
USA: Dipaxin (Upjohn); wfm

Diphenhydramine

ATC: D04AA32; R06AA02
Use: antihistaminic, anti-emetic, sedative, antitussive

RN: 58-73-1 MF: $C_{17}H_{21}NO$ MW: 255.36 EINECS: 200-396-7
LD_{50}: 29 mg/kg (M, i.v.); 160 mg/kg (M, p.o.);
42 mg/kg (R, i.v.); 390 mg/kg (R, p.o.)
CN: 2-(diphenylmethoxy)-*N*,*N*-dimethylethanamine

hydrochloride
RN: 147-24-0 MF: $C_{17}H_{21}NO \cdot HCl$ MW: 291.82 EINECS: 205-687-2
LD_{50}: 20 mg/kg (M, i.v.); 64 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 500 mg/kg (R, p.o.);
24 mg/kg (dog, i.v.)



benzhydryl bromide | 2-dimethylamino-ethanol | Diphenhydramine

Reference(s):
US 2 421 714 (Parke Davis & Co.; 1947; prior. 1944).
US 2 427 878 (Parke Davis; 1947; appl. 1947).

alternative synthesis:
US 2 397 799 (Geigy; 1946; CH-prior. 1942).

Formulation(s): drops 12.5 mg; s. r. cps. 30 mg; suppos. 10 mg, 20 mg, 50 mg; syrup 2.67 mg/ml, 12.5 mg/ml; tabl. 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D:
Anaestecomp (Ritsert)-comb.
Benadryl (Warner-Lambert)
Betadorm (Woelm)-comb.
Dibenzyl-Rhenix (Pharma Wernigerode)-comb.
Dolestan (Whitehall-Much)
Dolestan (Whitehall-Much)-comb.
Dormigoa (Scheurich)
Dormutil (Isis Pharma)
Emesan (Lindopharm)
Halbmond-Tabletten (Whitehall-Much)
Hevert-Dorm (Hevert)
Lupovalin (Pharma Selz)
Moradorm (Bouhon)-comb.
nervo OPT (Optimed)
Nytol (Block Drug Company)
Palacril (Warner-Lambert)-comb.
Palmicol (RIAM)
Pheramin (Kanoldt)
Praesidin (Medopharm)-comb.
Reisegold (Whitehall-Much)-comb.
Reisegold tabs (Whitehall-Much)
S.8 Tabletten (Chefaro)
Sediat (Pfleger)
Sedopretten (Schöning-Berlin)
Sedovegan (Wolff)
Valeriana comb. Hevert (Hevert)-comb.
Visano Cor (Kade)-comb.

F:
Actifed Jour et unit (Warner-Lambert; as hydrochloride)-comb.
Butix gel (Labs. Pierre Fabre Santé; as hydrochloride)
Nautamine (Synthélabo)
Onctose hydrocortisone (Monot; as methyl sulfate)-comb.

GB:
Medinex (Whitehall)
Nytol (Stafford-Miller)
numerous combination preparations

I:
Allergan (Bouty)
Asmarectal (Serpero)-comb.
Benadryl (Parke Davis)-comb.
Benylin (Parke Davis)-comb.
Difeni (Formulario Naz.)
Fluvaleas (Valeas)-comb.
combination preparations

J:
Benadin Salicylate (Kongo; as salicylate)
Benadol (Taisho)
Benadozol (Hokuriku; as tannate)
Benadozol-S (Hokuriku; as salicylate)
Benapon (Dainippon)
Benasin (Kanto)
Neo-Restar (Ohta; as maleate)
Restamin (Kowa)
Restar (Ohta; as salicylate)
Restin (Mohan; as salicylate)
Reston (Kowa Yakuhin)
Salibena (Fuso; as salicylate)
Vena (Tanabe)
Venerlon (Sanwa; as tannate)
Zeresmin (Juzen-Yamanouchi; as salicylate)

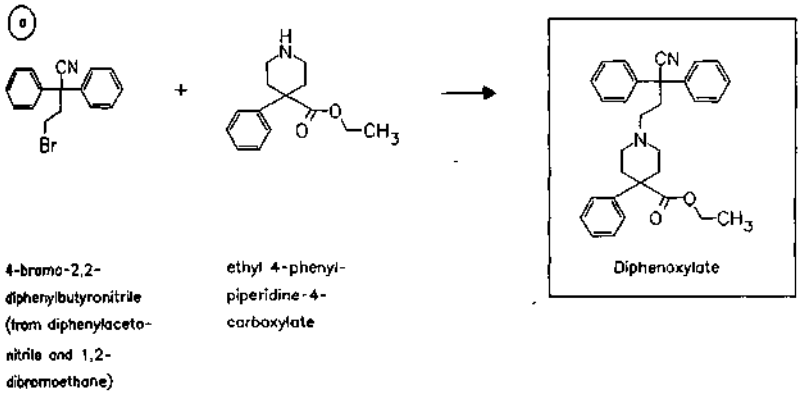
USA:
Actifed (Warner-Lambert; as hydrochloride)
Benadryl (Parke Davis; as hydrochloride)
Dytuss (Lunsco; as hydrochloride)
Maximum (Pfizer Consumer; as hydrochloride)
Tylenol (McNeil; as hydrochloride)
Unisom (Pfizer Consumer; as hydrochloride)
generics

Diphenoxylate

ATC: A07DA01
Use: antidiarrheal, antiperistaltic

RN: 915-30-0 MF: $C_{30}H_{32}N_2O_2$ MW: 452.60 EINECS: 213-020-1
LD_{50}: 337 mg/kg (M, p.o.);
221 mg/kg (R, p.o.)
CN: 1-(3-cyano-3,3-diphenylpropyl)-4-phenylpiperidine-4-carboxylic acid ethyl ester

hydrochloride
RN: 3810-80-8 MF: $C_{30}H_{32}N_2O_2 \cdot HCl$ MW: 489.06 EINECS: 223-287-6
LD_{50}: 221 mg/kg (R, p.o.)



Reference(s):
US 2 898 340 (Janssen; 4.8.1959; NL-prior. 5.7.1957).
US 4 086 234 (Searle; 25.4.1978; appl. 7.11.1975).

Formulation(s): tabl. 2.5 mg (comb. with 0.025 mg atropine sulfate)

Trade Name(s):

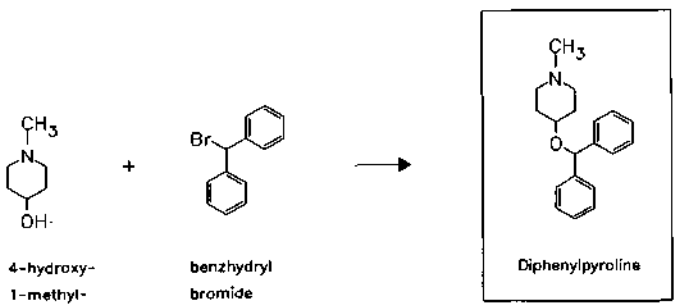
D:	Reasec (Janssen-Cilag)-comb. with atropine sulfate	GB:	Lomotil (Searle)-comb. Tropergen (Norgine)-comb.	USA:	Lomotil (Searle; as hydrochloride)
F:	Diarsed (Sanofi Winthrop; as hydrochloride)	I:	Reasec (Cilag)-comb.		Lonox (Geneva; as hydrochloride)

Diphenylpyraline

ATC: R06AA07
Use: antiallergic, antihistaminic

RN: 147-20-6 MF: $C_{19}H_{23}NO$ MW: 281.40 EINECS: 205-686-7
LD_{50}: 42 mg/kg (M, i.v.); 250 mg/kg (M, p.o.)
CN: 4-(diphenylmethoxy)-1-methylpiperidine

hydrochloride
RN: 132-18-3 MF: $C_{19}H_{23}NO \cdot HCl$ MW: 317.86 EINECS: 205-049-3
LD_{50}: 52 mg/kg (M, i.v.); 210 mg/kg (M, p.o.);
28.8 mg/kg (R, i.v.); 698 mg/kg (R, p.o.)



4-hydroxy-1-methyl-piperidine + benzhydryl bromide → Diphenylpyroline

(cf. piprinhydrinate)

Reference(s):
US 2 479 843 (Nopco Chem. Comp.; 1949; prior. 1948).
DE 934 890 (Promonta; appl. 1951).

Formulation(s): gel 15 mg/g

Trade Name(s):

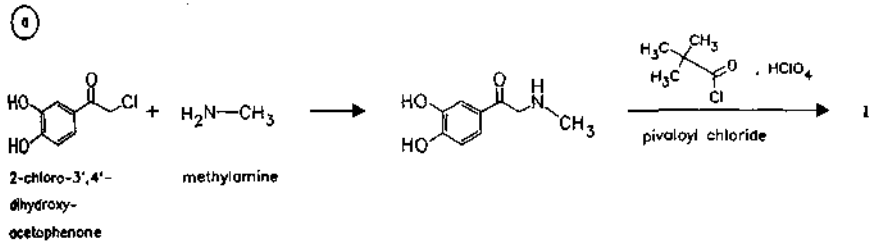
D:	Arbid (Bayer Vital)-comb. Perdiphen (Schwabe; Spitzner)-comb. Proctospre (Hennig) Tempil N (Temmler)-comb. Topoderm (gepepharm)-comb.	F:	Belfène (Roger Bellon); wfm	I:	Ipercron (Maggioni)-comb.; wfm Pirazone Smit (UCB-Smith); wfm
		GB:	Escornade Spansule (Smith Kline & French)-comb.; wfm Histryl (Smith Kline & French); wfm Lergoban (Riker); wfm	J:	Plokon (Nippon Shinyaku)
				USA:	Diafen (Riker); wfm Hispril (Smith Kline & French); wfm

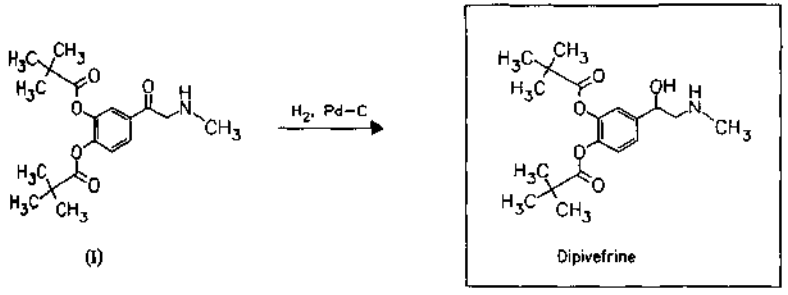
Dipivefrine

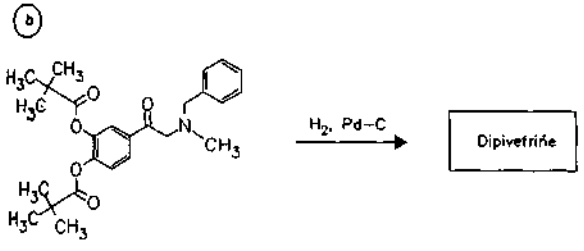
ATC: S01EA02
Use: antiglaucoma

RN: 52365-63-6 MF: $C_{19}H_{29}NO_5$ MW: 351.44
CN: (±)-2,2-dimethylpropanoic acid 4-[1-hydroxy-2-(methylamino)ethyl]-1,2-phenylene ester

hydrochloride
RN: 64019-93-8 MF: $C_{19}H_{29}NO_5 \cdot HCl$ MW: 387.90







Reference(s):

a DOS 2 343 657 (Interx Res. Corp.; appl. 30.8.1973; USA-prior. 31.8.1972).
US 3 809 714 (Interx; 7.5.1974; prior. 31.8.1972) also racemate resolution.
Hussain, A.; Truelove, J.E.: J. Pharm. Sci. (JPMSAE) **65**, 1510 (1976).

b DOS 2 152 058 (Klinge; appl. 19.10.1971).
US 3 839 584.

Formulation(s): eye drops 1 mg/ml (as hydrochloride)

Trade Name(s):

D: D-Epifrin (Pharm-Allergan; 1978)
Glaucothil (Alcon; 1978)
Thiloadren (Alcon; 1980)-comb.
Thilodigon (Alcon; 1985)-comb.

F: Propine (Allergan)

GB: Propine (Allergan; 1984)

I: Propine (Allergan)

J: Pivalephrine (Okami-Santen)

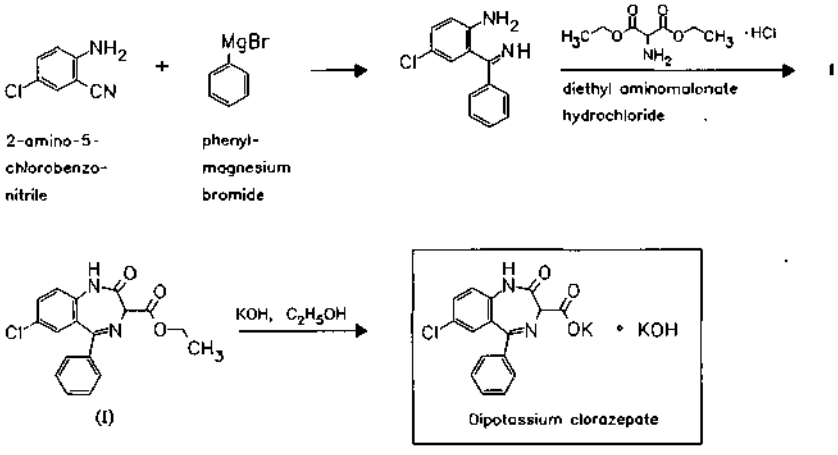
Dipotassium clorazepate

(Clorazepate dipotassium)

ATC: N05BA05
Use: tranquilizer

RN: 57109-90-7 MF: $C_{16}H_{10}ClKN_2O_3 \cdot KOH$ MW: 408.92 EINECS: 260-565-6
LD_{50}: 173 mg/kg (M, i.v.); 700 mg/kg (M, p.o.);
279 mg/kg (R, i.v.); 880 mg/kg (R, p.o.)
CN: 7-chloro-2,3-dihydro-2-oxo-5-phenyl-1*H*-1,4-benzodiazepine-3-carboxylic acid monopotassium salt compd. with potassium hydroxide

free acid
RN: 23887-31-2 MF: $C_{16}H_{11}ClN_2O_3$ MW: 314.73 EINECS: 245-926-8



Reference(s):
US 3 516 988 (J. Schmitt; 23.6.1970; F-prior. 15.6.1964, 12.4.1965).
DE 1 518 764 (C. M. Industries S.A.; appl. 14.6.1965; F-prior. 15.6.1964, 12.4.1965).
DE 1 795 690 (C. M. Industries S.A.; appl. 14.6.1965).

precursors:
DOS 1 795 832 (C. M. Industries S.A.; appl. 14.6.1965; F-prior. 15.6.1964, 12.4.1965).

Formulation(s): cps. 5 mg, 10 mg, 20 mg; drops 5 mg; f. c. tabl. 20 mg, 50 mg; lyo. 50 mg, 100 mg

Trade Name(s):

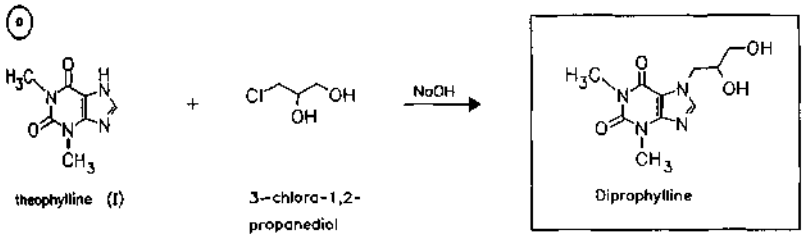
D:	Tranxilium 50 (Sanofi Winthrop)		Tranxéne (Sanofi Winthrop)	J:	Cephadol (Nippon Shinyaku)
F:	Noctran 10 (Menarini)-comb.	GB:	Tranxene (Boehringer Ing.)	USA:	Gen-XENE (Alra)
		I:	Transene (Sanofi Winthrop)		Tranxene (Abbott)

Diprophylline

(Diprofyllin; Dyphylline)

ATC: R03DA01
Use: expectorant, bronchodilator

RN: 479-18-5 MF: $C_{10}H_{14}N_4O_4$ MW: 254.25 EINECS: 207-526-1
LD_{50}: 1080 mg/kg (M, i.v.); 1954 mg/kg (M, p.o.);
860 mg/kg (R, i.v.)
CN: 7-(2,3-dihydroxypropyl)-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione



Reference(s):

a US 2 575 344 (State Univ. Iowa; 1951; prior. 1946).

b Roth, H.J.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **292/64**, 234 (1959).

Formulation(s): drg. 150 mg; suppos. 200 mg, 400 mg; tabl. 200 mg, 400 mg

Trade Name(s):

D: Neobiphyllin-Clys (Trommsdorff)-comb.
Ozothin (SmithKline Beecham)

F: Ozothine Diprophylline (SCAT)-comb.

GB: Silbephylline (Berk); wfm

I: Cortinal Aerosol (Teofarma)-comb.
Katasma (Bruschettini)

J: Astmamasit (Showa)
Corphyllin (Nippon Shinyaku)
Dihydrophylline (Tokyo Hosei)
Neophyllin-M (Eisai)
Prophyline (Shionogi)
Rominophyllin (Grelan)
Theourin (Kanto)

USA: Dilor (Savage)
Dyline (Seatrace)
Dylix (Lunsco)
Lufyllin (Wallace)

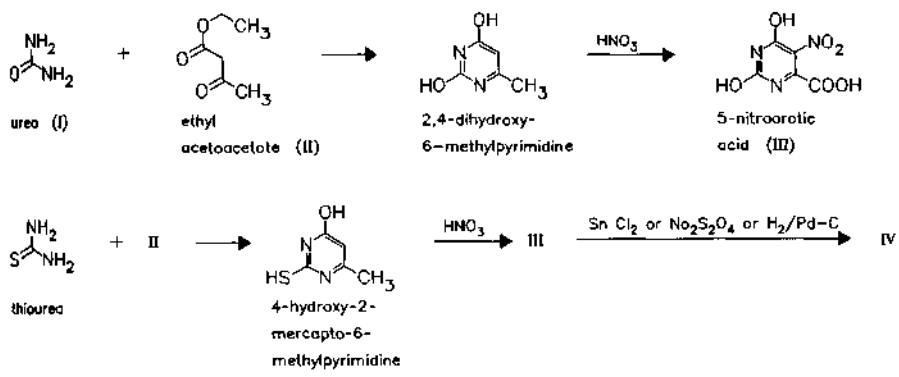
Dipyridamole

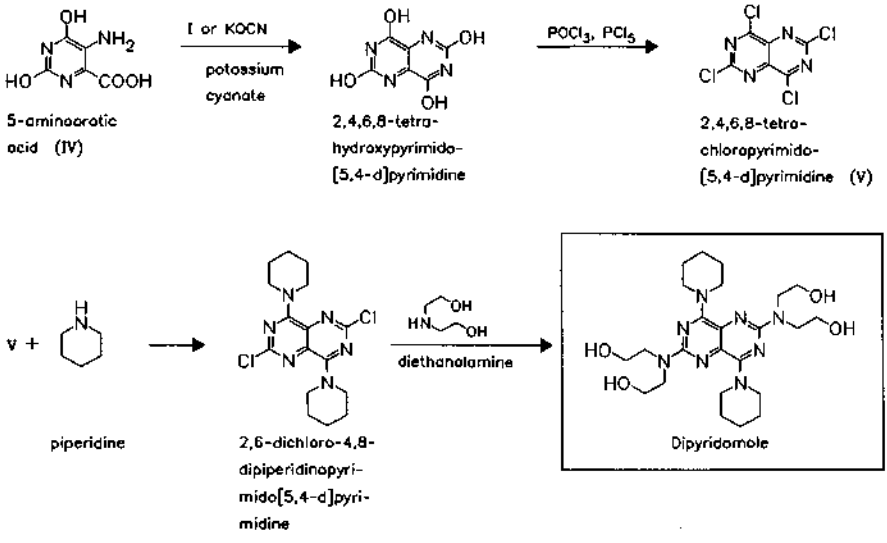
ATC: B01AC07
Use: coronary vasodilator

RN: 58-32-2 MF: $C_{24}H_{40}N_8O_4$ MW: 504.64 EINECS: 200-374-7

LD_{50}: 150 mg/kg (M, i.v.); 2150 mg/kg (M, p.o.);
195 mg/kg (R, i.v.); 8400 mg/kg (R, p.o.)

CN: 2,2',2",2'"-[(4,8-di-1-piperidinylpyrimido[5,4-*d*]pyrimidine-2,6-diyl)dinitrilo]tetrakis[ethanol]





Reference(s):
DE 1 116 676 (Thomae; appl. 1955).
GB 807 826 (Thomae; appl. 1956; D-prior. 1955).
US 3 031 450 (Thomae; 24.4.1962; D-prior. 1959).

2,4,6,8-tetrahydroxypyrimido[5,4-*d*]pyrimidine:
DE 845 940 (Dr. G. F. Fischer; appl. 1950).
Fischer, F.G.; Roch, J.: Justus Liebigs Ann. Chem. (JLACBF) **572**, 216 (1951).

catalytic hydrogenation of 5-nitroorotic acid *with* Pd-C:
DOS 2 600 542 (Lonza; appl. 8.1.1976; CH-prior. 13.1.1975).

2,4,6,8-tetrachloropyrimido[5,4-*d*]pyrimidine:
Fischer, F.G.; Roch, J.; Neumann, W.P.: Justus Liebigs Ann. Chem. (JLACBF) **631**, 147 (1960).

alternative syntheses:
GB 799 177 (Thomae; appl. 1955; D-prior. 1954).
DE 1 093 801 (Thomae; appl. 1954).
DE 1 151 806 (Thomae; appl. 30.4.1959).
DAS 1 962 261 (Yamanouchi; appl. 12.12.1969; J-prior. 25.1.1969).

combination with acetylsalicylic acid *(thrombocyte aggregation inhibitor):*
FR-appl. 2 368 280 (Théramex; appl. 20.10.1976).
FR-appl. 2 368 272 (Théramex; appl. 20.10.1976).

Formulation(s): amp. 10 mg/2 ml; cps. 75 mg; drg. 25 mg, 75 mg; f. c. tabl. 75 mg

Trade Name(s):

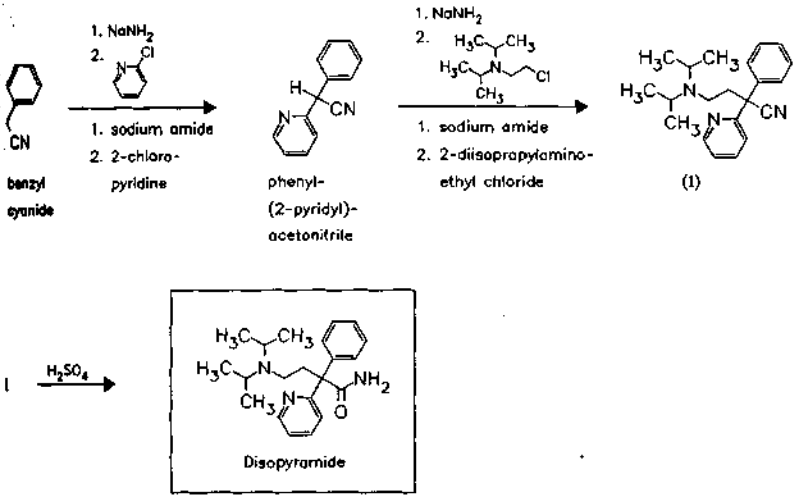
D:	Asasantin (Boehringer Ing.)		Protangix (Expanpharm)		Persumbrax (Boehringer Ing.)-comb.
	Curantyl (Berlin-Chemie)	GB:	Persantin retard (Boehringer Ing.)	J:	Anginal (Yamanouchi)
	Persantin (Boehringer Ing.)	I:	Corosan (Farmacologico Milanese)		Permiltin (Zensei)
F:	Cleridium 150 (Euro Generics)		Coroxin (Malesci)		Persantine (Boehringer-Takeda)
	Perkod (Biogalénique)		Novodil (OFF)	USA:	Persantine (Boehringer Ing.)
	Persantine (Boehringer Ing.)		Persantin (Boehringer Ing.)		

Disopyramide

ATC: C01BA03
Use: antiarrhythmic

RN: 3737-09-5 MF: $C_{21}H_{29}N_3O$ MW: 339.48 EINECS: 223-110-2
LD_{50}: 30 mg/kg (M, i.v.); 352 mg/kg (M, p.o.);
39.1 mg/kg (R, i.v.); 333 mg/kg (R, p.o.)
CN: α-[2-[bis(1-methylethyl)amino]ethyl]-α-phenyl-2-pyridineacetamide

phosphate (1:1)
RN: 22059-60-5 MF: $C_{21}H_{29}N_3O \cdot H_3PO_4$ MW: 437.48 EINECS: 244-756-1
LD_{50}: 81 mg/kg (M, i.v.); 820 mg/kg (M, p.o.);
41 mg/kg (R, i.v.); 880 mg/kg (R, p.o.)



Reference(s):
US 3 225 054 (Searle; 21.12.1965; appl. 3.7.1962; prior. 17.5.1961).
DE 1 470 216 (Searle; appl. 16.5.1962; USA-prior. 17.5.1961).

Formulation(s): cps. 128.8 mg, 193.2 mg, 257.6 mg; s. r. cps. 161.25 mg, 193.2 mg, 322.5 mg (as dihydrogen phosphate)

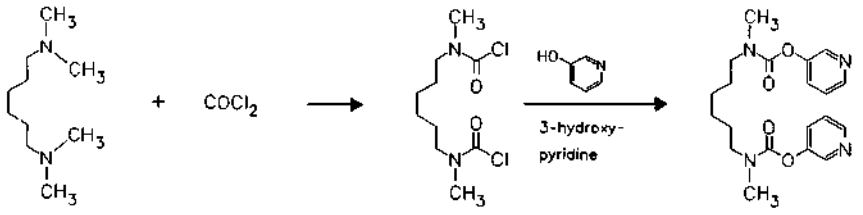
Trade Name(s):

D:	Diso-Duriles (Astra) Disonorm (Solvay Arzneimittel) Norpace (Heumann) Rythmodul (Albert-Roussel, Hoechst)	F:	Isorythm (Lipha Santé) Rythmodan (Roussel)	J:	Rythmodan (MR)
		GB:	Dirythmin (Astra) Norpace (Searle) Rythmodan (Roussel)	USA:	Norpace (Searle; as phosphate)
		I:	Ritmodan (Roussel)		

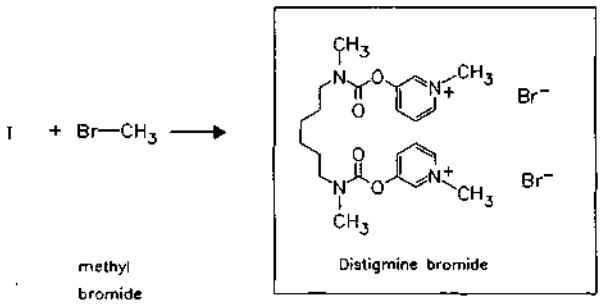
Distigmine bromide
(Hexamarium bromide)

ATC: N07AA03
Use: parasympathomimetic

RN: 15876-67-2 MF: $C_{22}H_{32}Br_2N_4O_4$ MW: 576.33 EINECS: 240-013-0
LD_{50}: 300 µg/kg (M, i.v.); 10.5 mg/kg (M, p.o.);
740 µg/kg (R, i.v.); 10 mg/kg (R, p.o.)
CN: 3,3'-[1,6-hexanediylbis[(methylimino)carbonyl]oxy]bis[1-methylpyridinium] dibromide



N,N,N',N'-tetramethylhexamethylenediamine — phosgene — (I)



methyl bromide

Reference(s):
US 2 789 981 (Österr. Stickstoffwerke; 1957; A-prior. 1954).

Formulation(s): amp. 0.5 mg; tabl. 5 mg

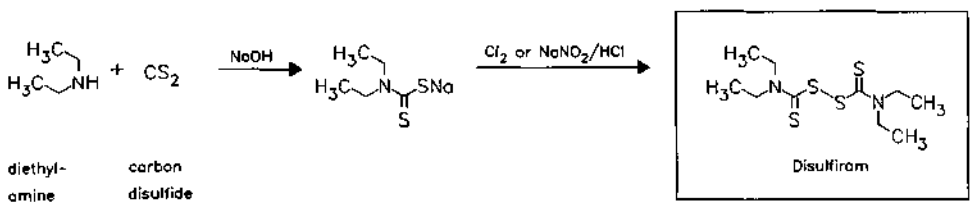
Trade Name(s):

D:	Ubretid (Nycomed)	GB:	Ubretid (Rhône-Poulenc Rorer)	J:	Ubretid (Torii)

Disulfiram

ATC: P03AA04; V03AA01
Use: alcohol deterrent

RN: 97-77-8 MF: $C_{10}H_{20}N_2S_4$ MW: 296.55 EINECS: 202-607-8
LD_{50}: 1980 mg/kg (M, p.o.);
500 mg/kg (R, p.o.)
CN: tetraethylthioperoxydicarbonic diamide ($[(H_2N)C(S)]_2S_2$)



diethylamine — carbon disulfide

Reference(s):
US 1 782 111 (Naugatuck; 1930; appl. 1925).
US 1 796 977 (Roessler & Hasslacher; 1931; appl. 1928).
US 2 375 083 (Monsanto; 1945; prior. 1943).
US 2 464 799 (Sharples Chemicals; 1949; prior. 1945).

Formulation(s): tabl. 100 mg, 200 mg, 500 mg

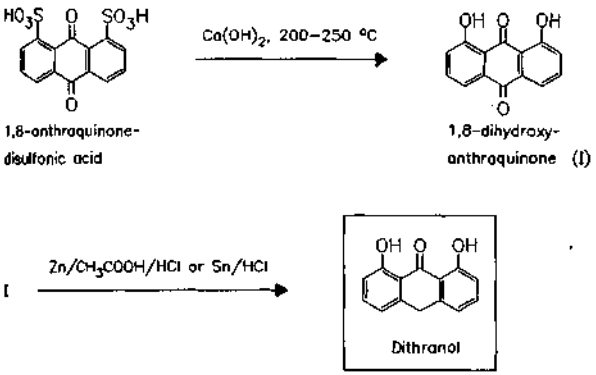
Trade Name(s):

D:	Antabus (Byk Gulden; Byk Tosse)	GB:	Antabuse (Dumex)		Nocbin (Tokyo Tanabe)
F:	Esperal (Sanofi Winthrop)	I:	Antabuse (Crinos)	USA:	Antabuse (Wyeth-Ayerst)
	T.T.D.-B_3-B_4 (AJC Pharma)-comb.		Exiltox (Candioli)		
		J:	Antabuse "D" (Tokyo Tanabe)		

Dithranol
(Anthralin)

ATC: D05AC01
Use: antipsoriatic

RN: 1143-38-0 MF: $C_{14}H_{10}O_3$ MW: 226.23 EINECS: 214-538-0
CN: 1,8-dihydroxy-9(10*H*)-anthracenone



Reference(s):
DRP 296 091 (Bayer; appl. 1915).
Zahn, K.; Koch, H.: Ber. Dtsch. Chem. Ges. (BDCGAS) **71**, 172 (1938).

Formulation(s): cream 0.5 mg/g, 1 mg/g, 2 mg/g; ointment 0.5 %, 1 %, 2 %, 3 %; pencils sticks 0.2 g/10 g, 0.5 g/10 g

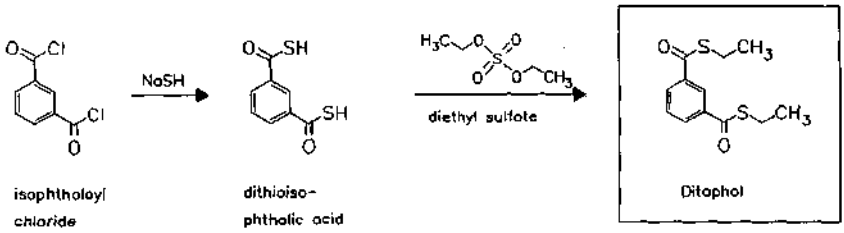
Trade Name(s):

D:	Psoradexan (Hermal)-comb.		Micanol (Evans)		Drithocreme (Dermik)
	Psoralon (Hermal)-comb.		Psorin (Thames)-comb.		Micanol (Bioglan)
F:	Anaxeryl (Bailly)-comb.	I:	Pentagamma (IBP)-comb.; wfm		
GB:	Dithrocream (Dermal)	USA:	Dritho-Scalp (Dermik)		

Ditophal

ATC: D08
Use: chemotherapeutic (leprosy)

RN: 584-69-0 MF: $C_{12}H_{14}O_2S_2$ MW: 254.37
CN: 1,3-benzenedicarbothioic acid *S,S*-diethyl ester



Reference(s):
GB 791 734 (ICI; appl. 1954).

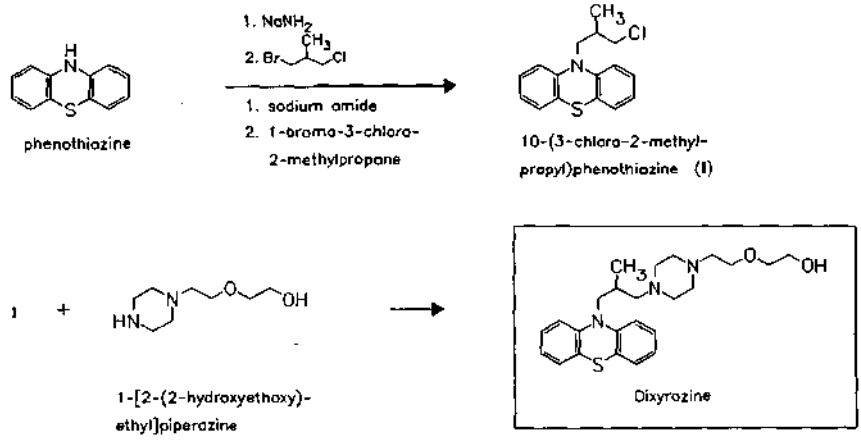
Formulation(s): cream 96 %

Trade Name(s):
GB: Etisul (ICI); wfm

Dixyrazine

ATC: N05AB01
Use: neuroleptic, antihistaminic

RN: 2470-73-7 MF: $C_{24}H_{33}N_3O_2S$ MW: 427.61 EINECS: 219-591-3
LD_{50}: 37.5 mg/kg (R, i.v.); 400 mg/kg (R, p.o.)
CN: 2-[2-[4-[2-methyl-3-(10*H*-phenothiazin-10-yl)-propyl]-1-piperazinyl]ethoxy]ethanol



Reference(s):
GB 861 420 (UCB; appl. 17.4.1959; B-prior. 19.4.1958).

Formulation(s): amp. 10 mg; drops 22 mg; tabl. 10 mg, 25 mg

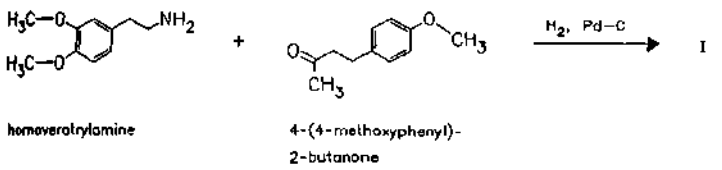
Trade Name(s):
D: Esuco (UCB); wfm F: Esucos (Ucépha); wfm I: Esucos (SIT)

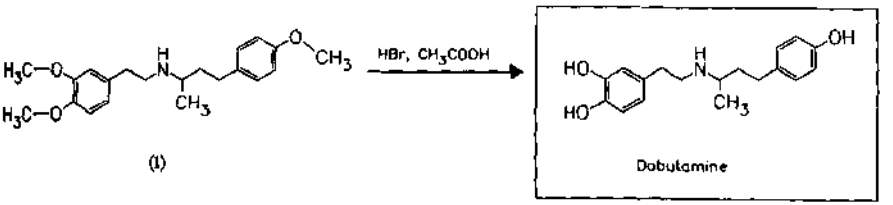
Dobutamine

ATC: C01CA07
Use: cardiotonic

RN: 34368-04-2 MF: $C_{18}H_{23}NO_3$ MW: 301.39
CN: (±)-4-[2-[[3-(4-hydroxyphenyl)-1-methylpropyl]amino]ethyl]-1,2-benzenediol

hydrochloride
RN: 49745-95-1 MF: $C_{18}H_{23}NO_3 \cdot HCl$ MW: 337.85 EINECS: 256-464-1





Reference(s):
DOS 2 317 710 (Lilly; appl. 9.4.1973; USA-prior. 12.4.1972).
US 3 987 200 (Lilly; 19.10.1976; prior. 12.4.1972, 15.1.1975).

Formulation(s): vial (lyo.) 280 mg (as hydrochloride)

Trade Name(s):

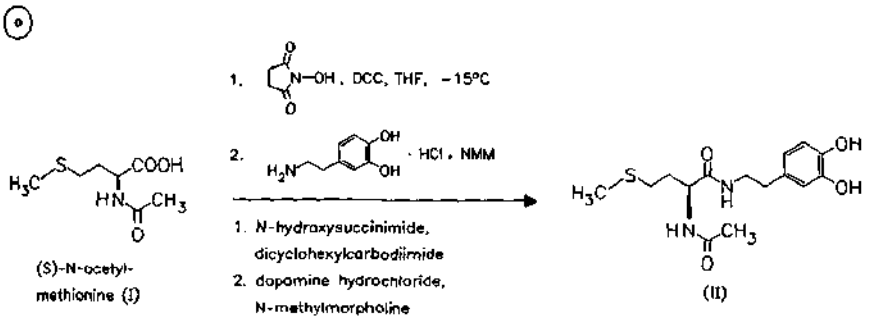
D:	Dobutamin (ASTA Medica AWD; Fresenius; Hexal; Parke Davis)	F:	Dobutrex (Eli Lilly)	I:	Dobutrex (Lilly)
		GB:	Dobutrex (Lilly; 1977)	J:	Dobutrex (Shionogi; 1982)
				USA:	Dobutrex (Lilly; 1978)

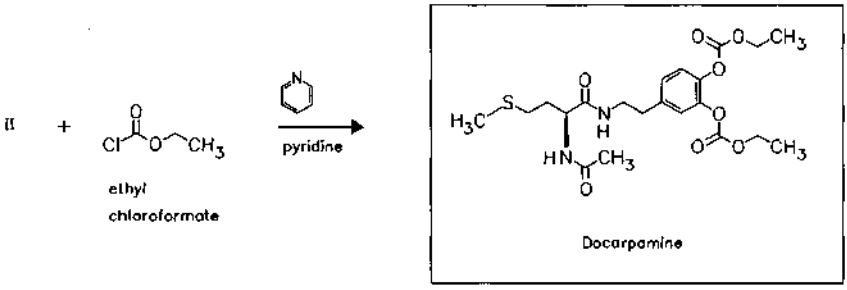
Docarpamine
(TA-870; TA-8704)

ATC: C02LX
Use: cardiotonic, diuretic

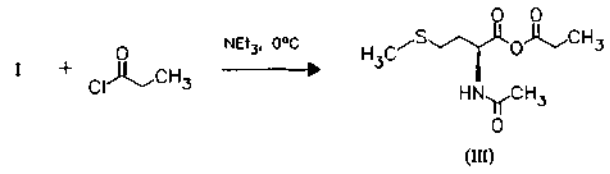
RN: 74639-40-0 MF: $C_{21}H_{30}N_2O_8S$ MW: 470.54
LD_{50}: 2800 mg/kg (M, i.v.)
CN: (*S*)-carbonic acid 4-[2-[[2-(acetylamino)-4-(methylthio)-1-oxobutyl]amino]ethyl]-1,2-phenylene diethyl ester

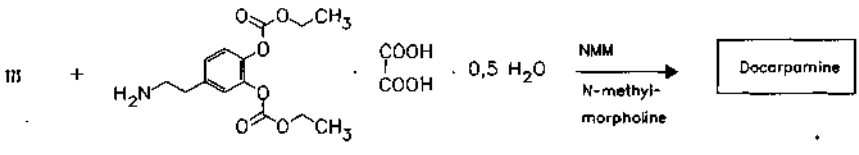
(*RS*)-form
RN: 143289-50-3 MF: $C_{21}H_{30}N_2O_8S$ MW: 470.54





(b)





3,4-bis(ethoxycarbanyloxy)-
phenethylamine oxalate
hemihydrate

Reference(s):
EP 7 441 (Tanabe Seiyaku; appl. 6.2.1980; J-prior. 30.6.1978).
JP 4 112 858 (Tanabe Seiyaku; appl. 14.4.1992; J-prior. 30.8.1990).
JP 7 165 684 (Tanabe Seiaku; appl. 27.6.1995; J-prior. 22.7.1994).

oral pharmaceuticals containing dopamine derivatives:
JP 06 183 964 (Tanabe Seiyaku; appl. 5.7.1994; J-prior. 24.12.1992).

Formulation(s): gran. 75 mg/g

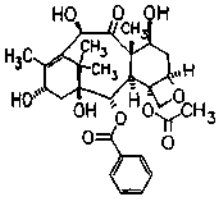
Trade Name(s):
J: Tanadopa (Tanabe Seiyaku)

Docetaxel
(NSC-628503; RP-56976)

ATC: L01CD02
Use: antineoplastic, microtubule inhibitor

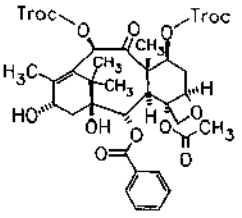
RN: 114977-28-5 MF: $C_{43}H_{53}NO_{14}$ MW: 807.89
CN: [2a*R*-[2aα,4β,4aβ,6β,9α(α*R**,β*S**),11α,12α,12aα,12bα]]-β-[[(1,1-dimethylethoxy)carbonyl]amino]-α-hydroxybenzenepropanoic acid 12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,-12b-dodecahydro-4,6,11-trihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1*H*-cyclodeca[3,4]benz[1,2-*b*]oxet-9-yl ester

(a)

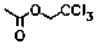


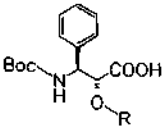
10-deacetylbaccatin III (extracted and purified from leaves of Taxus baccata L.)

Troc–Cl, pyridine, $ClCH_2CH_2Cl$



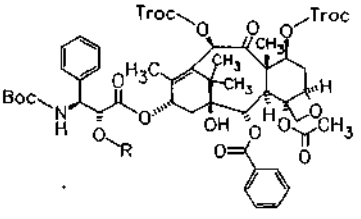
(I)

Troc: 

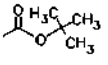
I + 

threo-2-(1-ethoxyethoxy)-3-(tert-butoxycarbonylamino)-3-phenylpropionic acid (II)

DCC, DMAP, toluene, 4-dimethylaminopyridine

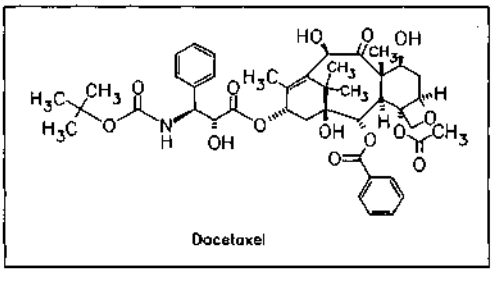


(III)

Boc: 

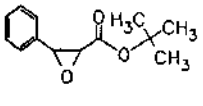
R: 

III, Zn, CH_3COOH, ethyl acetate



Docetaxel

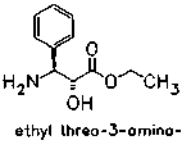
(aa) synthesis of intermediate II



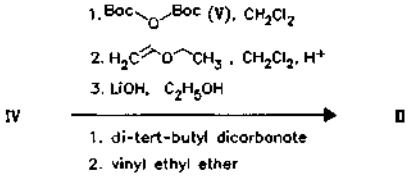
tert-butyl 3-phenylglycidate

1. NaN_3, C_2H_5OH
2. HCl, C_2H_5OH
3. H_2, Pd–C, C_2H_5OH

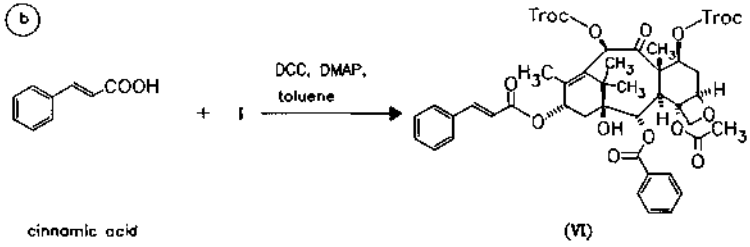
1. sodium azide

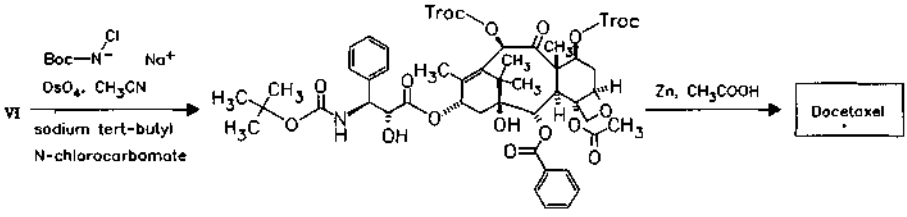


ethyl threo-3-amino-2-hydroxy-3-phenylpropionate (IV)

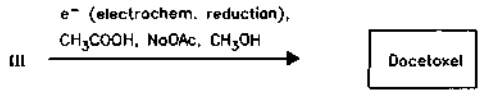


(b)

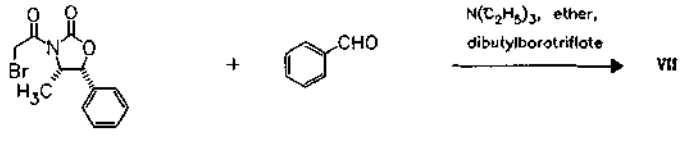




(c)

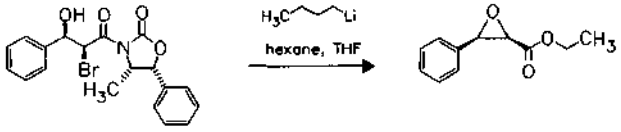


(d)



(4S,5R)-3-(2-bromo-acetyl)-4-methyl-5-phenyl-2-oxazolidinone

benz-aldehyde

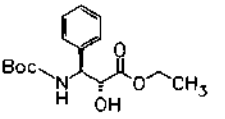


(VII)

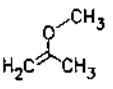
ethyl (2R,3R)-3-phenyl-2-oxirane-carboxylate (VIII)

VIII

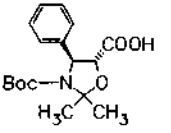
1. NaN_3, C_2H_5OH
2. H_2, Pd–C, ethyl acetate
3. V, CH_2Cl_2



ethyl (2R,3S)-3-tert-butoxycarbonylamino-2-hydroxy-3-phenylpropionate (IX)

IX + 

1. TosOH, pyridine, toluene
2. LiOH, C_2H_5OH

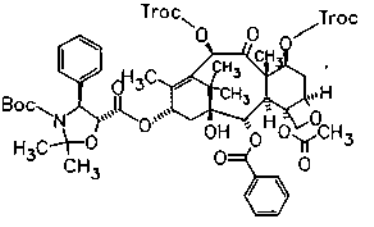


2-methoxypropene

(4S,5R)-3-tert-butoxycarbonyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid (X)

X + I

DCC, DMAP, toluene



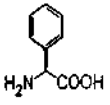
(XI)

XI

Zn, CH_3COOH

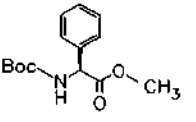
Docetaxel

(de) alternative synthesis of intermediate X:



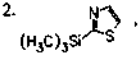
(S)-phenylglycine

1. $SOCl_2$, CH_3OH
2. V



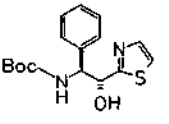
(XII)

XII

1. DIBAL, toluene
2. 

tetrabutyl ammonium fluoride

1. dibutylaluminum hydride
2. 2-(trimethylsilyl)thiazole



tert-butyl (1S,2R)-2-hydroxy-1-phenyl-2-(2-thiazolyl)ethylcarbamate (XIII)

XIII → (4S,5R)-3-tert-butoxycarbonyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxaldehyde (XIV)

1. $H_3C-C(CH_3)_2-O-O-CH_3$, CSA
2. $F_3C-SO_2-O-CH_3$

1. 2,2-dimethoxypropane, 10-camphorsulfonic acid
2. methyl trifluoromethanesulfonate

XIV → X

$KMnO_4$, tert-butanol

e

(S)-N-benzylidene-1-phenylethylamine + 2-acetoxyacetyl chloride → 3-acetoxy-4-phenyl-1-[(S)-1-phenylethyl]-2-azetidinone (XV)

$N(C_2H_5)_3$, $CHCl_3$

XV → ethyl (2R,3S)-2-hydroxy-3-[(S)-1-phenylethylamino]-3-phenylpropionate → XVI → ethyl (2R,3S)-3-amino-2-hydroxy-3-phenylpropionate (XVI) → IX → Docetaxel

1. KOH, THF
2. HCl, C_2H_5OH

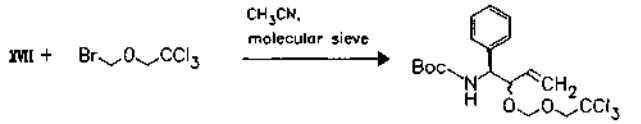
H_2, Pd–C, CH_3OH

V

I

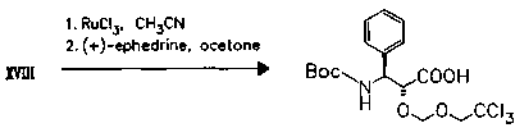
f

Boc-(S)-phenylglycinal + vinylmagnesium bromide → (±)-1-phenyl-1-(tert-butoxycarbonylamino)-2-hydroxy-3-butene (XVII)

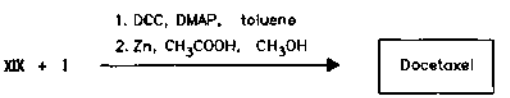


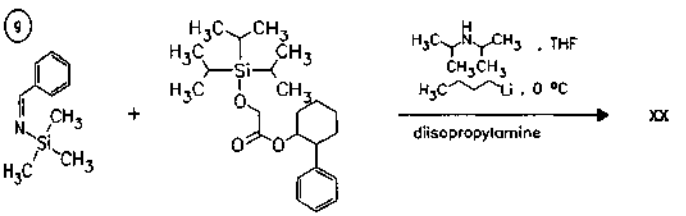
(2,2,2-trichloro-ethoxy)methyl bromide

syn-(±)-1-phenyl-1-(tert-butoxycarbonylamino)-2-(2,2,2-trichloroethoxymeth-oxy)-3-butene (XVIII)

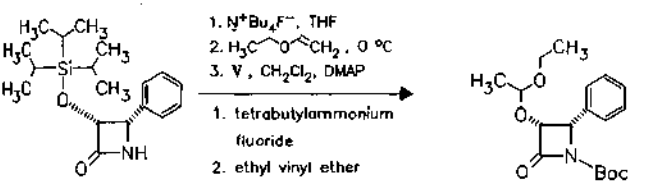


(2R,3S)-3-tert-butoxy-carbonylamino-3-phenyl-2-(2,2,2-trichloroethoxy-methoxy)propionic acid (XIX)



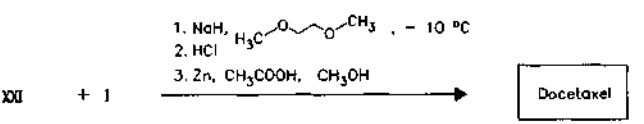


N-(trimethylsilyl)benzaldehyde imine



(3R,4S)-3-(triisopropylsilyloxy)-4-phenyl-2-azetidinone (XX)

(3R,4S)-1-tert-butoxycorbonyl-3-(1-ethoxyethoxy)-4-phenyl-2-azetidinone (XXI)



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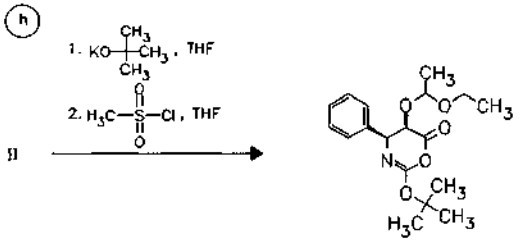
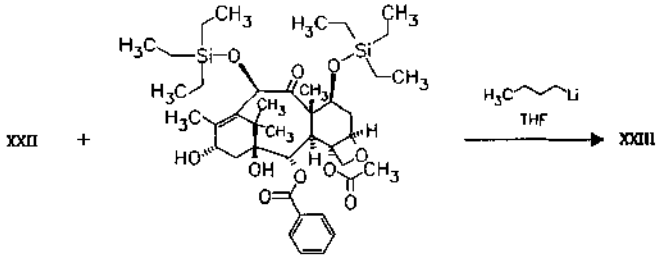
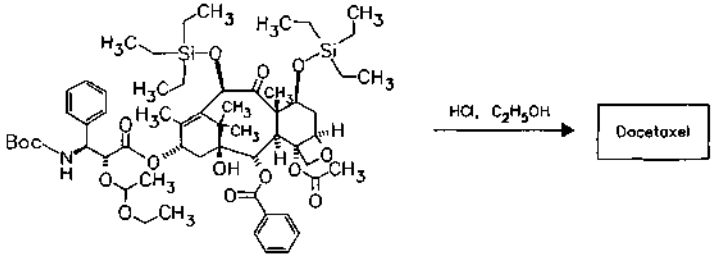


(4S,5R)-2-tert-butoxy-4-phenyl-5-(1-ethoxyethoxy)-4,5-dihydro-1,3-oxazin-6-one (XXII)



7,10-bis(triethylsilyl)-10-deacetylbaccatin III



(2R,3S)-N-debenzoyl-N-tert-butoxycarbonyl-10-deacetyl-2-(1-ethoxyethyl)-7,10-bis-(triethylsilyl)taxol (XXIII)

Reference(s):

a EP 336 841 (Rhône-Poulenc Sante; appl. 5.4.1989; F-prior. 6.4.1988).
Gueritte-Voegelein, F. et al.: J. Med. Chem. (JMCMAR) **34**, 992-998 (1992).
EP 522 958 (Rhône-Poulenc Rorer; appl. 8.7.1992; F-prior. 10.7.1991).

aa Denis, J.N. et al.: J. Org. Chem. (JOCEAH) **51**, 46-50 (1986).

b EP 253 738 (Rhône-Poulenc Sante; appl. 16.7.1987; F-prior. 17.7.1986).

c WO 9 318 210 (Rhône-Poulenc Rorer; appl. 11.3.1993; F-prior. 13.3.1992).

d WO 9 209 589 (Rhône-Poulenc Rorer; appl. 22.11.1991; F-prior. 23.11.1990, 25.7.1991).
WO 9 407 879 (Rhône-Poulenc Rorer; appl. 4.10.1993; F-prior. 5.10.1992).
WO 9 410 169 (Rhône-Poulenc Rorer; appl. 28.10.1993; F-prior. 30.10.1992).
WO 9 407 876 (Rhône-Poulenc Rorer; appl. 4.10.1993; F-prior. 5.10.1992).

da Dondoen, A. et al.: Synthesis (SYNTBF) **2**, 181 (1995).

e WO 9 317 997 (Rhône-Poulenc Rorer; appl. 8.3.1993; F-prior. 10.3.1992).
WO 9 407 847 (Rhône-Poulenc Rorer; appl. 4.10.1993; F-prior. 5.10.1992).

f EP 528 729 (Rhône-Poulenc Rorer; appl. 17.8.1992; F-prior. 19.8.1991).

g WO 9 418 164 (Res. Found. SUNY; appl. 28.1.1994; USA-prior. 1.2.1993).
WO 9 306 094 (Univ. Florida State; appl. 22.9.1992; USA-prior. 3.4.1992, 23.9.1991).

h US 5 254 703 (Univ. Florida State; appl. 6.4.1992; USA-prior. 6.4.1992).

purification of 10-deacetylbaccatin III *by partition chromatography:*
WO 9 421 622 (Rhône-Poulenc Rorer; appl. 18.3.1994; F-prior. 22.3.1993).

callus cell induction and the preparation of taxanes:
EP 568 821 (Squibb; appl. 6.4.1993; USA-prior. 7.4.1992).

purification of (2*R*,3*R*)-*cis*-β-phenylglycidic acid *by crystallization with* α-methylbenzylamine:
WO 9 113 066 (Rhône-Poulenc Rorer; appl. 20.2.1991; F-prior. 21.2.1990).

total synthesis of taxanes:
Nicolaou, K.C. et al.: Angew. Chem. (ANCEAD) **107**, 2247-2259 (1995).

composition comprising taxanes in solution with ethanol:
EP 522 936 (Rhône-Poulenc Rorer; appl. 3.7.1992; F-prior. 8.7.1991).

composition with phospholipids/surfactants:
WO 9 528 923 (Rhône-Poulenc Rorer; appl. 24.4.1995; F-prior. 25.4.1994).
US 5 415 869 (Res. Found. SUNY; appl. 12.11.1993; USA-prior. 12.11.1993).
WO 9 412 171 (Rhône-Poulenc Rorer; appl. 26.11.1993; F-prior. 2.12.1992).

formulations with cyclodextrin:
WO 9 519 994 (CNRS; appl. 24.1.1995; F-prior. 25.1.1994).

combinations with antineoplastic agents:
FR 2 697 752 (Rhône-Poulenc Rorer; appl. 10.11.1992; F-prior. 10.11.1992).

use to treat malaria:
FR 2 707 165 (Rhône-Poulenc Rorer; appl. 6.7.1993; F-prior. 6.7.1993).
WO 9 412 172 (Th. Jefferson Univ.; appl. 2.12.1993; USA-prior. 2.12.1992, 26.1.1993).

Formulation(s): vial 20 mg, 80 mg

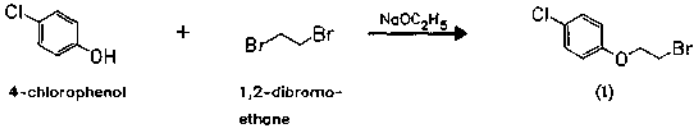
Trade Name(s):

D:	Taxotere (Rhône-Poulenc Rorer)	GB:	Taxotere (Rhône-Poulenc Rorer)	USA:	Taxotere (Rhône-Poulenc Rorer)
F:	Taxotère (Bellon)	J:	Taxotere (Rhône-Poulenc Rorer)		

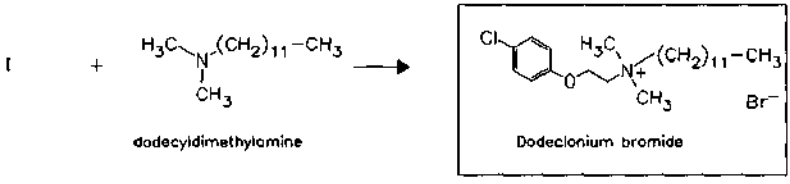
Dodeclonium bromide

ATC: D08AJ
Use: antiseptic

RN: 15687-13-5 MF: $C_{22}H_{39}BrClNO$ MW: 448.92 EINECS: 239-779-9
CN: *N*-[2-(4-chlorophenoxy)ethyl]-*N*,*N*-dimethyl-1-dodecanaminium bromide



4-chlorophenol 1,2-dibromo-ethane (I)



dodecyldimethylamine Dodeclonium bromide

Reference(s):
Gautier, J.A. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1957**, 1014.
Gautier, J.A. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **240**, 2154 (1955).

germicidal aerosol combination:
FR 2 616 065 (J. Y. Pabst; appl. 2-6-1987).

Formulation(s): cream 100 g/0.4 g; suppos. 1.3 mg

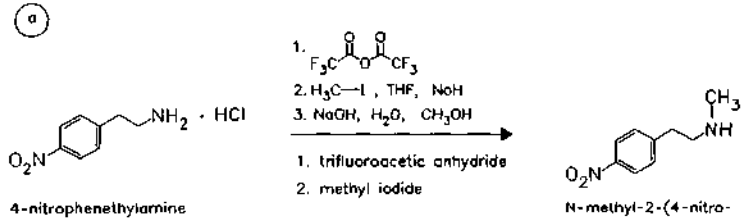
Trade Name(s):
F: Derméol (RPR Cooper)-comb. Sedorrhoide (RPR Cooper)-comb.

Dofetilide

Use: class III antiarrhythmic agent

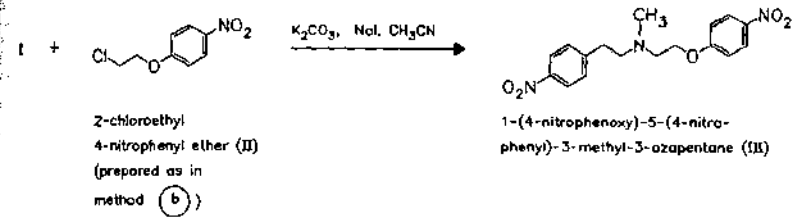
(UK-68798)

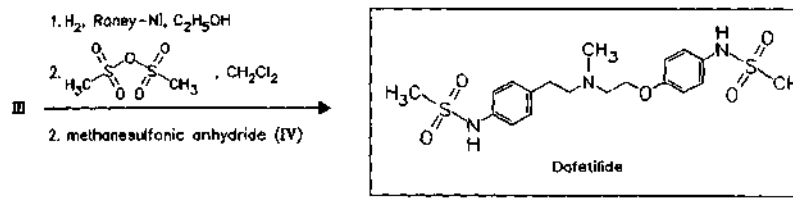
RN: 115256-11-6 MF: $C_{19}H_{27}N_3O_5S_2$ MW: 441.57
CN: *N*-[4-[2-[Methyl[2-[4-[(methylsulfonyl)amino]phenoxy]ethyl]amino]ethyl]phenyl]methanesulfonamide

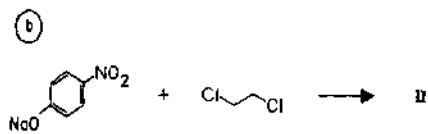


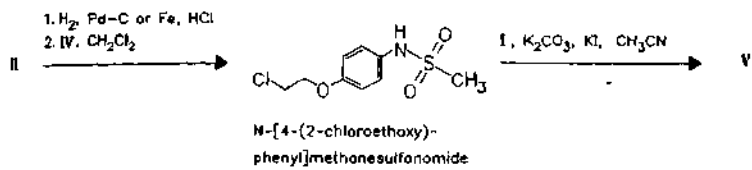
4-nitrophenethylamine hydrochloride

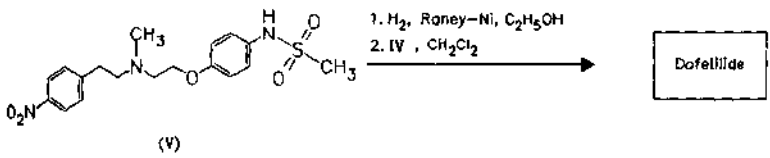
N-methyl-2-(4-nitro-phenyl)ethylamine (I)











Reference(s):
EP 245 997 (Pfizer; appl. 29.4.1987; GB-prior. 1.5.1986).
Cross, P.E.; Arrowsmith, J.E.; Geoffrey, N.; Gwilt, M.; Burges, R.A..; Higgins, A.J.: J. Med. Chem. (JMCMAR) **33**, 1151 (1990).

dofetilide *polymorphs:*
WO 9 921 829 (Pfizer; appl. 9.10.1998; GB-prior. 27.10.1997).

alternative preparation of N-methyl-(4-nitrophenethyl)amine:
Dale, W.J.; Buell, C.J.: J. Org. Chem. (JOCEAH) **21**, 45 (1956).
Theodore, L.J.; Nelson, W.L.; Dave, B.; Giacomini, J.: J. Med. Chem. (JMCMAR) **33** (2), 873 (1990).

alternative preparation of 2-chloroethyl 4-nitrophenyl ether:
Katrak: J. Indian. Chem. Soc. (JICSAH) **13**, 334 (1936).
McMahon, R.E. et al.: J. Med. Chem. (JMCMAR) **6**, 343 (1963).
US 3 937 726 (Hoechst A. G.; 10.2.1976; D-prior. 22.4.1966).

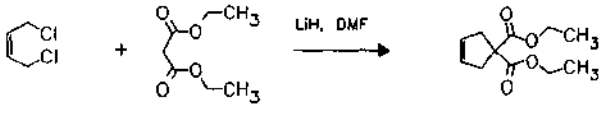
Trade Name(s):
D: Tikosyn (Pfizer; 2000) GB: Tikosyn (Pfizer; 2000) USA: Tikosyn (Pfizer; 1999)

Dolasetron mesilate
(MDL-73147EF)

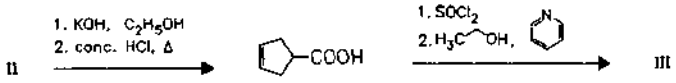
ATC: A04AA04
Use: antiemetic agent (5-HT_3-receptor antagonist)

RN: 115956-13-3 MF: $C_{19}H_{20}N_2O_3 \cdot CH_4O_3S$ MW: 420.49
CN: (2α,6α,8α,9aα)-1*H*-Indole-3-carboxylic acid octahydro-3-oxo-2,6-methano-2*H*-quinolizin-8-yl ester

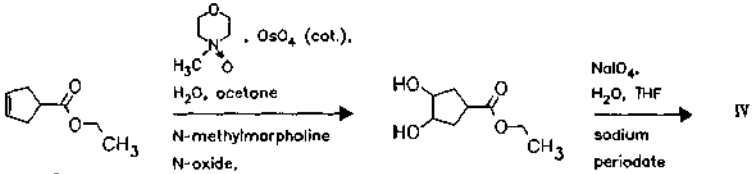
base
RN: 115956-12-2 MF: $C_{19}H_{20}N_2O_3 \cdot CH_4O_3S$ MW: 420.49



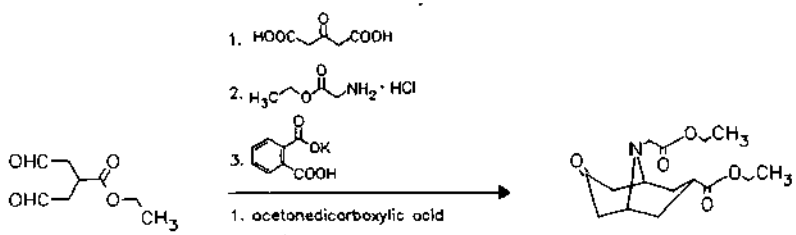
cis-1,4-dichloro-2-butene (I) + diethyl malonate → diethyl 3-cyclopentene-1,1-dicarboxylate (II)



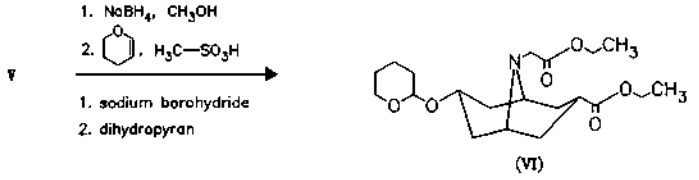
II → 3-cyclopentene-1-carboxylic acid → III

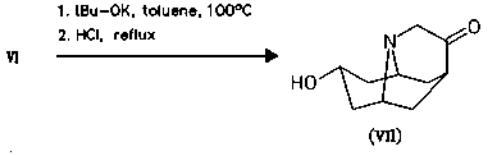


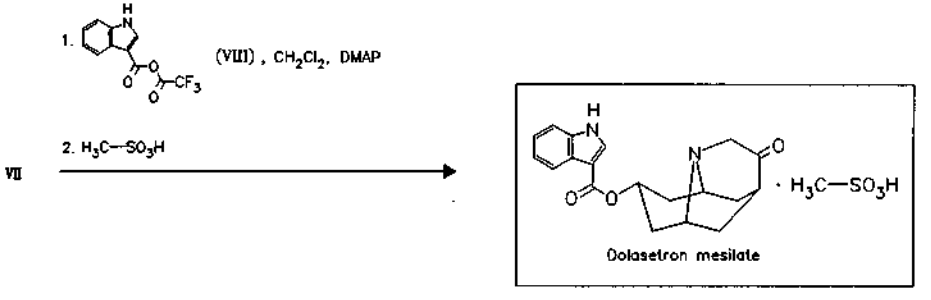
ethyl 3-cyclopentene-1-carboxylate (III) → diastereomeric mixture of 4-ethoxycarbonyl-1,2-cyclopentanediol



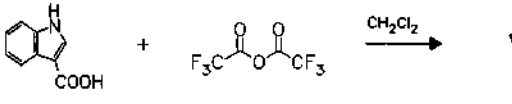
β-ethoxycarbonyl-glutaraldehyde (IV) → 7-ethoxycarbonyl-9-(ethoxycarbonylmethyl)-9-azabicyclo[3.3.1]nonan-3-one (V)







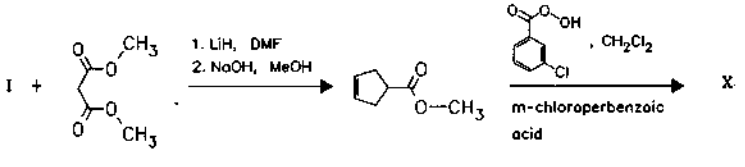
synthesis of intermediate VIII



indole-3-carboxylic acid

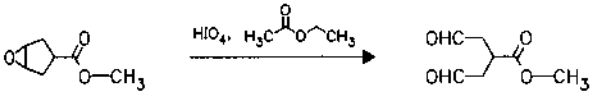
trifluoroacetic anhydride

alternative preparation via β-methoxycarbonylglutaraldehyde



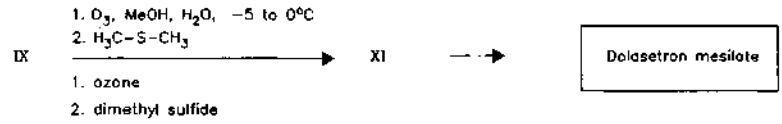
dimethyl malonate

methyl 3-cyclopentene-1-carboxylate (IX)



diastereomeric mixture of 1-methoxycarbonyl-3-cyclopentene oxide (trans:cis ~ 3:1) (X)

β-methoxycarbonyl-glutaraldehyde (XI)



Reference(s):
EP 339 669 (Merrell Dow Pharm. Inc.; appl. 28.4.1989; USA-prior. 29.4.1988).
EP 266 730 (Merrell Dow Pharm. Inc.; appl. 2.11.1987; USA-prior. 3.11.1986).

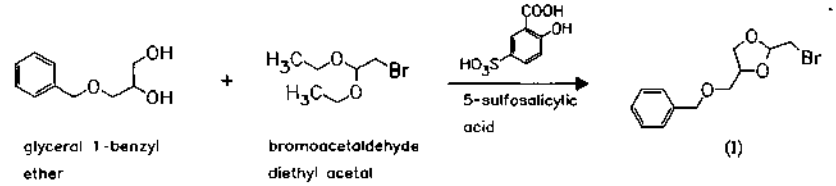
Formulation(s): amp. 12.5 mg/0.625 ml, 100 mg/5 ml; f. c. tabl. 50 mg, 200 mg

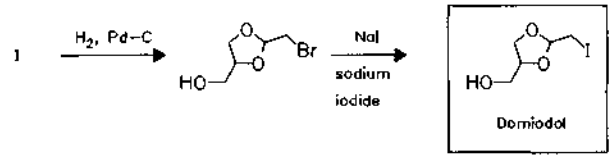
Trade Name(s):
D: Anemet (Hoechst Marion Roussel; 1997)
USA: Anzemet (Hoechst Marion Roussel; 1997)

Domiodol

ATC: R05CB08
Use: mucolytic agent

RN: 61869-07-6 MF: $C_5H_9IO_3$ MW: 244.03
LD_{50}: 79-89 mg/kg (M, i.v.); 140-145 mg/kg (M, p.o.)
CN: 2-(iodomethyl)-1,3-dioxolane-4-methanol





Reference(s):
DOS 2 610 704 (Maggioni; appl. 13.3.1976; I-prior. 2.4.1975).

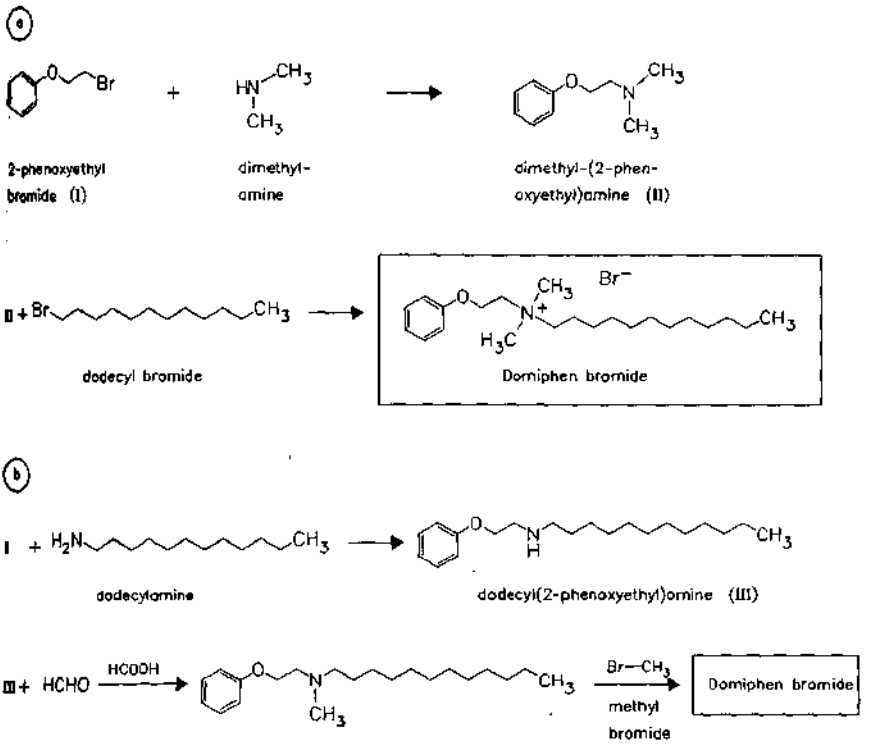
Formulation(s): sachet 60 mg; sugar coated tabl. 60 mg; syrup 0.6 %

Trade Name(s):
I: Mucolitico (Maggioni-Winthrop)

Domiphen bromide
(Phenododecinium bromide)

ATC: A01AB06
Use: disinfectant

RN: 538-71-6 MF: $C_{22}H_{40}BrNO$ MW: 414.47 EINECS: 208-702-0
LD_{50}: 31 mg/kg (M, i.v.);
18 mg/kg (R, i.v.)
CN: *N,N*-dimethyl-*N*-(2-phenoxyethyl)-1-dodecanaminium bromide



Reference(s):
US 2 581 336 (Ciba; 1952; CH-prior. 1944).

Formulation(s): tabl. 0.5 mg

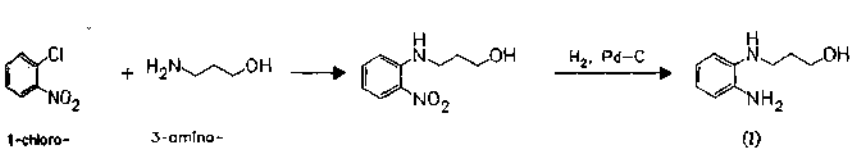
Trade Name(s):

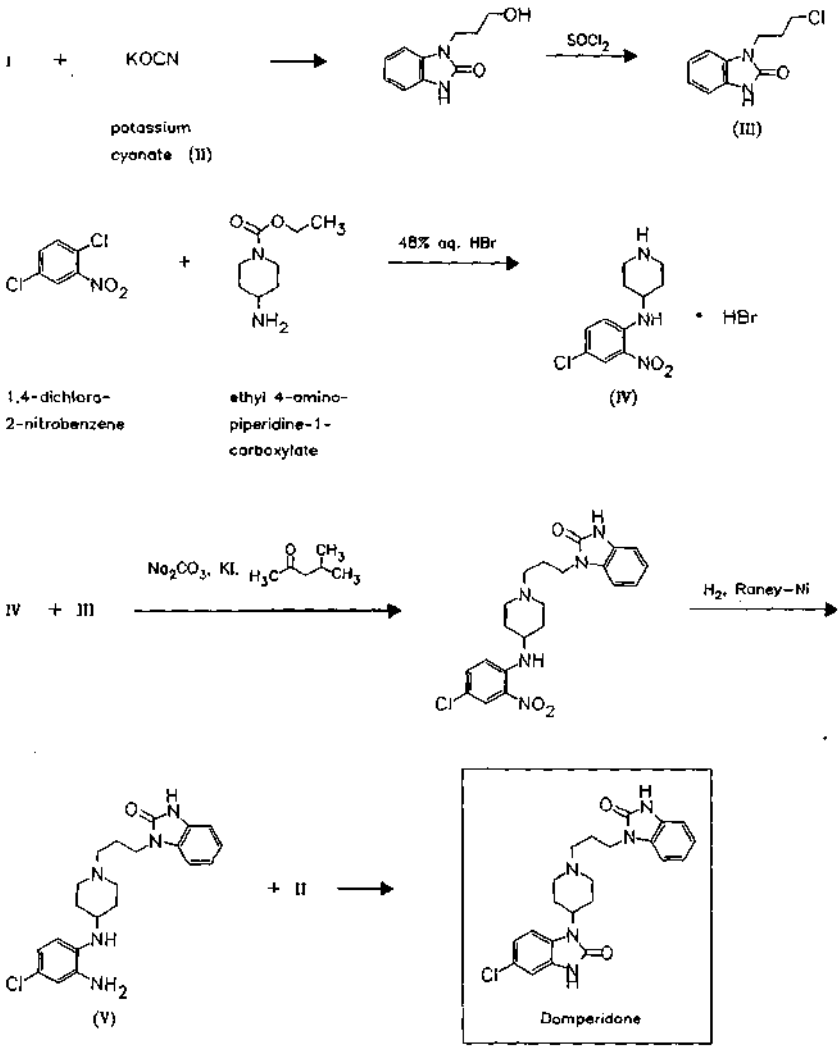
GB:	Bradosol Plus (Novartis Consumer)-comb.		Iodosan Nasale (SmithKline Beecham)-comb.	USA:	Oradol (Novartis-Takeda) Bradosol Bromide (Ciba-Geigy); wfm
I:	Bradoral (Zyma)	J:	Brado (Novartis-Takeda)-comb.		

Domperidone

ATC: A03FA03
Use: anti-emetic

RN: 57808-66-9 MF: $C_{22}H_{24}ClN_5O_2$ MW: 425.92 EINECS: 260-968-7
LD_{50}: 46500 µg/kg (M, i.v.); >8 g/kg (M, p.o.);
41700 µg/kg (R, i.v.); 5243 mg/kg (R, p.o.);
42700 µg/kg (dog, i.v.); >160 mg/kg (dog, p.o.)
CN: 5-chloro-1-[1-[3-(2,3-dihydro-2-oxo-1*H*-benzimidazol-1-yl)propyl]-4-piperidinyl]-1,3-dihydro-2*H*-benzimidazol-2-one





Reference(s):
US 4 066 772 (Janssen; 3.1.1978; prior. 21.7.1975, 17.5.1976).
DE 2 632 870 (Janssen; appl. 21.7.1976; USA-prior. 21.7.1975).

Formulation(s): eff. gran. 10 mg; f. c. tabl. 10 mg; suppos. 30 mg; susp.10 mg/ml; tabl. 10 mg

Trade Name(s):

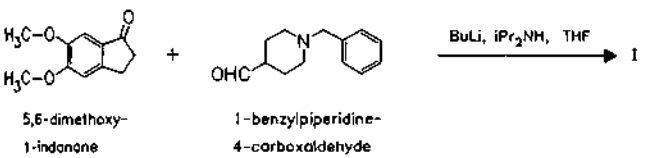
D:	Motilium (Byk Gulden; 1979)		Motilium (Sanofi Winthrop; 1982)		Peridon (Fisons; Italchimici)
F:	Motilium (Janssen-Cilag; 1983)	I:	Fobidon (Biomedica Foscama)	J:	Nauzelin (Kyowa Hakko; 1982)
	Péridys (Robapharm)		Gastronorm (Janssen)		
GB:	Domperamol (Servier)-comb.		Mod (Irbi)		
			Motilium (Janssen; 1982)		

Donepezil hydrochloride
(E-2020)

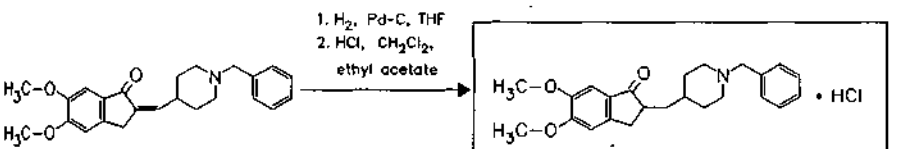
ATC: N06DA02
Use: cognition disorders, acetylcholinesterase inhibitor

RN: 120011-70-3 MF: $C_{24}H_{29}NO_3 \cdot HCl$ MW: 415.96
CN: 2,3-dihydro-5,6-dimethoxy-2-[[1-(phenylmethyl)-4-piperidinyl]methyl]-1*H*-inden-1-one hydrochloride

base
RN: 120014-06-4 MF: $C_{24}H_{29}NO_3$ MW: 379.50



5,6-dimethoxy-1-indanone + 1-benzylpiperidine-4-carboxaldehyde



1-benzyl-4-(5,6-dimethoxy-1-oxaindan-2-ylidenemethyl)-piperidine (I)

Reference(s):
EP 296 560 (Eisai Co.; appl. 22.6.1988; J-prior. 22.6.1987).
Imura, J. et al.: J. Labelled Compd. Radiopharm. (JLCRD4) **27**, 835-839 (1989).

Formulation(s): tabl. 5 mg, 10 mg

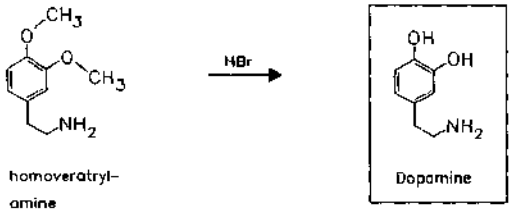
Trade Name(s):
D: Aricept (Eisai/Pfizer) GB: Aricept (Eisai/Pfizer) USA: Aricept (Eisai/Pfizer)

Dopamine

ATC: C01CA04
Use: sympathomimetic

RN: 51-61-6 MF: $C_8H_{11}NO_2$ MW: 153.18 EINECS: 200-110-0
LD_{50}: 59 mg/kg (M, i.v.)
CN: 4-(2-aminoethyl)-1,2-benzenediol

hydrochloride
RN: 62-31-7 MF: $C_8H_{11}NO_2 \cdot HCl$ MW: 189.64 EINECS: 200-527-8
LD_{50}: 156 mg/kg (M, i.v.); 4361 mg/kg (M, p.o.);
4800 µg/kg (R, i.v.); 2859 mg/kg (R, p.o.);
79 mg/kg (dog, i.v.)



homoveratryl-amine (cf. papaverine synthesis)

Reference(s):
Schöpf; Bayerle: Justus Liebigs Ann. Chem. (JLACBF) **513**, 196 (1934).

alternative with HCl:
DE 247 906 (K. W. Rosenmund et al.; 1909).
Hahn, G.; Stiehl, K.: Ber. Dtsch. Chem. Ges. (BDCGAS) **69**, 2640 (1936).
FR-appl. 2 332 748 (P. Fabre; appl. 28.11.1975).

combination with "nitro"-preparations (for treatment of cardiogenic shock):
DOS 2 649 162 (Nattermann; appl. 28.10.1976).

Formulation(s): vial 50 mg, 200 mg, 250 mg, 500 mg (for inf. sol.)

Trade Name(s):

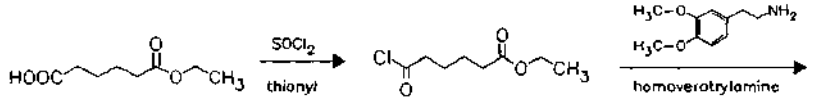
D:	Dopamin AWD (ASTA Medica AWD)		Dopamin Solvay (Solvay Arzneimittel)		Dopamine Pierre Fabre (Pierre Fabre)
	Dopamin Fresenius (Fresenius-Klinik)	F:	Dopamine 200 Lucien (Lucien)	GB:	Intropin (Arnar-Stone); wfm
	Dopamin ratiopharm (ratiopharm)		Dopamine Nativelle (Procter & Gamble)	I:	Revivan (Astra-Simes)
				J:	Inovan (Kyowa Hakko)
				USA:	generics

Dopexamine

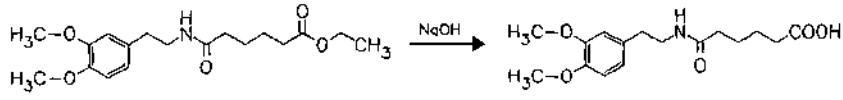
ATC: C01CA14
Use: cardiotonic

RN: 86197-47-9 MF: $C_{22}H_{32}N_2O_2$ MW: 356.51
CN: 4-[2-[[6-[(2-phenylethyl)amino]hexyl]amino]ethyl]-1,2-benzenediol

dihydrochloride
RN: 86484-91-5 MF: $C_{22}H_{32}N_2O_2 \cdot 2HCl$ MW: 429.43

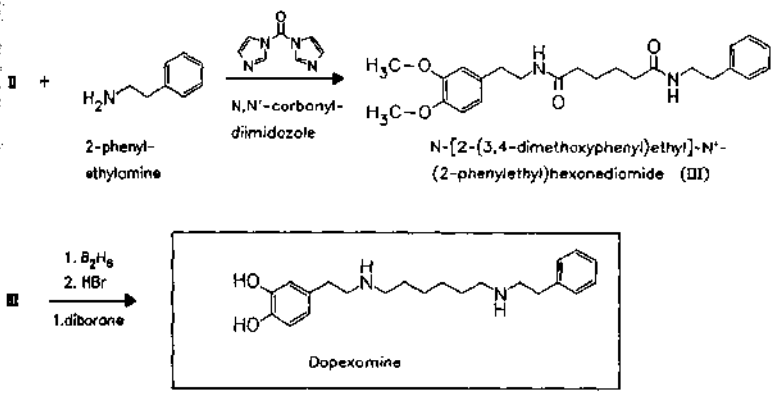


monoethyl adipate



ethyl 6-oxo-6-[2-(3,4-dimethoxy-phenyl)ethylamino]hexanoate (I)

6-oxo-6-[2-(3,4-dimethoxy-phenyl)ethylamino]hexonoic acid (II)



Reference(s):
EP 72 061 (Fisons, appl. 22.7.1982; GB-prior. 5.8.1981, 9.10.1981, 17.11.1981).

synthesis of II:
Kametani, T. et al.: Yakugaku Kenkyu (YKKKA8) **37**, 23 (1966); C.A. (CHABA8) **65**, 15320 (1966).

Formulation(s): amp. 50 mg/5 ml for inf.

Trade Name(s):
D: Dopacard (Ipsen Pharma; as hydrochloride) F: Dopacard (Ipsen/Biotech) GB: Dopacard (Speywood; as hydrochloride)

Dornase alfa
(rhDNase)

ATC: R05CB13
Use: cystic fibrosis therapeutic

RN: 143831-71-4 MF: unspecified MW: unspecified
CN: deoxyribonuclease (human clone 18-1 protein moiety reduced)

Dornase alfa is produced by genetically engineered Chinese Hamster ovary cells containing DNA encoding for the native human protein deoxyribunuclease I. It is purified by tangential flow filtration and column chromatography.

Reference(s):
WO 9 007 572 (Genentech; appl. 12.7.1990; USA-prior. 23.12.1988, 8.12.1989).
Shak, S. et al.: Proc. Natl. Acad. Sci. USA (PNASA6) **87**(23), 9188 (1990).

Formulation(s): amp. 2.5 mg/2.5 ml

Trade Name(s):
D: Pulmozyme (Roche) GB: Pulmozyme (Roche) USA: Pulmozyme (Genentech)

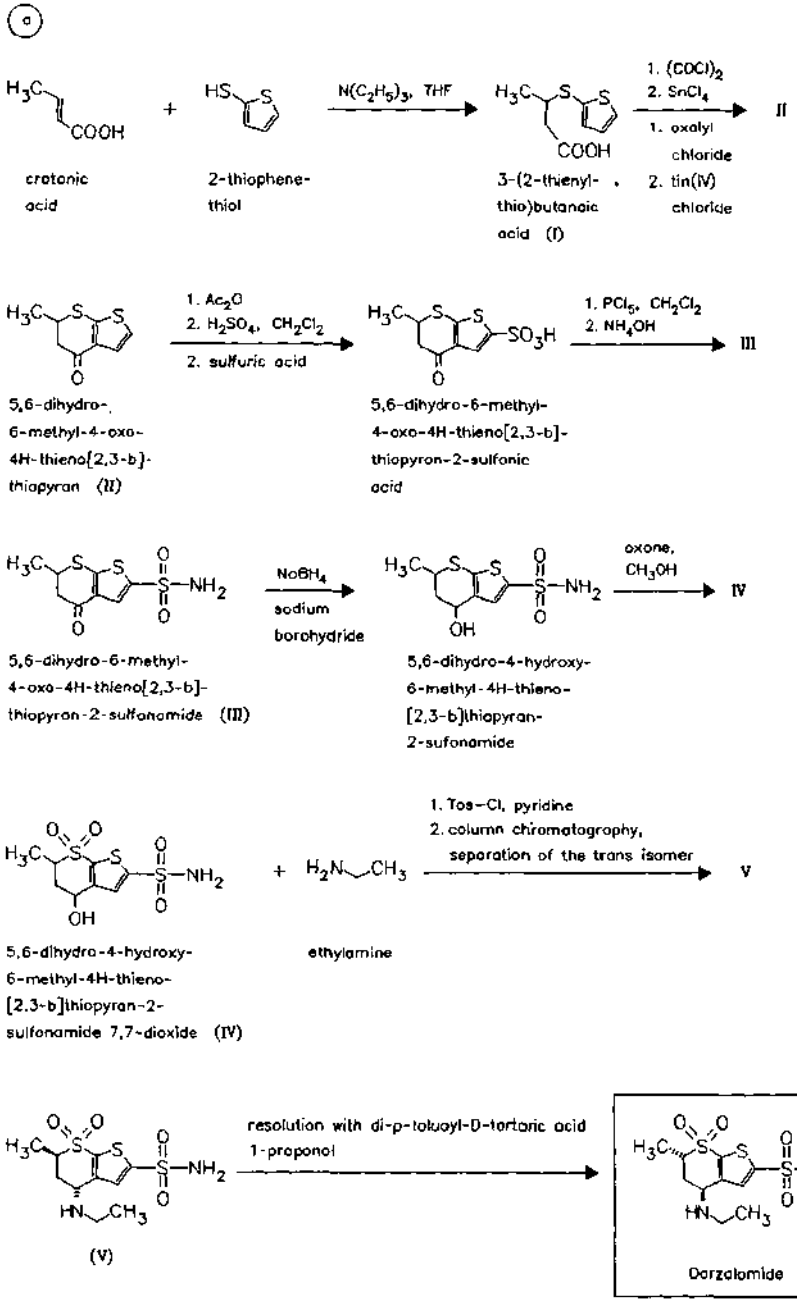
Dorzolamide
(L-671152; MK-507)

ATC: S01EC03
Use: antiglaucoma, topical carbonic anhydrase inhibitor

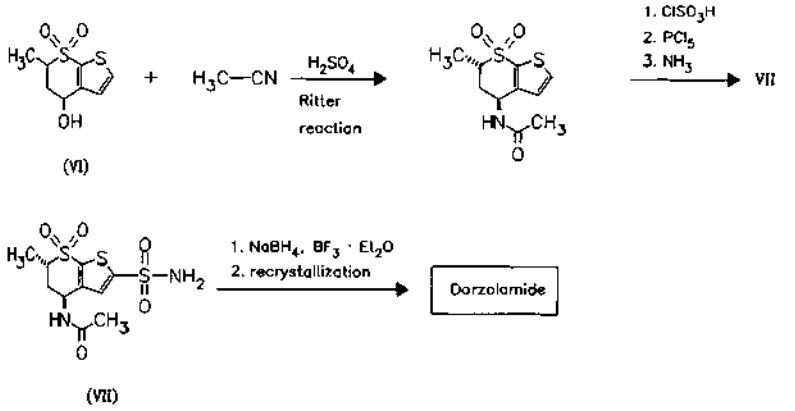
RN: 120279-96-1 MF: $C_{10}H_{16}N_2O_4S_3$ MW: 324.45
CN: (4*S*-*trans*)-4-(ethylamino)-5,6-dihydro-6-methyl-4*H*-thieno[2,3-*b*]thiopyran-2-sulfonamide 7,7-dioxide

trans-base
RN: 120279-89-2 MF: $C_{10}H_{16}N_2O_4S_3$ MW: 324.45

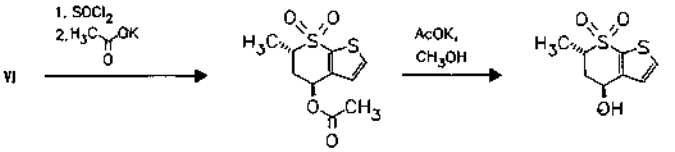
monohydrochloride
RN: 130693-82-2 MF: $C_{10}H_{16}N_2O_4S_3 \cdot HCl$ MW: 360.91
maleate (1:1)
RN: 147600-19-9 MF: $C_{10}H_{16}N_2O_4S_3 \cdot C_4H_4O_4$ MW: 440.52



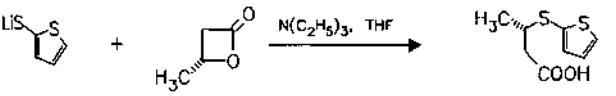
(b)



(c) preparation of the optically active thienothiopyran intermediate



(d) stereoselective synthesis of intermediate I



2-thiophene-thiol lithium salt

(+)-(R)-β-methyl-propiolactone

(S)-3-(2-thienyl-thio)butanoic acid

Reference(s):

a US 4 797 413 (Merck & Co.; appl. 10.1.1989; USA-prior. 12.12.1984, 19.9.1985, 14.5.1986).
b EP 617 037 (Merck & Co.; appl. 17.3.1994; USA-prior. 22.3.1993, 10.2.1994).
c JP 06 107 666 (Kanegafuchi Chem.; appl. 19.4.1994; J-prior. 28.9.1992).
d US 4 968 815 (Merck & Co.; appl. 6.11.1990; USA-prior. 16.4.1990).
US 4 968 814 (Merck & Co.; appl. 6.11.1990; USA-prior. 18.4.1990).

combination with calcium antagonists:

WO 9 323 082 (Alcon Lab.; appl. 12.5.1993; USA-prior. 13.5.1992).

combination with β-adrenergic antagonists:

EP 509 752 (Merck & Co.; appl. 14.4.1992; USA-prior. 17.4.1991, 13.2.1992).
EP 457 586 (Merck & Co.; appl. 16.5.1991; USA-prior. 17.5.1990).
EP 375 319 (Merck & Co.; appl. 18.12.1989; USA-prior. 19.12.1988).

Formulation(s): eye drops 22.3 mg/ml (as hydrochloride)

Trade Name(s):

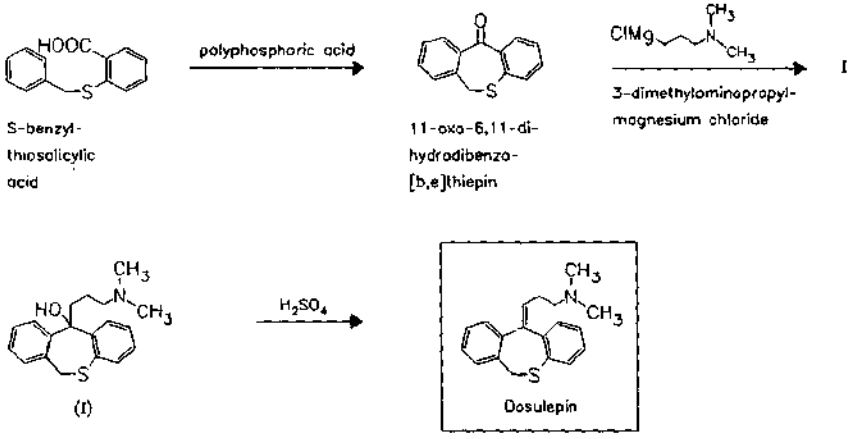
D: Trusopt (Chibret)
GB: Trusopt (Merck Sharp & Dohme; as hydrochloride)
USA: Trusopt (Merck; 1995 as hydrochloride)

Dosulepin
(Dothiepin)

ATC: N06AA16
Use: antidepressant, thymoleptic

RN: 113-53-1 MF: $C_{19}H_{21}NS$ MW: 295.45 EINECS: 204-031-2
LD_{50}: 31 mg/kg (M, i.v.)
CN: 3-dibenzo[*b*,*e*]thiepin-11(6*H*)-ylidene-*N*,*N*-dimethyl-1-propanamine

hydrochloride
RN: 897-15-4 MF: $C_{19}H_{21}NS \cdot HCl$ MW: 331.91 EINECS: 212-978-8
LD_{50}: 29.2 mg/kg (M, i.v.); 209 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 260 mg/kg (R, p.o.)



Reference(s):
BE 618 591 (Spofa; appl. 6.6.1962; CS-prior. 8.6.1961).

Formulation(s): cps. 25 mg, 50 mg, 75 mg.; susp. 25 mg

Trade Name(s):

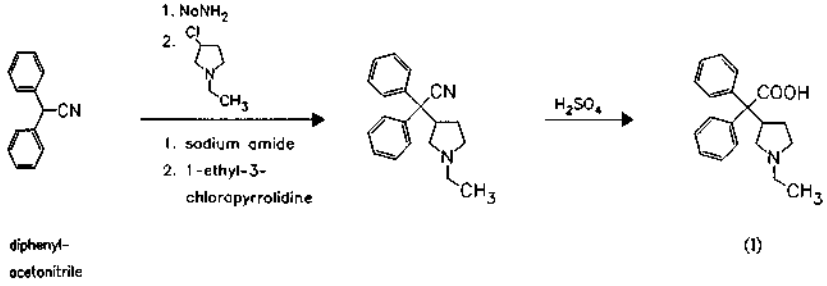
D:	Idom (Kanoldt)	GB:	Prothiaden (Knoll; as hydrochloride)
F:	Prothiaden (Knoll; as hydrochloride)	I:	Protiaden (Boots Italia)

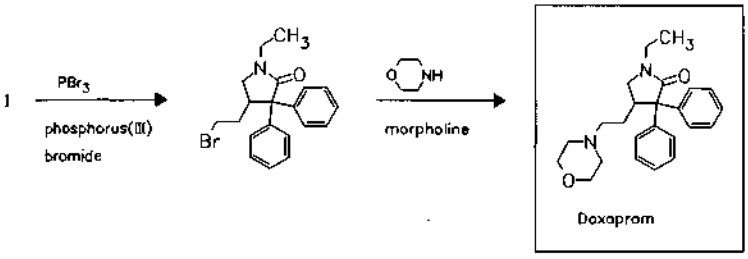
Doxapram

ATC: R07AB01
Use: central respiratory stimulant

RN: 309-29-5 MF: $C_{24}H_{30}N_2O_2$ MW: 378.52 EINECS: 206-216-3
LD_{50}: 268 mg/kg (M, i.p.)
CN: 1-ethyl-4-[2-(4-morpholinyl)ethyl]-3,3-diphenyl-2-pyrrolidinone

monohydrochloride monohydrate
RN: 7081-53-0 MF: $C_{24}H_{30}N_2O_2 \cdot HCl \cdot H_2O$ MW: 432.99
LD_{50}: 85 mg/kg (M, i.v.); 270 mg/kg (M, p.o.);
72 mg/kg (R, i.v.); 261 mg/kg (R, p.o.);
40 mg/kg (dog, i.v.); 150 mg/kg (dog, p.o.)





Reference(s):
US 3 192 230 (A. H. Robins; 29.6.1965; prior. 9.2.1961).
Lunsford, C.D. et al.: J. Med. Chem. (JMCMAR) 7, 302 (1964).

Formulation(s): amp. 20 mg/ml

Trade Name(s):

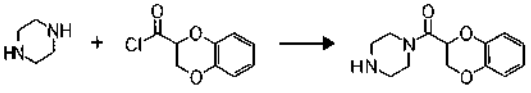
D:	Dopram (Brenner); wfm	I:	Doxapril (Carlo Erba); wfm	J:	Dopram (Kissei)
F:	Dopram (Martinet); wfm		Doxapril (Farmalabor); wfm	USA:	Dopram (Robins; as hydrochloride)
GB:	Dopram (Anpharm)				

Doxazosin

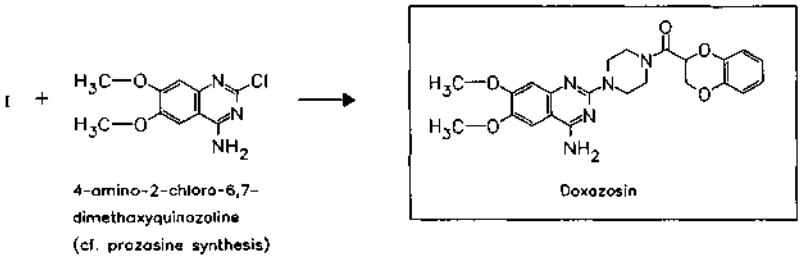
ATC: C02CA04
Use: α_1-receptor antagonist, antihypertensive

RN: 74191-85-8 MF: $C_{23}H_{25}N_5O_5$ MW: 451.48
LD_{50}: >1000 mg/kg (M, R, p.o.)
CN: 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-[(2,3-dihydro-1,4-benzodioxin-2-yl)carbonyl]piperazine

hydrochloride
RN: 70918-01-3 MF: $C_{23}H_{25}N_5O_5 \cdot HCl$ MW: 487.94
mesylate
RN: 77883-43-3 MF: $C_{23}H_{25}N_5O_5 \cdot CH_4O_3S$ MW: 547.59
LD_{50}: 2935 mg/kg (M, p.o.);
>5 g/kg (R, p.o.);
>1 g/kg (dog, p.o.)



piperazine 1,4-benzodioxan-2-ylcarbonyl chloride N-(1,4-benzodioxan-2-ylcarbonyl)piperazine (I)



Reference(s):
DE 2 847 623 (Pfizer; appl. 2.11.1978; GB-prior. 5.11.1977).
US 4 188 390 (Pfizer; 12.2.1980; GB-prior. 5.11.1977).
EP 848 001 (Alfa Chem.; appl. 17.10.1997; I-prior. 13.12.1996).
WO 9 935 143 (Knoll; appl. 18.12.1998; D-prior. 6.1.1998)

medical use for treatment of atherosclerosis:
US 4 758 569 (Pfizer; 19.7.1988; appl. 26.8.1987).

osmotic device:
US 4 837 111 (Alza; 6.6.1989; appl. 21.3.1988).

Formulation(s): tabl. 1 mg, 2 mg, 4 mg

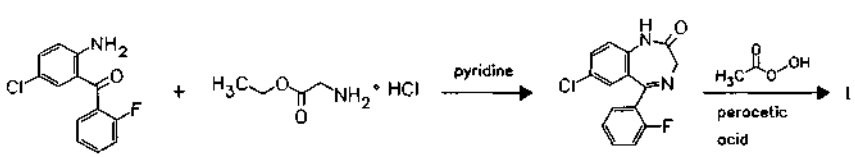
Trade Name(s):

D:	Cardular (Pfizer; 1989 as mesylate) Diblocin (Astra; 1989 as mesylate)	I:	Cardura (Roerig; 1989 as mesylate) Dedralen (Lifepharma; 1989 as mesylate)		Normothen (Fisons Italchimici; 1989 as mesylate)
GB:	Cardura (Invicta; 1989 as mesylate)			J:	Cardenalin (Pfizer)
				USA:	Cardura (Pfizer; 1990 as mesylate)

Doxefazepam

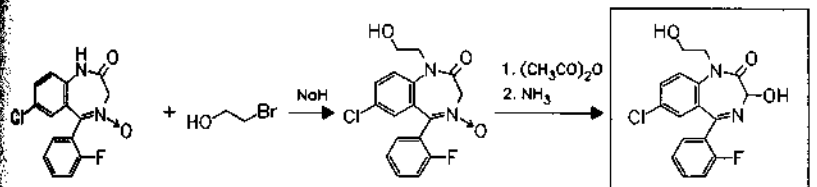
ATC: N05CD12
Use: hypnotic

RN: 40762-15-0 MF: $C_{17}H_{14}ClFN_2O_3$ MW: 348.76
LD_{50}: >74 mg/kg (M, i.p.); 1500 mg/kg (M, p.o.);
586 mg/kg (R, i.p.); 1500 mg/kg (M, p.o.)
CN: 7-chloro-5-(2-fluorophenyl)-1,3-dihydro-3-hydroxy-1-(2-hydroxyethyl)-2*H*-1,4-benzodiazepin-2-one



2-amino-5-chloro-2'-fluorobenzophenone
(cf. flunitrazepam synthesis)

glycine ethyl ester hydrochloride



7-chloro-1,3-dihydro-5-(2-fluorophenyl)-2H-1,4-benzodiazepin-2-one 4-oxide (I)

2-bromoethanol

Reference(s):
Tamagnone, G.F. et al.: Arzneim.-Forsch. (ARZNAD) **25**, 720 (1975).
DOS 2 338 058 (Schiapparelli; appl. 26.7.1973; E-prior. 28.7.1972).

synthesis of 7-chloro-1,3-dihydro-5-(2-fluorophenyl)-2*H*-1,4-benzodiazepin-2-one 4-oxide:
SA 6 802 239 (Hoffmann-La Roche; USA-prior. 21.4.1967, 23.10.1967).

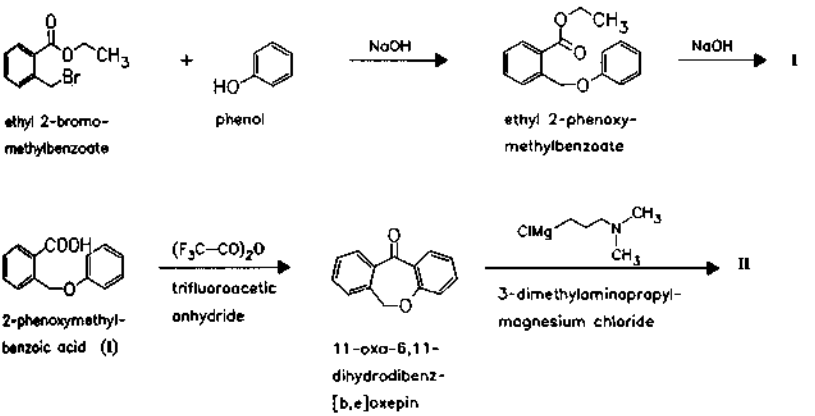
Trade Name(s):
I: Doxans (Schiapparelli Searle); wfm

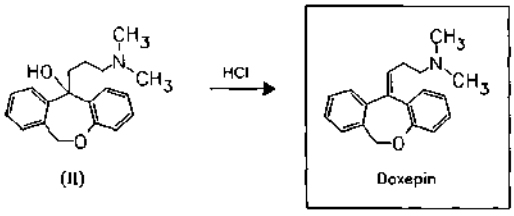
Doxepin

ATC: N06AA12
Use: antidepressant, tranquilizer

RN: 1668-19-5 MF: $C_{19}H_{21}NO$ MW: 279.38
LD_{50}: 26 mg/kg (M, i.v.); 135 mg/kg (M, p.o.);
16 mg/kg (R, i.v.); 147 mg/kg (R, p.o.)
CN: 3-dibenz[*b*,*e*]oxepin-11(6*H*)-ylidene-*N*,*N*-dimethyl-1-propanamine

hydrochloride
RN: 1229-29-4 MF: $C_{19}H_{21}NO \cdot HCl$ MW: 315.84 EINECS: 214-966-8
LD_{50}: 15 mg/kg (M, i.v.); 180 mg/kg (M, p.o.);
13 mg/kg (R, i.v.); 147 mg/kg (R, p.o.);
>27 mg/kg (dog, i.v.)





Reference(s):
US 3 420 851 (Pfizer; 7.1.1969; appl. 19.12.1962; prior. 13.3.1962).
DE I 232 161 (Boehringer Mannh.; appl. 7.10.1961).

Formulation(s): amp. 25 mg/2 ml; coloured tabl. 50 mg, 100 mg; drg. 5 mg, 10 mg, 20 mg; drops 10 mg/ml; f. c. tabl. 25 mg, 50 mg, 75 mg, 100 mg

Trade Name(s):

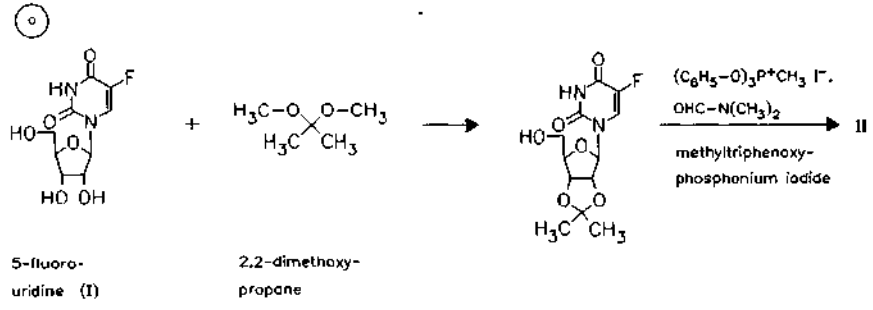
D:	Aponal (Boehringer Mannh./AWD) Maren (Krewel Meuselbach) Sinquan (Pfizer)	F:	Quitaxon (Boehringer Mannh.; as hydrochloride) Sinequan (Pfizer; as hydrochloride)	I:	Sinequan (Pfizer); wfm
		GB:	Sinequan (Pfizer; as hydrochloride)	USA:	Sinequan (Pfizer; as hydrochloride) Zonalon (GenDerm)

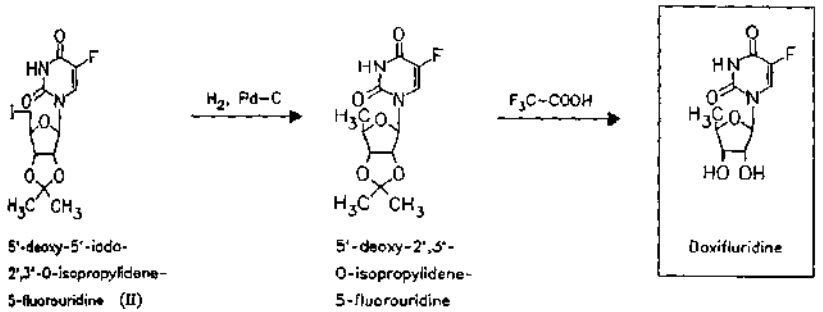
Doxifluridine

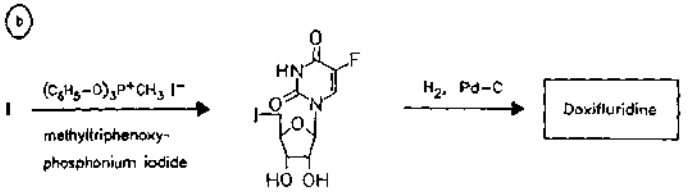
(5'-dFUR)

ATC: *L01BB*
Use: antineoplastic, antimetabolite

RN: 3094-09-5 MF: $C_9H_{11}FN_2O_5$ MW: 246.19 EINECS: 221-440-1
LD_{50}: >2000 mg/kg (M, i.p.); >1 g/kg (M, i.v.); >5000 mg/kg (M, p.o.); >2000 mg/kg (R, i.p.); >1 g/kg (R, i.v.); 3390 mg/kg (R, p.o.); 3471 mg/kg (Rm, p.o.); 3390 mg/kg (Rf, p.o.)
CN: 5'-deoxy-5-fluorouridine







Reference(s):
a,b DOS 2 756 653 (Hoffmann-La Roche; appl. 19.12.1977; USA-prior. 20.12.1976).
US 4 071 680 (Hoffmann-La Roche; appl. 20.12.1976).
Cook, A.F. et al.: J. Med. Chem. (JMCMAR) **22**, 1330 (1979).

additional synthesis:
EP 21 231 (Hoffmann-La Roche; appl. 10.6.1980; CH-prior. 15.6.1979).
Hrebabecky, H.; Beranek, J.: Collect. Czech. Chem. Commun. (CCCCAK) **43**, 3268 (1978).
Kiss, J. et al.: Helv. Chim. Acta (HCACAV) **65**, 1522 (1982).
Scott, J.W. et al.: J. Carbohydr., Nucleosides, Nucleotides (JCNNAF) **8**, 171 (1981).
Ajmera, S.; Danenberg, V.: J. Med. Chem. (JMCMAR) **25**, 999 (1982).
Rosowsky, A. et al.: J. Med. Chem. (JMCMAR) **25**, 1034 (1982).

combination with purine nucleosides or nucleotides:
EP 189 755 (Hoffmann-La Roche; appl. 9.10.1985).

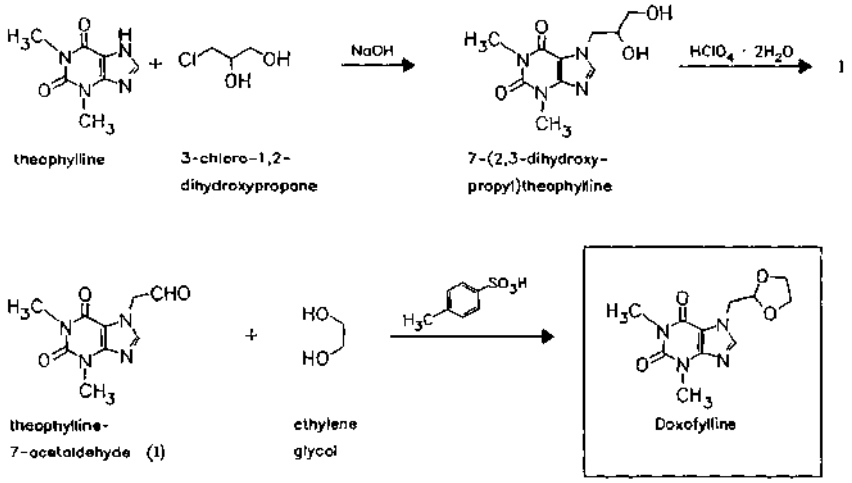
Formulation(s): cps. 100 mg, 200 mg

Trade Name(s):
J: Furtulon (Nippon Roche; 1987)

Doxofylline
(ABC-12/3)

ATC: R03DA11
Use: antiasthmatic, bronchodilator

RN: 69975-86-6 MF: $C_{11}H_{14}N_4O_4$ MW: 266.26 EINECS: 274-239-6
LD_{50}: 216 mg/kg (M, i.v.); 841 mg/kg (M, p.o.);
445 mg/kg (R, i.p.); 315 mg/kg (R, i.v.); 966 mg/kg (R, p.o.)
CN: 7-(1,3-dioxolan-2-ylmethyl)-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione



Reference(s):
DE 2 827 497 (ABC; appl. 22.6.1978; I-prior. 4.6.1978).
US 4 187 308 (ABC; 5.2.1980; I-prior. 4.6.1978).
Avico, U. et al.: Farmaco, Ed. Sci. (FRPSAX) **17**, 73 (1962).

synthesis of theophylline-7-acetaldehyde:
Maney, P.V.: J. Am. Pharm. Assoc. (JPHAA3) **35**, 266 (1946).
Toffoli, F. et al.: Farmaco, Ed. Sci. (FRPSAX) **11**, 516 (1956).

Formulation(s): amp. 100 mg/10 ml; cps. 300 mg; sachet 200 mg; s. r. tabl. 300 mg; tabl. 400 mg

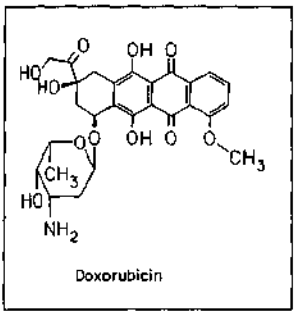
Trade Name(s):
I: Ansimar (ABC; 1988)

Doxorubicin
(Adriamycin)

ATC: L01DB01
Use: antineoplastic, antibacterial

RN: 23214-92-8 MF: $C_{27}H_{29}NO_{11}$ MW: 543.53 EINECS: 245-495-6
LD_{50}: 10 mg/kg (M, i.v.); 570 mg/kg (M, p.o.);
10.510 mg/kg (R, i.v.);
2.4 mg/kg (dog, i.v.)
CN: (8*S*-*cis*)-10-[(3-amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione

hydrochloride
RN: 25316-40-9 MF: $C_{27}H_{29}NO_{11} \cdot HCl$ MW: 579.99 EINECS: 246-818-3
LD_{50}: 1245 µg/kg (M, i.v.); 698 mg/kg (M, p.o.);
12510 µg/kg (R, i.v.)



Doxorubicin

From culture of mutant F. I. 106 of *Streptomyces peucetius var. caesius*.

Reference(s):
DE 1 770 204 (Soc. Farmaceutici Italia; prior. 13.4.1968).
US 3 590 028 (Soc. Farmaceutici Italia; 29.6.1971; appl. 18.4.1968; I-prior. 18.4.1967).
GB 1 161 278 (Soc. Farmaceutici Italia; appl. 16.4.1968; I-prior. 18.4.1967).

alternative syntheses:
partial synthesis from daunorubicin:
DOS 1 917 874 (Soc. Farmaceutici Italia; appl. 8.4.1969; I-prior. 12.4.1968).

partial synthesis from adriamycinon:
US 4 058 519 (Soc. Farmaceutici Italia; 15.11.1977; GB-prior. 22.3.1974).
US 4 098 798 (Soc. Farmaceutici Italia; 4.7.1978; GB-prior. 22.3.1974).

daunorubicin *(from cultures of Streptomyces peucetius* F. I. 1762*)*:
GB 1 003 383 (Soc. Farmaceutici Italia; appl. 11.11.1963; I-prior. 16.11.1962).

doxorubicin-14-octanoate:
DOS 2 260 438 (Soc. Farmaceutici Italia; appl. 11.12.1972).
US 3 803 124 (Soc. Farmaceutici Italia; 9.4.1974; I-prior. 12.4.1968, 4.5.1971).

stable liposome composition:
WO 9 202 208 (Liposome Technology Inc.; appl. 2.8.1991; USA-prior. 8.8.1990).

Formulation(s): vial (lyo.) 10 mg, 20 mg, 50 mg, 150 mg (as hydrochloride)

Trade Name(s):

D:	Adriblastin (Pharmacia & Upjohn; 1972)	GB:	Caelyx (Schering-Plough; as hydrochloride)	USA:	Adriamycin (Pharmacia & Upjohn; 1974)
	Adrimedac (medac)	I:	Adriblastina (Farmitalia; 1971)		Doxil (Sequus)
	Caelyx (Essex Pharma)	J:	Adriacin (Kyowa Hakko; 1975)		Rubex (Bristol-Myers Squibb)
	Ribodoxo (ribosepharm)				
F:	Adriblastine (Pharmacia & Upjohn; 1974)				

Doxycycline

ATC: J01AA02
Use: antibiotic

RN: 564-25-0 MF: $C_{22}H_{24}N_2O_8$ MW: 444.44 EINECS: 209-271-1
LD_{50}: 241 mg/kg (M, i.v.); 1870 mg/kg (M, p.o.);
228 mg/kg (R, i.v.); >2 g/kg (R, p.o.);
>100 mg/kg (dog, i.v.); >500 mg/kg (dog, p.o.)
CN: [4*S*-(4α,4aα,5α,5aα,6α,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-2-naphthacenecarboxamide

monohydrochloride

RN: 10592-13-9 MF: $C_{22}H_{24}N_2O_8 \cdot HCl$ MW: 480.90 EINECS: 234-198-7

LD_{50}: 290 mg/kg (M, i.v.); 1890 mg/kg (M, p.o.);
137 mg/kg (R, i.v.); 1700 mg/kg (R, p.o.);
>500 mg/kg (dog, p.o.)

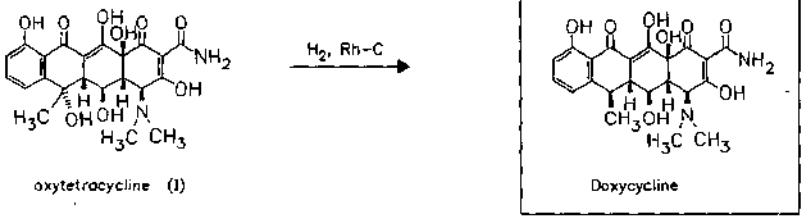
monohydrate

RN: 17086-28-1 MF: $C_{22}H_{24}N_2O_8 \cdot H_2O$ MW: 462.46

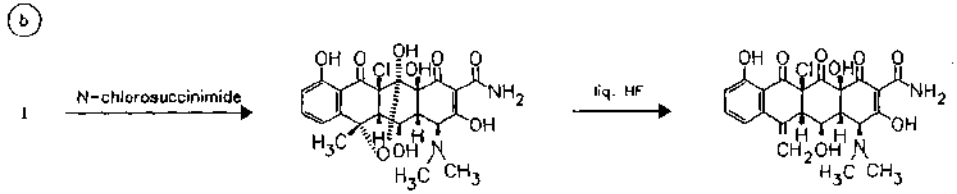
hyclate

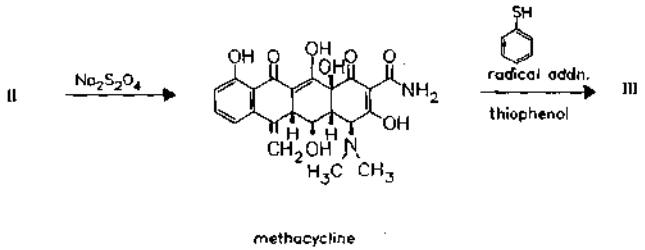
RN: 24390-14-5 MF: $C_{22}H_{24}N_2O_8 \cdot 1/2C_2H_6O \cdot HCl \cdot 1/2H_2O$ MW: 1025.89

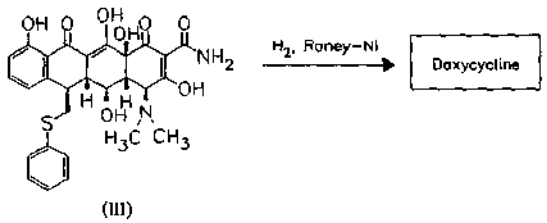
a



b







Reference(s):

a US 3 019 260 (American Cyanamid; 30.1.1962; prior. 13.5.1959).
DE 1 082 905 (American Cyanamid; appl. 3.11.1958; USA-prior. 5.11.1957).

b US 3 200 149 (Pfizer; 10.8.1965; prior. 23.5.1960).
DAS 1 793 556 (Pfizer; appl. 19.5.1961; USA-prior. 23.5.1960).
DE 1 298 522 (Pfizer; appl. 23.5.1961; USA-prior. 23.5.1960).
Blackwood, R.K. et al.: J. Am. Chem. Soc. (JACSAT) **85**, 3943 (1963).

stereospecific hydrogenation of metacycline *with* diaceto(triphenylphosphine)rhodium(II) complex *to* doxycycline:
DAS 2 554 524 (Pfizer; appl. 4.12.1975; USA-prior. 28.1.1975).

Formulation(s): tabl. 50 mg, 100 mg, 200 mg

Trade Name(s):

D: Azudoxat (Azuchemie)
Clinofug (Wolff)
Mespafin 100 (Merckle)
Mucotectan (Boehringer Ing.)
Neodox (Rosen Pharma)
Sigadoxin (Kytta-Siegfried)
Supracyclin 100/200 (Grünenthal)
Vibramycin N (Pfizer)
Vibravenös (Pfizer)

F: Doxycline Plantier (ASTA Medica)
Doxygram (Pharma 2000)
Doxylets (Galephar)
Granudoxy (Pierre Fabre)
Monocline (Doms-Adrian)
Spanor (Biotherapie)
Tolexine (Biorga)
Vibramycine (Pfizer)
Vibraveineuse (Pfizer)

GB: Doxatet (Cox); wfm
Doxylar (Lagap); wfm
Nordox (Norton); wfm
Vibramycin Acne Pack (Trinity)-comb.

I: Bassado (Poli)
Doxina (Ipfi)
Farmodoxi (Lifepharma)
Gram-Val (Polifarma)
Miraclin (Farmacologico Milanese)
Monodoxin (Crosara)
Ribociclina (Puropharma)-comb.
Unacil (Firma)

J: Hydramycin (Sankyo)
Liomycin (Daiichi)
Roximycin (Kyorin)
Vibramycin (Taito Pfizer)

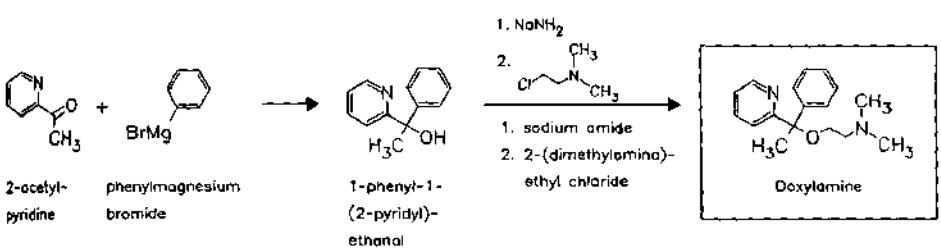
USA: Doryx (Warner Chilcott Professional Products; as hydrate)
Monodox (Oclassen; as monohydrate)
Vibramycin (Pfizer; as calcium salt)
Vibramycin (Pfizer; as hydrate)
Vibramycin (Pfizer; as monohydrate)

Doxylamine

ATC: R06AA09
Use: antihistaminic

RN: 469-21-6 MF: $C_{17}H_{22}N_2O$ MW: 270.38 EINECS: 207-414-2
LD_{50}: 62 mg/kg (M, i.v.); 470 mg/kg (M, p.o.)
CN: *N,N*-dimethyl-2-[1-phenyl-1-(2-pyridinyl)ethoxy]ethanamine

succinate (1:1)
RN: 562-10-7 MF: $C_{17}H_{22}N_2O \cdot C_4H_6O_4$ MW: 388.46 EINECS: 209-228-7
LD_{50}: 62 mg/kg (M, i.v.); 470 mg/kg (M, p.o.)



Reference(s):
Sperber, N. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 887 (1949).

Formulation(s): eff. tabl. 25 mg; tabl. 25 mg (as succinate)

Trade Name(s):

D: Gittalun (Boehringer Ing.)
Hewedomir forte (Hevert)
Hoggar N (Stada)
Mereprine (Cassella-med)
Praedisup (Chephasaar)-comb.
Sedaplus (Rosen Pharma)
Wick Formel 44 S (Wick Pharma)-comb.

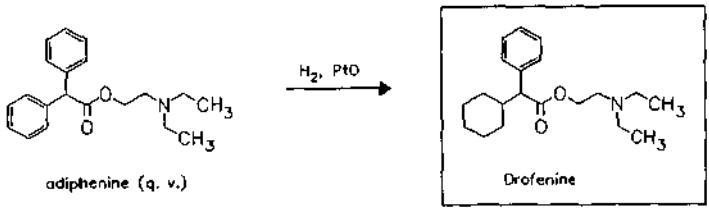
F: Donormyl (Oberlin)-comb.
Mérépríne (Marion Merrell)
GB: Nethaprin Dospan (Merrell Dow)-comb.; wfm
Nethaprin expect (Merrell Dow)-comb.; wfm
Syndol (Merrell Dow)-comb.; wfm
I: Doxised (Corvi)
Vicks Medinait (Procter & Gamble)-comb.
USA: Unisom Nighttime Sleep-Aid (Pfizer; as succinate)

Drofenine
(Hexahydroadiphenine)

ATC: A03DA49
Use: antispasmodic

RN: 1679-76-1 MF: $C_{20}H_{31}NO_2$ MW: 317.47
LD_{50}: 37 mg/kg (R, i.v.)
CN: α-cyclohexylbenzeneacetic acid 2-(diethylamino)ethyl ester

hydrochloride
RN: 548-66-3 MF: $C_{20}H_{31}NO_2 \cdot HCl$ MW: 353.93 EINECS: 208-954-1
LD_{50}: 47 mg/kg (M, i.v.); 3700 mg/kg (M, p.o.)



Reference(s):
CH 219 301 (Ciba; appl. 1938).

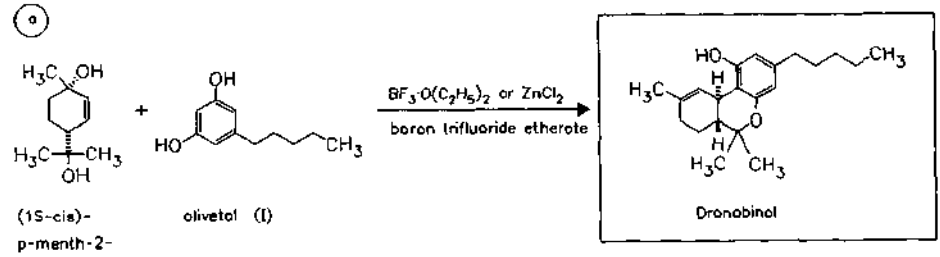
Formulation(s): drg. 20 mg, 25 mg (comb. with 220 mg propyphenazone)

Trade Name(s):
D: Spasmo-Cibalgin/comp. (Novartis Pharma)
F: Spasmo-Cibalgine (Ciba)-comb.; wfm
I: Spasmocibalgina (Novartis)-comb.

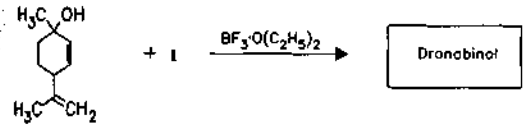
Dronabinol
(δ-9-THC)

ATC: A04A
Use: anti-emetic, active ingredient of marijuana

RN: 1972-08-3 MF: $C_{21}H_{30}O_2$ MW: 314.47
LD_{50}: 168 mg/kg (M, i.p.); 42 mg/kg (M, i.v.); 482 mg/kg (M, p.o.); 373 mg/kg (R, i.p.); 29 mg/kg (R, i.v.); 666 mg/kg (R, p.o.)
CN: (6a*R*-*trans*)-6a,7,8,10a-tetrahydro-6,6,9-trimethyl-3-pentyl-6*H*-dibenzo[*b*,*d*]pyran-1-ol

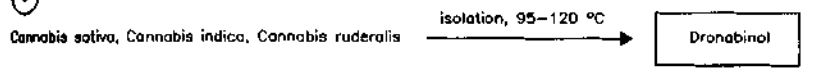


(b)



(+)-p-mentha-2,8-dien-1-ol

(c)



Reference(s):

a Handrick, G.R. et al.: Tetrahedron Lett. (TELEAY) **1979**, 681.

b US 4 116 979 (Sheehan Inst. for Research; 26.9.1978; appl. 7.2.1977; prior. 28.11.1975, 24.6.1975).
US 4 381 399 (Aerojet; 26.4.1983; appl. 21.12.1981).

c US 4 279 824 (L. O. McKinney; 21.7.1981; appl. 1.11.1979).

alternative methods:

US 3 734 930 (US Dep. of Health; 22.5.1973; appl. 22.9.1971).
Straight, R. et al.: Biochem. Med. (BIMDA2) **8**, 341 (1973).
Ribi, E. et al.: Prep. Biochem. (PRBCBQ) **3**, 209 (1973).

review:

Mechoulam, R. et al.: Chem. Rev. (Washington, D. C.) (CHREAY) **76**, 75 (1976).

Formulation(s): cps. 2.5 mg, 5 mg, 10 mg

Trade Name(s):

USA: Marinol (Roxane)

Droperidol

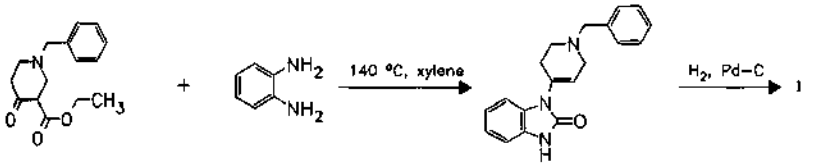
(Dehydrobenzperidol)

ATC: N01AX01; N05AD08
Use: neuroleptic, anesthetic (neuroleptanesthesia)

RN: 548-73-2 MF: $C_{22}H_{22}FN_3O_2$ MW: 379.44 EINECS: 208-957-8

LD_{50}: 20 mg/kg (M, i.v.);
30 mg/kg (R, i.v.); 750 mg/kg (R, p.o.)

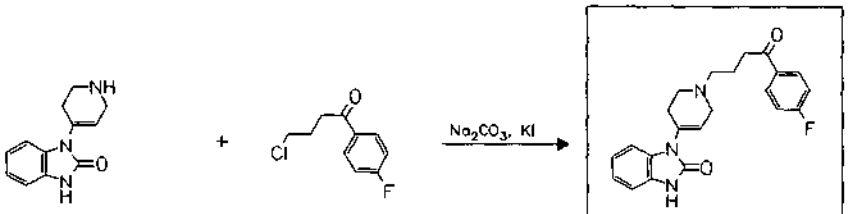
CN: 1-[1-[4-(4-fluorophenyl)-4-oxobutyl]-1,2,3,6-tetrahydro-4-pyridinyl]-1,3-dihydro-2*H*-benzimidazol-2-one



ethyl 1-benzyl-4-oxo-piperidine-3-carboxylate

o-phenylene-diamine

1-(1-benzyl-1,2,3,6-tetrahydro-4-pyridyl)-2-benzimidazolinone



1-(1,2,3,6-tetro-hydro-4-pyridyl)-2-benzimidazolinone (I)

4-chloro-4'-fluoro-butyrophenone

Reference(s):
GB 989 755 (Janssen; appl. 24.12.1962; USA-prior. 22.12.1961).
US 3 141 823 (Janssen; 21.7.1964; appl. 4.9.1962).
US 3 161 645 (Janssen; 15.12.1964; appl. 18.12.1962).

Formulation(s): amp. 2.5 mg/ml, 5 mg/2 ml, 12.5 mg/5 ml, 25 mg/10 ml; tabl. 10 mg; vial 5 mg/2 ml, 12.5 mg/5 ml, 25 mg/10 ml

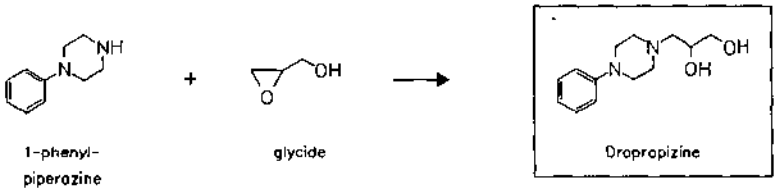
Trade Name(s):

D:	Dehydrobenzperidol (Janssen-Cilag) Thalamonal (Janssen-Cilag)-comb.	GB:	Droleptan (Janssen-Cilag)	USA:	Droperidol (Astra) Inapsine (Janssen; McNeil); wfm
		I:	Leptofen (Carlo Erba)-comb. Sintodian (Carlo Erba)		Innovar (Janssen); wfm
F:	Droleptan (Janssen-Cilag)	J:	Droleptan (Sankyo)		

Dropropizine

ATC: R05DB19
Use: antitussive

RN: 17692-31-8 MF: $C_{13}H_{20}N_2O_2$ MW: 236.32 EINECS: 241-683-7
LD_{50}: 200 mg/kg (R, i.v.); 750 mg/kg (R, p.o.)
CN: 3-(4-phenyl-1-piperazinyl)-1,2-propanediol



1-phenyl-piperazine

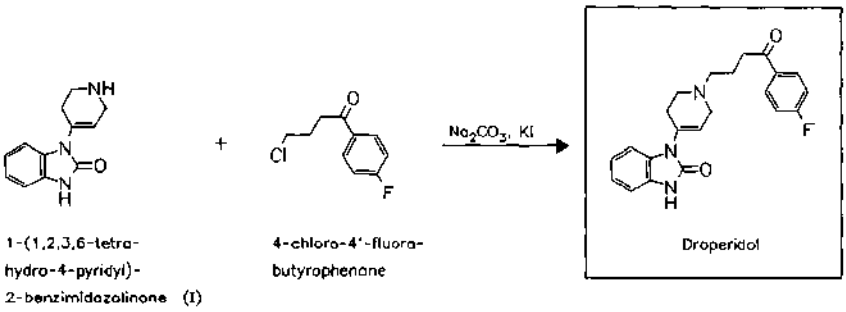
glycide

Reference(s):
DE 1 178 435 (H. Morren; appl. 13.3.1962; B-prior. 16.3.1961, 21.2.1962).

Formulation(s): syrup 15 mg, 57 mg

Trade Name(s):

D:	Dehydrobenzperidol (Janssen-Cilag) Thalamonal (Janssen-Cilag)-comb. with fentanyl hydrogen citrate	I:	Elisir Terpina (Schiapparelli Salute)-comb. Guaiacalcium Complex (Celsius)-comb. Ribex (Formenti)	Ribexen Espet. (Formenti)-comb. Tiocalmina (Ottolenghi)-comb. Tussamag (Zilliken)-comb.
F:	Catabex (Darcy)-comb.			



Reference(s):
GB 989 755 (Janssen; appl. 24.12.1962; USA-prior. 22.12.1961).
US 3 141 823 (Janssen; 21.7.1964; appl. 4.9.1962).
US 3 161 645 (Janssen; 15.12.1964; appl. 18.12.1962).

Formulation(s): amp. 2.5 mg/ml, 5 mg/2 ml, 12.5 mg/5 ml, 25 mg/10 ml; tabl. 10 mg; vial 5 mg/2 ml, 12.5 mg/5 ml, 25 mg/10 ml

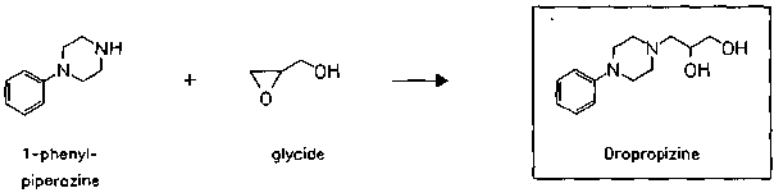
Trade Name(s):

D:	Dehydrobenzperidol (Janssen-Cilag) Thalamonal (Janssen-Cilag)-comb.	GB:	Droleptan (Janssen-Cilag)	USA:	Droperidol (Astra) Inapsine (Janssen; McNeil); wfm
F:	Droleptan (Janssen-Cilag)	I:	Leptofen (Carlo Erba)-comb. Sintodian (Carlo Erba)		Innovar (Janssen); wfm
		J:	Droleptan (Sankyo)		

Dropropizine

ATC: R05DB19
Use: antitussive

RN: 17692-31-8 MF: $C_{13}H_{20}N_2O_2$ MW: 236.32 EINECS: 241-683-7
LD_{50}: 200 mg/kg (R, i.v.); 750 mg/kg (R, p.o.)
CN: 3-(4-phenyl-1-piperazinyl)-1,2-propanediol

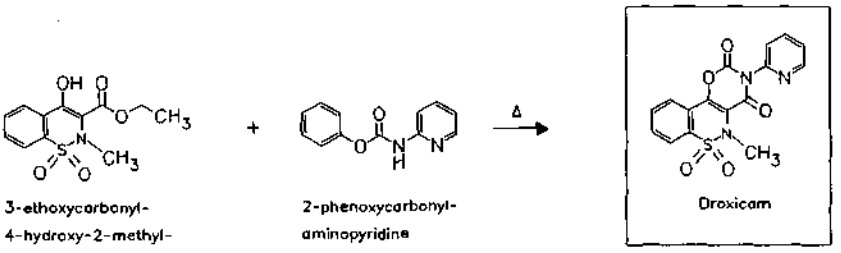


Reference(s):
DE 1 178 435 (H. Morren; appl. 13.3.1962; B-prior. 16.3.1961, 21.2.1962).

Formulation(s): syrup 15 mg, 57 mg

Trade Name(s):

D:	Dehydrobenzperidol (Janssen-Cilag) Thalamonal (Janssen-Cilag)-comb. with fentanyl hydrogen citrate	I:	Elisir Terpina (Schiapparelli Salute)-comb. Guaiacalcium Complex (Celsius)-comb. Ribex (Formenti)	Ribexen Espet. (Formenti)-comb. Tiocalmina (Ottolenghi)-comb. Tussamag (Zilliken)-comb.
F:	Catabex (Darcy)-comb.			



3-ethoxycarbonyl-4-hydroxy-2-methyl-2H-1,2-benzothiazine 1,1-dioxide (cf. piroxicam synthesis)

2-phenoxycarbonyl-aminopyridine

Droxicam

Reference(s):
EP 99 770 (Provesan, Esteve; appl. 8.6.1983; F-prior. 15.6.1982).
US 4 563 452 (Provesan, Esteve; 7.1.1986; appl. 8.6.1983; F-prior. 15.6.1982).

alternative synthesis:
EP 242 289 (Provesan; appl. 13.4.1987; F-prior. 15.4.1986).
EP 412 014 (Esteve; appl. 2.8.1990; F-prior. 4.8.1989).

Formulation(s): cps. 20 mg

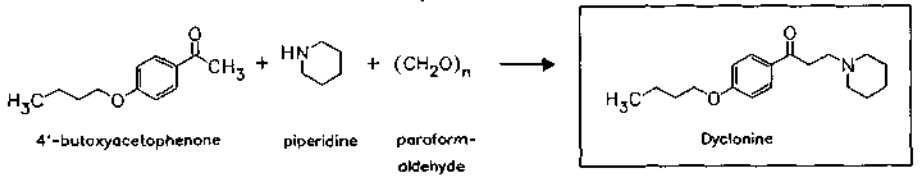
Trade Name(s):
I: Dobenam (Angelini) Droxar (Upjohn)

Dyclonine

ATC: N01BX02
Use: local anesthetic (only topic)

RN: 586-60-7 MF: $C_{18}H_{27}NO_2$ MW: 289.42
CN: 1-(4-butoxyphenyl)-3-(1-piperidinyl)-1-propanone

hydrochloride
RN: 536-43-6 MF: $C_{18}H_{27}NO_2 \cdot HCl$ MW: 325.88 EINECS: 208-633-6
LD_{50}: 20 mg/kg (M, i.v.);
9500 µg/kg (dog, i.v.)



4'-butoxyacetophenone piperidine paraformaldehyde

Dyclonine

Reference(s):
US 2 771 391 (Allied Laboratories; 1956; prior. 1953).
US 2 868 689 (Allied Laboratories; 1959; appl. 1956).

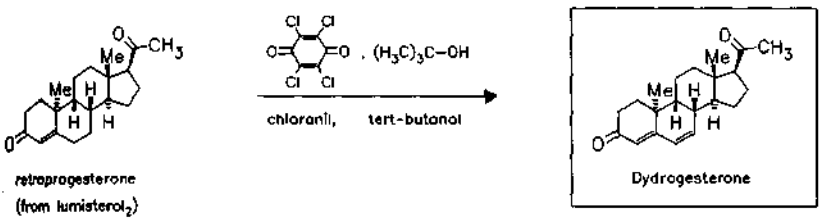
Formulation(s): sol. 0.5 %, 1 %

Trade Name(s):
J: Epicain Ace (S. S. Pharm.)-comb. Epirocain (Eisai) USA: Dyclone (Astra; as hydrochloride)

Dydrogesterone

ATC: G03DB01
Use: progestogen

RN: 152-62-5 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 205-806-8
LD_{50}: >7200 mg/kg (M, p.o.);
>4600 mg/kg (R, p.o.)
CN: (9β,10α)-pregna-4,6-diene-3,20-dione



Reference(s):
US 3 198 792 (North American Philips; 3.8.1965; prior. 8.4.1959, 12.6.1962).
Westerhof, P.; Reerink, E.H.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **79**, 771 (1960) (also starting material).

alternative synthesis:
Rappoldt, M.P.; Westerhof, P.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **80**, 43 (1961).

Formulation(s): tabl. 10 mg

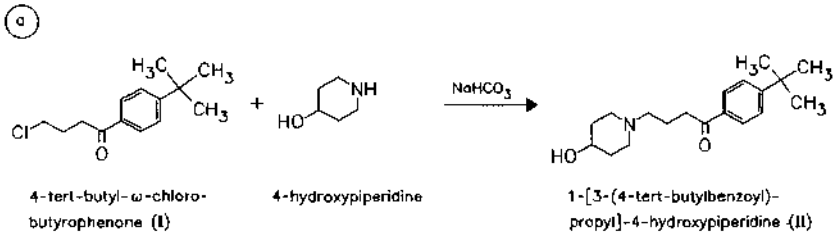
Trade Name(s):

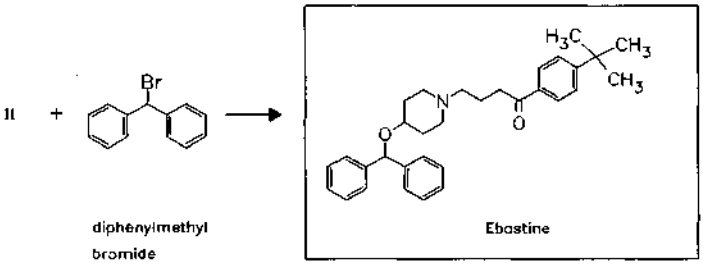
D:	Duphaston (Solvay Arzneimittel)		Femapak 40 (Solvay)-comb.	J:	Duphaston (Daiichi)
F:	Duphaston (Solvay Pharma)		Femoston 1/10 (Solvay)-comb.	USA:	Duphaston (Philips Roxane); wfm
GB:	Duphaston (Solvay)	I:	Dufaston (UCM)		Gynorest (Mead Johnson); wfm

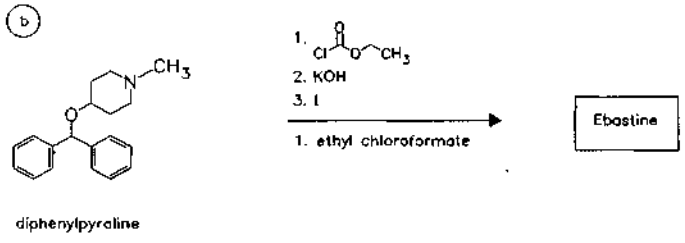
Ebastine

ATC: D04AA; R06AA; R06AX22
Use: antihistaminic

RN: 90729-43-4 MF: $C_{32}H_{39}NO_2$ MW: 469.67
LD_{50}: 500 mg/kg (M, i.v.); >4 g/kg (M, p.o.);
>4 g/kg (R, p.o.);
>160 mg/kg (dog, p.o.)
CN: 1-[4-(1,1-dimethylethyl)phenyl]-4-[4-(diphenylmethoxy)-1-piperidinyl]-1-butanone







Reference(s):
EP 134 124 (Fordonal; appl. 2.8.1984; GB-prior. 5.8.1983).
US 4 550 116 (Fordonal; 29.10.1985; appl. 24.7.1984; GB-prior. 5.8.1983).

Formulation(s): sol. 10 mg/10 ml; tabl. 5 mg, 10 mg

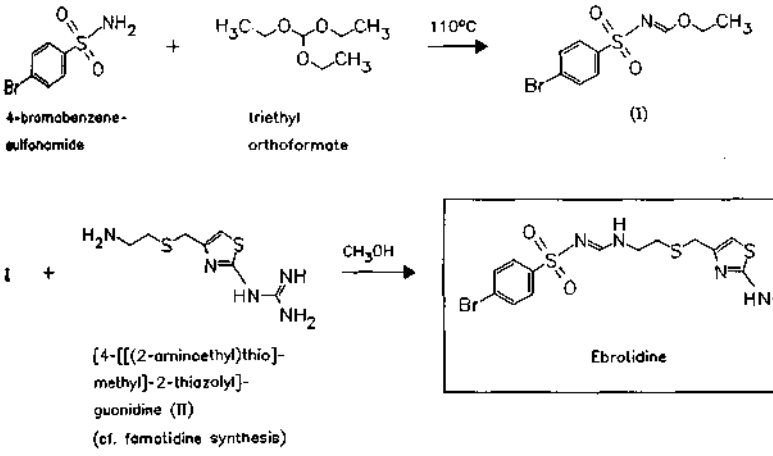
Trade Name(s):
J: Ebastel (Dainippon-Meji Seika)

Ebrotidine

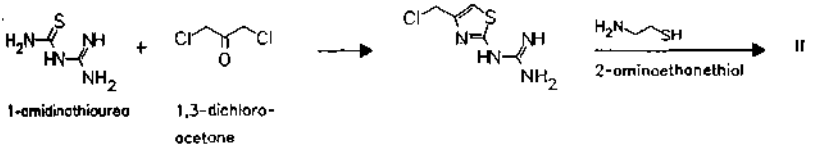
(FI-3542)

ATC: A02B09
Use: gastric antisecretory, H_2-receptor antagonist, gastroprotective

RN: 100981-43-9 MF: $C_{14}H_{17}BrN_6O_2S_3$ MW: 477.43
CN: [*N*(*E*)]-*N*-[[[2-[[[2-[(Aminoiminomethyl)amino]-4-thiazolyl]methyl]thio]ethyl]amino]-methylene]-4-bromobenzenesulfonamide



preparation of [4-[[(2-aminoethyl)thio]methyl]-2-thiazolyl]guanidine (II):



Reference(s):
EP 159 012 (Ferrer Internacional; appl. 16.4.1985; E-prior. 18.4.1984).
Anglada, L.; Marquez, M.; Sacristan, A.; Ortiz, J.A.: Eur. J. Med. Chem. (EJMCA5) **23** (1), 97 (1988).
Anglada, L.; Raga, M.; Marquez, M.; Sacristan, A.; Castello, J.M.; Ortiz, J.A.: Arzneim.-Forsch. (ARZNAD) **47** (4a), 431 (1997).

new bromobenzenesulphonamide derivatives – used as histamine receptor antagonists to inhibit acid secretion:
WO 9 614 306 (Ferrer Int.; WO-prior. 4.11.1994).

synthesis of [4-[[(2-aminoethyl)thio]methyl]-2-thiazolyl]guanidine:
DE 2 817 078 (ICI; appl. 19.4.1978; GB-prior. 20.4.1977).
Rozman, E.; Galceran, M.T.; Anglada, L.; Albet, C.: J. Pharm. Sci. (JPMSAE) **83** (2), 252 (1994).

Formulation(s): tabl. 400 mg

Trade Name(s):
ES: Ebrocit (Ferrer; Labs. Robert; 1997)

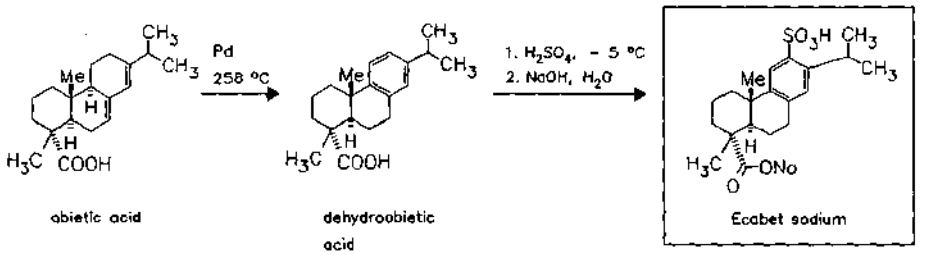
Ecabet sodium

(TA-2711)

Use: ulcer therapeutic

RN: 86408-72-2 MF: $C_{20}H_{27}NaO_5S$ MW: 402.49
LD_{50}: >2 g/kg (R, p.o.)
CN: [1*R*-(1α,4aβ,10aα)]-1,2,3,4,4a,9,10,10a-octahydro-1,4a-dimethyl-7-(1-methylethyl)-6-sulfo-1-phenanthrenecarboxylic acid monosodium salt

free acid
RN: 33159-27-2 MF: $C_{20}H_{28}O_5S$ MW: 380.51



Reference(s):
Fieser, L.F. et al.: J. Am. Chem. Soc. (JACSAT) **60**, 2631 (1938).
Wada, H. et al.: Chem. Pharm. Bull. (CPBTAL) **33** (4), 1472 (1985).
EP 78 152 (Tanabe Seiyaku; appl. 21.10.1982; GB-prior. 22.10.1981, 29.6.1982).

oral preparations:
JP 07 165 572 (Tanabe Seiyaku; appl. 9.12.1993; J-prior. 9.12.1993).

Formulation(s): gran. 66.7 %

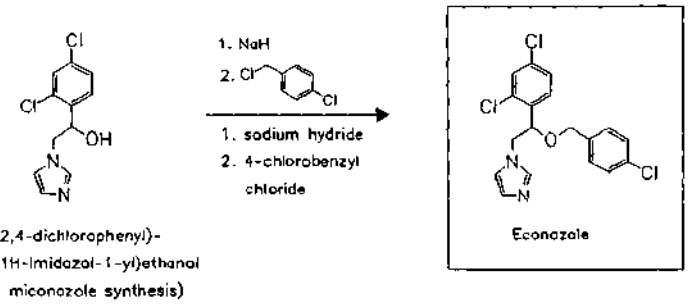
Trade Name(s):
J: Gastrom (Tanabe Seiyaku-Nippon; Boehringer Ing.)

Econazole

ATC: D01AC03; G01AF05
Use: fungicide, antifungal

RN: 27220-47-9 MF: $C_{18}H_{15}Cl_3N_2O$ MW: 381.69 EINECS: 248-341-6
CN: 1-[2-[(4-chlorophenyl)methoxy]-2-(2,4-dichlorophenyl)ethyl]-1*H*-imidazole

mononitrate
RN: 24169-02-6 MF: $C_{18}H_{15}Cl_3N_2O \cdot HNO_3$ MW: 444.70 EINECS: 246-053-5
LD_{50}: 38 mg/kg (M, i.v.); 463 mg/kg (M, p.o.);
50 mg/kg (R, i.v.); 668 mg/kg (R, p.o.);
>160 mg/kg (dog, p.o.)



Reference(s):
DAS 1 940 388 (Janssen; appl. 8.8.1969; USA-prior. 19.8.1968).
US 3 717 655 (Janssen; 20.2.1973; prior. 19.8.1968).
Godefroi, E.F. et al.: J. Med. Chem. (JMCMAR) **12**, 784 (1969).

Formulation(s): cream 1 g/100 g; lotion 1 g/100 g; pastes 10 mg; powder 1 g/100 g; sol. 1 g/100 g; spray 1 g/100 g (as nitrate)

Trade Name(s):

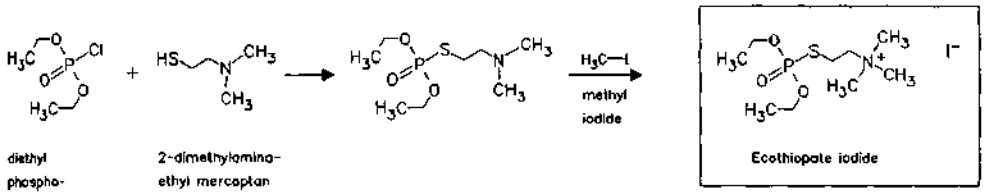
D: Epi Pevaryl (Janssen-Cilag)
Gyno-Pevaryl (Janssen-Cilag)
F: Dermazol (Bailleul)
Fongéryl (L'Arguenon)
Gyno-Pévaryl (Janssen-Cilag; 1976)
Pevaryl (Janssen-Cilag; 1976)
Pevisone (Janssen-Cilag)-comb.
GB: Econacort (Bristol-Myers Squibb)-comb.
Ecostatin (Bristol-Myers Squibb)
Gyno Pevaryl (Janssen-Cilag)
Pevaryl (Janssen-Cilag; 1978)
I: Amicel (Salus)
Chemionazolo (Brocchieri)
Dermazol (CT)
Eco Mi (Geymonat)
Ecodergin (Von Boch)
Ecorex (Tosi-Novara)
Ifenec (Italfarmaco)
Micofugal (Biopharma)
Micogin (Crosara)
Micos (AGIPS)
Micosten (Bergamon)
Pargin (Gibipharma)
Pevaryl (Cilag; 1978)
Pevisone (Cilag)-comb.
Skilar (Bonomelli Farm.)
Skilar (Italchemie)
J: Palavale (Otsuka; 1981)
USA: Spectazole (Ortho Dermatological; 1983)

Ecothiopate iodide

(Echothiopate iodide)

ATC: S01EB03
Use: cholinesterase inhibitor

RN: 513-10-0 MF: $C_9H_{23}INO_3PS$ MW: 383.23 EINECS: 208-152-1
LD_{50}: 5100 µg/kg (M, p.o.);
174 µg/kg (R, p.o.)
CN: 2-[(diethoxyphosphinyl)thio]-*N,N,N*-trimethylethanaminium iodide



Reference(s):
US 2 911 430 (Campbell Pharmaceuticals; 3.11.1959; prior. 15.1.1958).

Formulation(s): eye drops 1.25 mg/ml

Trade Name(s):

D: Ophtorenin (Winzer); wfm
Phospholinjodid Augentropfen (Winzer); wfm
F: Phospholine Iodide (Promedica)
GB: Phospoline Jodide (Ayerst); wfm
I: Phospholine Jodide (Chinoin); wfm
J: Phospholin Jodide (Tobishi)
USA: Echodide (Alcon); wfm
Phospholine Jodide (Ayerst); wfm

Edetic acid

(Acide edetique; Acidum edeticum; Tetracemin)

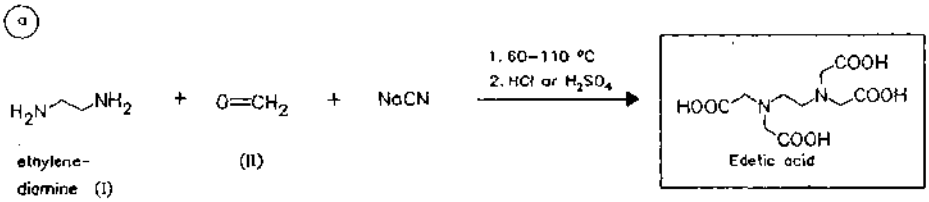
ATC: V03AB03
Use: antidote, chelating agent

RN: 60-00-4 MF: $C_{10}H_{16}N_2O_8$ MW: 292.24 EINECS: 200-449-4
LD_{50}: 28.5 mg/kg (M, i.v.); 30 mg/kg (M, p.o.)
CN: *N,N*-1,2-ethanediylbis[*N*-(carboxymethyl)glycine]

disodium salt

RN: 139-33-3 MF: $C_{10}H_{14}N_2Na_2O_8$ MW: 336.21 EINECS: 205-358-3

disodium salt dihydrate
RN: 6381-92-6 MF: $C_{10}H_{14}N_2Na_2O_8 \cdot 2H_2O$ MW: 372.24
calcium disodium salt
RN: 62-33-9 MF: $C_{10}H_{12}CaN_2Na_2O_8$ MW: 374.27 EINECS: 200-529-9
calcium disodium salt hydrate
RN: 23411-34-9 MF: $C_{10}H_{12}CaN_2Na_2O_8 \cdot xH_2O$ MW: unspecified
dipotassium salt
RN: 2001-94-7 MF: $C_{10}H_{14}K_2N_2O_8$ MW: 368.42 EINECS: 217-895-0
dipotassium salt monohydrate
RN: 58167-76-3 MF: $C_{10}H_{14}K_2N_2O_8 \cdot H_2O$ MW: 386.44
dipotassium salt dihydrate
RN: 25102-12-9 MF: $C_{10}H_{14}K_2N_2O_8 \cdot 2H_2O$ MW: 404.45
tetrasodium salt
RN: 64-02-8 MF: $C_{10}H_{12}N_2Na_4O_8$ MW: 380.17 EINECS: 200-573-9
LD_{50}: 330 mg/kg (M, i.p.)
trisodium salt
RN: 150-38-9 MF: $C_{10}H_{13}N_2Na_3O_8$ MW: 358.19 EINECS: 205-758-8
LD_{50}: 2150 mg/kg (M, p.o.);
2150 mg/kg (R, p.o.)
iron(III) sodium salt
RN: 15708-41-5 MF: $C_{10}H_{12}FeN_2NaO_8$ MW: 367.05 EINECS: 239-802-2
LD_{50}: 5 g/kg (M, p.o.);
5 g/kg (R, p.o.)



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **8**, 198.
a DOS 2 150 994 (BASF; appl. 13.10.1971).
DOS 1 493 480 (BASF; appl. 30.4.1965).
DOS 2 049 223 (BASF; appl. 7.10.1970).
b DRP 694 780 (I.G. Farben; appl. 1937).

Formulation(s): inj. sol. 200 mg/ml (as calcium disodium salt)

Trade Name(s):

D:	Calcium Vitis (Neopharma)		Duracare (Pharm-Allergan)-comb.	F:	Calcitétracémate disodique (L'Arguenon)
	Complete all-in-one-Lösung (Pharm-Allergan)-comb.		Oxysept (Pharm-Allergan)-comb.		Chelatran (L'Arguenon)
					Kélocyanor (L'Arguenon; as cobalt salt)

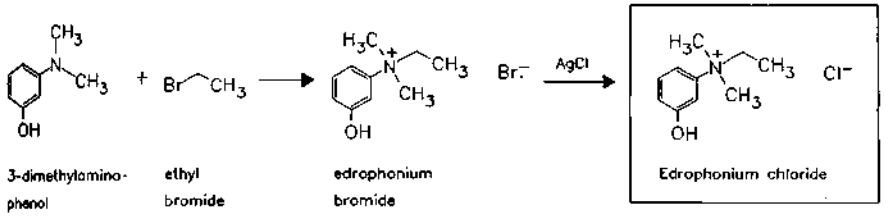
Nutraflow (Alcon)-comb. Soaclens (Alcon)-comb.
Polyclean (Alcon)-comb. GB: Limclair (Sinclair)

Edrophonium chloride

ATC: N07A
Use: cholinergic, antidote to curare principles

RN: 116-38-1 MF: $C_{10}H_{16}ClNO$ MW: 201.70 EINECS: 204-138-4
LD_{50}: 8500 µg/kg (M, i.v.)
CN: *N*-ethyl-3-hydroxy-*N*,*N*-dimethylbenzenaminium chloride

hydroxide
RN: 473-37-0 MF: $C_{10}H_{17}NO_2$ MW: 183.25
LD_{50}: 9 mg/kg (M, i.v.); 600 mg/kg (M, p.o.)
bromide
RN: 302-83-0 MF: $C_{10}H_{16}BrNO$ MW: 246.15
LD_{50}: 9 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
15 mg/kg (dog, i.v.)



Reference(s):
US 2 647 924 (Hoffmann-La Roche; 1953; prior. 1950).

Formulation(s): amp. 10 mg/ml; vial 10 mg/10 ml

Trade Name(s):
GB: Tensilon (Roche); wfm USA: Enlon (Ohmeda) Tensilon (ICN)
J: Antirex (Kyorin) Reversol (Organon)

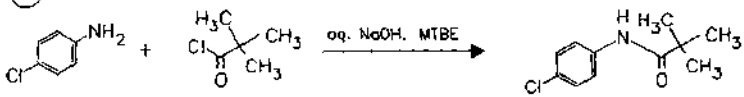
Efavirenz
(DMP-266; L-743726)

ATC: J05AG03
Use: antiviral for AIDS, reverse transcriptase inhibitor

RN: 154598-52-4 MF: $C_{14}H_9ClF_3NO_2$ MW: 315.68
CN: (4*S*)-6-Chloro-4-(cyclopropylethynyl)-1,4-dihydro-4-(trifluoromethyl)-2*H*-3,1-benzoxazin-2-one

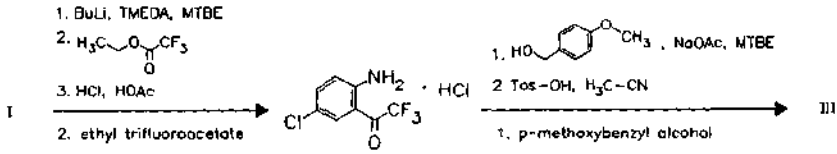
(*R*)-enantiomer
RN: 154801-74-8 MF: $C_{14}H_9ClF_3NO_2$ MW: 315.68
racemate
RN: 177530-93-7 MF: $C_{14}H_9ClF_3NO_2$ MW: 315.68

(a)

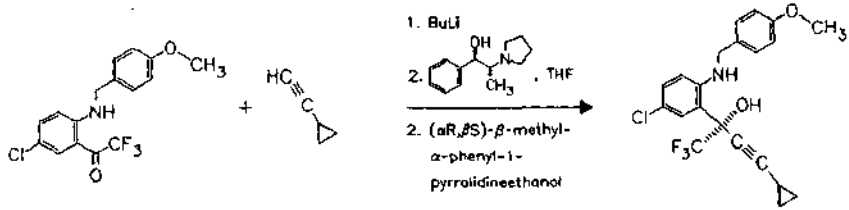


4-chloroaniline | pivaloyl chloride | aq. NaOH, MTBE | *N*-(4-chlorophenyl)-2,2-dimethylpropionamide (I)

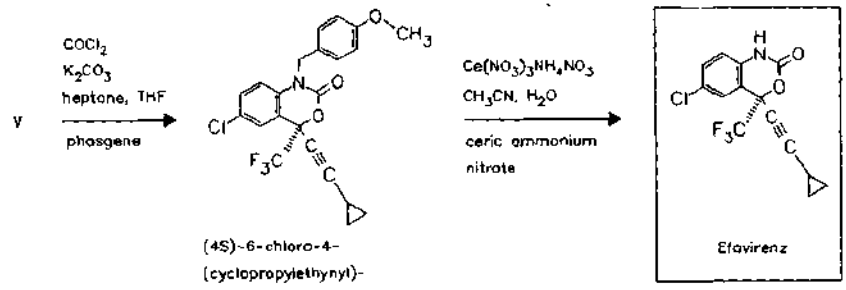
MTBE: methyl tert-butyl ether



4-chloro-2-(trifluoroacetyl)aniline hydrochloride (II)

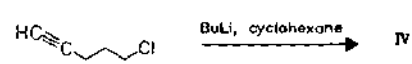


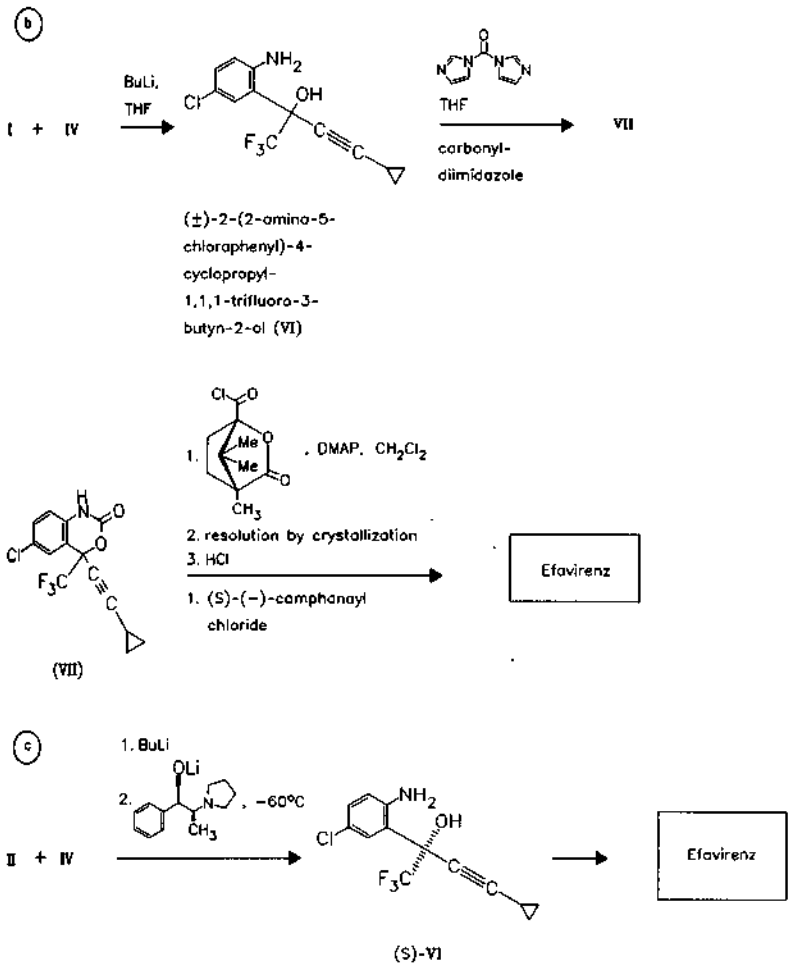
N-(4-methoxybenzyl)-4-chloro-2-(trifluoroacetyl)aniline (III) | cyclopropylacetylene (IV) | (αS)-5-chloro-α-(cyclopropylethynyl)-2-[[(4-methoxyphenyl)methyl]amino]-α-(trifluoromethyl)benzenemethanol (V)



(4S)-6-chloro-4-(cyclopropylethynyl)-1,4-dihydro-1-[(4-methoxyphenyl)methyl]-4-trifluoromethyl-2H-3,1-benzoxazin-2-one

(aa) preparation of cyclopropylacetylene





Reference(s):

a Thompason, A.S. et al.: Tetrahedron Lett. (TELEAY) **36** (49), 8937-40 (1995).
Thompason, A.S. et al.: J. Am. Chem. Soc. (JACSAT) 120, 2028-2038 (1998).
Pierce, M.E. et al.: J. Org. Chem. (JOCEAH) **63** (23), 8536-8543 (1998).
WO 9 637 457 (Merck + Co.; appl. 21.5.1996; USA-prior. 25.5.1995).

aa WO 9 622 955 (Merck + Co.; appl. 19.1.1996; USA-prior. 23.1.1995).
WO 9 827 034 (Du Pont Merck; appl. 15.12.1997; USA-prior. 16.12.1996).

b EP 582 455 (Merck + Co.; appl. 3.8.1993; USA-prior. 7.8.1992, 27.4.1993).
WO 9 520 389 (Merck + Co.; appl. 24.1.1995; USA-prior. 28.1.1994).
WO 9 834 928 (Merck + Co.; appl. 9.2.1998; USA-prior. 12.2.1997).
Radesca, L.A. et al.: Synth. Commun. (SYNCAV) **27** (24), 4373-4384 (1997).
WO 9 845 278 (Du Pont; appl. 2.4.1998; USA-prior. 7.4.1997).

c Tan, L. et al.: Angew. Chem. (ANCEAD) **111** (5), 724 (1999).

process for the crystallization using an anti-solvent:
WO 9 833 782 (Merck + Co.; appl. 2.2.1998; USA-prior. 5.2.1997).

antiviral combinations:
WO 9 844 913 (Triangle Pharm.; appl. 7.4.1998; USA-prior. 7.4.1997).
WO 9 852 570 (Glaxo; appl. 14.5.1998; GB-prior. 17.5.1997).

Formulation(s): cps. 50 mg, 100 mg, 200 mg

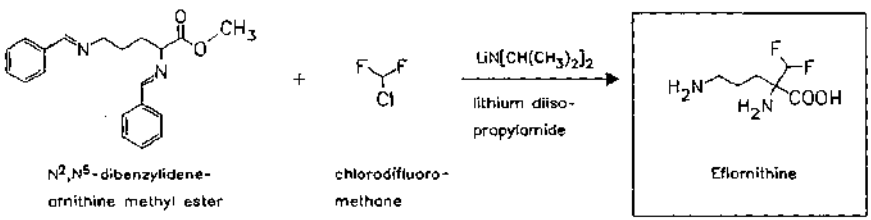
Trade Name(s):
D: SUSTIVA (Du Pont; 1999) USA: Sustiva (Du Pont; 1998)

Eflornithine
(DFMO; RMI-71782)

ATC: P01CX03
Use: antineoplastic, antiprotozoal, inhibitor of ornithine decarboxylase, antipneumocystis

RN: 67037-37-0 MF: $C_6H_{12}F_2N_2O_2$ MW: 182.17
LD_{50}: >3000 mg/kg (M, i.p.); >5000 mg/kg (M, p.o.); 1364 µg/kg (R, intracerebral)
CN: 2-(difluoromethyl)-DL-ornithine

monohydrochloride
RN: 68278-23-9 MF: $C_6H_{12}F_2N_2O_2 \cdot HCl$ MW: 218.63 EINECS: 269-532-0
monohydrochloride monohydrate
RN: 96020-91-6 MF: $C_6H_{12}F_2N_2O_2 \cdot HCl \cdot H_2O$ MW: 236.65



N^2,N^5-dibenzylidene-ornithine methyl ester + chlorodifluoro-methane

Reference(s):
US 4 413 141 (Merrell-Toraude; 1.11.1983; appl. 17.9.1982; prior. 11.7.1977, 2.7.1979).
US 4 330 559 (Merrell-Toraude; 18.5.1982; appl. 3.2.1981; prior. 11.7.1977, 10.4.1979).
Bey, P. et al.: J. Org. Chem. (JOCEAH) **44**, 2732 (1979).
Metcalf, B.W. et al.: J. Am. Chem. Soc. (JACSAT) **100**, 2551 (1978).

synthesis of (–)-isomer:
EP 357 029 (Merrell Dow; appl. 30.8.1989; USA-prior. 31.8.1988).

pharmaceutical composition:
BE 881 209 (Merrell-Toraude; appl. 16.5.1980; USA-prior. 10.4.1979).

combination with interferon:
US 4 499 072 (Merrell Dow; 12.2.1985; appl. 24.1.1983; prior. 29.11.1982).

Formulation(s): vial 200 mg/ml (20 g as hydrochloride hydrate)

Trade Name(s):
USA: Ornidyl (Ilex Oncology; as hydrochloride hydrate); wfm
Ornidyl (Marion Merrell Dow; 1990); wfm

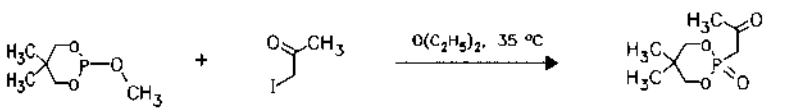
Efonidipine hydrochloride ethanol

(NZ-105)

ATC: C08CA
Use: antihypertensive, calcium channel blocker

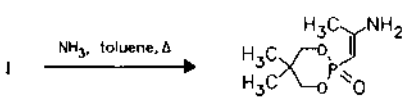
RN: 111011-76-8 MF: $C_{34}H_{38}N_3O_7P \cdot C_2H_6O \cdot HCl$ MW: 714.20
LD_{50}: > 5 g/kg (R, p.o.)
CN: (±)-5-(5,5-dimethyl-1,3,2-dioxaphosphorinan-2-yl)-1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3-pyridinecarboxylic acid 2-[phenyl(phenylmethyl)amino]ethyl ester *P*-oxide monohydrochloride compd. with ethanol (1:1)

efonidipine
RN: 111011-63-3 MF: $C_{34}H_{38}N_3O_7P$ MW: 631.67
hydrochloride
RN: 111011-53-1 MF: $C_{34}H_{38}N_3O_7P \cdot HCl$ MW: 668.13
(R)-base
RN: 128194-13-8 MF: $C_{34}H_{38}N_3O_7P$ MW: 631.67
(S)-base
RN: 128194-12-7 MF: $C_{34}H_{38}N_3O_7P$ MW: 631.67

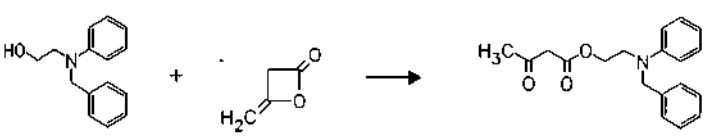


1-methoxy-4,4-dimethyl-1-phospho-2,6-dioxacyclohexane

2,2-dimethyltrimethylene acetonylphosphonate (I)

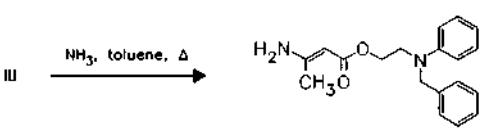


2,2-dimethyltrimethylene 2-amino-1-propenylphosphonate (II)

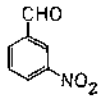


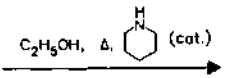
2-(benzylphenylamino)ethanol

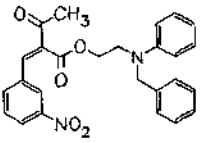
2-(benzylphenylamino)-ethyl acetoacetate (III)



2-(benzylphenylamino)-ethyl 3-aminocrotonate (IV)

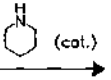
III +  (V)

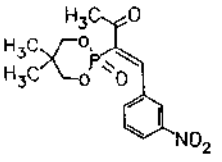




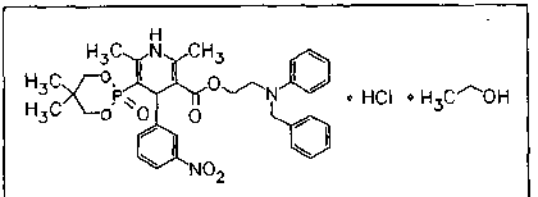
2-(benzylphenylamino)-ethyl 2-(3-nitrobenzylidene)acetoacetate (VI)

a

I + V 



(VII)

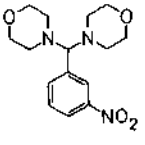
VII + IV 1. toluene, Δ 2. H_3C–OH, aq. HCl 

Efonidipine hydrochloride ethanol

b

I + V + IV 1. toluene, Δ 2. chromatography 3. H_3C–OH, aq. HCl → Efonidipine hydrochloride ethanol

c

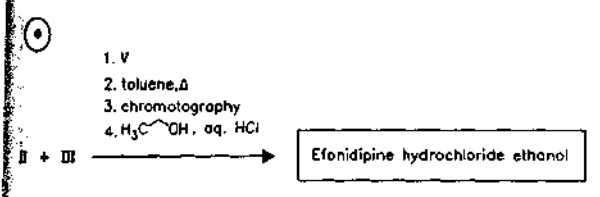
I + IV + 

4,4'-[(3-nitrophenyl)methylene]-bismorpholine

1. toluene, CF_3COOH, Δ 2. chromatography 3. H_3C–OH, aq. HCl → Efonidipine hydrochloride ethanol

d

II + VI 1. toluene, Δ 2. chromatography 3. H_3C–OH, aq. HCl → Efonidipine hydrochloride ethanol



Reference(s):
Seto, K.; Sakoda, R.; Tanaka, S.: 10th Int. Symp. Med. Chem. (Aug. 15-19, Budapest) 1988, 301.

preparation of efonidipine hydrochloride ethanol:
WO 8 704 439 (Nissan Chemical Industries; appl. 5.8.1987; J-prior. 22.1.1986, 23.1.1986; USA-prior. 14.4.1986; J-prior. 25.11.1986).

preparation of optically active (dihydropyridyl)phosphonate esters:
JP 02 011 592 (Nissan Chemical Industries; appl. 16.1.1990; J-prior. 29.6.1988).

use of topical ophthalmic composition:
WO 9 323 082 (Alcon Laboratories; appl. 25.11.1993; USA-prior. 13.5.1992).

pharmaceutical compositions:
EP 344 603 (Zeria Pharmaceutical & Co.; Nissan Chemical Industries; appl. 6.12.1986; J-prior. 30.5.1988, 2.3.1989).

combination with immunosuppressive, cardiovascular and cerebral activity:
DE 4 430 128 (Hoechst; appl. 29.2.1996; D-prior. 25.8.1994).

Formulation(s): tabl. 10 mg, 20 mg

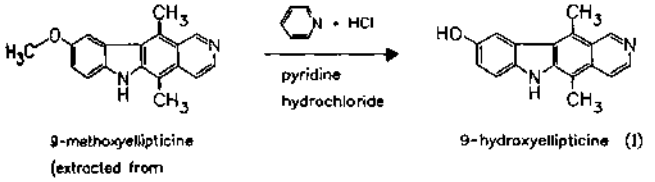
Trade Name(s):
J: Landel (Nissan Chem.-Shionogi-Zeria)

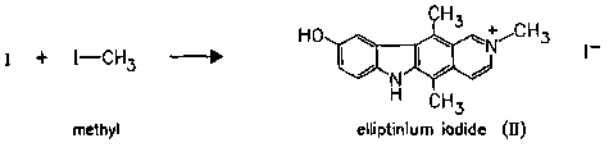
Elliptinium acetate

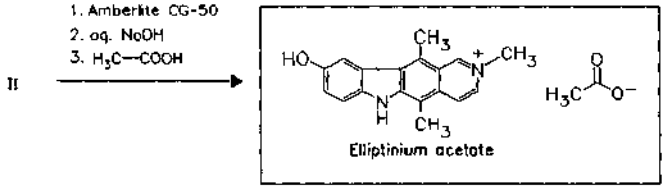
ATC: L01C; L01XX
Use: antineoplastic

RN: 58337-35-2 MF: $C_{18}H_{17}N_2O \cdot C_2H_3O_2$ MW: 336.39 EINECS: 261-216-0
CN: 9-hydroxy-2,5,11-trimethyl-6*H*-pyrido[4,3-*b*]carbazolium acetate (salt)

Iodide
RN: 58447-24-8 MF: $C_{18}H_{17}IN_2O$ MW: 404.25 EINECS: 261-259-5
LD_{50}: 5 mg/kg (M, i.p.)







Reference(s):
DOS 2 618 223 (Anvar; appl. 26.4.1976; F-prior. 25.4.1975).

Formulation(s): vial (lyo.) 50 mg

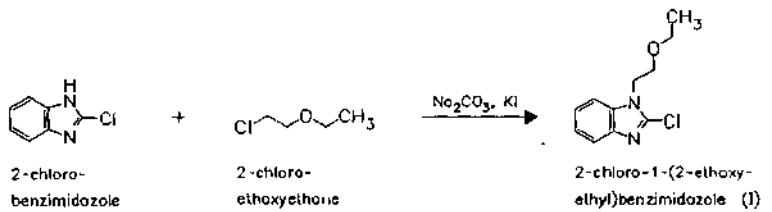
Trade Name(s):
F: Celiptium (Pasteur Vaccins)

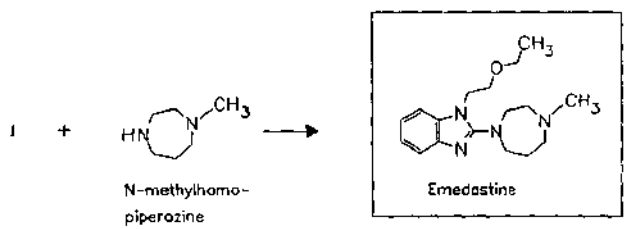
Emedastine

ATC: R06AE
Use: antihistaminic

RN: 87233-61-2 MF: $C_{17}H_{26}N_4O$ MW: 302.42
CN: 1-(2-ethoxyethyl)-2-(hexahydro-4-methyl-1*H*-1,4-diazepin-1-yl)-1*H*-benzimidazole

fumarate (1:2)
RN: 87233-62-3 MF: $C_{17}H_{26}N_4O \cdot 2C_4H_4O_4$ MW: 534.57
LD_{50}: 93 mg/kg (M, i.v.); 2206 mg/kg (M, p.o.); 609 mg/kg (M, s.c.); 72 mg/kg (R, i.v.); 1854 mg/kg (R, p.o.); 643 mg/kg (R, s.c.); 193 mg/kg (dog, p.o.)





Reference(s):
EP 79 545 (Kanebo; appl. 5.11.1982; J-prior. 6.11.1981).

percutaneous administration:
EP 440 811 (Kanebo; appl. 23.8.1990; J-prior. 28.8.1989).

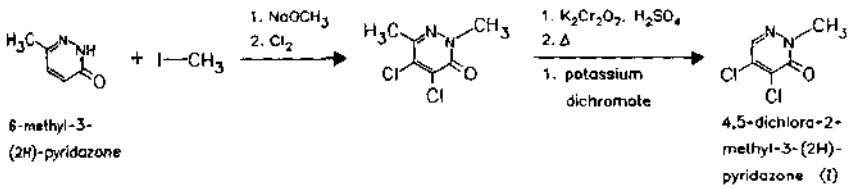
Formulation(s): cps. 1 mg, 2 mg (as difumarate)

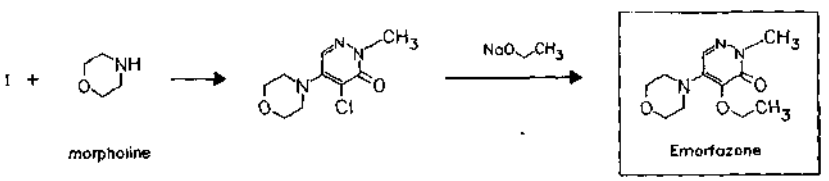
Trade Name(s):
J: Daren (Kanebo; 1992) Lemicut (Kowa)

Emorfazone

ATC: N02
Use: anti-inflammatory, analgesic

RN: 38957-41-4 MF: $C_{11}H_{17}N_3O_3$ MW: 239.28 EINECS: 254-220-9
LD_{50}: 700 mg/kg (M, i.p.)
CN: 4-ethoxy-2-methyl-5-(4-morpholinyl)-3-(2*H*)-pyridazinone





Reference(s):
DOS 2 225 218 (Morishita; appl. 24.5.1972).
GB 1 351 569 (Morishita; appl. 15.5.1972).

synthesis of 4,5-dichloro-2-methyl-3(2*H*)-pyridazone:
Homer, R.F. et al.: J. Chem. Soc. (JCSOA9) **1948**, 2191.

Formulation(s): tabl. 100 mg, 200 mg

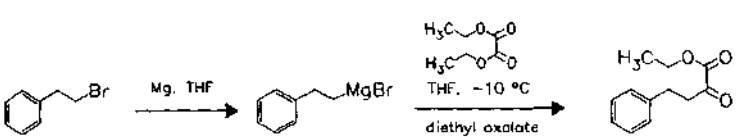
Trade Name(s):
J: Pentoil (Morishita; 1984)

Enalapril

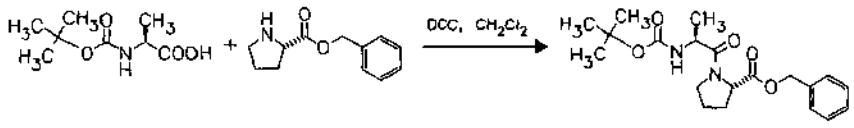
ATC: C09AA02
Use: antihypertensive (ACE inhibitor)

RN: 75847-73-3 MF: $C_{20}H_{28}N_2O_5$ MW: 376.45
CN: (*S*)-1-[*N*-[1-(ethoxycarbonyl)-3-phenylpropyl]-L-alanyl]-L-proline

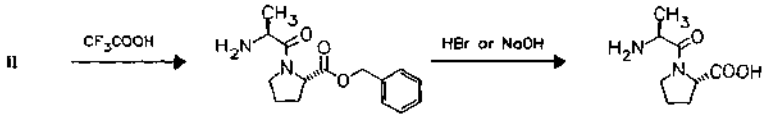
maleate (1:1)
RN: 76095-16-4 MF: $C_{20}H_{28}N_2O_5 \cdot C_4H_4O_4$ MW: 492.53 EINECS: 278-375-7



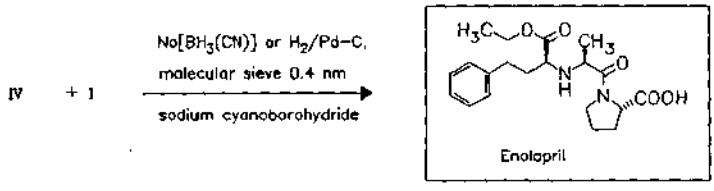
(a)



N-tert-butoxycarbonyl-L-alanine + L-proline benzyl ester → (II)

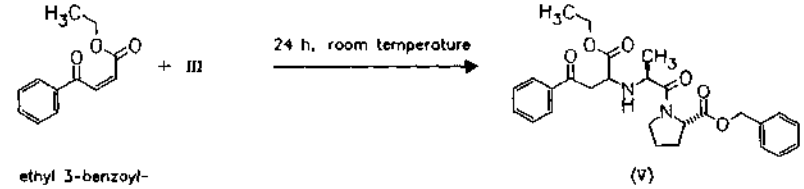


II → L-alanyl-L-proline benzyl ester (III) → L-alanyl-L-proline (IV)

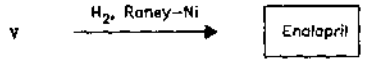


IV + I → Enalapril

(b)

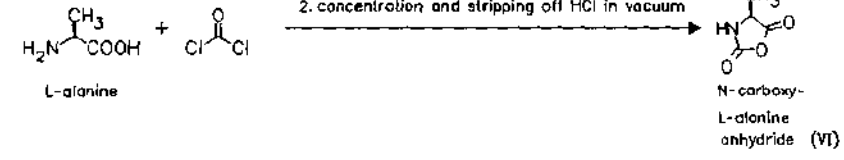


ethyl 3-benzoylacrylate + III → (V)

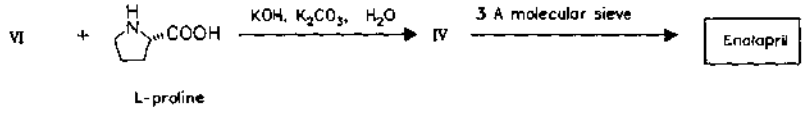


V → Enalapril

(c)



L-alanine + → N-carboxy-L-alanine anhydride (VI)



VI + L-proline → IV → Enalapril

Reference(s):

Patchett, A.A. et al.: Nature (London) (NATUAS) **288**, 280 (1980).

ethyl 2-oxo-4-phenylbutyrate:
Weinstock, L.M. et al.: Synth. Commun. (SYNCAV) **11**, 943 (1981).
a Wyvratt, M.J. et al.: J. Org. Chem. (JOCEAH) **49**, 2816 (1984).
US 4 374 829 (Merck & Co.; 22.2.1983; prior. 11.12.1978).
EP 12 401 (Merck & Co.; appl. 10.12.1979; USA-prior. 11.12.1978).
US 4 472 380 (Merck & Co.; 18.9. 1984; prior. 11.12.1979).
Huffmann, H.A. et al.: Tetrahedron Lett. (TELEAY) **40**, 331 (1999).
b US 4 442 030 (Merck & Co.; 10.4.1984; prior. 7.6.1982).
c Blacklock, T.J. et al.: J. Org. Chem. (JOCEAH) **53**, 836 (1988).

processes which employ reaction of activated derivatives of N-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanine *with* L-proline:
US 4 716 235 (Kanegafuchi; 29.12.1987; J-prior. 27.8.1985).
DOS 3 542 735 (Uriach; appl. 3.12.1985; E-prior. 2.7.1985).
US 4 652 668 (Biomeasure; 24.3.1987; appl. 3.7.1985).

condensation of L-alanyl-L-proline *with* 3-phenylpropionaldehyde *and cyanides via the corresponding aminonitrile:*
EP 79 521 (Merck & Co.; appl. 3.11.1982; USA-prior. 9.11.1981, 9.8.1982).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg, 20 mg (as hydrogen maleate)

Trade Name(s):

D:	Pres (Boehringer Ing.; 1984)	GB:	Innovace (Merck Sharp & Dohme; 1986)		Naprilene (Sigma-Tau; 1985)
	Xanef (Merck Sharp & Dohme; 1984)		Innozide (Merck Sharp & Dohme)-comb.	J:	Renivace (Banyu; 1986)
F:	Co-Renitec (Merck Sharp & Dohme-Chibret)-comb.	I:	Converten (Neopharmed; 1985)	USA:	Lexxel (Astra Merck)
	Renitec (Merck Sharp & Dohme-Chibret; 1985)		Enapren (Merck Sharp & Dohme; 1985)		Vaseretic (Merck; 1987)-comb. with hydrochlorothiazide
					Vasotec (Merck; 1986)

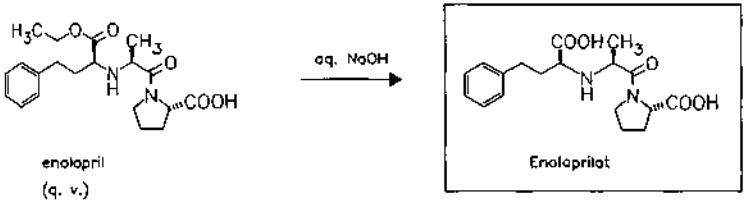
Enalaprilat

(Enalaprilic acid)

ATC: C09AA02; C09BA02
Use: angiotensin-converting enzyme inhibitor (for i.v. application as antihypertensive and in congestive heart failure, active metabolite of enalapril (q. v.))

RN: 76420-72-9 MF: $C_{18}H_{24}N_2O_5$ MW: 348.40 EINECS: 278-459-3
CN: (*S*)-1-[*N*-(1-carboxy-3-phenylpropyl)-L-alanyl]-L-proline

dihydrate
RN: 84680-54-6 MF: $C_{18}H_{24}N_2O_5 \cdot 2H_2O$ MW: 384.43



enalapril (q. v.)

Enalaprilat

Reference(s):
Patchett, A.A. et al.: Nature (London) (NATUAS) **288**, 280 (1980).
Wyoratt, M.J. et al.: J. Org. Chem. (JOCEAH) **49**, 2816 (1984).
US 4 374 829 (Merck & Co.; 22.2.1983; USA-prior. 11.12.1978).
cf. literature cited under enalapril

Formulation(s): amp. 1.25 mg/1.25 ml

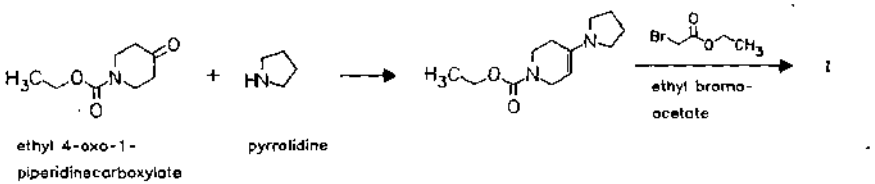
Trade Name(s):
D: Pres i.v. (Boehringer Ing.) Xanef i.v. (MSD) USA: Vasotec i.v. (Merck)

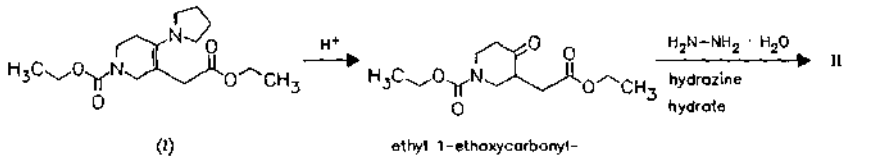
Endralazine

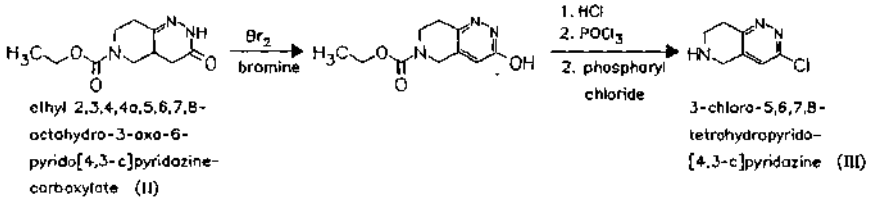
ATC: C02DB03
Use: antihypertensive

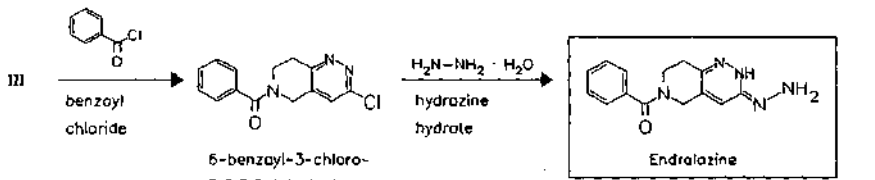
RN: 39715-02-1 MF: $C_{14}H_{15}N_5O$ MW: 269.31
CN: 6-benzoyl-5,6,7,8-tetrahydropyrido[4,3-*c*]pyridazin-3(2*H*)-one 3-hydrazone

monomesylate
RN: 65322-72-7 MF: $C_{14}H_{15}N_5O \cdot CH_4O_3S$ MW: 365.41
LD_{50}: 246 mg/kg (M, i.p.)









Reference(s):
DOS 2 221 808 (Sandoz; appl. 4.5.1972; CH-prior. 11.5.1971, 26.5.1971, 28.5.1971, 15.10.1971).
CH 565 797 (Sandoz; appl. 16.3.1972).
Schenker, E.; Salzmann, R.: Arzneim.-Forsch. (ARZNAD) **29**, 1835 (1979).

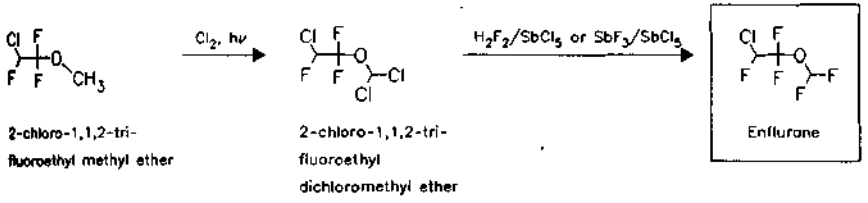
Formulation(s): cps. 5 mg, 10 mg (as mesylate)

Trade Name(s):
D: Miretilan (Sandoz); wfm

Enflurane

ATC: N01AB04
Use: inhalation anesthetic

RN: 13838-16-9 MF: $C_3H_2ClF_5O$ MW: 184.49 EINECS: 237-553-4
LD_{50}: 5 ml/kg (M, p.o.);
5450 µl/kg (R, p.o.)
CN: 2-chloro-1-(difluoromethoxy)-1,1,2-trifluoroethane



Reference(s):
DE 1 643 591 (Air Reduction Comp.; prior. 2.10.1967).
US 3 469 011 (Air Reduction Comp.; 23.9.1969; appl. 3.10.1966).
US 3 527 813 (Air Reduction Comp.; 8.9.1970; prior. 3.10.1966, 4.9.1968).
Terrell, R.C. et al.: J. Med. Chem. (JMCMAR) **14**, 517 (1971).

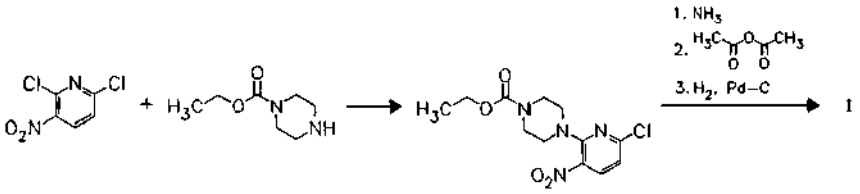
Formulation(s): liquid for inhalation 125 ml, 250 ml

Trade Name(s):
D: Enfluran-Pharmacia Inhalationsflüssigkeit (Pharmacia & Upjohn)
GB: Ethrane (Abbott; 1976)
GB: Ethrane (Abbott); wfm
J: Ethrane (Dainippon; 1981)
USA: Ethrane (Ohmeda)

Enoxacin

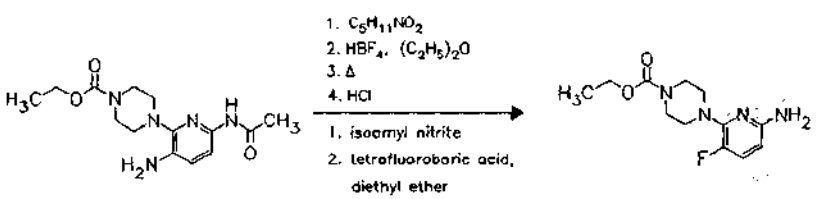
ATC: J01MA04
Use: antibiotic (gyrase inhibitor), antibacterial

RN: 74011-58-8 MF: $C_{15}H_{17}FN_4O_3$ MW: 320.32
LD_{50}: >5000 mg/kg (M, p.o.);
>5000 mg/kg (R, p.o.)
CN: 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-1,8-naphthyridine-3-carboxylic acid



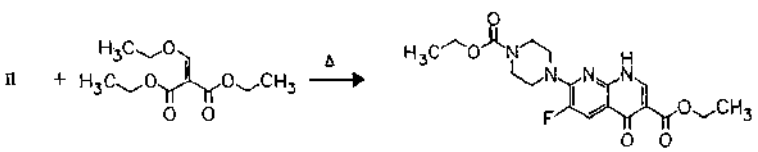
2,6-dichloro-3-nitro-pyridine

1-ethoxycarbonyl-piperazine



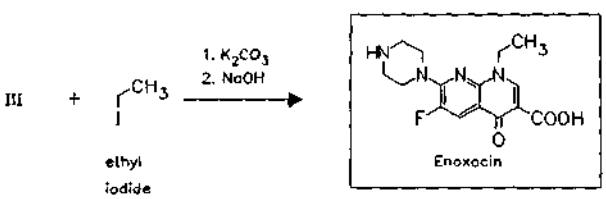
(I)

6-amino-3-fluoro-2-(4-ethoxycarbonyl-1-piperazinyl)pyridine (II)



diethyl ethoxy-methylenemalonate

(III)



ethyl iodide

Reference(s):
EP 9 425 (Roger Bellon, Dainippon; appl. 24.8.1979; J-prior. 25.8.1978, 20.12.1978, 29.12.1978).
US 4 352 803 (Dainippon; 5.10.1982; J-prior. 25.8.1978).
US 4 359 578 (Dainippon; 5.10.1982; J-prior. 25.8.1978).

Formulation(s): f. c. tabl. 200 mg, 300 mg, 400 mg

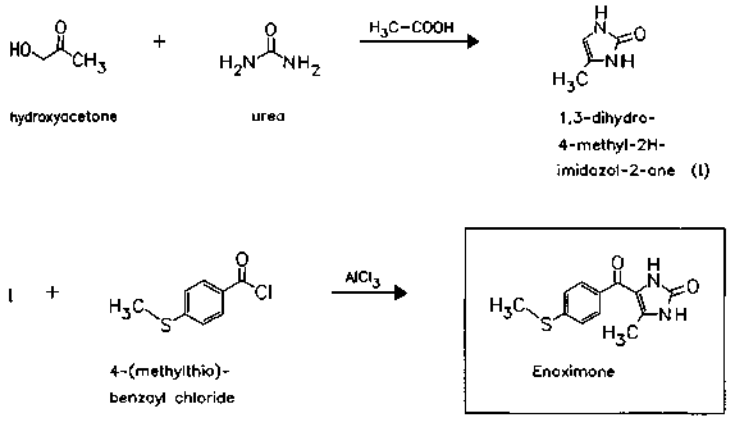
Trade Name(s):

D:	Enoxor (Pierre Fabre Pharma)	GB:	Comprecin (Parke Davis); wfm	J:	Flumark (Dainippon; 1986)
F:	Enoxor (Sinbio)	I:	Bactidan (Recordati)	USA:	Penetrex (Rhône-Poulenc Rorer)

Enoximone
(RMI-17043)

ATC: C01CE03
Use: cardiotonic, phosphodiesterase inhibitor

RN: 77671-31-9 MF: $C_{12}H_{12}N_2O_2S$ MW: 248.31
CN: 1,3-dihydro-4-methyl-5-[4-(methylthio)benzoyl]-2*H*-imidazol-2-one



Reference(s):
DOS 3 021 792 (Richardson-Merrell; appl. 11.6.1980; USA-prior. 18.6.1979, 7.2.1980).
GB 2 055 364 (Richardson-Merrell; appl. 18.6.1980; USA-prior. 18.6.1979, 7.2.1980).
US 4 405 635 (Richardson-Merrell; appl. 13.9.1982; prior. 18.6.1979, 7.2.1980, 13.6.1980, 18.2.1981, 30.4.1982).
EP 58 435 (Richardson-Merrell; appl. 18.2.1982; USA-prior. 18.2.1981).
Schnettler, R.A. et al.: J. Med. Chem. (JMCMAR) **25**, 1477 (1982).

synthesis of 1,3-dihydro-4-methyl-2*H*-imidazol-2-one:
WO 8 602 070 (Pfizer; appl. 26.9.1984).

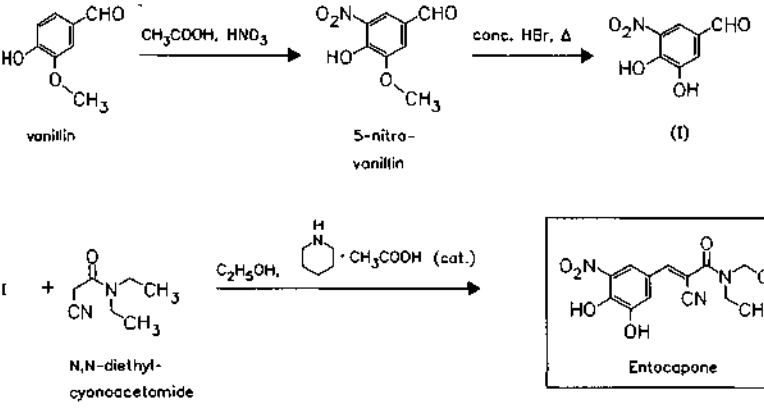
Formulation(s): amp. 100 mg/20 ml

Trade Name(s):
D: Perfan (Hoechst) GB: Perfan (Hoechst; 1989) I: Perfan (Lepetit)

Entacapone

ATC: N04BX02
Use: antiparkinsonian

RN: 130929-57-6 MF: $C_{14}H_{15}N_3O_5$ MW: 305.29
CN: (*E*)-2-Cyano-3-(3,4-dihydroxy-5-nitrophenyl)-*N*,*N*-diethyl-2-propenamide



Reference(s):
DE 3 740 383 (Orion Yhtymae Oy; appl. 27.11.1987; FI-prior. 28.11.1986).

preparation of 5-nitrovanillin:
Menke; Bentley: J. Am. Chem. Soc. (JACSAT) **20**, 316 (1898)

Formulation(s): f. c. tabl. 200 mg; tabl. 200 mg

Trade Name(s):

D:	Comtess (Orion Pharma; 1998)	F:	Comtan (Novartis)	I:	Comtan (Novartis)
		GB:	Comtess (Orion)	USA:	Comtan (Orion)

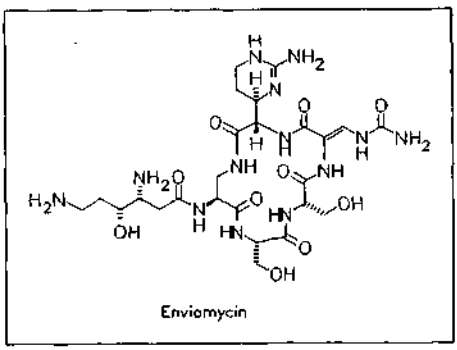
Enviomycin

(Tuberactinomycin N)

ATC: J04AB
Use: antibiotic

RN: 33103-22-9 MF: $C_{25}H_{43}N_{13}O_{10}$ MW: 685.70
LD_{50}: 370 mg/kg (M, i.v.); >3 g/kg (M, p.o.);
640 mg/kg (R, i.v.); >3 g/kg (R, p.o.)
CN: (*R*)-1-(*threo*-4-hydroxy-L-3,6-diaminohexanoic acid)-6-[L-2-(2-amino-1,4,5,6-tetrahydro-4-pyrimidinyl)glycine]viomycin

sulfate (2:3)
RN: 53760-33-1 MF: $C_{25}H_{43}N_{13}O_{10} \cdot 3/2H_2SO_4$ MW: 1665.63



From fermentation solutions of *Streptomyces griseoverticillatus var. tuberacticus* FERM P-619.

Reference(s):
DOS 2 133 181 (Toyo Jozo; appl. 30.6.1971; J-prior. 30.6.1970).
US 3 892 732 (Toyo Jozo; 1.7.1975; J-prior. 30.6.1970).
Ando, T. et al.: J. Antibiot. (JANTAJ) **24**, 680 (1971).

Formulation(s): vial 1 g (as sulfate)

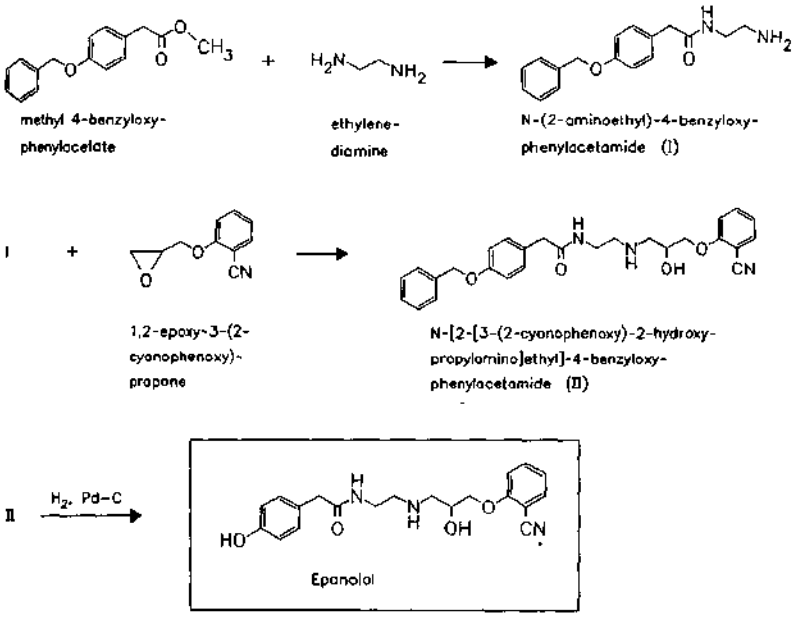
Trade Name(s):
J: Tuberactin (Toyo Jozo) TUM (Toyo Jozo)

Epanolol

(ICI-141292)

ATC: C07AB10
Use: β_1-adrenoceptor antagonist, antihypertensive

RN: 86880-51-5 MF: $C_{20}H_{23}N_3O_4$ MW: 369.42
CN: *N*-[2-[[3-(2-cyanophenoxy)-2-hydroxypropyl]amino]ethyl]-4-hydroxybenzeneacetamide



Reference(s):
DE 2 362 568 (ICI; appl. 20.6.1974; GB-prior. 17.9.1973).
DOS 2 525 133 (ICI; appl. 5.6.1975; GB-prior. 5.6.1974).
US 4 141 987 (ICI; 27.2.1979; GB-prior. 5.6.1974).
US 4 221 807 (ICI; 9.9.1980; GB-prior. 5.6.1974).
US 4 260 632 (ICI; 7.4.1981; GB-prior. 5.6.1974).
US 4 327 113 (ICI; 27.4.1982; GB-prior. 5.6.1974).
US 4 387 099 (ICI; 7.6.1983; GB-prior. 5.6.1974).
Large, M.S.; Smith, L.H.: J. Med. Chem. (JMCMAR) **25**, 1286 (1982).

synthesis of N-(2-aminoethyl)-4-benzyloxyphenylacetamide:
DOS 2 362 568 (ICI; appl. 17.12.1973; GB-prior. 15.12.1972, 17.9.1973).

Formulation(s): tabl.

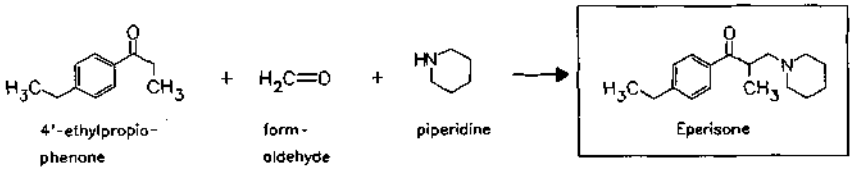
Trade Name(s):
GB: Visacor (ICI); *wfm*

Eperisone

ATC: A03AC
Use: skeletal muscle relaxant

RN: 64840-90-0 MF: $C_{17}H_{25}NO$ MW: 259.39
LD_{50}: 1024 mg/kg (M, p.o.);
1850 mg/kg (R, p.o.)
CN: 1-(4-ethylphenyl)-2-methyl-3-(1-piperidinyl)-1-propanone

hydrochloride
RN: 56839-43-1 MF: $C_{17}H_{25}NO \cdot HCl$ MW: 295.85
LD_{50}: 43 mg/kg (M, i.v.); 324 mg/kg (M, p.o.);
51 mg/kg (R, i.v.); 1002 mg/kg (R, p.o.);
>750 mg/kg (dog, p.o.)



Reference(s):
DOS 2 458 638 (Eisai; appl. 11.12.1974; J-prior. 14.12.1973).
US 4 181 803 (Eisai; 1.1.1980; J-prior. 14.12.1973).
US 39 995 047 (Eisai; 30.11.1976; J-prior. 14.12.1973).

alternative syntheses:
JP 7 930 178 (Asahi; appl. 5.8.1977).
JP 7 932 480 (Asahi; appl. 19.8.1977).
JP 7 936 274 (Asahi; appl. 24.8.1977).

Formulation(s): tabl. 50 mg (as hydrochloride)

Trade Name(s):

J:	Atines (Takeda)	Evonton (Tatsumi)	Rinpral (Nichiiko)
	Dechozyl (Sawai)	Miolease (Hotta)	Sunbazon (Toyo Jozo)
	Epenard (Taiyo)	Myonabase (Kotobuki)	
	Epeso (Teikoku)	Myonal (Eisai; 1983)	

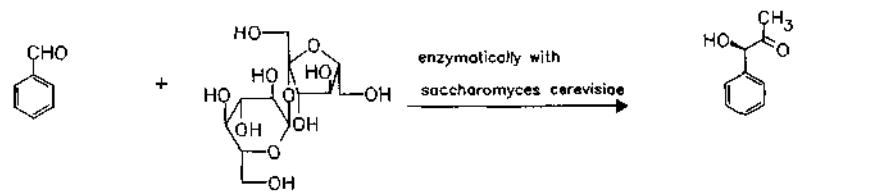
L(−)-Ephedrine

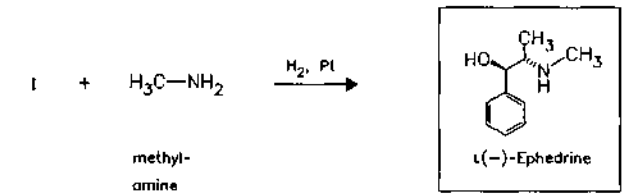
ATC: R01AA03; R01AB05; R03CA02; S01FB02
Use: sympathomimetic

RN: 299-42-3 MF: $C_{10}H_{15}NO$ MW: 165.24 EINECS: 206-080-5
LD_{50}: 74 mg/kg (M, i.v.); 689 mg/kg (M, p.o.); 600 mg/kg (R, p.o.)
CN: [*R*-(*R**,*S**)]-α-[1-(methylamino)ethyl]benzenemethanol

hydrochloride
RN: 50-98-6 MF: $C_{10}H_{15}NO \cdot HCl$ MW: 201.70 EINECS: 200-074-6
LD_{50}: 95 mg/kg (M, i.v.); 400 mg/kg (M, p.o.); 69 mg/kg (R, i.v.)

sulfate (2:1)
RN: 134-72-5 MF: $C_{10}H_{15}NO \cdot 1/2H_2SO_4$ MW: 428.55 EINECS: 205-154-4
LD_{50}: 812 mg/kg (M, p.o.); 102 mg/kg (R, i.v.); 404 mg/kg (R, p.o.)





Reference(s):
Budesinsky-Protiva, 24-27.
US 1 956 950 (E. Bilhuber; 1934; D-prior. 1930).
DD 51 651 (D. Gröger, H.-P. Schmauder, H. Frömmel; appl. 15.10.1965).

DL-ephedrine *by hydrogenation of N*-methylaminopropiophenone:
DRP 469 782 (E. Merck; appl. 1926).

Formulation(s): amp. 10 mg, 25 mg, 50 mg (as hydrochloride); drg. 2.5 mg, 10 mg; sol. 100 mg/10 ml; syrup 100 mg/100 ml, 1g/1000 ml (as hydrochloride); syrup 26.7 mg/100 ml (as sulfate); tabl. 10 mg, 25 mg, 50 mg

Trade Name(s):

D:
Antiföhnon (Südmedica)-comb.
Asthma 6-N (Hobein)-comb.
Ephepect (Bolder)-comb.
Ephetonin (Merck); wfm
Equisil (Klein)-comb.
Felsol (Roland)-comb.
Fomagrippin (Michallik)-comb.
Hevertopect (Hevert)-comb.
Medigel (Medice)-comb.
Perdiphen (Schwabe/Spitzner)-comb.
Pulmocordio (Hevert)-comb.
Rhinoguttae (Leyh)-comb.
Stipo Nasenspray (Repha)-comb.
Vencipon (Artesan)-comb.
Wick MediNait (Wick Pharma)-comb.
generic and numerous combination preparations

F:
Ephedroides "3" (Silbert et Ripert); wfm
generic and numerous combination preparations

GB:
CAM (Shire)
numerous combination preparations

I:
Codeinol (Saba)-comb.
Deltatarinolo (Lepetit)-comb.
Paidorinovit (SIT)-comb.
Rinopumilene (Montefarmaco)
Rinovit (SIT)-comb.
combination preparations

J:
Ephedrine "Nagai" (Dainippon)
numerous generic and combination preparations

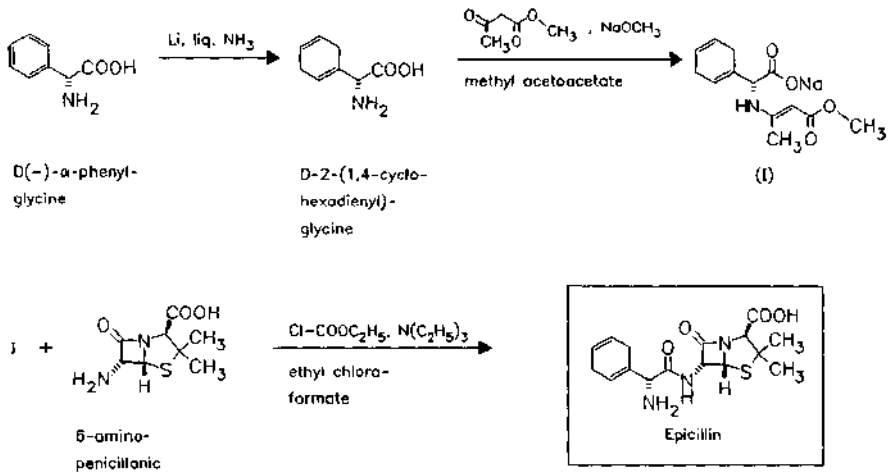
USA:
Broncholate (Sanofi; as hydrochloride)-comb.
Kie (Laser; as hydrochloride)-comb.
Marax (Pfizer; as sulfate)
Pretz-D (Parnell; as sulfate)-comb.
Quadrinal (Knoll Labs.; as hydrochloride)-comb.
Rynatuss (Wallace; as tannate)-comb.
numerous combination preparations

Epicillin

ATC: J01CA07
Use: antibiotic

RN: 26774-90-3 MF: $C_{16}H_{21}N_3O_4S$ MW: 351.43 EINECS: 248-001-7
LD_{50}: 3870 mg/kg (M, i.p.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(amino-1,4-cyclohexadien-1-ylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 34735-40-5 MF: $C_{16}H_{20}N_3NaO_4S$ MW: 373.41



Reference(s):
US 3 485 819 (Squibb; 23.12.1969; USA-prior. 2.7.1968).
DAS 1 967 020 (Squibb; appl. 23.6.1969; USA-prior. 2.7.1968).

microbiological acylation by means of Aphanocladium aranearum (ATCC 20453).
US 4 073 687 (Shionogi; 14.2.1978; J-prior. 12.5.1976).

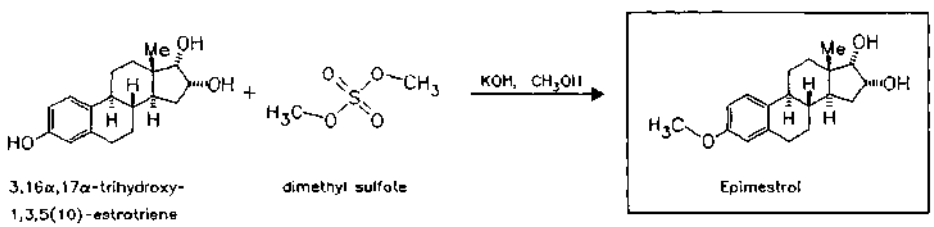
Formulation(s): f. c. drg. 1000 mg; vial 2125.4 mg, 5313.5 mg (as sodium salt)

Trade Name(s):
D: Spectacillin (Sandoz); wfm F: Dexacilline (Squibb); wfm I: Dexacillin (Squibb); wfm

Epimestrol

ATC: G03GB03
Use: estrogen (ovulation stimulant), anterior, pituitary activator

RN: 7004-98-0 MF: $C_{19}H_{26}O_3$ MW: 302.41 EINECS: 230-278-0
CN: (16α,17α)-3-methoxyestra-1,3,5(10)-triene-16,17-diol



Reference(s):
NL 95 257 (Organon; appl. 1958).

starting material and alternative synthesis:
US 2 584 271 (Searle; 1952; prior. 1948).
Prelog, V. et al.: Helv. Chim. Acta (HCACAV) **28**, 250 (1945).

alternative synthesis:
Caglioti, L.; Magi, M.: Tetrahedron (TETRAB) **19**, 1127 (1963).

Formulation(s): tabl. 5 mg

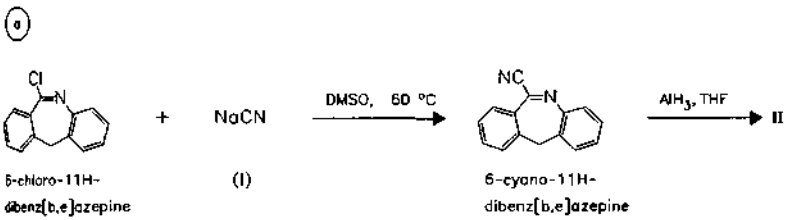
Trade Name(s):
D: Stimovul (Organon); wfm I: Stimovul (Organon Italia) J: Stimovul (Ravasini)

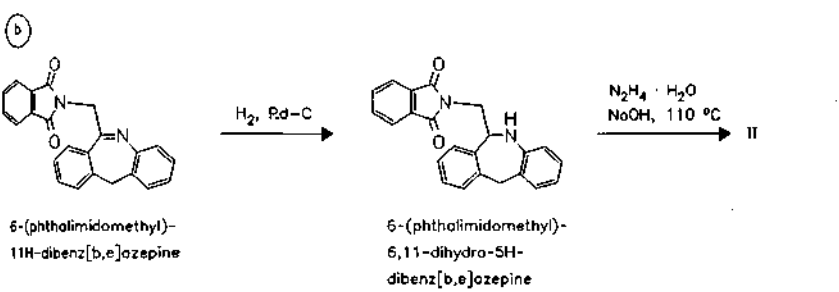
Epinastine hydrochloride
(WAL-801CL)

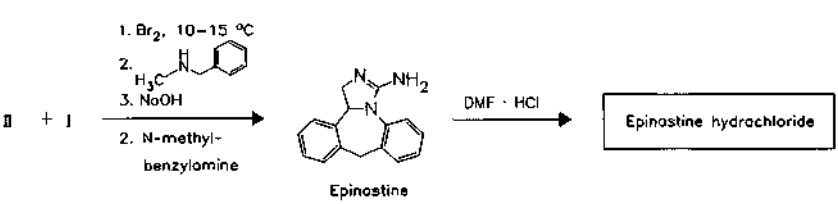
ATC: R06AX24
Use: antihistaminic

RN: 108929-04-0 MF: $C_{16}H_{15}N_3 \cdot HCl$ MW: 285.78
LD_{50}: 17 mg/kg (R, i.v.); 192 mg/kg (R, p.o.)
CN: 9,13b-dihydro-1*H*-dibenz[*c*,*f*]imidazo[1,5-*a*]azepin-3-amine monohydrochloride

epinastine
RN: 80012-43-7 MF: $C_{16}H_{15}N_3$ MW: 249.32







Reference(s):

a DE 3 008 944 (Boehringer Ing.; appl. 5.3.1981; D-prior. 8.3.1980).
starting material:
Hunziker, E. et al.: Helv. Chim. Acta (HCACAV) **49/II**, 1433 (1966); **50/I**, 245 (1967).

b EP 496 306 (Boehringer Ing.; appl. 18.1.1992; D-prior. 25.1.1991).

composition with PAF-antagonists:
WO 8 910 143 (Schering Corp.; appl. 24.4.1989; USA-prior. 27.4.1988).

Formulation(s): tabl. 10 mg, 20 mg

Trade Name(s):
J: Alesion (Nippon
Boehringer Ing./Sakyo)

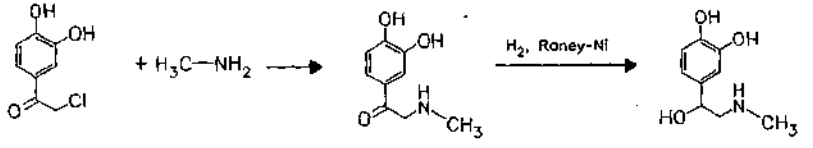
Epinephrine

(Adrenaline)

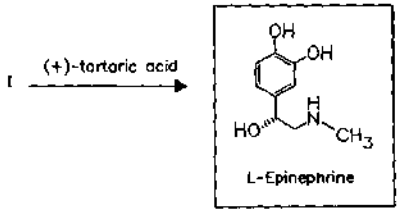
ATC: A01AD01; B02BC09; C01CA24; R03AA01; S01EA01
Use: sympathomimetic, vasoconstrictor

RN: 51-43-4 MF: $C_9H_{13}NO_3$ MW: 183.21 EINECS: 200-098-7
LD_{50}: 217 µg/kg (M, i.v.);
150 µg/kg (R, i.v.);
100 µg/kg (dog, i.v.)
CN: (*R*)-4-[1-hydroxy-2-(methylamino)ethyl]-1,2-benzenediol

hydrochloride
RN: 55-31-2 MF: $C_9H_{13}NO_3 \cdot HCl$ MW: 219.67 EINECS: 200-230-3
LD_{50}: 140 µg/kg (M, i.v.);
24 mg/kg (R, p.o.)
tartrate (1:1)
RN: 51-42-3 MF: $C_9H_{13}NO_3 \cdot C_4H_6O_6$ MW: 333.29 EINECS: 200-097-1
LD_{50}: 1780 µg/kg (M, i.v.); 4 mg/kg (M, p.o.);
82 µg/kg (R, i.v.)



2-chloro-3',4'-dihydroxy-acetophenone — methylamine — 3',4'-dihydroxy-2-methylamino-acetophenone — DL-epinephrine (I)



Reference(s):
DRP 152 814 (Hoechst; 1903).
DRP 157 300 (Hoechst; 1903).
DRP 222 451 (Hoechst; 1908).
Tullar, B.F.: J. Am. Chem. Soc. (JACSAT) **70**, 2067 (1948).

Formulation(s): amp. 0.05 mg/10 ml, 1 mg/ml, 2.05 mg/2.05 ml (as hydrochloride); eye drops 2 mg/ml, 5 mg/ml; eye ointment 1 mg/g (as tartrate)

Trade Name(s):

D: Adrenalin 1:1000 JENAPHARMA (Jenapharm)
Adrenalin Medihaler (Kettelhack-Riker)
Anaphylaxie-Besteck Lösung Z.J. (SmithKline Beecham)
Fastjekt (Allergopharma)
Suprarenin (Hoechst)
numerous combination preparations

F: Anahelp (Stallergènes)
Anakit (Dome-Hollister-Stier)
Dyspné-Inhal (Augot)
Eppy 1 % (Allergan France)
Glaucadrine (Merck Sharp & Dohme-Chibret)-comb.
numerous combination preparations and generics

GB: Accusite (Matrix)-comb.
Epipen (ALK)
Eppy (Chauvin)
Simplene (Chauvin)
combination preparations

I: Adrenal (Lifepharma)
Adrenalina Ism (Nuovo ISM)
Eppy (Merck Sharp & Dohme)
Rinantipiol (Antipiol)-comb.
Xylocaina (Astra-Simes)
Xylonor (Ogna)-comb.

J: Vaponefrin (Tokyo M.I.)

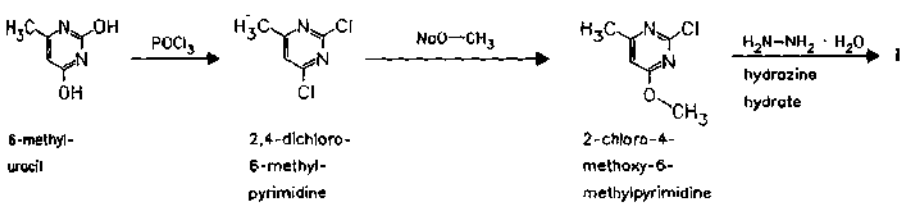
USA: Epi E-Z Pen (Dey)
EpiPen (Dey)
Sensorcaine with Epinephrine (Astra)-comb.
Sus-Phrine (Forest)
Xylocaine with Epinephrine (Astra)-comb.

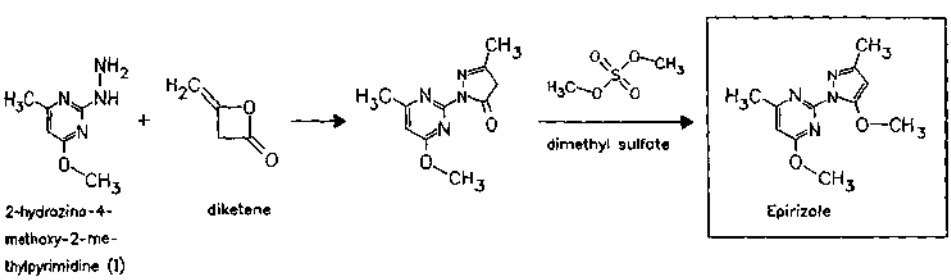
Epirizole

(Mepirizole)

ATC: M01A; N02B; S01B
Use: analgesic, anti-inflammatory

RN: 18694-40-1 MF: $C_{11}H_{14}N_4O_2$ MW: 234.26 EINECS: 242-507-1
LD_{50}: 550 mg/kg (M, i.v.); 740 mg/kg (M, p.o.);
214 mg/kg (R, i.v.); 445 mg/kg (R, p.o.)
CN: 4-methoxy-2-(5-methoxy-3-methyl-1*H*-pyrazol-1-yl)-6-methylpyrimidine





Reference(s):
FR-M 6 793 (Daiichi Seiyaku; appl. 31.8.1967).
DAS 2 237 632 (Daiichi Seiyaku; appl. 31.7.1972; J-prior. 31.7.1971, 5.8.1971).

intermediates:
Vanderhaeghe, H.; Claesen, M.: Bull. Soc. Chim. Belg. (BSCBAG) **68**, 30 (1959).

Formulation(s): tabl. 50 mg, 100 mg

Trade Name(s):
I: Diacon (IBI); wfm J: Anatock (Taito Pfizer) Mebron (Daiichi)

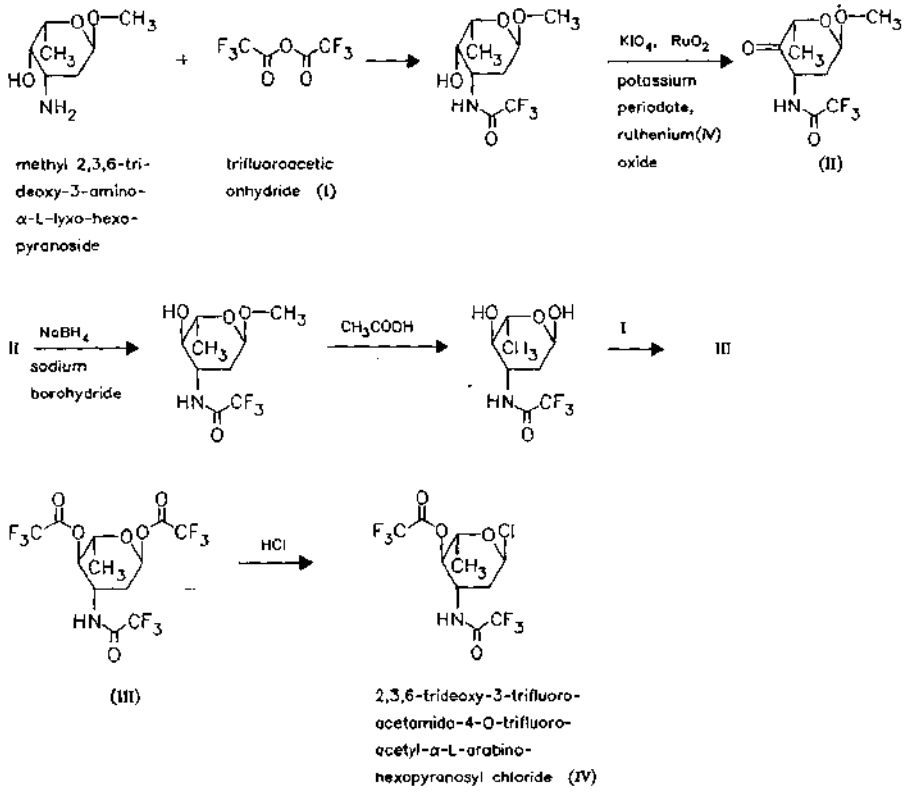
Epirubicin

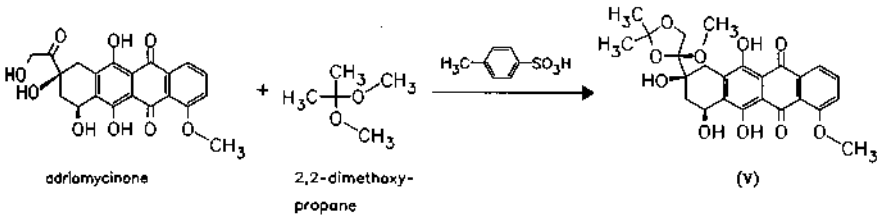
(Pidorubicin; 4'-*epi*-Adriamycin)

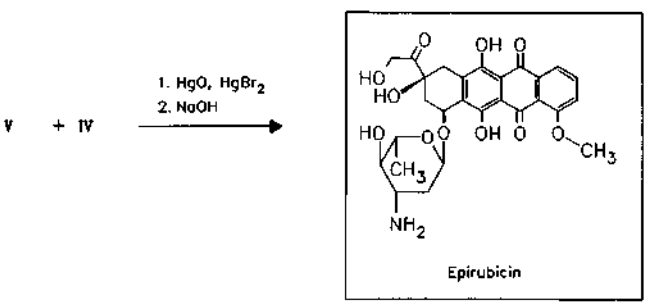
ATC: L01DB03
Use: antineoplastic

RN: 56420-45-2 MF: $C_{27}H_{29}NO_{11}$ MW: 543.53
LD_{50}: 16.07 mg/kg (M, i.v.);
14.27 mg/kg (R, i.v.);
2 mg/kg (dog, i.v.)
CN: (8*S*-*cis*)-10-[(3-amino-2,3,6-trideoxy-α-L-*arabino*-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione

hydrochloride
RN: 56390-09-1 MF: $C_{27}H_{29}NO_{11} \cdot HCl$ MW: 579.99







Reference(s):
Arcamone, F. et al.: J. Med. Chem. (JMCMAR) **18**, 703 (1975).
DOS 2 510 866 (Farmitalia; appl. 20.3.1975; GB-prior. 22.3.1974).
US 4 058 519 (Farmitalia; 15.11.1977; appl. 19.3.1975; GB-prior. 22.3.1974).

alternative synthesis:
DOS 2 618 822 (Farmitalia; appl. 29.4.1976; GB-prior. 30.4.1975).

purification:
GB 2 133 005 (Farmitalia; appl. 16.12.1983).

Formulation(s): vial 10 mg, 20 mg, 50 mg (as hydrochloride)

Trade Name(s):

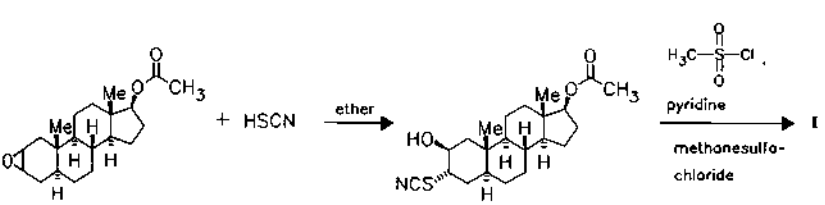
D:	Farmorubicin (Pharmacia & Upjohn; 1984)	GB:	Pharmarubicin (Pharmacia & Upjohn; 1985)	J:	Farmorubicin (Farmitalia)
F:	Farmorubicine (Pharmacia & Upjohn; 1986)	I:	Farmorubicina (Farmitalia; 1984)		

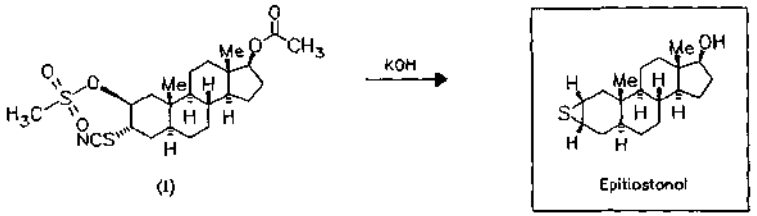
Epitiostanol

(Epithioandrostanol; Epithiostanol)

ATC: G03B; L02BA
Use: antiestrogen, antineoplastic

RN: 2363-58-8 MF: $C_{19}H_{30}OS$ MW: 306.51
LD_{50}: 1160 mg/kg (M, i.p.)
CN: (2α,3α,5α,17β)-2,3-epithioandrostan-17-ol





Reference(s):
GB 977 599 (Shionogi; valid from 19.12.1962; J-prior. 19.12.1961).
NL 6 400 226 (Shionogi; appl. 15.1.1964).
Takeda, K. et al.: Tetrahedron (TETRAB) **21**, 329 (1965).

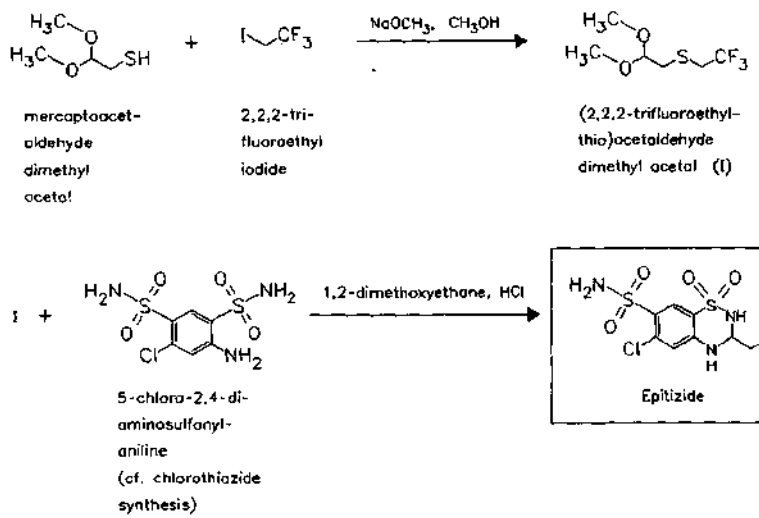
Formulation(s): vial 10 mg

Trade Name(s):
J: Thiodrol (Shionogi)

Epitizide
(Epithiazide)

ATC: C02L
Use: antihypertensive, diuretic

RN: 1764-85-8 MF: $C_{10}H_{11}ClF_3N_3O_4S_3$ MW: 425.86 EINECS: 217-181-9
CN: 6-chloro-3,4-dihydro-3-[[(2,2,2-trifluoroethyl)thio]methyl]-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
US 3 009 911 (Pfizer; 21.11.1961; prior. 3.6.1960, 14.9.1960, 4.1.1961).

Formulation(s): 4 mg

Trade Name(s):
GB: Thiaver (Riker); wfm

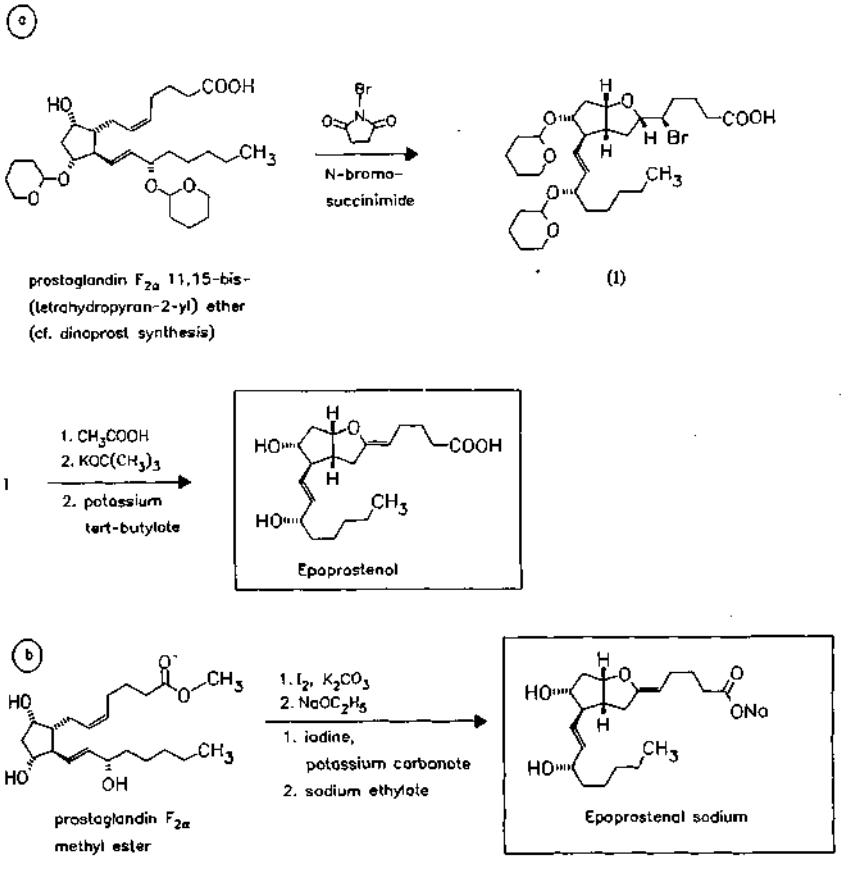
Epoprostenol

(PGI_2; Prostacyclin)

ATC: B01AC09
Use: anticoagulant, platelet aggregation inhibitor

RN: 35121-78-9 MF: $C_{20}H_{32}O_5$ MW: 352.47
CN: (5Z,9α,11α,13*E*,15*S*)-6,9-epoxy-11,15-dihydroxy-prosta-5,13-dien-1-oic acid

monosodium salt
RN: 61849-14-7 MF: $C_{20}H_{31}NaO_5$ MW: 374.45 EINECS: 263-273-7



Reference(s):
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **99**, 2006 (1976).
Nicolaou, K.C. et al.: Lancet (LANCAO) **1977**, 1058.

review:
The Merck Index, 12th Ed., 1352 (Rahway 1996).

Formulation(s): vial (lyo.) 0.5 mg (as sodium salt)

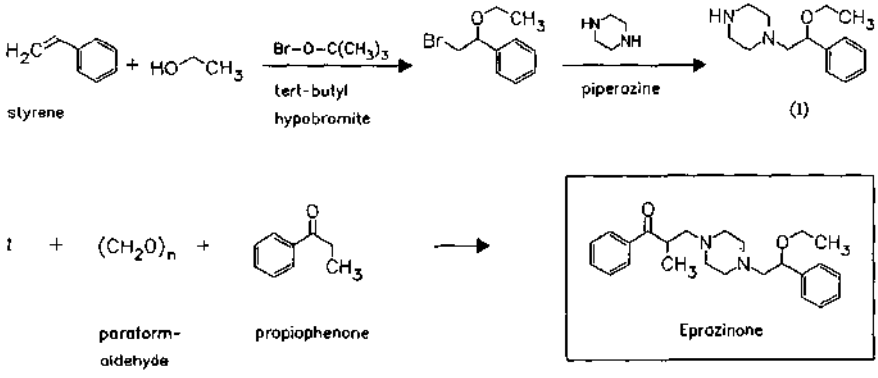
Trade Name(s):
GB: Flolan (Glaxo Wellcome) USA: Flolan (Glaxo Wellcome; as sodium salt)

Eprazinone

ATC: R05CB04
Use: antitussive

RN: 10402-90-1 MF: $C_{24}H_{32}N_2O_2$ MW: 380.53 EINECS: 233-873-3
LD_{50}: 111 mg/kg (M, i.p.); 246 mg/kg (M, s.c.)
CN: 3-[4-(2-ethoxy-2-phenylethyl)-1-piperazinyl]-2-methyl-1-phenyl-1-propanone

dihydrochloride
RN: 10402-53-6 MF: $C_{24}H_{32}N_2O_2 \cdot 2HCl$ MW: 453.45 EINECS: 233-872-8
LD_{50}: 20 mg/kg (M, i.v.); 286 mg/kg (M, p.o.);
763 mg/kg (R, p.o.)



Reference(s):
DAS 1 695 431 (Mauvernay; appl. 9.6.1967; GB-prior. 27.6.1966).
JP-appl. 540 22-379 (Asahi; appl. 21.7.1977).
JP-appl. 540 22-380 (Asahi; appl. 21.7.1977).
JP-appl. 540 22-381 (Asahi; appl. 21.7.1977).
JP-appl. 540 22-382 (Asahi; appl. 21.7.1977).

Formulation(s): tabl. 5 mg, 20 mg, 50 mg; cps. 100 mg; suppos. 50 mg, 100 mg (as dihydrochloride)

Trade Name(s):

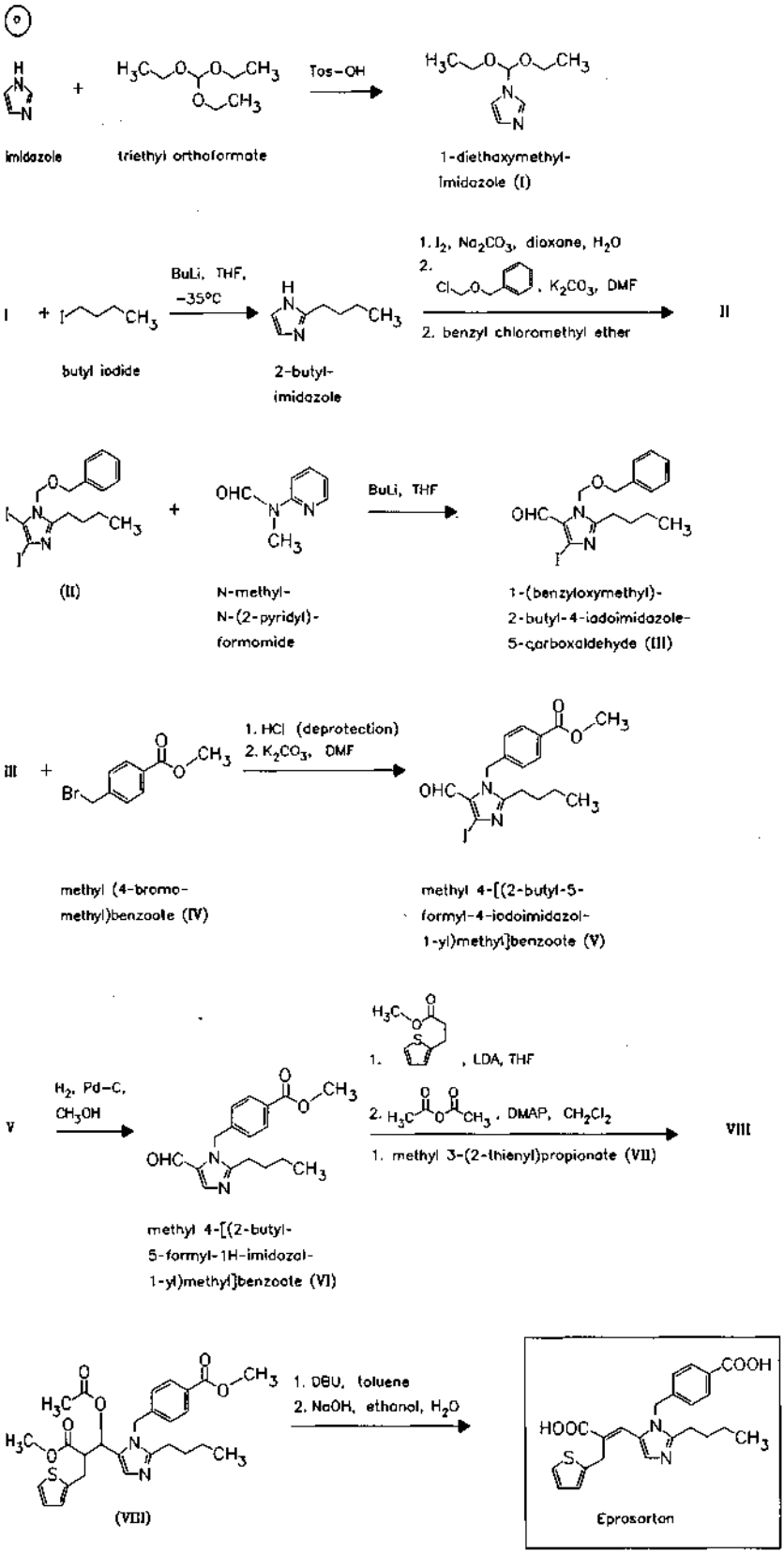
D:	Eftapan (Merckle)	I:	Mucitux (Recordati); wfm
F:	Mucitux (Riom)	J:	Resplen (Chugai)

Eprosartan
(SKB 108566; SKF 108566)

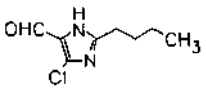
ATC: C09CA02
Use: antihypertensive, angiotensin II antagonist

RN: 133040-01-4 MF: $C_{23}H_{24}N_2O_4S$ MW: 424.52
CN: (*E*)-α-[[2-Butyl-1-[(4-carboxyphenyl)methyl]-1*H*-imidazol-5-yl]methylene]-2-thiophenepropanoic acid

mesylate
RN: 144143-96-4 MF: $C_{23}H_{24}N_2O_4S \cdot CH_4O_3S$ MW: 520.63

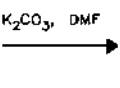

Imidazole
triethyl orthoformate
Tos–OH
1-diethoxymethyl-imidazole (I)
BuLi, THF, −35°C
butyl iodide
2-butyl-imidazole
1. I_2, Na_2CO_3, dioxane, H_2O
2. K_2CO_3, DMF
2. benzyl chloromethyl ether
II
(II)
N-methyl-N-(2-pyridyl)-formomide
BuLi, THF
1-(benzyloxymethyl)-2-butyl-4-iodoimidazole-5-carboxaldehyde (III)
1. HCl (deprotection)
2. K_2CO_3, DMF
methyl (4-bromomethyl)benzoate (IV)
methyl 4-[(2-butyl-5-formyl-4-iodoimidazol-1-yl)methyl]benzoate (V)
H_2, Pd–C, CH_3OH
methyl 4-[(2-butyl-5-formyl-1H-imidazol-1-yl)methyl]benzoate (VI)
1. , LDA, THF
2. , DMAP, CH_2Cl_2
1. methyl 3-(2-thienyl)propionate (VII)
VIII
(VIII)
1. DBU, toluene
2. NaOH, ethanol, H_2O
Eprosartan

(b)

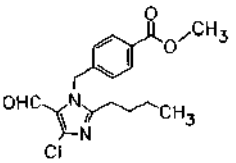


2-butyl-4-chloro-imidazole-5-carboxaldehyde

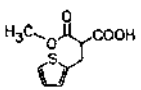
+ IV



K_2CO_3, DMF



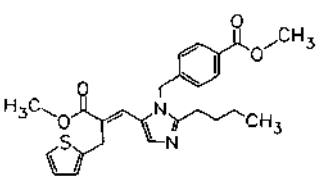
methyl 4-[(2-butyl-4-chloro-5-formylimidazol-1-yl)methyl]benzoate (IX)



monomethyl 2-(2-thienylmethyl)-malonate (X)

IX H_2, Pd–C, CH_3OH → VI

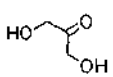
piperidine/pyridine, toluene → XI



(X)

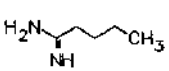
KOH, ethanol →

(c)

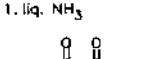


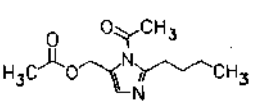
1,3-dihydroxyacetone

+



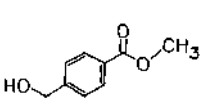
pentanamidine

1. liq. NH_3
2. 

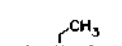


5-(acetoxymethyl)-1-acetyl-2-butylimidazole (XII)

XII +

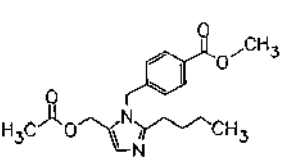


methyl 4-(hydroxymethyl)benzoate

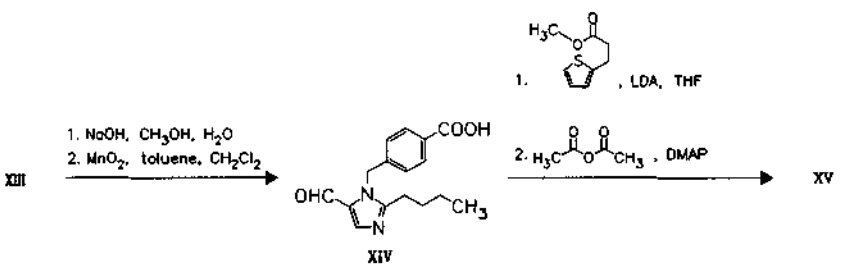


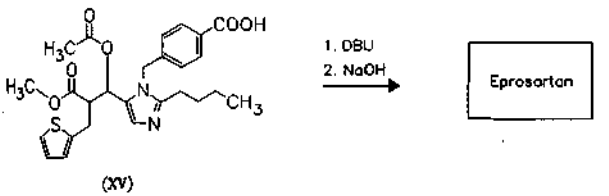
$(CF_3CO)_2O$, CH_2Cl_2

trifluoroacetic anhydride, DIPEA

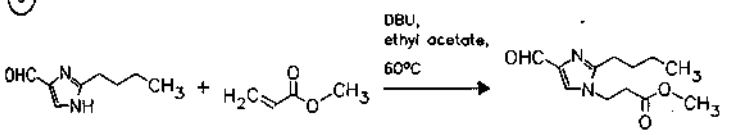


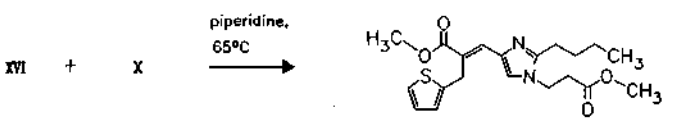
methyl 4-[[5-acetoxymethyl)-2-butylimidazol-1-yl]methyl]-benzoate (XIII)

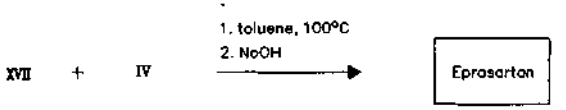




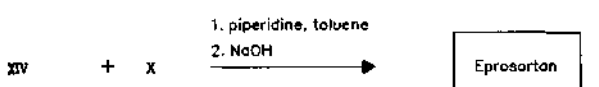
(d)



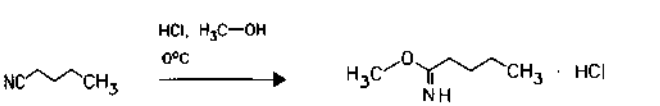


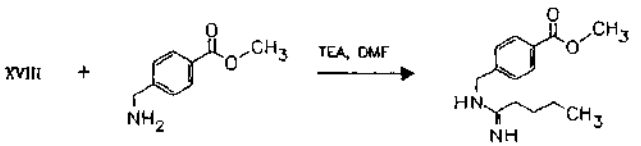


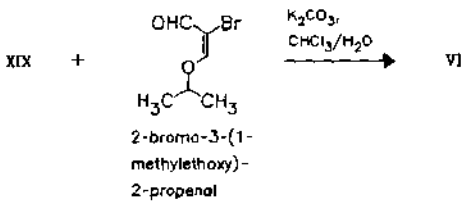
(e)



(f) alternative synthesis of VI







Reference(s):

a Wittenberger, S.J. et al.: Synth. Commun. (SYNCAV) **23**, 3231 (1993).
Keenan, R.M. et al.: J. Med. Chem. (JMCMAR) **36**, 1880 (1993).
EP 403 159 (SmithKline Beecham; appl. 7.6.1990; USA-prior. 14.6.1989).

b Weinstock, J. et al.: J. Med. Chem. (JMCMAR) **34**, 1514 (1991).

c US 5 185 351 (SmithKline Beecham; 9.2.1993; USA-prior. 14.6.1989; 6.4.1990; 14.12.1990).

d WO 9 835 962 (SmithKline Beecham; appl. 13.2.1998; USA-prior. 14.2.1997)

e WO 9 835 963 (SmithKline Beecham; appl. 13.2.1998; USA-prior. 14.2.1997).

f Shilera, S.C. et al.: J. Org. Chem. (JOCEAH) **62**, 8449 (1997).

Eprosartan dihydrate:
WO 9 736 874 (SmithKline Beecham; appl. 26.3.1997; USA-prior. 29.3.1996).

combination with ACE inhibitors:
EP 629 408 (MS Dohme-Chibret; appl. 14.12.1993; EP-prior. 16.6.1993).
WO 9 702 032 (Merck + Co.; appl. 26.6.1996; USA-prior. 30.6.1995).

pharmaceutical compositions and use in the treatment of macular degeneration, infarction, left ventricular hypertrophy:
WO 9 210 179 (SmithKline Beecham; appl. 12.12.1991; GB-prior. 14.12.1990).
WO 9 210 180 (SmithKline Beecham; appl. 12.12.1991; GB-prior. 14.12.1990).
WO 9 210 181 (SmithKline Beecham; appl. 12.12.1991; GB-prior. 14.12.1990).

use in the treatment of diabetic nephropathy, retinopathy, atheroma, angina pectoris, stroke or prevention of restenosis or improving cognitive function:
WO 92 101 82-88 (SmithKline Beecham; appl. 12.12.1991; GB-prior. 14.12.1990).

use to treat symptomatic heart failure:
WO 9 830 216 (Merck + Co.; appl. 7.1.1998; USA-prior. 10.1.1997).

Formulation(s): f. c. tabl. 300 mg, 400 mg, 600 mg

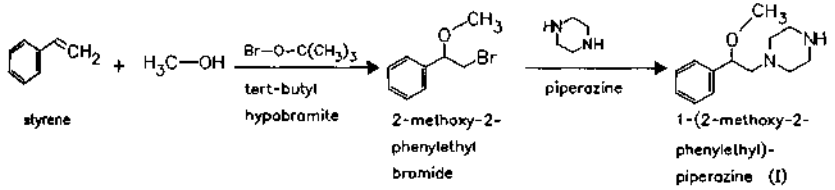
Trade Name(s):

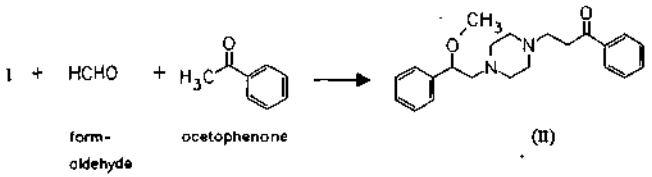
D:	Teveten (Hoechst Marion Roussel; SmithKline Beecham)	GB:	Teveten (SmithKline Beecham; 1997)	USA:	Teveten (SmithKline Beecham)

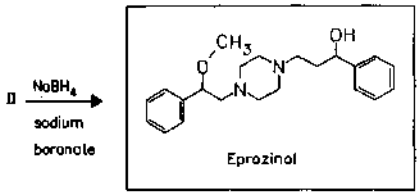
Eprozinol

ATC: R03DX02
Use: antiasthmatic

RN: 32665-36-4 MF: $C_{22}H_{30}N_2O_2$ MW: 354.49 EINECS: 251-146-9
LD_{50}: 350 mg/kg (M, p.o.);
640 mg/kg (R, p.o.)
CN: 4-(2-methoxy-2-phenylethyl)-α-phenyl-1-piperazinepropanol







Reference(s):
GB 1 188 505 (Roland-Yves Mauvernay; appl. 27.6.1966; valid from 27.6.1967).
US 3 705 244 (Roland-Yves Mauvernay; 5.12.1972; GB-prior. 27.6.1966).

Formulation(s): suppos. 100 mg, 25 mg; syrup 15 mg/5 ml; tabl. 50 mg

Trade Name(s):
F: Eupnéron (Lyocentre) Eupnéron xantique (Lyocentre) I: Brovel (Lepetit)

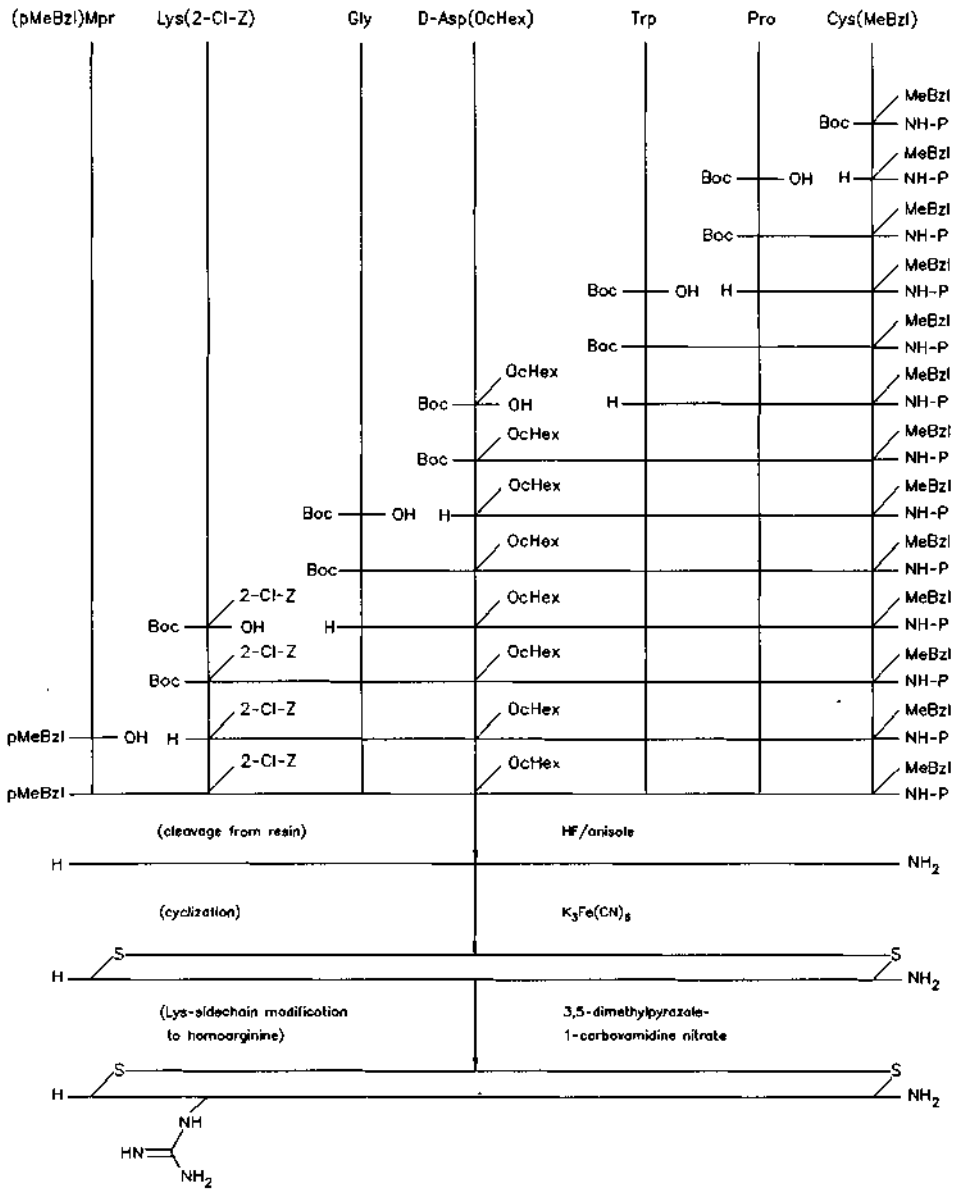
Eptifibatide

(C 68-22; SB-1; Sch-60936; Intrifiban)

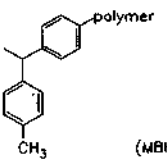
ATC: B01AC16
Use: platelet antiaggregatory, GPIIb/ receptor antagonist, fibrinogen receptor antagonist

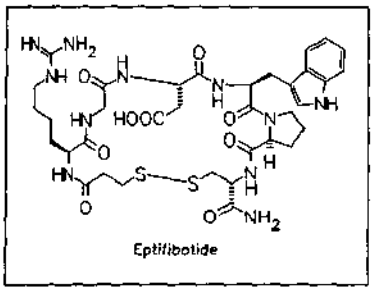
RN: 188627-80-7 MF: $C_{35}H_{49}N_{11}O_9S_2$ MW: 831.98
CN: N^6-(Aminoiminomethyl)-N^2-(3-mercapto-1-oxopropyl)-L-lysylglycyl-L-α-aspartyl-L-tryptophyl-L-prolyl-L-cysteinamide cyclic (1→6)-disulfide

(a) solid-phase synthesis:

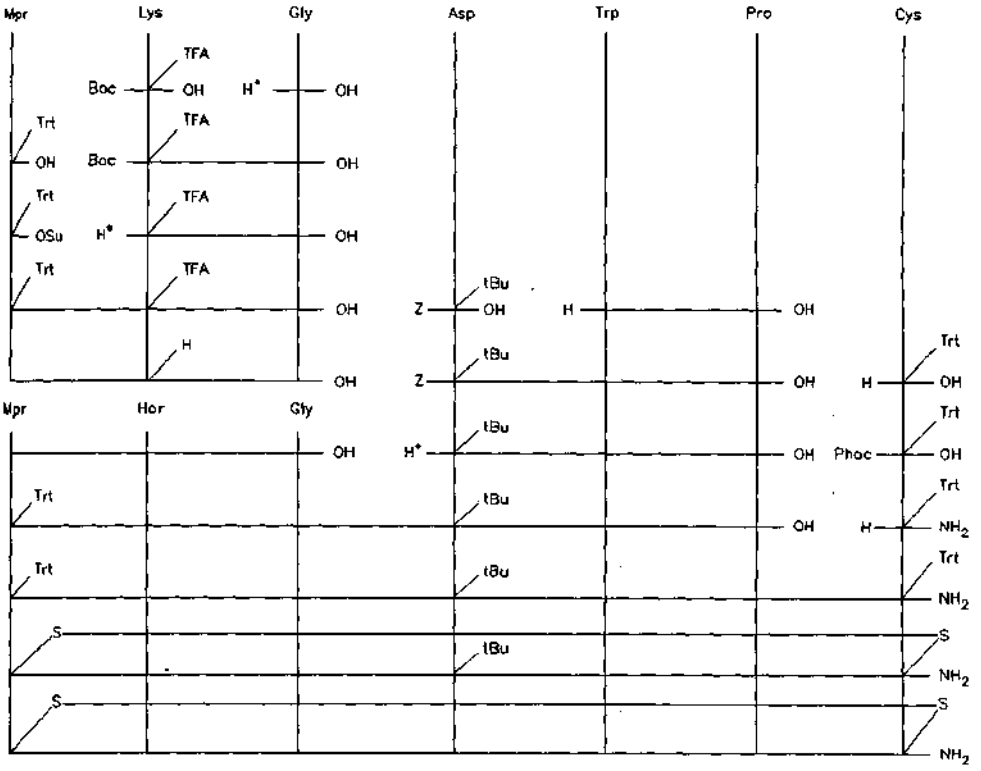


Mpr:	mercaptopropionic acid
pMeBzl:	p-methylbenzyl
MeBzl:	toluenyl
2-Cl-Z:	2-chlorobenzyloxycarbanyl
OcHex:	cyclohexyloxy
Boc:	tert-butoxycarbonyl

P:  (MBHA-resin)



(b) fragment synthesis in solutions:



Mpr:	mercaptopropionic acid
Hor:	homoarginine
* :	persilylation
Phoc:	phenyloxycarbonyl
Trt:	trityl
OSu	succinimidooxy
TFA:	trifluoroacetyl
Boc:	tert-butoxycarbonyl
Z:	benzyloxycarbonyl
tBu:	tert-butyl

Reference(s):

a Scarborough, R.M., et al: J. Biol. Chem. (JBCHA3) **268**, 1066-1073 (1993).
WO 9 015 620 (Cor Therap.; appl. 15.6.1990; USA-prior. 20.2.1990).
b Callens, R.: IBC's 2nd Internat. Conf. on Peptide Technologies San Diego 1999.

Formulation(s): vials for inj. 20 mg/10 ml, 75 mg/10 ml

Trade Name(s):
USA: Integrilin (Cor Therapeutics/Schering-Plough; 1998)

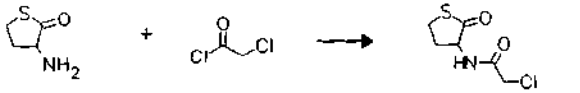
Erdosteine

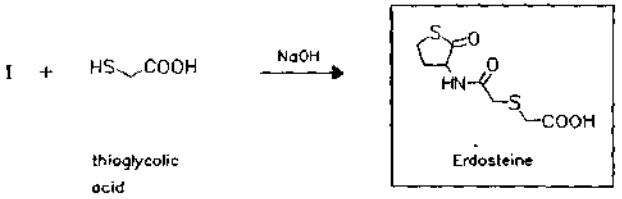
(RV-144)

ATC: R05CB15
Use: mucolytic agent

RN: 84611-23-4 MF: $C_8H_{11}NO_4S_2$ MW: 249.31
LD_{50}: >3.5 g/kg (M, i.v.); >10 g/kg (M, p.o.); >3.5 g/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: (±)-[[2-oxo-2-[(tetrahydro-2-oxo-3-thienyl)amino]ethyl]thio]acetic acid

monopotassium salt
RN: 84611-25-6 MF: $C_8H_{10}KNO_4S_2$ MW: 287.40
monosodium salt
RN: 84611-24-5 MF: $C_8H_{10}NNaO_4S_2$ MW: 271.29
(S)-enantiomer
RN: 159701-33-4 MF: $C_8H_{11}NO_4S_2$ MW: 249.31





Reference(s):
EP 61 386 (Refarmed Rech. Pharm.; appl. 11.3.1982; F-prior. 19.3.1981).

combination with antibiotics:
DE 3 509 244 (Edmond Pharma; appl. 14.3.1985; I-prior. 14.3.1984).

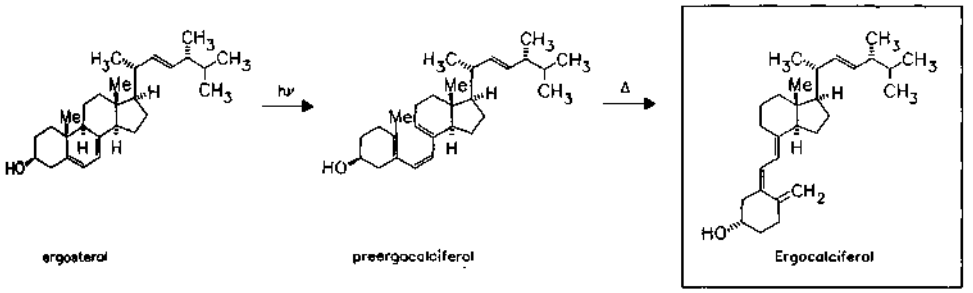
Formulation(s): cps. 300 mg

Trade Name(s):
F: Edirel (Inava) Vectrine (Pharma 2000)

Ergocalciferol
(Vitamin D; Calciferol)

ATC: A11CC01
Use: antirachitic

RN: 50-14-6 MF: $C_{28}H_{44}O$ MW: 396.66 EINECS: 200-014-9
LD_{50}: 23.7 mg/kg (M, p.o.);
10 mg/kg (R, p.o.)
CN: (3β,5*Z*,7*E*,22*E*)-9,10-secoergosta-5,7,10(19),22-tetraen-3-ol



Reference(s):
Kirk-Othmer Encycl. Chem. Technol., Vol. **21**, 549 ff.
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **18**, 236 ff.

Formulation(s): 200 iu, 400 iu in comb.

Trade Name(s):
D: Cal-C-Vita (Hoffmann-La Roche)-comb.
Cobidec (Parke Davis)-comb.
Frubiase (Boehringer Ing.)-comb.
Geriatric (Pharmaton)-comb.
Lofenalac (Lappe)-comb.
Multiviol (Hermes)-comb.
Natabec (Warner-Lambert)-comb.
Omnival (Nordmark)-comb.
Osspulvit (Madaus)-comb.
Pregnavit (Merckle)-comb.
Savitol (Medipharma)
Vitalipid (Pharmacia & Upjohn)-comb.
further combination preparations
F: Azedavit (Whitehall)-comb.
Dossibil (Thérica)-comb.
Pharmaton (Boehringer Ing.)-comb.
Stérogyl (Roussel)
Stérogyl 15 (Roussel)
Vitalipide (Pharmacia & Upjohn)-comb. with vitamin A
Zyma D2 (Novartis)
numerous combination preparations
GB: Abidec (Warner-Lambert)-comb.
Dalivit (Eastern)-comb.
numerous combination preparations
I: Ostelin-800 (Teofarma) combination preparations
J: Chocola D (Eisai)
USA: Calciferol (Schwarz)
further combination preparations

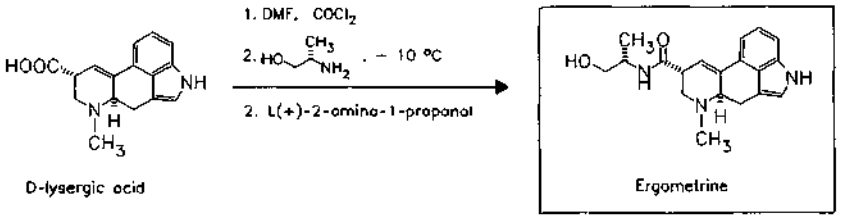
Ergometrine
(Ergobasine; Ergonovine)

ATC: G02AB03
Use: oxytocic

RN: 60-79-7 MF: $C_{19}H_{23}N_3O_2$ MW: 325.41 EINECS: 200-485-0
LD_{50}: 144 mg/kg (M, i.v.)
CN: [8β(*S*)]-9,10-didehydro-*N*-(2-hydroxy-1-methylethyl)-6-methylergoline-8-carboxamide

maleate (1:1)
RN: 129-51-1 MF: $C_{19}H_{23}N_3O_2 \cdot C_4H_4O_4$ MW: 441.48 EINECS: 204-953-5
LD_{50}: 8260 µg/kg (M, i.v.)

tartrate (2:1)
RN: 129-50-0 MF: $C_{19}H_{23}N_3O_2 \cdot 1/2C_4H_6O_6$ MW: 800.91 EINECS: 204-951-4



Reference(s):
Stoll, A.; Hofmann, A.: Helv. Chim. Acta (HCACAV) **26**, 956 (1943).
US 2 090 430 (Sandoz; 1937; CH-prior. 1936).
US 2 447 214 (Sandoz; 1948; CH-prior. 1942).
US 2 736 728 (Lilly; 1956; appl. 1954).
US 2 774 763 (Lilly; 1956; appl. 1955).
US 2 809 920 (Sandoz; 1957; CH-prior. 1953).
US 3 141 887 (Soc. Farmaceutici Italia; 21.7.1964; I-prior. 18.10.1961).

Formulation(s): sol. 50 mg/100 ml (as maleate)

Trade Name(s):

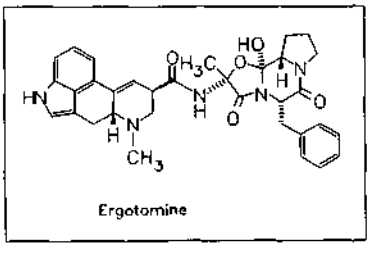
D:	Secalysat-EM (Ysatfabrik)		Ermetrin (Takeda)	Ergotrate Maleate (Lilly); wfm
GB:	Syntometrine (Novartis)	USA:	Ergonovine Maleate (Bioline; City Chem.; Goldline; Wyeth); wfm	
J:	Ergoton-B (Azusa-Tokyo Tanabe)			
	Ergotop (Hishiyama)			

Ergotamine

ATC: N02CA02
Use: antimigraine agent

RN: 113-15-5 MF: $C_{33}H_{35}N_5O_5$ MW: 581.67 EINECS: 204-023-9
LD_{50}: 52 mg/kg (M, i.v.);
80 mg/kg (R, i.v.)
CN: (5'α)-12'-hydroxy-2'-methyl-5'-(phenylmethyl)ergotaman-3',6',18-trione

tartrate (2:1)
RN: 379-79-3 MF: $C_{33}H_{35}N_5O_5 \cdot 1/2C_4H_6O_6$ MW: 1313.43 EINECS: 206-835-9
LD_{50}: 62 mg/kg (M, i.v.);
80 mg/kg (R, i.v.)



By extraction of *Secale cornutum* (ergot) with e. g. benzene (1. step: extraction of the neutral substances from the slightly acidic cellular substance; 2. step: extraction of the ammonia alkaline substance).

Fermentation of *Claviceps purpurea.*

Reference(s):
Stoll, A.: Helv. Chim. Acta (HCACAV) **28**, 1283 (1945).

Formulation(s): cps. 1 mg; suppos. 2 mg; tabl. 1 mg, 2 mg (as tartrate)

Trade Name(s):

D: Avamigran (ASTA Medica AWD)-comb.
Cafergot (Novartis Pharma)
Ergoffin (ASTA Medica AWD)-comb.
Ergo-Kranit (Krewel Meuselbach)
ergo-sanol (Sanol)
Ergotamin Medihaler (Kettelhack-Riker)
Gynergen (Sandoz)
Migrätan (Berlin-Chemie)-comb.
Migrexa (Sanorania)
RubieNex (RubiePharm)-comb.
numerous combination preparations

F: Gynergène (Novartis)-comb.
Migwell (Glaxo Wellcome)-comb.

GB: Cafergot (Novartis)-comb.
Lingraine (Sanofi Winthrop)
Medihaler-Ergotamine (3M Health Care)
Migril (Glaxo Wellcome)-comb.

I: Cafergot (Sandoz)-comb.
Ergota (Sifra)
Ergotan (Salf)
Gynergen (Sandoz)
Virdex (Fulton)-comb.

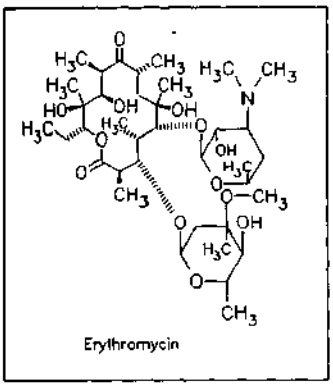
J: Cafergot (Sandoz-Sankyo)-comb.
Ergoton A (Azusa-Tokyo Tanabe)
Migretamine (Hokuriku)

USA: Ercaf (Geneva)
Ergomar (Lotus; as tartrate)
Wigraine (Organon)

Erythromycin

ATC: D10AF02; D10AF52; J01FA01; S01AA17
Use: antibiotic

RN: 114-07-8 MF: $C_{37}H_{67}NO_{13}$ MW: 733.94 EINECS: 204-040-1
LD_{50}: 426 mg/kg (M, i.v.); 2580 mg/kg (M, p.o.); 4600 mg/kg (R, p.o.)
CN: [3*R*-(3*R**,4*S**,5*S**,6*R**,7*R**,9*R**,11*R**,12*R**,13*S**,14*R**)]-4-[(2,6-dideoxy-3-*C*-methyl-3-*O*-methyl-α-L-*ribo*-hexopyranosyl)oxy]-14-ethyl-7,12,13-trihydroxy-3,5,7,9,11,13-hexamethyl-6-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-*xylo*-hexopyranosyl]oxy]oxacyclotetradecane-2,10-dione


Erythromycin

From fermentation solutions of *Streptomyces erythreus.*

Reference(s):
US 2 653 899 (Lilly; 1953; prior. 1952).
US 2 823 203 (Abbott; 1958; appl. 1954).
US 2 833 696 (Abbott; 6.5.1958; prior. 1.3.1954).

Formulation(s): cps. 250 mg; f. c. tabl. 250 mg, 500 mg; gel 0.5 mg/100 g, 1 g/100 g, 2 g/100 g (2 %), 4 g/100 g; sol. 0.2 g/10 g, 1.68 g/100 ml; spray 20 mg/ml; s. r. tabl. 250 mg, 333 mg, 500 mg; suppos. 250 mg (as free base)

Trade Name(s):

D:
Akne Cordes (Ichthyol)
Aknederm (gepepharm)
Aknefug-EL (Wolff)
Aknemago (Strathmann)
Aknemycin (Hermal)
Aknin-Winthrop (Sanofi Winthrop)
Bisolvonat (Thomae)-comb.
Eromerzin (Merrell)-comb.
Eryaknen (Galderma)
Erybeta (betapharm)
Erycinum (Cytochemie)
Erycinum (Schering)
Erydermec (Hexal)
Ery-Diolan (Engelhardt)
Eryhexal (Hexal)
ERY-REU (Reusch)
Erythrogenat (Azupharma)
Erythro Hefa (Hefa Pharma)
Eupragin (Alcon)
Infectomycin (Infectopharm)
Lederpaedit (Lederle)
Monomycin (Grünenthal)
Paediathrocin (Abbott)
Paediathrocin Suppositorien (Abbott)
Pharyngocin (Upjohn)
Sanasepton (Pharbita)
Stiemycine (Stiefel)
Synergomycin (Abbott)-comb.
Udima-Ery (Dermapharm)
generic

F:
Ery (Bouchara; as propionate)
Eryfluid (Pierre Fabre)
Logécine (Jacques Logeais)
Propiocine (Roussel; as propionate)
Stimycine (Stiefel)
numerous generics

GB:
Benzamycin (Bioglan)
Erymax (Elan)
Erythrocin (Abbott); wfm
Erythromid (Abbott); wfm
Erythroped (Abbott); wfm
Ilotycin (Lilly); wfm
Retcin (DDSA); wfm

I:
Cicloeritrina (Proter)-comb.; wfm
Erimec (Isola-Ibi); wfm
Eritro (Formulario Naz.)
Eritrobios (Nuovo Cons. Sanit. Naz.); wfm
Eritrobiotic (Panther-Osfa Chemie); wfm
Estomicina (Bergamon Soc. It.); wfm
Ilosone (Lilly); wfm
Lauromicina (Dukron)-comb.; wfm
Manilina (Archifar); wfm
Marocid (Lifepharma); wfm
Mistral (Dessy); wfm
Mucolysin (Proter)-comb.; wfm
Neobalsamocetina Supposte (Alfa Farm.)-comb.; wfm
Neobismocetina (Lepetit)-comb.; wfm
Proterytrin (Proter); wfm
Proterytrin pomata (Proter)-comb.; wfm
Stellamicina (Pierrel); wfm

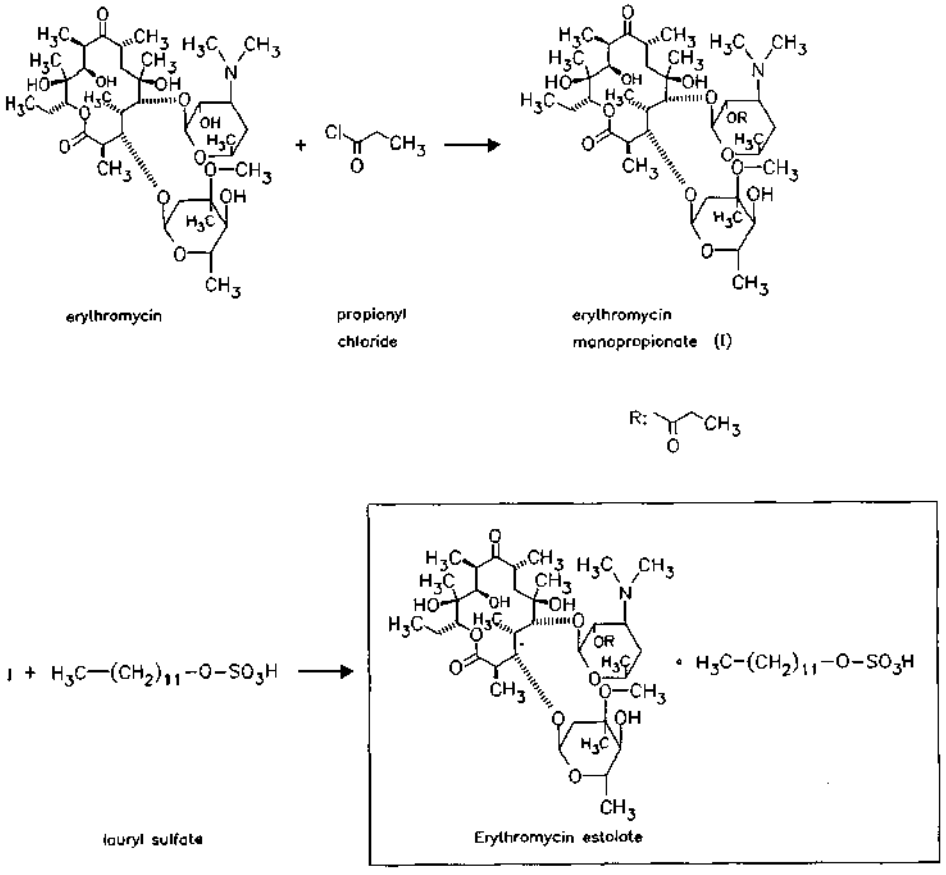
J:
Erythrocin (Dainippon; Abbott)
Ilotycin (Shionogi)

USA:
A/T/S (Hoechst Marion Roussel)
Benzamycin (Dermik)
Emgel (Glaxo Wellcome)
Eryc (Warner Chilcott Professional Products)
Erycette (Ortho Dermatological)
Erygel (Allergan)
Erymax (Allergan)
Ery-Tab (Abbott)
Erythra-Derm (Paddock)
Ilotycin (Dista)
PCE (Abbott)
T-Stat (Westwood-Squibb)
Theramycin Z (Medicis)
generic and combination preparations

Erythromycin estolate

ATC: D10AF02; D10AF52; J01FA01; S01AA17
Use: antibiotic

RN: 3521-62-8 MF: $C_{40}H_{71}NO_{14} \cdot C_{12}H_{26}O_4S$ MW: 1056.40 EINECS: 222-532-4
LD_{50}: >6450 mg/kg (M, p.o.); 1447 mg/kg (R, p.o.)
CN: erythromycin 2'-propanoate dodecyl sulfate (salt)



Reference(s):
US 3 000 874 (Eli Lilly; 19.9.1961; prior. 8.4.1959).
DE 1 114 499 (Eli Lilly; 27.6.1959).

Formulation(s): - cleavable tabl. 125 mg, 250 mg; cps. 250 mg; susp. 125 mg/5 ml, 250 mg/5 ml; syrup 250 mg (base equivalent)

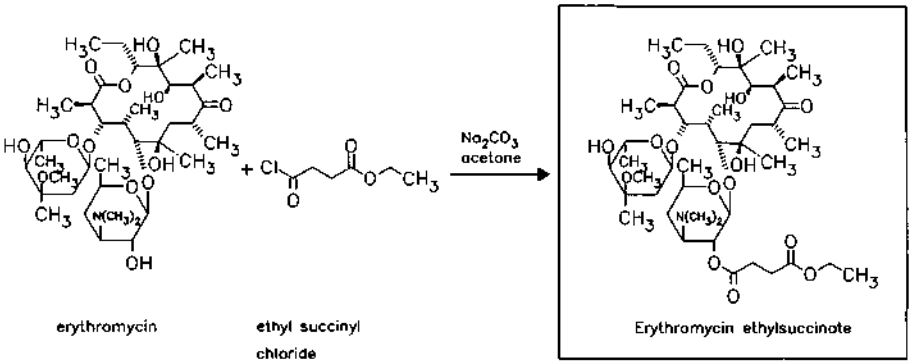
Trade Name(s):

D:	Infectomycin (Infectopharm)		Togiren (Schwarzhaupt); wfm	I:	Ilosone (Lilly)
	Neo-Erycinum (Schering); wfm	F:	Propiocine (Roussel); wfm		Marocid (Lifepharma)
	Sanasepton (Pharbita)		Rubitracine (Takeda)-comb.; wfm		Stellamicina (Pierrel)
		GB:	Ilosone (Lilly)	J:	Ilosone (Shionogi)
				USA:	Ilosone (Dista)

Erythromycin ethylsuccinate

ATC: D10AF02; D10AF52; J01FA01; S01AA17
Use: antibiotic

RN: 1264-62-6 MF: $C_{43}H_{75}NO_{16}$ MW: 862.06 EINECS: 215-033-8
LD_{50}: >10 g/kg (M, p.o.)
CN: erythromycin 2'-(ethyl butanedioate)



Reference(s):
DE 1 121 056 (Abbott; appl. 1957; USA-prior. 1956).

chewing tablets:
DOS 2 758 942 (Abbott; appl. 30.12.1977).

Formulation(s): f. c. tabl. 400 mg; powder 1 g/4.5 g; susp. 125 mg/5 ml; syrup 100 mg/5 ml, 200 mg/5 ml, 400 mg/5 ml, 600 mg/5 ml (base equivalent)

Trade Name(s):

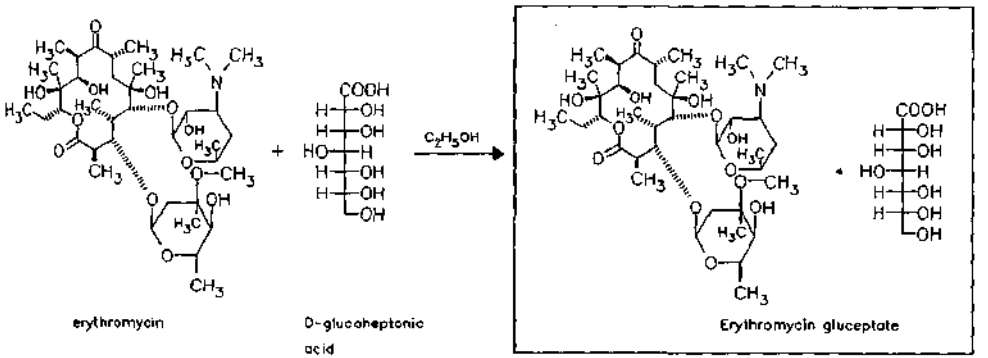
D:	Dura Erythromycin 1000 Granulat (durachemie)		Erythrogram (Pharma 2000)		Rossomicina sosp. (Pierrel); wfm
	Durapaediat (durachemie)	GB:	Arpimycin (Rozemont)	J:	Eryromycen (Kissei)
	Erythrocin Granulat/-Ampullen (Abbott)		Erymin (Elan)		Erythrocin (Abbott-Dainippon)
	Erythromycin-ratiopharm (ratiopharm)		Erythrocin I. M. (Abbott)		Erythro ES (Sankyo)
	Monomycin (Grünenthal)		Erythroped A (Abbott)		Erythromycin ES (Taito Pfizer)
	Paediathrocin (Abbott)	I:	Eritrocina (Abbott)		Esinol (Toyama)
	combination preparations		Eritroger bustine (Isnardi); wfm		Evesin (Torii)
F:	Abboticine (Abbott)		Neobalsamocetina sosp. (Alfa Farm.)-comb.; wfm	USA:	E.E.S. (Abbott)
	Ery 125 e 250 (Bouchara)		Proterytrin (Proter); wfm		Eryped (Abbott)
	Erycocci (Pharmafarm)		Proterytrin cps e i.m. (Proter); wfm		Eryzole (Alra)
	Erythrocine (Abbott)				Pediazole (Ross)

Erythromycin gluceptate

(Erythromycin glucoheptonate)

ATC: J01FA01; S01AA17
Use: antibiotic

RN: 23067-13-2 MF: $C_{37}H_{67}NO_{13} \cdot C_7H_{14}O_8$ MW: 960.12 EINECS: 245-407-6
LD_{50}: 453 mg/kg (M, i.v.);
288 mg/kg (R, i.v.)
CN: D-*glycero*-D-*gulo*-heptonic acid compd. with erythromycin (1:1)



Reference(s):
US 2 852 429 (Lilly; 1958; appl. 1953).
DE 941 640 (Lilly; appl. 1954; USA-prior. 1953).

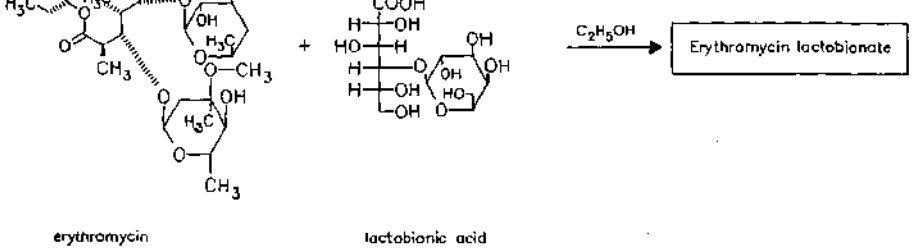
Formulation(s): vial 1 g (base equivalent)

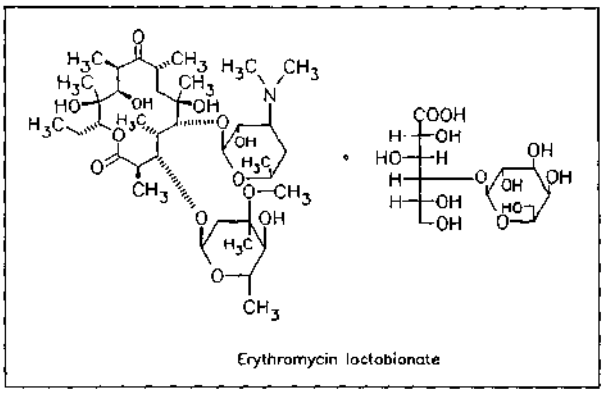
Trade Name(s):
D: Erycinum Trockensubstanz (Schering); wfm USA: Ilotycin gluceptate (Dista)

Erythromycin lactobionate

ATC: J01FA01; S01AA17
Use: antibiotic

RN: 3847-29-8 MF: $C_{37}H_{67}NO_{13} \cdot C_{12}H_{22}O_{12}$ MW: 1092.23 EINECS: 223-348-7
LD_{50}: 735 mg/kg (M, i.p.)
CN: 4-*O*-β-D-galactopyranosyl-D-gluconic acid compd. with erythromycin (1:1)





Reference(s):
US 2 761 859 (Abbott; 1956; appl. 1953).

Formulation(s): vial 500 mg, 1000 mg (base equivalent)

Trade Name(s):

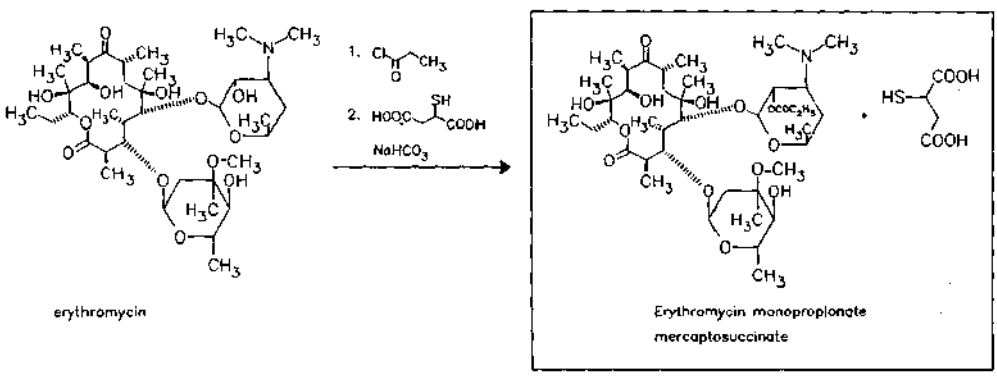
D:	Erythrocin I.V. (Abbott)	I:	Eritro (Formulario Naz.)
GB:	Erythrocin I.V. Lactobionate (Abbott); wfm	J:	Erythromycin (Santen)
		USA:	Erythrocin Lactobionate-I.V. (Abbott); wfm

Erythromycin monopropionate mercaptosuccinate

(RV-11)

ATC: J01FA
Use: macrolide antibiotic

RN: 84252-06-2 MF: $C_{40}H_{71}NO_{14} \cdot C_4H_6O_4S$ MW: 940.16
LD_{50}: >3000 mg/kg (M, p.o.)
CN: erythromycin-2'-propanoate mercaptobutanedioate (1:1)



Reference(s):
EP 57 489 (Pierrel; appl. 2.1.1982; F-prior. 2.2.1981).
EP 174 395 (Pierrel; appl. 2.1.1982; F-prior. 2.2.1982).
US 4 476 120 (Refarmed; 10.9.1984; appl. 2.2.1982; I-prior. 2.2.1981).

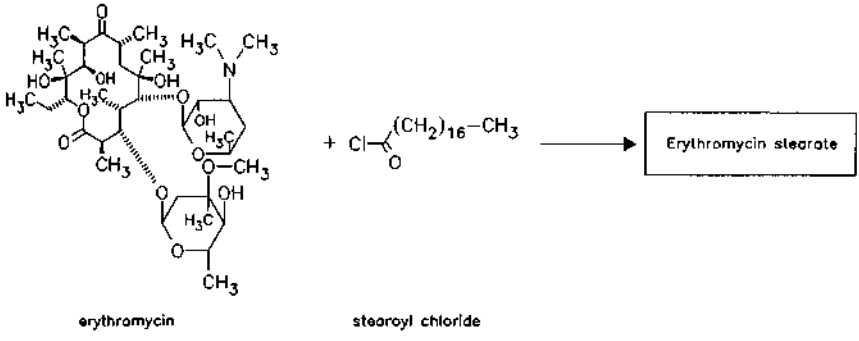
Formulation(s): gran. 200 mg; tabl. 500 mg

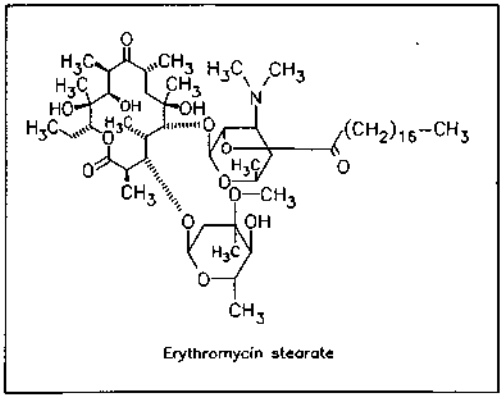
Trade Name(s):
I: Zalig (Pierrel; 1989)

Erythromycin stearate

ATC: D07CC02
Use: antibiotic

RN: 97327-17-8 MF: $C_{55}H_{101}NO_{14}$ MW: 1000.41
LD_{50}: 3112 mg/kg (M, p.o.)
CN: erythromycin 2'-octadecanoate





Reference(s):
US 2 862 921 (Upjohn; 1958; appl. 1953).

Formulation(s): f. c. tabl. 250 mg, 500 mg

Trade Name(s):

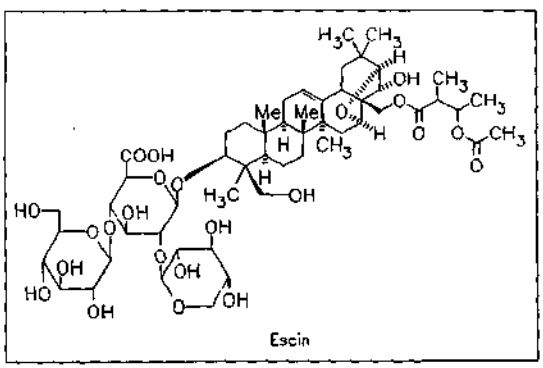
D:	Dura Erythromycin (durachemie)		Emestid 500 (Abbott); wfm	J:	Erythrocin (Abbott-Dainippon)
	Erythrocin Filmtabletten (Abbott)	GB:	Erythrocin (Abbott)	USA:	Erythrocin Stearate (Abbott)
F:	Abboticine (Abbott); wfm	I:	Eritrocina Cpr (Abbott)		generic
			Lauromicina (Lafare)		

Escin
(Aescin)

ATC: C05CX; C05CX01
Use: anti-inflammatory (inhibition of edema formation and decrease of vessel fragility), vein therapeutic

RN: 6805-41-0 MF: $C_{54}H_{84}O_{23}$ MW: 1101.24 EINECS: 229-880-6
LD_{50}: 6.7 mg/kg (M, i.p.); 2 mg/kg (M, i.v.); 165 mg/kg (M, p.o.); 38.59 mg/kg (M, s.c.); 10.15 mg/kg (R, i.p.); 1600 g/kg (R, i.v.); 833 mg/kg (R, p.o.); 150 mg/kg (R, s.c.)
CN: 3,5-epoxypicene escin deriv.

sodium salt
RN: 20977-05-3 MF: unspecified MW: unspecified EINECS: 244-133-4
LD_{50}: 8299 µg/kg (M, i.p.); 4730 mg/kg (M, i.v.); 134 mg/kg (M, p.o.); 92.53 mg/kg (M, s.c.); 9180 µg/kg (R, i.p.); 8131 µg/kg (R, i.v.); 400 mg/kg (R, p.o.); 131 mg/kg (R, s.c.); 9130 µg/kg (g. p., i.v.); 5 mg/kg (rabbit, i.v.)



Extraction of *Aesculus hippocastanum* L. (horse-chestnut) and purification on cation-exchanger (H^+-form), resp. precipitation with cholesterol.

Reference(s):
extraction and purification:
DE 916 664 (Riedel-de Haen; appl. 1952).
DE 950 027 (Klinge; appl. 1951).
DAS 1 034 816 (VEB Arzneimittelwerk Dresden; appl. 1955).
DAS 1 045 597 (Dr. W. Schwabe; appl. 1953).
GB 820 787 (Klinge; appl. 1956).
GB 820 788 (Klinge; appl. 1956).
DE 1 058 208 (Klinge; appl. 1953).
DAS 1 095 989 (Madaus; appl. 11.3.1959).
US 3 163 636 (Klinge; 29.12.1964; D-prior. 14.6.1960).
DAS 1 182 385 (Chem. Fabrik Tempelhof; appl. 29.1.1962).
US 3 238 190 (Madaus; 1.3.1966; prior. 31.1.1961, 23.10.1963).
DOS 1 617 570 (J. Klosa; appl. 13.4.1967).
DOS 1 617 581 (Knoll; appl. 11.8.1967).
DAS 1 617 413 (Klinge; appl. 31.8.1967).
DE 1 667 884 (Knoll; appl. 20.1.1968).
DOS 1 902 608 (Nattermann; appl. 20.1.1969; A-prior. 31.5.1968).
DOS 2 339 760 (Klinge; appl. 6.8.1973).
DAS 2 733 204 (LEK; appl. 22.7.1977; YU-prior. 12.8.1976).

"water soluble" (X-ray amorphous) escin:
DE 1 282 852 (Madaus; appl. 14.12.1962).
DOS 1 902 609 (Nattermann; appl. 20.1.1969).
DOS 2 257 755 (LEK; appl. 24.11.1972; YU-prior. 6.12.1971).
GB 1 550 845 (Madaus; appl. 7.7.1976; D-prior. 11.7.1975).

separation of α- *and* β-escin:
DAS 1 125 117 (Klinge; appl. 14.6.1960).
US 3 110 711 (Klinge; 12.11.1963; D-prior. 14.6.1960).

conversion of β- *into* α-escin:
US 3 450 691 (Klinge; 17.6.1969; appl. 7.6.1967).

Formulation(s): amp. 5 mg (as sodium salt); cps. 2 mg; drg. 10 mg, 15 mg, 20 mg; gel 1 g/100 g-comb.; s. r. drg. 40 mg

Trade Name(s):

D:
Essaven (Nattermann)-comb.
Galleb forte (Hoyer)-comb.
Heweven (Hevert)-comb.
Opino, Gel (Troponwerke)-comb.
Opino retard (Troponwerke)-comb.
Opino spezial (Troponwerke)-comb.
Pe-Ce Ven (Terra-Bio-Chemie)-comb.
Proveno (Madaus)-comb.
Reparil (Madaus)
Revicain (Wiedemann)-comb.
Veno Kattwiga (Kattwiga)-comb.
Venoplant (Schwabe)-comb.
Venostasin (Klinge)-comb.

F:
Flogencyl (Parke Davis)
Reparil (Madaus)
numerous combination preparations

I:
Bres (Farmacologico Milanese)-comb.
Dermocinetic (Irbi)-comb.
Essaven (Nattermann)-comb.
Etascin (Rorer)-comb.
Opino (Bayropharm)-comb.
Premium (SIT)-comb.
Rectoreparil (IBI)-comb.
Reparil (IBI)-comb.
Somatoline (Manetti Roberts)-comb.
Tioscina (Inverni della Beffa)-comb.

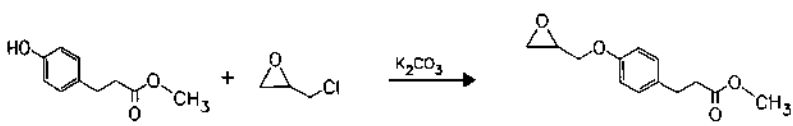
J:
Tochief (Ohta)
Tochikinon (Toho)
Yochimin (Choseido-Seiyaku)

Esmolol

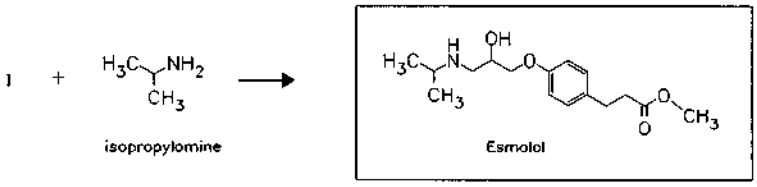
ATC: C07AB09
Use: anti-arrhythmic, β-adrenoceptor antagonist, perioperative prophylactic use in supraventricular tachycardia

RN: 81147-92-4 MF: $C_{16}H_{25}NO_4$ MW: 295.38
CN: (±)-4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]benzenepropanoic acid methyl ester

hydrochloride
RN: 81161-17-3 MF: $C_{16}H_{25}NO_4 \cdot HCl$ MW: 331.84
LD_{50}: 93 mg/kg (M, i.v.);
71 mg/kg (R, i.v.);
32 mg/kg (dog, i.v.)



methyl 3-(4-hydroxyphenyl)propionate + epichlorohydrin → methyl 3-[4-(2,3-epoxypropoxy)phenyl]propionate (I)



Reference(s):
EP 41 491 (Hässle; appl. 27.5.1981; S-prior. 2.6.1980).
EP 53 435 (American Hospital Supply; appl. 29.10.1981; USA-prior. 28.11.1980).
Erhardt, P.W. et al.: J. Med. Chem. (JMCMAR) **25**, 1408 (1982).
US 4 387 103 (American Hospital Supply; 7.6.1983; prior. 28.11.1980).

injectable formulation:
US 4 857 552 (Du Pont; 15.8.1989; prior. 8.6.1988).
US 4 593 119 (American Hospital Supply; 3.6.1986; prior. 28.11.1980).

alternative synthesis:
ES 549 138 (Sune Coma; appl. 21.11.1985).

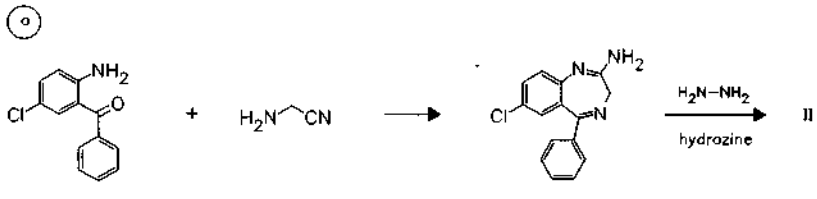
Formulation(s): amp. 2.5 g/10 ml; vial 100 mg/10 ml (as hydrochloride)

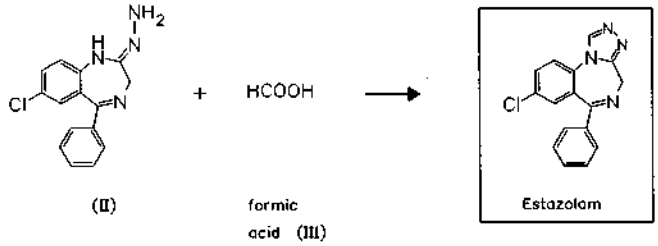
Trade Name(s):
D: Brevibloc (Baxter) F: Brevibloc (Isotec; 1989) USA: Brevibloc (Ohmeda; 1987)

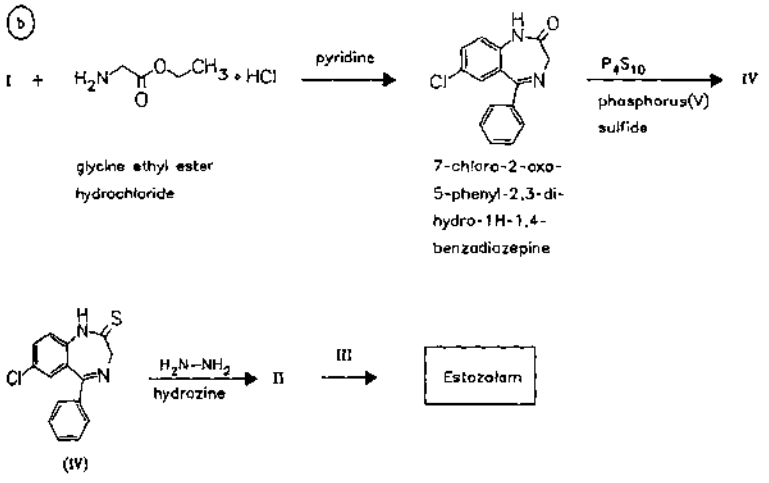
Estazolam

ATC: N05CD04
Use: hypnotic, sedative, tranquilizer

RN: 29975-16-4 MF: $C_{16}H_{11}ClN_4$ MW: 294.75 EINECS: 249-982-4
LD_{50}: 600 mg/kg (M, p.o.);
2500 mg/kg (R, p.o.)
CN: 8-chloro-6-phenyl-4*H*-[1,2,4]triazolo[4,3-*a*][1,4]benzodiazepine







Reference(s):
US 3 701 782 (Upjohn; 31.10.1972; prior. 10.2.1972).
Hester, J.B. et al.: J. Med. Chem. (JMCMAR) **14**, 1078 (1971).
US 4 116 956 (Takeda; 26.9.1978; J-prior. 5.11.1968, 17.12.1968, 25.12.1968, 13.2.1968).
DOS 1 955 349 (Takeda; appl. 4.11.1969; J-prior. 5.11.1968).
DOS 1 965 894 (Takeda; appl. 4.11.1969; J-prior. 5.11.1968, 17.12.1968, 25.12.1968, 13.2.1969).
DOS 2 012 190 (Upjohn; appl. 14.3.1970; USA-prior. 17.3.1969).
US 3 987 052 (Upjohn; 19.10.1976; prior. 17.3.1969, 29.10.1969).
DOS 2 114 441 (Takeda; appl. 25.3.1971; J-prior. 27.3.1970, 23.4.1970, 28.5.1970).
US 4 102 881 (Takeda; 25.7.1978; J-prior. 27.3.1970, 23.4.1970, 28.5.1970).
DOS 2 302 525 (Upjohn; appl. 19.1.1973; USA-prior. 31.1.1972).

review:
Schulte, E.: Dtsch. Apoth. Ztg. (DAZEA2) **115**, 1253, 1828 (1975).

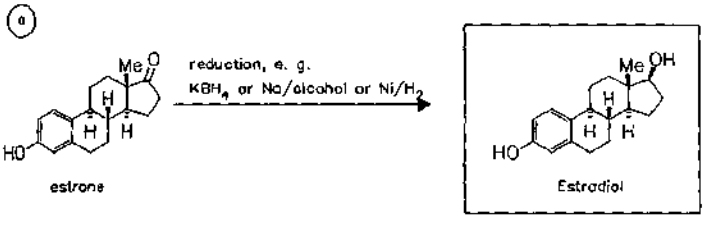
Formulation(s): tabl. 1 mg, 2 mg

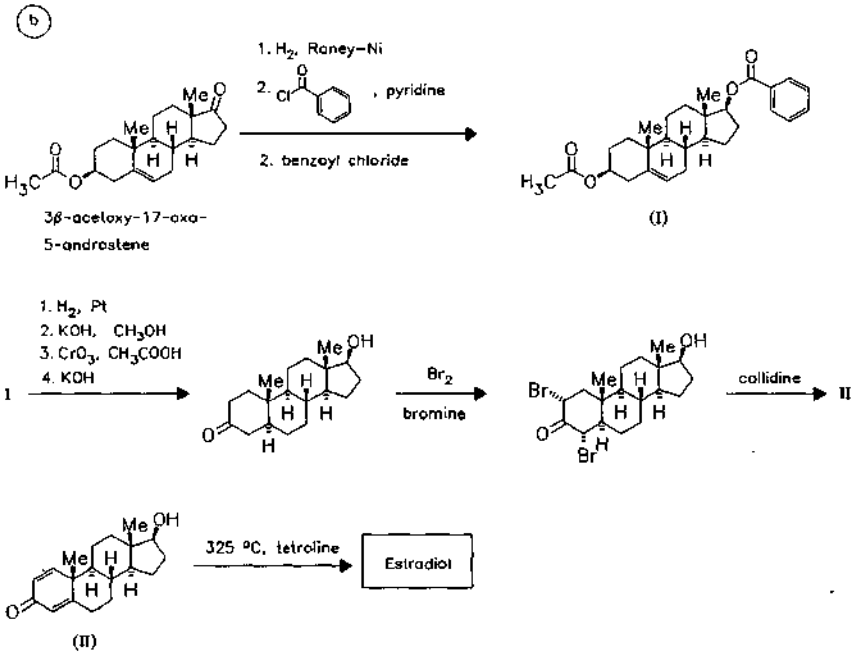
Trade Name(s):
F: Nuctalon (Cassenne; 1978) I: Esilgan (Cyanamid; 1983); wfm J: Eurodin (Takeda; 1975)
USA: ProSom (Abbott)

Estradiol
(Oestradiol)

ATC: G03CA03
Use: estrogen

RN: 50-28-2 MF: $C_{18}H_{24}O_2$ MW: 272.39 EINECS: 200-023-8
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol





Reference(s):

a Ehrhart, Ruschig, **III**, 317.
US 2 096 744 (Schering Corp.; 1937; D-prior. 1932).
DRP 698 796 (Schering AG; appl. 1932).

b Inhoffen, H.H.; Zühlsdorff, G.: Ber. Dtsch. Chem. Ges. (BDCGAS) **74**, 1911 (1941).
US 2 361 847 (Schering Corp. 1944; D-prior. 1937).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 657.

starting material:
The Merck Index, 12th Ed., 630 (1996).

alternative syntheses:
GB 485 388 (Lab. Franç. de Chimiothérapie; appl. 1936).
US 2 225 419 (Schering Corp.; 1940; D-prior. 1937).
US 3 128 238 (Lilly; 7.4.1974; appl. 14.9.1962).

total synthesis:
Eder, U. et al.: Chem. Ber. (CHBEAM) **109**, 2948 (1976).

Formulation(s): gel 0.5 mg/g, 1 mg/g; tabl. 2 mg, 4 mg; transdermal plaster 0.75 mg, 1.5 mg, 2 mg, 3 mg, 4 mg, 8 mg; vaginal tabl. 0.025 mg

Trade Name(s):

D: Aknefug Emulsion (Wolff)-comb.
Cerella (Asche)
Crinohermal fem. (Hermal)-comb.
Cutanum (Jenapharm)
DERMESTRIL (Opfermann)
Estracomb TTS (Novartis Pharma)-comb.
Estraderm (Novartis Pharma)
Estramon (Hexal)
Estrifam /-forte (Novo Nordisk; Rhône-Poulenc Rorer)
ESTRING (Pharmacia & Upjohn)
Evorel (Janssen-Cilag)
Fem7 (Merck)
Kliogest (Novo Nordisk; Rhône-Poulenc Rorer)-comb.
Linoladiol (Wolff)-comb.
Linoladiol-H (Wolff)-comb.
Menorest (Novo Nordisk; Rhône-Poulenc Rorer)
Osmil (Novartis Pharma)-comb.
Sandrena (Organon)
Sisare Gel (Nourypharma)
Tradelia (Sanofi Winthrop)
Trisequens (Novo Nordisk; Rhône-Poulenc Rorer)-comb.

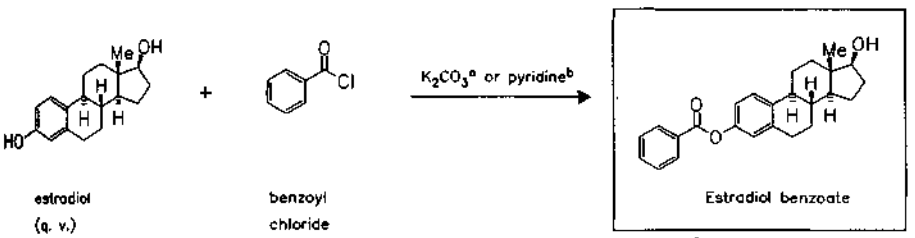
	Vagifem (Novo Nordisk; Rhône-Poulenc Rorer)	GB:	Cycloprogynova (ASTA Medica)	USA:	Alora (Procter & Gamble)
F:	Estrofem (Novo Nordisk)		Hormonin (Shire)		Climara (Berlex)
	Oestrogel (Besins-Iscovesco)		Trisequens (Novo)-comb.		Estraderm (Novartis)
	Prémarin (Wyeth-Ayerst)-comb.		numerous generics		Estring (Pharmacia & Upjohn)
	Trisequens (Novo Nordisk)-comb.	I:	Estraderm (Ciba-Geigy)		Estro-Plus (Rocky Mtn.)-comb.
			Progynon (Schering)		FemPatch (Parke Davis)
		J:	Ovahormon Pasta (Teikoku Zoki)		Vivelle (Novartis)

Estradiol benzoate

(Oestradiolbenzoat)

ATC: G03CA
Use: estrogen

RN: 50-50-0 MF: $C_{25}H_{28}O_3$ MW: 376.50 EINECS: 200-043-7
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol 3-benzoate



Reference(s):

a US 2 054 271 (Schering Corp.; 1933; D-prior. 1932).
GB 485 388 (Lab. Franç. de Chimiothérapie; appl. 1936).

b DRP 641 994 (Schering AG; appl. 1932).

alternative synthesis:

US 2 225 419 (Schering Corp.; 1940; D-prior. 1937).
US 2 156 599 (Ciba; 1939; CH-prior. 1936).

Formulation(s): amp. 2 mg/ml, 10 mg/ml, 50 mg/2 ml; sol. 5 mg/100 ml

Trade Name(s):

D:	Alpicort F (Wolff)-comb.	GB:	Benztrone (Paines & Byrne); wfm		Pelanin Inj. (Mochida)
	Jephagynon (Wolff)-comb.				Profollior B (Schering)
	Ney Normin (vitOrgan)-comb.	I:	Benztrone (Amsa)		Progynon B (Nihon Schering)
	Syngynon (Jenapharm)		Duo-Ormogyn (Amsa)-comb.	USA:	Gynetone Inj. (Schering)-comb.; wfm
F:	Benzo-Gynoestryl (Roussel)		Menovis (Parke Davis)-comb.		Testradiol (Consolidated Midland)-comb.; wfm
	Dermestril (Sanofi Winthrop)		Progynon (Schering)		Testradiol (Truxton)-comb.; wfm
	Estraderm (Novartis)	J:	Estradin Susp. (Santen-Yamanouchi)		Trimonal (Vitarine)-comb.; wfm
	Estreva (Théramex)		Femihormon (Tokyo Hosei)		numerous generics; wfm
	Estrofem (Specia)		Follikelmon (Kyorin)		
	Menorest (Specia)		Ovahormon Benzoat Susp. (Teikoku Zoki)		
	Oesclim (Fournier)				

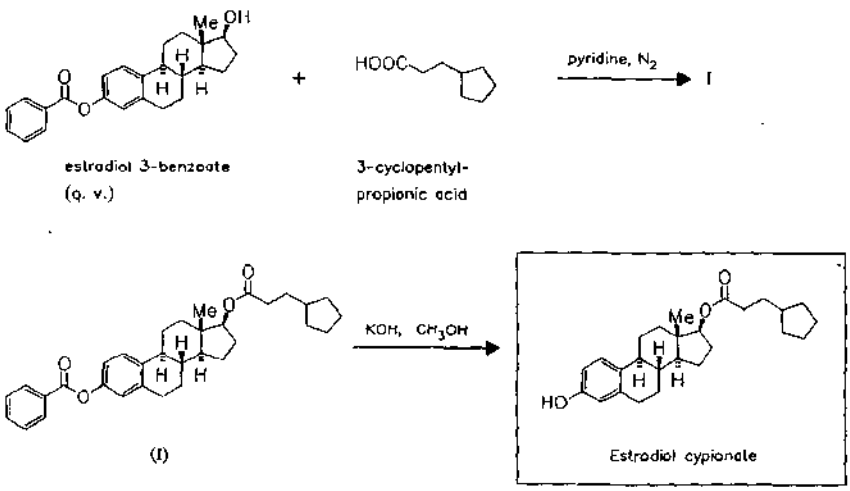
Estradiol cypionate

(Oestradiol-17-cyclopentylpropionat)

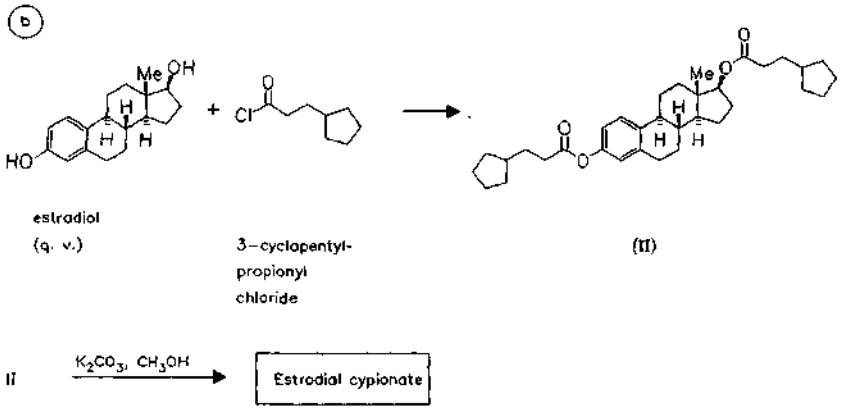
ATC: G03C
Use: estrogen

RN: 313-06-4 MF: $C_{26}H_{36}O_3$ MW: 396.57 EINECS: 206-237-8
LD_{50}: >1 g/kg (M, i.p.)
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol 17-cyclopentanepropanoate

a



b



II $\xrightarrow{K_2CO_3,\ CH_3OH}$ Estradiol cypionate

Reference(s):
a FR 1 215 503 (Lab. Rolland; appl. 1955).
b US 2 611 773 (Upjohn; 1952; prior. 1951).

Formulation(s): amp. 10 mg (1 mg/ml), 25 mg (5 mg/ml), 50 mg (5 mg/ml)

Trade Name(s):

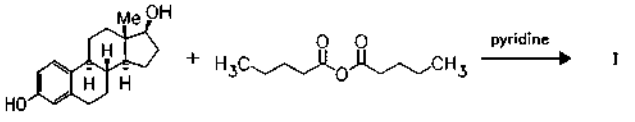
D: Femovirin Amp. (Albert-Roussel)-comb.; wfm
F: Oestradiol-retard Rolland (L'Hépatrol); wfm
I: Cicloestradiolo (Farmigea); wfm
Estradiolo Depositum (Orma); wfm
Neoginon Depositum (Lusofarmaco); wfm

J: Depo-Estradiol (Upjohn-Kodama) USA: Depo-Estradiol (Upjohn); wfm

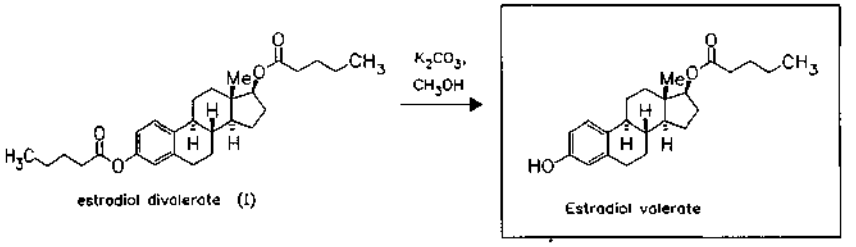
Estradiol valerate

ATC: G03CA
Use: estrogen

RN: 979-32-8 MF: $C_{23}H_{32}O_3$ MW: 356.51 EINECS: 213-559-2
LD_{50}: 1224 mg/kg (M, p.o.)
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol 17-pentanoate



17β-estradiol (q. v.) valeric anhydride



estradiol divalerate (I)

Reference(s):
US 2 205 627 (Ciba; 1940; CH-prior. 1936).
US 2 233 025 (Ciba; 1941; CH-prior. 1936).

use as antidepressant:
DOS 2 758 549 (Schering AG; appl. 23.12.1977).

Formulation(s): amp. 5 mg/ml, 10 mg/ml; drg. 1 mg, 2 mg; drops 2 mg/0.5 ml; f. c. tabl. 2 mg; tabl. 2 mg, 4 mg

Trade Name(s):

D: Gynokadin (Kade)
Merimono (Novartis Pharma)
Progynon Depot (Schering)
Progynova (Schering)
numerous combination preparations

F: Climène (Schering)-comb.
Divina (Innothéra)-comb.
Progynova (Schering)

GB: Cyclo-Progynova (ASTA Medica)-comb.
Progynova (Schering)

I: Gravibinan (Schering)-comb.
Gynodian Depot (Schering)-comb.
Progynon Depot (Schering)
Progynova (Schering)

J: Pelanin Depot (Mochida)
Progynon Depot (Nihon Schering)

USA: Ardefem (Burgin-Arden); wfm
Atladiol (Atlas); wfm
Delestrogen (Squibb); wfm
Depogen (Hyrex); wfm
Depogen (Sig); wfm
Dioval (Keene); wfm
Ditate DS (Savage); wfm
Dura-Estate (Ries); wfm
Dura-Estradiol (Myers-Carter); wfm
Dura-Estradiol (Ruckstuhl); wfm
Duratrad (Ascher); wfm
Estate (Savage); wfm
Estral-L (Pasadena Res.); wfm
Estraval (Kay); wfm
Estravel-P.A. (Tutag); wfm
Feminate (Western Res.); wfm
Femogen L.A. (Fellows); wfm
Repo-Estra (Central); wfm
Repo-Estro Med (Medics); wfm
Reposo E (Canfield); wfm
Retestrin (Rocky Mtn.); wfm
Span-Est (Scrip); wfm
Testaval (Legere); wfm
Valergen (Hyrex); wfm

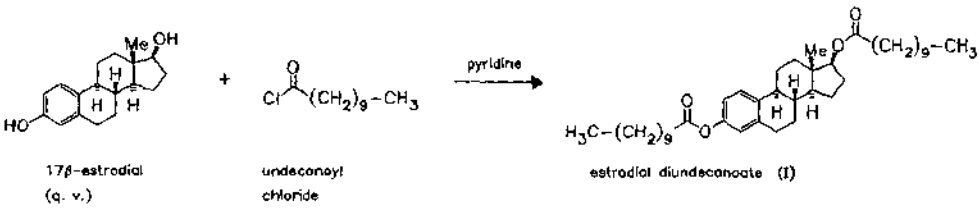
numerous combination preparations; wfm

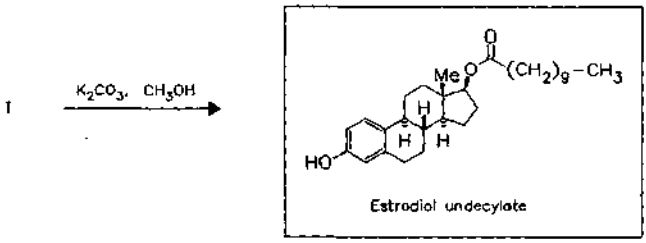
Estradiol undecylate

(Oestradiolundecanoat)

ATC: G03CA
Use: estrogen

RN: 3571-53-7 MF: $C_{29}H_{44}O_3$ MW: 440.67 EINECS: 222-677-3
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol 17-undecanoate





Reference(s):
US 2 990 414 (Syntex; 27.1.1961; appl. 18.3.1948; MEX-prior. 26.3.1957).

Formulation(s): amp. 100 mg/ml

Trade Name(s):

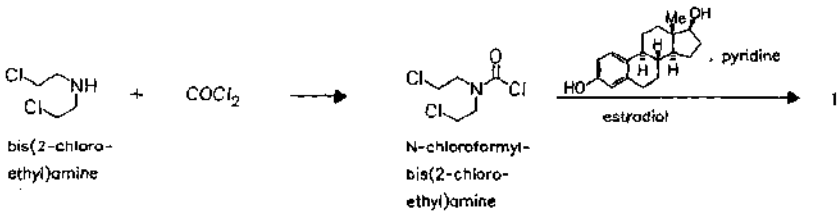
F:	Oestradiol-Retard	J:	Depogin (Shionogi)
	Théramex (Théramex)	USA:	Delestrec (Squibb); wfm

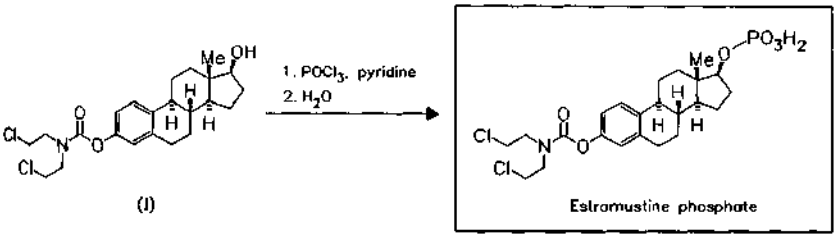
Estramustine phosphate

ATC: L01AA
Use: antineoplastic

RN: 4891-15-0 MF: $C_{23}H_{32}Cl_2NO_6P$ MW: 520.39 EINECS: 225-512-3
CN: (17β)-estra-1,3,5(10)-triene-3,17-diol 3-[bis(2-chloroethyl)carbamate] 17-(dihydrogen phosphate)

disodium salt
RN: 52205-73-9 MF: $C_{23}H_{30}Cl_2NNa_2O_6P$ MW: 564.35





(I)

Reference(s):
GB 1 016 959 (Leo; appl. 9.4.1963; valid from 24.3.1964).
US 3 299 104 (Leo; 17.1.1967; GB-prior. 9.4.1963).
GB 1 523 035 (Leo; appl. 10.3.1976; valid from 8.3.1977).

complex compounds with alcohols (for purification):
US 4 115 414 (Leo; 19.9.1978; GB-prior. 10.3.1976).
DE 2 710 293 (Leo; appl. 9.3.1977; GB-prior. 10.3.1976)

Formulation(s): cps. 151.8 mg, 303.6 mg (as disodium salt); vial 621 mg (as meglumine salt)

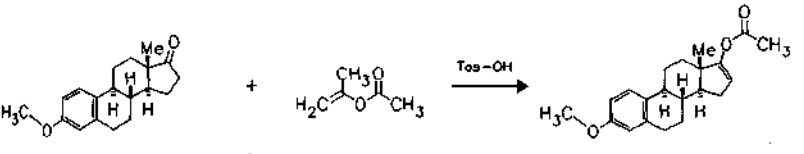
Trade Name(s):

D:	Cellmustin (cell pharm) Estracyt (Pharmacia & Upjohn) Multosin (Takeda) Prostamustin (Azupharma)	F:	Estracyt (Pharmacia & Upjohn)	J:	Estracyt (Nippon Shinyaku)
		GB:	Estracyt (Pharmacia & Upjohn)	USA:	Emcyt (Pharmacia & Upjohn; as sodium salt)
		I:	Estracyt (Farmitalia)		

Estriol

ATC: G03CA04
Use: estrogen

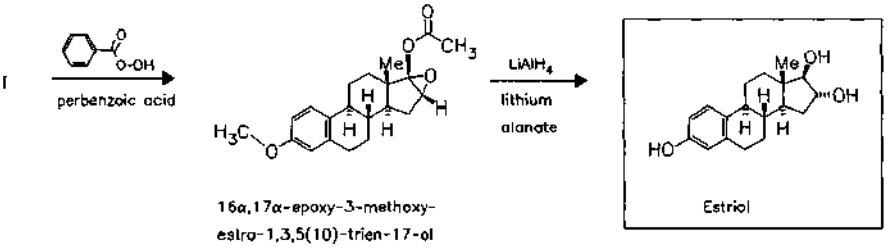
RN: 50-27-1 MF: $C_{18}H_{24}O_3$ MW: 288.39 EINECS: 200-022-2
LD_{50}: >2 g/kg (R, p.o.)
CN: (16α,17β)-estra-1,3,5(10)-triene-3,16,17-triol



3-O-methylestrone

isopropenyl acetate

3-methoxyestra-1,3,5(10),16-tetra-en-17-ol acetate (I)



16α,17α-epoxy-3-methoxy-estra-1,3,5(10)-trien-17-ol acetate

Reference(s):
Gallagher, T.F.; J. Am. Chem. Soc. (JACSAT) 76, 2943 (1954).

alternative syntheses:
Butenandt, A.;. Schäffler, E.L.: Z. Naturforsch. (ZNTFA2) **1**, 82 (1946).
Huffmann, M.N. et al.: Science (Washington, D.C.) (SCIEAS) **100**, 312 (1944).
Huffmann, M.N.; Lott, M.H.: J. Am. Chem. Soc. (JACSAT) **69**, 1835 (1947).
US 1 967 351 (Pres. and Board of Trustees of St. Louis; 1934; appl. 1930).
The Merck Index, 12th Ed., 631 (Rahway 1996).

Formulation(s): cream 0.5 mg/g; drg. 1 mg; f. c. tabl. 2 mg; ovula 0.03 mg, 0.5 mg; tabl. 1 mg, 2 mg

Trade Name(s):

D: Cordes (Ichthyol)
Estiol (Jenapharm)
Gynäsan (Bastian-Werk)-comb.
Oekolp (Kade)
Ortho-Gynest (Janssen-Cilag)
Ovestin (Organon)
Ovo-Vinces 200 (Wolff)
Synapause (Nourypharma)
Xapro (Jenapharm)
numerous combination preparations

F: Gydrelle (Iprad)
Physiogine (Organon)
Trophicrème (Sanofi Winthrop)
Trophigil (Sanofi Winthrop)-comb.

GB: Hormonin (Shire)-comb.
Ortho-Gynest (Janssen-Cilag)
Ovestin (Organon)
Trisequens (Novo Nordisk)-comb.

I: Colpogyn (Angelini)
Ortho Gynest Depot (Cilag)
Ovestin (Organon Italia)

J: Climatol (Santen)
Estriel (Mochida)
Molin (Teikoku Zoki)
Ovapause (Organon)
Season (Teikoku Zoki)

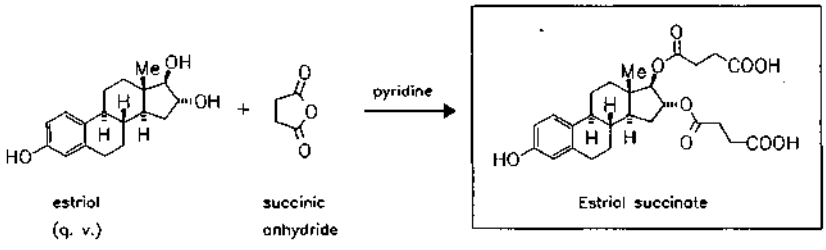
USA: Estro Plus Tab. (Rocky Mtn.)-comb.; wfm
Hormonin (Carnrick)-comb.; wfm

Estriol succinate

ATC: G03C
Use: estrogen

RN: 514-68-1 MF: $C_{26}H_{32}O_9$ MW: 488.53 EINECS: 208-185-1
CN: (16α,17β)-estra-1,3,5(10)-triene-3,16,17-triol 16,17-bis(hydrogen butanedioate)

disodium salt
RN: 113-22-4 MF: $C_{26}H_{30}Na_2O_9$ MW: 532.50



Reference(s):
GB 879 014 (Organon; appl. 26.5.1960; NL-prior. 29.5.1959).

Formulation(s): tabl. 2 mg, 4 mg (as disodium salt); vial 20 mg (as disodium salt)

Trade Name(s):

D: Orgastyptin (Organon Teknika); wfm
Synapause (Nourypharma); wfm

F: Synapause (Organon); wfm

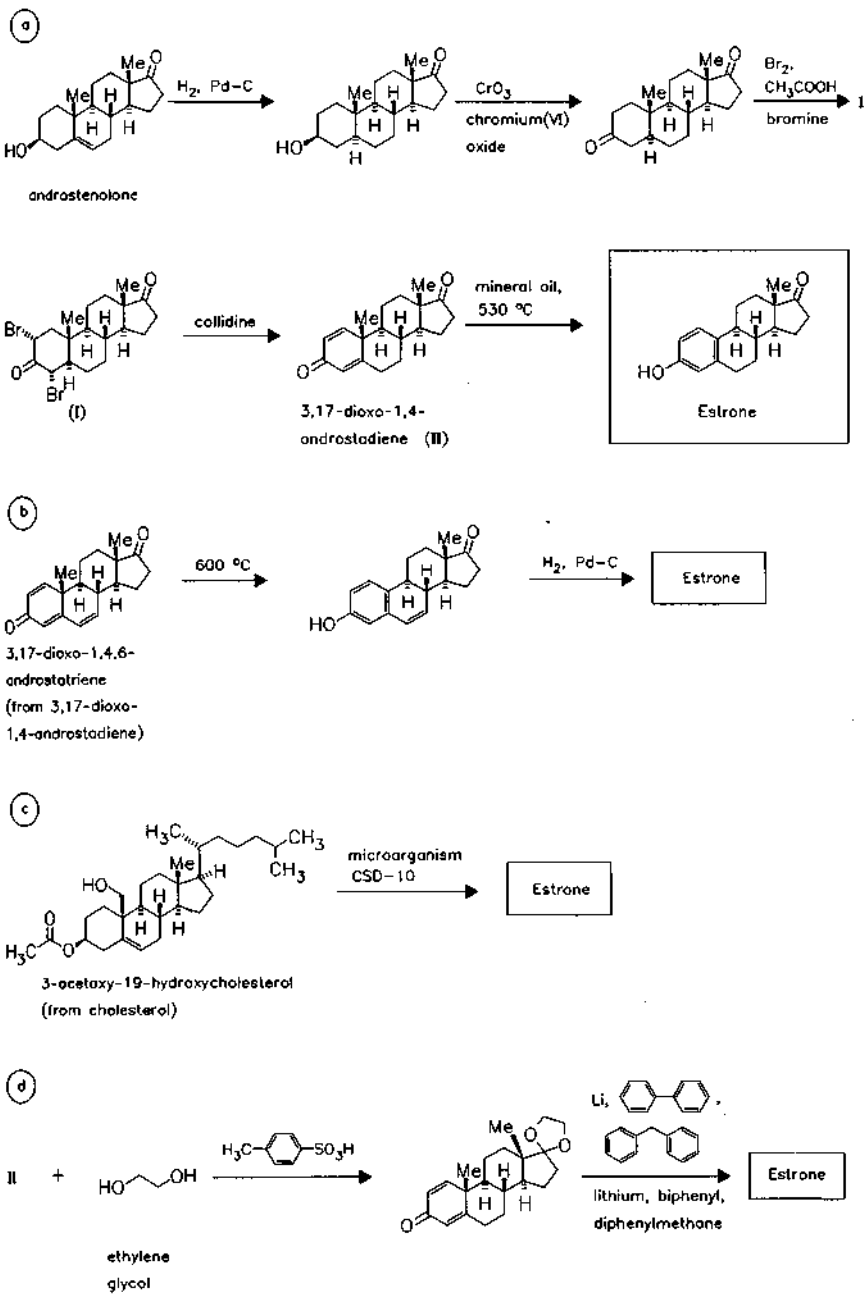
I: Ovestin (Organon Italia)

Estrone

(Oestron)

ATC: G03CA07; G03CC04
Use: estrogen

RN: 53-16-7 MF: $C_{18}H_{22}O_2$ MW: 270.37 EINECS: 200-164-5
CN: 3-hydroxyestra-1,3,5(10)-trien-17-one



Reference(s):

a,b Ehrhart, Ruschig, **III**, 315.

c Sih, Ch. et al.: J. Am. Chem. Soc. (JACSAT) **87**, 2765 (1965).

starting material:
Kalvoda, J. et al.: Helv. Chim. Acta (HCACAV) **46**, 1361 (1963).
d Dryden, H.L. et al.: J. Am. Chem. Soc. (JACSAT) **86**, 742 (1964).

production of conjugated estrogens:
US 2 565 115 (Squibb; 1951; prior. 1948).
US 2 720 483 (Olin Mathieson; 1955; prior. 1951).
US 4 154 820 (Akzona; 15.5.1979; prior. 26.9.1977, 23.2.1976).

total syntheses:
EP 37 973 (Hoechst; appl. 2.4.1981; D-prior. 12.4.1980).
Morand, P.; Lyall, J.: Chem. Rev. (Washington, D. C.) (CHREAY) **68**, 85 (1968).
Velluz, L. et al.: Angew. Chem. (ANCEAD) **72**, 725 (1960).
Velluz, L. et al.: Angew. Chem. (ANCEAD) **77**, 185 (1965).
Smith, H. et al.: J. Chem. Soc. (JCSOA9)**1963**, 5072.
Smith, H. et al.: Experientia (EXPEAM) **19**, 177 (1963).
Anachenko, S.N.; Torgov, J.V.: Tetrahedron Lett. (TELEAY) **1963**, 1553; **1964**, 171.
Blickenstaff, R.T.; Ghosh, A.C.; Wolf, G.C.: Total Synthesis of Steroids (Organic Chemistry Vol. **30**) p. 58-63, 142-145, Academic Press, New York, London 1974.

Formulation(s): e. g. 1.4 mg in comb.; amp. 20 mg; drg. 0.625 mg, 1.25 mg, 2.5 mg; vial 20 mg

Trade Name(s):

D: Coniugen (Klinge)-comb.; wfm
GT 50 B (Gewo)-comb.; wfm
Menrium (Roche)-comb.; wfm
Oestro-Feminal (Mack, Illert.; as estrogen conjugate)-comb.; wfm
Ovaribran (Thomae; as estrogen conjugate)-comb.; wfm
Ovowop (Hor-Fer-Vit)-comb.; wfm

F: Colpormon (Lipha Santé)
Prémarin (Wyeth-Lederle)
Synergon (Lipha Santé)-comb.

GB: Hormonin (Shire)-comb.

I: Emopremarin (Wyeth; as estrogen conjugate)
Premarin (Wyeth; as estrogen conjugate)
Prempak (Wyeth)-comb.

J: Estropan (Mochida)-comb.

USA: Di-Genik (Savage)-comb.; wfm
Di-Met (Organon)-comb.; wfm
Duogen (Smith, Miller & Patch)-comb.; wfm
Estro-V (Webcon); wfm
Estrusol (Smith, Miller & Patch); wfm
Folleštrol (Blue Line); wfm
Foygen (Foy); wfm
Hormestrin (Smith, Miller & Patch)-comb.; wfm
Mal-O-Fem (Fellows)-comb.; wfm
Menagen (Parke Davis); wfm
Menformon (Organon); wfm
Natural Estrogenic Substance (Legere); wfm
Nestronaq (Noyes); wfm
Ogen (Abbott; as estropipate); wfm
Prinn (Scirp); wfm
Propagon-S (Spanner); wfm
Spanestrin (Savage)-comb.; wfm
Theelin (Parke Davis); wfm
Wynastron (Wyeth); wfm
further combination preparations and generic; wfm

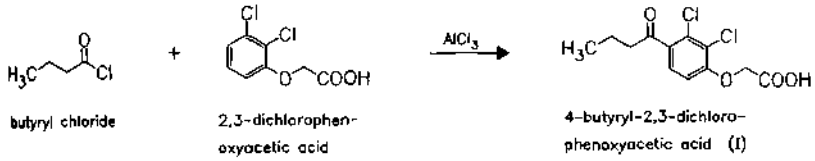
Etacrynic acid

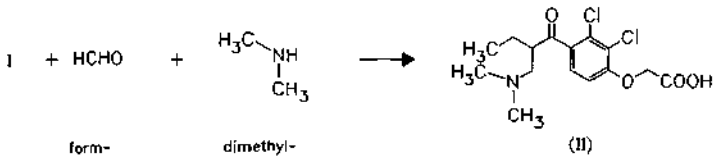
(Äthacrynsäure; Acide étacrynique)

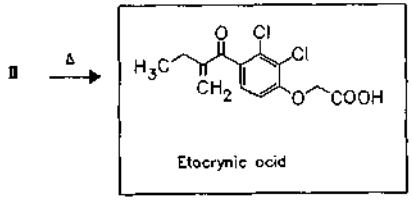
ATC: C03CC01
Use: diuretic

RN: 58-54-8 MF: $C_{13}H_{12}Cl_2O_4$ MW: 303.14 EINECS: 200-384-1
LD_{50}: 176 mg/kg (M, i.v.); 600 mg/kg (M, p.o.); 1 g/kg (R, p.o.)
CN: [2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy]acetic acid

sodium salt
RN: 6500-81-8 MF: $C_{13}H_{11}Cl_2NaO_4$ MW: 325.12







Reference(s):
BE 612 755 (Merck & Co. appl. 17.1.1962; USA-prior. 19.1.1961).
US 3 255 241 (Merck & Co.; 7.6.1966; prior. 19.1.1961, 6.12.1961).

alternative synthesis:
DE 1 276 030 (Merck & Co.; appl. 18.12.1964; USA-prior. 23.12.1963).

Formulation(s): tabl. 25 mg, 50 mg (as free acid); vial 53.6 mg (as sodium salt)

Trade Name(s):

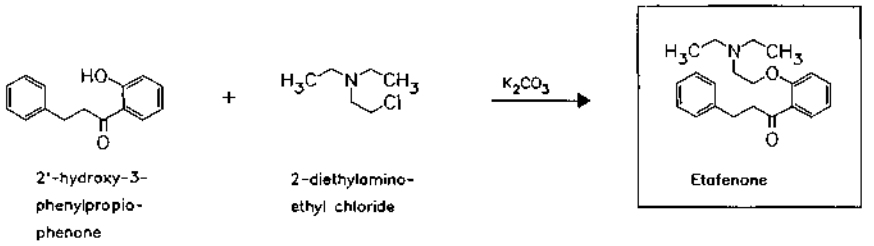
D:	Hydromedin (Merck Sharp & Dohme)	GB:	Edecrin (Merck Sharp & Dohme)		Reomax (Bioindustria)
F:	Edecrine (Merck Sharp & Dohme); wfm	I:	Ac Etacr (Formulario Naz.) Edecrin (Merck Sharp & Dohme)	J:	Edecril (Merck-Banyu)
				USA:	Edecrin (Merck Sharp & Dohme)

Etafenone

ATC: C01DX07
Use: coronary vasodilator

RN: 90-54-0 MF: $C_{21}H_{27}NO_2$ MW: 325.45 EINECS: 202-002-9
CN: 1-[2-[2-(diethylamino)ethoxy]phenyl]-3-phenyl-1-propanone

hydrochloride
RN: 2192-21-4 MF: $C_{21}H_{27}NO_2 \cdot HCl$ MW: 361.91 EINECS: 218-587-9
LD_{50}: 28 mg/kg (M, i.v.); 352 mg/kg (M, p.o.);
20.8 mg/kg (R, i.v.); 716 mg/kg (R, p.o.);
50 mg/kg (dog, p.o.)



Reference(s):
DAS I 265 758 (S. p. A. Lab. Guidotti; appl. 25.5.1960).

Formulation(s): amp. 25 mg; drg. 75 mg; s. r. cps. 50 mg (as hydrochloride)

Trade Name(s):

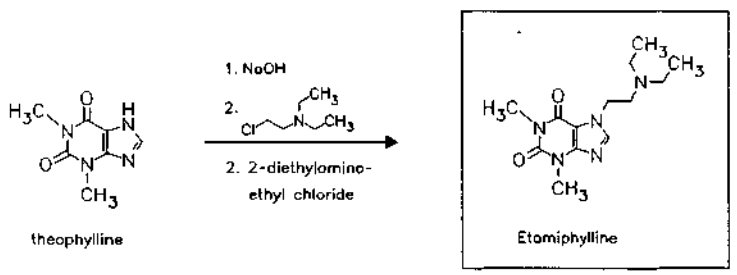
D:	Baxacor (Helopharm); wfm		Pagano-Cor (Helopharm); wfm	Cardilicor (Uji)
	Baxacor (Mack, Illert.); wfm		Seda-Baxacor (Helopharm)-comb.; wfm	Corodilan (Meiji)
	Digi-Baxacor (Mack, Illert.)-comb.; wfm		Seda-Baxacor (Mack, Illert.)-comb.; wfm	Dialicor (Kissei)
	Iso Baxacor (Helopharm)-comb.; wfm	I:	Dialicor (Guidotti)	Esanthin-S (Kyoritsu Yakuhin)
		J:	Asamedel (Maruko)	Etafenarin (Taiyo)
				Korofenon (Nissin)

Etamiphylline
(Dietamiphylline)

ATC: R03DA06
Use: cardiotonic, diuretic

RN: 314-35-2 MF: $C_{13}H_{21}N_5O_2$ MW: 279.34 EINECS: 206-244-6
LD_{50}: 1237 mg/kg (M, p.o.)
CN: 7-[2-(diethylamino)ethyl]-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione

monohydrochloride
RN: 17140-68-0 MF: $C_{13}H_{21}N_5O_2 \cdot HCl$ MW: 315.81 EINECS: 241-204-1
LD_{50}: 127 mg/kg (M, i.v.)
camphersulfonate (1:1)
RN: 19326-29-5 MF: $C_{13}H_{21}N_5O_2 \cdot C_{10}H_{16}O_4S$ MW: 511.64 EINECS: 242-962-6
LD_{50}: 604 mg/kg (M, s.c.)



Reference(s):
GB 669 070 (A. J.-M. Moussalli et al.; appl. 1949; F-prior. 1948).
Klosa, J.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **288/60**, 301 (1955).

Formulation(s): 75 mg in comb.; suppos. 200 mg, 500 mg; tabl. 100 mg (as camphersulfonate)

Trade Name(s):

D: Longtussin duplex (Fink)-comb.; wfm
Ultratussin (Fink)-comb.; wfm

F: Camphophyline (Millot; as camphersulfonate); wfm
Iodaphyline (Millot; as iodomethylate); wfm
Milhéparine (Millot)-comb. with heparin; wfm

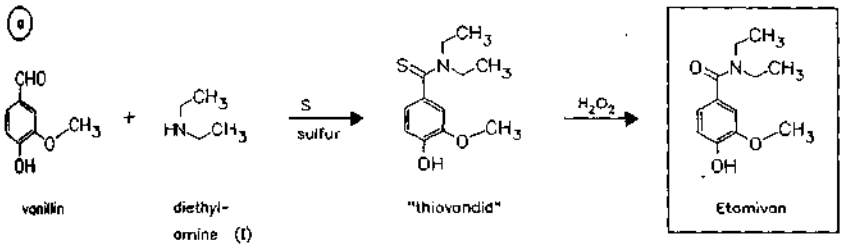
GB: Dechophylline (Millot-Solac)-comb.; wfm
Iodaphylline (Millot-Solac; as iodomethylate); wfm
Millophyline (Dales; as camphersulfonate); wfm

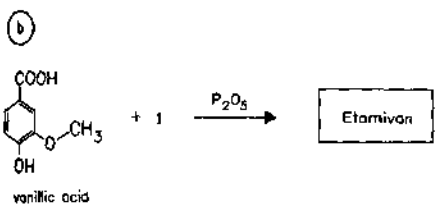
I: Aricodil (Malescil)-comb.; wfm
Benzofillina (Courtois; as p-aminobenzoate); wfm
Convivial (Malescil)-comb.; wfm
Decofillina (Malescil)-comb.; wfm
Jod-Metil-Fillina (Malescil; as iodomethylate); wfm
Spasmodil complex (ABC)-comb.; wfm

Etamivan
(Ethamivan)

ATC: R07AB04
Use: analeptic (central and respiratory stimulant)

RN: 304-84-7 MF: $C_{12}H_{17}NO_3$ MW: 223.27 EINECS: 206-157-3
LD_{50}: 15 mg/kg (M, i.v.); 67 mg/kg (M, p.o.); 28 mg/kg (R, i.p.); 17 mg/kg (R, i.v.); 154 mg/kg (R, p.o.); 30 mg/kg (dog, i.v.); 300 mg/kg (dog, p.o.)
CN: *N,N*-diethyl-4-hydroxy-3-methoxybenzamide





Reference(s):
US 2 641 612 (Österr. Stickstoffwerke AG; 1953; A-prior. 1949).

Formulation(s): drg. 10 mg in comb.; drops 20 mg/ml in comb.

Trade Name(s):

D: Normotin-R rapid (OTW)-comb.

GB: Clairvan (Sinclair); wfm
Vandid (Riker); wfm

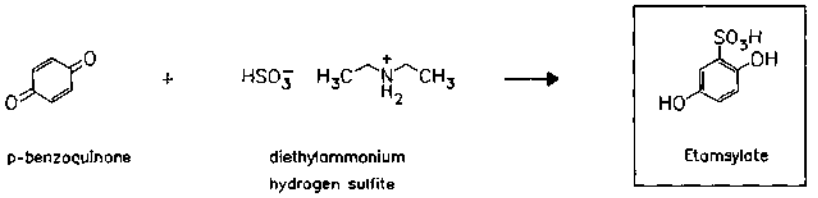
I: Corivanil (Sirt-BBP); wfm
Romecor (Benvegna); wfm

Etamsylate
(Ethamsylate)

ATC: B02BX01
Use: hemostatic (capillary protective)

RN: 88-46-0 MF: $C_6H_6O_5S$ MW: 190.18 EINECS: 201-833-4
CN: 2,5-dihydroxybenzenesulfonic acid

diethylammonium salt (1:1)
RN: 2624-44-4 MF: $C_6H_6O_5S \cdot C_4H_{11}N$ MW: 263.31 EINECS: 220-090-7
LD_{50}: 785 mg/kg (M, i.v.); 8300 mg/kg (M, p.o.);
1350 mg/kg (R, i.v.); 7500 mg/kg (R, p.o.)



Reference(s):
GB 895 709 (Lab. OM S.A.; appl. 31.12.1959; CH-prior. 28.1.1959).

Formulation(s): amp. 250 mg/2 ml; tabl. 250 mg, 500 mg

Trade Name(s):

D:	Altodor (Deutsche OM)	I:	Dicynone (Delalande		Transil (Malesci)-comb.
F:	Dicynone (Synthélabo)		Isnardi)	J:	Aglumin (Eisai)
GB:	Dicynene (Delandale)		Esclin (Ravizza)		Dicynone (Torii)

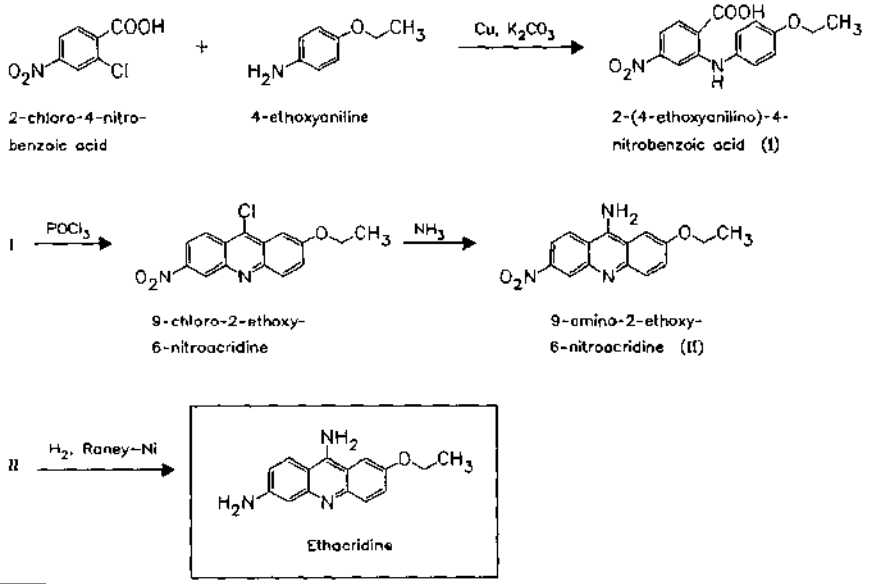
Ethacridine

(Acrinol; Aethacridin)

ATC: B05CA08; D08AA01
Use: wound antiseptic, intestinal disinfectant

RN: 442-16-0 MF: $C_{15}H_{15}N_3O$ MW: 253.31 EINECS: 207-130-9
CN: 7-ethoxy-3,9-acridinediamine

lactate (1:1)
RN: 1837-57-6 MF: $C_{15}H_{15}N_3O \cdot C_3H_6O_3$ MW: 343.38 EINECS: 217-408-1
LD_{50}: 42 mg/kg (M, i.p.); 120 mg/kg (M, s.c.)



Reference(s):
DRP 360 421 (Hoechst; 1922).
DRP 393 411 (Hoechst; 1923).

improved method for 9-amino-2-ethoxy-6-nitroacridine:
DAS 1 952 086 (Hoechst; appl. 16.10.1969).

Formulation(s): drg. 200 mg; eye drops 1 mg/g (as free base); gargle tabl. 25 mg (as hydrochloride); ointment 2 mg/g; sol. 0.1 %; tabl. 0.1 g

Trade Name(s):

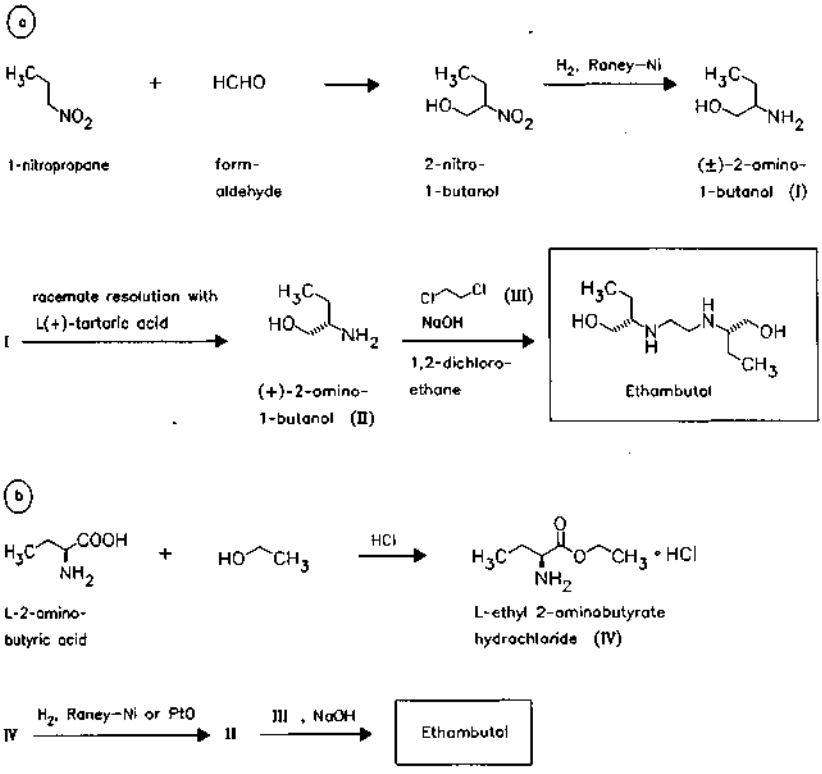
D:	Biseptol (Winzer) Metifex (Cassella-med) Rivanol (Chinosolfabrik) Uroseptol (Fresenius-Praxis; as acetate)		numerous combination preparations	I:	Rivanolo (Tariff. Integrativo)
		F:	Dentinox (Pharmastra)-comb. Pyorex (Bailly)-comb.	J:	Hectalin (Daiichi) Rimaon (Takeda)

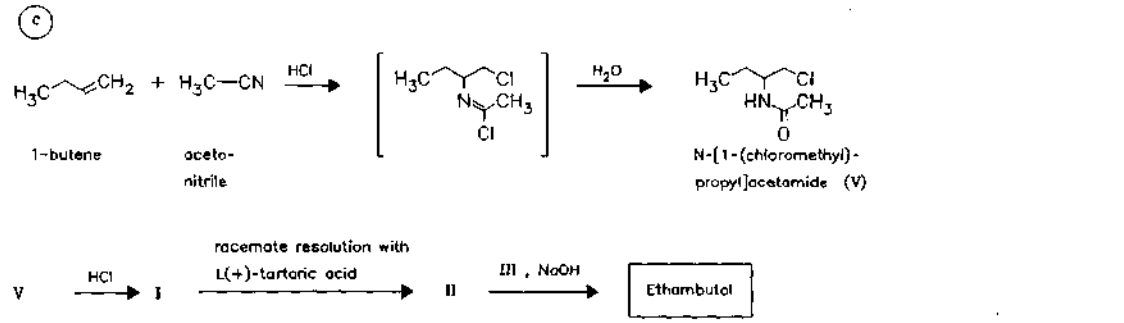
Ethambutol

ATC: J04AK02
Use: tuberculostatic

RN: 74-55-5 MF: $C_{10}H_{24}N_2O_2$ MW: 204.31 EINECS: 200-810-6
LD_{50}: 240 mg/kg (M, i.v.); 8700 mg/kg (M, p.o.)
CN: [*S*-(*R**,*R**)]-2,2'-(1,2-ethanediyldiimino)bis[1-butanol]

dihydrochloride
RN: 1070-11-7 MF: $C_{10}H_{24}N_2O_2 \cdot 2HCl$ MW: 277.24





Reference(s):

a Wilkinson, R.G. et al.: J. Am. Chem. Soc. (JACSAT) **83**, 2212 (1961).
Wilkinson, R.G. et al.: J. Med. Pharm. Chem. (JMPCAS) **5**, 835 (1962).
US 3 176 040 (American Cyanamid; 30.3.1965; prior. 2.6.1960).
BE 600 640 (American Cyanamid; appl. 24.2.1961; USA-prior. 2.6.1960, 20.12.1960).
BE 613 545 (American Cyanamid; appl. 6.2.1962; USA-prior. 23.1.1962).
racemate resolution of (+)-2-aminobutanol *with* tartaric acid:
US 3 553 257 (American Cyanamid; 5.1.1971; prior. 16.9.1966).
reaction with 1,2-dichloroethane:
US 3 769 347 (American Cyanamid; 30.10.1973; prior. 11.2.1971).
DOS 2 205 269 (American Cyanamid; appl. 4.2.1972; USA-prior. 11.2.1971).
US 3 944 616 (American Cyanamid; 16.3.1976; prior. 29.10.1974).
FR 2 351 090 (Soc. Chim. Grande Paroisse; appl. 11.5.1976).

b DAS 2 446 320 (Denki Kagaku Kogyo; appl. 27.9.1974; J-prior. 28.9.1973).
GB 1 469 014 (Denki Kagaku Kogyo; appl. 30.9.1974; J-prior. 28.9.1973).
reduction with sodium diethylaluminum hydride:
JP-appl. 780 06-127 (Cre co di Ricerca; appl. 22.5.1973; CH-prior. 1.3.1973).

c US 3 944 617 (American Cyanamid; 16.3.1976; prior. 1.8.1974).
US 3 944 618 (American Cyanamid; 16.3.1976; prior. 1.8.1974).
US 3 944 619 (American Cyanamid; 16.3.1976; prior. 1.8.1974).
GB 1 541 290 (American Cyanamid; appl. 9.2.1976).

alternative syntheses:
from 1,2-epoxybutane:
US 3 953 513 (Gruppo Lepetit; 27.4.1976; GB-prior. 29.11.1973).
DOS 2 454 950 (Gruppo Lepetit; appl. 20.11.1974; GB-prior. 29.11.1973).
DAS 2 410 988 (Polska Akad. Nauk Inst. Chem. Organ.; appl. 7.3.1974; PL-prior. 20.3.1973).

from 3,4-epoxybutene (butadiene monoxide):
DAS 2 263 715 (Soc. Farmaceutici Italia; appl. 28.12.1972; I-prior. 30.12.1971).

from 1-hydroxy-2-butanone:
DOS 2 547 654 (BASF; appl. 24.10.1975).

asymmetric hydrogenation of 2-acylamino-crotonic acid derivatives:
BE 862 627 (American Cyanamid; appl. 4.1.1978; USA-prior. 7.1.1977).
DOS 2 800 461 (American Cyanamid; appl. 5.1.1978; USA-prior. 7.1.1977).

racemate resolution of (±)-2-aminobutanol *with* (+)-*N*-benzoyl-*trans*-2-aminocyclohexanecarboxylic acid:
GB 1 471 838 (Nippon Soda; appl. 26.3.1975; J-prior. 4.4.1974).

Formulation(s): amp. 400 mg/4 ml, 1000 mg/10 ml; f. c. tabl. 100 mg, 250 mg, 400 mg, 500 mg (as dihydrochloride); vial 1 g

Trade Name(s):
D: EMB-Fatol (Fatol) Myambutol (Lederle) F: Dexambutol (L'Arguenon)

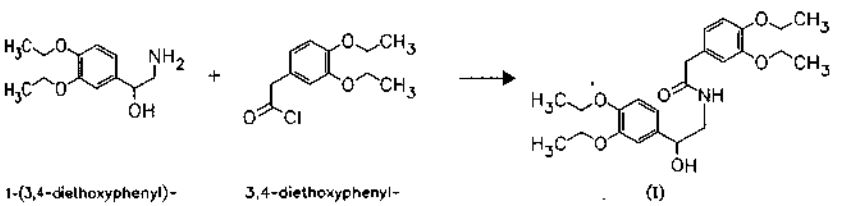
Dexambutol-INH (L'Arguenon)-comb. with isoniazid
Myambutol (Wyeth-Lederle)
GB: Myambutol (Lederle); wfm
Mynak (Lederle)-comb. with isoniazid; wfm
I: Etambu (Formulario Naz.)
Etambu (Lifepharma)
Etanicozid (Piam)-comb.
Etapiam (Piam)
Etibi (Zoja)
Miambutol (Cyanamid)
Miazide (Cyanamid)-comb.
J: Ebutol (Kaken)
Esanbutol (Lederle)
Ethambutol (Lederle-Takeda)
USA: Myambutol (Lederle Labs.; as hydrochloride)

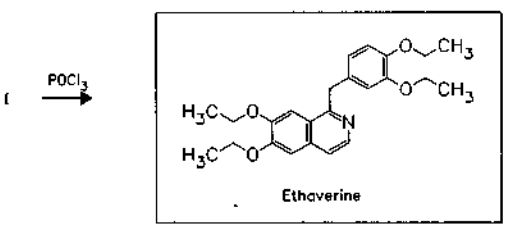
Ethaverine

ATC: A03
Use: antispasmodic

RN: 486-47-5 MF: $C_{24}H_{29}NO_4$ MW: 395.50 EINECS: 207-633-3
LD_{50}: 45600 µg/kg (M, i.v.)
CN: 1-[(3,4-diethoxyphenyl)methyl]-6,7-diethoxyisoquinoline

hydrochloride
RN: 985-13-7 MF: $C_{24}H_{29}NO_4 \cdot HCl$ MW: 431.96 EINECS: 213-573-9
LD_{50}: 86 mg/kg (M, i.v.)





Reference(s):
US I 962 224 (E. Wolf; 1934; D-prior. 1930).

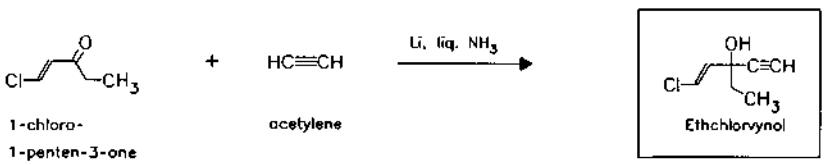
Formulation(s): suppos. 30 mg in comb. (as hydrochloride)

Trade Name(s):
D: Migräne-Kranit (Krewel Meuselbach)
F: Etadil (Charpentier); wfm
Plaquiverine (Monal); wfm
Surparine (Licardy)-comb.; wfm
I: Azimol ITA (ITA)-comb.; wfm
Ceracin (Panthox & Burck)-comb.; wfm
Etaverina (Biologici Italia); wfm
Predem (Biologici Italia)-comb.; wfm
USA: Ethaquin (Ascher); wfm
Ethatab (Meyer); wfm
Isovex (U.S. Pharmaceutical); wfm
Laverin (Lemmon); wfm
Pasmol (RAM Labor); wfm
Tensodin (Knoll)-comb.; wfm

Ethchlorvynol

ATC: N05CM08
Use: hypnotic, sedative

RN: 113-18-8 MF: C_7H_9ClO MW: 144.60
LD_{50}: 290 mg/kg (M, p.o.);
55 mg/kg (dog, i.v.)
CN: 1-chloro-3-ethyl-1-penten-4-yn-3-ol



Reference(s):
US 2 746 900 (Pfizer; 1956; prior. 1953).
McLamore, W.M. et al.: J. Org. Chem. (JOCEAH) **20**, 109 (1955).

Formulation(s): cps. 200 mg, 500 mg, 750 mg

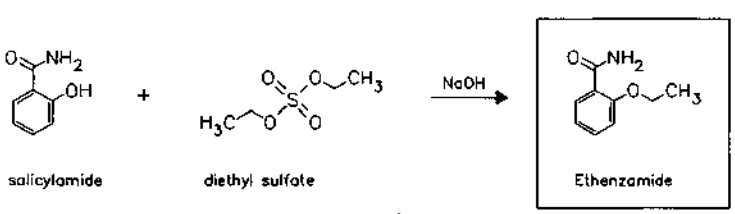
Trade Name(s):

GB:	Arvynol (Pfizer); wfm Serenesil (Abbott); wfm	J:	Arvynol (Taito Pfizer) Nostel (Dainippon)	USA:	Placidyl (Abbott)

Ethenzamide
(Ethoxybenzamide)

ATC: N02BA07
Use: analgesic

RN: 938-73-8 MF: $C_9H_{11}NO_2$ MW: 165.19 EINECS: 213-346-4
LD_{50}: 700 mg/kg (M, p.o.);
2630 mg/kg (R, p.o.)
CN: 2-ethoxybenzamide



Reference(s):
GB 656 746 (Lundbeck; appl. 1948; DK-prior. 1947).

Formulation(s): drg. 150 mg in comb.; tabl. 100 mg in comb.

Trade Name(s):

D:	Antiföhnon (Südmedica)-comb. Glutisal (Ravensberg)-comb. Kolton grippale N (Byk Gulden)-comb.	F:	Céphil (Boiron)-comb.	Ethoxybenzamide (Juzen Kagaku)
		I:	Etocil (Biomedica Foscama)-comb. Etocil Pirina (Biomedica Foscama)-comb.	Grelan High S (Grelan)-comb. Grelan Shin A (Grelan)
		J:	Amisal (Daiichi)-comb.	Konjisui Soft (Tanpai)

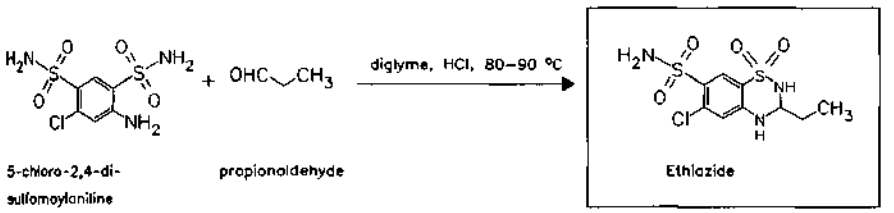
Pyripan A (Tanabe)-comb. Sedes A (Shionogi) Synpyrin F (Sumitomo)

Ethiazide

(Aethiazidum)

ATC: C03BA
Use: diuretic

RN: 1824-58-4 MF: $C_9H_{12}ClN_3O_4S_2$ MW: 325.80 EINECS: 217-358-0
LD_{50}: >310 mg/kg (M, i.v.); >2 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: 6-chloro-3-ethyl-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



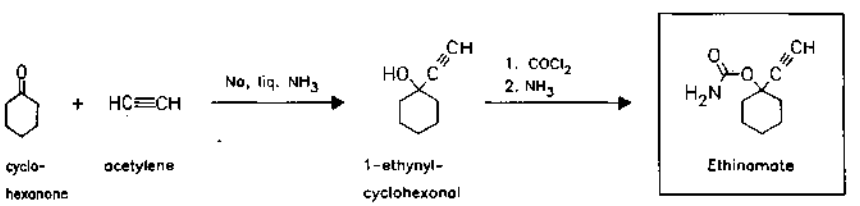
Reference(s):
GB 861 367 (Ciba; appl. 1959; USA-prior. 1958).

Trade Name(s):
J: Ethiazide (Tokyo Tanabe);
wfm

Ethinamate

ATC: N05C
Use: hypnotic, sedative

RN: 126-52-3 MF: $C_9H_{13}NO_2$ MW: 167.21 EINECS: 204-789-4
LD_{50}: 108 mg/kg (M, i.v.); 490 mg/kg (M, p.o.);
157 mg/kg (R, i.v.); 331 mg/kg (R, p.o.);
144 mg/kg (dog, i.v.); 190 mg/kg (dog, p.o.)
CN: 1-ethynylcyclohexanol carbamate



Reference(s):
US 2 816 910 (Schering; 1957; D-prior. 1953).
DE I 021 843 (Rheinpreussen; appl. 1953).

Formulation(s): cps. 500 mg

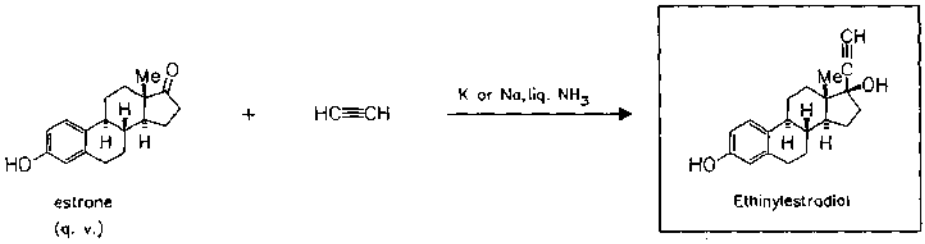
Trade Name(s):
D: Valamin (Asche); wfm J: Valamin (Schering) USA: Valamid (Dista); wfm

Ethinylestradiol

(Aethinylöstradiol; Ethinyloestradiol)

ATC: G03CA01; L02AA03
Use: estrogen (in combination with progestogen as oral contraceptive)

RN: 57-63-6 MF: $C_{20}H_{24}O_2$ MW: 296.41 EINECS: 200-342-2
LD_{50}: 1737 mg/kg (M, p.o.);
1200 mg/kg (R, p.o.)
CN: (17α)-19-norpregna-1,3,5(10)-trien-20-yne-3,17-diol



Reference(s):
Inhoffen, H.H. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **71**, 1024 (1938).
DRP 702 063 (Ciba; appl. 1938; CH-prior. 1937).

Formulation(s): tabl. 0.02 mg, 0.025 mg, 0.05 mg; drg. 1 mg

Trade Name(s):

D:
Biviol (Nourypharma)-comb.
Cilest (Janssen-Cilag)-comb.
Concephan (Grünenthal)-comb.
Cyclosan (Nourypharma)-comb.
Diane 35 (Schering)-comb.
EVE (Grünenthal)-comb.
Femigoa (LAW)-comb.
Femovan (Schering)-comb.
Femranette mikro (Brenner-Efeka)-comb.
Gravistat (Jenapharm)-comb.
Leios (Wyeth)-comb.
Lovelle (Organon)-comb.
Lyndiol (Organon)-comb.
Marvelon (Organon)-comb.
Microgynon (Schering)-comb.
Minisiston (Jenapharm)-comb.
Minulet (Wyeth)-comb.
Miranova (Schering)-comb.
MonoStep (Asche)-comb.
Neo-Eunomin (Grünenthal)-comb.
Neogynon (Schering)-comb.
Neorlest (Parke-Davis)-comb.
Neo-Stedirile (Wyeth)-comb.
Non-Ovlon (Jenapharm)-comb.
Nuriphasic (Nourypharma)-comb.
Östro-Primolut (Schering)-comb.
Ovanon (Nourypharma)-comb.
Ovanon (Nourypharma)-comb.
Oviol (Nourypharma)-comb.
Ovoresta (Organon)-comb.
Ovysmen (Wyeth)-comb.
Perikursal (Wyeth)-comb.
Pramino (Janssen-Cilag)-comb.
Pregnon (Schering)-comb.
Progynon C (Schering)
Promisiston (Schering)-comb.
Prosiston (Schering)-comb.
Sequilar (Schering)-comb.
Sequostat (Jenapharm)-comb.
Sinovula (Asche)-comb.
Stediril (Wyeth)-comb.
Synphasec (Grünenthal)-comb.
Tetragynon (Schering)-comb.
Triette (Brenner-Efeka)-comb.
Trigoa (LAW)-comb.
Triguilar (Schering)-comb.
Trinordiol (Wyeth)-comb.
TriNoum (Janssen-Cilag)-comb.
Trisiston (Jenapharm)-comb.
TriStep (Asche)-comb.
Turisteron (Jenapharm)
Valette (Jenapharm)-comb.
Yermonil (Novartis Pharma)-comb.
numerous combination preparations

F:
Adepal (Wyeth-Lederle)
Cilest (Janssen-Cilag)
Cycleane (Monsanto)
Diane 35 (Schering)
Effiprev (Effik)
Ethinyl-Estradiol Roussel (Roussel)
Harmonet (Wyeth-Lederle)
Méliane (Schering)
Minidril (Wyeth-Lederle)
Minulet (Wyeth-Lederle)

Tri-Minulet (Wyeth-Lederle)
generic and numerous combination preparations

GB: Marvelon (Schering)
numerous combination preparations

I: Binordiol (Wyeth)-comb.
Bivlar (Schering)-comb.
Diane (Schering)-comb.
Egogyn (Schering)-comb.
Etinilestradiolo (Amsa)
Eugynon (Schering)-comb.
Evanor (Wyeth)-comb.
Ginoden (Schering)-comb.
Mercilon (Organon Italia)-comb.
Microgynon (Schering)-comb.
Milvane (Schering)-comb.
Minulet (Wyeth)-comb.
Novogyn (Schering)-comb.
Ovranet (Wyeth)-comb.
Planum (Menarini)-comb.
Practil (Organon Italia)-comb.
Securgin (Menarini)-comb.
Trigynon (Schering)-comb.
Triminulet (Wyeth)-comb.
Trinordiol (Wyeth)-comb.
Trinovum (Cilag)-comb.

J: Estrogen (Nichinan Kogyo)
Ovahormon Strong (Teikoku Zoki)

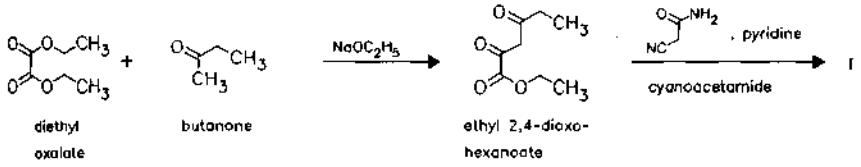
USA: Alesse (Wyeth-Ayerst)
Brevicon (Searle)
Demulen (Searle)
Desogen (Organon)
Estrostep (Parke Davis)
Ethynodiol Diacetate and Ethinyl Estradiol (Watson)
Levlen (Berlex)
Lo/Ovral (Wyeth-Ayerst)
Modicon (Ortho-McNeil Pharmaceutical)
Nelova (Warner Chilcott)
Nordette (Wyeth-Ayerst)
Norethin (Roberts)
Norethindrone and Ethinyl Estradiol (Watson)
Norinyl (Searle)
Ortho-Cept (Ortho-McNeil Pharmaceutical)
Ortho-Cyclen (Ortho-McNeil Pharmaceutical)
Ortho Novum (Ortho-McNeil Pharmaceutical)
Ortho-Tri-Cyclen (Ortho-McNeil Pharmaceutical)
Ovral (Wyeth-Ayerst)
Tri-Levlen (Berlex)
Tri-Norinyl (Searle)
Triphasil (Wyeth-Ayerst)

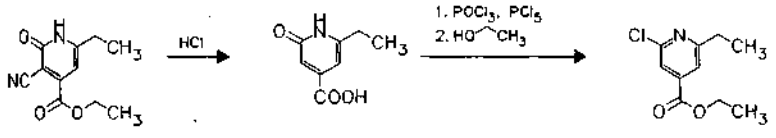
Ethionamide

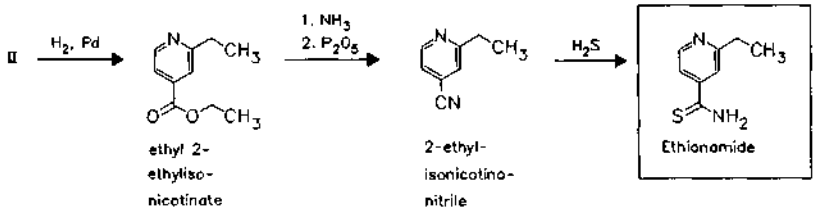
(Etionamide)

ATC: J04AD03
Use: tuberculostatic

RN: 536-33-4 MF: $C_8H_{10}N_2S$ MW: 166.25 EINECS: 208-628-9
LD_{50}: 1 g/kg (M, p.o.);
1320 mg/kg (R, p.o.)
CN: 2-ethyl-4-pyridinecarbothioamide







Reference(s):
GB 800 250 (Chimie et Atomistique; appl. 1957; F-prior. 1956).
Libermann, S. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **242**, 2409, 2412 (1956).

Formulation(s): s. c. tabl. 250 mg; tabl. 100 mg

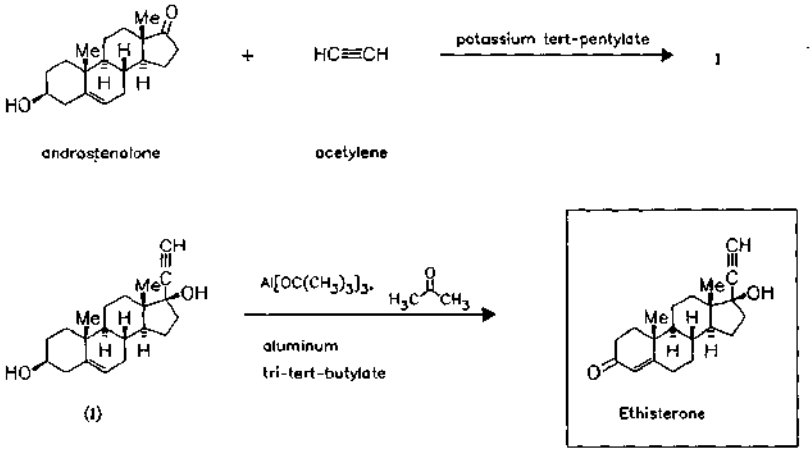
Trade Name(s):

D:	Trécator (Théraplix); wfm	J:	Ethimide (Tanabe)		Thioniden (Kaken)
F:	Trécator (Théraplix); wfm		Ethinamin (Takeda)		Tubermin (Meiji)
GB:	Trescatyl (May & Baker); wfm		Itiocide (Kyowa)		Tuberoid (Sankyo)
	Trescazide (May & Baker)-comb.; wfm		Sertinon (Daiichi)		Tuberoson (Shionogi)
			Teberus (Dainippon)	USA:	Trecator-SC (Wyeth-Ayerst)
			Thiomid (Nikken)		

Ethisterone

ATC: G03DC04
Use: progestogen

RN: 434-03-7 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 207-096-5
CN: (17α)-17-hydroxypregn-4-en-20-yn-3-one



Reference(s):
US 2 272 131 (Ciba; 1942; CH-prior. 1937).
Ehrhart, Ruschig **III**, 343.

alternative synthesis:
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

review:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 30.

Formulation(s): cps. 50 mg, 100 mg, 250 mg; tabl. 25 mg

Trade Name(s):

D:	Cycloestrol-A.H. Progestérone (Bruneau); wfm	GB:	Lutogynestryl (Roussel)-comb.; wfm		Orasecron (Schering Chemicals); wfm
F:	Cycloestrol-A.H. Progestérone (Bruneau)-comb.; wfm		Amenoren (Roussel)-comb.; wfm	I:	Pre Ciclo (Ibis)-comb.; wfm
			Menstrogen (Organon)-comb.; wfm	J:	Estormon (Hokuriku)-comb.

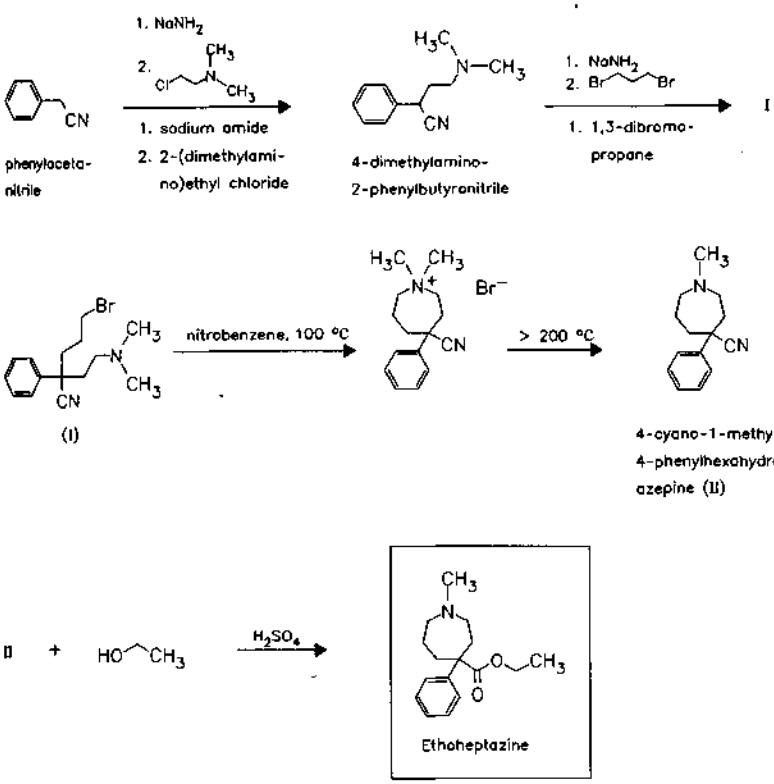
Oophormin Luteum (Teikoku Zoki)
USA: Duosterone (Roussel)-comb.; wfm
Ora-Lutin (Parke Davis); wfm
Prodroxan (Dorsey); wfm
Progestab (Beecham); wfm
Progestoral (Organon); wfm
Syngestrotabs (Pfizer); wfm
Trosinone (Abbott); wfm

Ethoheptazine

ATC: N02A
Use: analgesic

RN: 77-15-6 MF: $C_{16}H_{23}NO_2$ MW: 261.37 EINECS: 201-007-3
LD_{50}: 65 mg/kg (M, i.v.); 318 mg/kg (M, p.o.);
34 mg/kg (R, i.v.); 355 mg/kg (R, p.o.)
CN: hexahydro-1-methyl-4-phenyl-1*H*-azepine-4-carboxylic acid ethyl ester

citrate (1:1)
RN: 6700-56-7 MF: $C_{16}H_{23}NO_2 \cdot C_6H_8O_7$ MW: 453.49 EINECS: 229-743-0
LD_{50}: 580 mg/kg (R, p.o.)



Reference(s):
US 2 666 050 (American Home Products; 1954; prior. 1952).

Formulation(s): tabl. 75 mg in comb.

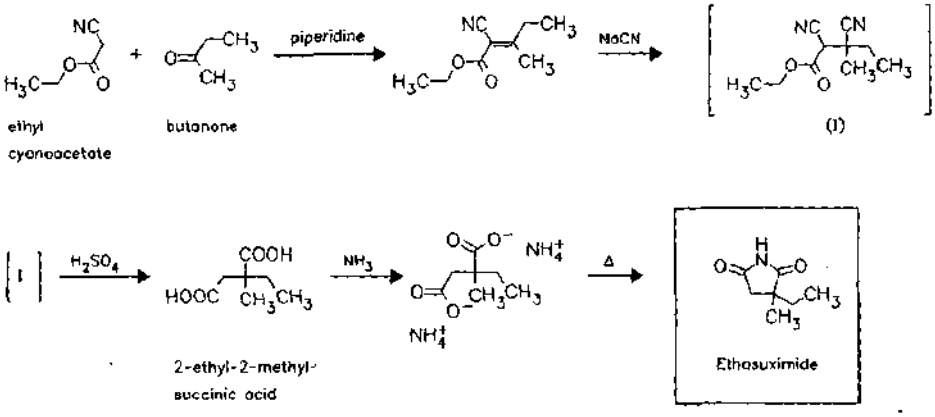
Trade Name(s):
GB: Equagesic (Wyeth)-comb.
I: Panalgin (Padil); wfm
combination preparations; wfm
J: Zactirin (Banyu)-comb.
USA: Equagesic (Wyeth); wfm
Mepro (Schein); wfm
Zactane (Wyeth); wfm

Zactirin (Wyeth); wfm

Ethosuximide

ATC: N03AD01
Use: antiepileptic, antiparkinsonian

RN: 77-67-8 MF: $C_7H_{11}NO_2$ MW: 141.17 EINECS: 201-048-7
LD_{50}: 780 mg/kg (M, i.v.); 1530 mg/kg (M, p.o.)
CN: 3-ethyl-3-methyl-2,5-pyrrolidinedione



Reference(s):
US 2 993 835 (Parke Davis; 25.7.1961; prior. 27.10.1958).
Sahay, S.; Sircar, G.: J. Chem. Soc. (JCSOA9) **1927**, 1252.

Formulation(s): cps. 250 mg; sol. 50 g/100 g; syrup 250 mg/5 ml

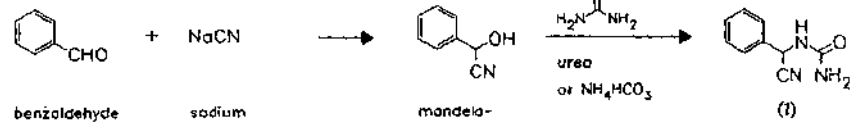
Trade Name(s):

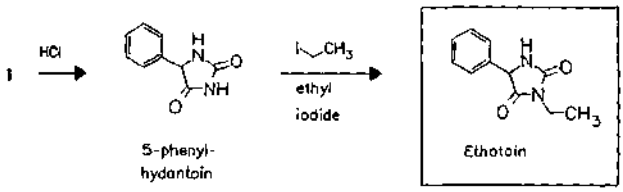
D:	Petnidan (Desitin) Suxilep (Jenapharm) Suxinutin (Parke Davis)	I:	Zarontin (Parke Davis)		Zarontin (Parke Davis-Sankyo)
F:	Zarontin (Parke Davis)	J:	Emeside (Technish-Kodama) Epileo Petitmal (Eisai)	USA:	Zarontin (Parke Davis)
GB:	Emeside (Labs. for Applied Biology)				

Ethotoin
(Aethotoin)

ATC: N03AB01
Use: antiepileptic

RN: 86-35-1 MF: $C_{11}H_{12}N_2O_2$ MW: 204.23 EINECS: 201-665-1
LD_{50}: 1750 mg/kg (M, p.o.);
1500 mg/kg (R, p.o.)
CN: 3-ethyl-5-phenyl-2,4-imidazolidinedione





Reference(s):
Pinner, A.: Chem. Ber. (CHBEAM) **21**, 2325 (1888).
US 2 793 157 (Abbott; 1957; appl. 1954).

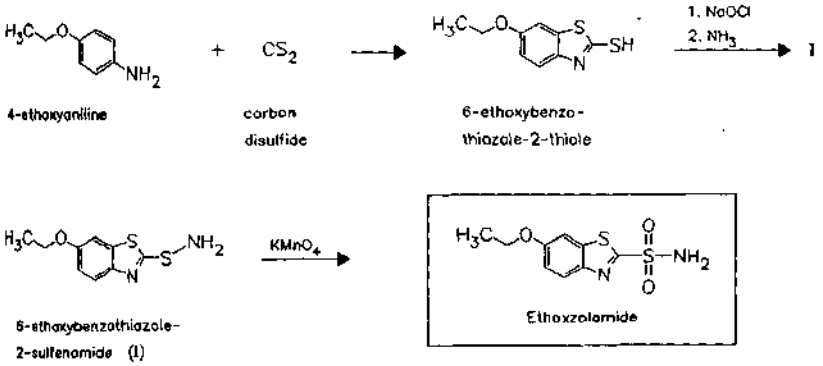
Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):
GB: Peganone (Abbott); wfm J: Accenon (Dainippon) USA: Peganone (Abbott)

Ethoxzolamide
(Ethoxyzolamide)

ATC: C03BA
Use: diuretic (carboanhydrase inhibitor)

RN: 452-35-7 MF: $C_9H_{10}N_2O_3S_2$ MW: 258.32 EINECS: 207-199-5
CN: 6-ethoxy-2-benzothiazolesulfonamide



Reference(s):
US 2 868 800 (Upjohn; 1959; appl. 1954).

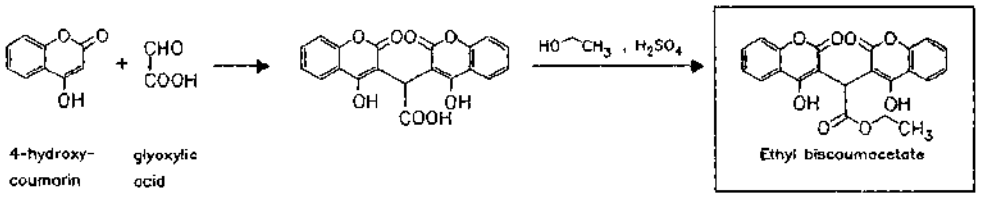
Formulation(s): tabl. 125 mg

Trade Name(s):
D: Redupresin (Thilo); wfm I: Glaucotensil (Farmila); wfm

Ethyl biscoumacetate

ATC: B01AA08
Use: anticoagulant, antithrombotic

RN: 548-00-5 MF: $C_{22}H_{16}O_8$ MW: 408.36 EINECS: 208-940-5
LD_{50}: 750 mg/kg (M, p.o.);
840 mg/kg (R, p.o.)
CN: 4-hydroxy-α-(4-hydroxy-2-oxo-2*H*-1-benzopyran-3-yl)-2-oxo-2*H*-1-benzopyran-3-acetic acid ethyl ester



Reference(s):
US 2 482 510 (Spójené farmaceutické Zovody; 1949).
US 2 482 511 (Spójené farmaceutické Zovody; 1949).
US 2 482 512 (Spójené farmaceutické Zovody; 1949).

Formulation(s): tabl. 300 mg

Trade Name(s):

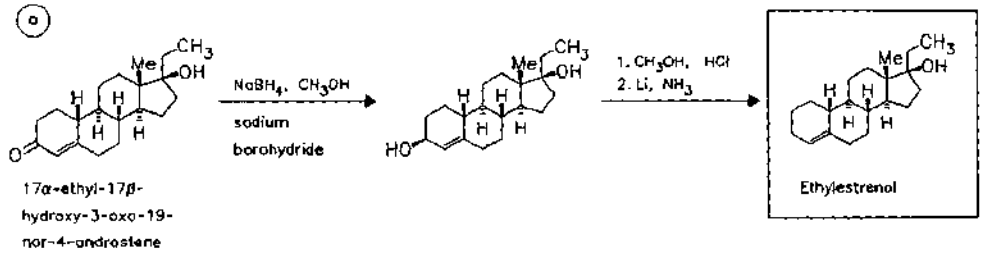
D:	Tromexan (Geigy); wfm	GB:	Tromexan (Geigy); wfm
F:	Tromexane (Geigy); wfm	I:	Etilbis (Tanff. Integrativo)

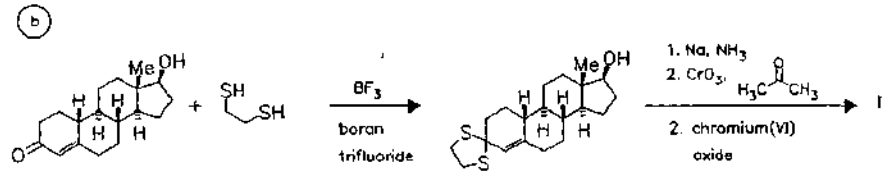
Ethylestrenol

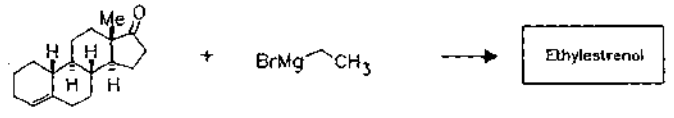
(Äthylestrenol; Äthyloestrenol)

ATC: A14AB02
Use: anabolic

RN: 965-90-2 MF: $C_{20}H_{32}O$ MW: 288.48 EINECS: 213-523-6
LD_{50}: >666.7 mg/kg (M, p.o.)
CN: (17α)-19-norpregn-4-en-17-ol







Reference(s):
a US 2 878 267 (Organon; 1959; N-prior. 1957).
b Winter, M.S. de et al.: Chem. Ind. (London) (CHINAG) **1959**, 905.

alternative synthesis:
US 3 112 328 (Organon; 26.11.1963; NL-prior. 24.8.1956).

Formulation(s): sol. 2 mg/5 ml; tabl. 2 mg

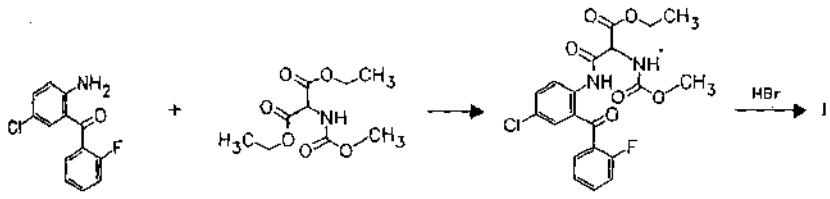
Trade Name(s):

F:	Orgaboline (Organon); wfm Orgaboline infantile (Organon); wfm	GB: I:	Orabolin (Organon); wfm Orgabolin (Ravasini Organon); wfm	J: USA:	Orgabolin (Organon-Sankyo) Maxibolin (Organon); wfm

Ethyl loflazepate

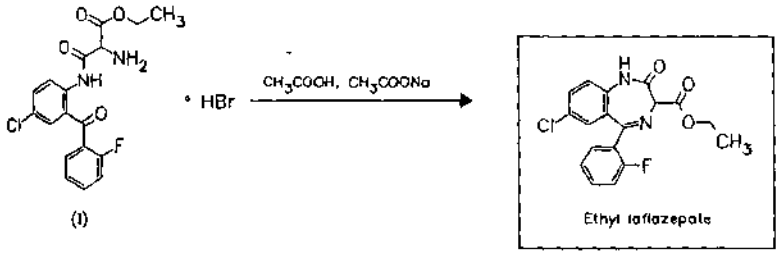
ATC: N05BA18
Use: tranquilizer

RN: 29177-84-2 MF: $C_{18}H_{14}ClFN_2O_3$ MW: 360.77 EINECS: 249-489-4
LD_{50}: 5506 mg/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: 7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-oxo-1*H*-1,4-benzodiazepine-3-carboxylic acid ethyl ester



2-amino-5-chloro-2'-fluorobenzophenone (cf. flunitrazepam synthesis)

diethyl methoxycarbonylaminomalonate



(I)

Reference(s):
BE 854 249 (Clin-Midy; appl. 5.5.1977; GB-prior. 5.5.1976).
DOS 2 719 608 (Clin-Midy; appl. 2.5.1977; GB-prior. 5.5.1976).
GB 1 538 165 (Clin-Midy; appl. 5.5.1977; prior. 5.5.1976).

alternative synthesis:
EP 22 710 (Clin-Midy; appl. 8.7.1980; F-prior. 12.7.1979).

Formulation(s): tabl. 2 mg

Trade Name(s):

F:	Victan (Sanofi Winthrop); wfm	I:	Victan (Midy); wfm
		J:	Meilax (Meiji Seika)

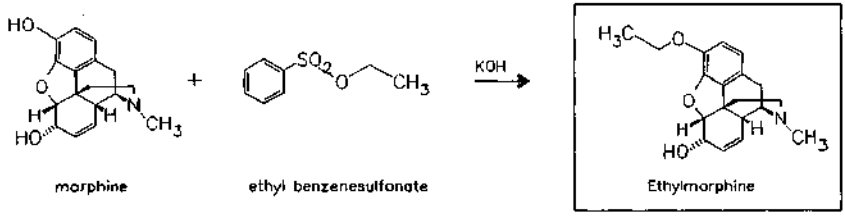
Ethylmorphine

(Codéthyline)

ATC: R05DA01; S01XA06
Use: antitussive, analgesic

RN: 76-58-4 MF: $C_{19}H_{23}NO_3$ MW: 313.40 EINECS: 200-970-7
LD_{50}: 120 mg/kg (M, i.p.); 520 mg/kg (M, p.o.); 136 mg/kg (M, s.c.); 110 mg/kg (R, i.p.); 62 mg/kg (R, i.v.); 810 mg/kg (R, p.o.); 200 mg/kg (R, s.c.)
CN: (5α,6α)-7,8-didehydro-4,5-epoxy-3-ethoxy-17-methylmorphinan-6-ol

hydrochloride
RN: 125-30-4 MF: $C_{19}H_{23}NO_3 \cdot HCl$ MW: 349.86 EINECS: 204-734-4
LD_{50}: 771 mg/kg (M, p.o.); 265 mg/kg (M, s.c.); 200 mg/kg (R, s.c.)
hydrochloride dihydrate
RN: 6746-59-4 MF: $C_{19}H_{23}NO_3 \cdot HCl \cdot 2H_2O$ MW: 385.89
LD_{50}: 200 mg/kg (M, s.c.)



morphine ethyl benzenesulfonate Ethylmorphine

Reference(s):
Ehrhart, Ruschig **I**, 118
DRP 131 980 (E. Merck AG; 1902).

Formulation(s): drg. 5 mg; tabl. 5 mg, 15 mg (as hydrochloride dihydrate)

Trade Name(s):

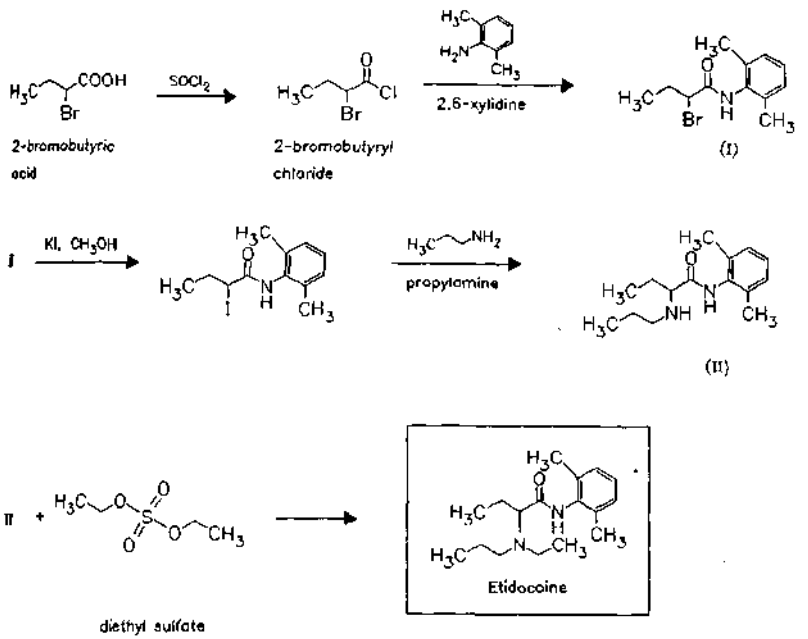
D:	Frubiapect (Dieckmann)-comb.; wfm	F:	Bronpax pates (Biocodex)-comb.		Végétosérum (Jumer)-comb.
	Nedolon (Merck)-comb.; wfm		Codéthyline Houdé (Hoechst Houdé)	I:	Codetilina Eucaliptolo Houdé (Teofarma)-comb.
	Noviform-Aethylmorphin		Ephydion (Aérocid)-comb.		Dionina (Tariff. Integrativo; as hydrochloride)
	Dispersa Augensalbe (Dispersa Baeschlin)-comb.; wfm		Poléry (Veyron et Froment)-comb.		Etilm (Tariff. Integrativo; as hydrochloride)
	Theralene pectoral Sirup (Rhône-Poulenc Pharma)-comb.; wfm		Pulmosodyl (Bridoux)-comb.		Mindol Merck (Bracco)-comb.
	Tussedat Pastillen (Sagitta)-comb.; wfm		Sédophon pectoral (Mayoly-Spindler)-comb.		
			Trachyl (Novartis)		
			Tussipax (Thérica)-comb.		

Etidocaine

ATC: N01BB07
Use: local anesthetic

RN: 36637-18-0 MF: $C_{17}H_{28}N_2O$ MW: 276.42 EINECS: 253-143-8
LD_{50}: 47.5 mg/kg (M, i.p.)
CN: (±)-*N*-(2,6-dimethylphenyl)-2-(ethylpropylamino)butanamide

monohydrochloride
RN: 36637-19-1 MF: $C_{17}H_{28}N_2O \cdot HCl$ MW: 312.89 EINECS: 253-144-3
LD_{50}: 6700 µg/kg (M, i.v.)



Reference(s):
US 3 812 147 (Astra; 21.5.1974; prior. 22.12.1970, 19.7.1971).
US 3 862 321 (Astra; 21.1.1975; prior. 22.12.1970, 19.7.1971, 4.3.1974).
DOS 2 162 744 (Astra; appl. 17.12.1971; USA-prior. 22.12.1970, 19.7.1971).

Formulation(s): amp. 5 mg/2 ml, 10 mg/ml, 12.5 mg/5 ml (as hydrochloride)

Trade Name(s):

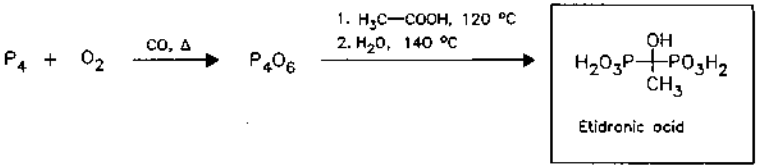
D:	Dur-Anest (Astra)	Duranest Adrénaline (Astra)	USA: Duranest (Astra)
F:	Duranest (Astra)		

Etidronic acid

ATC: M05BA01
Use: calcium regulator

RN: 2809-21-4 MF: $C_2H_8O_7P_2$ MW: 206.03 EINECS: 220-552-8
LD_{50}: 1800 mg/kg (M, p.o.)
CN: (1-hydroxyethylidene)bis[phosphonic acid]

disodium salt
RN: 7414-83-7 MF: $C_2H_6Na_2O_7P_2$ MW: 249.99 EINECS: 231-025-7
LD_{50}: 49 mg/kg (M, i.v.); 2050 mg/kg (M, p.o.);
73 mg/kg (R, i.v.); 1340 mg/kg (R, p.o.)



Reference(s):
FR 1 531 913 (Procter & Gamble; appl. 19.7.1967; USA-prior. 20.7.1966).

alternative syntheses:
US 3 366 675 (Procter & Gamble; 30.1.1968; prior. 30.3.1965).
NL 6 606 548 (Procter & Gamble; appl. 12.5.1966; USA-prior. 13.5.1965).
NL 6 610 762 (Procter & Gamble; appl. 29.7.1966; USA-prior. 29.7.1965, 31.5.1966).

Formulation(s): amp. 300 mg/6 ml; tabl. 200 mg, 400 mg (as disodium salt)

Trade Name(s):

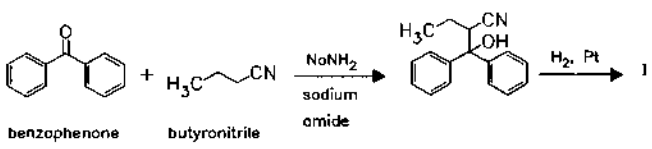
D:	Diphos (Procter & Gamble)	GB:	Didronel (Procter & Gamble; 1992)	USA:	Didronel (MGI)
F:	Didronel (Procter & Gamble)	I:	Etidron (Gentili)		Didronel (Procter & Gamble; as disodium salt)

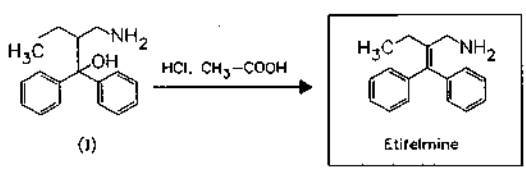
Etifelmine

Use: antihypotensive

RN: 341-00-4 MF: $C_{17}H_{19}N$ MW: 237.35
CN: 2-(diphenylmethylene)-1-butanamine

gluconate (1:1)
RN: 28599-37-3 MF: $C_{17}H_{19}N \cdot C_6H_{12}O_7$ MW: 433.50
hydrochloride
RN: 1146-95-8 MF: $C_{17}H_{19}N \cdot HCl$ MW: 273.81
LD_{50}: 28.6 mg/kg (M, i.v.); 115 mg/kg (M, p.o.);
17.4 mg/kg (R, i.v.); 148 mg/kg (R, p.o.)
nicotinate (1:1)
RN: 31149-45-8 MF: $C_{17}H_{19}N \cdot C_6H_5NO_2$ MW: 360.46





Reference(s):
DE 1 122 514 (Giulini; appl. 8.9.1959).

Formulation(s): drg. 11 mg in comb.

Trade Name(s):

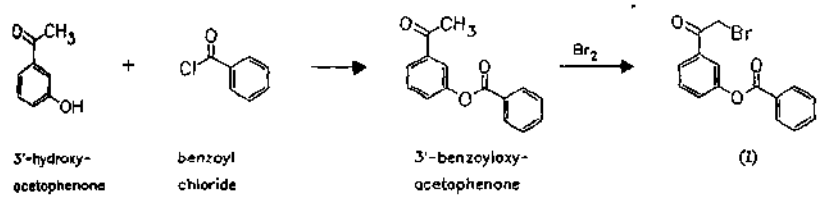
D:	Gilutensin (Giulini)-comb.; wfm	Orthoheptamin (Giulini)-comb.; wfm	J:	Tensinase-D (Nippon Chemiphar)	

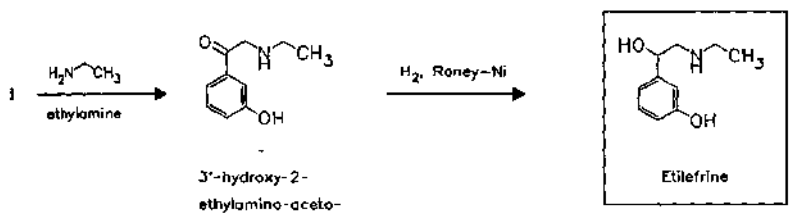
Etilefrine

ATC: C01CA01
Use: sympathomimetic, circulatory analeptic

RN: 709-55-7 MF: $C_{10}H_{15}NO_2$ MW: 181.24 EINECS: 211-910-4
LD_{50}: 770 mg/kg (M, p.o.);
114 mg/kg (R, p.o.)
CN: α-[(ethylamino)methyl]-3-hydroxybenzenemethanol

hydrochloride
RN: 943-17-9 MF: $C_{10}H_{15}NO_2 \cdot HCl$ MW: 217.70 EINECS: 213-398-8
LD_{50}: 860 mg/kg (M, s.c.);
>420 mg/kg (R, s.c.)





Reference(s):
DRP 520 079 (H. Legerlotz; 1926).
DRP 522 790 (H. Legerlotz; 1929).

Formulation(s): amp. 10 mg/ml; drops 5 mg/ml, 7.5 mg/ml; sol. 7.5 mg/ml; s. r. cps. 20 mg, 25 mg; tabl. 5 mg, 25 mg (as hydrochloride)

Trade Name(s):

D:	Adrenam (NAM Neukönigsförder)	Circuvit (Pharma Wernigerode)		Kreislauf Katovit (Boehringer Ing.)	
	Bioflutin (Südmedica)	Confidol (Medopharm)		Thomasin (Apogepha)	
	Cardanat (Temmler)	Effortil Depot (Boehringer Ing.)		numerous combination preparations and generics	
	Cardialgine (MIP Pharma)	Etilefrin (Chephasaar)	F:	Effortil (Boehringer Ing.)	
	Circupon RR-Kapseln (gegepharm)	Eti-Puren (Isis Puren)	I:	Effortil (Boehringer Ing.)	

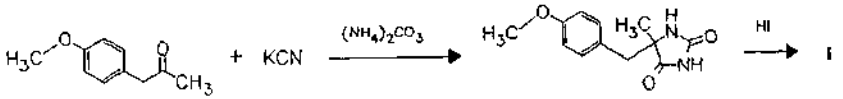
J: Effortil (Boehringer-Tanabe)

Etiroxate

ATC: C10A
Use: antiarteriosclerotic (cholesterol depressant and antihyperlipidemic)

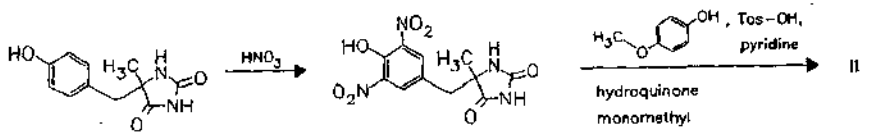
RN: 17365-01-4 MF: $C_{18}H_{17}I_4NO_4$ MW: 818.95
CN: *O*-(4-hydroxy-3,5-diiodophenyl)-3,5-diiodo-α-methyl-DL-tyrosine ethyl ester

hydrochloride
RN: 55327-22-5 MF: $C_{18}H_{17}I_4NO_4 \cdot HCl$ MW: 855.41 EINECS: 259-593-1



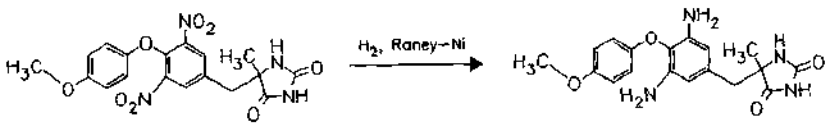
4-methoxybenzyl methyl ketone

5-(4-methoxybenzyl)-5-methylhydantoin

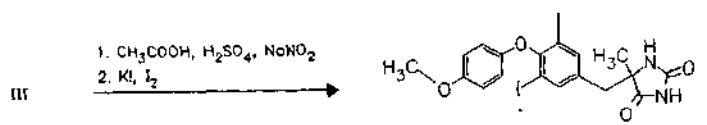


5-(4-hydroxybenzyl)-5-methylhydantoin (I)

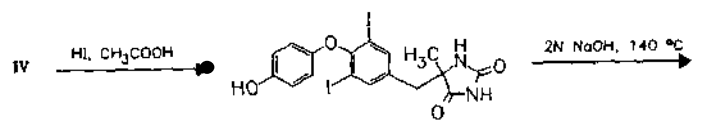
hydroquinone monomethyl ether

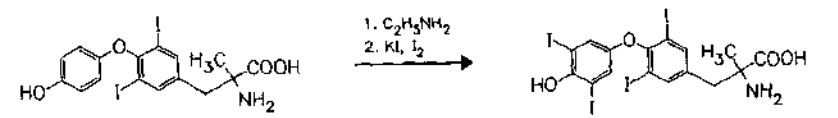


(II) (III)

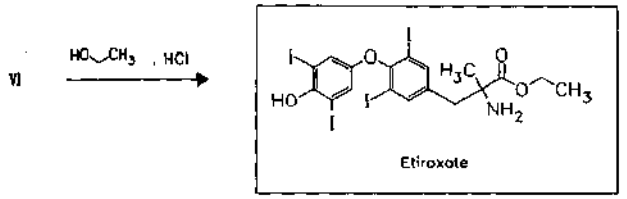


(IV)





3,5-diiodo-α-methylthyronine (V) (VI)



Reference(s):
DE 1 493 533 (Chemie Grünenthal; appl. 10.4.1964).
DAS 1 493 567 (Chemie Grünenthal; appl. 7.10.1965).
US 3 930 017 (Chemie Grünenthal; 30.12.1975; D-prior. 7.10.1965).
US 4 110 470 (Chemie Grünenthal; 29.8.1978; D-prior. 7.10.1965).

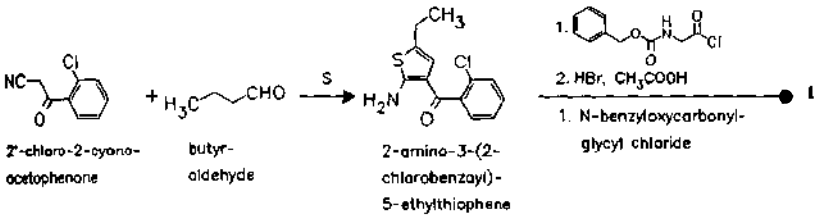
Formulation(s): cps. 20 mg

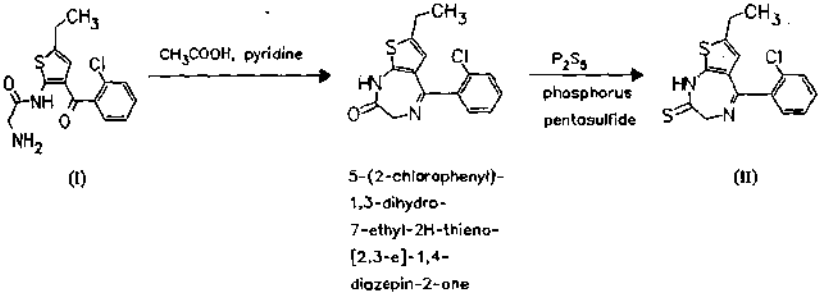
Trade Name(s):
D: Skleronorm (Grünenthal);
wfm

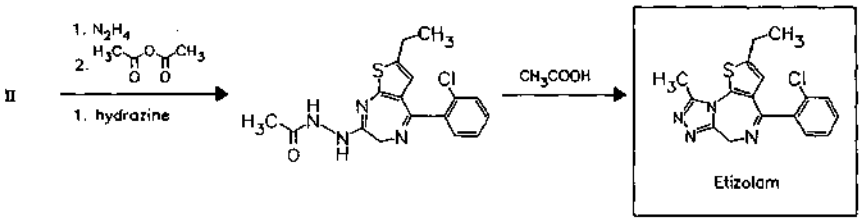
Etizolam

ATC: N05BA19; N05CD
Use: benzodiazepine tranquilizer, anxiolytic, sedative

RN: 40054-69-1 MF: $C_{17}H_{15}ClN_4S$ MW: 342.85
LD_{50}: 4258-4358mg/kg (M, p.o.); >5000 mg/kg (M, s.c.);
3619-3509 mg/kg (R, p.o.); >5000 mg/kg (R, s.c.)
CN: 4-(2-chlorophenyl)-2-ethyl-9-methyl-6*H*-thieno[3,2-*f*][1,2,4]triazolo[4,3-*a*][1,4]diazepine







Reference(s):
Nakanishi, M. et al.: J. Med. Chem. (JMCMAR) **16**, 214 (1973).
Nakanishi, M. et al.: Arzneim.-Forsch. (ARZNAD) **22**, 1905 (1972).
Tahara, T. et al.: Arzneim.-Forsch. (ARZNAD) **28**, 1153 (1978).
DOS 2 229 845 (Yoshitomi; appl. 19.6.1972; J-prior. 18.6.1971, 21.6.1971, 30.6.1971, 8.7.1971, 10.7.1971, 13.7.1971).
US 3 904 641 (Yoshitomi; 9.9.1975; J-prior. 18.6.1971, 21.6.1971, 30.6.1971, 8.7.1971, 10.7.1971, 13.7.1971).

Formulation(s): drops 0.05 %; tabl.0.5 mg, 1 mg

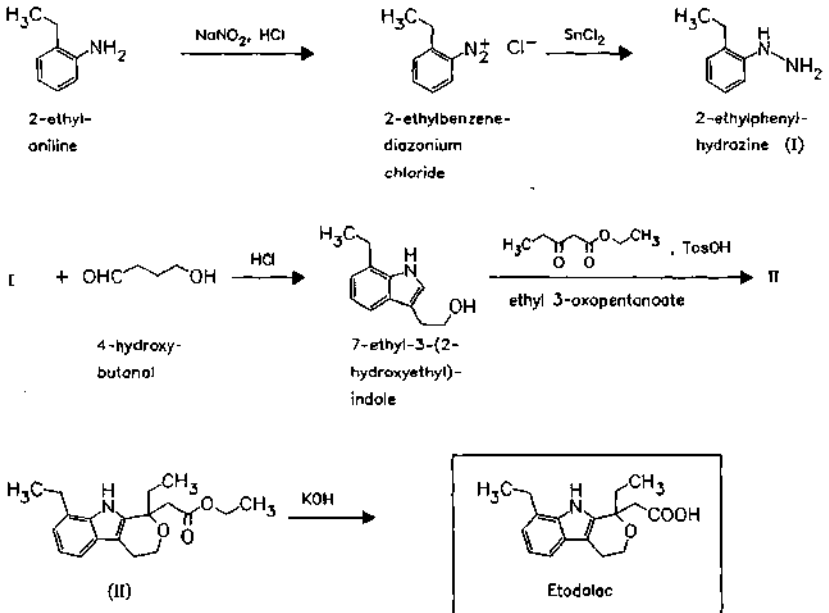
Trade Name(s):
I: Depas (Pierrel) Pasaden (Farmades) J: Depas (Yoshitomi)

Etodolac

(Etodolic acid; Etodolsäure)

ATC: M01AB08
Use: anti-inflammatory, analgesic

RN: 41340-25-4 MF: $C_{17}H_{21}NO_3$ MW: 287.36
LD_{50}: 593 mg/kg (M, p.o.);
94 mg/kg (R, p.o.)
CN: 1,8-diethyl-1,3,4,9-tetrahydropyrano[3,4-*b*]indole-1-acetic acid



Reference(s):
US 3 939 178 (American Home Products; 17.2.1976; appl. 15.9.1972).
US 3 843 681 (American Home Products; 22.10.1974; appl. 1.6.1971).
GB 1 391 005 (American Home Products; appl. 1.6.1972; USA-prior. 1.6.1971).
DOS 2 226 340 (American Home Products; appl. 30.5.1972; USA-prior. 1.6.1971).
FR 2 140 154 (American Home Products; appl. 1.6.1972; USA-prior. 1.6.1971).
Demerson, C.A. et al.: J. Med. Chem. (JMCMAR) **18**, 189 (1975).
Demerson, C.A. et al.: J. Med. Chem. (JMCMAR) **19**, 391 (1976).

racemate resolution:
US 4 520 203 (American Home Products; 28.5.1985; appl. 16.8.1983).
US 4 544 757 (American Home Products; 1.10.1985; appl. 16.2.1984).

Formulation(s): cps. 200 mg, 300 mg; s. r. tabl. 400 mg, 600 mg; tabl. 100 mg, 200 mg, 400 mg, 500 mg

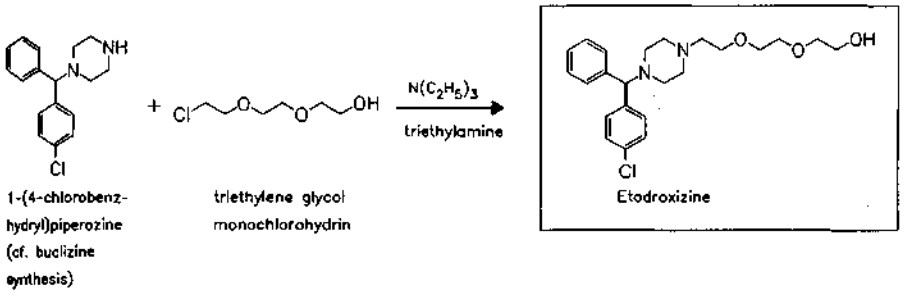
Trade Name(s):

F:	Lodine (Wyeth)	I:	Edolan (Lepetit; 1987)		Ostelac (Wyeth)
GB:	Lodine SR (Monmouth; 1985)		Lodine (Wyeth; 1987)	USA:	Lodine (Wyeth-Ayerst)
		J:	Hypen (Nippon Shinyaku)		

Etodroxizine

ATC: N05C
Use: tranquilizer, hypnotic

RN: 17692-34-1 MF: $C_{23}H_{31}ClN_2O_3$ MW: 418.97
LD_{50}: 70 mg/kg (M, i.v.); 540 mg/kg (M, p.o.);
58 mg/kg (R, i.v.); 920 mg/kg (R, p.o.)
CN: 2-[2-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]ethoxy]ethanol



1-(4-chlorobenzhydryl)piperozine (cf. buclizine synthesis)

triethylene glycol monochlorohydrin

Reference(s):
GB 817 231 (UCB; appl. 1957; B-prior. 1956).

Formulation(s): tabl. 50 mg

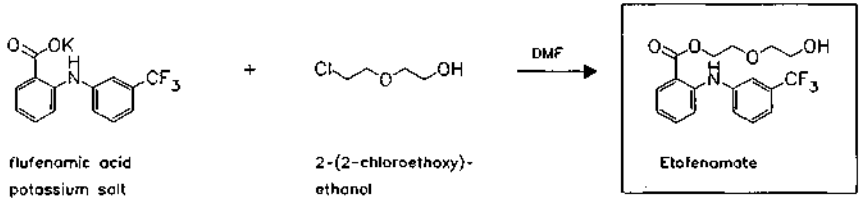
Trade Name(s):

D:	Vesparax (UCB)-comb.; wfm	F:	Drimyl (Cassenne); wfm

Etofenamate

ATC: M02AA06
Use: anti-inflammatory

RN: 30544-47-9 MF: $C_{18}H_{18}F_3NO_4$ MW: 369.34 EINECS: 250-231-8
LD_{50}: 75 mg/kg (M, i.v.); 743 mg/kg (M, p.o.);
139 mg/kg (R, i.v.); 292 mg/kg (R, p.o.)
CN: 2-[[3-(trifluoromethyl)phenyl]amino]benzoic acid 2-(2-hydroxyethoxy)ethyl ester



Reference(s):
DE 1 939 112 (Troponwerke; appl. 1.8.1969).
US 3 692 818 (Troponwerke; 19.9.1972; D-prior. 1.8.1969).

Formulation(s): amp. 1 g/2 ml; cream 100 mg/g; gel 50 mg/g; lotion 100 mg/g

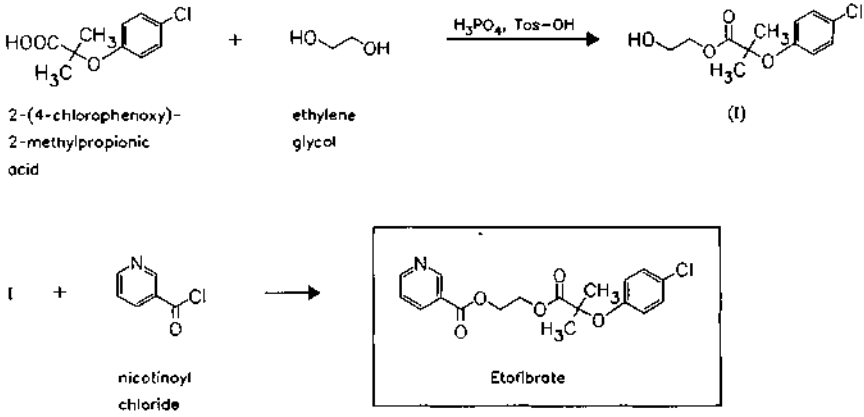
Trade Name(s):

D:	Algesalona (Solvay Arzneimittel)		Traumon (Bayer Vital; 1984)
	Rheumon (Bayer Vital; 1977)	I:	Bayrogel (Bayropharm; 1980)

Etofibrate

ATC: C01AB09
Use: antihyperlipidemic, cholesterol depressant

RN: 31637-97-5 MF: $C_{18}H_{18}ClNO_5$ MW: 363.80 EINECS: 250-743-1
CN: 3-pyridinecarboxylic acid 2-[2-(4-chlorophenoxy)-2-methyl-1-oxopropoxy]ethyl ester



Reference(s):
DOS 1 941 217 (Merz & Co.; appl. 13.8.1969).
DOS 2 519 535 (Alter S.A.; Madrid; appl. 2.5.1975; E-prior. 29.5.1974).
DOS 2 531 254 (Merz & Co.; 12.7.1975; GB-prior. 5.9.1974).
DOS 2 542 413 (Alter S.A.; appl. 23.9.1975; E-prior. 4.6.1975).
DOS 2 542 414 (Alter S.A.; appl. 23.9.1975; E-prior. 4.6.1975).
US 3 723 446 (Merz & Co.; 27.3.1973; appl. 12.8.1970; D-prior. 13.8.1969).

Formulation(s): s. r. cps. 500 mg

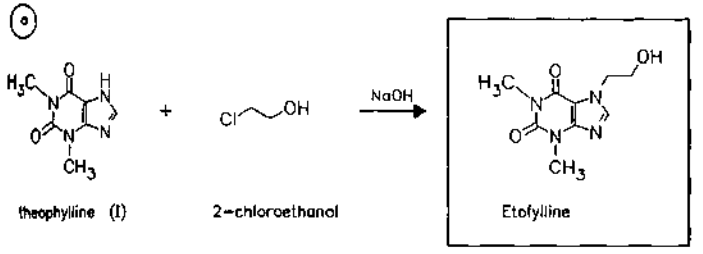
Trade Name(s):
D: Lipo-Merz (Merz & Co.; 1974)

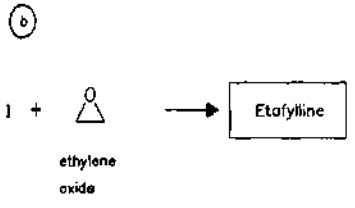
Etofylline

(Oxyethyltheophylline; Hydroxyäthyltheophyllin)

ATC: C03BD
Use: cardiotonic, bronchodilator

RN: 519-37-9 MF: $C_9H_{12}N_4O_3$ MW: 224.22 EINECS: 208-269-8
LD_{50}: 344 mg/kg (M, i.v.); 400 mg/kg (M, p.o.); 486 mg/kg (R, i.v.); 710 mg/kg (R, p.o.)
CN: 3,7-dihydro-7-(2-hydroxyethyl)-1,3-dimethyl-1*H*-purine-2,6-dione





Reference(s):
US 2 715 125 (Gane's Chem. Works; 1955; prior. 1953).

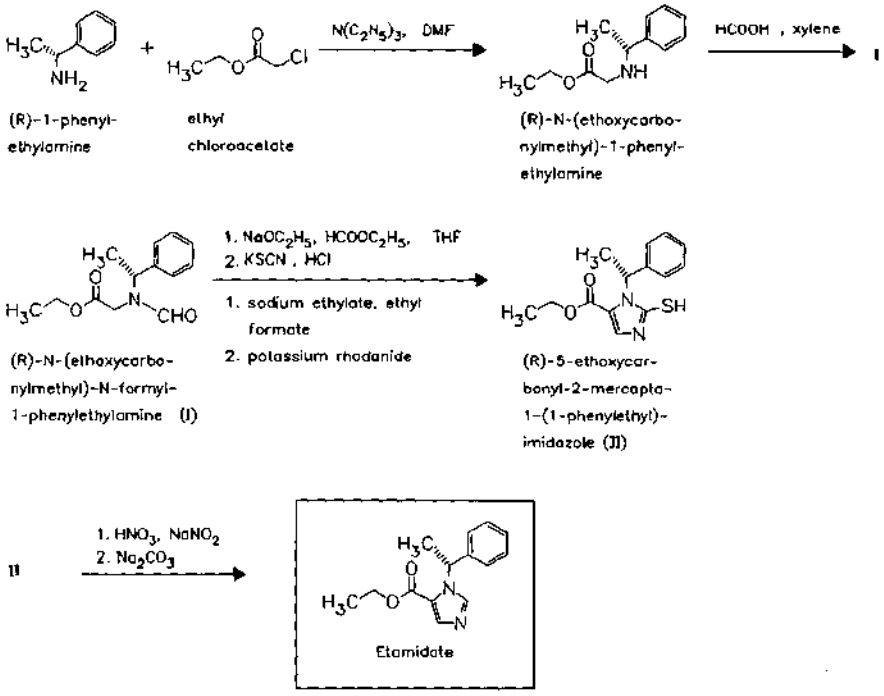
Formulation(s): drg. 50 mg, 80 mg in comb.

Trade Name(s):
D: Coroverlan (Verla); Eucebral (Südmedica)-comb.
F: Oxyphylline (Amido); wfm
I: Teostallarid (SmithKline Beecham)
J: Oxyphylline (Sankyo)

Etomidate

ATC: N01AX07
Use: anesthetic, hypnotic

RN: 33125-97-2 MF: $C_{14}H_{16}N_2O_2$ MW: 244.29 EINECS: 251-385-9
LD_{50}: 29.5 mg/kg (M, i.v.); 650 mg/kg (M, p.o.); 14.8 mg/kg (R, i.v.)
CN: (*R*)-1-(1-phenylethyl)-1*H*-imidazole-5-carboxylic acid ethyl ester



Reference(s):
US 3 354 173 (Janssen; 21.11.1967; prior. 16.4.1964).
DAS 1 545 988 (Janssen; appl. 14.4.1965; USA-prior. 16.4.1964).
Janssen, P.A.J. et al.: Arzneim.-Forsch. (ARZNAD) **21**, 1234 (1971).

injection solution:
DOS 2 937 290 (Janssen; appl. 14.9.1979; USA-prior. 14.9.1978).

Formulation(s): amp. 2 mg/ml, 20 mg/10 ml

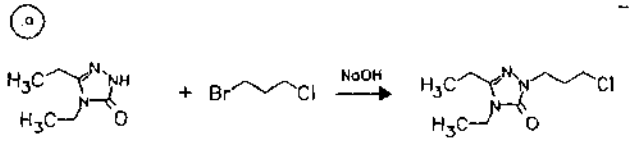
Trade Name(s):

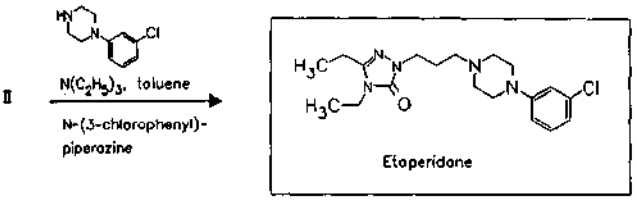
D:	Hypnomidate (Janssen-Cilag)	F:	Hypnomidate (Janssen-Cilag)
	Radenarcon (ASTA Medica AWD)	GB:	Hypnomidate (Janssen)
		USA:	Amidate (Abbott); wfm

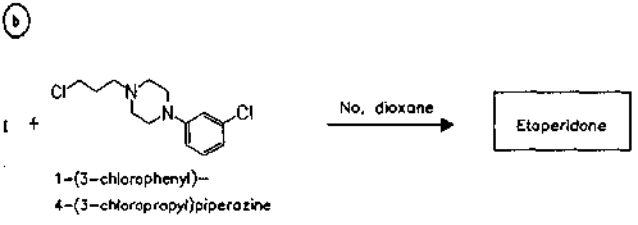
Etoperidone

ATC: N06AB09
Use: antidepressant

RN: 52942-31-1 MF: $C_{19}H_{28}ClN_5O$ MW: 377.92
CN: 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5-diethyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one







Reference(s):
DOS 2 351 739 (Angelini Francesco; appl. 15.10.1973; I-prior. 16.10.1972).
US 3 857 845 (Angelini Francesco; 31.12.1974; I-prior. 16.10.1972).

use as antiparkinsonian:
US 4 162 318 (Angelini Francesco; 24.7.1979; I-prior. 5.5.1976).
US 4 132 791 (Angelini Francesco; 2.1.1979; I-prior. 5.5.1976).

combination with L-dopa *as antiparkinsonian:*
US 4 131 675 (Angelini Francesco; 26.12.1978; prior. 9.2.1978).

Formulation(s): cps. 25 mg, 50 mg

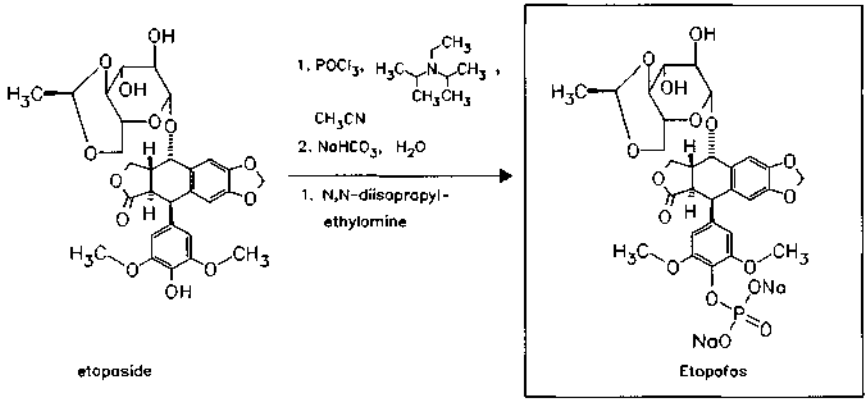
Trade Name(s):
I: Staff (Sigma-Tau); wfm

Etopophos
(BMY-40481-30)

ATC: L01CB
Use: antineoplastic (podophyllotoxin derivative)

RN: 122405-33-8 MF: $C_{29}H_{31}Na_2O_{16}P$ MW: 712.51
CN: [5*R*-[5α,5aβ,8aα,9β(*R**)]]-5-[3,5-dimethoxy-4-(phosphonooxy)phenyl]-9-[(4,6-*O*-ethylidene-β-D-glucopyranosyl)oxy]-5,8,8a,9-tetrahydrofuro[3',4':6,7]naphtho[2,3-*d*]-1,3-dioxol-6(5a*H*)-one disodium salt

hexahydrate
RN: 151062-35-0 MF: $C_{29}H_{31}Na_2O_{16}P \cdot 6H_2O$ MW: 820.60
free acid
RN: 117091-64-2 MF: $C_{29}H_{33}O_{16}P$ MW: 668.54



Reference(s):
GB 2 207 674 (Bristol-Myers Squibb; appl. 3.8.1988; USA-prior. 27.5.1988, 4.8.1987).

synthesis of etoposide-4'-phosphate:
EP 511 563 (Bristol-Myers Squibb; appl. 16.4.1992; USA-prior. 29.4.1991, 20.2.1992).
EP 567 089 (Nippon Kayaku; appl. 21.4.1993; J-prior. 24.4.1992).

preparation of etoposide *without extensive purification:*
EP 652 226 (Bristol-Myers Squibb; appl. 3.11.1994; USA-prior. 4.11.1993).

stable hexahydrate with improved storage stability:
EP 548 834 (Bristol-Myers Squibb; appl. 18.12.1992; USA-prior. 23.12.1991).

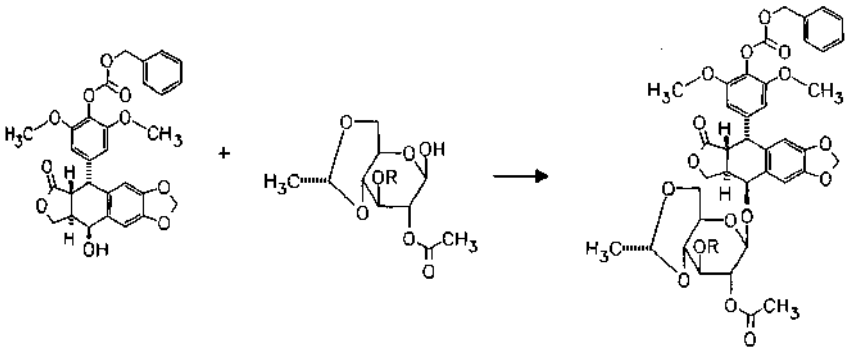
Formulation(s): vial 100 mg

Trade Name(s):
USA: Etopophos (Bristol-Myers)

Etoposide
(VP-16-213)

ATC: L01CB01
Use: antineoplastic, podophyllotoxin derivative

RN: 33419-42-0 MF: $C_{29}H_{32}O_{13}$ MW: 588.56 EINECS: 251-509-1
LD_{50}: 15.07 mg/kg (M, i.v.); 215 mg/kg (M, p.o.); 75 mg/kg (R, i.v.); 1784 mg/kg (R, p.o.)
CN: [5*R*-[5α,5aβ,8aα,9β(*R**)]]-9-[(4,6-*O*-ethylidene-β-D-glucopyranosyl)oxy]-5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)furo[3',4':6,7]naphtho[2,3-*d*]-1,3-dioxol-6(5a*H*)-one

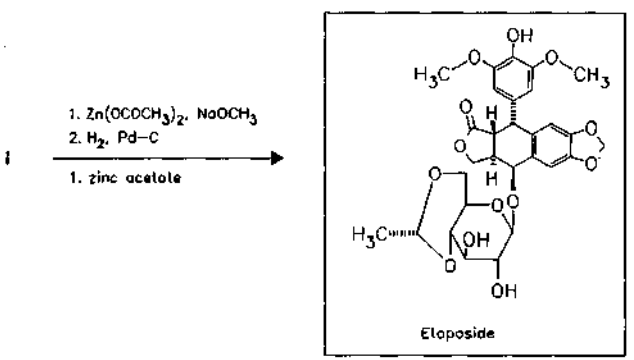


4'-benzyloxycarbonyl-4'-demethylepipodophyllotoxin (cf. teniposide synthesis)

4,6-O-(R)-ethylidene-2,3-di-O-acetyl-β-D-glucopyranose

(I)

R: CH_3



1. $Zn(OCOCH_3)_2$, $NaOCH_3$
2. H_2, Pd–C

1. zinc acetate

Etoposide

Reference(s):

DE 1 643 521 (Sandoz; prior. 9.12.1967).
US 3 524 844 (Sandoz; 18.8.1970; CH-prior. 21.6.1965).
CH 514 578 (Sandoz; appl. 27.2.1968).
Keller-Juseen, C. et al.: J. Med. Chem. (JMCMAR) **14**, 936 (1971).
US 5 637 680 (Nippon Kayaku; 10.6.1997; J-prior. 24.4.1992).
EP 778 282 (Nippon Kayaku; appl. 3.12.1996; J-prior. 4.12.1995, 8.12.1995).
Allevi, P. et al.: J. Org. Chem. (JOCEAH) **58**, 4175 (1993).

Formulation(s): cps. 50 mg, 100 mg; vial 100 mg/5 ml, 150 mg/7.5 ml, 500 mg/25 ml, 1 g/50 ml

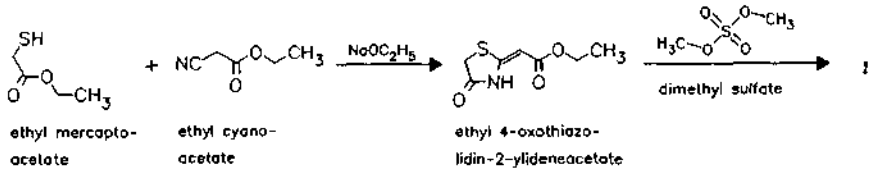
Trade Name(s):

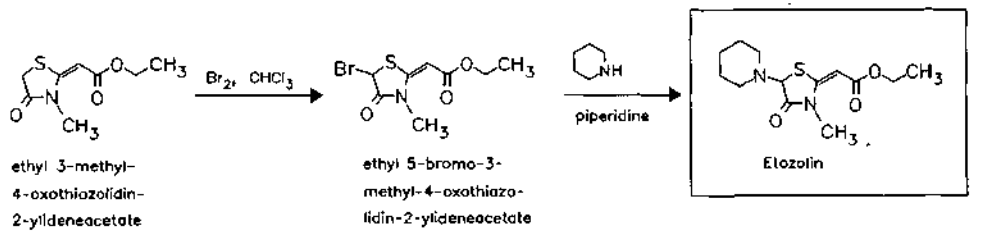
D:	Etomedac (medac) Vepesid (Bristol-Myers Squibb; 1980)		Etoposide Pierre Fabre (Pierre Fabre) Vepeside (Novartis)	J:	Lastet (Nippon Kayaku; 1987) Vepesid (Bristol Squibb; 1987)
F:	Celltop (ASTA Medica) Etopophos (Bristol-Myers Squibb)	GB:	Vepesid (Bristol-Myers Squibb; 1981)	USA:	Ve Pesid (Bristol-Myers Squibb; 1983)
		I:	Vepesid (Bristol It. Sud; 1982); wfm		

Etozolin

ATC: C03CX01
Use: diuretic

RN: 73-09-6 MF: $C_{13}H_{20}N_2O_3S$ MW: 284.38 EINECS: 200-794-0
LD_{50}: 8670 mg/kg (M, p.o.);
10250 mg/kg (R, p.o.)
CN: [3-methyl-4-oxo-5-(1-piperidinyl)-2-thiazolidinylidene]acetic acid ethyl ester





Reference(s):
US 3 072 653 (Warner-Lambert; 8.1.1963; appl. 6.3.1961).
DE 1 160 441 (Warner-Lambert; appl. 21.10.1961; USA-prior. 6.3.1961).
GB 1 022 047 (Warner-Lambert; appl. 23.11.1962).
GB 1 022 048 (Warner-Lambert; appl. 23.11.1962).
Satzinger, G.: Justus Liebigs Ann. Chem. (JLACBF) **665**, 150 (1963).

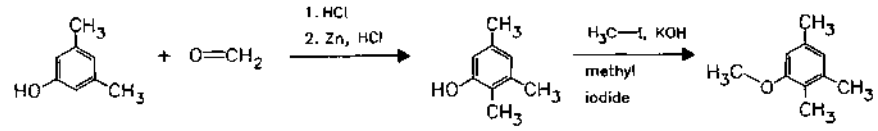
Formulation(s): tabl. 200 mg, 400 mg

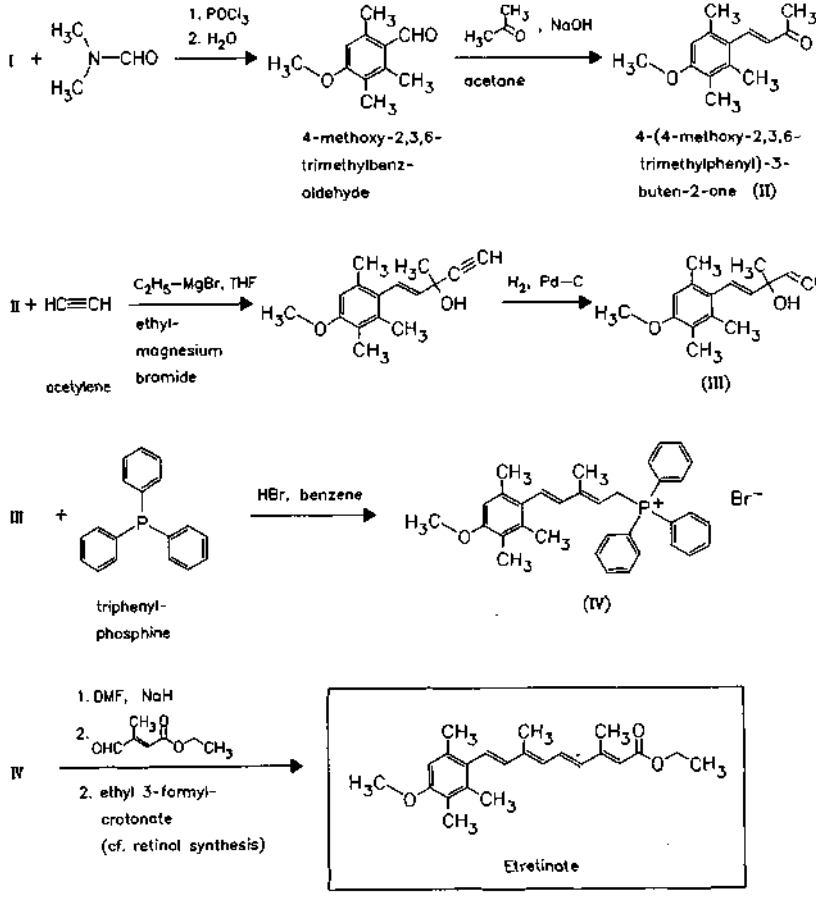
Trade Name(s):
D: Elkapin (Gödecke); wfm I: Elkapin (Parke Davis)

Etretinate

ATC: D05BB01
Use: antipsoriatic

RN: 54350-48-0 MF: $C_{23}H_{30}O_3$ MW: 354.49 EINECS: 259-119-3
LD_{50}: 1176 mg/kg (M, i.p.); >2000 mg/kg (M, p.o.);
>2000 mg/kg (R, i.p.); >4000 (R, p.o.)
CN: (*all-E*)-9-(4-methoxy-2,3,6-trimethylphenyl)-3,7-dimethyl-2,4,6,8-nonatetraenoic acid ethyl ester





Reference(s):
Mayer, H. et al.: Experientia (EXPEAM) **34**, 1105 (1978).
US 4 105 681 (Roche; 8.8.1978; prior. 22.3.1974; 1.8.1975; 13.8.1976).
DOS 2 414 619 (Roche; appl. 26.3.1974; CH-prior. 30.3.1973).
US 4 215 215 (Hoffmann-La Roche; 29.7.1980; prior. 6.7.1979).

medical use:
US 4 200 647 (Hoffmann-La Roche; 29.4.1980; appl. 12.12.1978; CH-prior. 21.12.1977).

Formulation(s): cps. 10 mg, 25 mg

Trade Name(s):

D:	Tigason (Roche; 1982); wfm	GB:	Tigason (Roche); wfm	USA:	Tegison (Roche; 1986)
F:	Tigason (Roche); wfm	I:	Tigason (Roche)		
		J:	Tigason (Roche)		

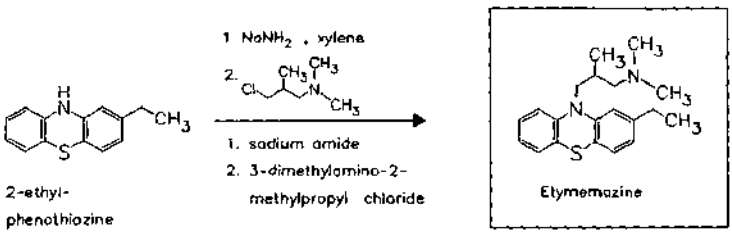
Etymemazine

(Äthylisobutrazin; Ethotrimeprazine; Ethylisobutrazine)

ATC: R06AD
Use: antihistaminic, tranquilizer, hypnotic

RN: 523-54-6 MF: $C_{20}H_{26}N_2S$ MW: 326.51
CN: 2-ethyl-*N*,*N*,β-trimethyl-10*H*-phenothiazine-10-propanamine

monohydrochloride
RN: 3737-33-5 MF: $C_{20}H_{26}N_2S \cdot HCl$ MW: 362.97 EINECS: 223-111-8
LD_{50}: 70 mg/kg (M, i.v.)



Reference(s):
DE 1 034 638 (Rhône-Poulenc; appl. 1955; F-prior. 1954).

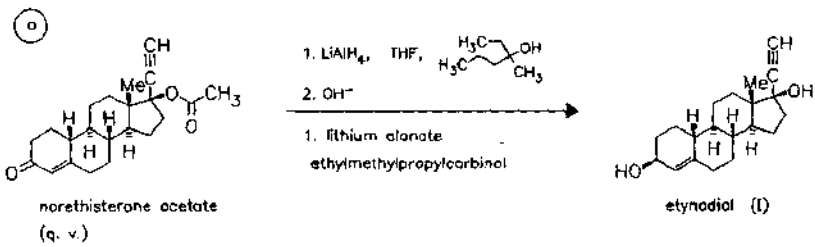
Trade Name(s):
F: Nuital (Vaillant-Defresne);
wfm

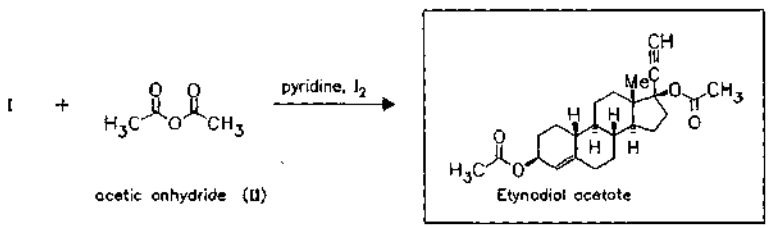
Etynodiol acetate

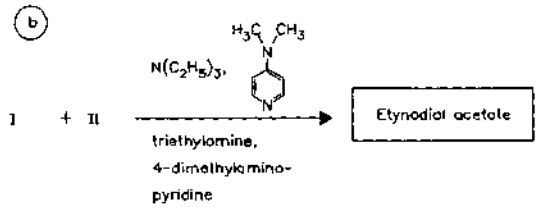
(Äthynodioldiacetat; Ethynodiol diacetate; Etynodiol diacetate)

ATC: G03AA
Use: progestogen (in combination with estrogen as oral contraceptive)

RN: 297-76-7 MF: $C_{24}H_{32}O_4$ MW: 384.52 EINECS: 206-044-9
CN: (3β,17α)-19-norpregn-4-en-20-yne-3,17-diol diacetate







Reference(s):
a US 3 176 013 (Searle; 30.3.1965; appl. 25.7.1963).
DE 1 668 604 (Gedeon Richter; appl. 7.9.1967; H-prior. 7.9.1969).
DE 2 137 557 (Gedeon Richter; appl. 27.7.1971; H-prior. 29.7.1970).
b DE 2 137 856 (Searle; appl. 29.7.1971; USA-prior. 30.6.1970).

alternative synthesis:
DD 91 649 (G. Teichmüller et al.; appl. 2.3.1971).

Formulation(s): tabl. 1 mg

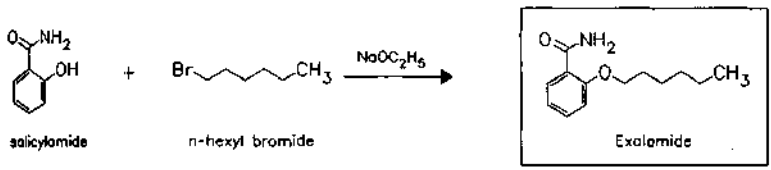
Trade Name(s):

D:	Alfames E (Kade)-comb.; wfm	GB:	Femulen (Searle)		Ovaras (Serono)-comb.; wfm
	Ovulen (Boehringer Mannh.)-comb.; wfm	I:	Luteolas (Serono)-comb.; wfm	J:	Ovulen (Dainippon)-comb.
	Ovulen (Searle)-comb.; wfm		Luteonorm (Serono); wfm	USA:	Demulen 21/28 (Searle)-comb.
F:	Luto-métrodiol (Monsanto; as diacetate)		Metrulen (SPA)-comb.; wfm		
			Miniluteolas (Serono)-comb.; wfm		

Exalamide

ATC: D01AE
Use: topical antifungal

RN: 53370-90-4 MF: $C_{13}H_{19}NO_2$ MW: 221.30 EINECS: 258-504-3
LD_{50}: 13.21 g/kg (M, p.o.); >5 g/kg (R, p.o.)
CN: 2-(hexyloxy)benzamide



Reference(s):
GB 726 786 (Herts Pharm.; appl. 1952).

pharmaceutical formulation:
GB 872 891 (Smith & Nephew; appl. 1957).
Bevin, E.M. et al.: J. Pharm. Pharmacol. (JPPMAB) **4**, 872 (1952).

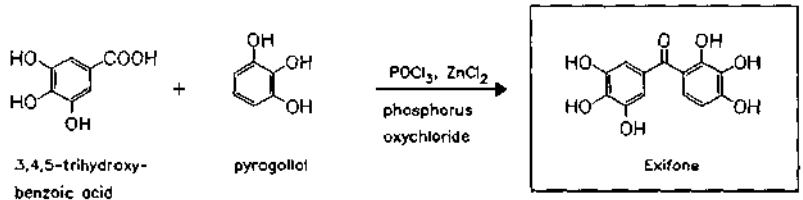
Formulation(s): ointment 5 %; sol. 5 %

Trade Name(s):
J: Hyperan (S. S. Pharm.)

Exifone

ATC: N07X
Use: cognition enhancer, nootropic

RN: 52479-85-3 MF: $C_{13}H_{10}O_7$ MW: 278.22 EINECS: 257-945-9
LD_{50}: 355 mg/kg (R, i.p.); 1425 mg/kg (R, p.o.)
CN: (2,3,4-trihydroxyphenyl)(3,4,5-trihydroxyphenyl)methanone



Reference(s):
DE 2 501 443 (Lab. Pharmascience; appl. 15.1.1975; F-prior. 15.1.1974).
GB 1 495 331 (Lab. Pharmascience; appl. 15.1.1975; F-prior. 15.1.1974).

Formulation(s): tabl. 200 mg

Trade Name(s):
F: Adlone (Pharmascience; 1988); wfm

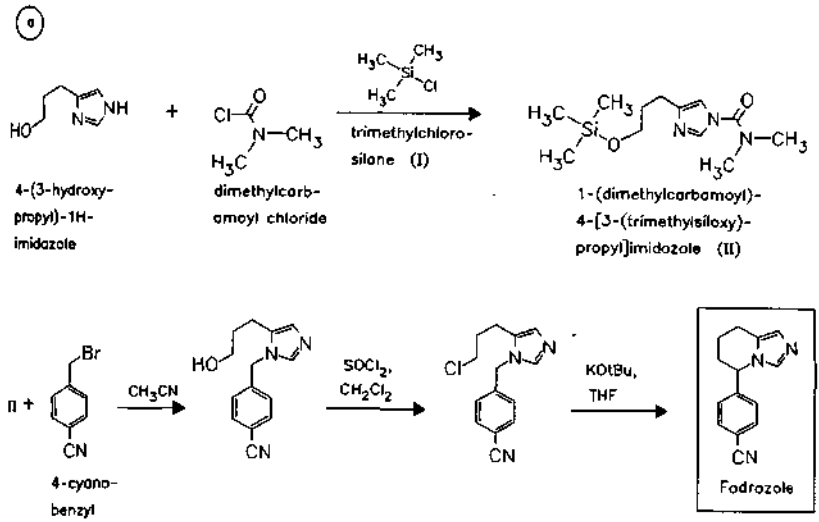
Fadrozole

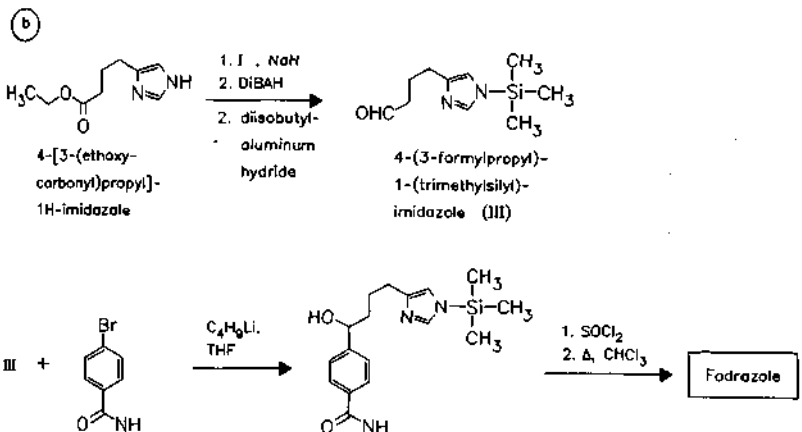
(CGS-16949A)

ATC: L01
Use: antineoplastic, non-steroidal aromatase inhibitor

RN: 131833-76-6 MF: $C_{14}H_{13}N_3$ MW: 223.28
CN: (±)-4-(5,6,7,8-tetrahydroimidazo[1,5-*a*]pyridin-5-yl)benzonitrile

monohydrochloride
RN: 102676-96-0 MF: $C_{14}H_{13}N_3 \cdot HCl$ MW: 259.74
(*S*)-form
RN: 102676-86-8 MF: $C_{14}H_{13}N_3$ MW: 223.28





Reference(s):
EP 165 904 (Ciba-Geigy AG; appl. 17.6.1985; USA-prior. 20.6.1984; 20.6.1985).

administration of (–)-fadrozole:
WO 9 528 156 (Sepracor Inc.; appl. 11.4.1995; USA-prior. 14.4.1994).

preparation of starting materials:
Ganellin, C.R. et al.: J. Med. Chem. (JMCMAR) **39** (19), 3806 (1996).
Pasini, C.: Gazz. Chim. Ital. (GCITA9) **87**, 1464, 1473 (1957)
Akabori: Ber. Dtsch. Chem. Ges. B (BDCBAD) **66** 151, 156 (1933).

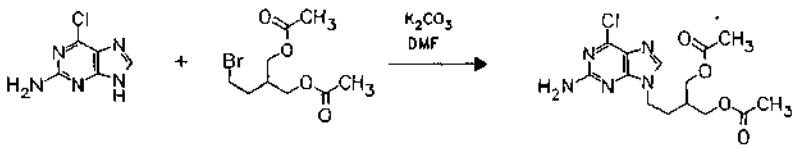
Formulation(s): tabl. 1 mg (as hydrochloride)

Trade Name(s):
J: Afema (Ciba-Geigy) USA: Arensin (Ciba-Geigy)

Famciclovir
(BRL-42810)

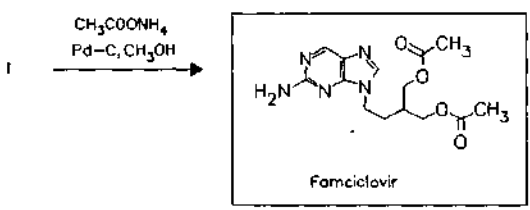
ATC: J05AB09; S01AD07
Use: antiviral

RN: 104227-87-4 MF: $C_{14}H_{19}N_5O_4$ MW: 321.34
CN: 2-[2-(2-amino-9*H*-purin-9-yl)ethyl]-1,3-propanediol diacetate (ester)



2-amino-6-chloropurine

9-[4-acetoxy-3-(acetoxymethyl)butyl]-2-amino-6-chloropurine (I)



Reference(s):
EP 182 024 (Beecham Group; appl. 9.9.1985; GB-prior. 16.8.1985).

alternative preparation of intermediate I:
WO 9 528 402 (SmithKline Beecham; appl. 19.4.1995; GB-prior. 19.4.1994).

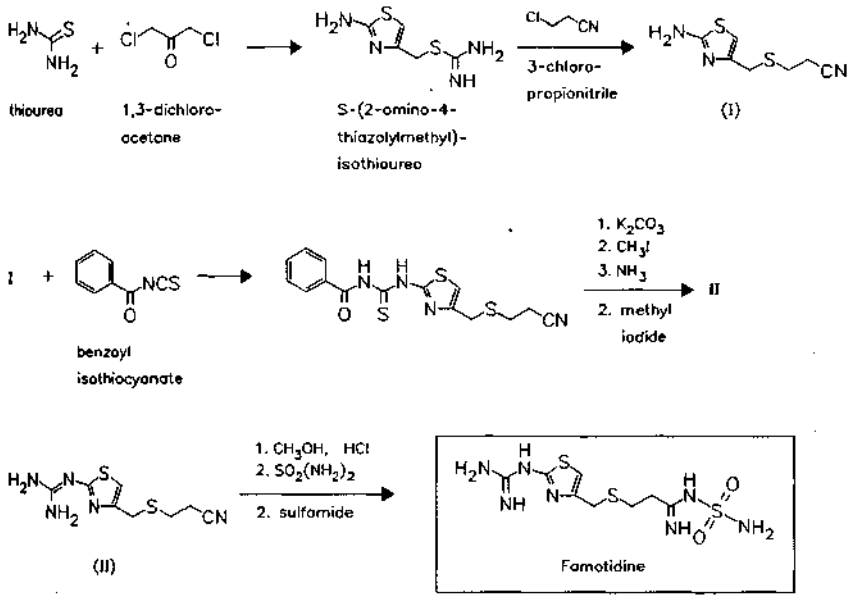
Formulation(s): f. c. tabl. 125 mg, 250 mg, 500 mg

Trade Name(s):
D: Famvir (SmithKline Beecham) GB: Famvir (SmithKline Beecham) USA: Famvir (SmithKline Beecham)

Famotidine

ATC: A02BA03
Use: ulcer therapeutic, H_2-receptor antagonist

RN: 76824-35-6 MF: $C_8H_{15}N_7O_2S_3$ MW: 337.45
LD_{50}: 244.4 mg/kg (M, i.v.)
CN: 3-[[[2-[(aminoiminomethyl)amino]-4-thiazolyl]methyl]thio]-*N*-(aminosulfonyl)propanimidamide



Reference(s):
DOS 2 951 675 (Yamanouchi; appl. 21.12.1979; J-prior. 2.8.1979).
DOS 3 008 056 (Yamanouchi; appl. 3.3.1980; J-prior. 6.3.1979, 23.6.1979).
GB 2 052 478 (Yamanouchi; appl. 6.3.1980; J-prior. 6.3.1979, 23.6.1979).
GB 2 055 800 (Yamanouchi; appl. 20.12.1979; J-prior. 2.8.1979).
US 4 283 408 (Yamanouchi; 11.8.1981; J-prior. 2.8.1979).

synthesis of S-[2-aminothiazol-4-ylmethyl]isothiourea:
Sprague, J.M.; Lund, A.H.; Ziegler, C.: J. Am. Chem. Soc. (JACSAT) **68**, 2155 (1946).

preparation of 4-chloromethylthiazol-2-ylamine hydrochloride:
Passarotti, C.M.; Valenti, M.; Marini, M.: Boll. Chim. Farm. (BCFAAI) **134** (11), 639-643 (1995).

Formulation(s): f. c. tabl. 10 mg, 20 mg, 40 mg; oral susp. 40 mg/5 ml; vial (lyo.) 20 mg

Trade Name(s):

D:	Ganor (Boehringer Ing.) Pepdul (MSD Chibropharm; 1986)	GB:	Pepcid (Morson; 1987)	USA:	Mylanta (Johnson & Johnson-Merck) Pepcid (Merck; 1986) Pepcid (Johnson & Johnson-Merck)
F:	Pepcidac (Labs. Jean-Paul Martin) Pepdine (Merck Sharp & Dohme-Chibret)	I:	Famodil (Sigma-Tau) Gastridin (Merck Sharp & Dohme) Motiax (Neopharmed)		
		J:	Gaster (Yamanouchi; 1985)		

Faropenem sodium

Use: penem antibiotic

(Furopenem; SUN 5555)

RN: 122547-49-3 MF: $C_{12}H_{14}NNaO_5S$ MW: 307.30

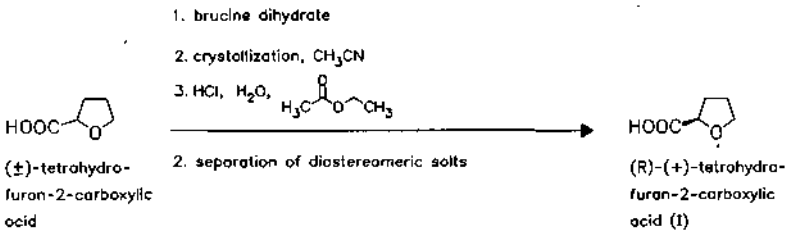
CN: [5*R*-[3(*R**),5α,6α(*R**)]]-6-(1-Hydroxyethyl)-7-oxo-3-(tetrahydro-2-furanyl)-4-thia-1-azabicyclo[3.2.0]-hept-2-ene-2-carboxylic acid

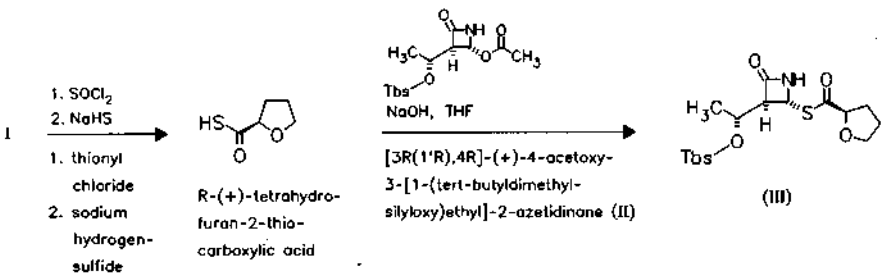
sodium salt hydrate

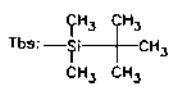
RN: 158365-51-6 MF: $C_{12}H_{14}NNaO_5S \cdot 5/2H_2O$ MW: 704.68

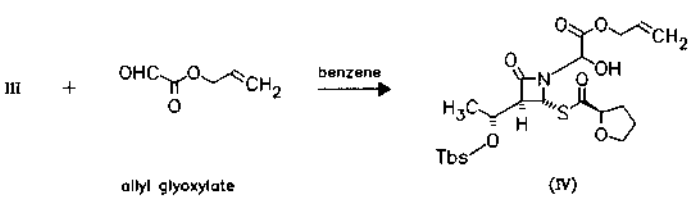
acid

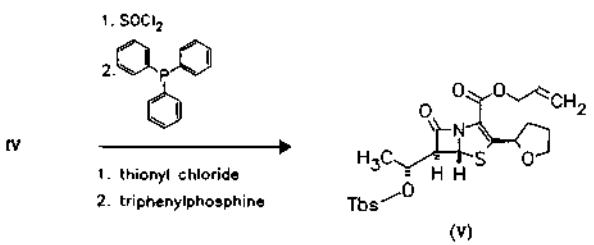
RN: 106560-14-9 MF: $C_{12}H_{15}NO_5S$ MW: 285.32

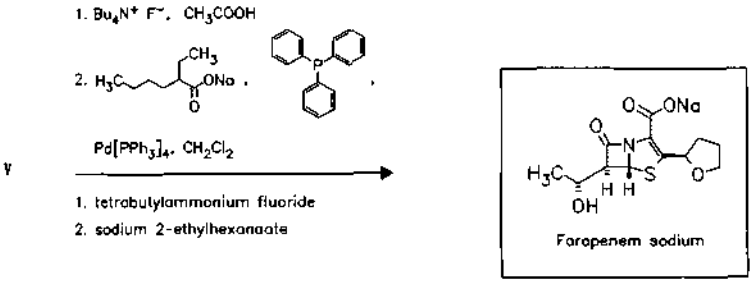




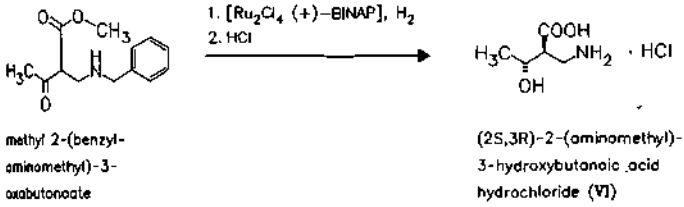






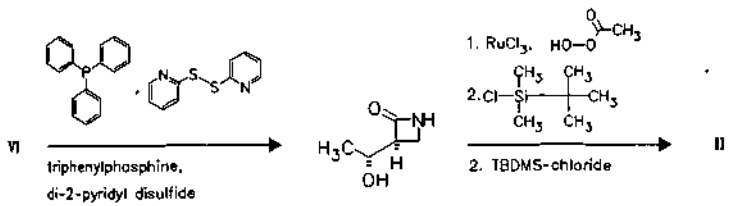


preparation of intermediate II:



methyl 2-(benzylaminomethyl)-3-oxabutonoate

(2S,3R)-2-(aminomethyl)-3-hydroxybutanoic acid hydrochloride (VI)



[3S(1R)]-3-(1-hydroxyethyl)-2-azetidinone

Reference(s):
EP 199 446 (Suntory; appl. 7.3.1986; J-prior. 9.3.1985).
WO 9 203 443 (Suntory; appl. 16.8.1991; J-prior. 20.8.1990).

preparation of intermediate II:
EP 369 691 (Takasago Int. Corp.; appl. 10.11.1989; J-prior. 15.11.1988).
EP 371 875 (Takasago Int. Corp.; appl. 28.11.1989; J-prior. 29.11.1988).
EP 488 611 (Takasago Int. Corp.; appl. 25.11.1991; J-prior. 30.11.1990).
Murahashi, S. et al.: Tetrahedron Lett. (TELEAY) **32** (19), 2145 (1991).

alternative preparation of (3*S*,1'*R*)-3-(1'-hydroxyethyl)azetidin-2-one:
Fuganti, C. et al.: J. Chem. Soc. Perkin Trans. 1 (JCPRB4) **1** (19), 2247 (1993).
Fuganti, C. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **2** (7), 723 (1994).

preparation of racemic tetrahydrofuran-2-carboxylic acid:
Wienhaus; Sorge: Ber. Dtsch. Chem. Ges. (BDCGAS) **46**, 1929 (1913).
Kaufmann; Adams: J. Am. Chem. Soc. (JACSAT) **45**, 3041 (1923).
Wilson: J. Chem. Soc. (JCSOA9) **1945**, 58, 59.

preparation of (*R*)-(+)-tetrahydrofuran-2-carboxylic acid:
Ramón, A. et al.: J. Med. Chem. (JMCMAR) **38**, 2830 (1995).
Belanger, P.C., Williams, H.W.R.: Can. J. Chem. (CJCHAG) **61**, 873 (1983).

Formulation(s): tabl. 150 mg, 200 mg

Trade Name(s):
J: Farom (Suntory; 1999)

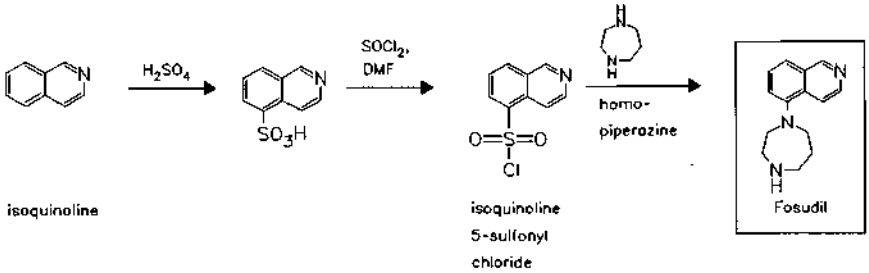
Fasudil

(AT-877; HA-1077)

ATC: J01CA12
Use: vasodilator, calcium channel blocker

RN: 103745-39-7 MF: $C_{14}H_{17}N_3O_2S$ MW: 291.38
CN: hexahydro-1-(5-isoquinolinylsulfonyl)-1*H*-1,4-diazepine

monohydrochloride
RN: 105628-07-7 MF: $C_{14}H_{17}N_3O_2S \cdot HCl$ MW: 327.84



Reference(s):
EP 187 371 (Asahi Chem.; appl. 23.12.1985; J-prior. 27.12.1984).

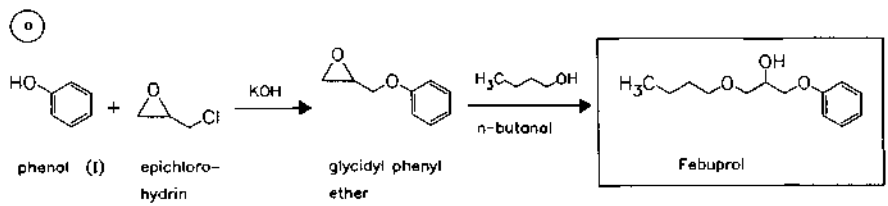
Formulation(s): amp. 30 mg/2 ml (as hydrochloride)

Trade Name(s):
J: Eril (Asahi Kasei; as hydrochloride) Fasdil (Asahi Chem.)

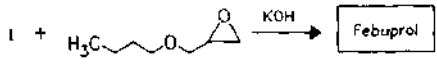
Febuprol

ATC: A05AB
Use: choleretic

RN: 3102-00-9 MF: $C_{13}H_{20}O_3$ MW: 224.30 EINECS: 221-454-8
LD_{50}: 436 mg/kg (M, i.p.); 3050 mg/kg (M, p.o.); 400 mg/kg (R, i.p.); 2370 mg/kg (R, p.o.)
CN: 1-butoxy-3-phenoxy-2-propanol



(b)



glycidyl n-butyl ether

Reference(s):
DOS 2 207 254 (Klinge; appl. 16.2.1971).
DOS 2 120 396 (Klinge; appl. 26.4.1971).
US 3 839 587 (Klinge; 1.10.1974; D-prior. 26.4.1971, 16.2.1971).
Minor, W.F. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 2993 (1954).

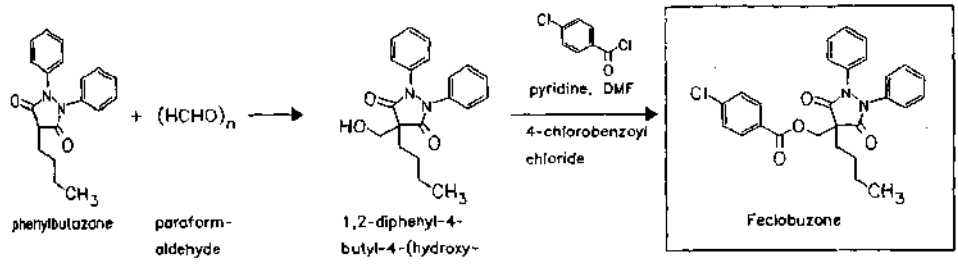
Formulation(s): cps. 100 mg

Trade Name(s):
D: Valbil (Procter & Gamble)

Feclobuzone

ATC: M01A; N02B; S01B
Use: anti-inflammatory, analgesic, antipyretic

RN: 23111-34-4 MF: $C_{27}H_{25}ClN_2O_4$ MW: 476.96
CN: 4-chlorobenzoic acid (4-butyl-3,5-dioxo-1,2-diphenyl-4-pyrazolidinyl)methyl ester



phenylbutazone — paraformaldehyde — 1,2-diphenyl-4-butyl-4-(hydroxymethyl)pyrazolidine-3,5-dione

Reference(s):
DE 1 809 821 (Lab. del Dr. Esteve; appl. 20.11.1968; E-prior. 23.11.1967).

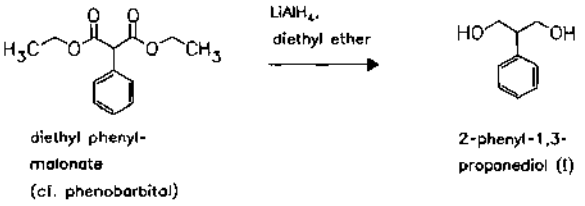
Trade Name(s):
D: Feclobuzon-Dragees (Atmos); wfm

Felbamate
(W-554; ADD-03055)

ATC: N03AX10
Use: anticonvulsant

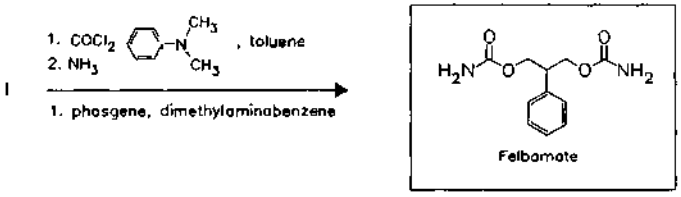
RN: 25451-15-4 MF: $C_{11}H_{14}N_2O_4$ MW: 238.24 EINECS: 247-001-4
LD_{50}: >5 g/kg (R, p. o.);
>5 g/kg (M, p. o.)
CN: 2-Phenyl-1,3-propanediol dicarbamate

a

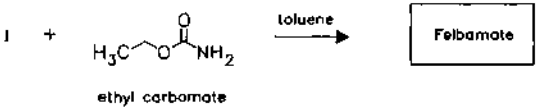


diethyl phenylmalonate (cf. phenobarbital)

2-phenyl-1,3-propanediol (I)

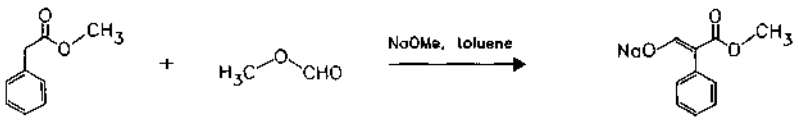


b



ethyl carbamate

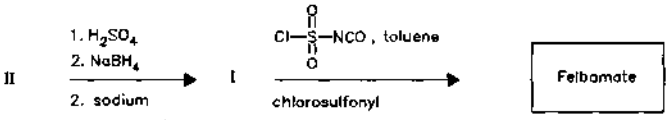
c



methyl phenylacetate

methyl formate

methyl (E)-2-formyl-2-phenylacetate sodium salt (II)



Reference(s):

a US 4 982 016 (Carter-Wallace; 1.1.1991; USA-prior. 6.6.1989).

b US 4 868 327 (Carter-Wallace; 20.2.1991; USA-prior. 3.6.1987).
Ludwig, B.J. et al.: J. Med. Chem. (JMCMAR) **12**, 462 (1969).

c WO 9 406 737 (Schering Corp./Avondale Chem.; appl. 14.9.1993; USA-prior. 18.9.1992).
WO 9 427 941 (Avondale Chem.; appl. 18.2.1994; USA-prior. 25.5.1993).

alternative reduction of diethyl phenylmalonate *to I:*
US 5 091 595 (Choi, Y.M.; 25.2.1992; appl. 7.6.1989).

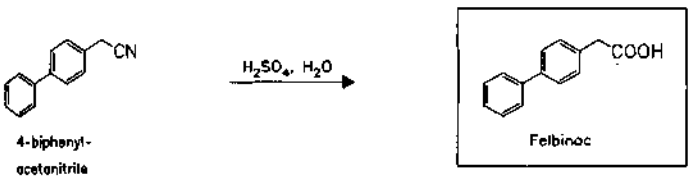
Formulation(s): oral susp. 600 mg/5 ml; syrup 600 mg/ml; tabl. 400 mg, 600 mg

Trade Name(s):
D: Taloxa (Essex Pharma)
I: Taloxa (Schering-Plough)
USA: Felbatol (Wallace Laboratories; 1993); wfm

Felbinac

ATC: M01AB; M02AA08
Use: anti-inflammatory, analgesic

RN: 5728-52-9 MF: $C_{14}H_{12}O_2$ MW: 212.25 EINECS: 227-233-2
LD_{50}: 508 mg/kg (M, i.p.); 675 mg/kg (M, p.o.); 730 mg/kg (M, s.c.);
164 mg/kg (R, p.o.); 148 mg/kg (R, s.c.);
1280 mg/kg (rabbit, s.c.);
320 mg/kg (dog, s.c.)
CN: [1,1'-biphenyl]-4-acetic acid



Reference(s):
FR-M 7 166 (R. Hurmer, J. Vernin; appl. 21.7.1967).
US 3 784 704 (American Cyanamid; 8.1.1974; prior. 13.10.1972).
Child, R.G. et al.: J. Pharm. Sci. (JPMSAE) **66**, 466 (1977).

alternative synthesis:
JP 61 036 243 (Lederle; appl. 30.7.1984).
EP 212 617 (Lederle; appl. 19.8.1986; J-prior. 23.8.1985).
JP 63 233 947 (Mitsubishi; appl. 23.3.1987).
JP 1 132 544 (Mitsubishi; appl. 18.11.1987).
JP 55 094 486 (Sumitomo; appl. 11.1.1979).
Byron, D.J.; Gray, G.W.; Wilson, R.C.: J. Chem. Soc. C (JSOOAX) **1966**, 840.

anti-inflammatory ointment:
EP 127 840 (Lederle; appl. 22.5.1984; J-prior. 1.6.1983).

analgesic patch:
JP 1 085 913 (Saitama Daiichi; appl. 26.9.1987).

cyclodextrin inclusion compound:
JP 61 030 551 (Lederle; appl. 23.7.1984).

inhibition of blood platelet aggregation:
US 3 966 978 (American Cyanamid; 29.6.1976; appl. 25.4.1975).

medical use for treatment of ocular inflammation:
US 3 991 206 (American Cyanamid; 9.11.1976; appl. 15.1.1976).

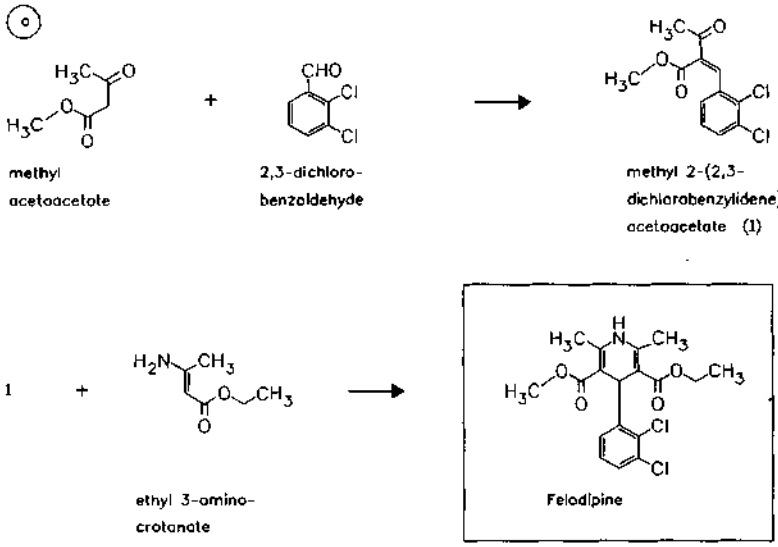
Formulation(s): gel 30 mg/g (as 1,1'-iminobis[2-propanol] salt)

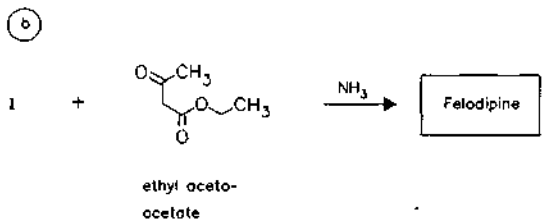
Trade Name(s):
D: Target (Whitehall-Much)-comb.
GB: Traxam (Wyeth)
I: Dolinac (Irbi)
Traxam (Cyanamid)
J: Daitac (Lederle; 1989)
Napageln (Lederle; 1988)
Seltouch (Lederle; Takeda; Teikoku)

Felodipine

ATC: C02DE; C08CA02
Use: calcium antagonist, antihypertensive

RN: 72509-76-3 MF: $C_{18}H_{19}Cl_2NO_4$ MW: 384.26
LD_{50}: 3100 µg/kg (M, i.v.); 250 mg/kg (M, p.o.);
5400 µg/kg (R, i.v.); 1050 mg/kg (R, p.o.)
CN: 4-(2,3-dichlorophenyl)-1,4-dihydro-2,6-dimethyl-3,5-pyridinedicarboxylic acid ethyl methyl ester





Reference(s):
EP 7 293 (Hässle; appl. 12.6.1979; S-prior. 30.6.1978).

sustained release formulation:
EP 249 587 (Hässle; appl. 25.3.1987; S-prior. 11.4.1986).

combination with metoprolol:
EP 311 582 (Hässle; appl. 22.9.1988; S-prior. 8.10.1987).

Formulation(s): s. r. tabl. 2.5 mg, 5 mg, 10 mg

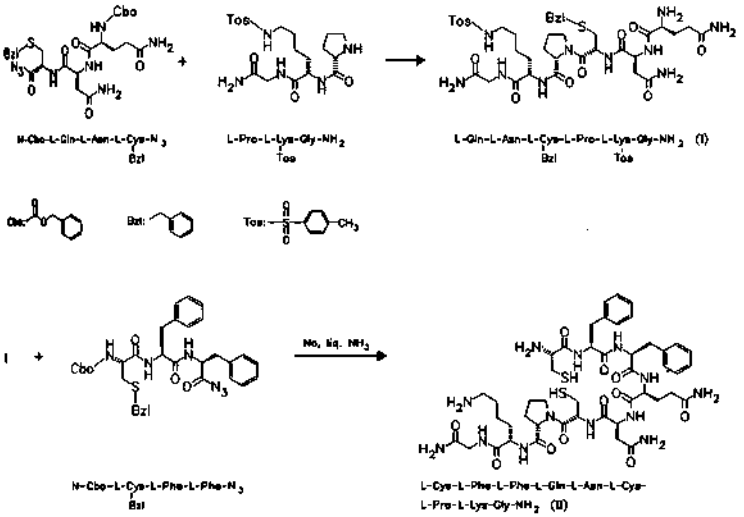
Trade Name(s):

D:	Mobloc (Astra/Promed)-comb.	GB:	Plendil (Astra; 1990)		Splendil (Ciba-Geigy-Kissei)
	Modip (Astra/Promed)	I:	Feloday (Novartis)		
	Munobal (Hoechst)		Plendil (Sca)	USA:	Lexxel (Astra Merck)
F:	Flodil (Astra)		Prevex (Schering-Plough)		Plendil (Astra Merck)
	Logimax (Astra)	J:	Munobal (Hoechst-Nippon HMR)		

Felypressin

ATC: H01BA
Use: vasoconstrictoric effective peptide hormone

RN: 56-59-7 MF: $C_{46}H_{65}N_{13}O_{11}S_2$ MW: 1040.24 EINECS: 200-282-7
LD_{50}: >10 g/kg (M, p.o.);
5 g/kg (R, p.o.)
CN: 2-L-phenylalanine-8-L-lysinevasopressin



Reference(s):
GB 928 607 (Sandoz; appl. 13.6.1960; CH-prior. 24.7.1959).
US 3 232 923 (Sandoz; 1.2.1966; CH-prior. 24.7.1959).

Formulation(s): amp. 0.03 iu in comb.

Trade Name(s):

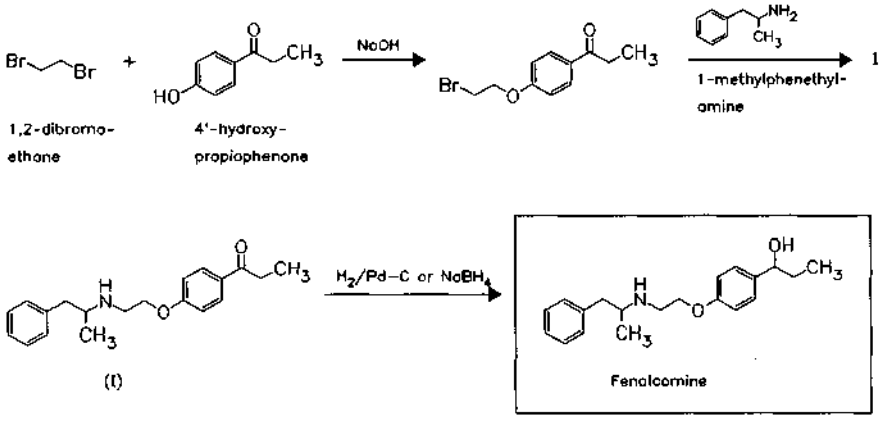
D:	Xylonest mit Octapressin (Astra)-comb.	F:	Collupressine (Lab. Oberlin)-comb.	I:	Citanest (Astra-Simes)-comb.
				J:	Octapressin (Sandoz)

Fenalcomine

ATC: C01D
Use: coronary therapeutic, cardiac stimulant

RN: 34616-39-2 MF: $C_{20}H_{27}NO_2$ MW: 313.44
CN: α-ethyl-4-[2-[(1-methyl-2-phenylethyl)amino]ethoxy]benzenemethanol

hydrochloride
RN: 34535-83-6 MF: $C_{20}H_{27}NO_2 \cdot HCl$ MW: 349.90 EINECS: 252-075-6



Reference(s):
FR-M 7 255 (Laroche Navarron; appl. 23.1.1968).

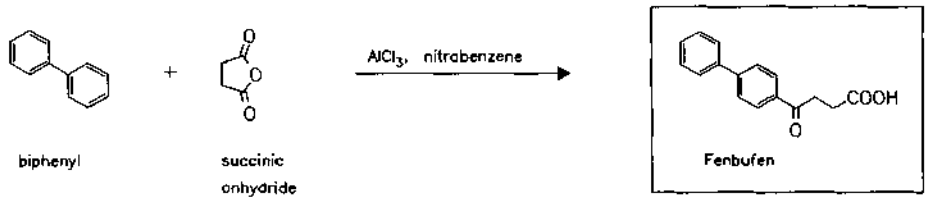
Formulation(s): cps. 50 mg (as hydrochloride)

Trade Name(s):
F: Cordoxène (Laroche Navarron); wfm

Fenbufen

ATC: M01AE05
Use: anti-inflammatory, analgesic

RN: 36330-85-5 MF: $C_{16}H_{14}O_3$ MW: 254.29 EINECS: 252-979-0
LD_{50}: 795 mg/kg (M, p.o.);
200 mg/kg (R, p.o.)
CN: γ-oxo[1,1'-biphenyl]-4-butanoic acid



Reference(s):
DOS 2 147 111 (American Cyanamid; appl. 21.9.1971; USA-prior. 21.9.1970).
US 3 784 701 (American Cyanamid; 8.1.1974; appl. 21.9.1970).
Child, R.G. et al.: Arzneim.-Forsch. (ARZNAD) **30** (I), 695 (1980).

Formulation(s): cps. 300 mg; tabl. 200 mg, 300 mg, 450 mg

Trade Name(s):

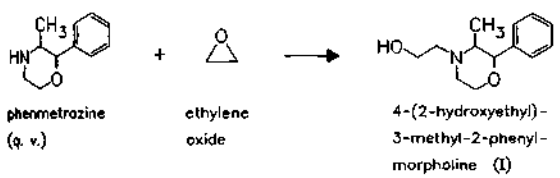
D:	Lederfen (Lederle); wfm	GB:	Lederfen (Wyeth)
F:	Cinopal (Labs. Novalis)	I:	Cinopal (Cyanamid)

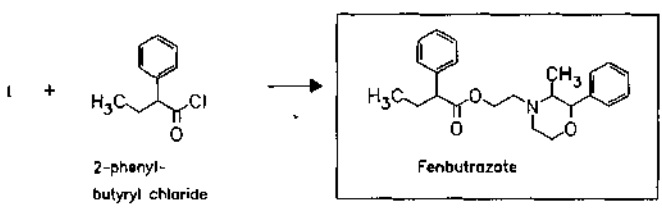
Fenbutrazate
(Phenbutrazate)

ATC: A08AA
Use: central stimulant, appetite depressant, anorectic

RN: 4378-36-3 MF: $C_{23}H_{29}NO_3$ MW: 367.49 EINECS: 224-480-8
CN: α-ethylbenzeneacetic acid 2-(3-methyl-2-phenyl-4-morpholinyl)ethyl ester

comb. with phenmetrazine-8-chlorotheophyllinate monohydrochloride
RN: 8004-38-4 MF: $C_{23}H_{29}NO_3 \cdot C_{18}H_{20}ClN_5O_3 \cdot HCl$ MW: 793.79





Reference(s):
US 3 018 222 (Ravensberg; 23.1.1962; D-prior. 28.8.1956).

Formulation(s): drg. 20 mg

Trade Name(s):

D:	Cafilon (Ravensberg); wfm Cafilon (Ravensberg)-comb. with phenmetrazine-8-chlorotheophyllinate; wfm	F:	Cafilon (Merck-Clévenot); wfm Cafilon (Merck-Clévenot)-comb.; wfm	J:	Cafilon (Yamanouchi)-comb. with phenmetrazine-8-chlorotheophyllinate

Fencamfamin

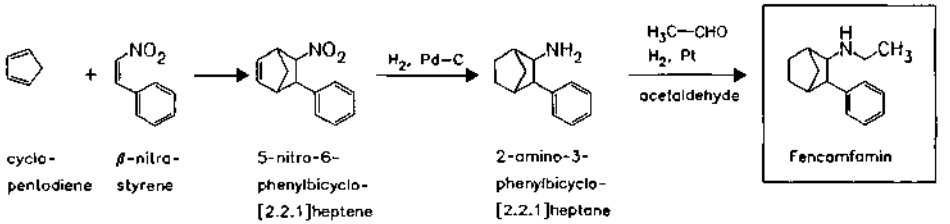
ATC: N06BA06
Use: psychostimulant

RN: 1209-98-9 MF: $C_{15}H_{21}N$ MW: 215.34
LD_{50}: 83 mg/kg (R, p.o.)
CN: *N*-ethyl-3-phenylbicyclo[2.2.1]heptan-2-amine

hydrochloride

RN: 2240-14-4 MF: $C_{15}H_{21}N \cdot HCl$ MW: 251.80 EINECS: 218-805-2

LD_{50}: 15.7 mg/kg (M, i.v.); 135 mg/kg (M, p.o.);
23.5 mg/kg (R, i.v.); 83 mg/kg (R, p.o.);
15 mg/kg (dog, i.v.); 30 mg/kg (dog, p.o.)



Reference(s):
DE 1 110 159 (E. Merck AG; appl. 1.8.1959).

Formulation(s): drg. 10 mg

Trade Name(s):
D: Reactivan (Cascan)-comb.; wfm
I: Reactivan (Bracco)-comb.; wfm

Fencarbamide

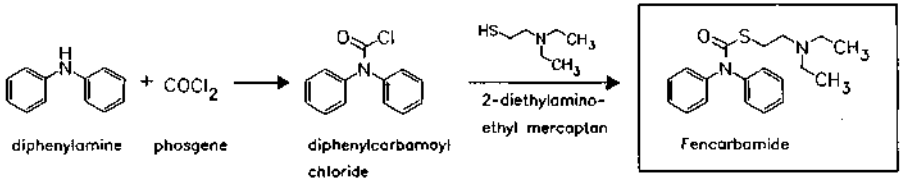
(Phencarbamide)

ATC: A03AC
Use: antispasmodic

RN: 3735-90-8 MF: $C_{19}H_{24}N_2OS$ MW: 328.48 EINECS: 223-103-4

LD_{50}: 32 mg/kg (M, i.v.);
30 mg/kg (R, i.v.); 370 mg/kg (R, p.o.)

CN: diphenylcarbamothioic acid *S*-[2-(diethylamino)ethyl] ester



Reference(s):
DE 1 146 693 (Bayer; appl. 18.9.1958).

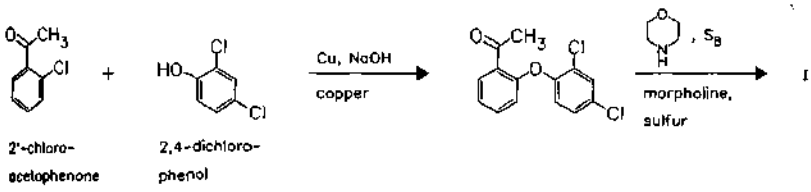
Formulation(s): suppos. 10 mg; tabl. 10 mg (as napadisilate)

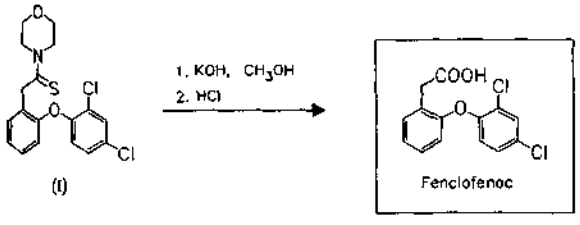
Trade Name(s):
D: Spasmo-Dolviran (Bayer)-comb.; wfm
Spasmo-Compralgyl (Bayer-Pharma)-comb.; wfm
F: Gélosédine (Bayer-Pharma)-comb.; wfm
I: Spasmo-Dolviran (Bayer)-comb.; wfm

Fenclofenac

ATC: M01A; N02B; S01B
Use: anti-inflammatory, analgesic

RN: 34645-84-6 MF: $C_{14}H_{10}Cl_2O_3$ MW: 297.14 EINECS: 252-126-2
LD_{50}: 2280 mg/kg (R, p.o.)
CN: 2-(2,4-dichlorophenoxy)benzeneacetic acid





Reference(s):
DOS 2 117 826 (Reckitt & Colman; appl. 13.4.1971; GB-prior. 14.4.1970).
GB 1 308 327 (Reckitt & Colman; valid from 19.4.1971; prior. 14.4.1970).
US 3 766 263 (Reckitt & Colman; 16.10.1973; GB-prior. 14.4.1970).

Formulation(s): tabl. 300 mg

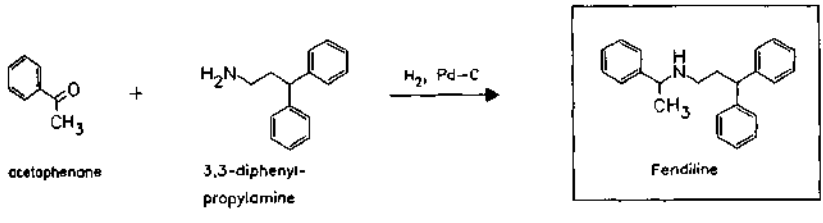
Trade Name(s):
GB: Flenac (Reckitt & Colman);
wfm

Fendiline

ATC: C08EA01
Use: coronary vasodilator

RN: 13042-18-7 MF: $C_{23}H_{25}N$ MW: 315.46 EINECS: 235-915-6
CN: γ-phenyl-*N*-(1-phenylethyl)benzenepropanamine

hydrochloride
RN: 13636-18-5 MF: $C_{23}H_{25}N \cdot HCl$ MW: 351.92 EINECS: 237-121-5
LD_{50}: 14.5 mg/kg (M, i.v.); 950 mg/kg (M, p.o.)



Reference(s):
DE 1 171 930 (Chinoin; appl. 24.7.1962; H-prior. 10.8.1961, 10.3.1962, 19.3.1962, 30.3.1962).
US 3 262 977 (Chinoin; 26.7.1966; H-prior. 10.3.1962, 30.3.1962).
GB 954 735 (Chinoin; appl. 10.8.1962; H-prior. 10.8.1961, 10.3.1962, 19.3.1962, 30.3.1962).

Formulation(s): drg. 50 mg, 75 mg, 100 mg

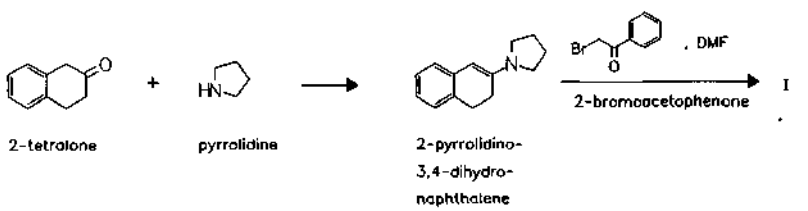
Trade Name(s):

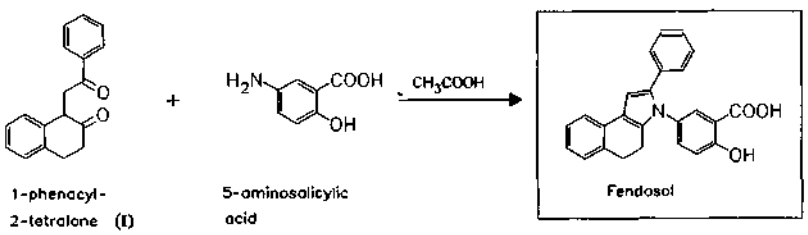
D:	Sensit (Thiemann)	Olbiacor (Salus Research)
I:	Difmecor (UCM)	Sensit-F (Organon Italia)

Fendosal

ATC: M01A
Use: anti-inflammatory

RN: 53597-27-6 MF: $C_{25}H_{19}NO_3$ MW: 381.43
LD_{50}: 740 mg/kg (M, p.o.);
450 mg/kg (R, p.o.)
CN: 5-(4,5-dihydro-2-phenyl-3*H*-benz[*e*]indol-3-yl)-2-hydroxybenzoic acid





Reference(s):
DOS 2 407 671 (Hoechst; appl. 18.2.1974; USA-prior. 1.3.1973).
Anderson, V.B. et al.: J. Med. Chem. (JMCMAR) **19**, 318 (1976).

use for thrombosis prevention:
DOS 2 502 156 (Hoechst; appl. 21.1.1975; USA-prior. 25.1.1974).

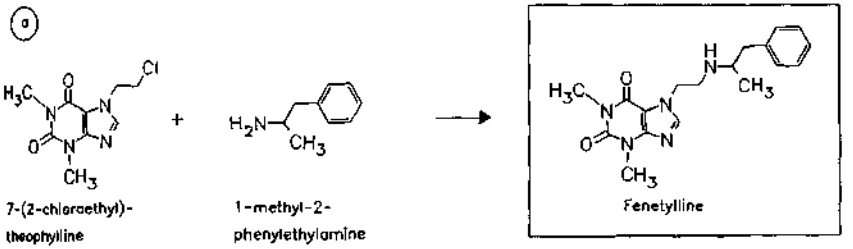
Trade Name(s):
USA: Alnovin (Hoechst-Roussel); wfm

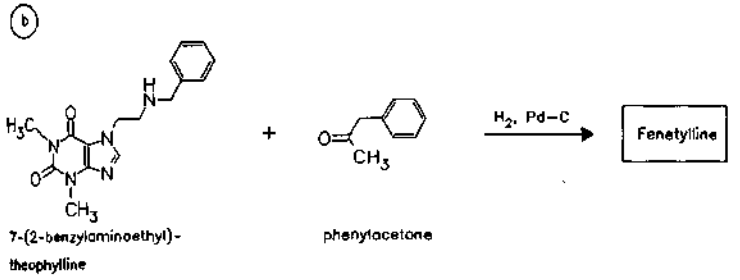
Fenetylline
(Fenethylline)

ATC: N06B
Use: psychotonic, CNS stimulant

RN: 3736-08-1 MF: $C_{18}H_{23}N_5O_2$ MW: 341.42
LD_{50}: 347 mg/kg (M, p.o.);
100 mg/kg (R, p.o.)
CN: 3,7-dihydro-1,3-dimethyl-7-[2-[(1-methyl-2-phenylethyl)amino]ethyl]-1*H*-purine-2,6-dione

monohydrochloride
RN: 1892-80-4 MF: $C_{18}H_{23}N_5O_2 \cdot HCl$ MW: 377.88 EINECS: 217-580-8
LD_{50}: 55 mg/kg (M, i.v.); 347 mg/kg (M, p.o.);
100 mg/kg (R, p.o.)





Reference(s):
DE 1 123 329 (Degussa; appl. 18.10.1958; addition to DE 1 095 285; appl. 25.9.1956).
US 3 029 239 (Degussa; 10.4.1962; D-prior. 17.4.1954).

Formulation(s). f. c. tabl. 50 mg (as hydrochloride)

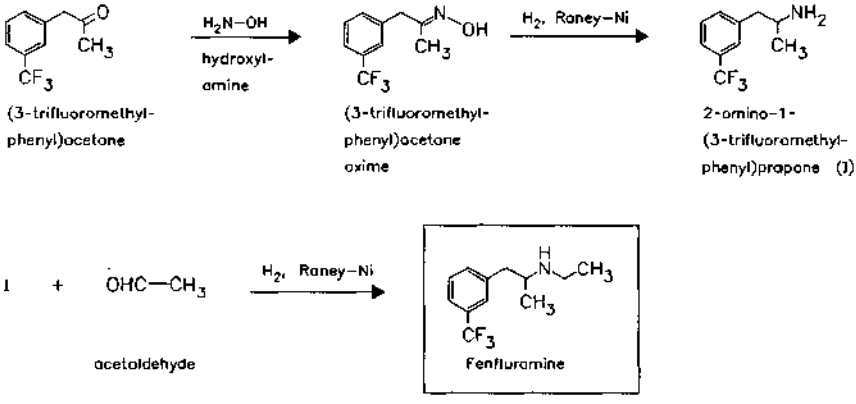
Trade Name(s):
D: Captagon (ASTA Medica AWD)
F: Captagon (Gerda); wfm
Captagon (Promdeica); wfm

Fenfluramine

ATC: A08AA02
Use: appetite depressant, anorexic

RN: 458-24-2 MF: $C_{12}H_{16}F_3N$ MW: 231.26 EINECS: 207-276-3
LD_{50}: 145 mg/kg (M, p.o.);
130 mg/kg (R, p.o.);
100 mg/kg (dog, p.o.)
CN: *N*-ethyl-α-methyl-3-(trifluoromethyl)benzeneethanamine

hydrochloride
RN: 404-82-0 MF: $C_{12}H_{16}F_3N \cdot HCl$ MW: 267.72 EINECS: 206-968-2
LD_{50}: 90 mg/kg (M, i.v.); 170 mg/kg (M, p.o.);
69 mg/kg (R, p.o.);
23 mg/kg (dog, i.v.); 100 mg/kg (dog, p.o.)



Reference(s):
FR-M 1 658 (Science-Union; appl. 4.4.1961; MC-prior. 5.11.1960).

Formulation(s): cps. 20 mg, 60 mg; s. r. cps. 60 mg; tabl. 20 mg, 40 mg (as hydrochloride)

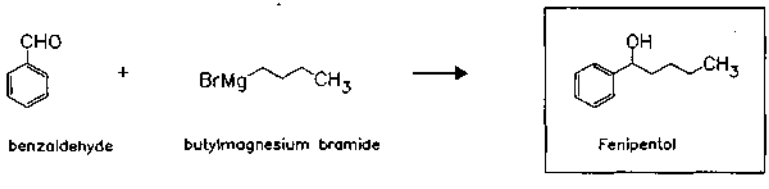
Trade Name(s):

D:	Ponderax (Boehringer Ing.); wfm	F:	Pondéral (Biopharma; as hydrochloride)		Pesos (Valeas)
	Ponderax (Itherapia); wfm	GB:	Ponderax (Servier); wfm	USA:	Ponderal (Servier)
		I:	Dimafen (Stroder)		Pondimin (Robins)

Fenipentol

ATC: A05AX
Use: choleretic

RN: 583-03-9 MF: $C_{11}H_{16}O$ MW: 164.25 EINECS: 209-493-9
LD_{50}: 2900 mg/kg (M, p.o.);
5432 mg/kg (R, p.o.)
CN: α-butylbenzenemethanol



Reference(s):
GB 915 815 (Thomae; appl. 11.4.1960; valid from 6.4.1961).
US 3 084 100 (Thomae; 2.4.1963; appl. 30.3.1961).
Adams, R.M.; Vander-Werf, C.A.: J. Am. Chem. Soc. (JACSAT) **72**, 4368 (1950).
Engelhorn, R.: Arzneim.-Forsch. (ARZNAD) **10**, 255 (1960).
Koss, F.W. et al.: Arzneim.-Forsch. (ARZNAD) **12**, 1026 (1962).

Formulation(s): cps. 100 mg

Trade Name(s):

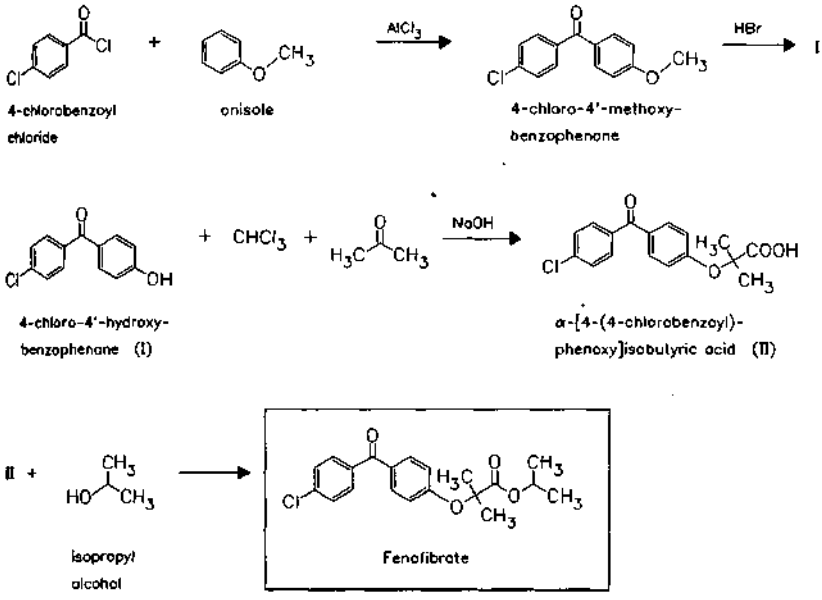
D:	Febichol (medphano)	I:	Critichol (Angelini)-comb.		Pentabil (OFF)
F:	Euralan (Badrial)-comb.; wfm		Menabil Complex (Menarini)-comb.	J:	Pancorat (Esai) Suiclisin (Hikken)

Fenofibrate

(Procetofene)

ATC: C01AB05
Use: cholesterol depressant, antihyperlipidemic

RN: 49562-28-9 MF: $C_{20}H_{21}ClO_4$ MW: 360.84 EINECS: 256-376-3
LD_{50}: 1600 mg/kg (M, p.o.);
>2 g/kg (R, p.o.);
>4 g/kg (dog, p.o.)
CN: 2-[4-(4-chlorobenzoyl)phenoxy]-2-methylpropanoic acid 1-methylethyl ester



Reference(s):
US 4 058 552 (Orchimed; 15.11.1977; CH-prior. 31.1.1969).
DOS 2 250 327 (Lab. Fournier; appl. 13.10.1972; GB-prior. 14.10.1971).
Sornay, R. et al.: Arzneim.-Forsch. (ARZNAD) **26**, 885, 889 (1976).
EP-appl. 2 151 (Devinter; appl. 10.11.1978; F-prior. 14.11.1977).

Formulation(s): cps. 100 mg, 200 mg, 300 mg; s. r. cps. 250 mg

Trade Name(s):

D:	durafenat (durachemie)		Sécalip (Biotherapie)	Liposit (SIT)
	Lipanthyl (Fournier Pharma; 1978)	GB:	Lipantil Micro (Fournier)	Nolipax (Salus Research)
	Lipidil (Fournier Pharma)	I:	Lipanthyl (Duropharma)	Scleril (AGIPS)
	Normalip (Knoll)		Lipidax (UCB)	Tilene (Francia Farm.)
F:	Lipanthyl (Fournier; 1975)		Lipoclar (Crinos)	Volutine (Geymonat)
			Lipofene (Teofarma)	

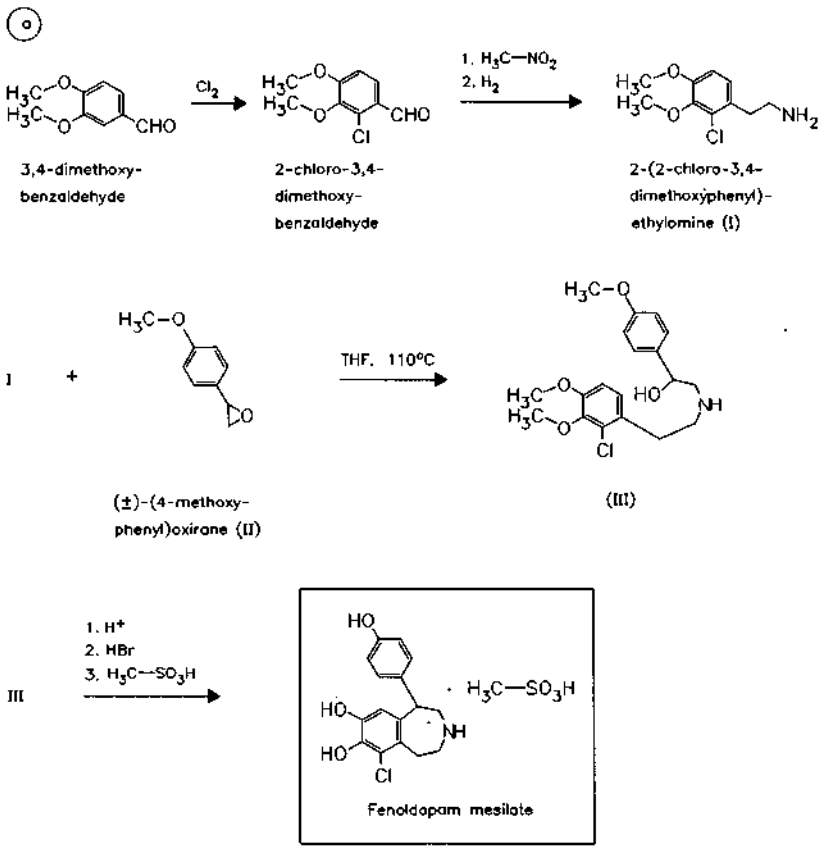
Fenoldopam mesilate

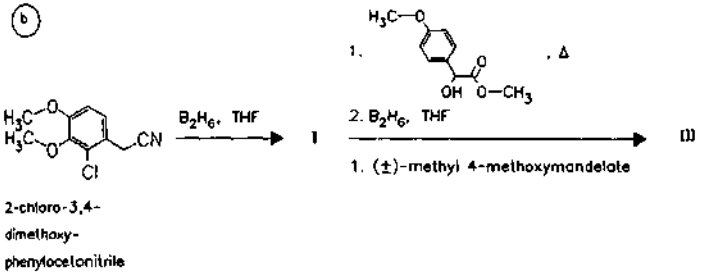
(SKF 82526-J)

ATC: C01CA19
Use: antihypertensive

RN: 67227-57-0 MF: $C_{16}H_{16}ClNO_3 \cdot CH_4O_3S$ MW: 401.87
CN: (±)-6-Chloro-2,3,4,5-tetrahydro-1-(4-hydroxyphenyl)-1*H*-3-benzazepine-7,8-diol methanesulfonate

base
RN: 67227-56-9 MF: $C_{16}H_{16}ClNO_3$ MW: 305.76
hydrochloride
RN: 181217-39-0 MF: $C_{16}H_{16}ClNO_3 \cdot HCl$ MW: 342.22





Reference(s):
a US 4 160 765 (SmithKline; 10.7.1979; USA-prior. 17.11.1976).
US 4 171 359 (SmithKline; 16.10.1979; USA-prior. 12.4.1978).
aa US 4 197 297 (SmithKline; 8.4.1980; USA-prior. 17.11.1976).
b Weinstock, J. et al.: J. Med. Chem. (JMCMAR) **23** (9), 973-975 (1980).

synergistic antihypertensive compositions:
EP 22 330 (SmithKline; appl. 26.6.1980; USA-prior. 10.7.1979).
EP 81 006 (SmithKline; appl. 8.12.1981).

controlled release dosage forms comprising separate portions of (R)- and (S)-enantiomers:
WO 9 840 053 (Darwin Discovery; appl. 11.3.1998; GB-prior. 11.3.1997).

Formulation(s): vial for inj. 10 mg/ml

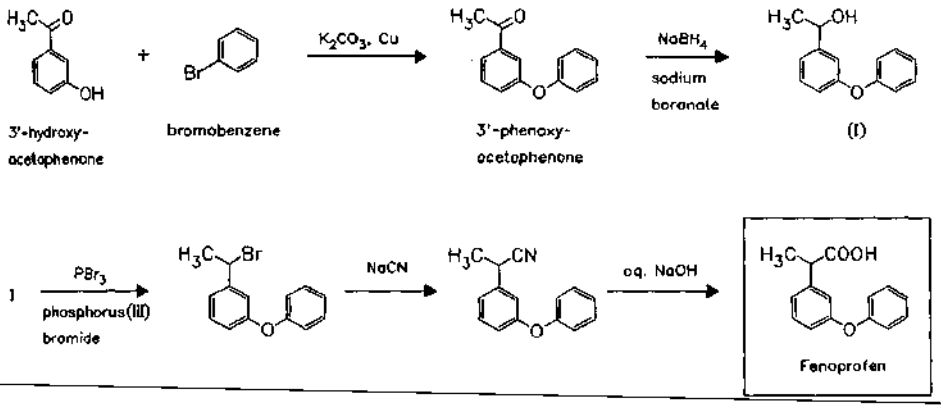
Trade Name(s):
USA: Carlopam (Neurex; 1999)

Fenoprofen

ATC: M01AE04
Use: antirheumatic

RN: 31879-05-7 MF: $C_{15}H_{14}O_3$ MW: 242.27 EINECS: 250-850-3
LD_{50}: 1400 mg/kg (M, p.o.)
CN: (±)-α-methyl-3-phenoxybenzeneacetic acid

calcium salt dihydrate
RN: 53746-45-5 MF: $C_{30}H_{26}CaO_6 \cdot 2H_2O$ MW: 558.64
LD_{50}: 471 mg/kg (M, i.v.); 439 mg/kg (M, p.o.);
526 mg/kg (R, i.v.); 415 mg/kg (R, p.o.)



Reference(s):
DOS 1 941 625 (Lilly; appl. 16.8.1969; USA-prior. 15.8.1968, 28.5.1969).
US 3 600 437 (Eli Lilly; 17.8.1971; prior. 15.8.1968, 9.5.1969, 28.5.1969).

alternative syntheses:
DOS 2 646 792 (Mitsubishi Petrochemical; appl. 16.10.1976; J-prior. 23.10.1975, 31.7.1976).
US 4 016 196 (Nisshin Flour Milling; 5.4.1977; J-prior. 27.7.1974, 29.7.1974).
DAS 2 709 504 (Sagami; appl. 4.3.1977; J-prior. 4.3.1976, 27.12.1976).

Formulation(s): powder 200 mg, 300 mg; tabl. 300 mg, 600 mg (as calcium salt dihydrate)

Trade Name(s):

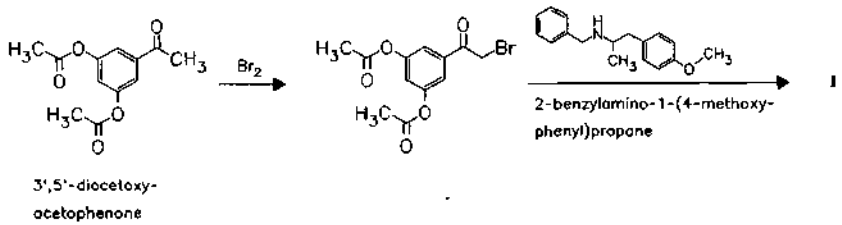
D:	Feprona (Lilly; 1975); wfm		Progesic (Lilly); wfm	J:	Fenopron (Shionogi-Yamanouchi; 1982)
F:	Nalgésic (Lilly)	I:	Fepron (Lilly)		
GB:	Fenopron (Novex)			USA:	Nalfon (Dista; 1976)

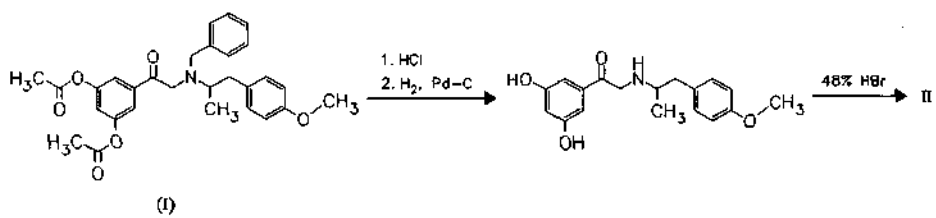
Fenoterol

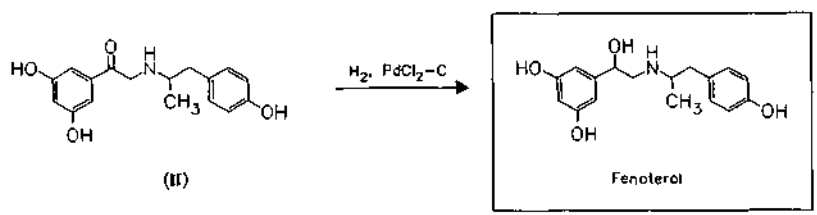
ATC: G02CA03; R03AC04; R03CC04
Use: bronchodilator

RN: 13392-18-2 MF: $C_{17}H_{21}NO_4$ MW: 303.36
CN: 5-[1-hydroxy-2-[[2-(4-hydroxyphenyl)-1-methylethyl]amino]ethyl]-1,3-benzenediol

hydrobromide
RN: 1944-12-3 MF: $C_{17}H_{21}NO_4 \cdot HBr$ MW: 384.27 EINECS: 217-742-8
LD_{50}: 42 mg/kg (M, i.v.); 1990 mg/kg (M, p.o.);
65 mg/kg (R, i.v.); 1600 mg/kg (R, p.o.);
150 mg/kg (dog, p.o.)







Reference(s):
DE 1 286 047 (Boehringer Ing.; appl. 30.11.1962).
US 3 341 593 (Boehringer Ing.; 12.9.1967; D-prior. 30.11.1962).

alternative syntheses:
DOS 2 413 102 (Boehringer Ing.; appl. 19.3.1974).

Formulation(s): aerosol 0.05 mg/puff in comb; amp. 0.025 mg/ml, 0.5 mg/10 ml; cps. 200 µg; sol. for inhalation 0.5 mg/ml in comb., 1 mg/ml; tabl. 2.5 mg, 5 mg

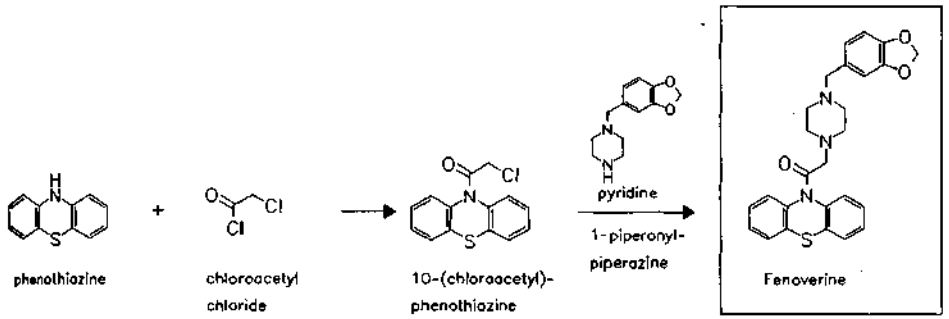
Trade Name(s):

D:	Berodual Aerosol (Boehringer Ing.) Berotec (Boehringer Ing.) Berotec-Dosier-Aerosol (Boehringer Ing.) Ditec (Boehringer Ing.) Partusisten (Boehringer Ing.)	F:	Bérotec (Boehringer Ing.; as hydrobromide) Bronchodual (Boehringer Ing.; as hydrobromide)	I:	Dosberotec (Boehringer Ing.) Duovent (Boehringer Ing.)-comb. Iprafen (Chiesi)-comb.
		GB:	Berotec (Boehringer Ing.; as hydrobromide) Duovent (Boehringer Ing.; as hydrobromide)	J:	Berotec (Boehringer Ing.; as hydrobromide)

Fenoverine

ATC: A03AX05
Use: antispasmodic

RN: 37561-27-6 MF: $C_{26}H_{25}N_3O_3S$ MW: 459.57 EINECS: 253-552-1
LD_{50}: 2874 mg/kg (M, p.o.)
CN: 10-[[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]acetyl]-10*H*-phenothiazine



Reference(s):
FR 2 092 639 (A. Buzas, R. Pierre; appl. 3.6.1970).

Formulation(s): cps. 100 mg

Trade Name(s):

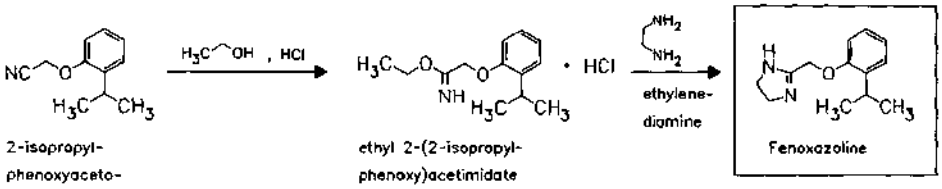
F:	Spasmopriv (Bouchard)		Spasmopriv (Vaillant-Defresne)	I:	Spasmopriv (Lusofarmaco)

Fenoxazoline

ATC: R01AA12
Use: vasoconstrictor, local anesthetic

RN: 4846-91-7 MF: $C_{13}H_{18}N_2O$ MW: 218.30 EINECS: 225-437-6
CN: 4,5-dihydro-2-[[2-(1-methylethyl)phenoxy]methyl]-1*H*-imidazole

monohydrochloride
RN: 23029-57-4 MF: $C_{13}H_{18}N_2O \cdot HCl$ MW: 254.76



Reference(s):
FR 1 365 971 (Lab. Dausse; appl. 19.2.1963).
US 3 198 703 (Lab. Dausse; 3.8.1965; appl. 4.5.1961).

Formulation(s): nasal drops 0.05 %, 0.1 %; nasal spray 1 mg (as hydrochloride)

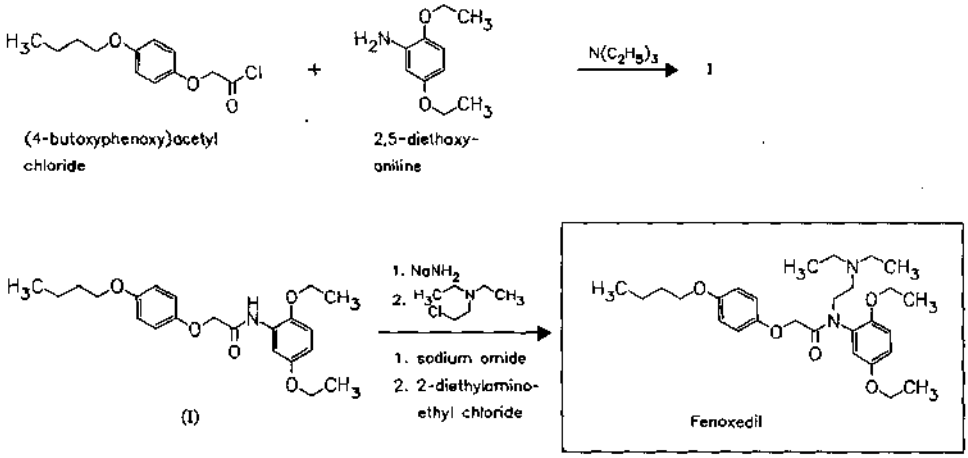
Trade Name(s):
D: Snup (Karlspharma); wfm F: Aturgyl (Synthélabo) Déturgylone (Synthélabo)

Fenoxedil

ATC: C01D
Use: vasodilator

RN: 54063-40-0 MF: $C_{28}H_{42}N_2O_5$ MW: 486.65
CN: 2-(4-butoxyphenoxy)-*N*-(2,5-diethoxyphenyl)-*N*-[2-(diethylamino)ethyl]acetamide

monohydrochloride
RN: 27471-60-9 MF: $C_{28}H_{42}N_2O_5 \cdot HCl$ MW: 523.11 EINECS: 248-478-1
LD_{50}: 17 mg/kg (M, i.v.); 750 mg/kg (M, p.o.);
10 mg/kg (R, i.v.); 2400 mg/kg (R, p.o.)



Reference(s):
DE 1 964 712 (C.E.R.P.H.A.; appl. 23.12.1969; F-prior. 26.12.1968).
US 3 818 021 (C.E.R.P.H.A.; 18.6.1974; F-prior. 24.12.1968).

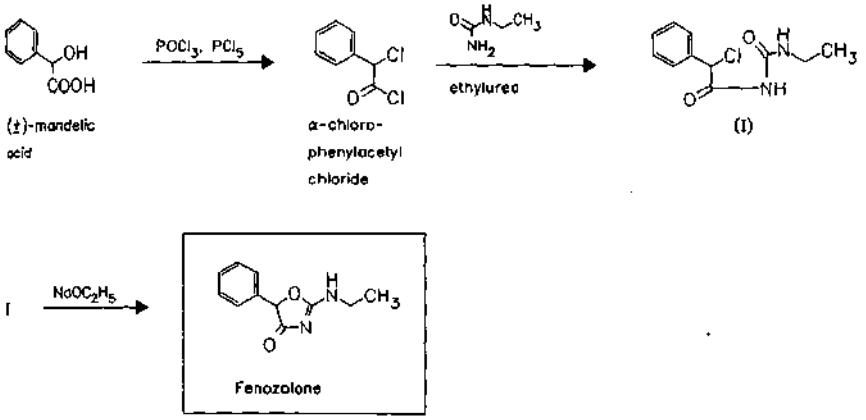
Formulation(s): cps. 100 mg

Trade Name(s):
F: Suplexedil (Anphar-Rolland); wfm — Suplexedil (L'Hépatrol); wfm

Fenozolone
(Phenozolone)

ATC: N06BA08
Use: psychoanaleptic

RN: 15302-16-6 MF: $C_{11}H_{12}N_2O_2$ MW: 204.23 EINECS: 239-339-6
LD_{50}: 425 mg/kg (M, p.o.)
CN: 2-(ethylamino)-5-phenyl-4(5*H*)-oxazolone



Reference(s):
DE 1 297 108 (Lab. Dausse; appl. 20.2.1962; F-prior. 24.2.1961, 23.5.1961, 18.1.1962).

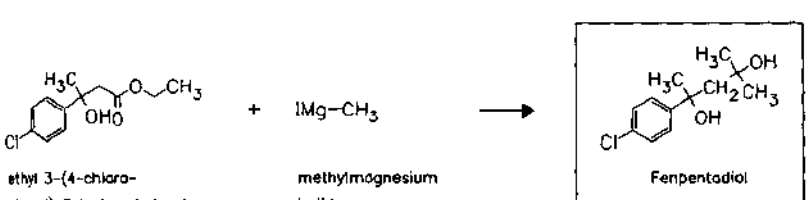
Formulation(s): tabl. 10 mg

Trade Name(s):
F: Ordinator (Synthelabo)

Fenpentadiol
(Phenpentanediol)

ATC: N06A; N06B
Use: antidepressant

RN: 15687-18-0 MF: $C_{12}H_{17}ClO_2$ MW: 228.72 EINECS: 239-782-5
LD_{50}: 940 mg/kg (M, p.o.);
1140 mg/kg (R, p.o.)
CN: 2-(4-chlorophenyl)-4-methyl-2,4-pentanediol



Reference(s):
FR-M 1 984 (Albert Rolland; appl. 26.7.1962).

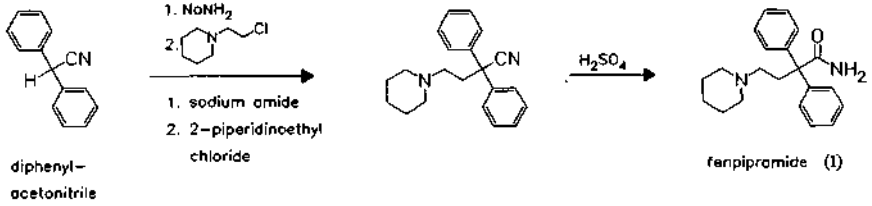
Formulation(s): cps. 100 mg

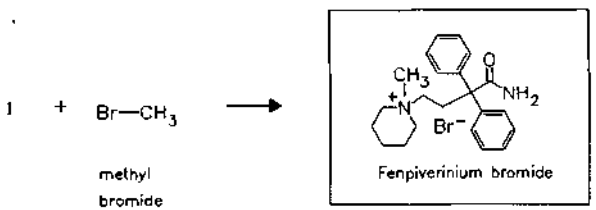
Trade Name(s):
F: Trédum (Anphar-Rolland); wfm
Trédum (L'Hépatrol); wfm

Fenpiverinium bromide
(Fenpipramide methylbromide)

ATC: A03AB21
Use: anticholinergic, antispasmodic

RN: 125-60-0 MF: $C_{22}H_{29}BrN_2O$ MW: 417.39 EINECS: 204-744-9
LD_{50}: 13.5 mg/kg (M, i.v.); 800 mg/kg (M, p.o.)
CN: 1-(4-amino-4-oxo-3,3-diphenylbutyl)-1-methylpiperidinium bromide





Reference(s):
DE 731 560 (Hoechst; appl. 1941).
DE 858 552 (Hoechst; appl. 1950).

Formulation(s): amp. 0.1 mg in comb.; suppos. 0.03 mg, 0.1 mg in comb.; tabl. 0.1 mg in comb.

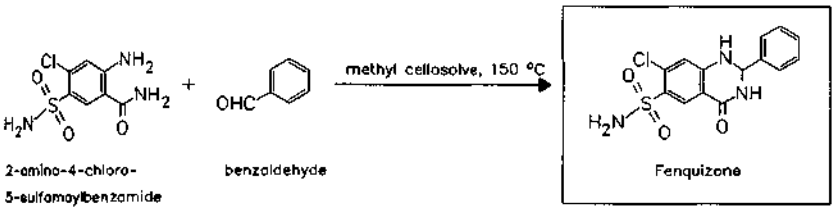
Trade Name(s):
D: Baralgin (Albert-Roussel)-comb.; wfm
Baralgin compositum (Albert-Roussel)-comb.; wfm
F: Baralgine (Hoechst)-comb.; wfm
I: Baralgina (Hoechst Italia)-comb.

Fenquizone

ATC: C03BA13
Use: diuretic

RN: 20287-37-0 MF: $C_{14}H_{12}ClN_3O_3S$ MW: 337.79 EINECS: 243-689-5
CN: 7-chloro-1,2,3,4-tetrahydro-4-oxo-2-phenyl-6-quinazolinesulfonamide

potassium salt
RN: 52246-40-9 MF: $C_{14}H_{11}ClKN_3O_3S$ MW: 375.88



Reference(s):
Biressi, M.E. et al.: Farmaco, Ed. Sci. (FRPSAX) **24**, 199 (1969).

Formulation(s): cps. 11.13 mg (as potassium salt)

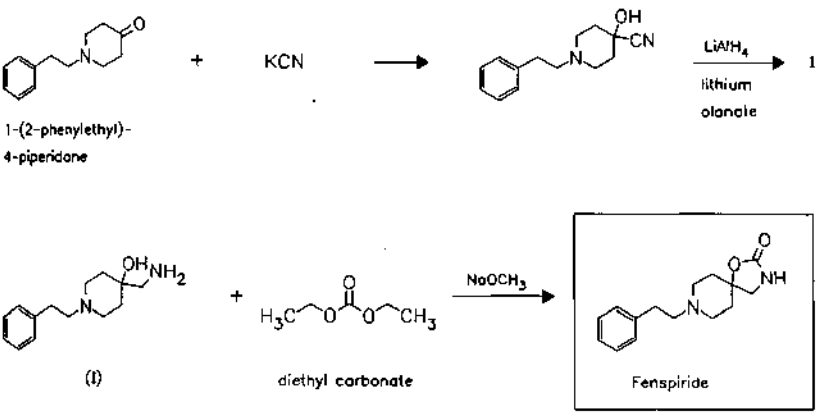
Trade Name(s):
I: Idrolone (Maggioni-Winthrop)

Fenspiride

ATC: R03BX01; R03DX03
Use: antiasthmatic, bronchodilator, α-adrenergic blocker

RN: 5053-06-5 MF: $C_{15}H_{20}N_2O_2$ MW: 260.34 EINECS: 225-751-3
LD_{50}: 230 mg/kg (M, i.p.)
CN: 8-(2-phenylethyl)-1-oxa-3,8-diazaspiro[4.5]decan-2-one

monohydrochloride
RN: 5053-08-7 MF: $C_{15}H_{20}N_2O_2 \cdot HCl$ MW: 296.80 EINECS: 225-752-9
LD_{50}: 106 mg/kg (M, i.v.); 250 mg/kg (M, p.o.);
122 mg/kg (R, i.v.); 437 mg/kg (R, p.o.);
74 mg/kg (dog, i.v.)



Reference(s):
US 3 399 192 (Science Union; 27.8.1968; GB-prior. 22.4.1964).

preparation of 1-(2-phenylethyl)-4-piperidone:
Beckett et al.: J. Med. Pharm. Chem. (JMPCAS) **1**, 37, 51 (1959).
Elpern et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4916 (1958).
Dutta, A.K.; Xu, C., Reith, M.F.A.: J. Med. Chem. (JMCMAR) **39** (3), 749 (1966).
Janssens, F. et al.: J. Med. Chem. (JMCMAR) **28** (12), 1925 (1985).

Formulation(s): cps. 40 mg, 80 mg; suppos. 40 mg, 80 mg

Trade Name(s):

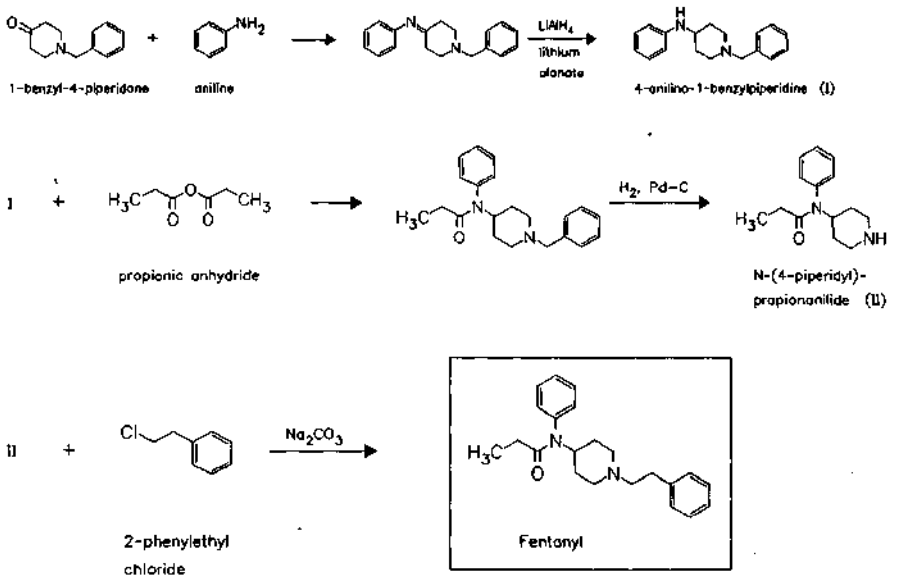
F:	Pneumorel (Euthérapie; as hydrochloride)	I:	Espiran (ICT) Fenspir (Ibirn)	Fluiden (Lafare) Pneumorel (Stroder)

Fentanyl

ATC: N01AH01; N02AB03
Use: analgesic, narcotic

RN: 437-38-7 MF: $C_{22}H_{28}N_2O$ MW: 336.48 EINECS: 207-113-6
LD_{50}: 2900 µg/kg (M, i.v.); 368 mg/kg (M, p.o.);
2910 µg/kg (R, i.v.); 18 mg/kg (R, p.o.)
CN: *N*-phenyl-*N*-[1-(2-phenylethyl)-4-piperidinyl]propanamide

citrate (1:1)
RN: 990-73-8 MF: $C_{22}H_{28}N_2O \cdot C_6H_8O_7$ MW: 528.60 EINECS: 213-588-0
LD_{50}: 10100 µg/kg (M, i.v.); 368 mg/kg (M, p.o.);
990 µg/kg (R, i.v.); 18 mg/kg (R, p.o.)



Reference(s):
FR 2 430 M (Janssen; appl. 9.10.1962; USA-prior. 10.10.1961).
US 3 141 823 (Janssen; 21.7.1964; appl. 4.9.1962).
US 3 164 600 (Janssen; 5.1.1965; appl. 10.10.1961).

Formulation(s): amp. 0.157 mg/2 ml, 0.785/10 ml (as citrate); membrane plaster

Trade Name(s):

D:	Durogesic (Janssen-Cilag) Fentanyl (Schwabe-Curamed)	Thalamonal (Janssen-Cilag)-comb. with droperidol generic	F:	Durogésic (Janssen-Cilag) generic
			GB:	Durogesic (Janssen-Cilag) Sublimaze (Janssen-Cilag)

I: Fentanest (Carlo Erba)
Leptofen (Carlo Erba)-comb.

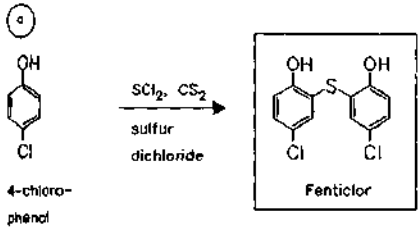
J: Fentanest (Sankyo; as citrate)
Thalamonal (Sankyo)-comb. with droperidol

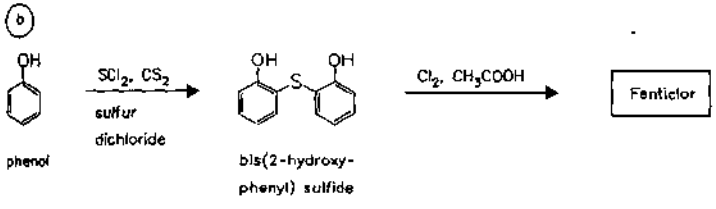
USA: Duragesic (Janssen)

Fenticlor

ATC: D01A
Use: antifungal, anti-infective

RN: 97-24-5 MF: $C_{12}H_8Cl_2O_2S$ MW: 287.17 EINECS: 202-568-7
CN: 2,2'-thiobis[4-chlorophenol]





Reference(s):
a Dunning, F. et al.: J. Am. Chem. Soc. (JACSAT) **53**, 3466 (1931).
b DRP 568 944 (I. G. Farben; appl. 1931).

Formulation(s): ointment 5 %; sol. 5 %

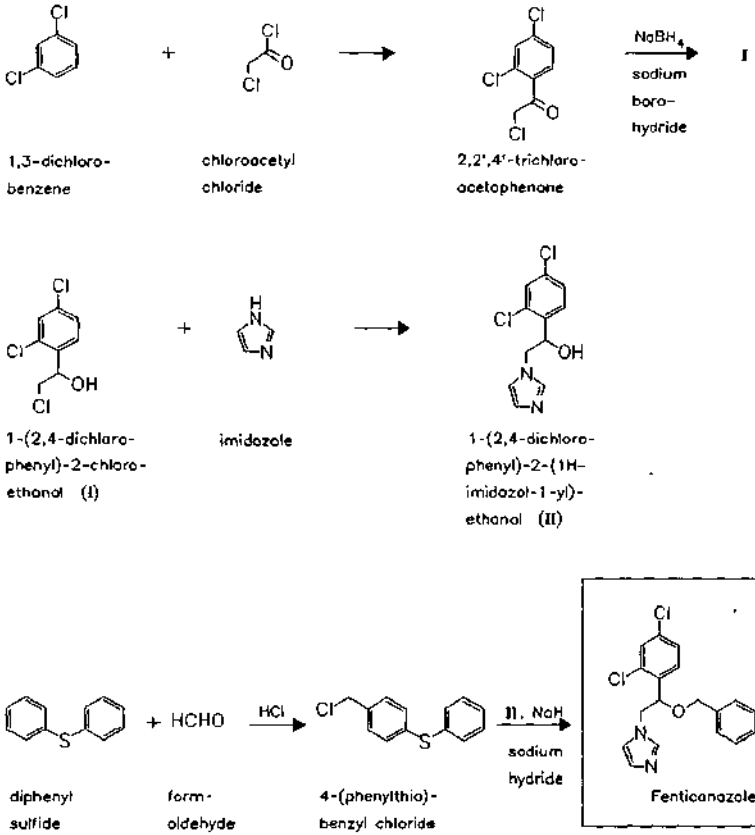
Trade Name(s):
D: Antimyk (Pfleger); wfm

Fenticonazole

ATC: D01AC12; G01AF12
Use: antifungal

RN: 72479-26-6 MF: $C_{24}H_{20}Cl_2N_2OS$ MW: 455.41
LD_{50}: 1191 mg/kg (M, i.p.);
440/309 mg/kg (R, i.p.); >3000 mg/kg (R, p.o.)
CN: 1-[2-(2,4-dichlorophenyl)-2-[[4-(phenylthio)phenyl]methoxy]ethyl]-1*H*-imidazole

mononitrate
RN: 73151-29-8 MF: $C_{24}H_{20}Cl_2N_2OS \cdot HNO_3$ MW: 518.42 EINECS: 277-302-6
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.);
>1 g/kg (dog, p.o.)



Reference(s):
DE 2 917 244 (Recordati; appl. 9.5.1979; I-prior. 18.5.1978).
US 4 221 803 (Recordati; 9.9.1980; appl. 9.5.1979; I-prior. 18.5.1978).

Formulation(s): cream 2 %, gel 2 %; vaginal ovules 200 mg

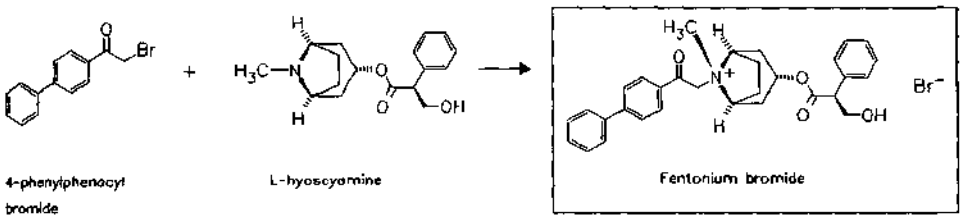
Trade Name(s):

F:	Lomexin (Effik; as nitrate) Terlomexin (Effik; as nitrate)	GB:	Lomexin (Dominion; Pharmacia & Upjohn; as nitrate)	Fentiderm (Zyma) Fentigyn (Novartis) Lomexin (Recordati; 198
		I:	Falvin (Farmades)	

Fentonium bromide

ATC: A03BB04
Use: anticholinergic

RN: 5868-06-4 MF: $C_{31}H_{34}BrNO_4$ MW: 564.52 EINECS: 227-520-2
LD_{50}: 12100 µg/kg (M, i.v.); >400 mg/kg (M, p.o.);
11600 µg/kg (R, i.v.)
CN: [3(*S*)-*endo*,*anti*]-8-(2-[1,1'-biphenyl]-4-yl-2-oxoethyl)-3-(3-hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-azoniabicyclo[3.2.1]octane bromide



Reference(s):
synthesis:
US 3 356 682 (Whitefin Holding S.A.; 5.12.1967; prior. 27.10.1964).

medical use:
US 3 436 458 (Whitefin Holding S.A.; 1.4.1969; prior. 27.10.1964).

Formulation(s): tabl. 20 mg

Trade Name(s):

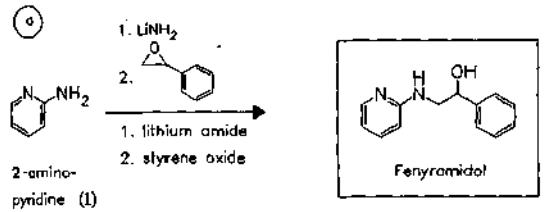
D:	Ulcesium (Inpharzam); wfm	I:	Duotrax (Zambon Farm.)-comb.; wfm		Ulcesium (Zambon); wfm

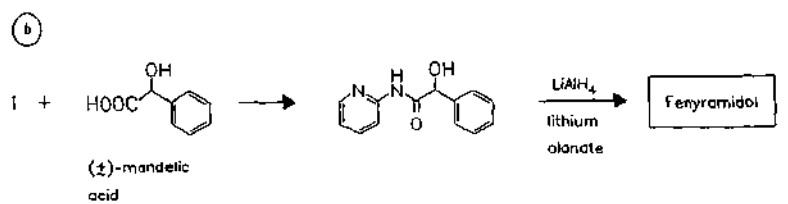
Fenyramidol
(Phenyramidol)

ATC: M03B
Use: analgesic, muscle relaxant

RN: 553-69-5 MF: $C_{13}H_{14}N_2O$ MW: 214.27 EINECS: 209-044-7
LD_{50}: 124 mg/kg (M, i.v.); 1850 mg/kg (M, p.o.);
756 mg/kg (R, p.o.)
CN: α-[(2-pyridinylamino)methyl]benzenemethanol

monohydrochloride
RN: 326-43-2 MF: $C_{13}H_{14}N_2O \cdot HCl$ MW: 250.73 EINECS: 206-308-3
LD_{50}: 124 mg/kg (M, i.v.); 2425 mg/kg (M, p.o.)





Reference(s):
DAS 1 420 056 (Neisler Labs.; appl. 14.8.1959; USA-prior. 4.11.1958).
US 3 040 050 (Lakeside Labs.; 19.6.1962; prior. 1.3.1960).
Gray, A.P. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 4347, 4351 (1959).
BE 580 121 (Irwin, Neisler; appl. 26.6.1959; USA-prior. 4.11.1958).

Formulation(s): drg. 400 mg (as hydrochloride)

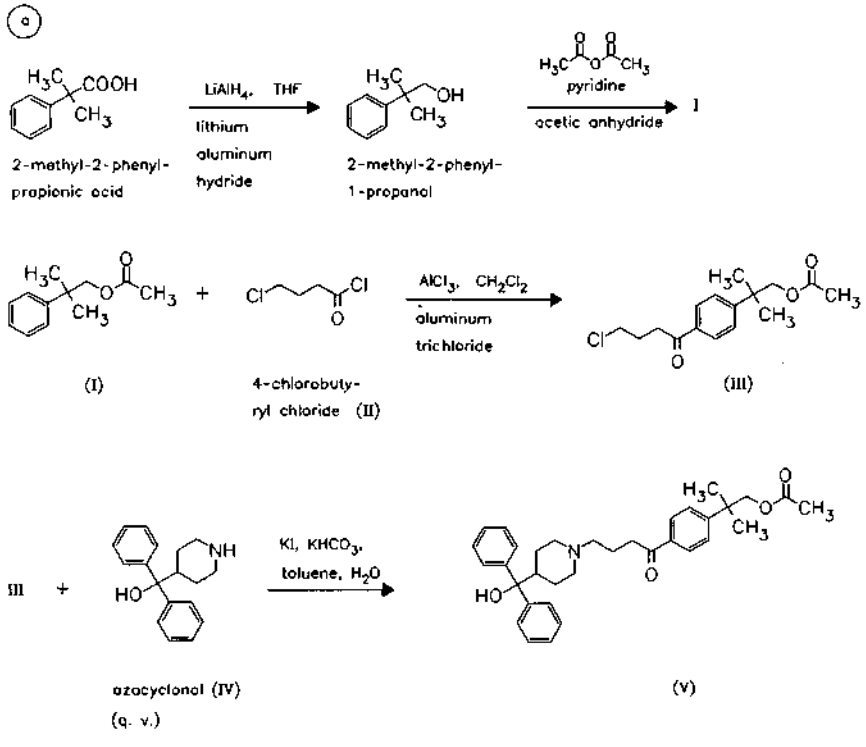
Trade Name(s):
D: Cabral (Kali-Chemie); wfm
I: Anabloc (Irbi); wfm
Analexin (Biotrading); wfm
Aramidol (ABC); wfm
Firmalgil (Firma); wfm
Miodar (ISM); wfm
Pheniramidol (Pulitzer); wfm
J: Analexin-AF (Dainippon)-comb.

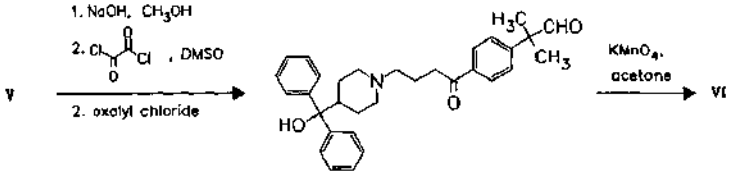
Fexofenadine hydrochloride
(MDL-16455A)

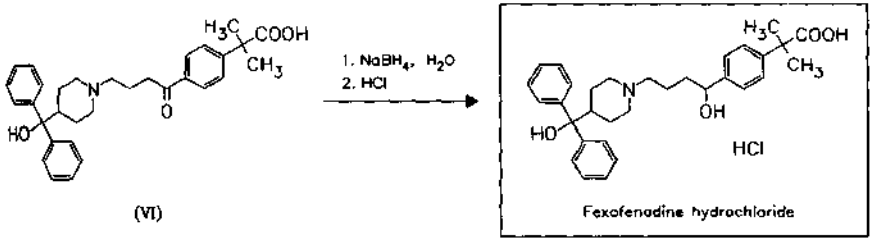
ATC: R06AX26
Use: antihistaminic, metabolite of terfenadine

RN: 153439-40-8 MF: $C_{32}H_{39}NO_4 \cdot HCl$ MW: 538.13
CN: 4-[1-hydroxy-4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]butyl]-α,α-dimethylbenzeneacetic acid hydrochloride

base
RN: 83799-24-0 MF: $C_{32}H_{39}NO_4$ MW: 501.67

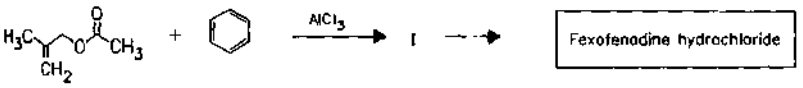






(VI)

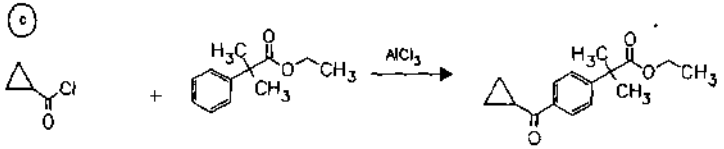
(b)



2-methyl-2-propenyl acetate

benzene

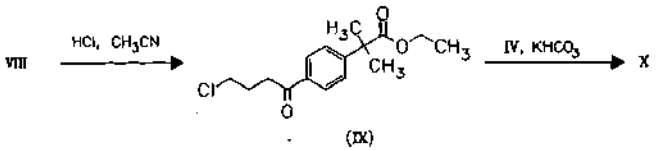
(c)



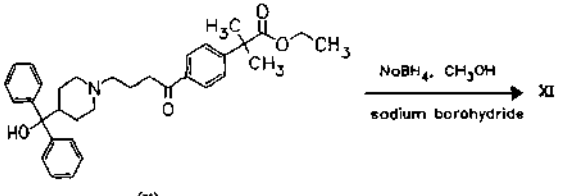
cyclopropane-carbonyl chloride

ethyl 2-methyl-2-phenyl-propionate (VII)

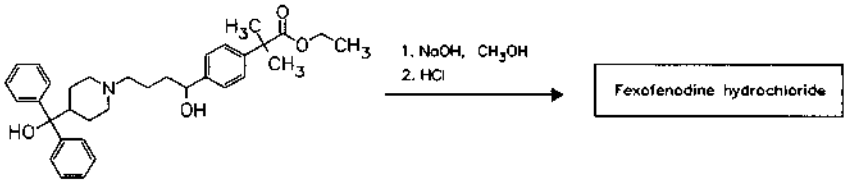
ethyl 2-[4-(cyclopropyl-carbonyl)phenyl]-2-methylpropionate (VIII)



(IX)

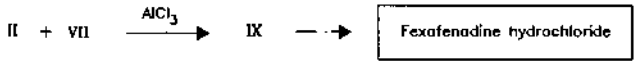


(X)



(XI)

(d)



Reference(s):
a,b WO 9 321 156 (Merrell Dow Pharm.; appl. 10.3.1993; USA-prior. 25.1.1993, 10.4.1992).
c,d WO 9 500 480 (Merrell Dow Pharm.; appl. 26.5.1994; USA-prior. 25.6.1993, 27.10.1993).
WO 9 500 482 (Albany Molecular Res.; appl. 21.6.1994; USA-prior. 24.6.1993).

preparation of optically active isomers used in antihistamine treatment:
WO 9 403 170 (Sepracor Inc.; appl. 3.8.1993; USA-prior. 3.8.1992).

process for resolution using mandelic acid:
WO 9 531 436 (Merrell Pharm. Inc.; appl. 10.4.1995; USA-prior. 16.5.1994).

use in hepatic impaired patients:
WO 9 323 047 (Merrell Dow Pharm.; appl. 6.4.1993; USA-prior. 31.7.1992, 11.5.1992).
WO 9 510 278 (Marion Merrell Dow; appl. 30.9.1994; USA-prior. 15.10.1993).

anhydrous and hydrated forms:
WO 9 531 437 (Marion Merrell Dow; appl. 28.4.1995; USA-prior. 11.4.1995, 18.5.1994).

improved bioavailability with high surface area particle form:
WO 9 626 726 (Hoechst Marion Roussel; appl. 26.1.1996; USA-prior. 12.12.1995, 28.2.1995).

oral formulations in solvent comp. propylene glycol:
US 5 574 045 (Hoechst Marion Roussel; 12.11.1996; appl. 6.6.1995; USA-prior. 6.6.1995).

Formulation(s): cps. 60 mg

Trade Name(s):

D:	Telfast (Hoechst Marion Roussel; Procter & Gamble)	GB:	Telfast (Hoechst)
		USA:	Allegra (Hoechst Marion Roussel)

Fibrinolysin (human)

(Serum-Tryptase; Plasmin)

ATC: B01AD05
Use: thrombolytic

RN: 9004-09-5 MF: unspecified MW: unspecified EINECS: 232-640-3
CN: plasmin

An enzyme obtained from human plasma by conversion of profibrinolysin with streptokinase to fibrinolysin.
Proteolytic enzyme of unknown structure; molar mass ≡ 75000.
From oxalate added blood plasma by precipitation with $CaCl_2$ and purification by washing and precipitation and lyophilization.

Reference(s):
US 2 624 691 (Parke Davis; 1953; appl. 1946).
US 3 136 703 (Ortho Pharmaceutical; 9.6.1964; prior. 1.10.1957, 22.4.1958).
US 3 234 106 (Cutter Labs.; 8.2.1966; appl. 3.12.1962).

Formulation(s): ointment 10 mg/1 g (1 %)

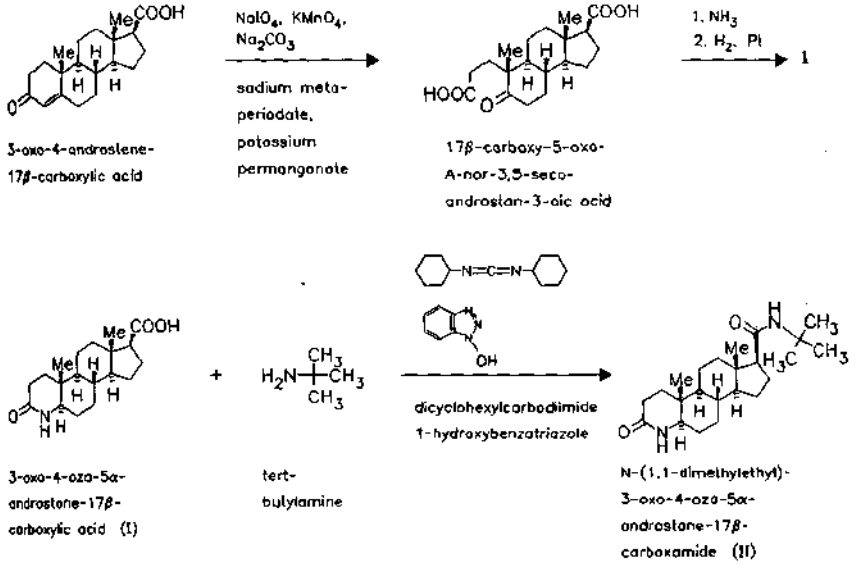
Trade Name(s):

D:	Fibrinolysin (Human) Lyovac (Sharp & Dohme); wfm	F:	Elase (Substantia)-comb.; wfm Thromboclase (Choay); wfm	I:	Elase (Parke Davis)-comb.
				USA:	Elase (Fujisawa)

Finasteride

ATC: G04CA01
Use: 5α-reductase inhibitor, treatment of benign prostatic hypertrophy

RN: 98319-26-7 MF: $C_{23}H_{36}N_2O_2$ MW: 372.55
LD_{50}: 486 mg/kg (M, p.o.);
418 mg/kg (R, p.o.);
>1 g/kg (dog, p.o.)
CN: (5α,17β)-*N*-(1,1-dimethylethyl)-3-oxo-4-azaandrost-1-ene-17-carboxamide



Reference(s):
US 155 096 (Merck & Co.; appl. 20.2.1985; USA-prior. 27.2.1984).
Rasmusson, G.H. et al.: J. Med. Chem. (JMCMAR) **29**, 2298 (1986).

medical use for treatment of androgenic alopecia:
EP 285 382 (Merck & Co.; appl. 30.3.1988; USA-prior. 3.4.1987).

medical use for treatment of prostate carcinoma:
EP 285 383 (Merck & Co.; appl. 30.3.1988; USA-prior. 3.4.1987).

Formulation(s): f. c. tabl. 5 mg

Trade Name(s):

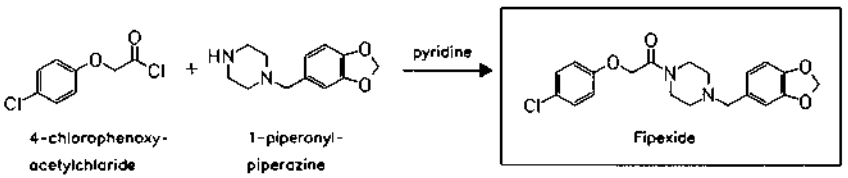
D:	Proscar (MSD Chibropharm)	GB:	Proscar (Merck Sharp & Dohme)	USA:	Prostide (Sigma-Tau)
F:	Chibro-Proscar (Merck Sharp & Dohme-Chibret)	I:	Proscar (Merck & Co.; 1991)		Proscar (Merck)

Fipexide

ATC: N06BX05
Use: antidepressant, psychotonic, nootropic

RN: 34161-24-5 MF: $C_{20}H_{21}ClN_2O_4$ MW: 388.85 EINECS: 251-857-4
CN: 1-(1,3-benzodioxol-5-ylmethyl)-4-[(4-chlorophenoxy)acetyl]piperazine

monohydrochloride
RN: 34161-23-4 MF: $C_{20}H_{21}ClN_2O_4 \cdot HCl$ MW: 425.31 EINECS: 251-856-9
LD_{50}: 4150 mg/kg (M, p.o.);
4482 mg/kg (R, p.o.)



Reference(s):
FR-M 7 524 (Lab. F. Bouchard; appl. 12.3.1968).

Formulation(s): drg. 200 mg; tabl. 200 mg (as hydrochloride)

Trade Name(s):

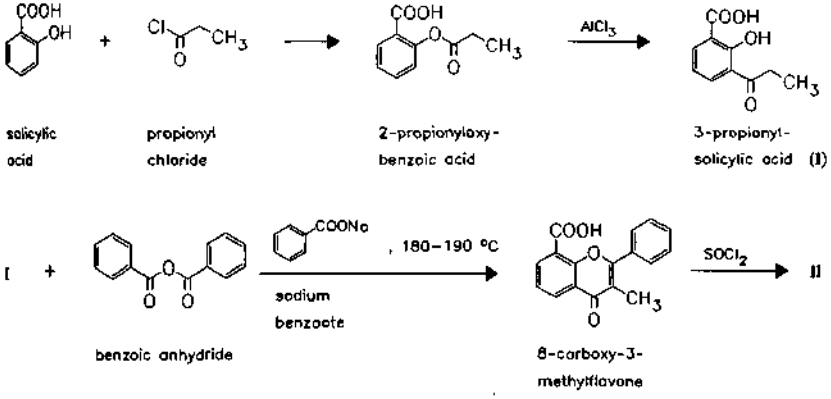
F:	Vigilor (Bouchard); wfm	I:	Attentil (Lusofarmaco); wfm

Flavoxate

ATC: G04BD02
Use: antispasmodic

RN: 15301-69-6 MF: $C_{24}H_{25}NO_4$ MW: 391.47 EINECS: 239-337-5
CN: 3-methyl-4-oxo-2-phenyl-4*H*-1-benzopyran-8-carboxylic acid 2-(1-piperidinyl)ethyl ester

hydrochloride
RN: 3717-88-2 MF: $C_{24}H_{25}NO_4 \cdot HCl$ MW: 427.93 EINECS: 223-066-4
LD_{50}: 28 mg/kg (M, i.v.); 740 mg/kg (M, p.o.);
25 mg/kg (R, i.v.); 1040 mg/kg (R, p.o.)



Reference(s):
US 2 921 070 (Recordati; 12.1.1960; CH-prior. 5.11.1957).

alternative synthesis:
US 3 350 411 (Seceph; 31.10.1967; I-prior. 10.10.1963).

Formulation(s): f. c. tabl. 200 mg; tabl. 100 mg (as hydrochloride)

Trade Name(s):

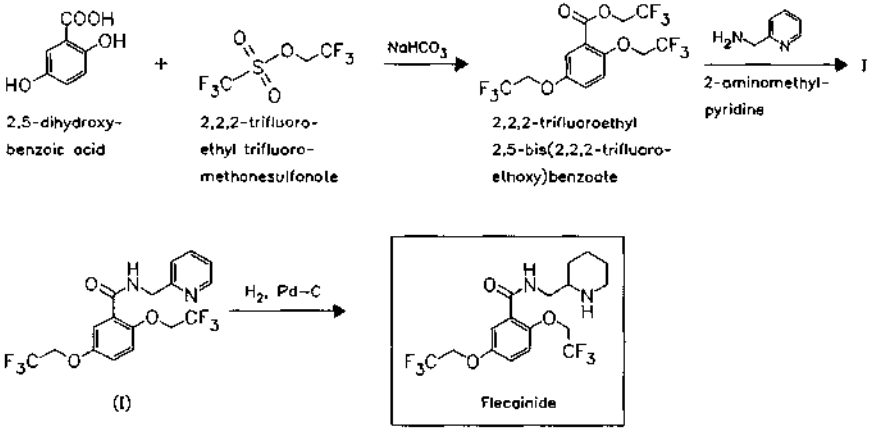
D:	Spasuret (Sanofi Winthrop)	I:	Cistalgan (Recordati)-comb.	J:	Bladderon (Nippon Shinyaku)
F:	Urispas (Negma)		Genurin (Recordati)	USA:	Urispas (SmithKline Beecham)
GB:	Urispas (Shire)				

Flecainide

ATC: C01BC04
Use: antiarrhythmic

RN: 54143-55-4 MF: $C_{17}H_{20}F_6N_2O_3$ MW: 414.35
CN: *N*-(2-piperidinylmethyl)-2,5-bis(2,2,2-trifluoroethoxy)benzamide

acetate
RN: 54143-56-5 MF: $C_{17}H_{20}F_6N_2O_3 \cdot C_2H_4O_2$ MW: 474.40



Reference(s):
DE 2 513 916 (Riker; prior. 27.3.1975).
US 3 900 481 (Riker; 19.8.1975; prior. 1.4.1974).
US 3 655 728 (Riker; 11.4.1972; prior. 22.7.1970).
US 4 005 209 (Riker; 25.1.1975; prior. 27.5.1975).
Bannit, E.H. et al.: J. Med. Chem. (JMCMAR) **18**, 1130 (1975); **20**, 821 (1977).

Formulation(s): amp. 50 mg; tabl. 50 mg, 100 mg (as acetate)

Trade Name(s):

D:	Tambocor (3M Medica; 1982)	GB:	Tambocor (3M Health Care; 1983)	J:	Tambocor (Eisai)
F:	Flécaïne (3M Santé; 1984)	I:	Almarytm (Synthelabo; 1986)	USA:	Tambocor (3M; 1985)

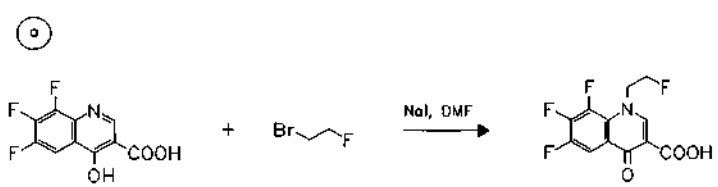
Fleroxacin

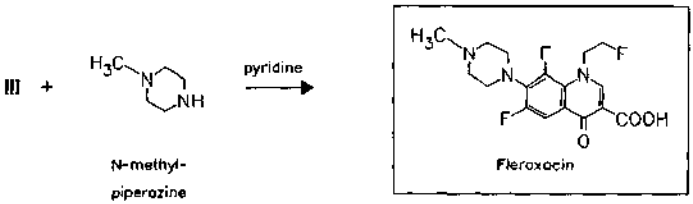
(AM 833; Ro 23-6240; Megalocin)

ATC: J01MA08
Use: antibacterial

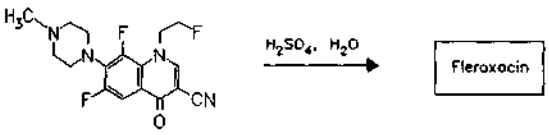
RN: 79660-72-3 MF: $C_{17}H_{18}F_3N_3O_3$ MW: 369.34
LD_{50}: 20.4 mg/kg (R, i. v.); >4 g/kg (R, p. o.);
21.7 mg/kg (M, i. v.); >4 g/kg (M, p. o.);
>1 g/kg (dog, p. o.)
CN: 6,8-Difluoro-1-(2-fluoroethyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid

monohydrochloride
RN: 79660-53-0 MF: $C_{17}H_{18}F_3N_3O_3 \cdot HCl$ MW: 405.80





(b)



Reference(s):

a BE 887 574 (Kyorin Pharm.; appl. 19.2.1981; BE-prior. 19.8.1980).
ZA 8 502 065 (Kyorin Pharm.; appl. 20.3.1985; ZA-prior. 20.3.1985).

b ES 2 010 862 (Inke S. A.; appl. 13.2.1989).

purification and recovery using porous absorbents:
JP 08 259 541 (Kyorin Seiyaku; appl. 23.3.1995).

synthesis of fluorine-labeled fleroxacin:
Livni, E. et al.: Nucl. Med. Biol. (NMBIEO) **20** (1), 883-897 (1993)

Formulation(s): amp. for inj. 400 mg; f. c. tabl. 200 mg, 400 mg; tabl. 200 mg, 400 mg; vial 400 mg/100 ml

Trade Name(s):

D: Quinodis (Roche/ Grünenthal)

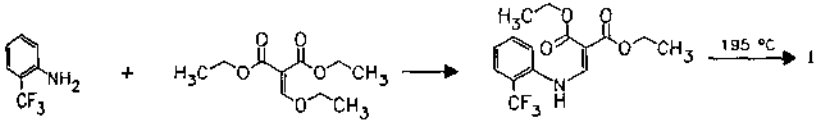
Floctafenine

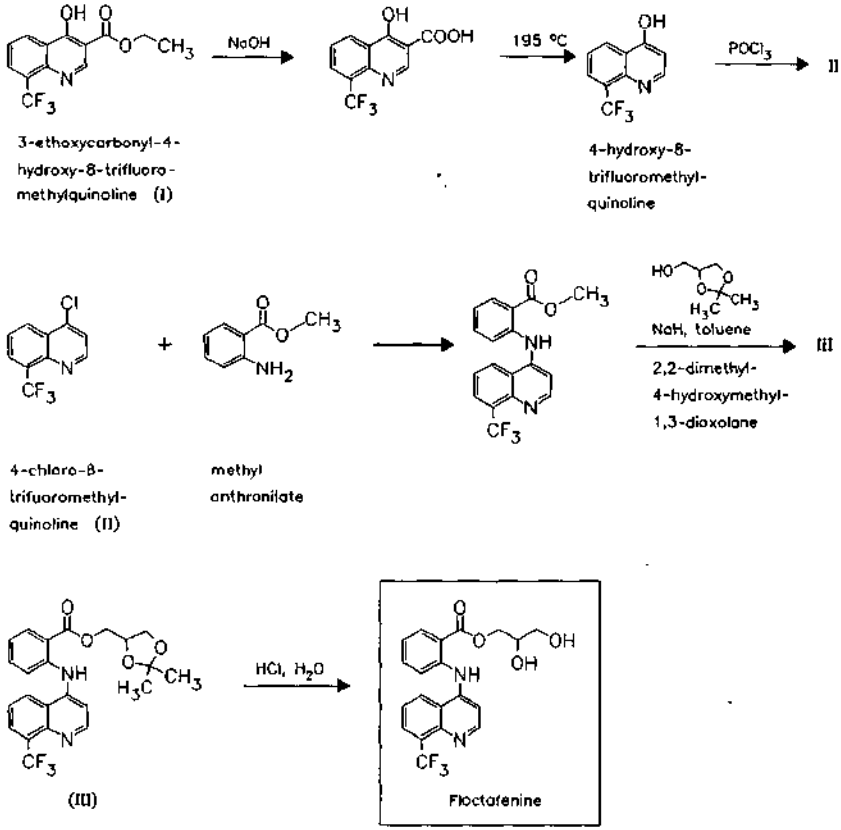
ATC: N02BG04
Use: analgesic

RN: 23779-99-9 MF: $C_{20}H_{17}F_3N_2O_4$ MW: 406.36 EINECS: 245-881-4

LD_{50}: 180 mg/kg (M, i.v.); 1960 mg/kg (M, p.o.);
160 mg/kg (R, i.v.); 535 mg/kg (R, p.o.);
>1 g/kg (dog, p.o.)

CN: 2-[[8-(trifluoromethyl)-4-quinolinyl]amino]benzoic acid 2,3-dihydroxypropyl ester





Reference(s):
DE 1 815 467 (Roussel-Uclaf; appl. 18.12.1968; F-prior. 29.12.1967, 29.3.1968, 23.8.1968).
US 3 644 368 (Roussel-Uclaf; 22.2.1972; F-prior. 29.12.1967, 23.8.1968).
US 3 818 090 (Roussel-Uclaf; 22.2.1972; prior. 7.7.1971).

Formulation(s): tabl. 200 mg

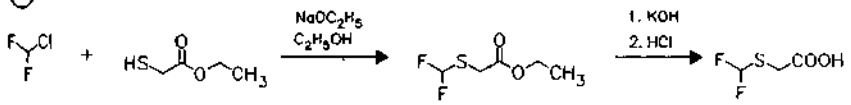
Trade Name(s):
D: Idarac (Roussel; 1978); wfm
F: Idarac (Roussel Diamant; 1976)
I: Idarac (Roussel; 1977)

Flomoxef
(6315-S)

ATC: J01C
Use: antibacterial (β-lactam antibiotic)

RN: 99665-00-6 MF: $C_{15}H_{18}F_2N_6O_7S_2$ MW: 496.47
CN: (6*R*-*cis*)-7-[[[(difluoromethyl)thio]acetyl]amino]-3-{[[1-(2-hydroxyethyl)-1*H*-tetrazol-5-yl]thio]methyl]-7-methoxy-8-oxo-5-oxa-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

(a) side chain I:

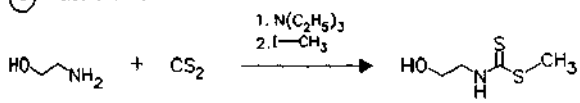


chloro-difluoro-methane

ethyl (difluoro-methylthio)acetate

(difluoromethyl-thio)acetic acid (I)

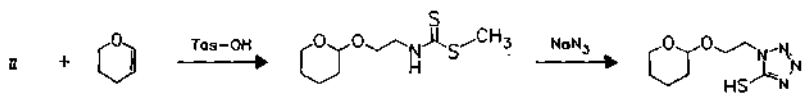
(b) side chain IV:



ethanolamine

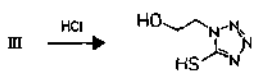
carbon disulfide

methyl N-(2-hydroxy-ethyl)dithiocarbamate (II)



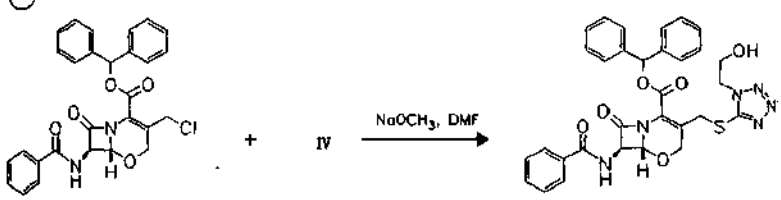
3,4-dihydro-2H-pyran

(III)



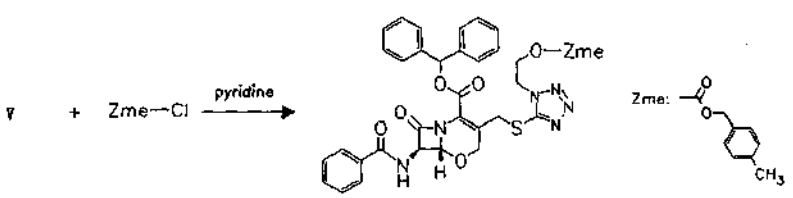
1-(2-hydroxyethyl)-1H-tetrazole-5-thiol (IV)

(c) final product:



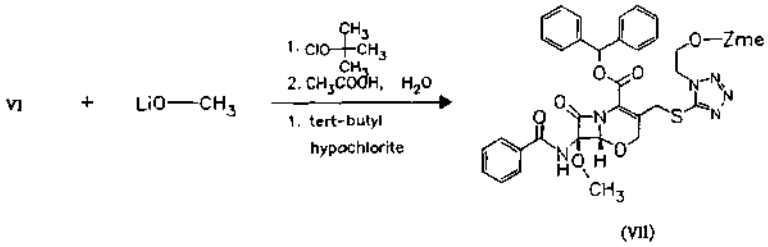
diphenylmethyl 7α-benzamido-3-chloromethyl-1-oxo-3-cephem-4-carboxylate (cf. latamoxef synthesis)

(V)

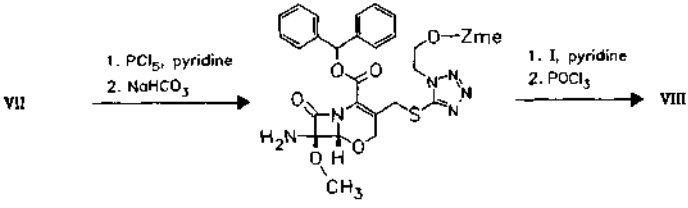


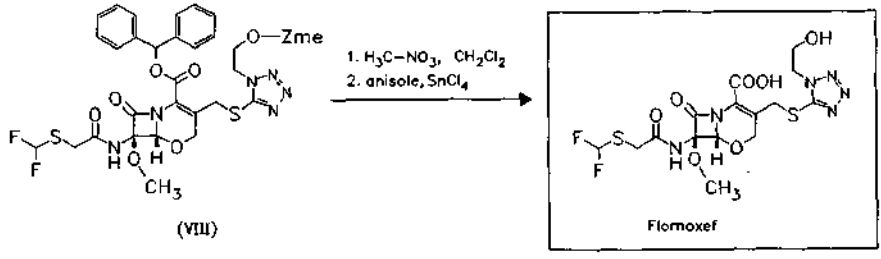
p-methylbenzyl chloroformate

(VI)



(VII)





(VIII)

Reference(s):
Tsuji, T. et al.: J. Antibiot. (JANTAJ) **38**, 466 (1984).
US 4 532 233 (Shionogi; 30.7.1985; J-prior. 23.12.1982).
DOS 3 345 989 (Shionogi; appl. 20.12.1983; J-prior. 23.12.1982).
EP 128 536 (Shionogi; appl. 7.6.1984; J-prior. 14.6.1983).

purification:
DOS 3 503 303 (Shionogi; appl. 31.1.1985; J-prior. 2.2.1984).

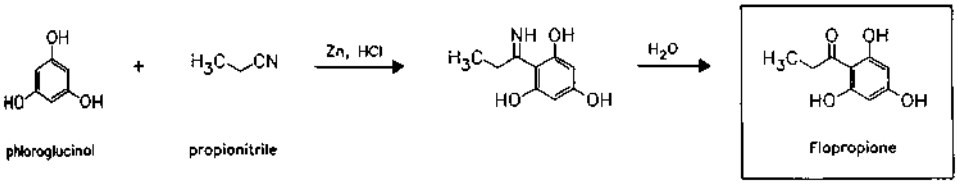
Formulation(s): vial (dry substance for inj.) 500 mg, 1g

Trade Name(s):
J: Flumarin (Shionogi)

Flopropione

ATC: A03A
Use: antispasmodic

RN: 2295-58-1 MF: $C_9H_{10}O_4$ MW: 182.18 EINECS: 218-942-8
LD_{50}: 300 mg/kg (M, i.v.); 2780 mg/kg (M, p.o.);
246 mg/kg (R, i.v.); 2380 mg/kg (R, p.o.)
CN: 1-(2,4,6-trihydroxyphenyl)-1-propanone



Reference(s):
Canter et al.: J. Chem. Soc. (JCSOA9) **1931**, 1245.
Shinoda, K.: Yakugaku Zasshi (YKKZAJ) **35**, 235 (1927).
Howells et al.: J. Am. Chem. Soc. (JACSAT) **54**, 2451 (1932).

pharmacology:
Cahen, R.; Boucherie, A.: C. R. Seances Soc. Biol. Ses Fil. (CRSBAW) **157**, 112 (1963).

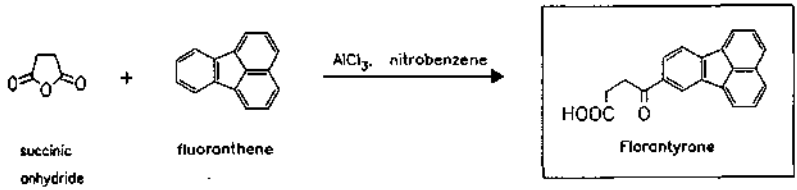
Formulation(s): cps. 40 mg; gran. 80 mg/g, 160 mg/g

Trade Name(s):
J: Chlonarin (Kanebo); Colenfupan (Nichiiko); Cospanon (Eisai); Pasmus (Daiichi); generic

Florantyrone

ATC: A03A
Use: choleretic

RN: 519-95-9 MF: $C_{20}H_{14}O_3$ MW: 302.33 EINECS: 208-279-2
CN: γ-oxo-8-fluoranthenebutanoic acid



Reference(s):
US 2 560 425 (Miles Labs.; 1951; prior. 1948).

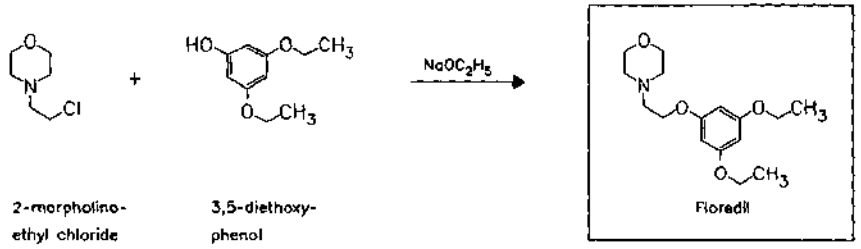
Formulation(s): 0.075 g, 1 g

Trade Name(s):
I: Bilyn (Janus); wfm; Cistoplex (Borromeo); wfm; Idroepar (Beolet); wfm
J: Zanchol (G.D.-Dainippon)
USA: Zanchol (Searle); wfm

Floredil

ATC: C01DB
Use: coronary vasodilator

RN: 53731-36-5 MF: $C_{16}H_{25}NO_4$ MW: 295.38
CN: 4-[2-(3,5-diethoxyphenoxy)ethyl]morpholine



Reference(s):
DOS 2 020 464 (Orsymonde; appl. 27.4.1970; GB-prior. 29.4.1969).

Formulation(s): cps. 200 mg

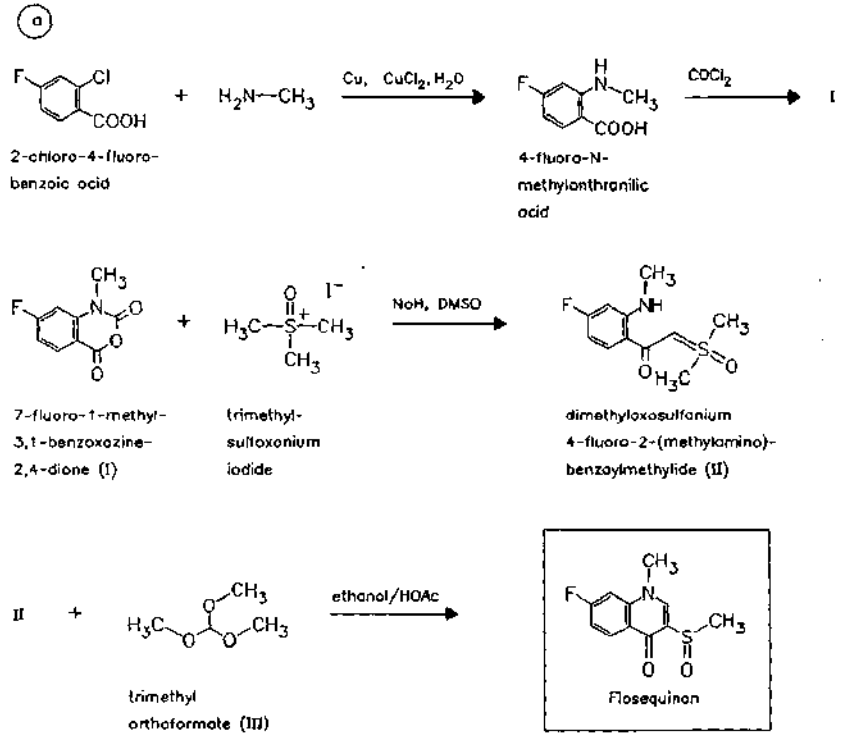
Trade Name(s):
F: Carfonal (Lafon); wfm

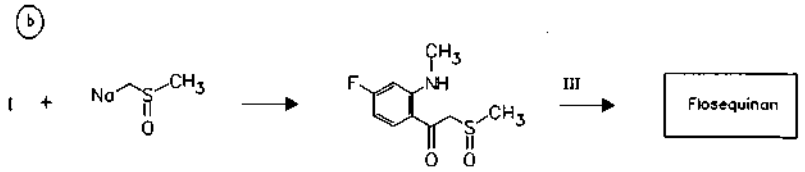
Flosequinan

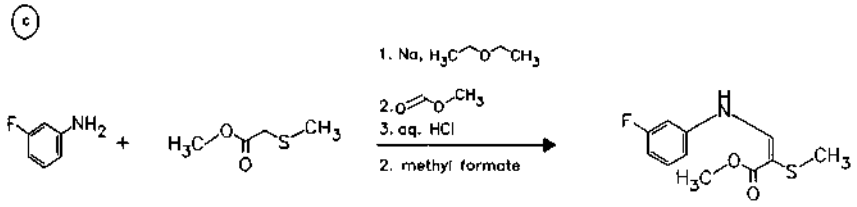
(BTS 49037; BTS 49465)

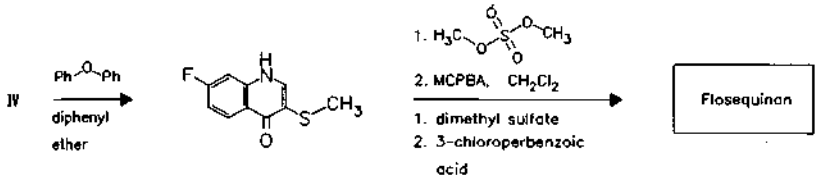
ATC: C01DB01
Use: vasodilator, antihypertensive

RN: 76568-02-0 MF: $C_{11}H_{10}FNO_2S$ MW: 239.27
CN: 7-Fluoro-1-methyl-3-(methylsulfinyl)-4(1*H*)-quinolinone









Reference(s):
a DE 3 011 994 (Boots; appl. 27.3.1980; GB-prior. 27.3.1979).
b,c Birch, A.M. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1994**, 387.
EP 317 149 (Boots; appl. 7.11.1988; GB-prior. 18.11.1987).

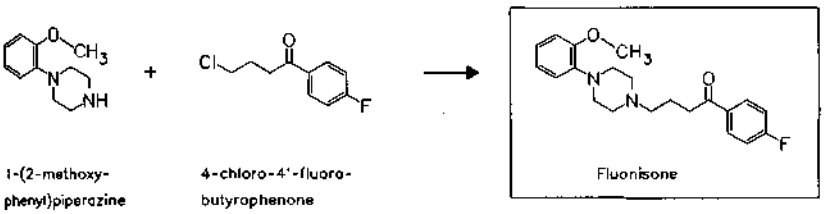
Formulation(s): tabl. 50 mg, 100 mg

Trade Name(s):
GB: Manoplax (Boots)

Fluanisone

ATC: N05AD09
Use: neuroleptic

RN: 1480-19-9 MF: $C_{21}H_{25}FN_2O_2$ MW: 356.44 EINECS: 216-038-8
LD_{50}: 25 mg/kg (M, i.v.); 550 mg/kg (M, p.o.);
20 mg/kg (R, i.v.)
CN: 1-(4-fluorophenyl)-4-[4-(2-methoxyphenyl)-1-piperazinyl]-1-butanone



Reference(s):
DAS 1 185 615 (Janssen; appl. 25.3.1960; USA-prior. 26.3.1959).
US 2 997 472 (Janssen; 22.8.1961; prior. 26.3.1959).

Formulation(s): sol. 6.25 mg/ml

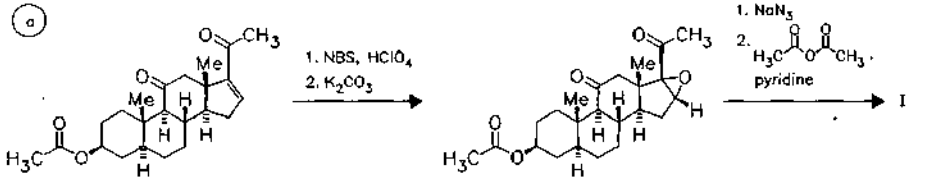
Trade Name(s):
D: Sedalande (Delalande); wfm
F: Sédalande (Delalande); wfm

Fluazacort

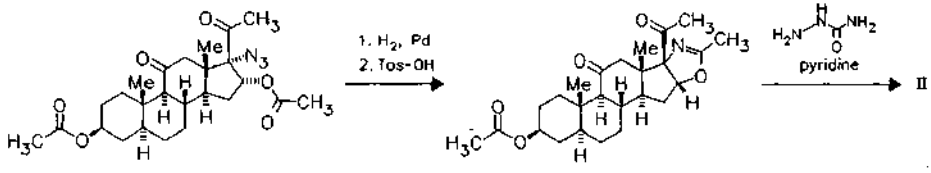
(Azacortid)

ATC: D07AB
Use: topical glucocorticoid, anti-inflammatory

RN: 19888-56-3 MF: $C_{25}H_{30}FNO_6$ MW: 459.51 EINECS: 243-400-2
LD_{50}: 54 mg/kg (M, s.c.);
580 mg/kg (R, s.c.)
CN: (11β,16β)-21-(acetyloxy)-9-fluoro-11-hydroxy-2'-methyl-5'*H*-pregna-1,4-dieno[17,16-*d*]oxazole-3,20-dione

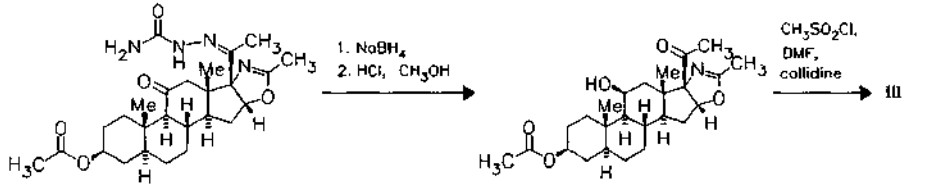


3β-acetoxy-11,20-dioxo-16-pregnene (from hecogenin, cf. olfoxalone synthesis)

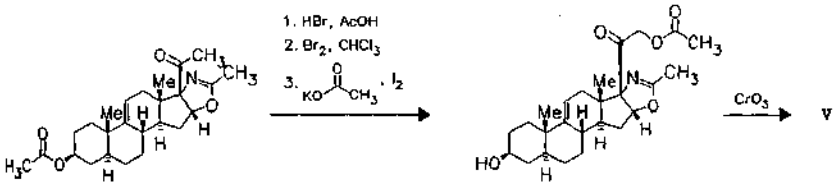


(I)

(3β,5α,5'β)-3-acetoxy-2'-methyl-5'H-pregnano-[17,16-d]oxazole-11,20-dione

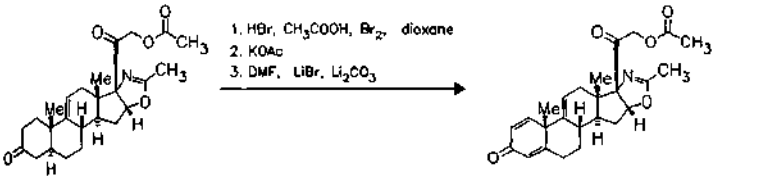


(II)



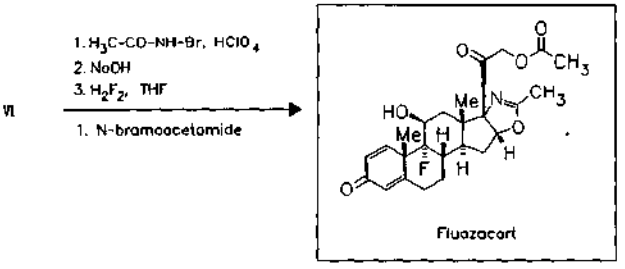
(III)

(3β,5α,5'β)-21-acetoxy-3-hydroxy-2'-methyl-5H-pregn-9(11)-eno[17,16-d]-oxazol-20-one (IV)

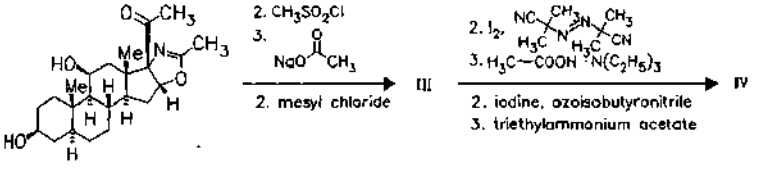


(V)

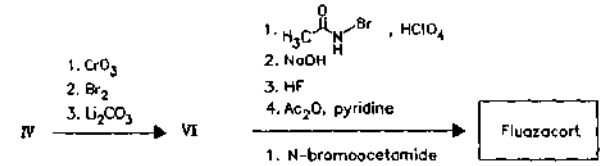
(5'β)-21-acetoxy-2'-methyl-5'H-pregna-1,4,9(11)-trieno[17,16-d]-oxazole-3,20-dione (VI)



(b)



(5α,5'β)-3β,11β-dihydroxy-2'-methyl-5'H-pregnano-[17,16-d]oxazol-20-one (cf. Deflazacort)



Reference(s):

a DOS 1 618 613 (Lepetit; appl. 7.1.1967; GB-prior. 11.1.1966, 11.7.1966, 29.9.1966).
US 3 461 119 (Lepetit; 12.8.1969; appl. 1967; GB-prior. 1.11.1966).

synthesis of starting compound:
DE 1 568 971 (Gruppo Lepetit; appl. 23.12.1966; GB-prior. 11.1.1966, 11.7.1966, 29.9.1966).
US 3 624 077 (Gruppo Lepetit; 30.11.1971; GB-prior. 11.1.1966).
Nathansohn, G. et al.: J. Med. Chem. (JMCMAR) **10**, 799 (1967).
Nathansohn, G. et al.: Gazz. Chim. Ital. (GCITA9) **95**, 1338 (1965).
review:
Nathanson, G. et al.: Steroids (STEDAM) **13**, 365 (1969).
alternative synthesis of VI:
DOS 1 568 971 (Lepetit; appl. 23.12.1966; GB-prior. 11.1.1966, 11.7.1966, 29.9.1966).
DOS 1 568 972 (Lepetit; appl. 23.12.1966; GB-prior. 11.1.1966, 11.7.1966, 29.9.1966).
b Nathansohn, G. et al.: J. Med. Chem. (JMCMAR) **10**, 799 (1967).
Nathansohn, G. et al.: Steroids (STEDAM) **13**, 383 (1969).

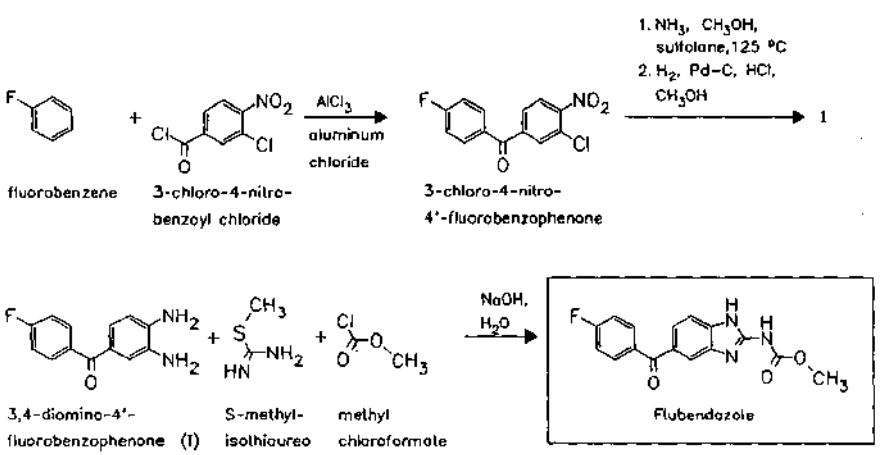
Formulation(s): cream 0.025 %

Trade Name(s):
I: Azacortid crema (Lepetit)

Flubendazole

ATC: P02CA05
Use: anthelmintic

RN: 31430-15-6 MF: $C_{16}H_{12}FN_3O_3$ MW: 313.29 EINECS: 250-624-4
LD_{50}: >2560 mg/kg (M, p.o.);
2560 mg/kg (R, p.o.)
CN: [5-(4-fluorobenzoyl)-1*H*-benzimidazol-2-yl]carbamic acid methyl ester



Reference(s):
DOS 2 029 637 (Janssen; appl. 16.6.1970; USA-prior. 20.6.1969).
US 3 657 267 (Janssen; 18.4.1972; appl. 20.6.1969).
Raymaekers, A.H.M. et al.: Arzneim.-Forsch. (ARZNAD) **28**, 586 (1978).

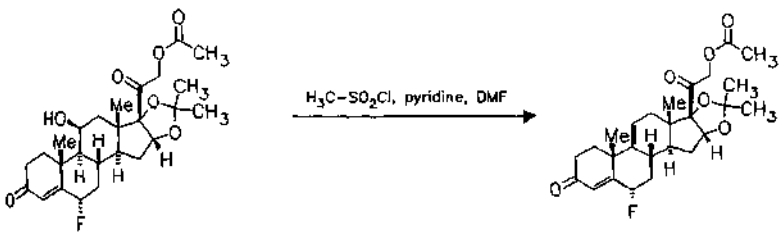
Formulation(s): susp. 100 mg/ml; tabl. 100 mg

Trade Name(s):
D: Flubenol (Janssen); wfm F: Fluvermal (Janssen-Cilag)

Fluclorolone acetonide
(Flucloronide)

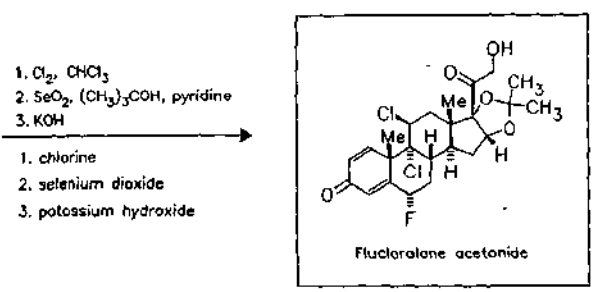
ATC: H02AB
Use: topical glucocorticoid

RN: 3693-39-8 MF: $C_{24}H_{29}Cl_2FO_5$ MW: 487.40 EINECS: 223-010-9
CN: (6α,11β,16α)-9,11-dichloro-6-fluoro-21-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



21-O-acetyl-6α-fluoro-16α-hydroxyhydrocortisone acetonide

(I)



Reference(s):
US 3 201 391 (Syntex; 17.8.1965; MEX-prior. 18.2.1959, 20.10.1959).

starting material:
Mills, J.S. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1264 (1959).

Formulation(s): cream 0.025 %, 0.25 %

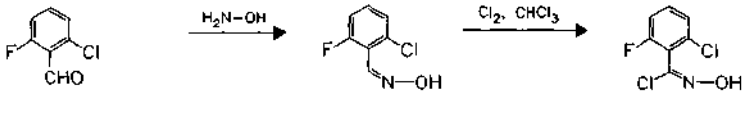
Trade Name(s):
F: Topilar (Syntex-Daltan); wfm
GB: Topilar (Syntex); wfm

Flucloxacillin
(Floxacillin)

ATC: J01CA
Use: antibiotic

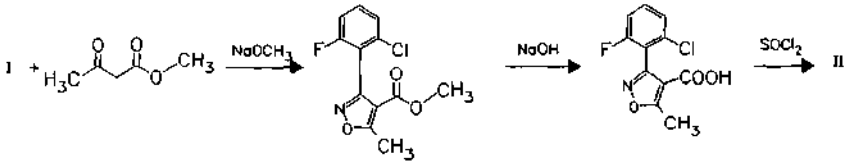
RN: 5250-39-5 MF: $C_{19}H_{17}ClFN_3O_5S$ MW: 453.88 EINECS: 226-051-0
CN: [2*S*-(2α,5α,6β)]-6-[[[3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolyl]carbonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 1847-24-1 MF: $C_{19}H_{16}ClFN_3NaO_5S$ MW: 475.86 EINECS: 217-428-0
LD_{50}: 1360 mg/kg (M, i.v.); 7600 mg/kg (M, p.o.);
680 mg/kg (R, i.v.); 11 g/kg (R, p.o.);
670 mg/kg (dog, i.v.); >10 g/kg (dog, p.o.)



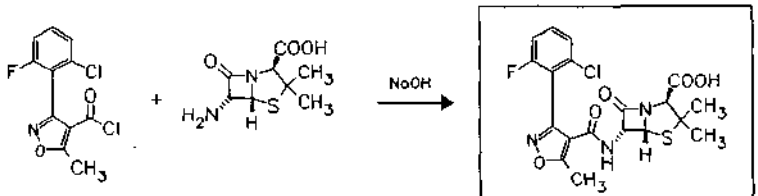
2-chloro-6-fluoro-benzaldehyde

(I)



methyl acetoacetate

3-(2-chloro-6-fluorophenyl)-5-methylisoxazol-4-carboxylic acid



(II)

6-aminopenicillanic acid

Flucloxacillin

Reference(s):
GB 987 299 (Beecham; appl. 17.10.1962; addition to GB 905 778 from 14.3.1961).
US 3 239 507 (Beecham; 8.3.1966; GB-prior. 17.10.1962).

Formulation(s): cps. 272 mg, 544 mg; vial 272 mg, 544 mg, 1088 mg, 2176 mg (as sodium salt hydrate)

Trade Name(s):

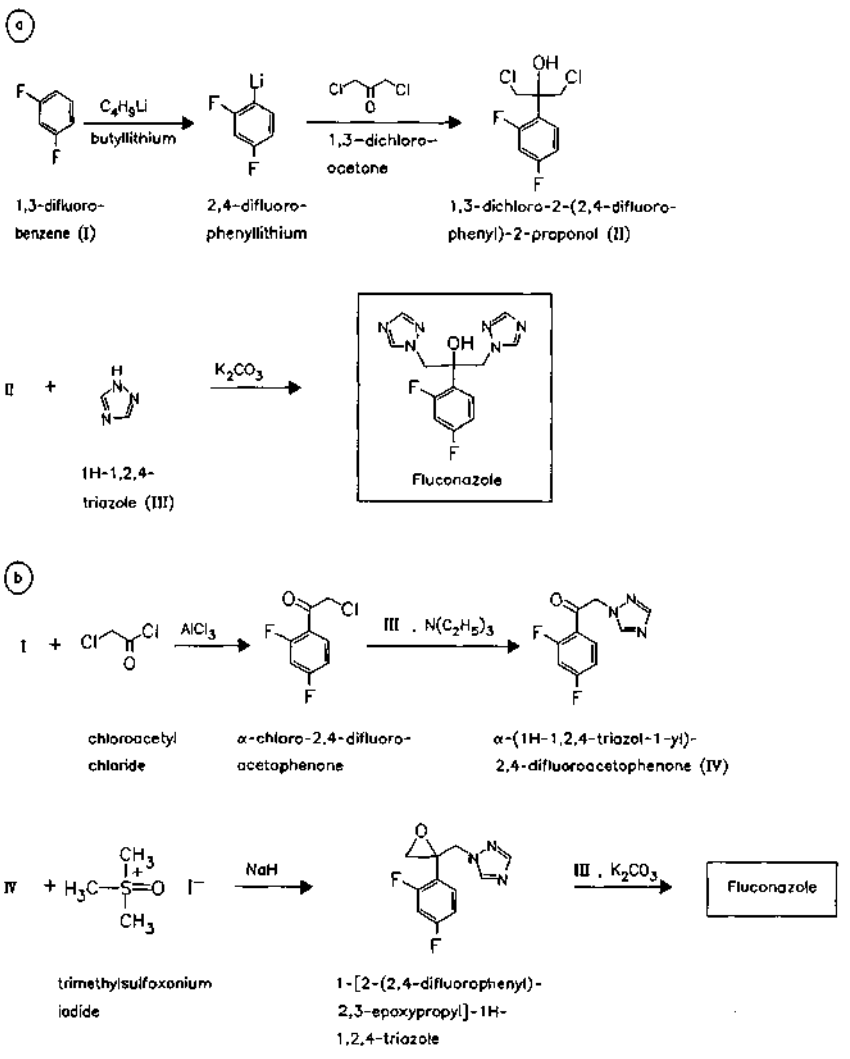
D:	Flanomox (Wolff)-comb. Fluxapril (Lederle)-comb. Staphylex (SmithKline Beecham)	GB:	Floxapen (SmithKline Beecham) Magnapen (SmithKline Beecham)-comb. Stafoxil (Yamanouchi)	I:	Infectrin (Pierrel)-comb. with ampicillin
				J:	Culpen (Fujisawa) Floxapen (Beecham)

Fluconazole

(UK-49858)

ATC: J02AC01; J02AX
Use: antifungal (treatment of vaginal, oropharyngeal and atrophic oral candidiasis)

RN: 86386-73-4 MF: $C_{13}H_{12}F_2N_6O$ MW: 306.28
LD_{50}: >200 mg/kg (M, i.v.); 1408 mg/kg (M, p.o.);
>200 mg/kg (R, i.v.); 1271 mg/kg (R, p.o.);
>100 mg/kg (dog, i.v.); >300 mg/kg (dog, p.o.)
CN: α-(2,4-difluorophenyl)-α-(1*H*-1,2,4-triazol-1-ylmethyl)-1*H*-1,2,4-triazole-1-ethanol



Reference(s):
GB 2 099 818 (Pfizer; appl. 22.4.1982; prior. 6.6.1981, 4.3.1982).
EP 96 569 (Pfizer; appl. 6.6.1983; GB-prior. 9.6.1982, 30.7.1982).

tablet formulation:
EP 178 682 (Schering Corp.; appl. 23.4.1986; USA-prior. 19.10.1984).

alternative synthesis:
ES 549 684 (Lazlo Int.; appl. 6.12.1985).
ES 5 490 202 (Inke S. A.; appl. 19.11.1985).
US 5 710 280 (Dev. Center Biotech. Taiwan; 20.1.1998; appl. 9.7.1996).
WO 9 703 971 (Apotex; appl. 17.7.1996; NZ-prior. 17.7.1995).

Formulation(s): cps. 50 mg, 100 mg, 150 mg, 200 mg; susp. 50 mg/5 ml; syrup 50 mg/10ml; tabl. 50 mg, 100 mg, 150 mg, 200 mg; vial 100 mg, 200 mg, 400 mg

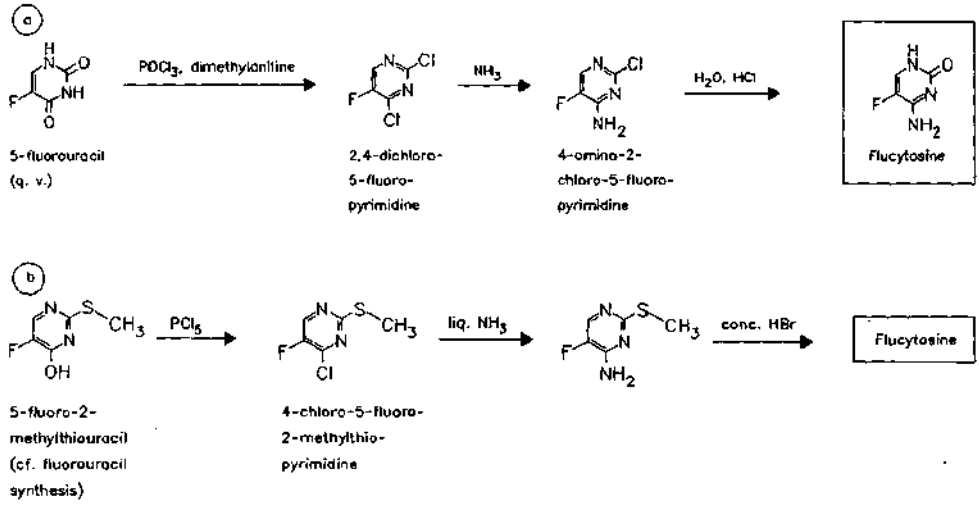
Trade Name(s):

D:	Diflucan (Pfizer)	I:	Biozolene (Bioindustria; 1989)		Elazor (Sigma-Tau)
F:	Triflucan (Pfizer; 1989)		Diflucan (Roerig)	J:	Diflucan (Pfizer Taito)
GB:	Diflucan (Pfizer; 1988)			USA:	Diflucan (Pfizer; 1990)

Flucytosine

ATC: D01AE21; J02AX01
Use: fungicide

RN: 2022-85-7 MF: $C_4H_4FN_3O$ MW: 129.09 EINECS: 217-968-7
LD_{50}: 500 mg/kg (M, i.v.); >15 g/kg (M, p.o.);
>600 mg/kg (R, i.v.); >15 g/kg (R, p.o.)
CN: 4-amino-5-fluoropyrimidin-2(1*H*)-one



Reference(s):
a Duschinsky, R. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 4559 (1957).
Undheim, K.; Gacek, M.: Acta Chem. Scand. (ACHSE7) **23**, (1), 294 (1969).
US 3 040 026 (Roche; 19.6.1962; appl. 3.6.1959).
US 3 185 690 (Roche; 25.5.1965; prior. 3.6.1959, 14.9.1961).
b US 2 945 038 (Roche; 12.7.1960; prior. 26.9.1956).
US 2 802 005 (Roche; 6.8.1957; prior. 26.9.1956).

medical use:
US 3 368 938 (Roche; 13.2.1968; prior. 2.3.1962).

Formulation(s): cps. 250 mg, 500 mg; tabl. 500 mg; vial 2.5 g/250 ml

Trade Name(s):

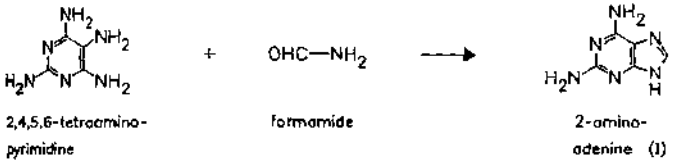
D:	Ancotil Roche (ICN)	GB:	Alcobon (Roche); wfm	J:	Ancotil (Roche)
F:	Ancotil (Roche)	I:	Ancotil (Roche)	USA:	Ancobon (Roche)

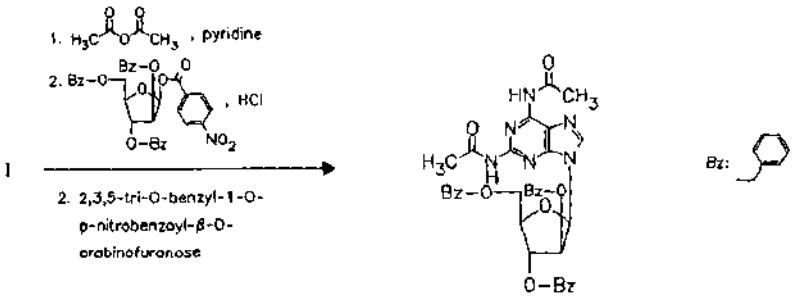
Fludarabine phosphate
(2-fluoro-ara-AMP)

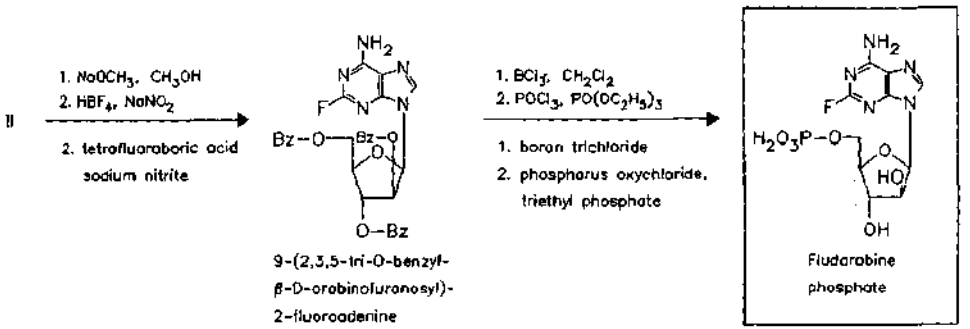
ATC: L01BB05
Use: antineoplastic, antimetabolite, treatment of chronic lymphocytic leucemia

RN: 75607-67-9 MF: $C_{10}H_{13}FN_5O_7P$ MW: 365.21
LD_{50}: 375 mg/kg (M, i.p.); 1236 mg/kg (M, i.v.)
CN: 2-fluoro-9-(5-*O*-phosphono-β-D-arabinofuranosyl)-9*H*-purin-6-amine

fludarabine
RN: 21679-14-1 MF: $C_{10}H_{12}FN_5O_4$ MW: 285.24 EINECS: 244-525-5







Reference(s):
US 4 357 324 (Department of Health of USA; 2.11.1982; appl. 24.2.1981).

synthesis of 9-β-D-arabinofuranosyl-2-fluoroadenine:
US 4 210 745 (Department of Health of USA; 1.7.1980; appl. 20.11.1978; prior. 10.3.1978, 4.1.1978).
Montgomery, J.A. et al.: J. Heterocycl. Chem. (JHTCAD) **16**, 157 (1979).
Montgomery, J.A.; Hewson, K.: J. Med. Chem. (JMCMAR) **12**, 498 (1961).

synthesis of 2-aminoadenine:
Robins, R.K. et al.: J. Am. Chem. Soc. (JACSAT) **75**, 263 (1953).

Formulation(s): vial (lyo.) 5 mg, 50 mg

Trade Name(s):

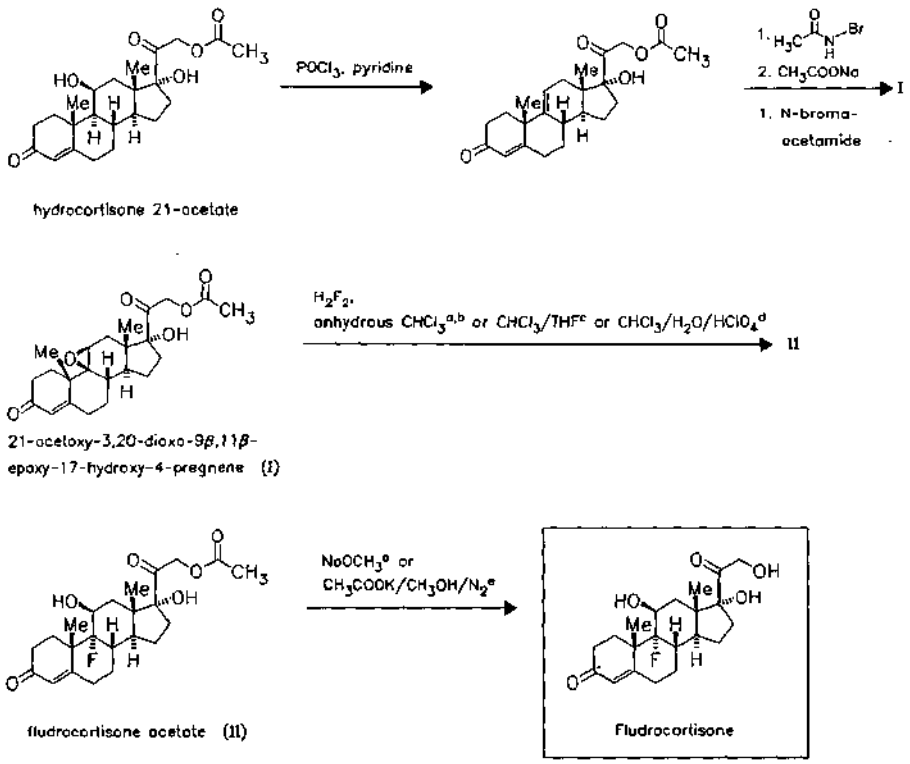
D:	Fludara (meda; Schering)	GB:	Fludara (Schering)
F:	Fludara (Schering)	USA:	Fludara (Berlex; 1991)

Fludrocortisone

ATC: H02AA02; S01CA06; S02CA07; S03CA05
Use: glucocorticoid

RN: 127-31-1 MF: $C_{21}H_{29}FO_5$ MW: 380.46 EINECS: 204-833-2
LD_{50}: 170 mg/kg (M, i.p.)
CN: (11β)-9-fluoro-11,17,21-trihydroxypregn-4-ene-3,20-dione

acetate
RN: 514-36-3 MF: $C_{23}H_{31}FO_6$ MW: 422.49 EINECS: 208-180-4
LD_{50}: >1 g/kg (R, p.o.)



Reference(s):
- **a** Fried, J.; Sabo, E.F.: J. Am. Chem. Soc. (JACSAT) **76**, 1455 (1954).
- **b** GB 792 224 (Olin Mathieson; appl. 1954; USA-prior. 1954).
- **c** DE 1 035 133 (Merck & Co.; appl. 1956; USA-prior. 1955).
  Hirschmann, R.F. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 4956 (1956).
- **d** US 2 894 007 (Merck & Co.; 7.7.1959).
- **e** DE 1 028 572 (Schering AG; appl. 21.1.1957).

synthesis of hydrocortisone acetate:
Fried, J.; Sabo, E.F.: J. Am. Chem. Soc. (JACSAT) **75**, 2273 (1953).
US 2 771 475 (Upjohn; 1956, appl. 1953).
GB 792 224 (Olin Mathieson; appl. 1954; USA-prior. 1954).

alternative syntheses:
US 2 771 475 (Upjohn; 1956; appl. 1953).
US 2 799 688 (Upjohn; 1957; appl. 1954).
US 2 852 511 (Olin Mathieson; 1958; prior. 1953).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

Formulation(s): ear drops 8 mg/8 ml in comb. with polymyxin B; ointment 0.001 %; tabl. 0.1 mg (as acetate)

Trade Name(s):

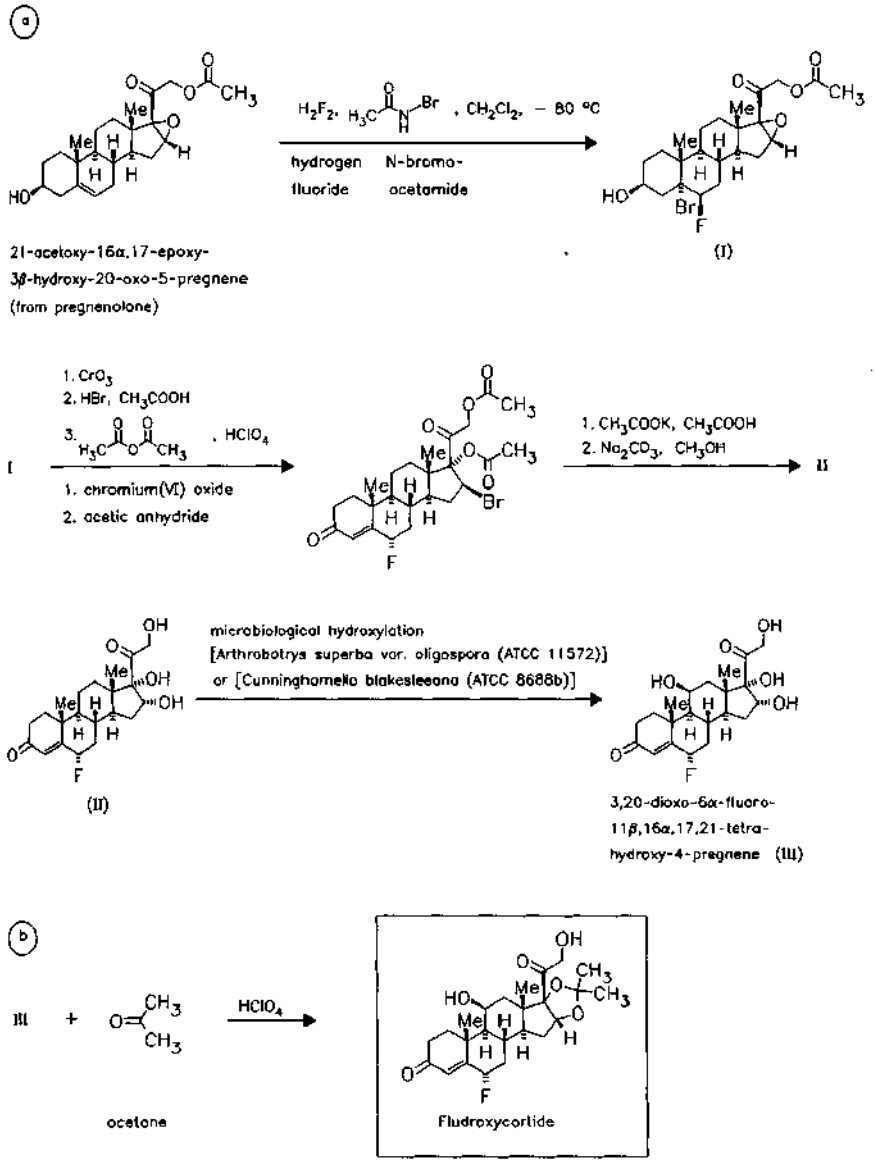
D:	Astonin H (Merck) Fludrocortison (Bristol-Myers Squibb) Panotile (Zambon)-comb.	F:	Panotile (Zambon)-comb.	USA:	Florinef (Apothecon)
		GB:	Florinef (Bristol-Myers Squibb; as acetate)		
		J:	Florinef (Bristol Squibb)		

Fludroxycortide

(Flurandrenolide)

ATC: D07AC07
Use: glucocorticoid, anti-inflammatory

RN: 1524-88-5 MF: $C_{24}H_{33}FO_6$ MW: 436.52 EINECS: 216-196-8
CN: (6α,11β,16α)-6-fluoro-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregn-4-ene-3,20-dione



Reference(s):
a US 3 014 938 (Syntex; 26.12.1961; appl. 23.8.1960; MEX-prior. 7.9.1959).
US 3 119 749 (Syntex; 28.1.1964; appl. 17.11.1961; MEX prior. 7.6.1961).
US 3 124 571 (Syntex; 10.3.1964; MEX-prior. 26.1.1960).
starting material:
Julian, P.L.: J. Am. Chem. Soc. (JACSAT) **72**, 5145 (1950).
US 2 678 932 (Sterling Drug; 1954; prior. 1951).
b US 3 126 375 (Syntex; 24.3.1964; appl. 11.6.1959; MEX-prior. 13.6.1958).
DE 1 131 213 (Syntex; appl. 6.6.1959; MEX-prior. 13.6.1958).

alternative syntheses:
Mills, J.S. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 3399 (1960); **81**, 1264 (1959).
US 3 203 869 (Syntex; 31.8.1965; MEX-prior. 11.10.1962).

Formulation(s): lotion 0.05 % (15 ml, 60 ml); tape 4µg/cm²

Trade Name(s):

D:	Sermaka (Lilly)	I:	Drenison (Lilly); wfm	J:	Drenison Q (Lilly-Dainippon)
GB:	Drenison (Lilly); wfm		Drenison Neomicina (Lilly)-comb.; wfm	USA:	Cordran (Oclassen)
	Haclan (Dista); wfm				

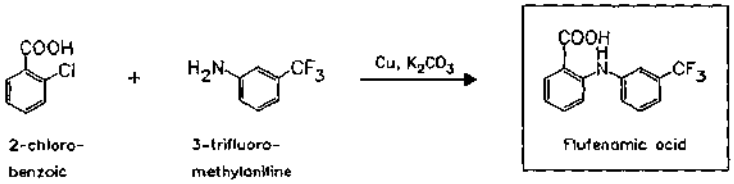
Flufenamic acid

(Acide flufenamique)

ATC: M01AG03
Use: anti-inflammatory, antirheumatic

RN: 530-78-9 MF: $C_{14}H_{10}F_3NO_2$ MW: 281.23 EINECS: 208-494-1
LD_{50}: 158 mg/kg (M, i.v.); 490 mg/kg (M, p.o.);
98 mg/kg (R, i.v.); 249 mg/kg (R, p.o.)
CN: 2-{[3-(trifluoromethyl)phenyl]amino}benzoic acid

aluminum salt
RN: 16449-54-0 MF: $C_{42}H_{27}AlF_9N_3O_6$ MW: 867.66 EINECS: 240-498-9
LD_{50}: 1460 mg/kg (M, p.o.);
550 mg/kg (R, p.o.)



Reference(s):
FR 1 341 M (Parke Davis; appl. 11.8.1961).
Moffett, R.B.; Aspergen, B.D.: J. Am. Chem. Soc. (JACSAT) **82**, 1605 (1960).

salts with amines:
DOS 2 758 787 (T. Eckert; appl. 29.12.1977).

Formulation(s): ointment 3 g/100 g (3 %); sol. 25 mg/g

Trade Name(s):

D:	Algesalona (Solvay)-comb.		Rheuma Lindofluid (Lindopharm)		Meralen (Merrell); wfm
	Dignodolin (Sankyo)	F:	Arlef (Parke Davis); wfm	I:	Mobilisin (Luitpold)-comb.
	Mobilisin (Sankyo)-comb.	GB:	Arlef (Parke Davis); wfm	J:	Achless (Tatsumi)
					Arlef (Parke Davis-Sankyo)

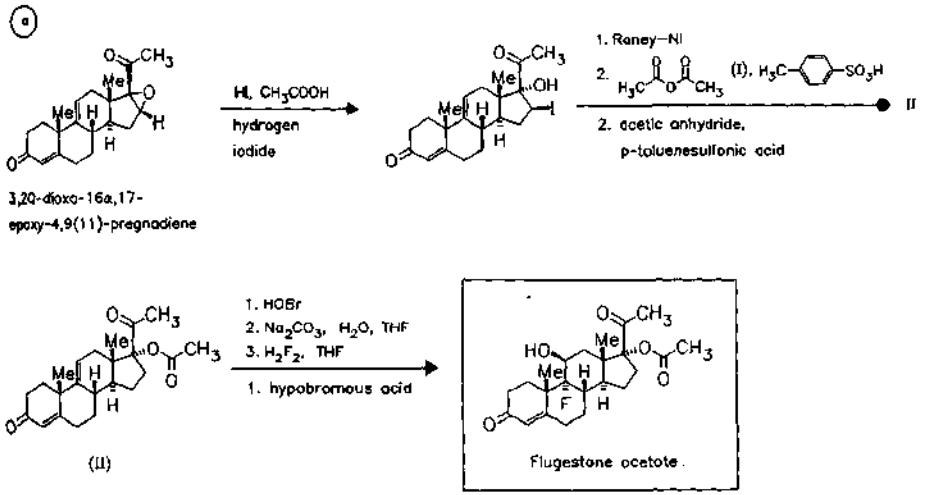
Felunamin (Hokuriku)
Flufacid (Wakamoto)
Lanceat (Maruko)
Nichisedan (Nissin)
Paraflu (Dainippon)
Reumajust A (Horita)
Ristogen (Kowa Yakuhin)
Romazal (Tobishi)
Saal-F (Towa)

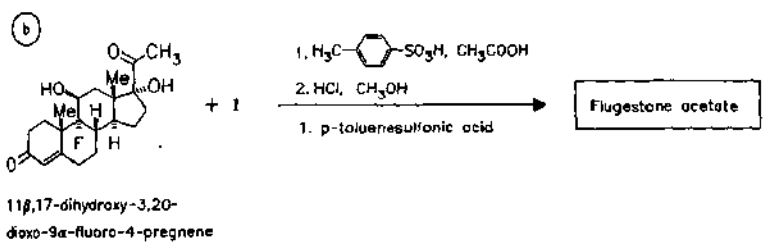
Flugestone acetate

(Flurogestone acetate)

ATC: G03
Use: progestone

RN: 2529-45-5 MF: $C_{23}H_{31}FO_5$ MW: 406.49 EINECS: 219-776-9
CN: (11β)-17-(acetyloxy)-9-fluoro-11-hydroxypregn-4-ene-3,20-dione





Reference(s):

a Bergstrom, C.G. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 4432 (1959).
b US 2 892 851 (Searle; 30.6.1959; prior. 19.5.1958).
US 2 963 498 (Searle; 6.12.1960; prior. 11.5.1959).

Trade Name(s):

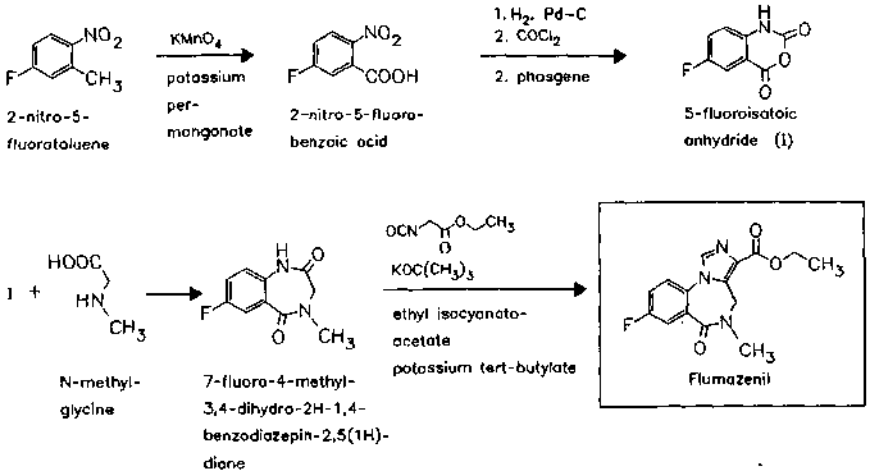
USA: Cronolone (Searle); wfm

Flumazenil

(Ro-15-1788)

ATC: V03AB25
Use: benzodiazepine antagonist, treatment of benzodiazepine intoxication

RN: 78755-81-4 MF: $C_{15}H_{14}FN_3O_3$ MW: 303.29
LD_{50}: 4000 mg/kg (M, i.p.); 143 mg/kg (M, i.v.); 1300 mg/kg (M, p.o.); 85 mg/kg (R, i.v.); 4200 mg/kg (R, p.o.)
CN: 8-fluoro-5,6-dihydro-5-methyl-6-oxo-4*H*-imidazo[1,5-*a*][1,4]benzodiazepine-3-carboxylic acid ethyl ester



Reference(s):
EP 27 214 (Hoffmann-La Roche; appl. 10.2.1980; CH-prior. 4.10.1979, 30.11.1979, 25.7.1980).
US 4 316 839 (Hoffmann-La Roche; 23.2.1982; appl. 3.10.1980; CH-prior. 4.10.1979, 30.11.1979, 25.7.1980).
US 4 346 030 (Hoffmann-La Roche; 24.8.1982; appl. 16.11.1981; CH-prior. 4.10.1979, 30.11.1979, 25.7.1980).
Hunkeler, W. et al.: Nature (London) (NATUAS) **290**, 514 (1981).

Formulation(s): amp. 0.5 mg/5 ml, 1 mg/10 ml

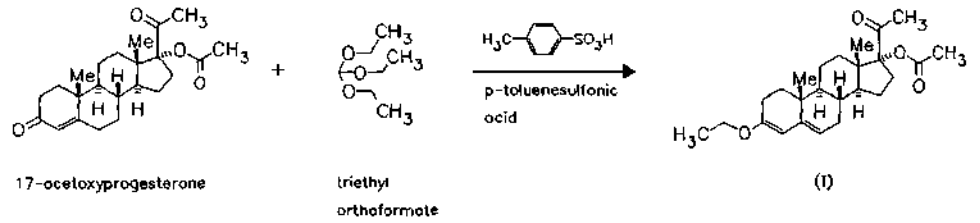
Trade Name(s):

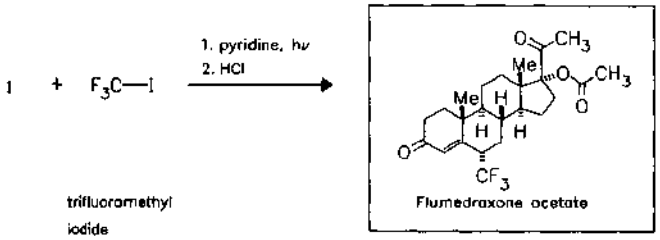
D:	Anexate (Roche; 1989)	GB:	Anexate (Roche)	J:	Anexate (Yamanouchi)
F:	Anexate (Roche)	I:	Anexate (Roche; 1989)	USA:	Romazicon (Roche)

Flumedroxone acetate

ATC: N02CB01
Use: antimigraine agent, progestogen

RN: 987-18-8 MF: $C_{24}H_{31}F_3O_4$ MW: 440.50 EINECS: 213-577-0
CN: (6α)-17-(acetyloxy)-6-(trifluoromethyl)pregn-4-ene-3,20-dione





Reference(s):
GB 905 694 (Lovens Kemiske Fa., valid from 14.3.1961; prior. 18.3.1960, 8.6.1960).
Godfredsen, W.O.; Vangedal, S.: Acta Chem. Scand. (ACHSE7) **15**, 1786 (1961).

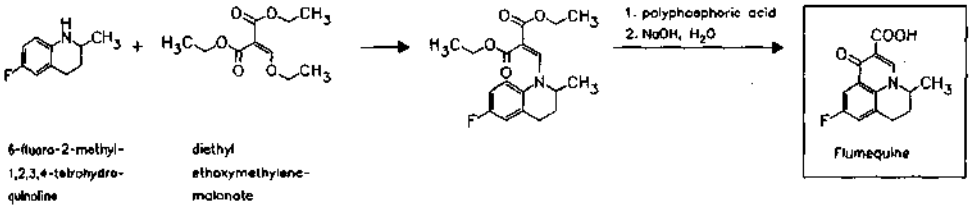
Formulation(s): drg. 1 mg in comb.

Trade Name(s):
D: Praemenstron (Nordmark)-comb.; wfm
F: Precyclan (Leo)-comb.

Flumequine

ATC: G04AB06
Use: chemotherapeutic, antibacterial

RN: 42835-25-6 MF: $C_{14}H_{12}FNO_3$ MW: 261.25 EINECS: 255-962-6
CN: 9-fluoro-6,7-dihydro-5-methyl-1-oxo-1*H*,5*H*-benzo[*ij*]quinolizine-2-carboxylic acid



Reference(s):
DOS 2 264 163 (Riker; appl. 29.12.1972; USA-prior. 30.12.1971).
US 3 896 131 (Riker; 22.7.1975; prior. 2.11.1972, 30.12.1971).

Formulation(s): tabl. 400 mg

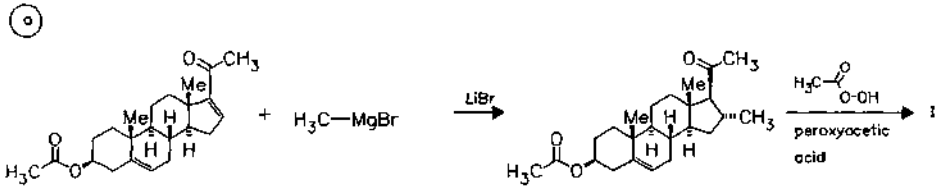
Trade Name(s):
F: Apurone (3M Santé)
I: Flumural (SPA)

Flumetasone
(Flumethasone)

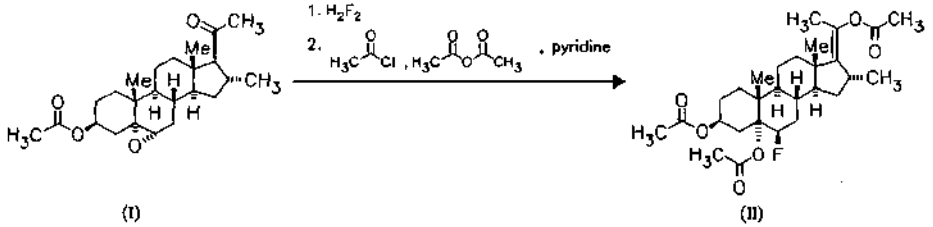
ATC: D07AB03; D07BB01; D07CB05; D07XB01; S02CA02
Use: glucocorticoid, anti-inflammatory

RN: 2135-17-3 MF: $C_{22}H_{28}F_2O_5$ MW: 410.46 EINECS: 218-370-9
CN: (6α,11β,16α)-6,9-difluoro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione

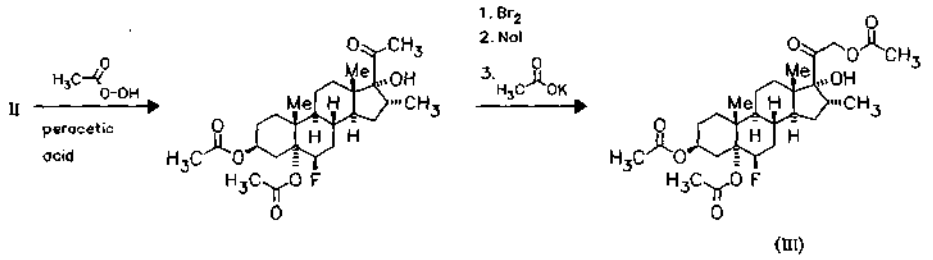
pivalate
RN: 2002-29-1 MF: $C_{27}H_{36}F_2O_6$ MW: 494.58 EINECS: 217-901-1
LD_{50}: >5 g/kg (M, p.o.);
>2 g/kg (R, p.o.)



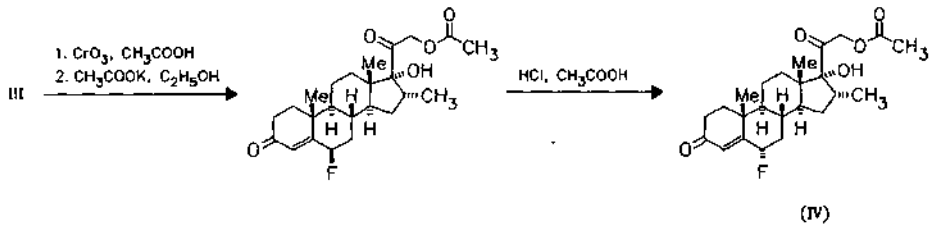
16-dehydropregnenolone acetate + methylmagnesium bromide → 16α-methylpregnenolone 3β-acetate



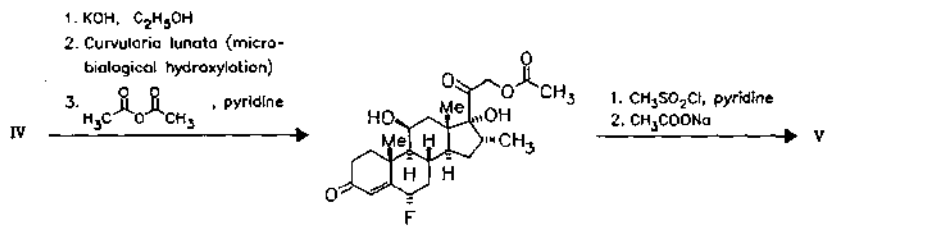
(I) → (II)

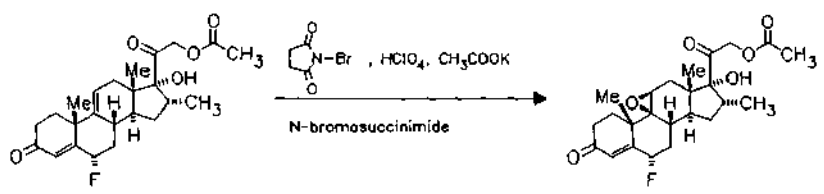


(III)

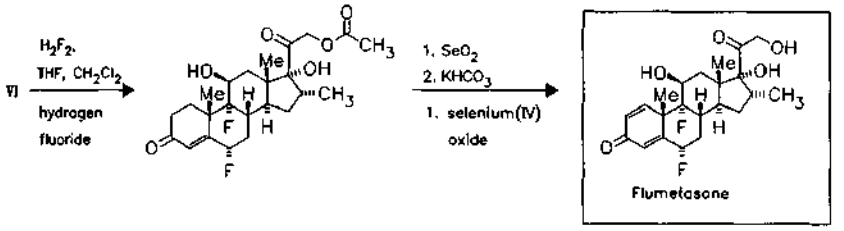


(IV)





(V) → (VI)



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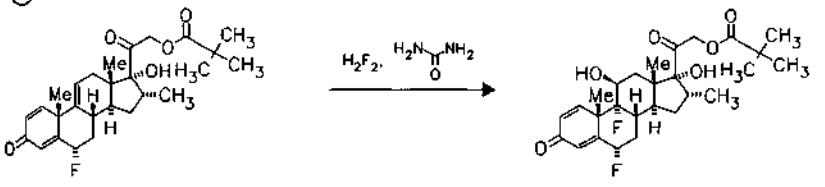


21-(2,2-dimethylpropionyloxy)-3,20-dioxo-6α-fluoro-17-hydroxy-16α-methyl-1,4,9(11)-pregnatriene (from 3,11,20-trioxo-4,16-pregnadiene)

Flumetasone pivalate

Reference(s):

a US 2 671 752 (Syntex; 1954; appl. 1951).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 3156 (1959); **82**, 2318 (1961).

b FR 1 374 591 (Ciba; appl. 8.10.1963; CH-prior. 12.10.1962).

synthesis of 21-(2,2-dimethylpropionyloxy)-3,20-dioxo-6α-fluoro-17-hydroxy-16α-methyl-1,4,9(11)-pregnatriene:
US 3 557 158 (Upjohn; 19.1.1971; prior. 18.3.1959).

alternative syntheses:
US 3 557 158 (Upjohn; 19.1.1971; appl. 22.1.1962; prior. 18.3.1959).
Schneider, P. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 3167 (1959).
GB 902 292 (Upjohn; appl. 27.7.1959; USA-prior. 14.8.1958).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

Formulation(s): sol. 0.02 g/100 g (0.02 %); cream 0.02 g/100 g (0.02 %); lotion 0.02 g/100 g (0.02 %); ointment 0.02 g/100 g (0.02 %)

Trade Name(s):

D:	Cerson (LAW) Locacorten (Novartis Pharma)	GB:	Psocortène (Ciba-Geigy)-comb.; wfm Locorten Vioform (Novartis)-comb.		Neolog (Zyma)-comb. several combination preparations
F:	Locacortène (Ciba-Geigy)-comb.; wfm Locasalène (Ciba-Geigy)-comb.; wfm	I:	Locorten (Zyma) Locorten (Zyma)-comb. Losalen (Zyma)	J:	Locorten (Ciba-Geigy) Testohgen (Teisan)
				USA:	Locorten (Ciba); wfm

Flunarizine

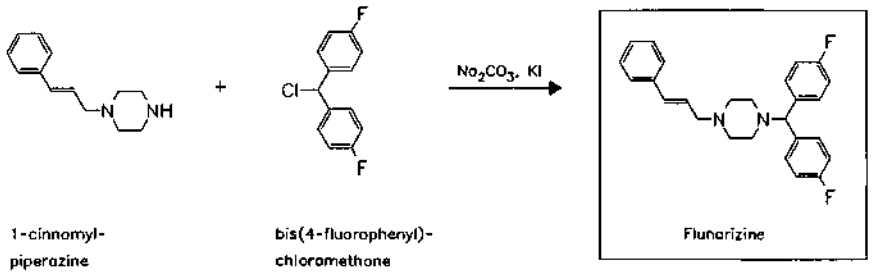
ATC: N07CA03
Use: cerebral and peripheral vasodilator, antivertigo

RN: 52468-60-7 MF: $C_{26}H_{26}F_2N_2$ MW: 404.50 EINECS: 257-937-5
LD_{50}: 960 mg/kg (M, p.o.)
CN: (*E*)-1-[bis(4-fluorophenyl)methyl]-4-(3-phenyl-2-propenyl)piperazine

dihydrochloride

RN: 30484-77-6 MF: $C_{26}H_{26}F_2N_2 \cdot 2HCl$ MW: 477.43 EINECS: 250-216-6

LD_{50}: 27 mg/kg (M, i.v.); 285 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 503 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)



Reference(s):
DAS 1 929 330 (Janssen; appl. 10.6.1969; USA-prior. 2.7.1968).
US 3 773 939 (Janssen; 20.11.1973; prior. 2.7.1968, 24.11.1971).

inhibiting effect to complementary activity:
DOS 2 254 893 (Janssen; appl. 9.11.1972; GB-prior. 9.11.1971; USA-prior. 17.10.1972).

Formulation(s): cps. 5.9 mg, 11.8 mg (as dihydrochloride)

Trade Name(s):

D: Flunarizin (ct-Arzneimittel)
Flunarizin-ratiopharm (ratiopharm)
Sibelium (Janssen-Cilag; 1977)

F: Sibélium (Janssen-Cilag; 1986)

I: Flugeral (Italfarmaco; 1981)
Flugeral mite (Italfarmaco)
Flunagen (Gentili)
Fluxarten (SmithKline Beecham)
Gradient (Polifarma)
Issium (Lifepharma)
Sibelium (Janssen)
Vasculene (Leben's)

J: Flunarl (Kyowa Hakko; 1984)

USA: Sibelium (Janssen); wfm

Flunisolide

ATC: R01AD04; R03BA03
Use: glucocorticoid, antiasthmatic

RN: 3385-03-3 MF: $C_{24}H_{31}FO_6$ MW: 434.50 EINECS: 222-193-2

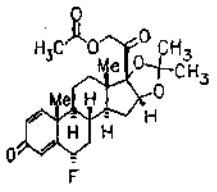
LD_{50}: >76 µg/kg (M, i.v.); >500 µg/kg (M, p.o.);
>51 mg/kg (R, i.v.); >500 µg/kg (R, p.o.)

CN: (6α,11β,16α)-6-fluoro-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione

hydrate (2:1)

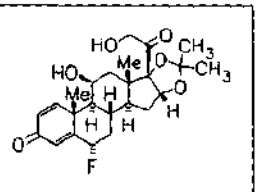
RN: 77326-96-6 MF: $C_{24}H_{31}FO_6 \cdot 1/2H_2O$ MW: 887.02

a



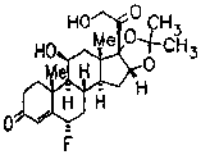
21-acetoxy-3,20-dioxo-6α-fluoro-16α,17α-isopropylidenedioxy-1,4-pregnadiene

microbiological hydroxylation
[Cunninghamella blakesleeana (ATCC 8688b)]



Flunisolide

b

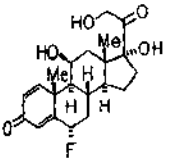


fludroxycortide
(q. v.)

microbiological dehydrogenation
[Corynebacterium simplex (ATCC 4964)]

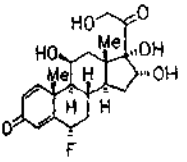
Flunisolide

c



6α-fluoroprednisolone

microbiological hydroxylation
[Streptomyces roseochromogenes]



(I)

acetone

$HClO_4$

Flunisolide

Reference(s):

a US 3 124 571 (Syntex; 10.3.1964; MEX-prior. 26.1.1960).
b US 3 126 375 (Syntex; 24.3.1964; MEX-prior. 13.6.1958).
c GB 933 867 (American Cyanamid; appl. 5.12.1959; USA-prior. 8.12.1958).

Formulation(s): nasal spray 25 mg/metered dose inhaler with 0.25 mg/spray

Trade Name(s):

D: Inhacort (Boehringer Ing.)
Syntaris (Roche; Syntex)

F: Bronilide (Cassenne)
Nasalide (Cassenne)

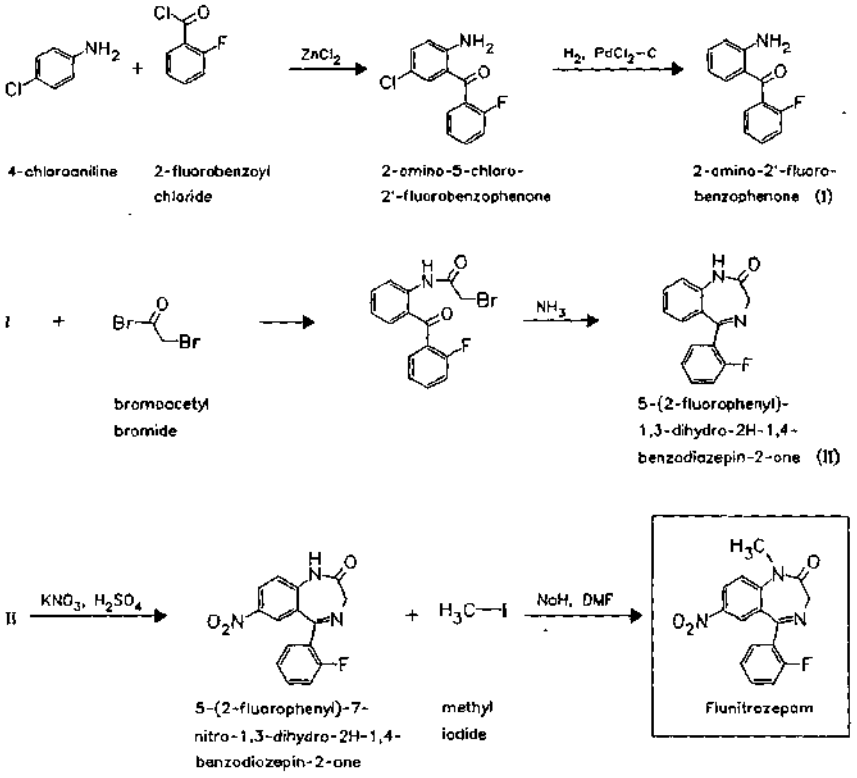
GB: Syntaris (Roche)

I:	Gibiflu (Metapharma)		Syntaris (Recordati)		Nasalide (Dura)
	Lunibron-a (Valeas)	J:	Synaclyn (Otsuka)		Nasarel (Dura)
	Lunis (Valeas)	USA:	Aerobid (Forest)		

Flunitrazepam

ATC: N05CD03
Use: anticonvulsant, hypnotic, muscle relaxant

RN: 1622-62-4 MF: $C_{16}H_{12}FN_3O_3$ MW: 313.29 EINECS: 216-597-8
LD_{50}: 1200 mg/kg (M, p.o.);
415 mg/kg (R, p.o.)
CN: 5-(2-fluorophenyl)-1,3-dihydro-1-methyl-7-nitro-2*H*-1,4-benzodiazepin-2-one



Reference(s):
US 3 116 203 (Hoffmann-La Roche; 31.12.1963; appl. 14.3.1962).
US 3 123 529 (Hoffmann-La Roche; 3.3.1964; appl. 9.3.1962).
US 3 203 990 (Hoffmann-La Roche; 31.8.1965; prior. 27.6.1960, 20.4.1961, 21.3.1962).

Formulation(s): amp. 2 mg; f. c. tabl. 1 mg; tabl. 1 mg, 2 mg

Trade Name(s):

D:	Flunimerck (Merck)	GB:	Rohypnol (Roche)	J:	Rohypnol (Roche)
	Fluninoc (Neuro Hexal)	I:	Darkene (Bayropharm)		Silece (Eisai)
	Rohypnol (Roche)		Roipnol (Roche)		
F:	Rohypnol (Roche)		Valsera (Polifarma)		

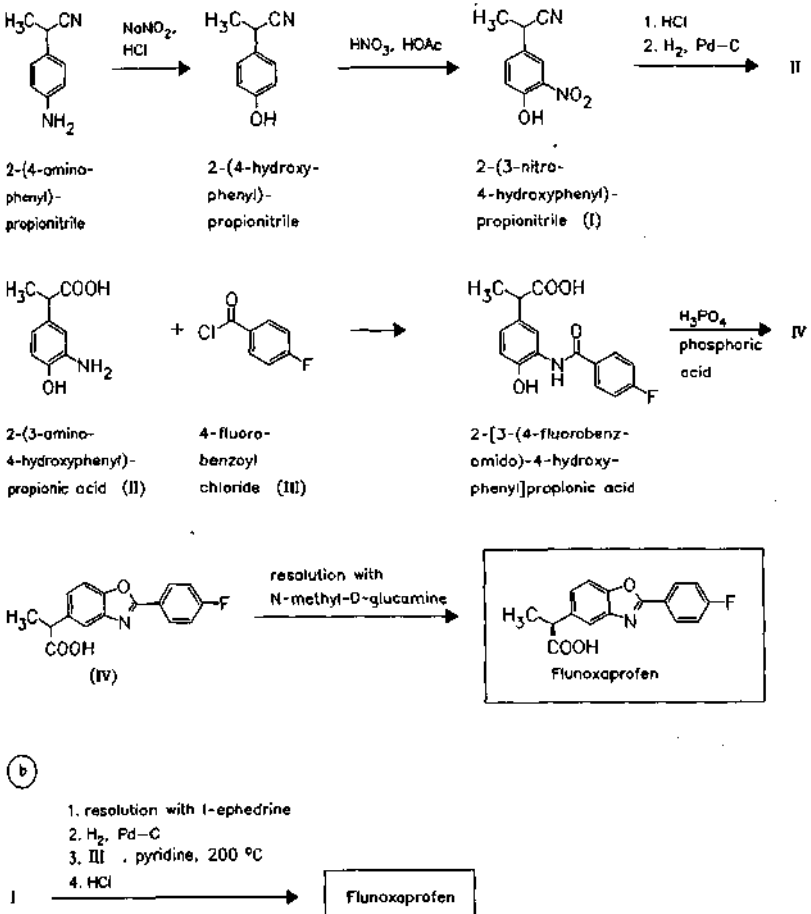
Flunoxaprofen

ATC: G02CC04; M01AE15; M02AA
Use: non-steroidal anti-inflammatory, cyclooxygenase and lipoxygenase inhibitor

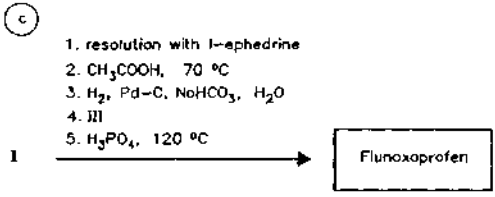
RN: 66934-18-7 MF: $C_{16}H_{12}FNO_3$ MW: 285.27
LD_{50}: 1275 mg/kg (M, p.o.);
521 mg/kg (R, p.o.)
CN: (*S*)-2-(4-fluorophenyl)-α-methyl-5-benzoxazoleacetic acid

DL-lysine salt (1:1)
RN: 124816-13-3 MF: $C_{16}H_{12}FNO_3 \cdot C_6H_{14}N_2O_2$ MW: 431.46
LD_{50}: 723.5 mg/kg (M, p.o.)
L-lysine salt (1:1)
RN: 124816-14-4 MF: $C_{16}H_{12}FNO_3 \cdot C_6H_{14}N_2O_2$ MW: 431.46
D-lysine salt (1:1)
RN: 124816-15-5 MF: $C_{16}H_{12}FNO_3 \cdot C_6H_{14}N_2O_2$ MW: 431.46

(a)



(b)



Reference(s):
a,c DE 2 931 255 (Ravizza; appl. 1.8.1979; I-prior. 4.8.1978).
a Dunwell, D.W. et al.: J. Med. Chem. (JMCMAR) **18**, 53 (1957).
synthesis of 2-(4-aminophenyl)propionitrile:
GB 1 198 212 (J. Borck et al.; appl. 1968).
lysine salt:
EP 324 402 (Euroresearch; appl. 9.1.1989; I-prior. 3.11.1988).
US 4 897 408 (Euroresearch; 30.1.1990; appl. 5.1.1989; I-prior. 3.11.1988).
b DE 2 728 323 (Ravizza; appl. 23.6.1977; GB-prior. 23.6.1976).
c DOS 3 325 672 (Ravizza; appl. 15.7.1983; I-prior. 19.7.1982).

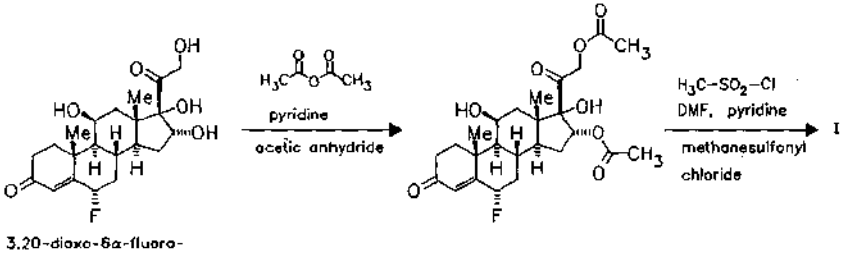
Formulation(s): gel 5 %; tabl. 50 mg, 100 mg, 200 mg

Trade Name(s):
I: Priaxim (Ravizza)

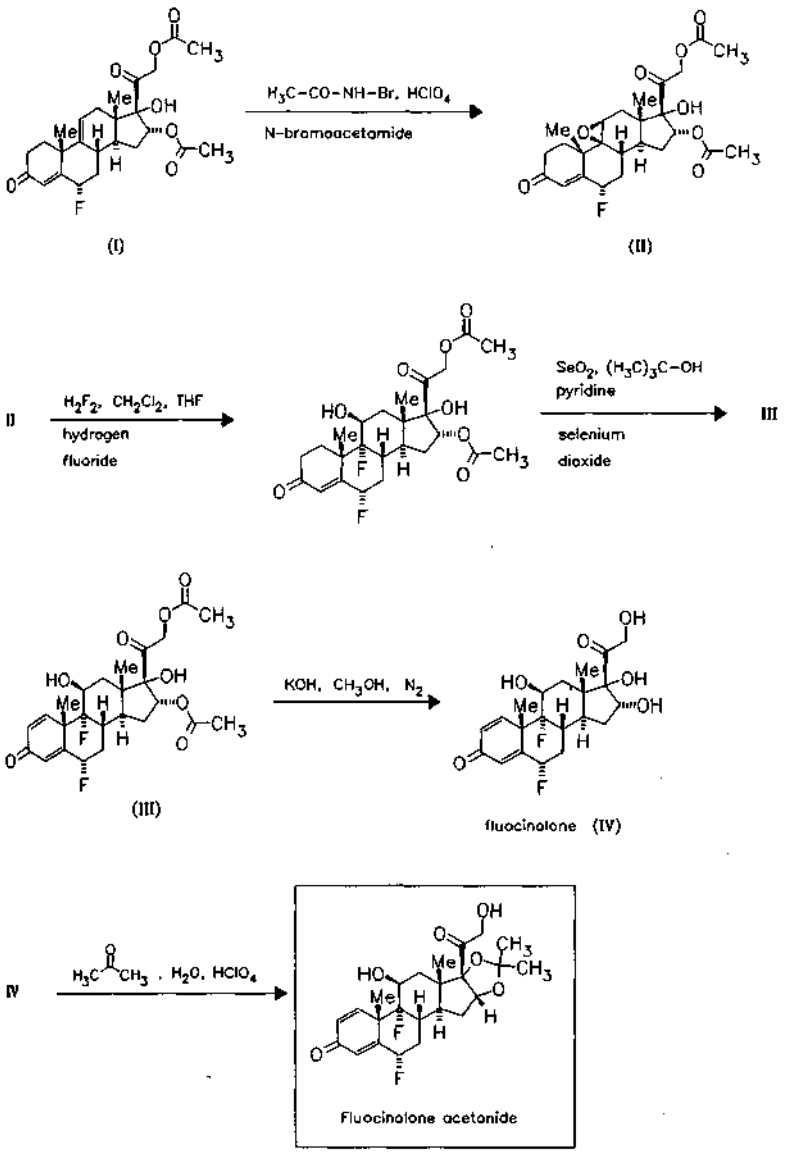
Fluocinolone acetonide

ATC: C05AA10; D07AC04
Use: glucocorticoid, anti-inflammatory

RN: 67-73-2 MF: $C_{24}H_{30}F_2O_6$ MW: 452.49 EINECS: 200-668-5
LD_{50}: >4 g/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: (6α,11β,16α)-6,9-difluoro-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



3,20-dioxo-6α-fluoro-11β,16α,17,21-tetrohydroxy-4-pregnene (cf. Fludroxycortide synthesis)



Reference(s):
US 3 014 938 (Syntex; 26.12.1961, appl. 23.8.1960; MEX-prior. 7.9.1959).
US 3 124 571 (Syntex; 10.3.1964; appl. 19.5.1960; MEX-prior. 26.1.1960).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 3399 (1960).

starting material:
Julian, P.L. et al.: J. Am. Chem. Soc. (JACSAT) **72**, 5145 (1950).

alternative syntheses:
GB 933 867 (American Cyanamid; appl. 5.12.1959; USA-prior. 8.12.1958).
US 3 197 469 (Pharmaceutical Research Prod.; 27.7.1965; appl. 6.8.1958).

Formulation(s): cream 0.025 %; ointment 0.025 %; topical sol. 0.01 %

Trade Name(s):

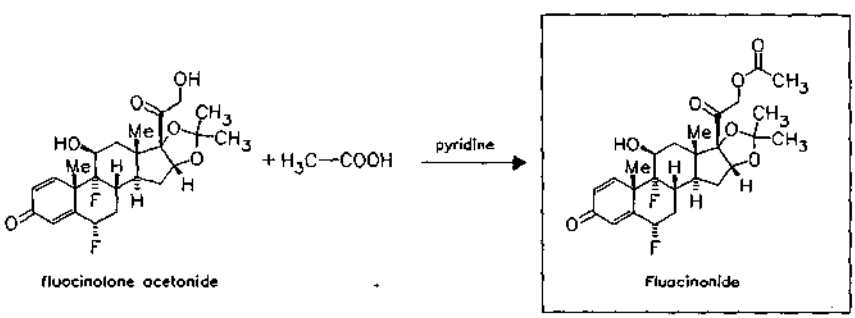
D: Flucinar (medphano) Jellisoft (Grünenthal) Procto-Jellin (Grünenthal)-comb.
Jellin (Grünenthal)

F: Antibio-Synalar (Cassenne)-comb.
Synalar (Cassenne)
Synalar Neomycin (Cassenne)-comb.
GB: Synalar (Zeneca)
I: Alfabios (Biotekfarma)
Alfafluorone (Biotekfarma)
Boniderma (Boniscontro & Gazzone)
Coramide (Ottolenghi)
Cortanest Plus (Piam)-comb. with lidocaine
Dermobeta (Terapeutico M.R.)
Dermolin (Lafare)
Doricum (Farmila)-comb. with neomycine
Doricum Semplice (Farmila)
Esacinone (Lisapharma)
Fluocit (CT)
Fluomicetina (Zoja)-comb. with kanamycin
Fluomix Same (Savoma)
Fluovitef (Italfarmaco)
Lauromicina Pomata (Lafare)-comb. with eritromycin
Localyn (Recordati)
Mecloderm (Schwarz)-comb. with meclocycline
Meclutin (ABC-Torino)-comb. with meclocycline
Nefluan (Molteni)-comb.
Neoderm (Crosara)
Omniderm (Face)
Proctolin (Recordati)-comb. with lctocaine
Sterolone (Francia Farm.)
Ultraderm (Ecobi)
J: Benamizol (Mohan Yakuhin)
Biscosal (Ohta Seiyaku)
Cortiphate (Tokyo Tanabe)
Flucort (Syntex-Tanabe)
Fluvean (Kowa)
Fluzon (Taisho Seiyaku)
USA: Derma-Smoothe (Hill)
Fluonid (Allergan)
FS Shampoo (Hill)
Synalar (Medicis)
Synemol (Medicis)

Fluocinonide

ATC: C05AA11; D07AC08
Use: glucocorticoid, anti-inflammatory

RN: 356-12-7 MF: $C_{26}H_{32}F_2O_7$ MW: 494.53 EINECS: 206-597-6
LD_{50}: >6 g/kg (M, p.o.);
14 mg/kg (R, p.o.)
CN: (6α,11β,16α)-21-(acetyloxy)-6,9-difluoro-11-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



Reference(s):
GB 916 996 (Olin Mathieson; appl. 21.7.1959; USA-prior. 6.8.1958).
US 3 124 571 (Syntex; 10.3.1964; appl. 19.5.1960; MEX-prior. 26.1.1960).

Formulation(s): cream 0.5 mg/g; ointment 0.5 mg/g, sol. 0.5 mg/g

Trade Name(s):
D: Topsym (Grünenthal)
Topsym (Grünenthal)-comb. with neomycin
F: Topsyne (Cassenne)
Topsyne néomycine (Cassenne)-comb.
GB: Metosyn (Zeneca)
I: Flu 21 (Select Pharma)
Topsyn (Recordati)
J: Bestasone (Kodama)
Topsym (Syntex-Tanabe)
USA: Dermacin (Pedinol)
Lidex (Medicis)
Lidex E Cream (Medicis)

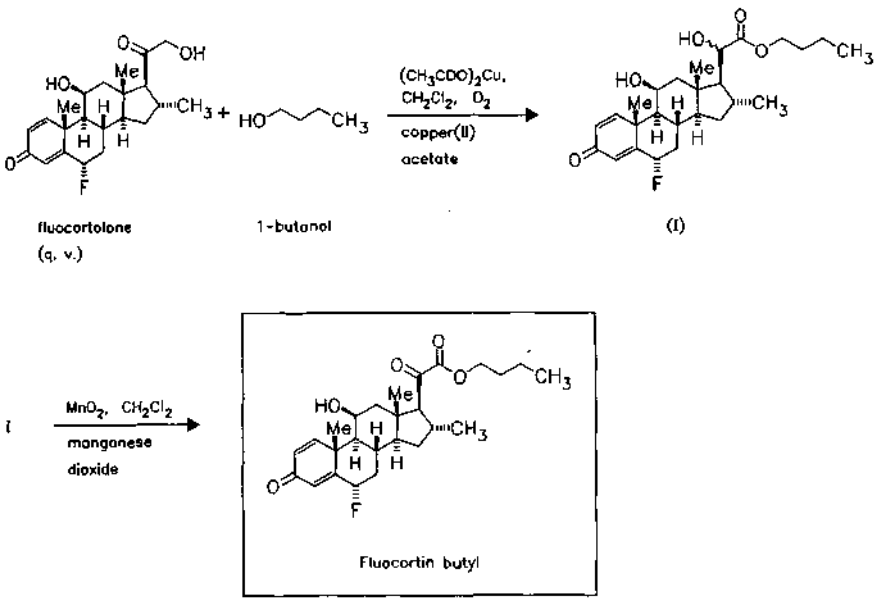
Fluocortin butyl

(Fluocortin butyl ester)

ATC: D07AB04
Use: glucocorticoid

RN: 41767-29-7 MF: $C_{26}H_{35}FO_5$ MW: 446.56 EINECS: 255-543-8
LD_{50}: >5 g/kg (M, p.o.);
>4 g/kg (R, p.o.);
>1 g/kg (dog, p.o.)
CN: (6α,11β,16α)-6-fluoro-11-hydroxy-16-methyl-3,20-dioxopregna-1,4-dien-21-oic acid butyl ester

fluocortin
RN: 33124-50-4 MF: $C_{22}H_{27}FO_5$ MW: 390.45 EINECS: 251-383-8



Reference(s):
DOS 2 150 268 (Schering AG; appl. 4.10.1971).
DOS 2 204 361 (Schering AG; appl. 27.1.1972).
DOS 2 260 303 (Schering AG; appl. 6.12.1972).
GB 1 387 911 (Schering AG; valid from 19.3.1975; D-prior. 4.10.1971, 27.1.1972).
Laurent, H. et al.: Arzneim.-Forsch. (ARZNAD) **27** (II), 2187 (1977) (also other methods).
DOS 2 441 284 (Schering AG; appl. 27.8.1974).
BE 823 682 (Schering AG; appl. 20.12.1974; D-prior. 21.12.1973, 27.8.1974, 16.9.1974).

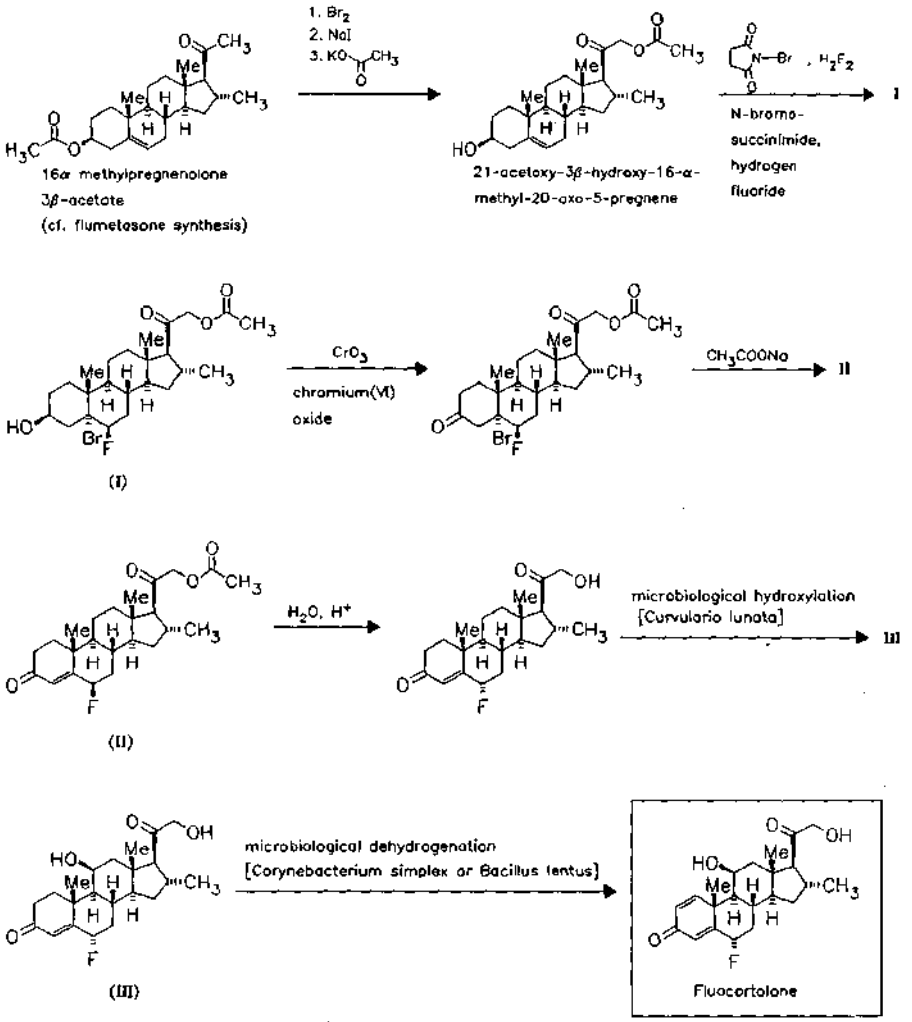
Formulation(s): cream 7.5 mg/g; ointment 7.5 mg/g; powder 100 mg/4 g

Trade Name(s):
D: Bi Vaspit (Asche)-comb.
Lenen (Alk-Scherax; Schering)
I: Vaspit (Asche)
Vaspit (Schering)

Fluocortolone

ATC: C05AA08; D07AC05; D07BC03; H02AB03; S01CA04
Use: glucocorticoid

RN: 152-97-6 MF: $C_{22}H_{29}FO_4$ MW: 376.47 EINECS: 205-811-5
CN: (6α,11β,16α)-6-fluoro-11,21-dihydroxy-16-methylpregna-1,4-diene-3,20-dione



Reference(s):
DE 1 135 899 (Schering AG; appl. 20.5.1960).
BE 614 196 (Schering AG; appl. 21.2.1962; D-prior. 22.2.1961).
Domenico, A. et al.: Arzneim.-Forsch. (ARZNAD) **15**, 46 (1965).
DE 1 169 444 (Schering AG; appl. 22.2.1961).

synthesis of starting compound:
Petrov, V.; Williamson, D.M.: J. Chem. Soc. (JCSOA9) **1959**, 3595.

alternative synthesis:
Kieslich, K. et al.: Justus Liebigs Ann. Chem. (JLACBF) **726**, 168 (1969).
DOS 1 909 152 (Schering AG; appl. 19.2.1969).

review:
Akhrem, A.A. et al.: Russ. Chem. Rev. (Engl. Transl.) (RCRVAB) **34**, 926 (1965).

Formulation(s): cream 2.5 mg/g; lotion 2.5 mg/g; ointment 2.5 mg/g; tabl. 5 mg, 20 mg, 50 mg

Trade Name(s):

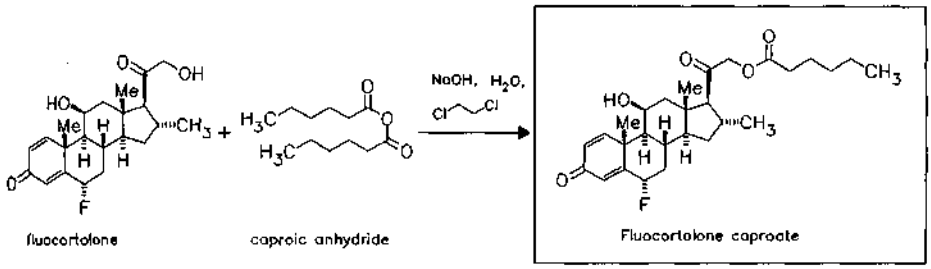
D:	Ultralan (Schering) Ultrasine (Schering)	Ultralanum (Schering)-comb.; wfm	Ultralanum oint. (Schering)-comb.; wfm
GB:	Ultradil (Schering); wfm		

Ultraproct (Schering)-comb.; wfm	I:	Ultralan (Schering)-comb. Ultralan orale (Schering)	Ultraproct (Schering)-comb.

Fluocortolone caproate

ATC: C05AA08; D07AC05; H02AB03
Use: glucocorticoid

RN: 303-40-2 MF: $C_{28}H_{39}FO_5$ MW: 474.61 EINECS: 206-140-0
CN: (6α,11β,16α)-6-fluoro-11-hydroxy-16-methyl-21-[(1-oxohexyl)oxy]pregna-1,4-diene-3,20-dione



Reference(s):
FR 1 561 884 (Schering AG; appl. 10.5.1968; D-prior. 13.5.1967).

Formulation(s): cream; lotion; ointment 2.5 mg/g in comb. with fluocortolone

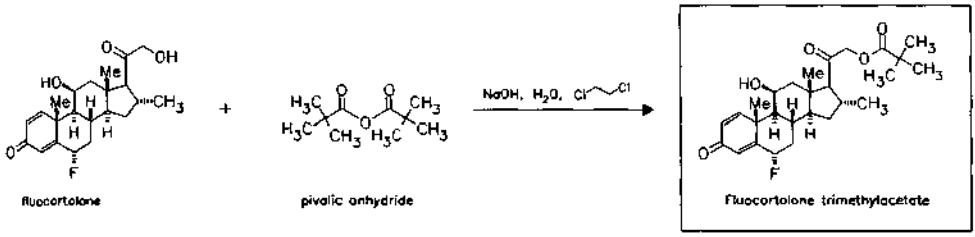
Trade Name(s):

D:	Ultralan Creme (Schering)-comb. Ultralan Salbe (Schering)-comb. Ultraproct (Schering)-comb.	GB:	Ultralan (Schering)-comb. Ultraproct (Schering)-comb. Ficoid (Fisons)-comb.; wfm Ultradil (Schering Chemicals)-comb.; wfm	I:	Ultralanum (Schering Chemicals)-comb.; wfm Ultraproct (Schering Chemicals)-comb.; wfm Ultralan (Schering)-comb. Ultraproct (Schering)-comb.
F:	Myco-Ultralan (Schering)-comb.				

Fluocortolone trimethylacetate
(Fluocortolone 21-pivalate)

ATC: C05AA08; D07AC05; H02AB03
Use: glucocorticoid

RN: 20380-10-3 MF: $C_{27}H_{37}FO_5$ MW: 460.59
CN: 6α-fluoro-17,21-dihydroxy-16α-methyl-pregna-1,4-diene-3,20-dione 21-pivalate



Reference(s):
FR 1 561 884 (Schering AG; appl. 10.5.1968; D-prior. 13.5.1967).

Formulation(s): cream 20 mg/g; cream 2.5 mg/g in comb. with fluocortolone; emulsion 2.5 mg/g in comb. with fluocortolone/-caproate; suppos. 40 mg in comb. with lidocain hydrochloride

Trade Name(s):

D: Doloproct (Schering)-comb. with lidocaine hydrochloride
Ultralan Creme (Schering)-comb.
Ultraproct (Schering)-comb.

F: Ultraproct (Schering)-comb.

GB: Ultralanum (Schering)-comb.

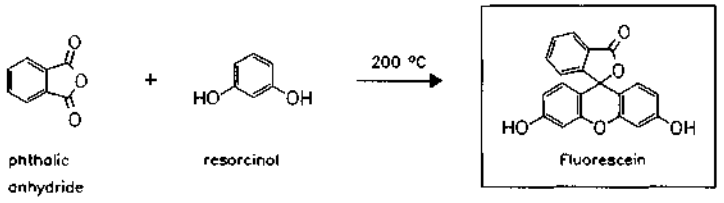
I: Ultraproct (Schering Chemicals)
Ultralan (Schering)-comb.
Ultraproct (Schering)-comb.

Fluorescein

ATC: S01JA01
Use: diagnostic

RN: 2321-07-5 MF: $C_{20}H_{12}O_5$ MW: 332.31 EINECS: 219-031-8
LD_{50}: 300 mg/kg (M, i.v.)
CN: 3',6'-dihydroxyspiro[isobenzofuran-1(3*H*),9'-[9*H*]xanthen]-3-one

disodium salt
RN: 518-47-8 MF: $C_{20}H_{10}Na_2O_5$ MW: 376.28 EINECS: 208-253-0
LD_{50}: 1 g/kg (M, i.v.); 4738 mg/kg (M, p.o.);
1 g/kg (R, i.v.); 6721 mg/kg (R, p.o.);
1 g/kg (dog, i.v.)



phthalic anhydride — resorcinol — Fluorescein

Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **23**, 414.

Formulation(s): amp. 113.2 mg/ml (as disodium salt); eye drops 1.7 mg/ml

Trade Name(s):

D: Fluorescein-Lösung 10 % intravenös Inj.-Lösung (Alcon)
Fluoreszein 0,15 % Thilo Augentropfen (Thilo)
Pancreolauryl-Test (Temmler)

GB: Thilorbin (Alcon)
Minims Fluorescein Sodium (Chauvin)
Minims lignocaine and fluorescein (Chauvin)-comb.

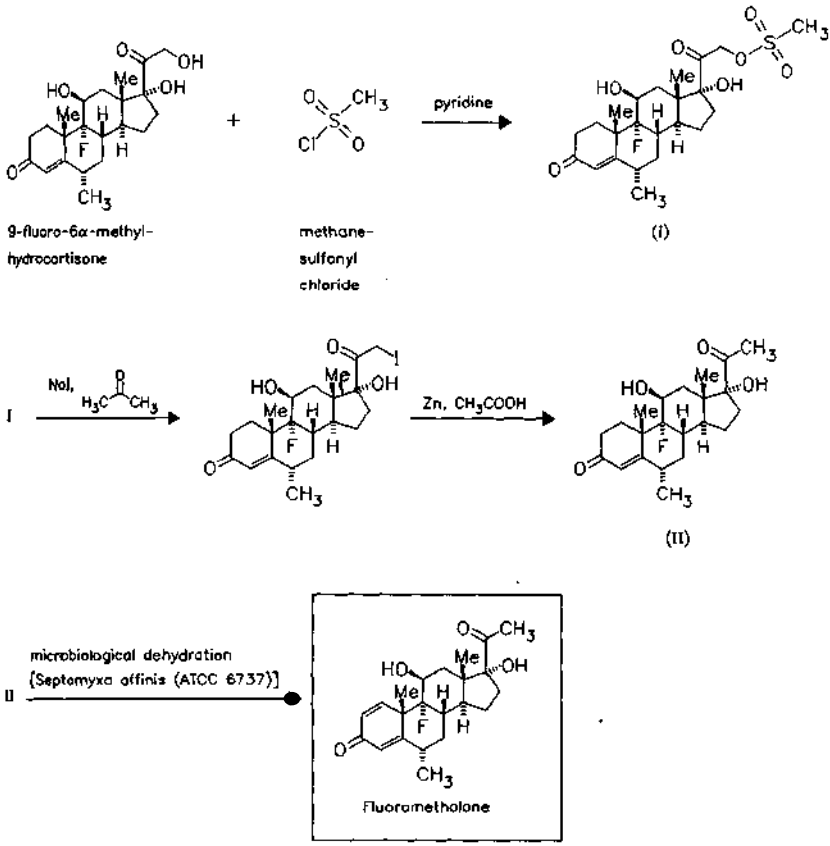
I: Pancreolauryl Test (Geymonat; as laurate)

J: Fluor (Tobishi-Santen)
Fluores (Showa Yakuhin)
Fluorescein sodium (Kobayashi)
Fluorescite (Alcon)

Fluorometholone

ATC: C05AA06; D07AB06; D07XB04; D10AA01; S01BA07; S01CB05
Use: glucocorticoid

RN: 426-13-1 MF: $C_{22}H_{29}FO_4$ MW: 376.47 EINECS: 207-041-5
LD_{50}: 443 mg/kg (R, i.p.)
CN: (6α,11β)-9-fluoro-11,17-dihydroxy-6-methylpregna-1,4-diene-3,20-dione



Reference(s):
US 2 867 638 (Upjohn; 6.1.1959; appl. 17.5.1967; prior. 10.9.1956).
DE I 056 605 (Upjohn; appl. 6.5.1959; USA-prior. 10.9.1956).

starting material:
Spero, G.B. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 1515 (1957).

Formulation(s): eye drops 1 mg/ml

Trade Name(s):

D: Efflumidex (Pharm-Allergan)
Efflumycin (Pharm-Allergan)-comb.
Ehrtolan (Albert-Roussel)-comb.
Ejemolin (CIBA Vision)-comb.
Fluoropos (Ursapharm)

F: Flucon collyre (Alcon)

I: Efemoline (CIBA Vision)-comb.
Fluaton (Allergan)
Flumetol (Farmila)-comb.

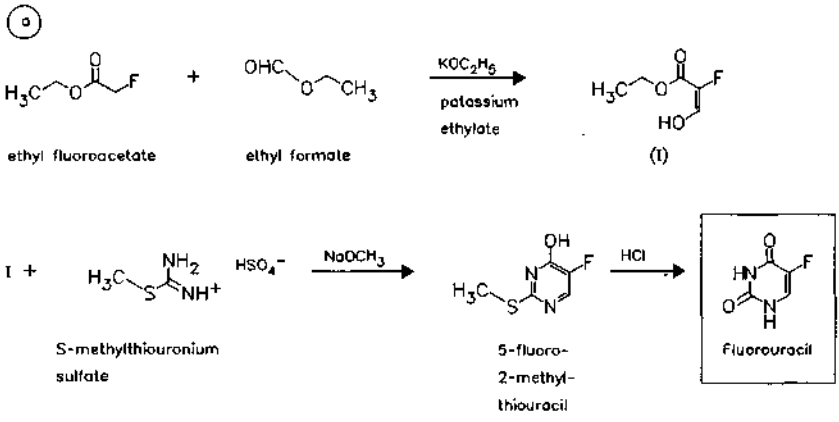
J: Flu-Base (Kowa)
Flumetholon (Santen)
Okilon (Sumitomo)
Isoptoflucon (Alcon)
Ursnon (Nihon Yakuhin Kogyo)

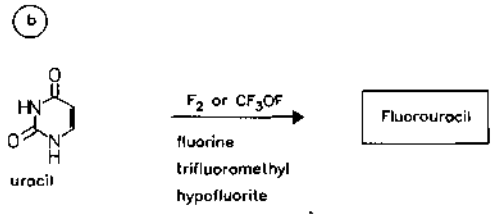
USA: FML Liquifilm (Allergan); wfm
Neo-Oxylone (Upjohn)-comb.; wfm
Oxylone (Upjohn); wfm

Fluorouracil
(Fluracilum)

ATC: L01BC02
Use: antineoplastic

RN: 51-21-8 MF: $C_4H_3FN_2O_2$ MW: 130.08 EINECS: 200-085-6
LD_{50}: 81 mg/kg (M, i.v.); 115 mg/kg (M, p.o.);
245 mg/kg (R, i.v.); 230 mg/kg (R, p.o.);
30 mg/kg (dog, p.o.)
CN: 5-fluoro-2,4-pyrimidinediol or 5-fluoro-2,4(1*H*,3*H*)-pyrimidinedione





Reference(s):
a US 2 802 005 (C. Heidelberger, R. Duschinsky; 6.8.1957; prior. 26.9.1956).
Duschinsky, R et al.: J. Am. Chem. Soc. (JACSAT) **79**, 4559 (1957).
b US 3 682 917 (I. L. Knuniants et al.; 8.8.1972; appl. 25.3.1970).
US 3 846 429 (S. A. Giller et al.; 5.11.1974; appl. 22.9.1971).
US 3 954 758 (PCR, Inc.; 4.5.1976; prior. 7.8.1967, 1.3.1968, 27.5.1970, 4.10.1971).
DOS 2 149 504 (Research Inst. f. Med. and Chem.; appl. 4.10.1971; USA-prior. 5.10.1970).
DOS 2 719 245 (Daikin Kogyo; appl. 29.4.1977; J-prior. 29.4.1976).
DOS 2 726 258 (Daikin Kogyo; appl. 10.6.1977; J-prior. 11.6.1976).

synthesis from orotic acid *by fluorination and following decarboxylation:*
DOS 2 826 496 (Asahi Glass; appl. 16.6.1978; J-prior. 17.6.1977).

Formulation(s): cream 5 %; ointment 50 mg/ml, 1 g/20 g; plaster 96 µg/1.13 cm^2; vial 50 mg/ml, 250 mg/5 ml, 500 mg/10 ml, 1000 mg/20 ml

Trade Name(s):

D: Actino-Hermal Pflaster (Hermal)
Efudix Roche (ICN)
Fluroblastin (Pharmacia & Upjohn)
Ribofluor (ribosepharm)
Verrumal (Hermal)-comb.
numerous generics and combination preparations

F: Efudix (Roche)
Fluoro-uracile (Roche) generic

GB: Accusite (Matrix)

I: Efudix (Roche)
Fluoro-Uracile (Roche) generic

J: 5-FU (Kyowa)
Arumel (SS Seiyaku)

Benton (Toyo Jozo)	Lifril (Kissei)		Ulup (Maruko)
Carzonal (Tobishi)	Timadin (Torii)	USA:	Efudex (Roche)
Efudix (Roche)	Ulosagen (Kyowa Yakuhin		Fluoroplex (Allergan)
Flacule (Nippon Kayaku)	Osaka)		generic

Fluoxetine

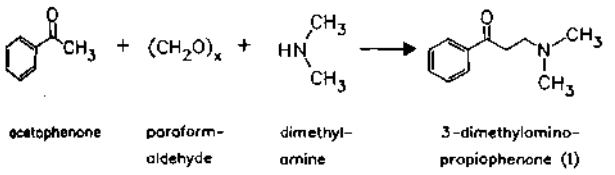
(Lilly 110140)

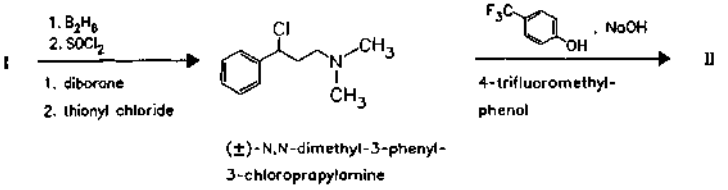
ATC: N06AB03
Use: antidepressant, serotonin-uptake inhibitor

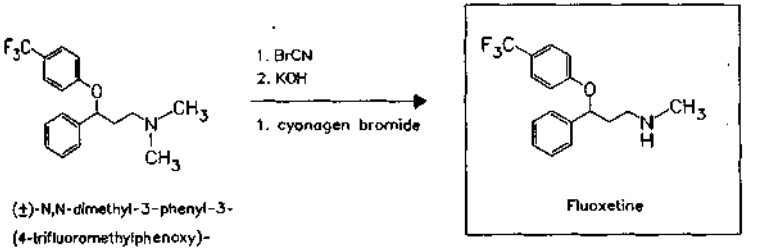
RN: 54910-89-3 MF: $C_{17}H_{18}F_3NO$ MW: 309.33
LD_{50}: 464 mg/kg (M, p.o.);
825 mg/kg (R, p.o.)
CN: (±)-*N*-methyl-γ-[4-(trifluoromethyl)phenoxy]benzenepropanamine

monohydrochloride
RN: 59333-67-4 MF: $C_{17}H_{18}F_3NO \cdot HCl$ MW: 345.79
LD_{50}: 100 mg/kg (M, i.p.)

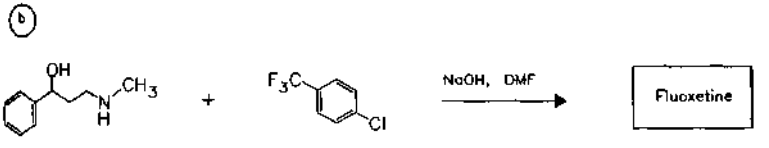
a



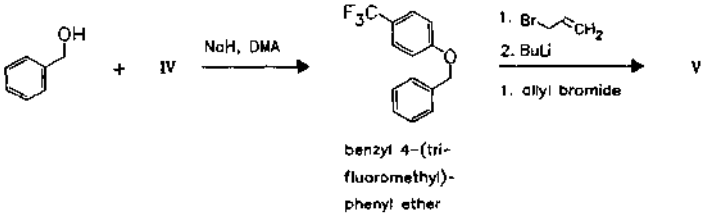




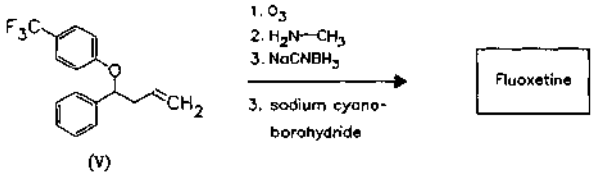
b



(c)

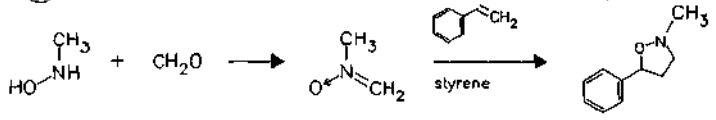


benzyl 4-(trifluoromethyl)-phenyl ether



(V)

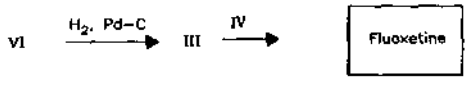
(d)



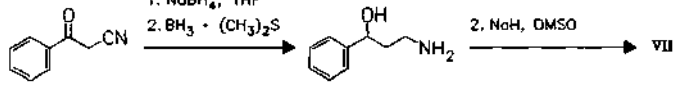
N-methylhydroxylomine

N-methylnitrone

2-methyl-5-phenylisoxazolidine (VI)

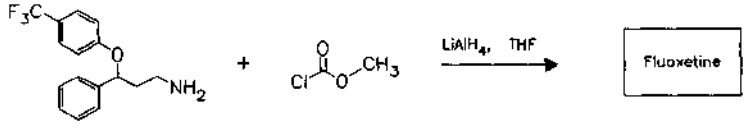


(e)



cyanomethyl phenyl ketone

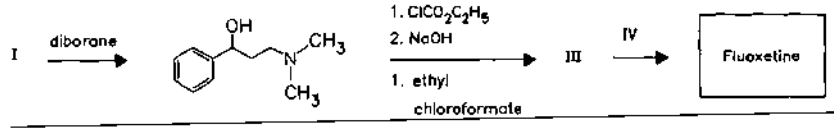
(±)-3-phenyl-3-hydroxy-1-propanamine



(VII)

methyl chloroformate

(f)



Reference(s):
a DE 2 500 110 (Lilly; appl. 3.1.1975; USA-prior. 10.1.1974).
US 4 018 895 (Lilly; 19.4.1977; USA-prior. 10.1.1974).
US 4 194 009 (Lilly; 18.3.1980; USA-prior. 15.9.1976).
US 4 314 081 (Lilly; 2.2.1982; USA-prior. 10.1.1974).
US 4 584 404 (Lilly; 22.4.1986; USA-prior. 24.10.1983, 25.1.1978, 10.1.1974).
b US 5 847 214 (Laporte Organics; USA-prior. 7.7.1997).
c ES 2 103 680 (Lilly; appl. 3.8.1995).
d US 2 760 243 (Albemarle Corp.; USA-prior. 25.7.1997).
e ES 210 654 (Lilly; appl. 24.7.1995).
f EP 529 842 (Teva Pharm.; appl. 6.8.1992; IL-prior. 27.8.1991)

alternative synthesis:
EP 391 070 (Orion; appl. 1.3.1990; FI-prior. 3.3.1989).
EP 380 924 (E. Magnone; appl. 8.1.1990; I-prior. 10.1.1989).
WO 9 906 362 (Albemarle Corp.; appl. 4.8.1998; USA-prior. 4.8.1997).
WO 9 856 753 (Albemarle Corp.; appl. 12.6.1998; USA-prior. 12.6.1997).
ES 2 120 368 (Almirall Prodesfarma; 16.10.1998; appl. 14.6.1996).
EP 529 842 (Teva Pharm.; appl. 6.8.1992; IL-prior. 27.8.1991).
EP 617 006 (Pliva D.; appl. 4.2.1994; HR-prior. 5.2.1993).
ES 2 101 655 (Lilly; prior. 28.7.1995).
ES 2 101 654 (Lilly; prior. 24.7.1995).
ES 2 101 650 (Lilly; prior. 29.6.1995).
WO 9 811 054 (Egis Gyogyszergyar; appl. 10.9.1997; HU-prior. 10.9.1996).
US 5 760 243 (Albemarle Corp.; 2.6.1998; appl. 25.7.1996).
ES 2 103 680 (Lilly S. A.; 16.9.1997; appl. 3.8.1995).
ES 2 103 681 (Lilly; 16.9.1997; appl. 19.9.1995).

synthesis of enantiomers:
US 4 950 791 (H. C. Brown; 21.8.1990; prior. 12.6.1989, 30.3.1988).
US 4 918 242 (Aldrich; 17.4.1990; prior. 12.6.1989, 30.3.1988).
US 4 918 207 (Aldrich; 17.4.1990; prior. 12.6.1989, 30.3.1988).

fluoxetine *chiral process from* benzoylpropionic acid:
US 5 936 124 (Sepacor Inc.; appl. 22.6.1998).

treatment of nicotine withdrawal symptoms:
US 4 940 585 (W. E. Hapworth; 10.7.1990; appl. 17.2.1989).

treatment of appetite and mood disturbances:
WO 8 903 692 (MIT; appl. 21.10.1988; USA-prior. 15.9.1988; 22.10.1987).

antidiabetic combination:
EP 294 028 (Lilly; appl. 29.4.1988; USA-prior. 4.5.1987).

pharmaceutical formulation:
EP 693 281 (Lilly; appl. 17.7.1995; E-prior. 20.7.1994).

memory improvement:
US 4 647 591 (Lilly; 3.3.1987; prior. 7.10.1985, 21.6.1985).

solid oral composition:
ES 2 103 682 (Lilly; appl. 29.9.1995).

analgesic compositions:
EP 193 355 (Lilly; appl. 20.2.1986; USA-prior. 25.2.1985, 25.7.1986).
EP 193 354 (Lilly; appl. 20.2.1986; USA-prior. 25.2.1985).

treatment of anxiety:
EP 123 469 (Lilly; appl. 6.4.1984; USA-prior. 8.4.1983).

novel transdermal formulations:
WO 9 802 169 (Alza Corp.; appl. 15.7.1997; USA-prior. 15.7.1996).

pharmaceutical formulations:
EP 693 281 (Lilly; appl. 17.7.1995; E-prior. 20.7.1994).

low dose tablet:
US 5 830 500 (Pentech Pharm.; 3.11.1998; appl. 22.7.1996; USA-prior. 22.7.1996).

Formulation(s): cps. 11.2 mg, 22.4 mg; sol. 22.4 mg/5 ml; tabl. 22.4 mg (as hydrochloride)

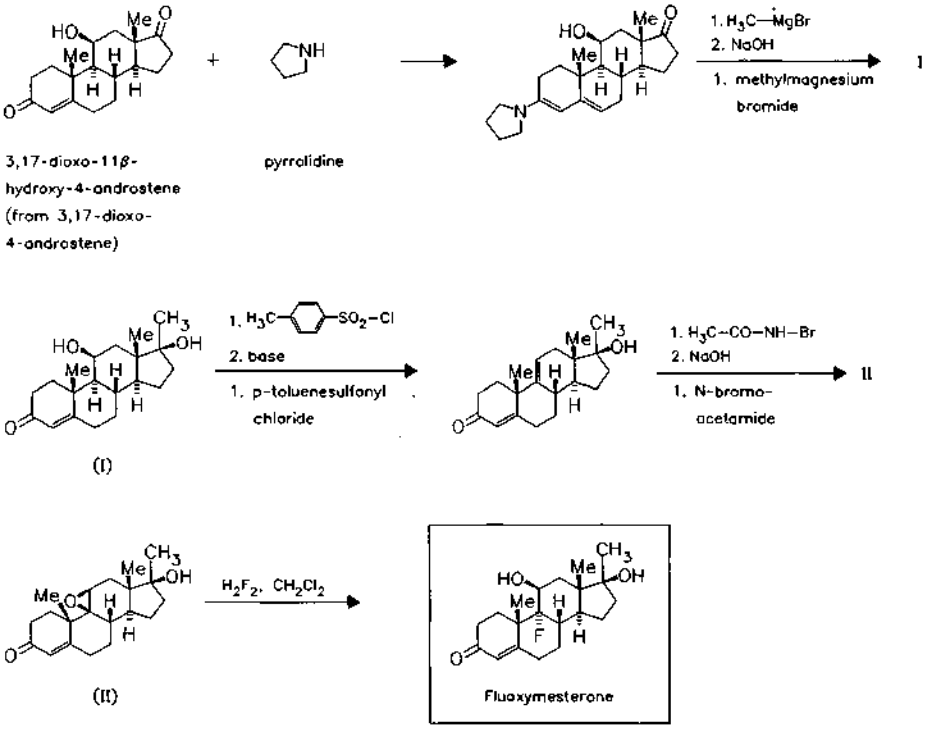
Trade Name(s):

D:	Fluctin (Lilly; 1990)	GB:	Prozac (Lilly; 1989)		Prozac (Lilly; 1989)
F:	Prozac (Lilly; 1989)	I:	Fluoxeren (Menarini; 1990)	USA:	Prozac (Dista)

Fluoxymesterone

ATC: G03BA01
Use: androgen

RN: 76-43-7 MF: $C_{20}H_{29}FO_3$ MW: 336.45 EINECS: 200-961-8
LD_{50}: 2350 mg/kg (M, i.p.)
CN: (11β,17β)-9-fluoro-11,17-dihydroxy-17-methylandrost-4-en-3-one



Reference(s):
US 2 793 218 (Upjohn; 1957; prior. 1955).
US 2 813 881 (Upjohn; 1957; prior. 1955).
US 2 837 517 (Upjohn; 1958; prior. 1956, 1955).
US 3 029 263 (Upjohn; 10.4.1962; prior. 24.12.1959, 22.12.1958, 6.6.1958).
DAS 1 037 447 (Ciba, appl. 1955; CH-prior. 1954).
Heyl, W.F.; Herr, M.E.: J. Am. Chem. Soc. (JACSAT) **75**, 1918 (1953).
Bernstein, S. et al.: J. Org. Chem. (JOCEAH) **19**, 41 (1954).
Fried, J.; Sabo, E.F.: J. Am. Chem. Soc. (JACSAT) **75**, 2273 (1953); **76**, 1455 (1954).
Herr, M.E. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 501 (1956).

alternative synthesis:
US 3 118 880 (Ciba; 21.1.1964; CH-prior. 26.5.1954).

Formulation(s): tabl. 1 mg, 2 mg, 2.5 mg, 5 mg, 10 mg

Trade Name(s):

D:	Ultandren (Ciba); wfm	I:	Halotestin (Upjohn)		Halotestin (Upjohn); wfm
F:	Halotestin (Pharmacia & Upjohn)	J:	Halotestin (Kodama)		Ora-Testryl (Squibb); wfm
GB:	Ultandren (Ciba); wfm	USA:	Halodrin (Upjohn)-comb.; wfm		

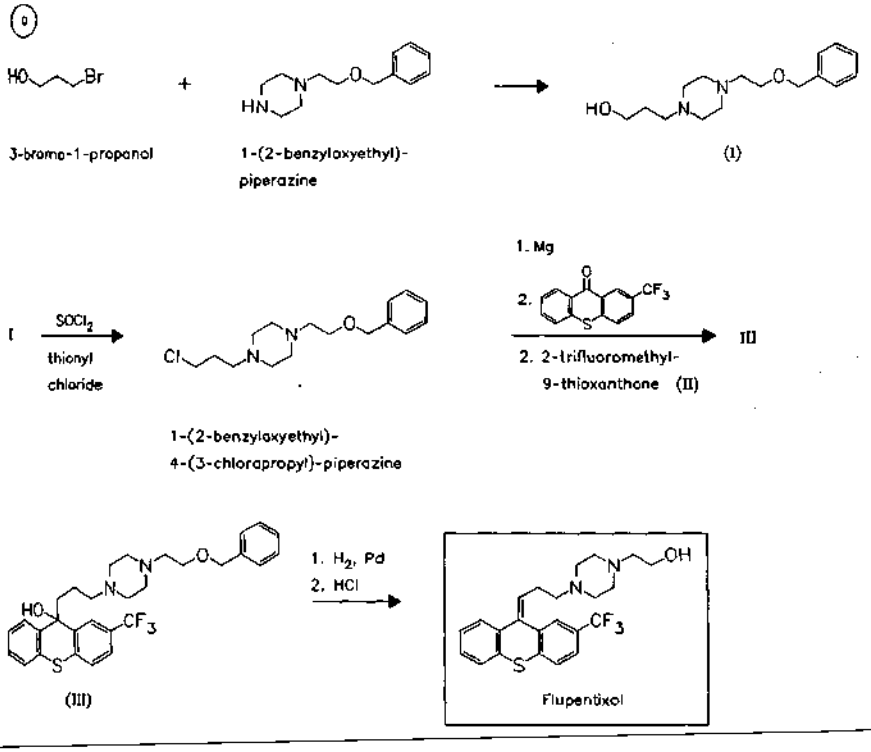
Flupentixol
(Flupenthixol)

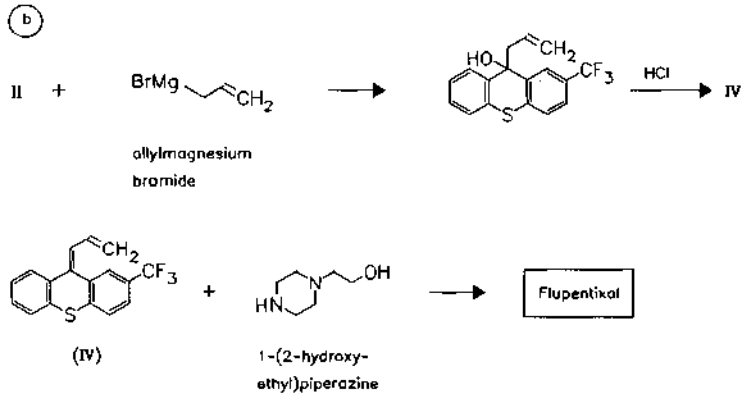
ATC: N05AF01
Use: neuroleptic, antipsychotic

RN: 2709-56-0 MF: $C_{23}H_{25}F_3N_2OS$ MW: 434.53 EINECS: 220-304-9
LD_{50}: 150 mg/kg (M, i.p.)
CN: 4-[3-[2-(trifluoromethyl)-9*H*-thioxanthen-9-ylidene]propyl]-1-piperazineethanol

dihydrochloride
RN: 2413-38-9 MF: $C_{23}H_{25}F_3N_2OS \cdot 2HCl$ MW: 507.45 EINECS: 219-321-4
LD_{50}: 94 mg/kg (M, i.v.); 423 mg/kg (M, p.o.);
37 mg/kg (R, i.v.); 791 mg/kg (R, p.o.)

decanoate
RN: 30909-51-4 MF: $C_{23}H_{25}F_3N_2OS \cdot C_{10}H_{18}O$ MW: 588.78





Reference(s):

a GB 925 538 (Smith Kline & French; appl. 3.3.1961; USA-prior. 7.3.1960, 5.5.1960).
US 3 282 930 (Smith Kline & French; 1.11.1966; prior. 7.3.1960, 5.5.1960).

b US 3 116 291 (Kefalas; 31.12.1963; DK-prior. 4.12.1958).
Kaiser, C. et al.: J. Med. Chem. (JMCMAR) **15**, 665 (1972).

flupentixol decanoate:
DAS 2 029 084 (Kefalas; appl. 12.6.1970; USA-prior. 20.6.1969).
US 3 681 346 (Kefalas; 1.8.1972; prior. 20.6.1969).

starting material:
GB 925 539 (Smith Kline & French; appl. 3.3.1961; USA-prior. 7.3.1960, 5.5.1960).

Formulation(s): amp. 20 mg/ml, 100 mg/ml; drg. 0.5 mg, 1 mg, 5 mg; drops 50 mg/ml (as dihydrochloride); vial 200 mg (20 mg/ml) (as decanoate)

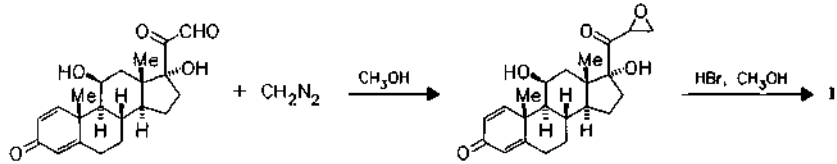
Trade Name(s):

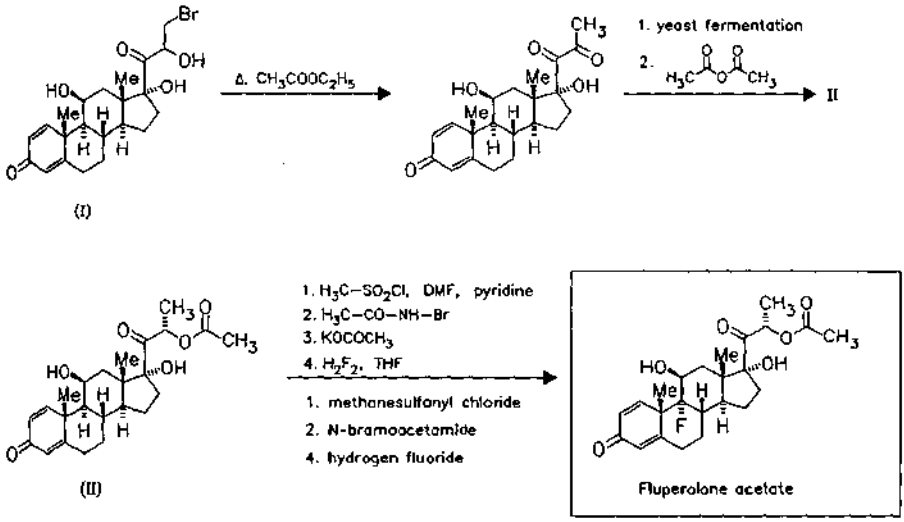
D:	Fluanxol (Bayer Vital)	I:	Fluanxol (Lundbeck); wfm	J:	Metamin (Takeda; 1973)
F:	Fluanxol (Lundbeck; 1976)		Deanxit (Lusofarmaco)-comb.		
GB:	Depixol (Lundbeck; 1972); wfm		Siplarol (Erba); wfm		

Fluperolone acetate

ATC: H02AB
Use: glucocorticoid, anti-inflammatory

RN: 2119-75-7 MF: $C_{24}H_{31}FO_6$ MW: 434.50 EINECS: 218-327-4
CN: [11β,17α,17(*S*)]-17-[2-(acetyloxy)-1-oxopropyl]-9-fluoro-11,17-dihydroxyandrosta-1,4-dien-3-one





Reference(s):
Agnello, E.J. et al.: J. Org. Chem. (JOCEAH) **28**, 1531 (1963).
Agnello, E.J. et al.: Experientia (EXPEAM) **16**, 357 (1960).
(also alternative syntheses)

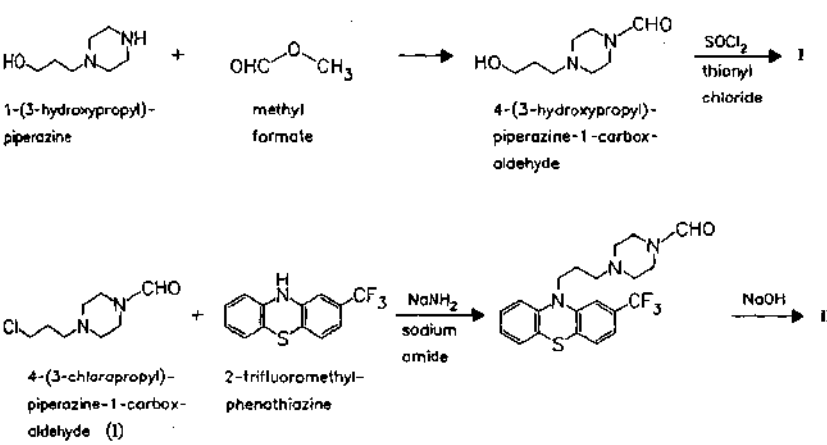
Trade Name(s):
I: Alacortil (Pfizer); wfm USA: Methral (Pfizer); wfm

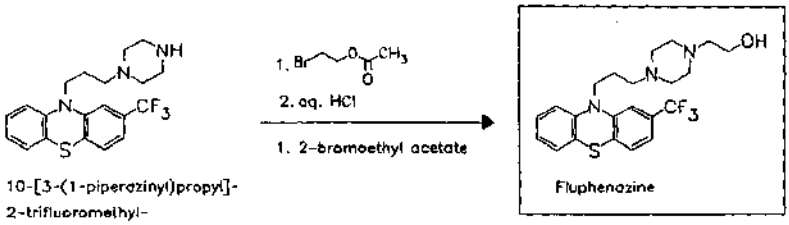
Fluphenazine

ATC: N05AB02
Use: neuroleptic, antipsychotic

RN: 69-23-8 MF: $C_{22}H_{26}F_3N_3OS$ MW: 437.53 EINECS: 200-702-9
LD_{50}: 51 mg/kg (M, i.v.); 220 mg/kg (M, p.o.)
CN: 4-[3-[2-(trifluoromethyl)-10*H*-phenothiazin-10-yl]propyl]-1-piperazineethanol

dihydrochloride
RN: 146-56-5 MF: $C_{22}H_{26}F_3N_3OS \cdot 2HCl$ MW: 510.45 EINECS: 205-674-1
LD_{50}: 56 mg/kg (M, i.v.); 220 mg/kg (M, p.o.)
decanoate
RN: 5002-47-1 MF: $C_{22}H_{26}F_3N_3OS \cdot C_{10}H_{18}O$ MW: 591.78





10-[3-(1-piperazinyl)propyl]-2-trifluoromethyl-phenothiazine (II)

Reference(s):
US 3 058 979 (Smith Kline & French; 16.10.1962; prior. 13.5.1957).
DE 1 095 836 (Squibb; appl. 8.12.1956; USA-prior. 23.12.1955, 12.7.1956).

alkanecarboxylic acid esters:
DE 1 165 602 (Olin Mathieson; appl. 25.4.1962; USA-prior. 26.4.1961).
US 3 194 733 (Olin Mathieson; 13.7.1965; prior. 26.4.1961, 28.1.1963).
US 3 394 131 (Squibb; 23.7.1968; prior. 26.4.1961, 28.1.1963).
Kurland, A.A. et al.: Curr. Ther. Res. (CTCEA9) **6**, 137 (1964).

Formulation(s): amp. 2.5 mg/ml, 5 mg/ml, 12.5 mg/0.5 ml, 25 mg/ml, 25 mg/2 ml, 50 mg/0.5 ml, 100 mg/ml (as decanoate); drops 4 mg/ml; f. c. drg. 3 mg, 6 mg; f. c. tabl. 0.5 mg, 1 mg, 4 mg; sol. 2.5 mg/ml; tabl. 1 mg, 2.5 mg, 4 mg, 5 mg, 10 mg (as dihydrochloride)

Trade Name(s):

D: Dapotum (Bristol-Myers Squibb; Sanofi Winthrop)
Lyogen (Promonta Lundbeck)
Omca (Bristol-Myers Squibb)

F: Modecate (Sanofi Winthrop)
Moditen (Sanofi Winthrop)
Motival (Sanofi Winthrop)-comb.

GB: Modecate (Sanofi Winthrop)
Moditen (Sanofi Winthrop)
Motipress (Sanofi Winthrop)-comb.
Motival (Sanofi Winthrop)-comb.

I: Anatensol (Bristol-Myers Squibb)
Dominans (Recordati)-comb.
Moditen (Bristol-Myers Squibb)

J: Anatensol (Showa)
Fludecasine (Yoshitomi)
Sevinol (Schering-Shionogi)

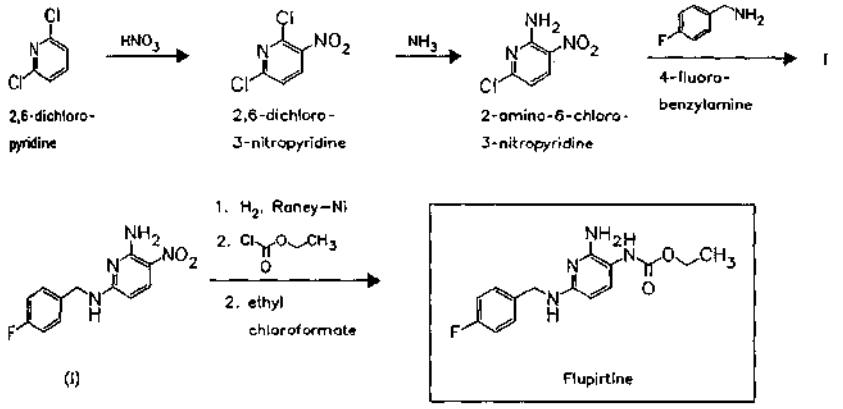
USA: Permitil (Schering); wfm
Permitil (Schering-Plough); wfm
Prolixin (Squibb); wfm
Prolixin (Bristol-Myers Squibb); wfm
generics

Flupirtine

ATC: M03B; N02BG07
Use: analgesic

RN: 56995-20-1 MF: $C_{15}H_{17}FN_4O_2$ MW: 304.33 EINECS: 260-503-8
LD_{50}: 617 mg/kg (M, p.o.);
1660 mg/kg (R, p.o.)
CN: [2-amino-6-[[(4-fluorophenyl)methyl]amino]-3-pyridinyl]carbamic acid ethyl ester

monohydrochloride
RN: 33400-45-2 MF: $C_{15}H_{17}FN_4O_2 \cdot HCl$ MW: 340.79 EINECS: 251-496-2
LD_{50}: 432 mg/kg (M, s.c.)
maleate (1:1)
RN: 75507-68-5 MF: $C_{15}H_{17}FN_4O_2 \cdot C_4H_4O_4$ MW: 420.40 EINECS: 278-225-0



Reference(s):
DE 1 670 522 (Degussa; appl. 12.5.1966).
DE 1 795 858 (Degussa; appl. 19.7.1968).
US 3 481 943 (Degussa; 2.12.1969; D-prior. 12.5.1966).
US 3 513 171 (Degussa; 19.5.1970; D-prior. 12.5.1966).
Bebenburg, W. v. et al.: Chem.-Ztg. (CMKZAT) **103**, 387 (1979).
Bebenburg, W. v. et al.: Chem.-Ztg. (CMKZAT) **105**, 217 (1981).
US 5 959 115 (ASATA Medica; 28.9.1999; appl. 23.4.1998; D-prior. 23.4.1997).

Formulation(s): cps. 50 mg, 100 mg; suppos. 75 mg, 150 mg (as maleate)

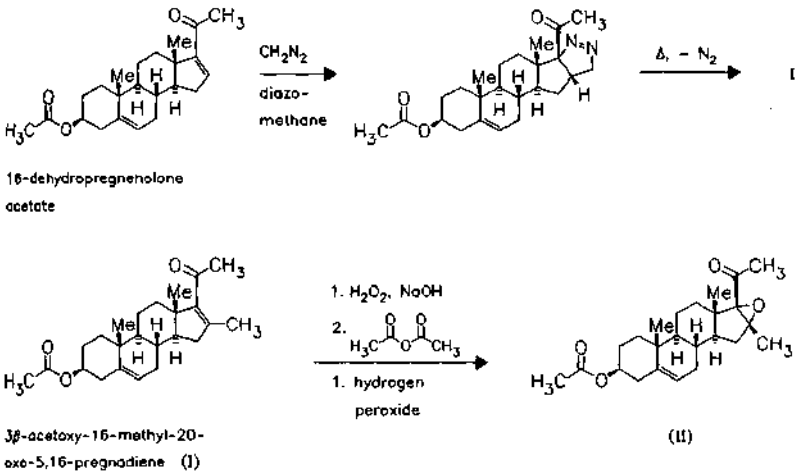
Trade Name(s):

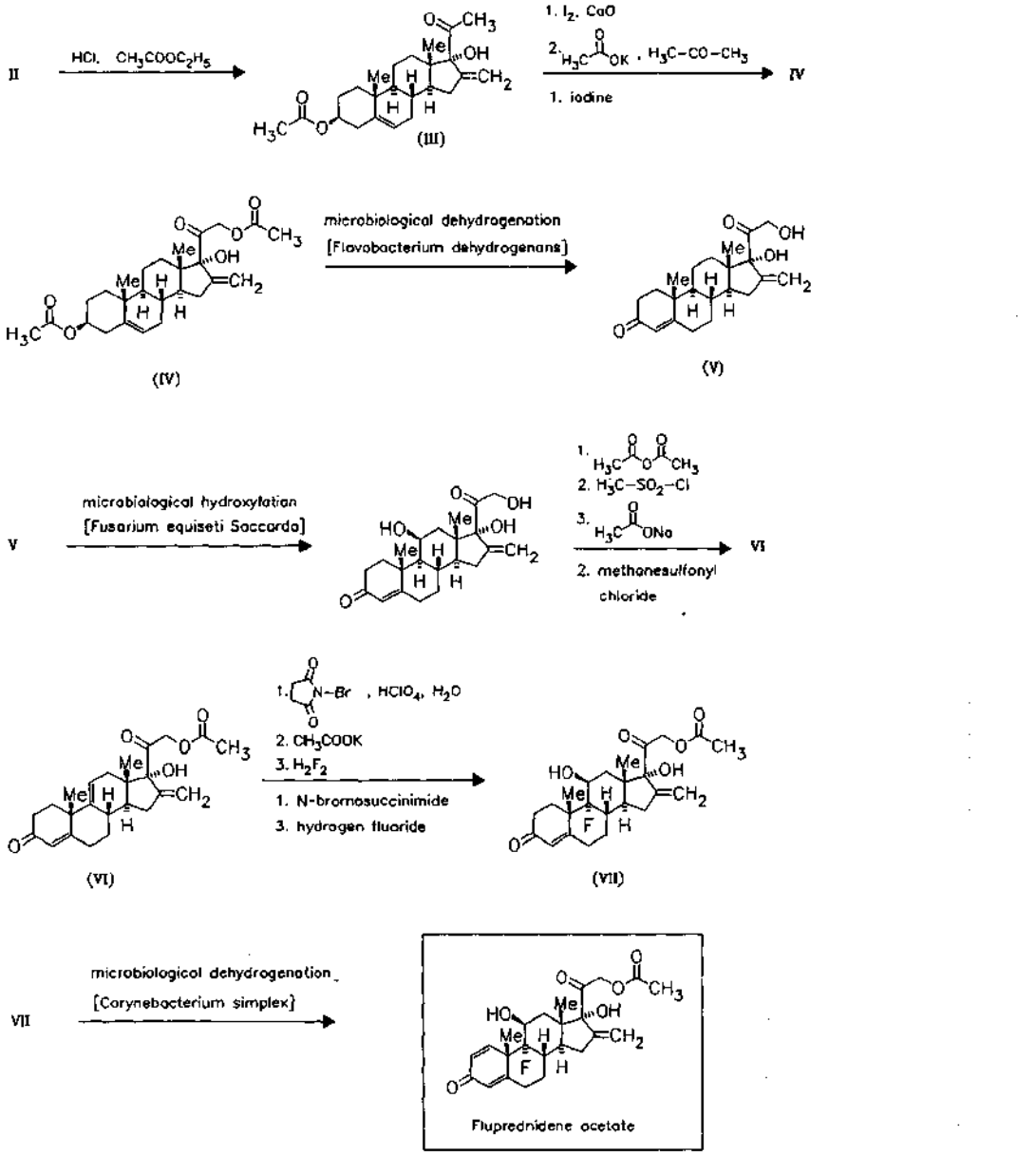
D:	Katadolon (ASTA Medica AWD)	Trancopal (Sanofi Winthrop)	I:	Katadolon (ASTA Medica)	

Flupredniden acetate

ATC: D07AB07; D07CB02; D07XB03
Use: topical glucocorticoid

RN: 1255-35-2 MF: $C_{24}H_{29}FO_6$ MW: 432.49 EINECS: 215-013-9
CN: (11β)-21-(acetyloxy)-9-fluoro-11,17-dihydroxy-16-methylenepregna-1,4-diene-3,20-dione





Reference(s):
GB 1 230 671 (Merck Patent GmbH; appl. 10.7.1969).
Irmscher, K. et al.: Arzneim.-Forsch. (ARZNAD) **18**, 7 (1968).
(also other syntheses reviewed)

synthesis of 16-dehydropregnenolone acetate:
Wettstein, A.: Helv. Chim. Acta (HCACAV) **27**, 1803 (1944).

alternative syntheses:
GB 946 860 (Merck & Co.; appl. 17.3.1960; USA-prior. 24.3.1959).
US 3 068 226 (Merck & Co.; 11.12.1962; appl. 22.12.1961; prior. 24.3.1959).
US 3 163 760 (Merck & Co.; 9.7.1964; appl. 24.3.1959).
US 3 309 272 (Merck & Co.; 14.3.1967; appl. 24.4.1961; prior. 24.3.1959).

Formulation(s): cream 1 mg/g; ointment 0.05 g/100 g, 1 mg/g; sol. 0.025 g/100 g, 0.15 g/100 g, 1 mg/ml

Trade Name(s):

D: Candio-Hermal (Hermal)-comb. | Decoderm (Hermal) | Decoderm (Hermal)-comb. | Sali-Decoderm (Hermal)-comb.

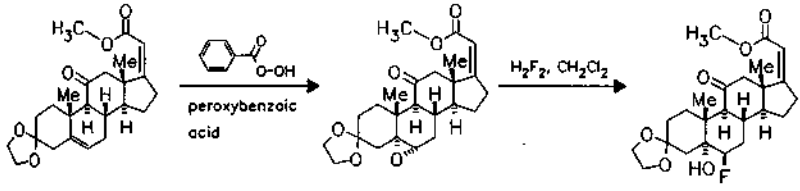
Fluprednisolone acetate

Use: glucocorticoid

RN: 570-36-5 MF: $C_{23}H_{29}FO_6$ MW: 420.48 EINECS: 209-330-1
CN: (6α,11β)-21-(acetyloxy)-6-fluoro-11,17-dihydroxypregna-1,4-diene-3,20-dione

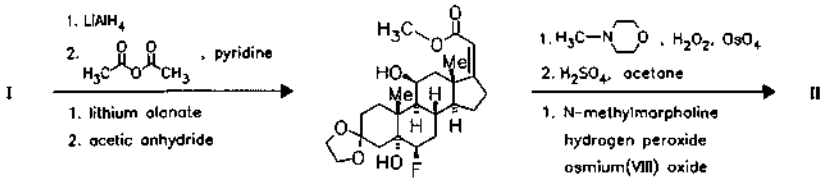
fluprednisolone

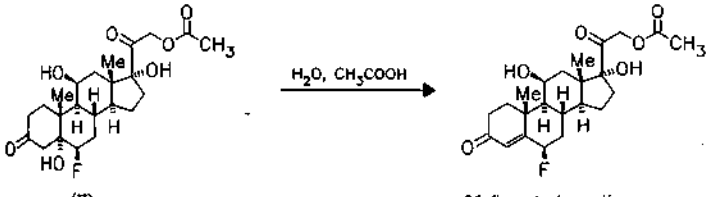
RN: 53-34-9 MF: $C_{21}H_{27}FO_5$ MW: 378.44 EINECS: 200-170-8



cis-methyl 3,3-ethylenedioxy-11-oxo-5,17(20)-pregnadiene-21-carboxylate

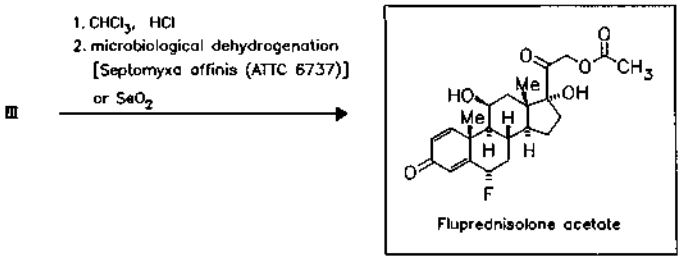
(I)





(II)

6β-fluorohydrocortisone 21-acetate (III)



Fluprednisolone acetate

Reference(s):

US 2 841 600 (Upjohn; 1958; prior. 1957, 1955).
DE 1 079 042 (Syntex; appl. 1958; MEX-prior. 1957).

starting material:
US 2 707 184 (Upjohn; 1955, prior. 1953, 1952).

alternative synthesis:
US 4 041 055 (Upjohn; 9.8.1977; prior. 17.11.1975).

Formulation(s): tabl. 1.5 mg, 2 mg, 16 mg

Trade Name(s):

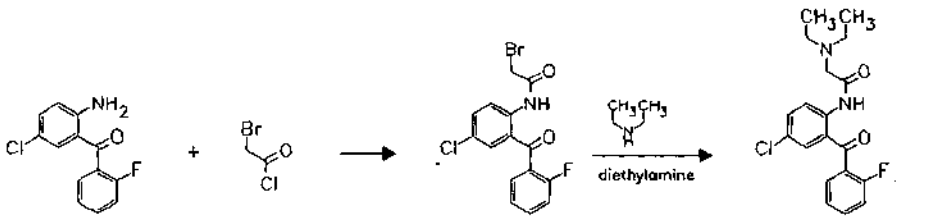
D:	Isopredon (Hoechst); wfm	I:	Etadrol (Carlo Erba); wfm
F:	Decoderme (Merck-Clévenot); wfm		Etadrol (Farmitalia); wfm
		USA:	Alphadrol (Upjohn); wfm

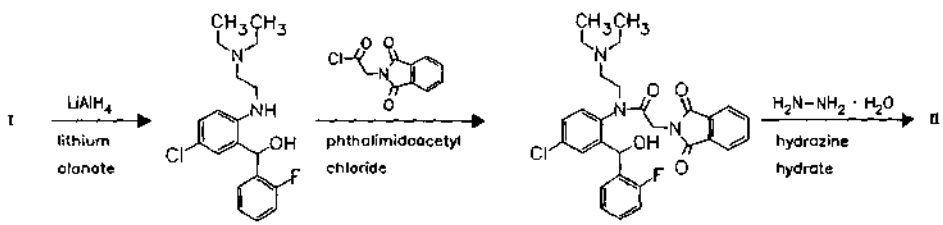
Flurazepam

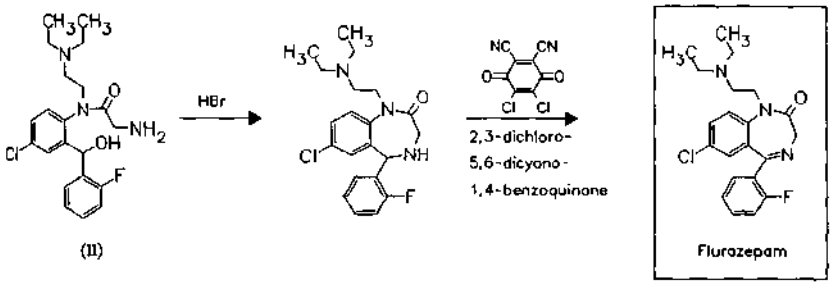
ATC: N05CD01
Use: hypnotic, sedative

RN: 17617-23-1 MF: $C_{21}H_{23}ClFN_3O$ MW: 387.89 EINECS: 241-591-7
LD_{50}: 59.1 mg/kg (M, i.v.); 500 mg/kg (M, p.o.);
38.7 mg/kg (R, i.v.); 980 mg/kg (R, p.o.)
CN: 7-chloro-1-[2-(diethylamino)ethyl]-5-(2-fluorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one

dihydrochloride
RN: 1172-18-5 MF: $C_{21}H_{23}ClFN_3O \cdot 2HCl$ MW: 460.81 EINECS: 214-630-0
LD_{50}: 59.1 mg/kg (M, i.v.); 596 mg/kg (M, p.o.);
38.7 mg/kg (R, i.v.); 879 mg/kg (R, p.o.)
hydrochloride
RN: 36105-20-1 MF: $C_{21}H_{23}ClFN_3O \cdot HCl$ MW: 424.35







Reference(s):
US 3 567 710 (Hoffmann-La Roche; 2.3.1971; prior. 3.6.1968).

alternative synthesis by reaction of 2-diethylaminoethyl chloride *with* 7-chloro-5-(2-fluorophenyl)-2-oxo-2,3-dihydro-1*H*-1,4-benzodiazepine:
GB 1 040 548 (Roche; appl. 1.3.1963; USA-prior. 2.3.1962).

Formulation(s): cps. 10 mg, 15 mg; tabl. 27.42 mg (as base); cps. 15 mg, 30 mg; tabl. 30 mg (as monohydrochloride); cps. 15 mg, 30 mg; s. r. cps. 20 mg (as dihydrochloride)

Trade Name(s):

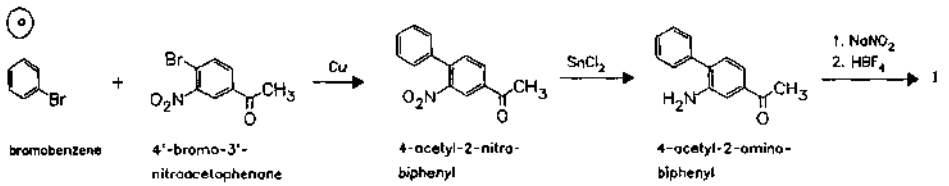
D:	Dalmadorm (Roche)	Felison (Bayropharm)	J:	Benozil (Kyowa)
	Flurazepam 15/30 Riker (3M Medica)	Flunox (Boehringer Mannh.)		Dalmate (Nippon Roche)
	Staurodorm Neu (Dolorgiet)	Midorm A.R. (Piam)		Insumin (Kyorin)
GB:	Dalmane (Roche)	Remdue (Biomedica Foscama)	USA:	Dalmane (Roche)
I:	Dalmadorm (Roche)	Valdorm (Valeas)		

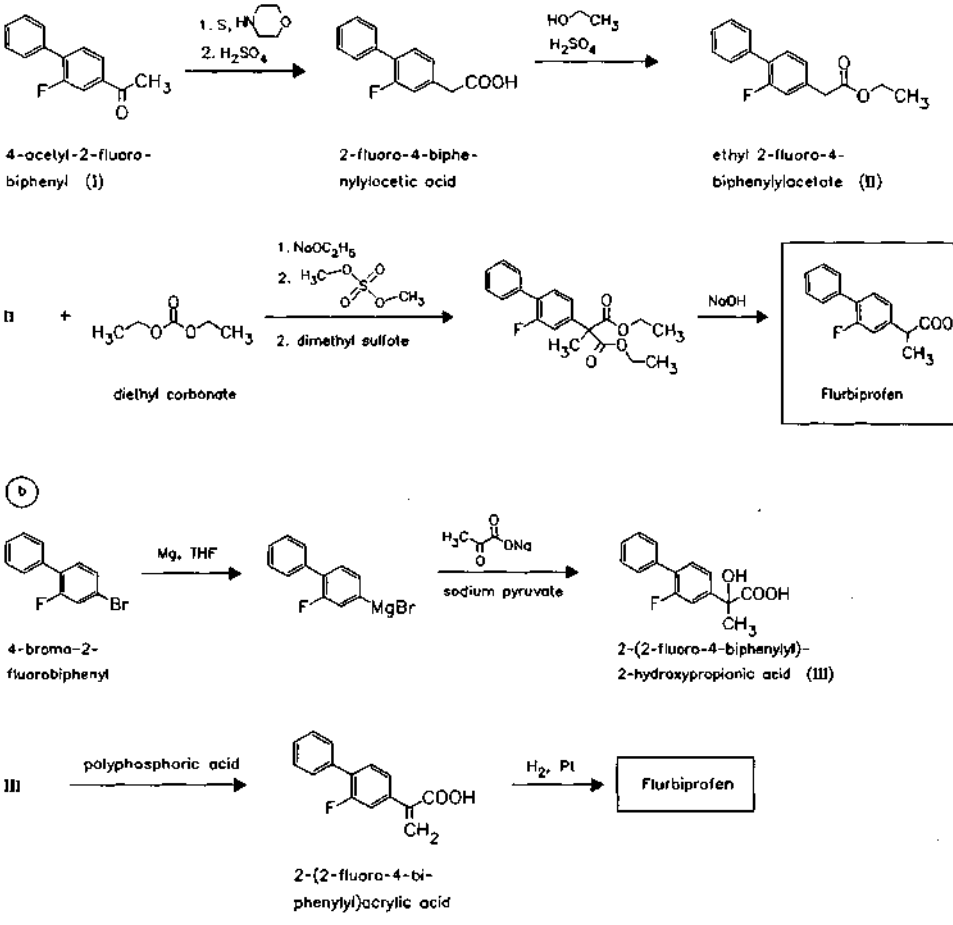
Flurbiprofen

ATC: M01AE09; M02AA19; S01BC04
Use: anti-inflammatory, analgesic

RN: 5104-49-4 MF: $C_{15}H_{13}FO_2$ MW: 244.27 EINECS: 225-827-6
LD_{50}: >385 mg/kg (M, i.v.); 640 mg/kg (M, p.o.);
117 mg/kg (R, p.o.);
10 mg/kg (dog, p.o.)
CN: 2-fluoro-α-methyl[1,1'-biphenyl]-4-acetic acid

sodium salt
RN: 56767-76-1 MF: $C_{15}H_{12}FNaO_2$ MW: 266.25





Reference(s):

a DAS 1 518 528 (Boots; appl. 19.1.1965; GB-prior. 24.1.1964).
US 3 755 427 (Boots; 28.8.1973; GB-prior. 24.1.1964).
US 3 793 457 (Adams Sectal; 19.2.1974; GB-prior. 24.1.1964).

b GB 1 514 812 (Boots; appl. 4.4.1975; valid from 31.3.1976).

similar method:

US 3 959 364 (Boots; 25.5.1976; GB-prior. 24.5.1973).

alternative syntheses:

DOS 2 646 792 (Mitsubishi Petrochemical; appl. 16.10.1976; J-prior. 23.10.1975, 31.7.1976).

racemate resolution:

DOS 2 809 794 (Boots; appl. 7.3.1978; GB-prior. 8.3.1977, 18.1.1978).

Formulation(s): amp. 50 mg; cps. 200 mg; drg. 50 mg, 100 mg; eye drops 0.3 mg/ml (as sodium salt dihydrate); plaster 40 mg; s. r. cps. 200 mg; suppos. 100 mg; tabl. 50 mg, 100 mg

Trade Name(s):

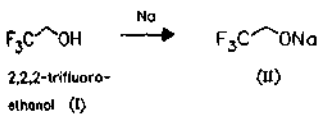
D:	Froben (Kanoldt; 1980)		Ocufen (Allergan)	J:	Froben (Kakenyaku)
	Ocuflur (Pharm-Allergan)	GB:	Froben (Knoll; 1977)	USA:	Ocufen (Allergan; 1987).
F:	Antadys (Théramex)		Ocufen (Allergan)		
	Cebutid (Knoll; 1979)	I:	Froben (Boots Italia)		

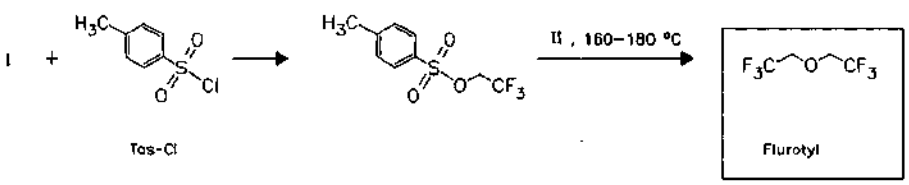
Flurotyl

(Flurothyl)

Use: CNS stimulant, convulsant

RN: 333-36-8 MF: $C_4H_4F_6O$ MW: 182.06
LD_{50}: 46 mg/kg (M, i.v.)
CN: 1,1'-oxybis[2,2,2-trifluoroethane]





Reference(s):
US 3 363 006 (Pennwalt; 9.1.1968; prior. 29.12.1955, 20.6.1960).

Formulation(s): 2 ml in special inhalation device

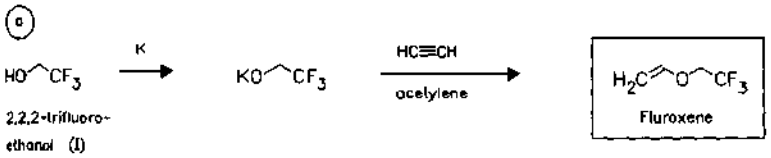
Trade Name(s):
USA: Indoklon (Ohio Med.);
wfm

Fluroxene

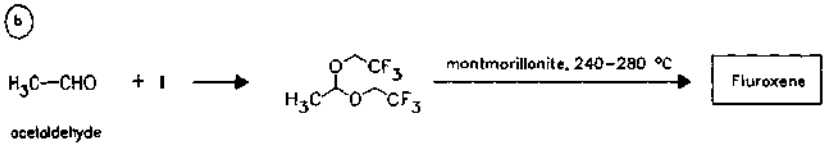
ATC: N01AA
Use: inhalation anesthetic

RN: 406-90-6 MF: $C_4H_5F_3O$ MW: 126.08 EINECS: 206-977-1
LD_{50}: 5600 mg/kg (R, i.p.)
CN: (2,2,2-trifluoroethoxy)ethene

a



b



Reference(s):
a US 2 830 007 (Air Reduction Comp.; 1958; appl. 1953).
b US 2 870 218 (Air Reduction Comp.; 1959; appl. 1955).

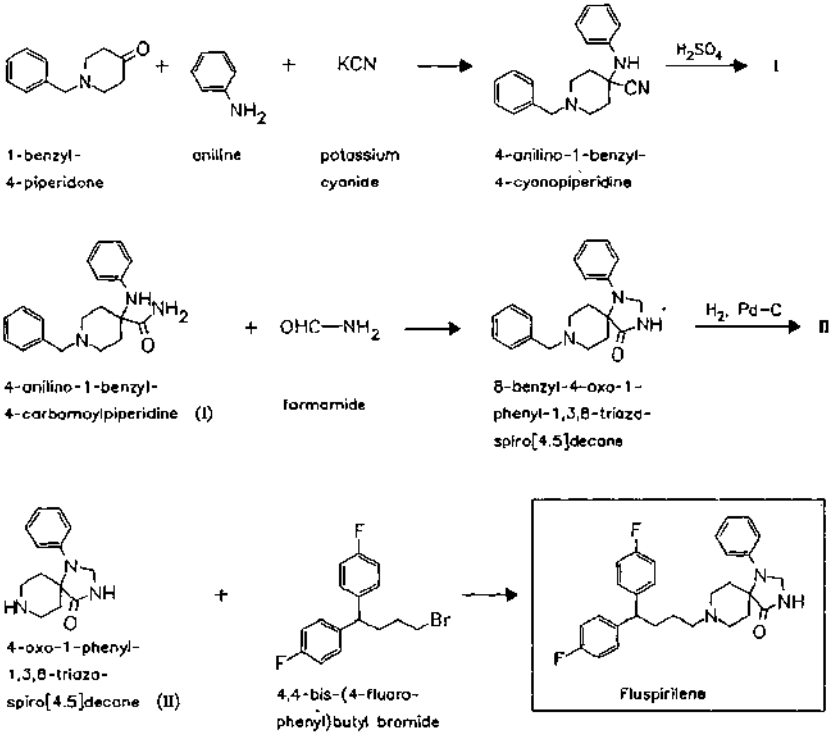
Formulation(s): liquid for inhalation 125 ml

Trade Name(s):
USA: Fluoromar (Ohio Med.);
wfm

Fluspirilene

ATC: N05AG01
Use: neuroleptic

RN: 1841-19-6 MF: $C_{29}H_{31}F_2N_3O$ MW: 475.58 EINECS: 217-418-6
LD_{50}: 106 mg/kg (M, i.m.);
>146 mg/kg (R, i.m.)
CN: 8-[4,4-bis(4-fluorophenyl)butyl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one



Reference(s):
BE 633 914 (Janssen; appl. 20.6.1963; USA-prior. 22.6.1962).
US 3 238 216 (Janssen; 1.3.1966; prior. 22.6.1962, 20.6.1963).
DAS 1 470 125 (Janssen; appl. 21.6.1963; USA-prior. 22.6.1962).

Formulation(s): amp. 1.5 mg/0.75 ml, 2 mg/ ml, 12 mg/6 ml; vial 12 mg (2 mg/ml)

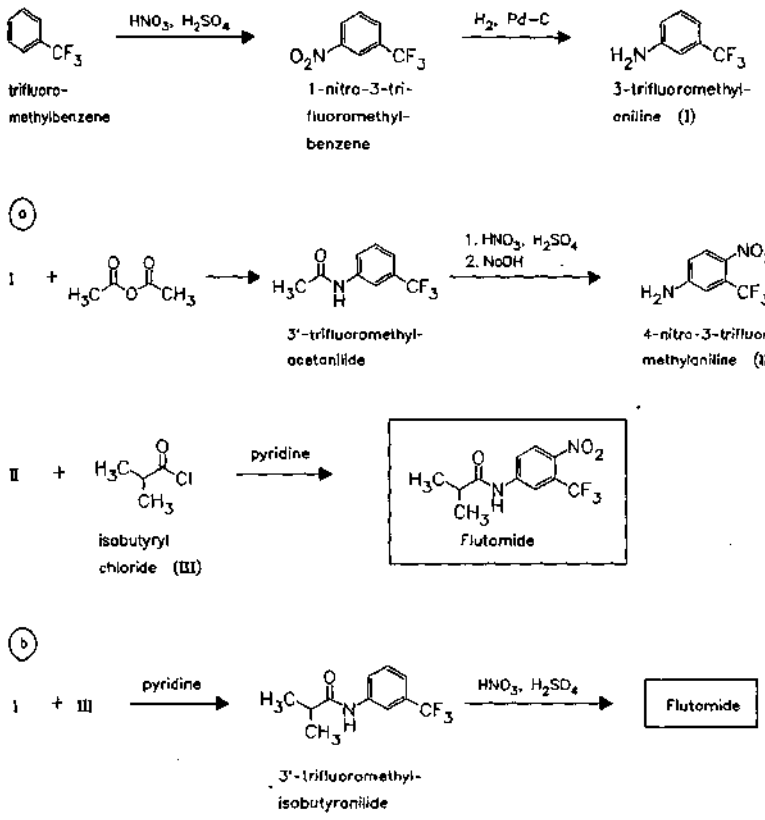
Trade Name(s):

D:	Fluspi (Neuro Hexal)	GB:	Redeptin (Smith Kline &
	Imap (Janssen)		French); wfm
	Kivat (Hormosan)	USA:	Imap (McNeil); wfm

Flutamide

ATC: L02BB01
Use: antiandrogen, antineoplastic (hormonal)

RN: 13311-84-7 MF: $C_{11}H_{11}F_3N_2O_3$ MW: 276.21 EINECS: 236-341-9
LD_{50}: 787 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 2-methyl-*N*-[4-nitro-3-(trifluoromethyl)phenyl]propanamide



Reference(s):
J. Med. Chem. (JMCMAR) **10**, 93 (1967).
a DOS 2 130 450 (Scherico; appl. 19.6.1971).
US 4 144 270 (Scherico; 13.3.1979; appl. 26.6.1974).
b US 4 302 599 (Schering Co.; 24.11.1981; prior. 10.9.1979).

synthesis of 4-nitro-3-trifluoromethylaniline:
Jones, R.G.: J. Am. Chem. Soc. (JACSAT) **69**, 2346 (1947).

medical use:
US 3 995 060 (Scherico; 30.11.1976; appl. 11.9.1974).
US 4 139 638 (Schering Corp.; 13.2.1979; appl. 3.10.1977).
US 4 161 540 (Schering Corp.; 13.2.1979; appl. 3.10.1977).
US 4 329 364 (Schering Corp.; 11.5.1982; appl. 23.9.1976).
US 4 474 813 (Schering Corp. 2.10.1984; appl. 24.5.1982).

Formulation(s): cps. 125 mg; tabl. 250 mg

Trade Name(s):

D: Apimid (Apogepha)
Cytamid (esparma)
Flumid (Hexal)
Fluta GRY (GRY-Pharma)
Flutamex (Sanofi Winthrop)
Fugerel (Essex Pharma; 1984)
Prostica (TAD)
Prostogenat (Azupharma)
Testac (medac)
Testotard (Chephasaar)

F: Eulexine (Schering-Plough; 1987)

GB: Chimax (Chiron)
Drogenil (Schering-Plough)

I: Eulexin (Schering-Plough; 1986)

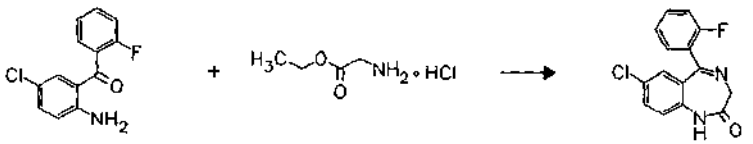
J: Odyne (Nippon Kayaku)

USA: Eulexin (Schering)

Flutazolam

ATC: N05BA
Use: benzodiazepine anxiolytic, tranquilizer

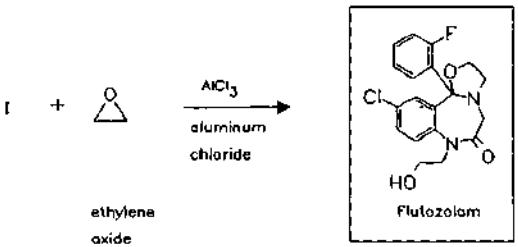
RN: 27060-91-9 MF: $C_{19}H_{18}ClFN_2O_3$ MW: 376.82
LD_{50}: 1910 mg/kg (M, p.o.);
>6 g/kg (R, p.o.)
CN: 10-chloro-11b-(2-fluorophenyl)-2,3,7,11b-tetrahydro-7-(2-hydroxyethyl)oxazolo[3,2-*d*][1,4]benzodiazepin-6(5*H*)-one



2-amino-5-chloro-2'-fluorobenzophenone (cf. flunitrazepam synthesis)

glycine ethyl ester hydrochloride

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one (I)



ethylene oxide

Flutazolam

Reference(s):
DOS 1 952 486 (Hoffmann-La Roche; appl. 17.10.1969; USA-prior. 18.10.1968).

synthesis of 7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one:
US 3 109 843 (Hoffmann-La Roche; 5.11.1963; prior. 21.6.1962).

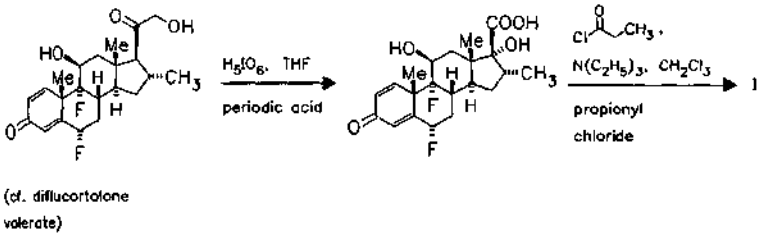
Formulation(s): tabl. 4 mg

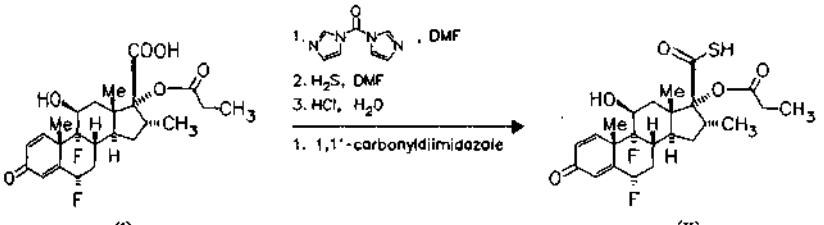
Trade Name(s):
J: Coreminal (Mitsui)

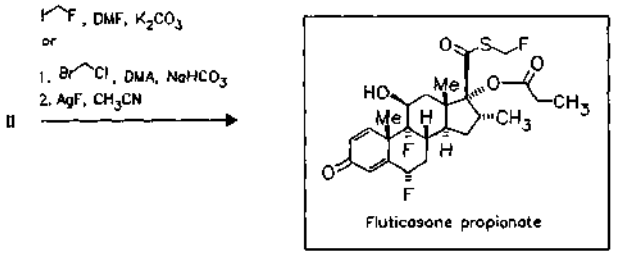
Fluticasone propionate

ATC: R01AD08; R03BA05; D07AC17
Use: locally active glucocorticosteroid

RN: 80474-14-2 MF: $C_{25}H_{31}F_3O_5S$ MW: 500.58
LD_{50}: >2 g/kg (R, p. o.); >1 g/kg (R, s. c.)
CN: (6α,11β,16α,17α)-6,9-Difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)androsta-1,4-diene-17-carbothioic acid *S*-(fluoromethyl) ester







Reference(s):
BE 887 518 (Glaxo Group; appl. 13.2.1981; GB-prior. 15.2.1980).
IL 109 656 (Chemagis LTD.; IL-prior. 15.5.1994).
Phillipps, G.H. et al.: J. Med. Chem. (JMCMAR) **37**, 3717 (1994).

Formulation(s): aerosol for inh. 44 µg, 110 µg, 220 µg; cream 0.05%; ointment 0.005%; nasal spray 0.05%

Trade Name(s):

D: Atemur (ASTA Medica AWD; Glaxo Wellcome)
Flutide (Cascan; Glaxo Wellcome)
Flutivate (Cascan; Glaxo Wellcome)
Viani (Cascan; Glaxo Wellcome) comb. with Salmeterol

GB: Cutivate (Glaxo Wellcome)
Flixonase (Allen & Hanburys)
Flixotide (Allen & Hanburys)

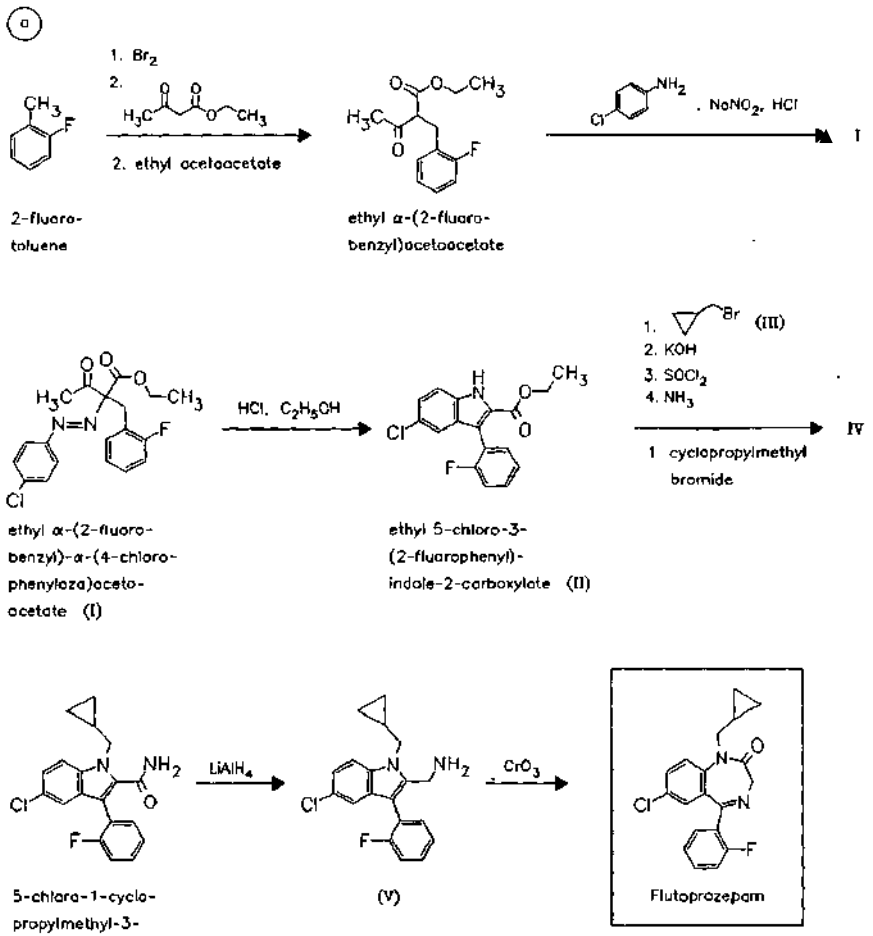
I: Flixotide (Glaxo Wellcome)
Fluspiral (Menarini)

USA: Cutivate (Glaxo Wellcome)
Flonase (Glaxo Wellcome)
Flovent (Glaxo Wellcome)

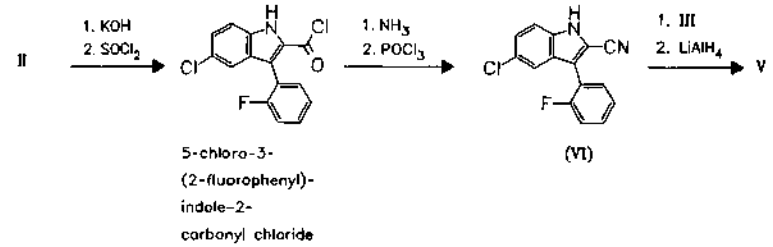
Flutoprazepam

ATC: N05BA
Use: long acting benzodiazepine anxiolytic

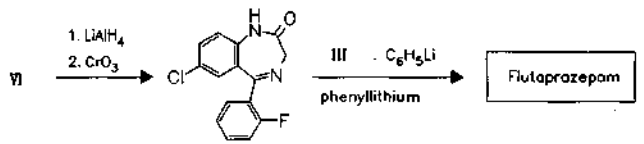
RN: 25967-29-7 MF: $C_{19}H_{16}ClFN_2O$ MW: 342.80
LD_{50}: 2110 mg/kg (M, i.p.); 2430 mg/kg (M, p.o.);
2230 mg/kg (R, i.p.); 10.06 g/kg (R, p.o.);
1000 mg/kg (rabbit, p.o.);
>10 g/kg (dog, p.o.)
CN: 7-chloro-1-(cyclopropylmethyl)-5-(2-fluorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one



b



c



Reference(s):
DE 1 795 372 (Sumitomo; appl. 20.9.1968; J-prior. 22.9.1967).
DE 1 795 771 (Sumitomo; appl. 20.9.1968; J-prior. 2.11.1967).
US 3 925 364 (Sumitomo; 6.8.1974; appl. 16.9.1968; J-prior. 22.9.1967).

additional synthesis:
DOS 2 151 540 (Sumitomo; appl. 15.10.1971; J-prior. 17.10.1970).
DOS 2 113 122 (Sumitomo; appl. 18.3.1971; J-prior. 19.3.1970).

Formulation(s): tabl. 2 mg

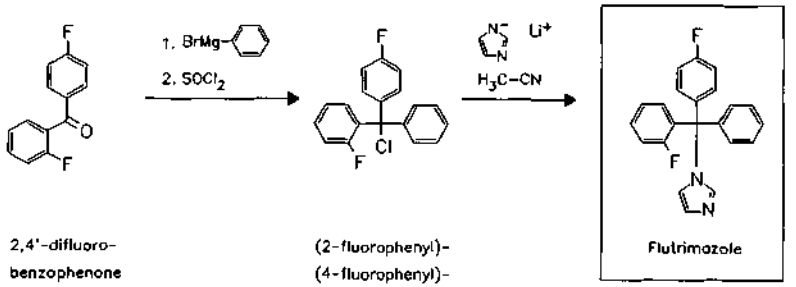
Trade Name(s):
J: Restas (Banyu; 1985)

Flutrimazole

(UR-4056)

ATC: D01A
Use: topical antifungal

RN: 119006-77-8 MF: $C_{22}H_{16}F_2N_2$ MW: 346.38
CN: 1-[(2-fluorophenyl)(4-fluorophenyl)phenylmethyl]-1*H*-imidazole



Reference(s):
EP 352 352 (J. Uriach & Cia.; appl. 31.1.1990; prior. 28.7.1988).

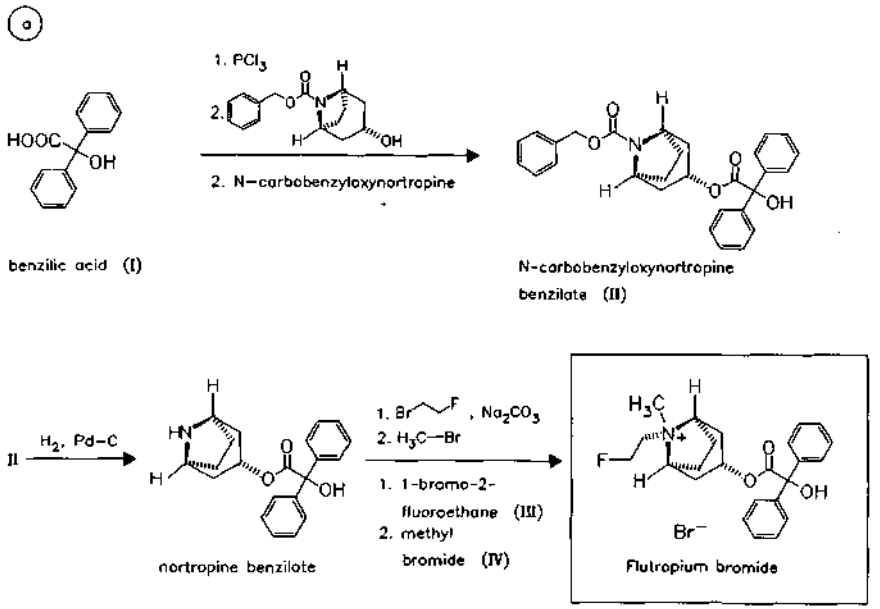
Formulation(s): cream 1 %

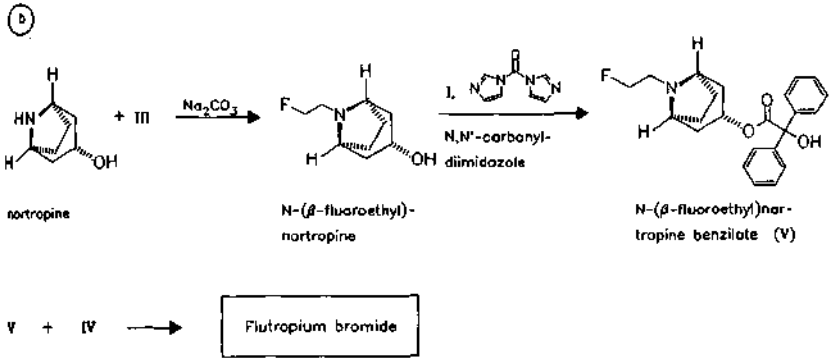
Trade Name(s):
E: Micetal (Uriach)

Flutropium bromide
(BA-598 BR)

ATC: R03BB
Use: anticholinergic, bronchodilator

RN: 63516-07-4 MF: $C_{24}H_{29}BrFNO_3$ MW: 478.40
LD_{50}: 53 mg/kg (M, i.p.); 11 mg/kg (M, i.v.); 760 mg/kg (M, p.o.); 228 mg/kg (M, s.c.); 77 mg/kg (R, i.p.); 12.5 mg/kg (R, i.v.); 740 mg/kg (R, p.o.); 615 mg/kg (R, s.c.)
CN: (*endo,syn*)-8-(2-fluoroethyl)-3-[(hydroxydiphenylacetyl)oxy]-8-methyl-8-azoniabicyclo[3.2.1]octane bromide





Reference(s):
DE 2 540 633 (Boehringer Ing.; appl. 12.9.1976).
Banholzer, R. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 1161 (1986).

synthesis of nortropine benzilate:
Bertholdt, H. et al.: Arzneim.-Forsch. (ARZNAD) **17**, 719 (1967)

Trade Name(s):
J: Flubron (S. S. Pharm.)

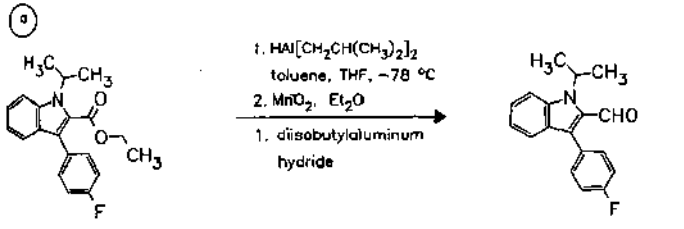
Fluvastatin sodium

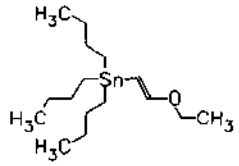
(SRI-62320; XU-62-320; XU-620)

ATC: B04AB04
Use: hyperlipidemic, HMG-CoA-reductase inhibitor

RN: 93957-55-2 MF: $C_{24}H_{25}FNNaO_4$ MW: 433.46
CN: [*R**,*S**-(*E*)]-(±)-7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1*H*-indol-2-yl]-3,5-dihydroxy-6-heptenoic acid monosodium salt

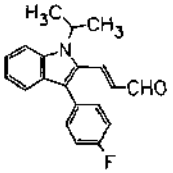
free acid
RN: 93957-54-1 MF: $C_{24}H_{26}FNO_4$ MW: 411.47



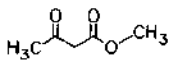
I + 

2-(tributylstannyl)vinyl ethyl ether

THF, −78 °C

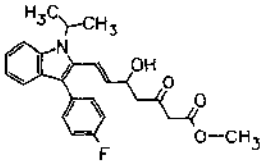


(E)-3-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]propenal (II)

II + 

methyl acetoacetate

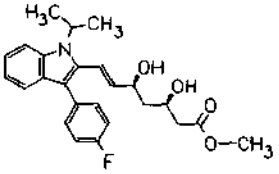
NaH, THF, −15 °C



methyl (±)-(E)-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]-5-hydroxy-3-oxohept-6-enoate (III)

III

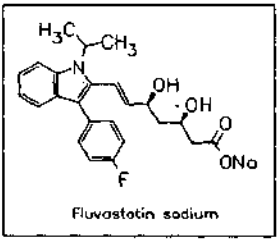
1. $(H_3C)_3C-NH_2 \cdot BH_3$, C_2H_5OH
2. separation of the diastereomers



methyl (±)-erythro-(E)-3,5-dihydroxy-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]hept-6-enoate (IV)

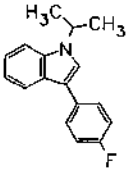
IV

NaOH, C_2H_5OH

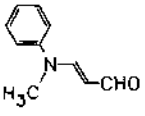


Fluvastatin sodium

(b)



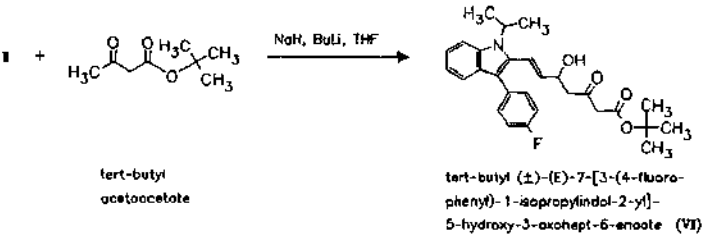
V

+ 

3-(methylphenylamino)acrolein

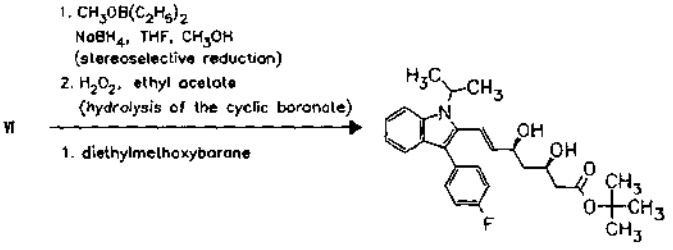
1. $POCl_3$, CH_3-CN
2. H_2O

II

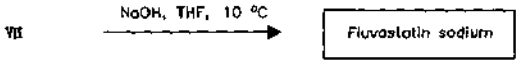


tert-butyl acetoacetate

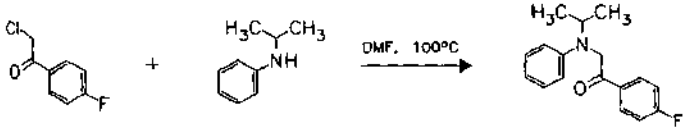
tert-butyl (±)-(E)-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]-5-hydroxy-3-oxohept-6-enoate (VI)



tert-butyl (±)-erythro-(E)-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]-3,5-dihydroxyhept-6-enoate (VII)



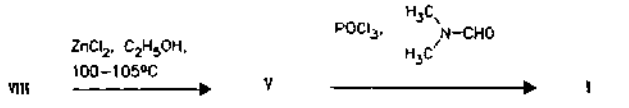
(aa) synthesis of 3-(4-fluorophenyl)-1-isopropylindole-2-carboxaldehyde (I):



α-chloro-p-fluoroacetophenone

N-isopropylaniline

(VIII)



Reference(s):

a WO 8 402 131 (Sandoz; appl. 18.11.1983; USA-prior. 22.11.1982, 4.11.1983, 4.3.1985).

aa Walkup, R.E. et al.: Tetrahedron Lett. (TELEAY) **26** (18), 2155-2158 (1985).

b EP 363 934 (Sandoz; appl. 11.10.1989; USA-prior. 13.10.1983, 22.5.1989).

composition with improved storage stability:

US 5 356 896 (Sandoz; 18.10.1994; appl. 22.12.1992; USA-prior. 12.12.1991).

oral pharmaceutical composition:

EP 547 000 (Sandoz; appl. 8.12.1992; USA-prior. 12.12.1991).

combination with squalene synthase inhibitors:

EP 482 498 (Squibb; appl. 16.10.1991; USA-prior. 19.10.1990).

EP 401 705 (Squibb; appl. 1.6.1990; USA-prior. 5.6.1989).

combination with ACE inhibitors:
EP 461 548 (Squibb; appl. 6.6.1991; USA-prior. 11.6.1990).
EP 457 514 (Squibb; appl. 10.5.1991; USA-prior. 15.5.1990).

combination with niacin *or* probucol:
EP 373 507 (Squibb; appl. 7.12.1982; USA-prior. 12.12.1988).

composition containing coenzyme Q10:
US 4 933 165 (Merck & Co.; 12.6.1990; appl. 18.1.1989; USA-prior. 18.1.1989).
US 4 929 437 (Merck & Co.; 29.5.1990; appl. 2.2.1989; USA-prior. 2.2.1989).

Formulation(s): cps. 21.06 mg, 42.12 mg

Trade Name(s):

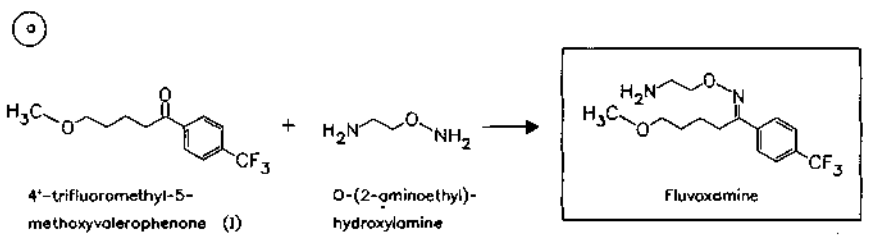
D:	Cranoc (Astra/Promed) Locol (Novartis Pharma)	F:	Fractal (Sinbio) Lescol (Novartis)	GB:	Lescol (Novartis)
				USA:	Lescol (Novartis)

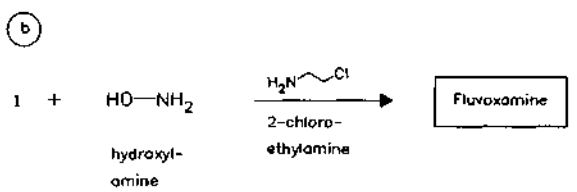
Fluvoxamine

ATC: N06AB08
Use: antidepressant

RN: 54739-18-3 MF: $C_{15}H_{21}F_3N_2O_2$ MW: 318.34
CN: (*E*)-5-methoxy-1-[4-(trifluoromethyl)phenyl]-1-pentanone *O*-(2-aminoethyl)oxime

hydrogen maleate
RN: 61718-82-9 MF: $C_{15}H_{21}F_3N_2O_2 \cdot C_4H_4O_4$ MW: 434.41





Reference(s):
DE 2 610 886 (Philips Gloeilampenfabrieken; appl. 7.10.1976; prior. 16.3.1976).
US 4 085 225 (Philips Corp.; 18.4.1978; appl. 13.3.1976; NL-prior. 20.3.1975).
NL 7 503 310 (Philips Gloeilampenfabrieken; appl. 20.3.1975).

Formulation(s): f. c. tabl. 50 mg, 100 mg; tabl. 25 mg, 50 mg, 100 mg (as hydrogen maleate)

Trade Name(s):

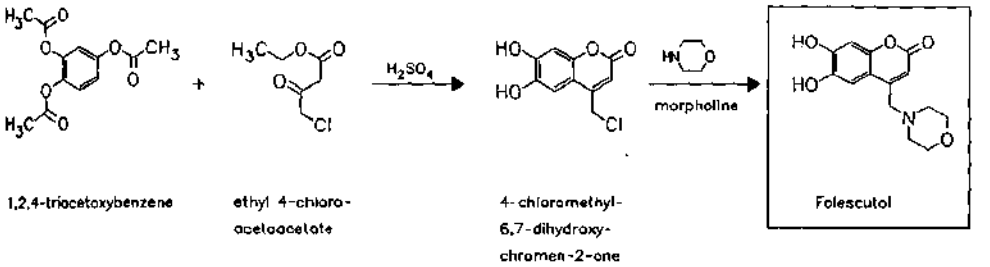
D:	Fevarin (Solvay Arzneimittel; 1984)	GB:	Faverin (Solvay; 1987)	USA:	Luvox (Solvay)
		I:	Dumirox (Upjohn)		
F:	Floxyfral (Solvay Pharma; 1986)		Fevarin (UCM)		
			Maveral (Farmades)		

Folescutol

ATC: C05C
Use: capillary therapeutic, capillary protectant

RN: 15687-22-6 MF: $C_{14}H_{15}NO_5$ MW: 277.28 EINECS: 239-783-0
CN: 6,7-dihydroxy-4-(4-morpholinylmethyl)-2*H*-1-benzopyran-2-one

hydrochloride
RN: 36002-19-4 MF: $C_{14}H_{15}NO_5 \cdot HCl$ MW: 313.74 EINECS: 252-831-5



Reference(s):
FR-M 2 035 (Lab. Dausse; appl. 29.6.1962).

Formulation(s): drg. 20 mg in comb.

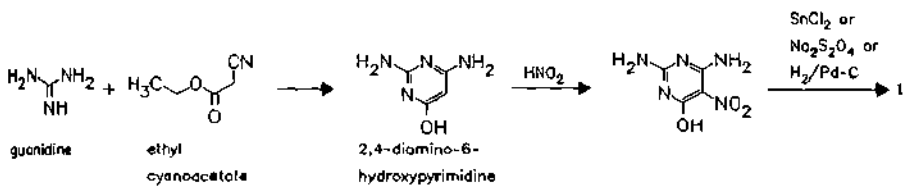
Trade Name(s):
D: Detensitral (Karlspharma)-comb.; wfm
F: Covalan (Dausse); wfm
Tensitral (Dausse)-comb.; wfm

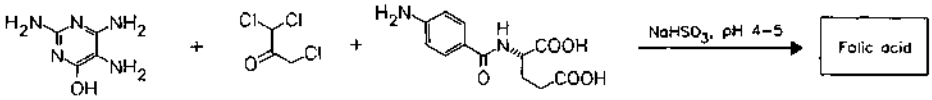
Folic acid
(Pteroylglutamic acid)

ATC: B03BB01
Use: antianemic, growth factor

RN: 59-30-3 MF: $C_{19}H_{19}N_7O_6$ MW: 441.40 EINECS: 200-419-0
LD_{50}: 282 mg/kg (M, i.v.); 10 g/kg (M, p.o.)
CN: *N*-[4-[[(2-amino-1,4-dihydro-4-oxo-6-pteridinyl)methyl]amino]benzoyl]-L-glutamic acid

monosodium salt
RN: 6484-89-5 MF: $C_{19}H_{18}N_7NaO_6$ MW: 463.39 EINECS: 229-348-3
LD_{50}: 631 mg/kg (M, i.v.);
526 mg/kg (R, i.v.)

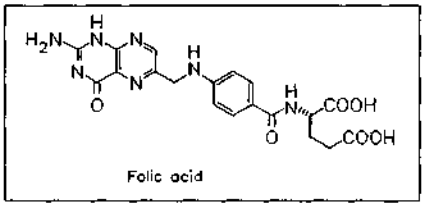


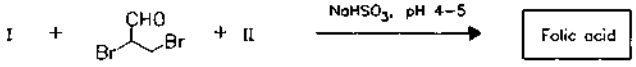


6-hydroxy-2,4,5-triaminopyrimidine (I)

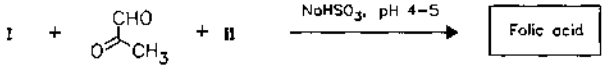
1,1,3-trichloroacetone

N-(4-aminobenzoyl)-L-glutamic acid (II)





2,3-dibromopropionaldehyde



pyruvaldehyde

Reference(s):

Wailer, C.W. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 19 (1948).
Hultquist, M.E. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 23 (1948).
Angier, R.B. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 25 (1948).
Boothe, J.H. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 27 (1948).
US 2 436 073 (American Cyanamid; 1948; appl. 1945).
US 2 442 836 (American Cyanamid; 1948; appl. 1945).
US 2 443 078 (American Cyanamid; 1948; appl. 1945).
US 2 443 165 (American Cyanamid; 1948; appl. 1946).
US 2 444 002 (American Cyanamid; 1948; appl. 1946).
US 2 472 482 (American Cyanamid; 1949; appl. 1947).
US 2 477 426 (American Cyanamid; 1949; appl. 1948).
US 2 547 501 (American Cyanamid; 1951; appl. 1946).
US 2 599 526 (American Cyanamid; 1952; appl. 1951).
US 2 719 157 (Shionogi; 1955; appl. 1951).
US 2 956 057 (Kongo Kagaku Kabushiki Kaisha; 1960; J-prior. 1955).

use of propargyl aldehyde:
US 2 766 240 (Aries Labs.; 1956; appl. 1953).

condensation with α-bromoacroleine:
US 2 476 360 (Parke Davis; 1949; appl. 1946).

alternative synthesis (*via* 2-amino-6-formyl-4-hydroxy-pteridine):
US 2 786 056 (Merck & Co.; 1957; appl. 1954).
US 2 816 109 (Merck & Co.; 1957; appl. 1954).
US 2 821 527 (Merck & Co.; 1958; appl. 1954).
US 2 821 528 (Merck & Co.; 1958; appl. 1954).
US 3 067 200 (Merck & Co.; 4.12.1962; prior. 3.5.1954, 20.2.1957).
Bieri, J.H.; Viscontini, M.: Helv. Chim. Acta (HCACAV) **56**, 2905 (1973).

synthesis via 2-amino-4-hydroxy-6-halogenomethylpteridine:
US 2 547 519 (American Cyanamid; 1951; appl. 1946).
US 2 547 520 (American Cyanamid; 1951; appl. 1946).
US 2 584 538 (American Cyanamid; 1952; appl. 1948).

improved method for synthesis of 2-amino-4-hydroxy-6-methylpteridine:
GB 1 503 476 (Lonza; appl. 6.1.1977; CH-prior. 13.1.1976).
US 4 094 874 (Lonza; 13.6.1978; CH-prior. 13.1.1976).

Formulation(s): amp. 5 mg/5 ml; tabl. 5 mg

Trade Name(s):

D: Folarell (Sanorell)
Fol-ASmedic (Dyckerhoff)
Folsan (Solvay Arzneimittel)
Folsäure Injektionslösung (Hevert)
Folverlan (Verla)
Lafol (Brenner-Efeka; LAW)
numerous combination preparations

F: Alvityl (Solvay Pharma)-comb.
Azedavit (Whitehall)-comb.
Azinc complexe (Arkopharma)-comb.
Carencyl (Riom)-comb.
Élévit Vitamine B9 (Nicholas)-comb.
Forvital (Whitehall)-comb.
Lofenalac (Bristol-Myers Squibb)-comb.
Plenyl (Oberlin)-comb.
Speciafoldine (Specia)
Vivamyne (Whitehall)-comb.

GB: Ferfolic SV (Sinclair)-comb.
Ferrograd Folic (Abbott)-comb.
Folex-350 (Shire)-comb.
Galfer F.A. (Galen)-comb.
Lexpec (Rosemont)
Meterfolic (Sinclair)-comb.
Pregaday (Evans)-comb.
Slow-Fe folic (Novartis)-comb.
numerous combination preparations

I: Combetasi (ISI; as calcium salt)-comb.
Efargen (Teofarma)-comb.
Epargriseovit (Farmitalia)-comb.
Eparmefolin (Bracco; as calcium salt)-comb.
Ferrofolin (Farmades; as calcium salt)-comb.
Ferrograd Folic (Abbott)-comb.
Ferrotre (Mediolanum)-comb.
Folina (Astra-Simes)
Folinemic (Firma; as calcium salt)-comb.
Lederfolin (Cyanamid; as calcium salt)
Oro B12 (Ripari-Gero)-comb.
Tonofolin (Zyma; as calcium salt)

J: Foliamin (Takeda)
Folical (Shionogi)

USA: Bevitamel (Westlake)
Cefol (Abbott)
Folic (Lederle)
Materna (Lederle)
various generic preparations

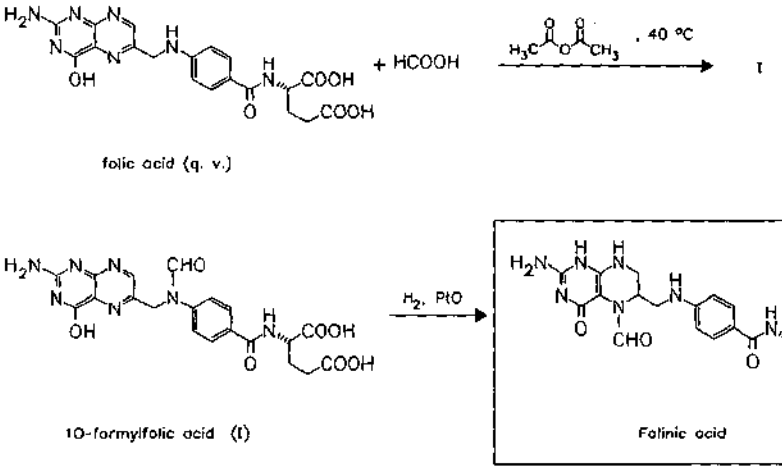
Folinic acid

(Citrovorum factor)

ATC: A04A; V03AB
Use: antianemic, growth factor, antidote (as calcium salt, at overdose of folic acid antagonists)

RN: 58-05-9 MF: $C_{20}H_{23}N_7O_7$ MW: 473.45 EINECS: 200-361-6
CN: *N*-[4-[[(2-amino-5-formyl-1,4,5,6,7,8-hexahydro-4-oxo-6-pteridinyl)methyl]amino]benzoyl]-L-glutamic acid

calcium salt (1:1) (leucovorin calcium)
RN: 1492-18-8 MF: $C_{20}H_{21}CaN_7O_7$ MW: 511.51 EINECS: 216-082-8
LD_{50}: 732 mg/kg (M, i.v.); >7 g/kg (M, p.o.); >8 g/kg (R, p.o.)
calcium salt (1:1) pentahydrate
RN: 6035-45-6 MF: $C_{20}H_{21}CaN_7O_7 \cdot 5H_2O$ MW: 601.58



Reference(s):
US 2 741 608 (Research Corp.; 1956; prior. 1950).
DOS 2 836 599 (US Department of Commerce; appl. 22.8.1978; USA-prior. 22.8.1977).
Temple, C. et al.: J. Med. Chem. (JMCMAR) **22**, 731 (1979).

Formulation(s): amp. 3 mg, 5 mg, 6 mg, 10 mg, 15 mg, 30 mg, 50 mg; cps. 5 mg; tabl. 15 mg, 25 mg (as calcium salt); amp. 1.5 mg, 3 mg, 15 mg, 30 mg, 350 mg; cps. 15 mg; powder 50 mg, 100 mg, 200 mg, 300 mg; tabl. 15 mg; vial 100 mg, 200 mg, 300 mg (as calcium salt pentahydrate)

Trade Name(s):

D: Calciumfolinat (Rhône-Poulenc); wfm
Leucovorin (Lederle); wfm
Rescuvolin (medac); wfm

F: Elvorine (Wyeth-Lederle)
Folinate de calcium (Aguettant)
Folinoral (Therabel Lucien Pharma)
Lederfoline (Wyeth-Lederle)
Osfolate (ASTA Medica)
Perfolate (ASTA Medica)

GB: Calcium Leucovorin (Lederle); wfm
Refolinon (Pharmacia & Upjohn)
Rescufolin (Nordic); wfm

I: Adinepar (Leben's)-comb.
Hepafactor (Sigma-Tau)-comb.
Rekord B12 complex (Sigma-Tau)-comb.

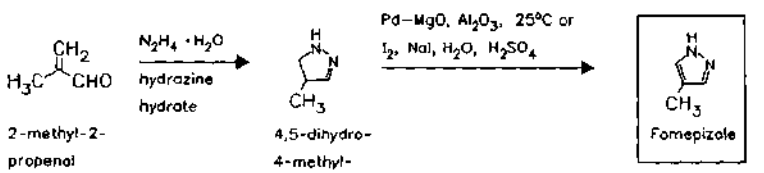
J: Leucovorin (Lederle)

USA: Calcium Leucovorin (Lederle); wfm

Fomepizole

Use: antidote for ethlene glycol, competitive inhibitor of alcohol dehydrogenase

RN: 7554-65-6 MF: $C_4H_6N_2$ MW: 82.11 EINECS: 231-445-0
CN: 4-Methyl-1*H*-pyrazole



Reference(s):
Pechmann, H.; Burkard, E.: Ber. Dtsch. Chem. Ges. (BDCGAS) **33**, 3590 (1900).
Hoyce, D.S. et al.: J. Org. Chem. (JOCEAH) **20**, 1681 (1955).
Momose, T. et al.: Heterocycles (HTCYAM) **30**, 789 (1990).
DE 4 328 228 (BASF; prior. 23.8.1993).
US 5 569 769 (BASF; 29.10.1996; D-prior. 23.8.1993).
DE 3 918 979 (BASF; prior. 10.6.1989).
EP 366 328 (Nissan Chem. Ind.; appl. 17.10.1989; J-prior. 26.10.1988).

Formulation(s): vials, 1 g/ml; 1.5 ml

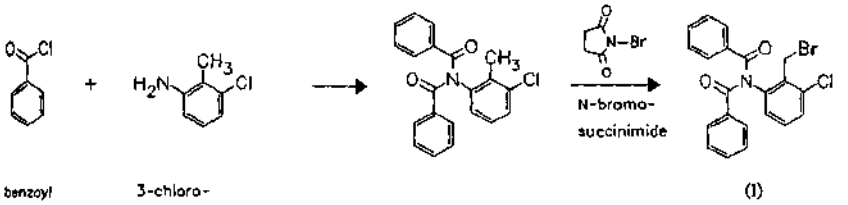
Trade Name(s):
USA: Antizol (Orphan Medical; 1998)

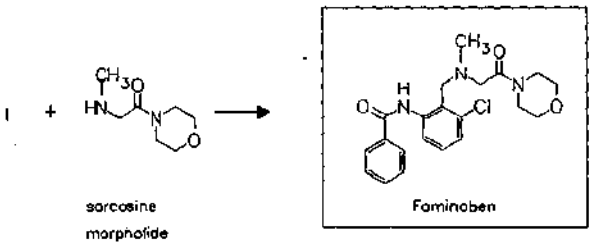
Fominoben

ATC: N06
Use: antitussive, respiratory analeptic

RN: 18053-31-1 MF: $C_{21}H_{24}ClN_3O_3$ MW: 401.89 EINECS: 241-964-4
CN: *N*-[3-chloro-2-[[methyl[2-(4-morpholinyl)-2-oxoethyl]amino]methyl]phenyl]benzamide

monohydrochloride
RN: 24600-36-0 MF: $C_{21}H_{24}ClN_3O_3 \cdot HCl$ MW: 438.36 EINECS: 246-344-7





Reference(s):
DE 1 795 259 (Thomae; appl. 13.7.1966).
Krüger, G. et al.: Arzneim.-Forsch. (ARZNAD) **23**, 290 (1973).

Formulation(s): amp. 40 mg/5 ml; drg 160 mg (as hydrochloride)

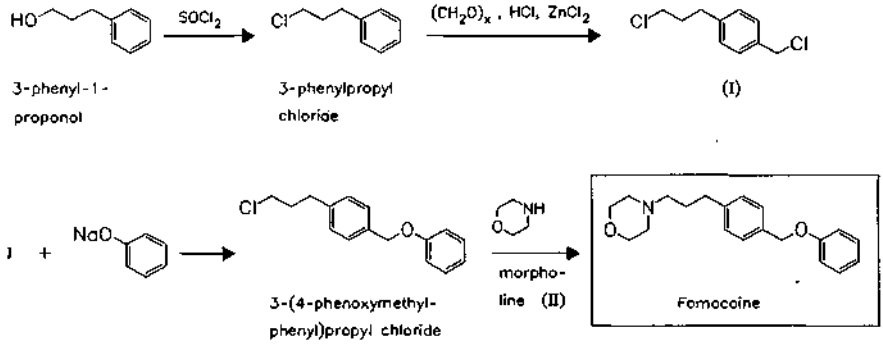
Trade Name(s):
D: Broncho-Noleptan (Thomae); wfm
Noleptan (Thomae); wfm
I: Terion (Lusofarmaco); wfm
Tussirama (Serpero); wfm

Fomocaine

ATC: R02AD
Use: local anesthetic

RN: 17692-39-6 MF: $C_{20}H_{25}NO_2$ MW: 311.43
CN: 4-[3-[4-(phenoxymethyl)phenyl]propyl]morpholine

a



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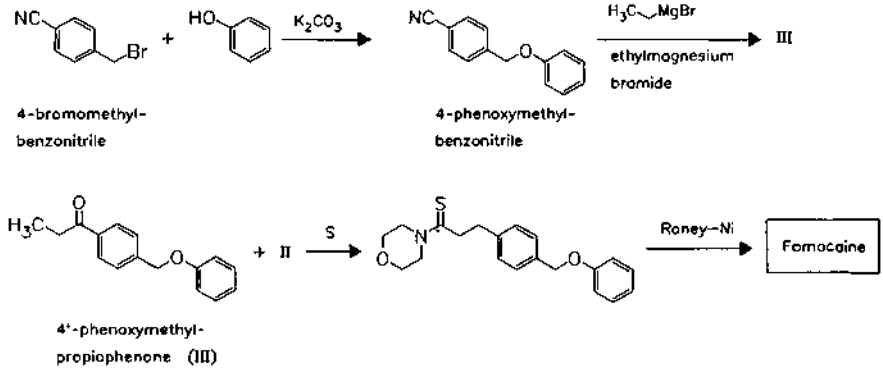


Reference(s):

a Oelschläger, H.: Arzneim.-Forsch. (ARZNAD) **9**, 313 (1959).
GB 786 128 (Promonta; appl. 15.11.1955; D-prior. 15.11.1954).
b Oelschläger, H. et al.: Arzneim.-Forsch. (ARZNAD) **27**, 1625 (1977).

pharmacology:

Nieschulz, O. et al.: Arzneim.-Forsch. (ARZNAD) **8**, 539 (1958).

Formulation(s): cream 4 g/100 g (4 %); ointment 4 g/100 g (4 %)

Trade Name(s):

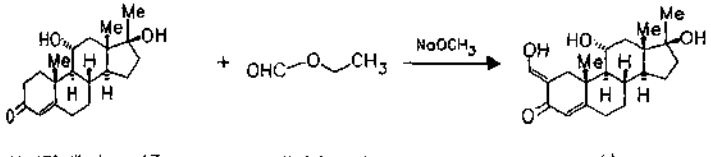
D: Brand- und Wund-Gel; Erbocain (Heilit); wfm
Herit (Engelhard)-comb.; Erboproct (Heilit)-comb.; wfm

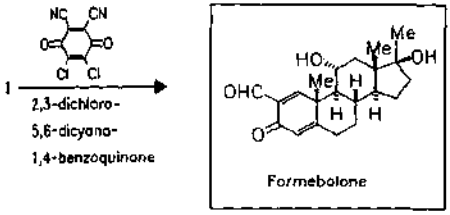
Formebolone

(Formyldienolone)

ATC: A14
Use: anabolic, anti-inflammatory

RN: 2454-11-7 MF: $C_{21}H_{28}O_4$ MW: 344.45 EINECS: 219-523-2
LD_{50}: 187 mg/kg (M, i.p.); 293 mg/kg (M, s.c.);
104 mg/kg (R, i.p.); 270 mg/kg (R, s.c.)
CN: (11α,17β)-11,17-dihydroxy-17-methyl-3-oxoandrosta-1,4-diene-2-carboxaldehyde





Reference(s):
DE 1 618 616 (LPB Braglia; appl. 8.2.1967).
GB 1 168 931 (LPB Braglia; valid from 20.1.1967).

Formulation(s): amp. 2 ml/2 ml; tabl. 5 mg

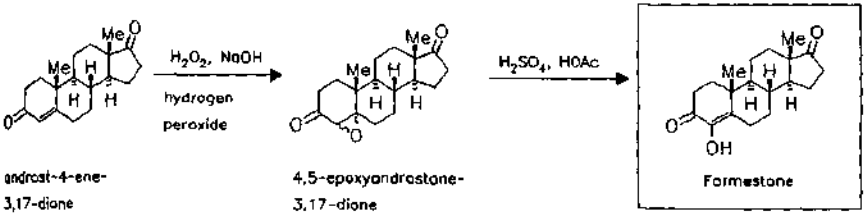
Trade Name(s):
I: Esiclene (LPB)

Formestane

(4-HAD; 4-DHA)

ATC: L02B; G03BA
Use: aromatase inhibitor (for treatment of breast cancer)

RN: 566-48-3 MF: $C_{19}H_{26}O_3$ MW: 302.41
CN: 4-hydroxyandrost-4-ene-3,17-dione



Reference(s):
Marsh, D.A. et al.: J. Med. Chem. (JMCMAR) **28**, 788 (1985).

alternative synthesis:
Mann, J.; Pietrzak B.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1983**, 2681.
Burnett, R.O.; Kirk, D.N.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1973**, 1830.
Brodil, A.M. et al.: Endocrinology (ENDOAO) **100**, 1684 (1977).

micronised formestane:
EP 346 953 (Ciba-Geigi; appl. 31.10.1985; CH-prior. 6.11.1984).

stable suspension for injection:
EP 181 287 (Ciba-Geigy; appl. 31.10.1985; CH-prior. 6.11.1984).
US 5 002 940 (Ciba-Geigy; 26.3.1991; appl. 31.10.1985; CH-prior. 6.11.1984).

medical use for treatment of breast cancer:
WO 9 010 462 (Endorecherche; appl. 9.3.1990; USA-prior. 10.3.1989).

medical use for treatment of prostate hyperplasia:
DOS 3 339 295 (Schering AG; appl. 15.11.1982).
WO 9 100 731 (Endorecherche; appl. 5.7.1990; USA-prior. 7.7.1989).

medical use for treatment of gynecomastia:
US 4 895 715 (Schering Corp.; 23.1.1990; appl. 14.4.1988).

method for inhibition of estrogen biosynthesis:
US 4 235 893 (A. M. Brodic et al.; 25.11.1980; appl. 8.5.1978).

Formulation(s): amp. 250 mg/2 ml

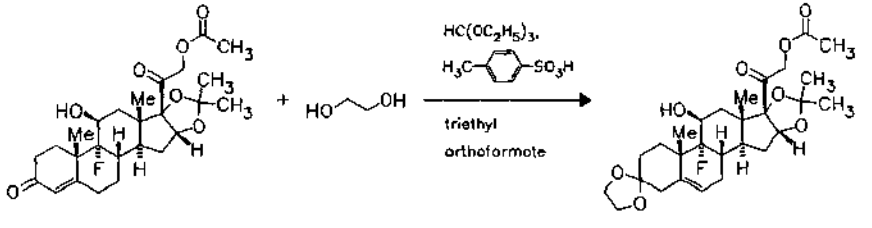
Trade Name(s):

D:	Lentaron (Novartis Pharma)	F:	Lentaron (Novartis Pharma)	GB:	Lentaron (Novartis)

Formocortal
(Formocortol)

ATC: S01BA12
Use: glucocorticoid

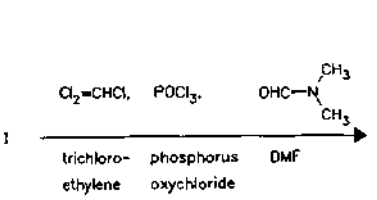
RN: 2825-60-7 MF: $C_{29}H_{38}ClFO_8$ MW: 569.07 EINECS: 220-584-2
LD_{50}: 537 mg/kg (M, i.p.); 490 mg/kg (M, s.c.)
CN: (11β,16α)-21-(acetyloxy)-3-(2-chloroethoxy)-9-fluoro-11-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]-20-oxopregna-3,5-diene-6-carboxaldehyde

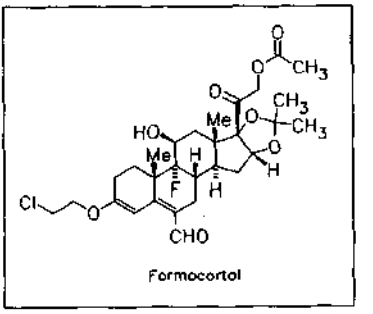


21-acetoxy-3,20-dioxo-9α-fluoro-11β-hydroxy-16α,17-isopropylidene-dioxy-4-pregnene

ethylene glycol

(I)





Reference(s):
US 3 314 945 (Societa Farmaceutici; 18.4.1967; I-prior. 15.7.1964).
Baldratti, G. et al.: Experientia (EXPEAM) **22**, 468 (1966).

starting material:
Holmund, C.E. et al.: J. Am. Chem. Soc. (JACSAT) **83**, 2586 (1961).
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1689 (1959).

Formulation(s): eye drops 0.05 %; ointment 0.05 %; susp. 0.05 %

Trade Name(s):

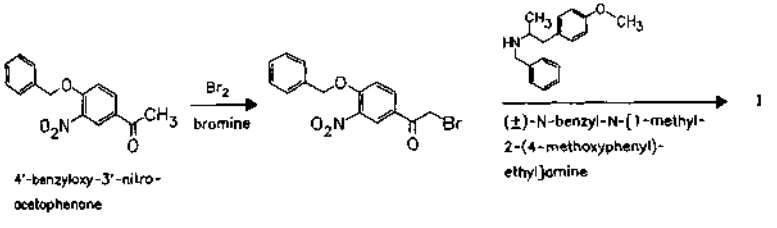
D:	Deidral S (Montedison)-comb.; wfm		Deflamene (Farmitalia); wfm		Formomicin (Farmigea)-comb. with gentamycin
GB:	Deflamene (Carlo Erba); wfm	I:	Formoftil (Farmigea)		

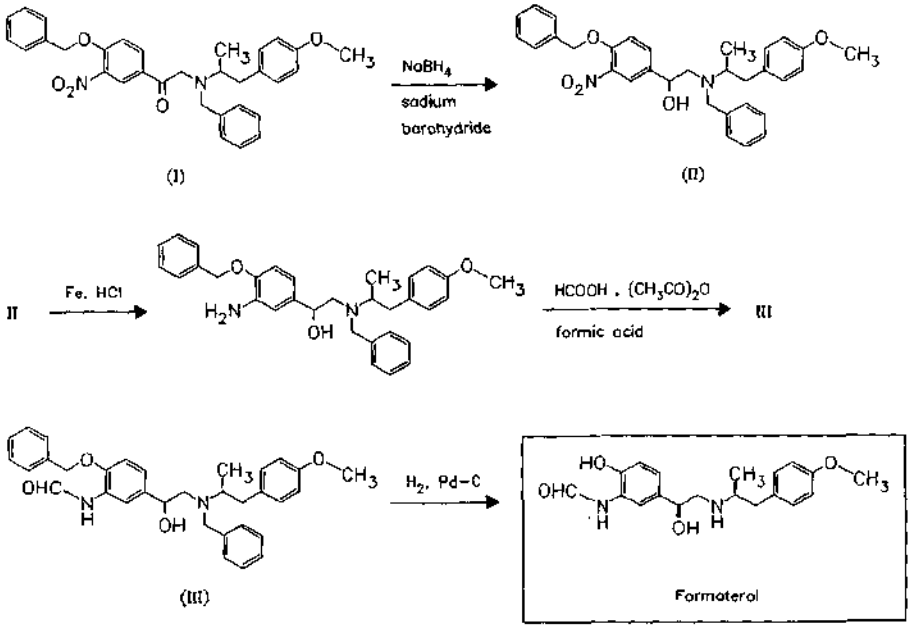
Formoterol

ATC: R03AC13; R03CC
Use: selective β_2-adrenoceptor agonist

RN: 73573-87-2 MF: $C_{19}H_{24}N_2O_4$ MW: 344.41
LD_{50}: 71 mg/kg (M, i.v.); 8310 mg/kg (Mf, p.o.); 6700 mg/kg (Mm, p.o.); 98-100 mg/kg (R, i.v.)
CN: (*R**,*R**)-(±)-*N*-[2-hydroxy-5-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1-methylethyl]amino]ethyl]phenyl]formamide

fumarate (2:1)
RN: 43229-80-7 MF: $C_{19}H_{24}N_2O_4 \cdot 1/2C_4H_4O_4$ MW: 804.89
fumarate dihydrate
RN: 183814-30-4 MF: $C_{19}H_{24}N_2O_4 \cdot 1/2C_4H_4O_4 \cdot 2H_2O$ MW: 840.92





Reference(s):
US 3 994 974 (Yamanouchi; 30.11.1976; prior. 22.1.1973).
DOS 2 305 092 (Yamanouchi; appl. 2.2.1973; J-prior. 5.2.1972).
Hett, R. et al.: Org. Process Res. Dev. (OPRDFK) **2** 96 (1998).

preparation of enantiomers from (+)- *or* (–)-1-methyl-2-phenylethylamine:
Kibura, R.; Nakahara, Y.: Biol. Pharm. Bull. (BPBLEO) **18** (12), 1694 (1995).
Glennon, R.A.; Smith, J.D.; Ismaiel, A.M.; Ashmawy, M.; Bataglia, G.; Fisher, J.B.: J. Med. Chem. (JMCMAR) **34** (3), 1094 (1991).
Kerwin et al.: J. Am. Chem. Soc. (JACSAT) **72**, 3983, 3986 (1950).

preparation of 4'-benyloxy-3'-nitroacetophenone:
Meglio, P. de; Ravenna, F.; Gentili, P.; Manzardo, S., Riva, M.: Pharmaco, Ed. Sci. (FRPSAX) **38** (12), 998 (1983).
Oelschlaeger et al.: Arch. Pharm: Ber. Dtsch. Pharm. Ges. (APBDAJ) **296**, 107 (1963).

preparation of N-benzyl-*N*-[1-methyl-2-(4-methoxyphenyl)ethyl]amine:
Woodruff; Lambooy; Bust: J. Am. Chem. Soc. (JACSAT) **62**, 922 (1940).
FR 844 228 (Temmler-Werke; 1938).

alternative syntheses:
JP 7 512 040 (Yamanouchi; appl. 31.5.1973).
JP 81 115 751 (Yamanouchi; appl. 11.6.1980).

Formulation(s): cps. for inhalation 12 µg (as fumarate dihydrate); powder inhaler 6 µg/puff, 12 µg/puff

Trade Name(s):

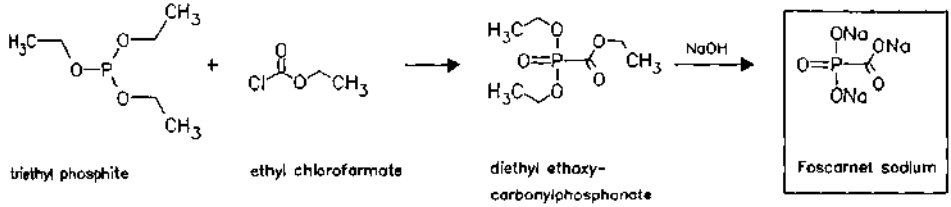
D:	Oxis Turbohaler (Astra/ pharma-stern)	F:	Foradil P (Novartis) Foradil (Novartis)	J:	Atock (Yamanouchi; 1986)

Foscarnet sodium

ATC: J05
Use: antiviral (for treatment of CMV retinitis)

RN: 63585-09-1 MF: CNa_3O_5P MW: 191.95
LD_{50}: 384 mg/kg (M, i.p.)
CN: dihydroxyphosphinecarboxylic acid oxide trisodium salt

hexahydrate
RN: 34156-56-4 MF: $CNa_3O_5P \cdot 6H_2O$ MW: 300.04



Reference(s):
ES 541 567 (Esp. Latinas Med. Universales; appl. 26.3.1985).
ES 556 513 (Lab. Esp. Farm. Centrum; appl. 24.6.1986).
CS 253 848 (V. Zikan, F. Roubinek; appl. 18.7.1986).
Nylen, P.: Ber. Dtsch. Chem. Ges. (BDCGAS) **57b**, 1023 (1924).

synthesis and use for regulation of plant growth:
US 4 018 854 (Du Pont; 19.4.1977; prior. 25.6.1975, 30.5.1974, 23.7.1973).
DOS 2 435 407 (Du Pont; appl. 23.7.1974; USA-prior. 23.7.1973, 17.9.1973, 30.5.1974).

medical use for treatment of virus infections:
US 4 339 445 (Astra; 13.7.1982; appl. 21.12.1978; S-prior. 1.7.1976).

Formulation(s): cream 2 g/100 g; vial 6 g (24 mg/ml) (hexahydrate)

Trade Name(s):

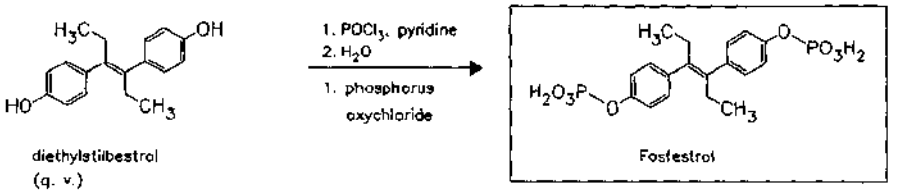
D:	Foscavir (Astra)	F:	Foscavir (Astra)		Virudin (Bracco)
	Triapten Antiviralcreme (LAW/Wyeth)	GB:	Foscavir (Astra; 1990)	USA:	Foscavir (Astra)
		I:	Foscavir (Astra-Simes)		

Fosfestrol
(Diethylstilbestrol diphosphate)

ATC: L02AA04
Use: antineoplastic

RN: 522-40-7 MF: $C_{18}H_{22}O_8P_2$ MW: 428.31 EINECS: 208-328-8
LD_{50}: 630 mg/kg (M, i.v.); 2 g/kg (M, p.o.);
425 mg/kg (R, i.v.); 3 g/kg (R, p.o.)
CN: (*E*)-4,4'-(1,2-diethyl-1,2-ethenediyl)bis[phenol] bis(dihydrogen phosphate)

tetrasodium salt
RN: 23519-26-8 MF: $C_{18}H_{22}O_8P_2 \cdot xNa$ MW: unspecified



Reference(s):
US 2 234 311 (Ciba; 1941; CH-prior. 1938).
US 2 802 854 (ASTA-Werke; 1957; D-prior. 1952).
US 2 971 975 (Miles Labs.; 14.2.1961; appl. 30.8.1955).

Formulation(s): amp. 60 mg/5 ml; tabl. 120 mg (as tetrasodium salt)

Trade Name(s):

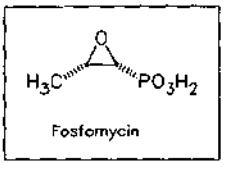
D:	Honvan (ASTA Medica AWD)	I:	Honvan (ASTA Medica)		Stilphostrol (Miles Pharm.); wfm generic
F:	ST-52 (ASTA Medica)	J:	Honvan (Kyorin)		
GB:	Honvan (ASTA Medica)	USA:	Stilphostrol (Dome); wfm		

Fosfomycin
(Phosphonomycin)

ATC: J01XX01
Use: antibiotic

RN: 23155-02-4 MF: $C_3H_7O_4P$ MW: 138.06 EINECS: 245-463-1
LD_{50}: 4 g/kg (M, i.p.)
CN: (2*R-cis*)-(3-methyloxiranyl)phosphonic acid

calcium salt (1:1)
RN: 26016-98-8 MF: $C_3H_5CaO_4P$ MW: 176.12 EINECS: 247-408-7
LD_{50}: >3.5 g/kg (M, p.o.);
>7 g/kg (R, p.o.)
disodium salt
RN: 26016-99-9 MF: $C_3H_5Na_2O_4P$ MW: 182.02
trometamol salt
RN: 78964-85-9 MF: $C_3H_7O_4P \cdot C_4H_{11}NO_3$ MW: 259.20



From fermentation solutions of *Streptomyces fradiae* (ATCC 21096).

Reference(s):
Hendlin et al.: Science (Washington, D.C.) (SCIEAS) **166**, 122 (1969).
BE 718 507 (Merck & Co., appl. 29.10.1968; USA-prior. 25.7.1967, 30.10.1967, 9.5.1968, 2.10.1968, 25.10.1968).

synthesis and separation of isomers:
BE 723 072 (Merck & Co., appl. 24.7.1968; USA-prior. 30.10.1967, 15.5.1968).
BE 723 073 (Merck & Co., appl. 29.10.1968; USA-prior. 30.10.1967, 15.5.1968, 30.8.1968).
Glamkowski, E.J. et al.: J. Org. Chem. (JOCEAH) **35**, 3510 (1970).

Formulation(s): cps. 1 g; vial (lyo.) 2640 mg, 3960 mg, 6600 mg (as sodium salt); gran. 5.631 g/8 g (as trometamol); tabl. 1 g (as calcium salt); tabl. 1 g (as calcium salt monohydrate)

Trade Name(s):

D: Fosfocin pro infusione (Boehringer Mannh.)
Monuril (Madaus)

F: Fosfocine (Sanofi Winthrop)
Monuril (Zambon)
Uridoz (Therabel Lucien Pharma)

I: Afos (Salus Research)
Biocin (Ibirn)
Biofos (Leben's)
Faremicin (Lafare)
Foce (Medici)-comb.
Fonofos (Pulitzer)
Fosfobiotic (Bergamon)
Fosfocin (Crinos)
Fosfogram (Firma)
Fosfolexin (Lifepharma)-comb.
Fosforal (Farmasister)
Foximin (Caber)
Francital (Francia Farm.)
Ipamicina (IPA)
Lancetina (Farma Uno)
Lofoxin (Locatelli)
Monuril (Zambon Italia)
Neofocin (Medici)
Priomicina (San Carlo)
Ultramicina (Lisapharma)
Vastocin (Coli)

J: Fosmicin S (Meiji Seika)

USA: Fosfocina (Merck Sharp & Dohme); wfm

Fosinopril

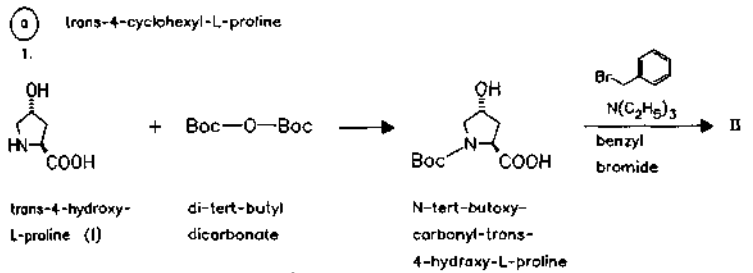
(Fosenopril; SQ-28555)

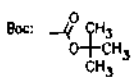
ATC: C09AA09
Use: antihypertensive (ACE inhibitor)

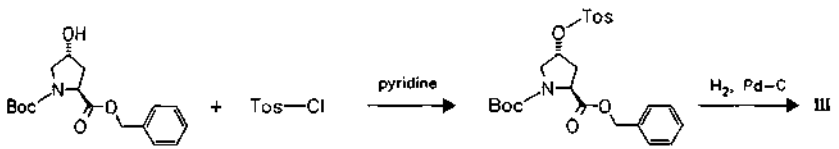
RN: 98048-97-6 MF: $C_{30}H_{46}NO_7P$ MW: 563.67
CN: [1[*S**(*R**)],2α,4β]-4-cyclohexyl-1-[[[2-methyl-1-(1-oxopropoxy)propoxy](4-phenylbutyl)phosphinyl]acetyl]-L-proline

sodium salt

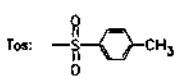
RN: 88889-14-9 MF: $C_{30}H_{45}NNaO_7P$ MW: 585.65

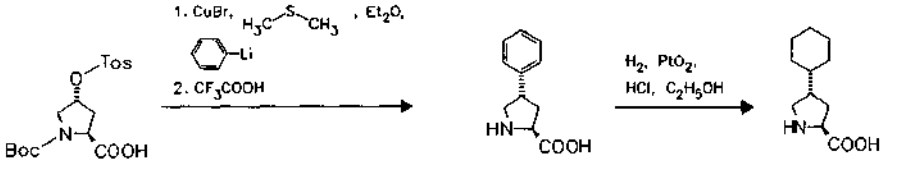






(II)



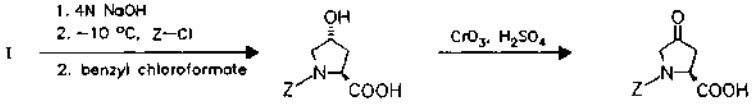


N-tert-butoxy-carbonyl-trans-4-tosyloxy-L-proline (III)

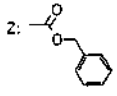
trans-4-phenyl-L-proline (IV)

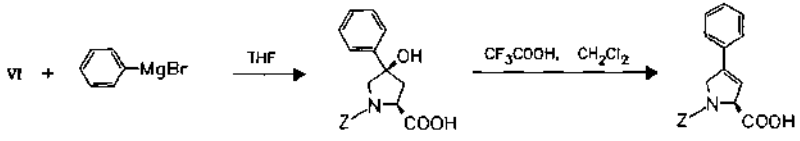
trans-4-cyclohexyl-L-proline (V)

2. alternative route

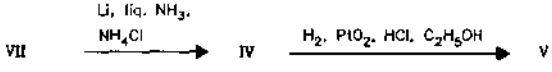


N-benzyloxy-carbonyl-4-oxo-L-proline (VI)

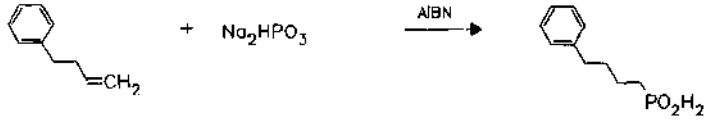




N-benzyloxycarbonyl-3,4-didehydro-4-phenyl-L-proline (VII)



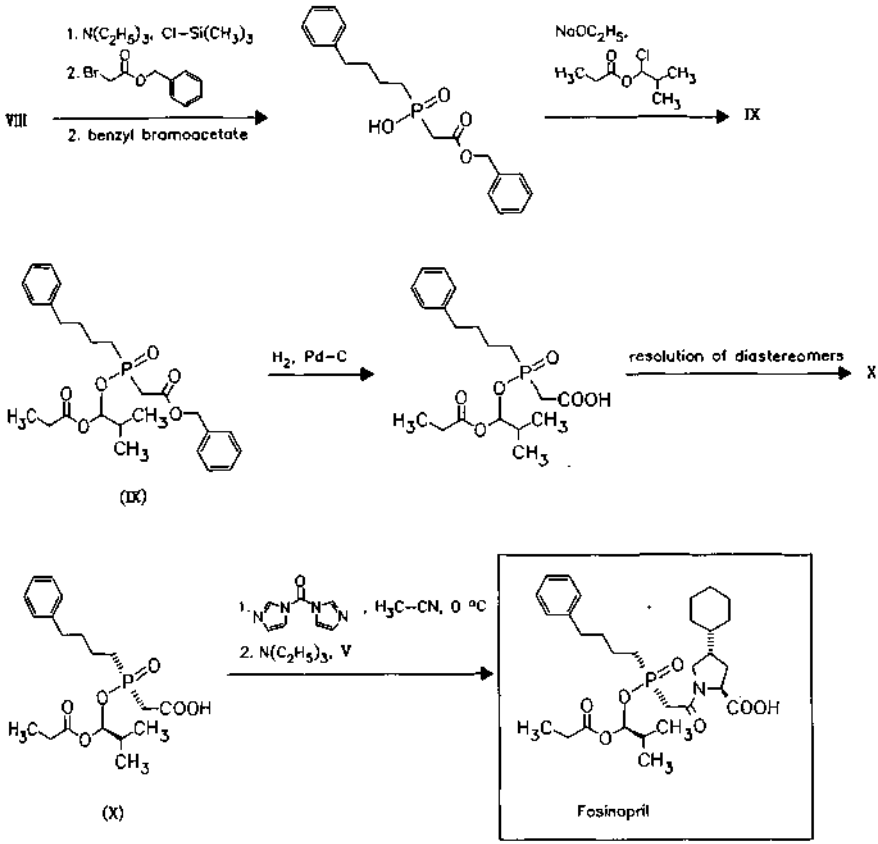
(b)



4-phenyl-1-butene

sodium phosphite

4-phenylbutyl-phosphonous acid (VIII)



Reference(s):

a1) DE 3 434 121 (Squibb; appl. 17.9.1984; USA-prior. 19.9.1983).
Thottathil, J.K. et al.: Tetrahedron Lett. (TELEAY) **27**, 151 (1986).
similar process:
US 4 912 231 (Squibb; 27.3.1990; prior. 15.6.1987, 17.6.1988).

a2) Krapcho, J. et al.: J. Med. Chem. (JMCMAR) **31**, 1148 (1988).
alternative route from L-pyroglutamic acid:
Thottathil, J.K. et al.: J. Org. Chem. (JOCEAH) **51**, 3140 (1986).
US 4 588 819 (Squibb; 13.5.1986; appl. 19.11.1984).
EP 183 390 (Squibb; appl. 25.10.1985; USA-prior. 19.11.1984).

b US 4 337 201 (Squibb & Sons; appl. 16.6.1982; USA-prior. 4.2.1980).
Krapcho, J. et al.: J. Med. Chem. (JMCMAR) **31**, 1148 (1988).

Formulation(s): tabl. 5 mg, 10 mg, 20 mg, 40 mg (as sodium salt)

Trade Name(s):

D: Dynacil (Schwarz/Sanol)
Fasinorm (Bristol-Myers Squibb)
Flucidine (Boehringer Ing.; Leo)
Fucithalmic (Alcon)

F: Fozirétic (Lipha Santé)-comb.
Fozitec (Lipha Santé)

GB: Staril (Bristol-Myers Squibb)

I: Eliten (Bristol-Myers Squibb)
Fosipress (Menarini)
Tensogard (Bristol It. Sud)

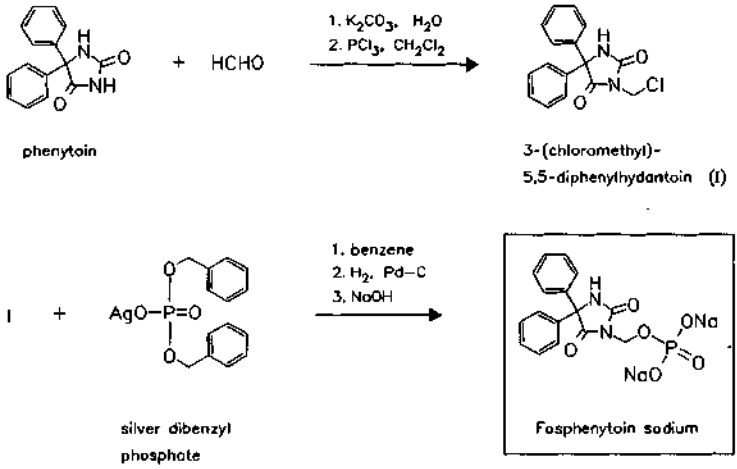
USA: Monopril (Bristol-Myers Squibb)

Fosphenytoin sodium

(ACC 9653; CI-982)

ATC: N03AB
Use: anticonvulsant, prodrug of phenytoin

RN: 92134-98-0 MF: $C_{16}H_{13}N_2Na_2O_6P$ MW: 406.24
CN: 5,5-diphenyl-3-[(phosphonooxy)methyl]-2,4-imidazolidinedione disodium salt



Reference(s):
Varia, S.A. et al.: J. Pharm. Sci. (JPMSAE) **73**(8), 1068 (1984).

stable injection formulation:
US 4 925 866 (Du Pont; appl. 25.5.1989; USA-prior. 25.5.1989).

use for treatment of stroke:
EP 427 925 (Warner-Lambert; appl. 8.8.1990; USA-prior. 10.8.1989, 25.6.1990).

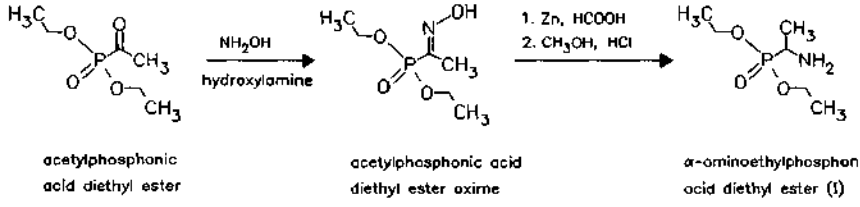
Formulation(s): amp. 50 mg/ml

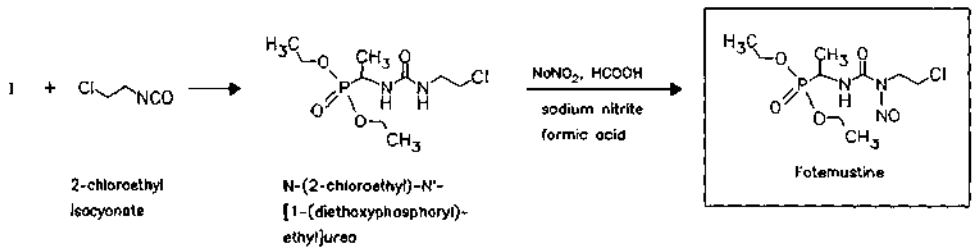
Trade Name(s):
USA: Cerebyx (Parke Davis)

Fotemustine

ATC: L01AD05
Use: antineoplastic, alkylating nitrosourea derivative

RN: 92118-27-9 MF: $C_9H_{19}ClN_3O_5P$ MW: 315.69
LD_{50}: 60 mg/kg (M, i.p.)
CN: [1-[[[(2-chloroethyl)nitrosoamino]carbonyl]amino]ethyl]phosphonic acid diethyl ester





2-chloroethyl isocyonate

N-(2-chloroethyl)-N'-[1-(diethoxyphosphoryl)-ethyl]urea

Reference(s):
EP 117 959 (ADIR; appl. 16.11.1983; F-prior. 17.11.1982).
US 4 567 169 (ADIR; 28.1.1986; F-prior. 17.11.1982).

synthesis of α-aminoethylphosphonic acid diethyl ester:
Berlin, K.D. et al.: J. Org. Chem. (JOCEAH) **33**, 3090 (1968).
Kowalik, J.; Mastalerz, P.: Synthesis (SYNTBF) **1981**, 57.
Oleksyszyn, J.; Tyka, R.: Tetrahedron Lett. (TELEAY) **22**, 2823 (1977).

Formulation(s): amp. 208 mg

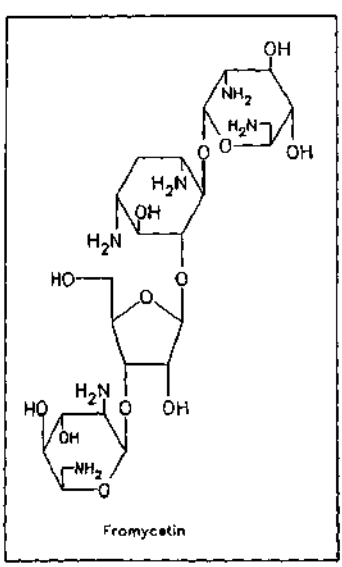
Trade Name(s):
F: Muphoran (Servier; 1990)

Framycetin
(Neomycin B)

ATC: R01AX08
Use: antibiotic

RN: 119-04-0 MF: $C_{23}H_{46}N_6O_{13}$ MW: 614.65 EINECS: 204-292-2
CN: *O*-2,6-diamino-2,6-dideoxy-α-D-glucopyranosyl(1→4)-*O*-[*O*-2,6-diamino-2,6-dideoxy-β-L-idopyranosyl-(1→3)-β-D-ribofuranosyl-(1→5)]-2-deoxy-D-streptamine

sulfate (1:3)
RN: 4146-30-9 MF: $C_{23}H_{46}N_6O_{13} \cdot 3H_2SO_4$ MW: 908.88 EINECS: 223-969-3



From fermentation solutions of *Streptomyces fradiae*.

Reference(s):
US 2 799 620 (Rutgers Res. Found.; 16.7.1957; prior. 29.6.1956).

purification:
US 2 848 365 (Upjohn; 1958; appl. 1950).
US 3 005 815 (Merck & Co.; 24.10.1961; prior. 1955, 1957).
US 3 022 228 (S. B. Penick; 20.2.1962; appl. 19.1.1960).
US 3 108 996 (Upjohn; 29.10.1963; appl. 30.7.1962).

Formulation(s): cream 0.5 %; drops 1.25 %; eye drops 0.5 %; ointment 20 mg/g; powder 20 mg/g; spray 500 mg/203.5 g; tabl. 250 mg (as sulfate)

Trade Name(s):

D: Leukase (SmithKline Beecham)
Sofra Tüll (Albert-Roussel, Hoechst)

F: Néomycine Diamant (Diamant)-comb.
and more than 50 combination preparations

GB: Sofradex (Florizel)-comb.
Soframycin (Hoechst)-comb.
Sofra-Tulle (Hoechst; as sulfate)

I: Cheliboldo (Terapeutico)-comb.
Crisolax (Lifepharma)-comb.
Sofra-tulle (Roussel)

J: Dexmy (Takeda)
Fradio (Nippon Kayaku)

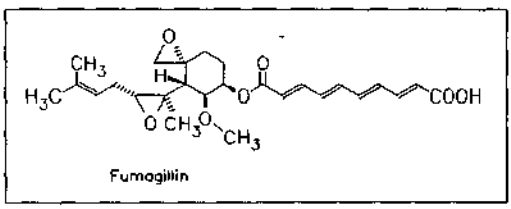
USA: Coly-Mycin (Parke Davis)-comb.
Cortisporin (Monarch; as sulfate)
Lazersporin-C (Pedinol; as sulfate)
NeoDecadron (Merck; as sulfate)
Neomycin Sulfate (Roxane)
Neomycin Sulfate (Teva)
Neosporin (Glaxo Wellcome; as sulfate)
Neosporin (Warner-Lambert)

Fumagillin

ATC: D06A
Use: antibiotic

RN: 23110-15-8 MF: $C_{26}H_{34}O_7$ MW: 458.55 EINECS: 245-433-8
LD_{50}: 2 g/kg (M, p.o.)
CN: [3*R*-[3α,4α(2*R**,3*R**),5β,6β(*all-E*)]]-2,4,6,8-decatetraenedioic acid mono[5-methoxy-4-[2-methyl-3-(3-methyl-2-butenyl)oxiranyl]-1-oxaspiro[2.5]oct-6-yl] ester

dicyclohexylammonium salt (1:1)
RN: 41567-78-6 MF: $C_{26}H_{34}O_7 \cdot C_{12}H_{23}N$ MW: 639.87



Fumagillin

From fermentation solutions of *Aspergillus fumigatus*.

Reference(s):
US 2 803 586 (Abbott; 1957; prior. 1953).

purification:
Tarbell, D.S. et al.: J. Am. Chem. Soc. (JACSAT) 77, 5613 (1955).

structure and stereochemistry:
Chapman, D.D. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 1009 (1960).
Chapman, D.D. et al.: J. Am. Chem. Soc. (JACSAT) **83**, 3096 (1961).
Tarbell, D.S. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 5610 (1955).
McCorkindale, N.J.; Sime, J.G.: Proc. Chem. Soc., London (PCSLAW) **1961**, 331.
Turner; Tarbell, D.S.: Proc. Natl. Acad. Sci. USA (PNASA6) **48**, 733 (1962).

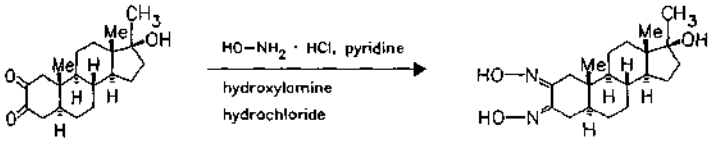
total synthesis:
Corey, E.J.; Snider, B.B.: J. Am. Chem. Soc. (JACSAT) **94**, 2549 (1972).

Trade Name(s):
USA: Fugillin (Upjohn); wfm Fumidil (Abbott); wfm

Furazabol

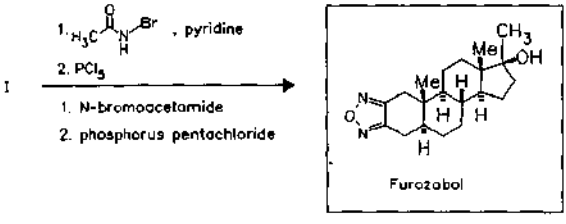
ATC: A14A
Use: anabolic

RN: 1239-29-8 MF: $C_{20}H_{30}N_2O_2$ MW: 330.47 EINECS: 214-983-0
LD_{50}: 1731 mg/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: (5α,17β)-17-methylandrostano[2,3-c][1,2,5]oxadiazol-17-ol



2,3-dioxo-17β-hydroxy-17-methyl-5α-androstane

(I)



Reference(s):
US 3 415 818 (Sterling; 10.12.1968; appl. 8.7.1965).

further method:
US 3 245 988 (Daiichi; 12.4.1966; J-prior. 10.4.1963, 15.7.1963, 5.12.1963, 12.2.1964).

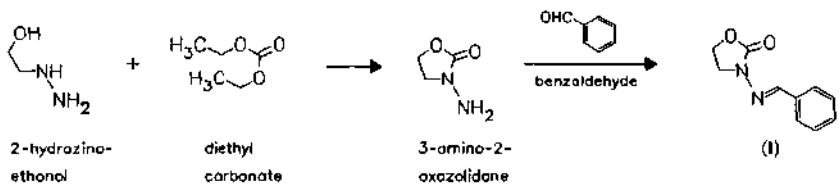
Formulation(s): tabl. 1 mg

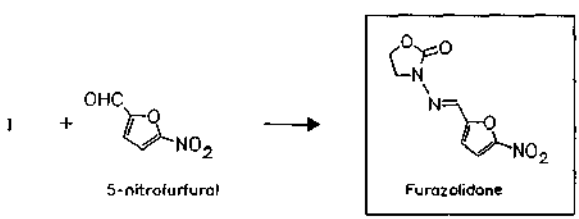
Trade Name(s):
J: Miotolon (Daiichi Seiyaku)

Furazolidone

ATC: G01AX06
Use: topical anti-infective, topical antiprotozoal, chemotherapeutic (trichomonas)

RN: 67-45-8 MF: $C_8H_7N_3O_5$ MW: 225.16 EINECS: 200-653-3
LD_{50}: 1782 mg/kg (M, p.o.);
2336 mg/kg (R, p.o.)
CN: 3-[[(5-nitro-2-furanyl)methylene]amino]-2-oxazolidinone





Reference(s):
US 2 759 931 (Norwich Pharm. Co.; 1956; prior. 1953).
US 2 927 110 (Norwich Pharm. Co.; 1.3.1960; prior. 23.1.1958).

Formulation(s): liquid 50 mg/15 ml; tabl. 100 mg

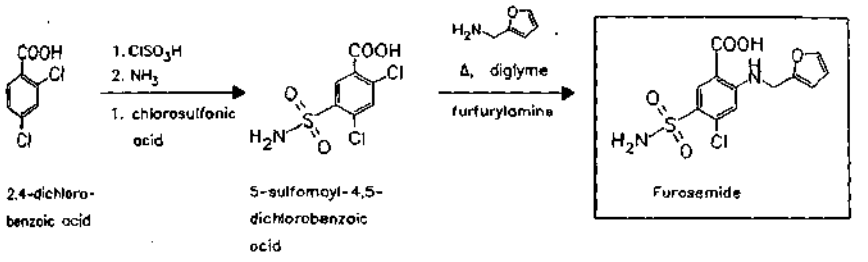
Trade Name(s):

F:	Furoxane (Oberval); wfm	Furoxone (Formenti)	J:	Ginvel (Fujita)	
	Tricofuron (Oberval); wfm	Ginecofuran (Crosara)-comb.		Medaron (Yamanouchi)	
GB:	Furoxone (Eaton); wfm			Purazolin T (Hokuriku)	
I:	Furadone (Vebi)	Tricofur (Formenti)-comb.	USA:	Furoxone (Roberts)	

Furosemide
(Frusemide)

ATC: C03CA01
Use: diuretic

RN: 54-31-9 MF: $C_{12}H_{11}ClN_2O_5S$ MW: 330.75 EINECS: 200-203-6
LD_{50}: 308 mg/kg (M, i.v.); 2 g/kg (M, p.o.);
800 mg/kg (R, i.v.); 2600 mg/kg (R, p.o.);
>400 mg/kg (dog, i.v.); 2 g/kg (dog, p.o.)
CN: 5-(aminosulfonyl)-4-chloro-2-[(2-furanylmethyl)amino]benzoic acid



Reference(s):
US 3 058 882 (Hoechst; 16.10.1962; D-prior. 28.12.1959).
DE 1 122 541 (Hoechst; appl. 28.12.1959).

alternative syntheses:
DE 1 213 846 (Hoechst; appl. 13.4.1963).
DE 1 220 436 (Hoechst; appl. 21.10.1964).
DE 1 277 860 (Hoechst; appl. 4.5.1966).
DE 1 295 566 (Hoechst; appl. 23.3.1968).
DAS 1 806 581 (Hoechst; appl. 2.11.1968).

review:
Sturm, K. et al.: Chem. Ber. (CHBEAM) **99**, 328 (1966).

Formulation(s): amp. 80 mg, 500 mg; s. r. cps. 30 mg, 60 mg, 120 mg; sol. 20 mg, 40 mg, 50 mg, 250 mg (as sodium salt); tabl. 20 mg, 25 mg, 40 mg

Trade Name(s):

D:
- Diurapid (Jenapharm)
- durafurid (durachemie)
- Furanthril (medphano)
- Furesis (Bristol-Myers Squibb)-comb.
- furo (ct-Arzneimittel)
- Furo-Puren (Klinge-Nattermann Puren)
- Furorese (Hexal)
- Furosemid (ratiopharm; Riker; Stadapharm)
- Fusid (GRY)
- Hydro-Rapid-Tablinen (Sanorania)
- Lasix (Hoechst)
- Ödemase (Azupharma)
- Osyrol (Hoechst)-comb.
- Sigasalur (Siegfried)

GB:
- generic and combination preparations
- Lasix (Hoechst)
- numerous combination preparations

F:
- Aldalix (Monsanto)-comb.
- Furosémide (Biogalénique)
- Lasilix (Hoechst)
- Logirène (Pharmacia & Upjohn)-comb.

I:
- Fluss (Roussel)-comb.
- Lasitone (Hoechst Italia Sud)-comb.
- Lasix (Hoechst)
- Lasix Reserpin (Hoechst)-comb.
- Spirofur (Lepetit)-comb.
- generics

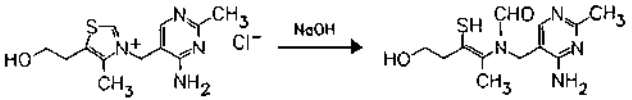
J:
- Accent (Toyama)
- Arasemide (Arakawa)
- Diusemide (Nakataki)
- Diuzol (Wakamoto)
- Franyl (Seiko Eiyo)
- Fuluvamide (Kanto)
- Furfan (Nippon Roussel-Chugai)
- Kutrix (Kyowa)
- Lasix (Hoechst)
- Lowpston (Maruko)
- Polysquall A (Tokyo Hosei)
- Profemin (Toa Eiyo-Yamanouchi)
- Protargen (Ohta)
- Radonna (Nippon Kayaku)
- Rasisemid (Kodama)
- Urex (Mochida)

USA:
- Lasix (Hoechst Marion Roussel)

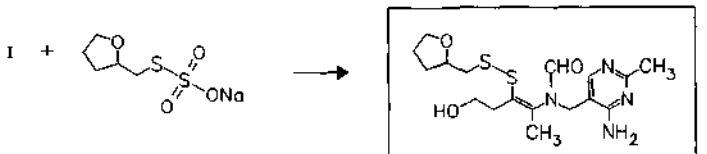
Fursultiamine

ATC: A11
Use: neurotropic analgesic

RN: 804-30-8 MF: $C_{17}H_{26}N_4O_3S_2$ MW: 398.55 EINECS: 212-357-1
LD_{50}: 430 mg/kg (M, i.v.); 2200 mg/kg (M, p.o.); 2200 mg/kg (R, p.o.)
CN: *N*-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-*N*-[4-hydroxy-1-methyl-2-[[(tetrahydro-2-furanyl)methyl]dithio]-1-butenyl]formamide



thiamine (I)



sodium S-tetrahydrofurfuryl thiosulfate

Fursultiamine

Reference(s):
US 3 016 380 (Takeda; 9.1.1962; J-prior. 16.8.1957).

Formulation(s): amp. 5 mg/ml; drg. 50 mg; tabl. 5 mg, 25 mg, 50 mg

Trade Name(s):

D: Dolo-judolor (Woelm)-comb.; wfm
judolor-Dragees (ICN); · wfm
judolor Dragees (Woelm); wfm

J: Alinamin F (Takeda)

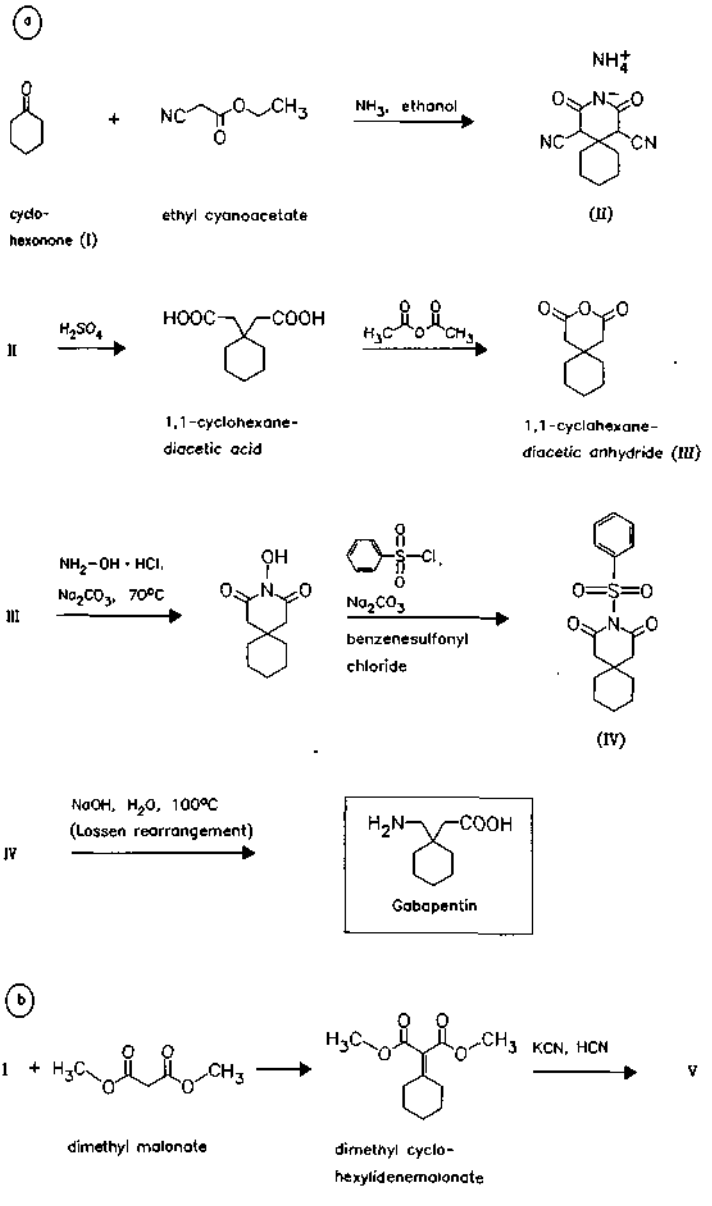
Gabapentin

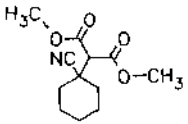
(GOE 2450; Go 3450; CI 945)

ATC: N03AX12
Use: anticonvulsant

RN: 60142-96-3 MF: $C_9H_{17}NO_2$ MW: 171.24 EINECS: 262-076-3
CN: 1-(Aminomethyl)cyclohexaneacetic acid

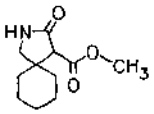
hydrochloride
RN: 60142-95-2 MF: $C_9H_{17}NO_2 \cdot HCl$ MW: 207.70 EINECS: 262-075-8





(V)

H_2, Raney–Ni

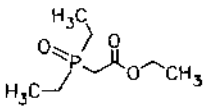


4-methoxycarbonyl-2-azaspiro[4.5]-decan-3-one

Gabapentin

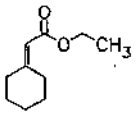
(c)

I +



ethyl diethylphosphinylacetate

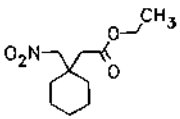
KOH, THF



ethyl cyclohexylideneacetate (VI)

VI + H_3C-NO_2

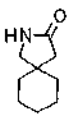
K_2CO_3, DMSO, 95°C



ethyl 1-(nitromethyl)cyclohexaneacetate

H_2, Pd–C, ethanol

VII



2-azaspiro[4.5]-decan-3-one (VII)

1. aq. HCl
2. ion exchange

Gabapentin

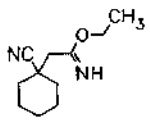
(d)

I

1. H_3C-CN
2. KCN, HCN
3. acetonitrile

1-cyanocyclohexaneacetonitrile

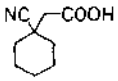
HCl, ethanol, toluene



(VIII)

VIII

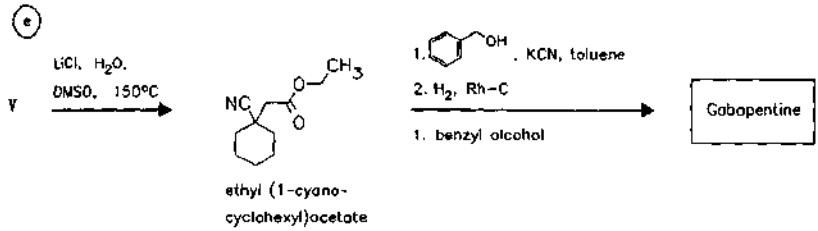
1. NaOH, CH_3OH
2. HCl



1-cyanocyclohexaneacetic acid

H_2, Rh–Pd–C, CH_3OH

Gabapentine



Reference(s):

a DE 2 611 690 (Goedecke; D-prior. 19.3.1976).
US 4 152 326 (Warner-Lambert; 1.5.1979; D-prior. 19.3.1976).
b EP 358 092 (Lonza; appl. 29.8.1989; CH-prior. 1.9.1988).
c EP 414 274 (Goedecke AG; appl. 24.8.1990; D-prior. 25.8.1989).
d US 5 319 135 (Warner-Lambert; 7.6.1994; USA-prior. 25.8.1989).
EP 414 262 (Warner-Lambert; appl. 24.8.1990; USA-prior. 25.8.1989).
e CA 2 030 107 (17.5.1991; appl. 15.11.1990; CH-prior. 16.11.1989).

preparation of alternate crystal forms:
WO 9 828 255 (Teva Pharm.; appl. 24.12.1997; IL-prior. 24.12.1996).

high-purity monohydrate:
EP 340 677 (Warner-Lambert; appl. 28.4.1989; USA-prior. 2.5.1988).

Formulation(s): cps. 100 mg, 300 mg, 400 mg

Trade Name(s):

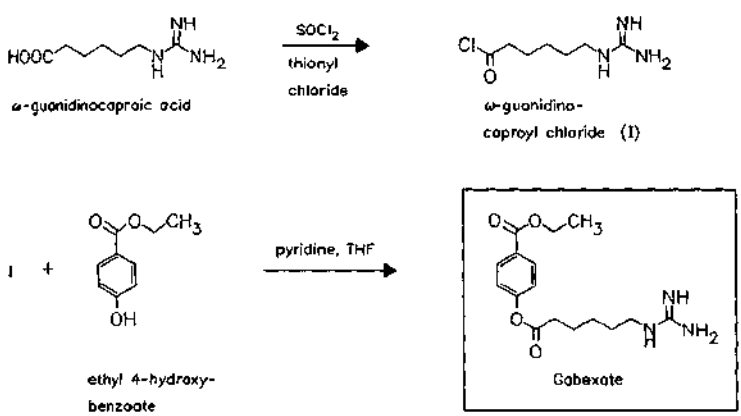
D:	Neurontin (Parke Davis)	GB:	Neurontin (Parke Davis)	USA:	Neurontin (Parke Davis)
F:	Neurontin (Parke Davis)	I:	Neurontin (Parke Davis)		

Gabexate

ATC: B02AB49
Use: protease inhibitor

RN: 39492-01-8 MF: $C_{16}H_{23}N_3O_4$ MW: 321.38
CN: 4-[[6-[(aminoiminomethyl)amino]-1-oxohexyl]oxy]benzoic acid ethyl ester

monomesylate
RN: 56974-61-9 MF: $C_{16}H_{23}N_3O_4 \cdot CH_4O_3S$ MW: 417.48
LD_{50}: 218 mg/kg (M, i.v.); 8 g/kg (M, p.o.);
4020 mg/kg (R, i.v.); 6480 mg/kg (R, p.o.)



Reference(s):
DOS 2 050 484 (Ono; appl. 14.10.1970; J-prior. 14.10.1969).
US 3 751 447 (Ono; 7.8.1973; J-prior. 14.10.1969).

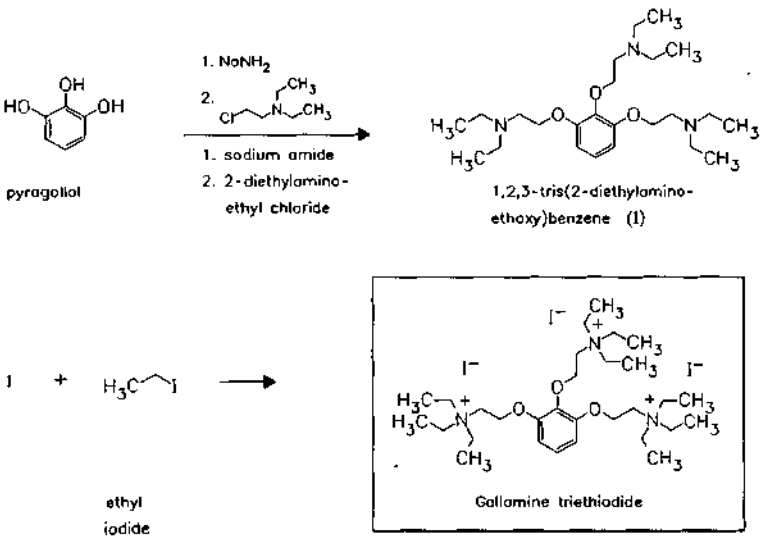
Formulation(s): amp. 100 mg/5 ml (as mesylate)

Trade Name(s):
J: Foy (Ono; 1978)

Gallamine triethiodide

ATC: M03
Use: muscle relaxant, ganglionic blocker

RN: 65-29-2 MF: $C_{30}H_{60}I_3N_3O_3$ MW: 891.54 EINECS: 200-605-1
LD_{50}: 1800 µg/kg (M, i.v.); 425 mg/kg (M, p.o.);
5100 µg/kg (R, i.v.); >1 g/kg (R, p.o.);
800 µg/kg (dog, i.v.)
CN: 2,2',2''-[1,2,3-benzenetriyltris(oxy)]tris[*N,N,N*-triethylethanaminium] triiodide



Reference(s):
US 2 544 076 (Rhône-Poulenc; 1951; F-prior. 1947).
DE 817 756 (Rhône-Poulenc; F-prior. 1947).

Formulation(s): amp. 20 mg/ml, 40 mg/2 ml, 40 mg/ml, 100 mg

Trade Name(s):
D: Flaxedil (Abbott); wfm
F: Flaxédil (Specia); wfm
GB: Flaxedil (Concord)
J: Gallamine Inj. "Teisan" (Teikoku Kagaku-Nagase)
USA: Flaxedil (Lederle); wfm

Gallium nitrate

ATC: V03AG
Use: hypocalcemic agent against cancer-related hypercalcemia

RN: 13494-90-1 MF: GaN_3O_9 MW: 255.74 EINECS: 236-815-5
LD_{50}: 55 mg/kg (M, i.v.); 4360 mg/kg (M, p.o.); 600 mg/kg (M, s.c.); 46 mg/kg (R, i.v.)
CN: gallium nitrate

Reference(s):
Dupré, A.: C. R. Hebd. Seances Acad. Sci. (COREAF) **86**, 721 (1878)

medical use as hypocalcemic agent:
EP 109 564 (Sloan Kettering Inst.; appl. 21.10.1983; USA-prior. 22.10.1982).
US 4 529 593 (Sloan Kettering Inst.; 16.7.1985; prior. 20.6.1984, 22.10.1982).

medical use as antitumor effect enhancer:
JP 1 104 016 (Taishitsu Kenkyukai; appl. 31.7.1987).

Formulation(s): vial 500 mg

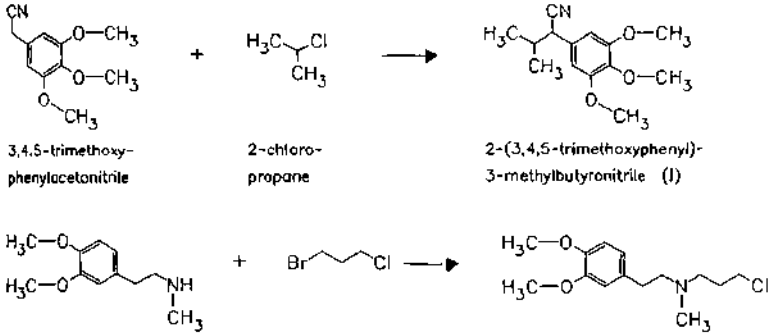
Trade Name(s):
USA: Ganite (SoloPak)

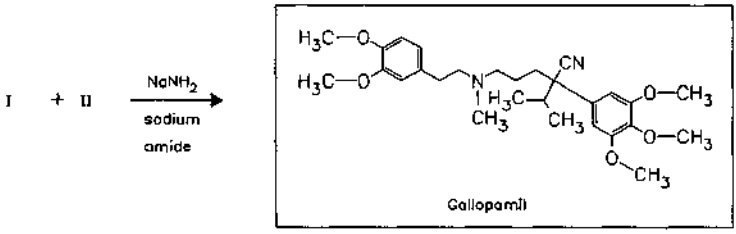
Gallopamil

ATC: C01DA; C08DA02
Use: coronary vasodilator, verapamil analog

RN: 16662-47-8 MF: $C_{28}H_{40}N_2O_5$ MW: 484.64
CN: α-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-3,4,5-trimethoxy-α-(1-methylethyl)benzeneacetonitrile

hydrochloride
RN: 16662-46-7 MF: $C_{28}H_{40}N_2O_5 \cdot HCl$ MW: 521.10





Reference(s):
DE 1 154 810 (Knoll; appl. 28.4.1961).
DE 1 158 083 (Knoll; appl. 19.12.1962).
DE 2 059 985 (Knoll; prior. 5.12.1970).

Formulation(s): f. c. tabl. 25 mg, 50 mg; s. r. tabl. 100 mg (as hydrochloride)

Trade Name(s):

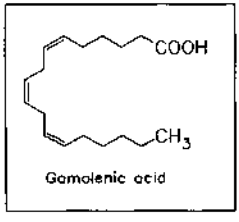
D:	Gallopamil (ct-Arzneimittel)	Procorum retard (Knoll; 1983)	I:	Algocor (Ravizza) Procorum (Knoll)

Gamolenic acid

ATC: D11AX02
Use: treatment of eczema

RN: 506-26-3 MF: $C_{18}H_{30}O_2$ MW: 278.44
CN: (Z,Z,Z)-6,9,12-octadecatrienoic acid

potassium salt
RN: 106868-38-6 MF: $C_{18}H_{29}KO_2$ MW: 316.53
sodium salt
RN: 86761-55-9 MF: $C_{18}H_{29}NaO_2$ MW: 300.42



a From fermentation of *Mortierella.*
b From fermentation of mucor.
c Extration and isolation from natural sources (seeds of black currant, evening primrose, borage).

Reference(s):

a JP 59 130 191 (Agency of Ind. Sciences and Techn.; appl. 12.1.1983).
JP 60 168 391 (Agency of Ind. Sciences and Techn.; appl. 9.2.1984).
JP 63 112 536 (Agency of Ind. Sciences and Techn.; appl. 30.10.1986).
WO 8 604 354 (Agency of Ind. Sciences and Techn.; appl. 13.12.1985; J-prior. 22.1.1985, 21.2.1985).
EP 155 420 (Agency of Ind. Sciences and Techn.; appl. 25.9.1984; J-prior. 9.2.1984, 5.6.1984).
EP 253 556 (Suntory; appl. 7.7.1987; J-prior. 8.7.1986).
EP 276 982 (Suntory; appl. 26.1.1988; J-prior. 27.1.1987).
US 4 857 329 (Agency of Ind. Sciences and Techn.; 15.8.1989; appl. 1.8.1986; J-prior. 19.8.1985).
Suzuki, O.: Proc. World Conf. Biotechnol. Fats Oils Ind. (56NIAQ) **1987**, p.110-116, Ed. T. H. Applewhite.

b JP 1 132 371 (Itochu Seito; appl. 18.11.1987).
EP 269 351 (Lion Corp.; appl. 17.11.1987; J-prior. 26.11.1986).
Fukuda, H.; Morikawa, H.: Appl. Microbiol. Biotechnol. (AMBIDG) **27**, 15 (1987); Bioreact. Biotransform., [Pap. Int. Conf.] (56GJAS), p. 386, Ed. G. W. Moody, P.B. Baker (Elsevier, London, 1987).
Aggelis, G. et al.: Oleagineux (OLEAAF) **43**, 311 (1988).
Hansson, L. et al.: Appl. Microbiol. Biotechnol. (AMBIDG) **31**, 223 (1989).

alternative fermentation processes:

EP 153 134 (Efamol; appl. 12.2.1985; GB-prior. 21.2.1984).
GB 2 163 424 (Nisshin Oil Mills; appl. 22.7.1985; J-prior. 31.7.1984).
JP 1 199 588 (Nitto Chem.; appl. 2.6.1988; prior. 27.10.1987).
JP 63 240 791 (Kanegafuchi; appl. 27.3.1987).
JP 62 210 995 (Nisshin Flour Milling; 12.3.1986).
JP 62 232 379 (Nisshin Oil Mills; appl. 2.4.1986).
JP 49 013 988 (A. Watanabe et al.; appl. 10.1.1969).
JP 47 022 280 (Ono; appl. 13.8.1969).

c DOS 3 542 932 (HVG Barth, Raiser Co; appl. 4.12.1985).
EP 271 747 (Nestle; appl. 25.11.1987; CH-prior. 17.12.1986).
EP 178 442 (Nestle; appl. 4.9.1985; CH-prior. 10.10.1984).
FR 1 603 383 (Ono; appl. 3.10.1968).
US 4 703 060 (Nestec S.A.; 27.10.1987; appl. 14.10.1983; prior. 6.4.1983).
JP 1 051 496 (Nippon Oils and Fats; appl. 21.8.1987).
JP 63 216 845 (Agency of Ind. Sciences and Techn.; appl. 5.3.1987).
Traitler, H. et al.: J. Am. Oil Chem. Soc. (JAOCA7) **65**, 755 (1988).
Wille, H.; Traitler, H.: Fett Wiss. Technol. (FWTEEG) **90**, 476 (1988).

combination with calcium:

EP 261 814 (Efamol; appl. 28.8.1987; GB-prior. 10.9.1986).

modeling of androgen action in men:

EP 309 086 (Efamol; appl. 9.8.1988; GB-prior. 7.9.1987).

prevention of side effects of non-steroidal anti-inflammatories:

EP 195 570 (Efamol; appl. 7.3.1986; GB-prior. 19.3.1985).

treatment of premenstrual syndrome:

US 4 415 554 (Efamol; 15.11.1983; appl. 10.6.1981; GB-prior. 23.1.1978, 7.2.1978, 19.4.1978, 17.8.1978, 24.10.1978, 19.1.1979, 30.10.1979).
ZA 8 604 779 (Efamol; appl. 27.6.1986; GB-prior. 4.7.1985).

treatment of complications of diabetes mellitus:

EP 218 460 (Efamol; appl. 1.10.1986; GB-prior. 2.10.1985).

treatment of skin disorders:

US 4 444 755 (Efamol; 24.4.1984; appl. 10.6.1981; prior. 19.1.1979, 30.10.1979).
EP 173 478 (Efamol; appl. 5.8.1985; GB-prior. 15.8.1984).

treatment of prostatomegaly:

JP 61 207 330 (Efamol; appl. 6.3.1986; GB-prior. 8.3.1985).

treatment of endometriosis:

EP 222 483 (Efamol; appl. 1.10.1986; GB-prior. 2.10.1985).

treatment of amnesia:
EP 296 751 (Efamol; appl. 15.6.1988; GB-prior. 24.6.1987).

treatment of allergic rhinitis and asthma:
JP 61 087 621 (Nisshin Oil Mills; appl. 5.10.1984).

skin improving composition:
EP 334 507 (Efamol; appl. 7.3.1989; GB-prior. 22.3.1988).

pharmaceutical and dietary composition:
EP 3 407 (Verronmay; appl. 20.1.1979; GB-prior. 23.1.1978).
EP 4 770 (Verronmay; appl. 10.4.1979; GB-prior. 11.4.1978).
EP 19 423 (Efamol; appl. 8.5.1980; GB-prior. 18.5.1979).
US 4 273 763 (Efamol; 16.6.1981; GB-prior. 23.1.1978).

Formulation(s): cps. 40 mg, 80 mg, 466-536 mg, 932-1073 mg extract of evening primrose seeds

Trade Name(s):

D:	Epogam (Beiersdorf; 1990)		Epogam (Searle)
GB:	Efamast (Searle)	I:	Epogam (Whitehall)

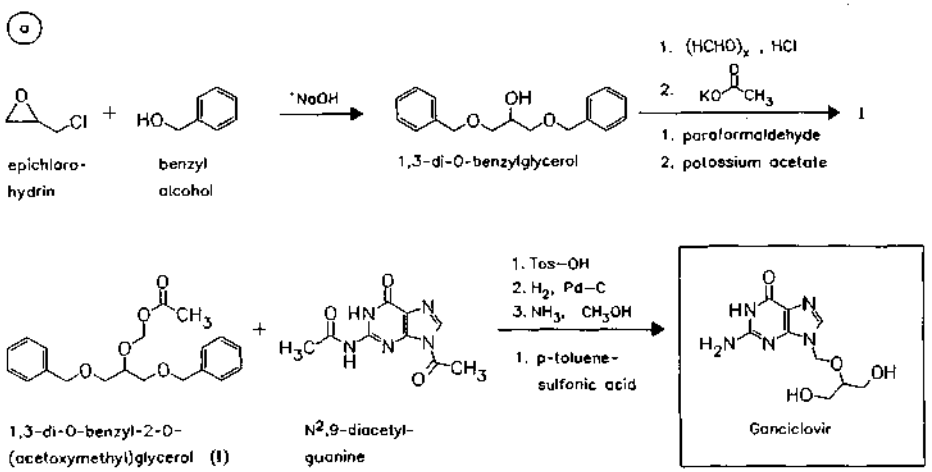
Ganciclovir

(Biolf-62; BW-759U; DHPG; 2'-NOG)

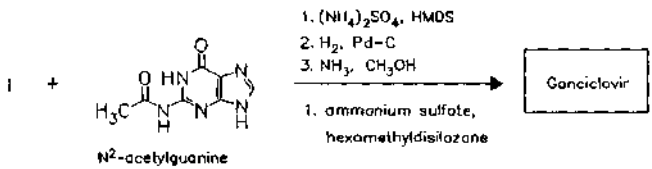
ATC: S01AD09
Use: antiviral nucleoside for treatment of cytomegalovirus infections in AIDS patients

RN: 82410-32-0 MF: $C_9H_{13}N_5O_4$ MW: 255.23
LD_{50}: 1 g/kg (M, i.p.); 900 mg/kg (M, i.v.); >2 g/kg (M, p.o.); >150 mg/kg (dog, i.v.); >1 g/kg (dog, p.o.)
CN: 2-amino-1,9-dihydro-9-[[2-hydroxy-1-(hydroxymethyl)ethoxy]methyl]-6*H*-purin-6-one

monosodium salt
RN: 107910-75-8 MF: $C_9H_{12}N_5NaO_4$ MW: 277.22



(b)



N^2-acetylguanine

Reference(s):
EP 85 424 (Syntex; appl. 31.1.1983; USA-prior. 1.2.1982, 22.12.1982).
EP 49 072 (Syntex; appl. 15.9.1981; USA-prior. 16.9.1980).
US 4 423 050 (Syntex; 27.12.1983; prior. 24.5.1982, 21.5.1981).
US 4 355 032 (Syntex; 14.6.1983; appl. 21.5.1981).
Martin, J.C. et al.: J. Med. Chem. (JMCMAR) **26**, 759 (1983).
Ogilvie, K.K. et al.: Can. J. Chem. (CJCHAG) **60**, 3005 (1982).
Ashton, W.A.: Biochem. Biophys. Res. Commun. (BBRCA9) **108**, 1716 (1982).

anhydrous crystalline form:
US 4 642 346 (Syntex; 10.2.1987; appl. 24.6.1985).

combination with interferon:
EP 109 234 (BioLogicals; appl. 3.11.1983; USA-prior. 4.11.1982).

alternative synthesis:
McGee, D.P. et al.: Synth. Commun. (SYNCAV) **18**, 1651 (1988).
ES 548 093 (Inke S.A.; appl. 22.10.1985).
ES 549 248 (M.J. Verde Casanova; appl. 25.11.1985).
WO 8 302 723 (BioLogicals; appl. 12.2.1982).

synthesis from guanosine:
Boryski, J.; Golankiewicz, B.: Synthesis (SYNTBF) **1999** (4), 625.

Review:
Gao, H.; Mitra, A.K.: Synthesis (SYNTBF) **2000** (3), 329.

Formulation(s): cps. 250 mg, 500 mg; vial (lyo.) 500 mg (as sodium salt)

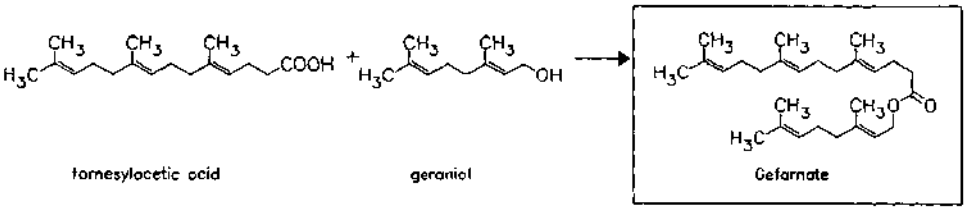
Trade Name(s):

D:	Cymeven (Roche)	GB:	Cymevene (Roche)	USA:	Cytovene (Roche)
F:	Cymévan (Roche)	I:	Cymavene (Recardati)		Cytovene (Roche)
	Virgan (Théa)	J:	Denosine (Syntex)		

Gefarnate

ATC: A02BX07
Use: peptic ulcer therapeutic, antispasmodic

RN: 51-77-4 MF: $C_{27}H_{44}O_2$ MW: 400.65 EINECS: 200-121-0
LD_{50}: 2821 mg/kg (M, i.v.); >8 g/kg (M, p.o.);
2040 mg/kg (R, i.v.); >9 g/kg (R, p.o.)
CN: (*E,E,E*)-5,9,13-trimethyl-4,8,12-tetradecatrienoic acid 3,7-dimethyl-2,6-octadienyl ester



Reference(s):
BE 617 994 (Ist. de Angeli; appl. 23.5.1962; GB-prior. 24.5.1961).

Formulation(s): cps. 50 mg; tabl. 50 mg; vial 50 mg

Trade Name(s):

GB: Gefarnil (Crookes); wfm

I: Famesil (AGIPS); wfm
Farnisol (Firma); wfm
Gefarnax/-forte (De Angeli)-comb.; wfm
Gefarnil (De Angeli); wfm
Gefarnil Compositum (De Angeli)-comb.; wfm
Gefarol (Iti); wfm
Nolesil (Geymonat); wfm
Ulco (Elea); wfm
Ulcofarm (Ausonia); wfm
Ulcofarm (Iton); wfm
Ulcotrofina (Ripari-Gero); wfm
Vagogernil (Benvegna); wfm

J: Alsanate (Dainippon)
Dixnalate (San-a)
Eszyme Dental (SS Seiyaku)
Gefalon (Sawai)
Gefanil (Sumitomo)
Gefulcer (Ohta)
Ketonil (Mohan)
Matorozin (Kanto-Isei)
Polyl (Teikoku)
Salanil (Sato)
Terpanil (Kakenyaku)
Zackal (SS Seiyaku)
Zenowal (Daigo-Takeda)

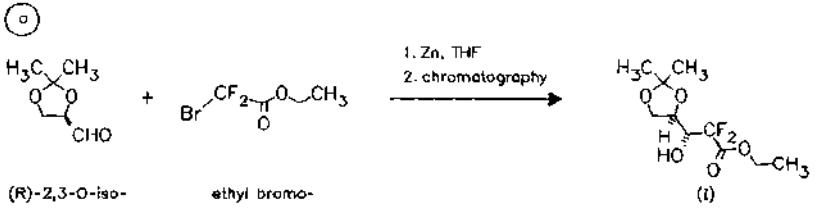
Gemcitabine

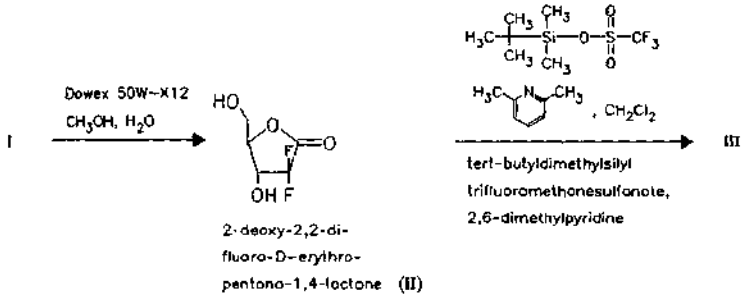
(dFdC; LY-188011)

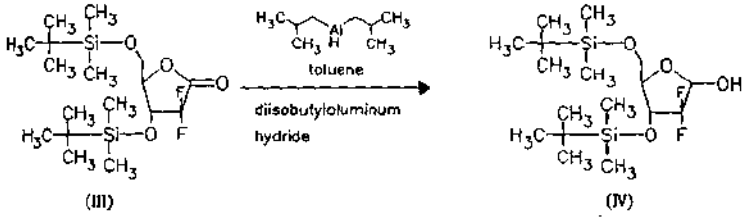
ATC: L01BC05
Use: antiviral, antineoplastic

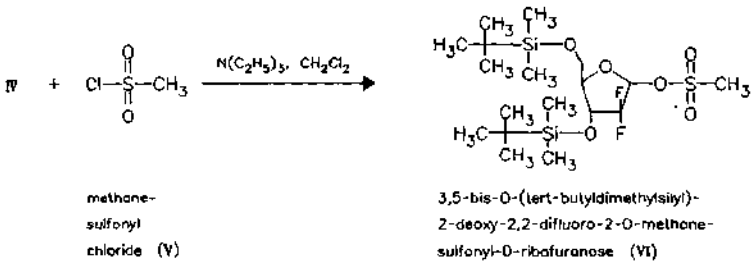
RN: 95058-81-4 MF: $C_9H_{11}F_2N_3O_4$ MW: 263.20
CN: 2'-deoxy-2',2'-difluorocytidine

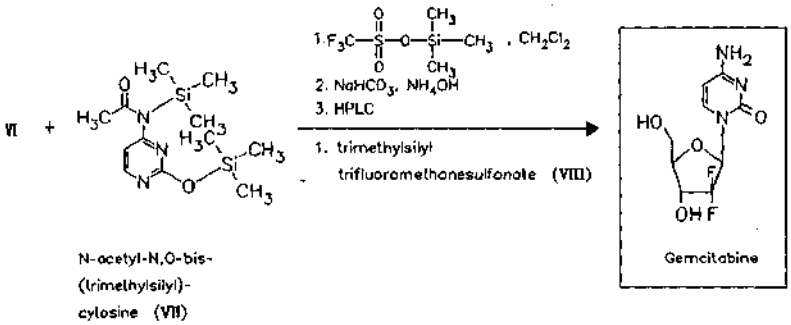
monohydrochloride
RN: 122111-03-9 MF: $C_9H_{11}F_2N_3O_4 \cdot HCl$ MW: 299.66

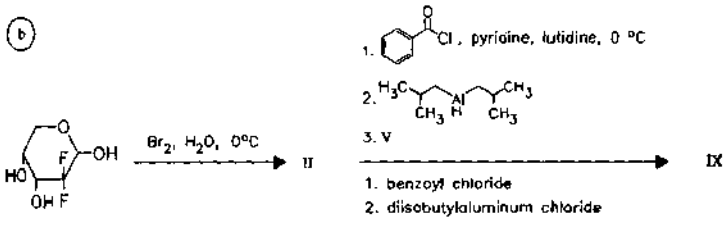


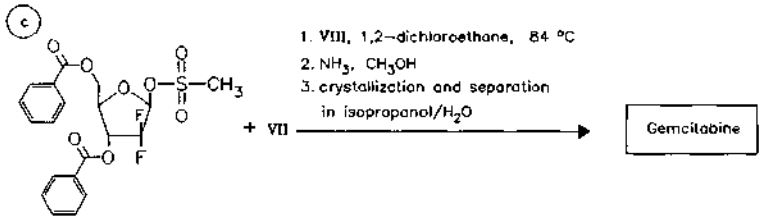

Dowex 50W–X12
CH_3OH, H_2O
I
2-deoxy-2,2-difluoro-D-erythro-pentono-1,4-lactone (II)
CH_2Cl_2
tert-butyldimethylsilyl trifluoromethonesulfonate, 2,6-dimethylpyridine
III


toluene
diisobutylaluminum hydride
(III)
(IV)


IV
$N(C_2H_5)_3$, CH_2Cl_2
methane-sulfonyl chloride (V)
3,5-bis-O-(tert-butyldimethylsilyl)-2-deoxy-2,2-difluoro-2-O-methane-sulfonyl-D-ribofuranose (VI)


VI
1. F_3C–S(=O)(=O)–O–Si(CH3)2–CH3 , CH_2Cl_2
2. $NaHCO_3$, NH_4OH
3. HPLC
1. trimethylsilyl trifluoromethonesulfonate (VIII)
N-acetyl-N,O-bis-(trimethylsilyl)-cytosine (VII)
Gemcitabine


b
2-deoxy-2,2-difluoro-D-ribopyranose
Br_2, H_2O, 0°C
II
1. pyridine, lutidine, 0 °C
2.
3. V
1. benzoyl chloride
2. diisobutylaluminum chloride
IX



3,5-di-O-benzoyl-2-deoxy-2,2-difluoro-1-O-methanesulfonyl-D-ribofuranose (IX)

Reference(s):

a Hertel, L.W. et al.: J. Org. Chem. (JOCEAH) **53** (11), 2406 (1988).
EP 122 707 (Eli Lilly & Co.; appl. 6.3.1984; USA-prior. 10.3.1983, 4.12.1984, 4.6.1987).
EP 184 365 (Eli Lilly & Co.; appl. 25.11.1985; USA-prior. 10.10.1985, 4.12.1984, 3.3.1988).

b US 4 954 623 (Eli Lilly & Co.; appl. 13.11.1989; USA-prior. 13.11.1989, 20.3.1989).

c EP 306 190 (Eli Lilly & Co.; appl. 22.8.1988; USA-prior. 28.8.1987).
EP 577 303 (Eli Lilly & Co.; appl. 21.6.1993; USA-prior. 7.4.1993, 22.6.1992, 30.5.1995).

Formulation(s): vial 200 mg, 1 g (as hydrochloride)

Trade Name(s):

D:	Gemzar (Lilly)	GB:	Gemzar (Lilly; as hydrochloride)	USA:	Gemzar (Lilly; as hydrochloride)
F:	Gemzar (Lilly; as hydrochloride)				

Gentamicin

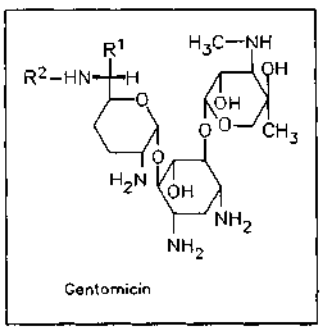
(Gentamycin)

ATC: D06AX07; J01GB03; S01AA11; S03AA06
Use: antibiotic

RN: 1403-66-3 MF: unspecified MW: unspecified EINECS: 215-765-8
LD_{50}: 43.5 mg/kg (M, i.v.); 10 g/kg (M, p.o.);
70 mg/kg (R, i.v.); 6600 mg/kg (R, p.o.);
184 mg/kg (dog, i.v.)
CN: gentamicin

sulfate

RN: 1405-41-0 MF: $H_2SO_4 \cdot x$ unspecified MW: unspecified EINECS: 215-778-9
LD_{50}: 47 mg/kg (M, i.v.); >11.269 g/kg (M, p.o.);
96 mg/kg (R, i.v.); >5 g/kg (R, p.o.)



Gentamicin

Gentamicin C_1 R^1: $-CH_3$; R^2: $-CH_3$
Gentamicin C_2 R^1: $-CH_3$; R^2: $-H$
Gentamicin C_{1a} R^1: $-H$; R^2: $-H$

From fermentation solutions of *Micromonospora purpurea; Micromonospora echinospora.*

Reference(s):
US 3 091 572 (Schering Corp.; 28.5.1963; prior. 16.7.1962).
US 3 136 704 (Schering Corp.; 9.6.1964; prior. 5.12.1962).
Weinstein, M.J. et al.: Antimicrob. Agents Chemother. (AACHAX), 1 (1963).

Formulation(s): amp. 10 mg, 40 mg, 80 mg, 120 mg, 160 mg; eye drops 3 mg/ml; ointment 3 mg/g (as sulfate)

Trade Name(s):

D: Dispagent (CIBA Vision)
duragentamicin 40/80/60 (durachemie)
Gencin (curasan)
Genta (ct-Arzneimittel)
Gentamicin POS (Ursapharm)
Gentamytrex (Mann)
Gent-Ophtal (Winzer)
Ophtagram (Chauvin ankerpharm)
Refobacin (Merck)
Sulmycin (Essex Pharma)
numerous combination preparations

F: Gentabilles (Schering-Plough)
Gentalline (Schering-Plough)
Gentamicine Chauvin (Chauvin)
Gentasone (Schering-Plough)-comb.
Palacos (Schering-Plough)

GB: Cidomycin (Hoechst)
Garamycin (Schering-Plough)
Genticin (Roche)
Gentisone C (Roche)-comb.
Lugacin (Lagap)
Minims gentamicin (Chauvin)

I: Citrizan Antibiotico (IDI)-comb.
Diprogenta (Sca)-comb.
Farmomicin (Farmigea)-comb.
Genalfa (Intes)-comb.
Genatrop (Intes)-comb.
Gentalyn (Schering-Plough)
Gentamen (Pierrel)
Gentibioptal (Farmila)
Genticol (Siti)
Ribomicin (Farmigea)
Septopal (Bracco)
combination preparations

J: Gentacin (Shionogi)

USA: Garamycin (Schering)
Gentafair (Pharmafair)
G-myticin (Pedinol)

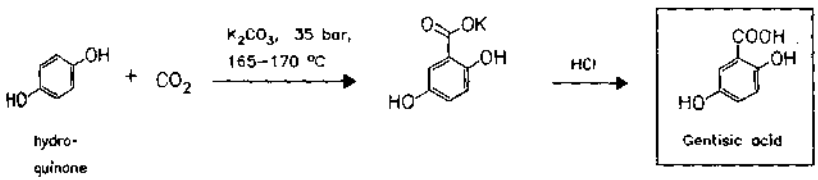
Gentisic acid

(Acide gentisique)

ATC: M01; N02
Use: anti-inflammatory, analgesic

RN: 490-79-9 MF: $C_7H_6O_4$ MW: 154.12 EINECS: 207-718-5
LD_{50}: 374 mg/kg (M, i.v.); 4500 mg/kg (M, p.o.)
CN: 2,5-dihydroxybenzoic acid

monosodium salt
RN: 4955-90-2 MF: $C_7H_5NaO_4$ MW: 176.10 EINECS: 225-598-2
LD_{50}: 3735 mg/kg (M, i.p.); 3900 mg/kg (M, s.c.)



Reference(s):
US 2 547 241 (Monsanto; 1951; appl. 1950).
US 2 588 336 (Monsanto; 1952; appl. 1950).
US 2 608 579 (Monsanto; 1952; appl. 1949).
US 2 816 137 (Eastman Kodak; 1957; appl. 1954).

Formulation(s): drg. 21.1 mg (as sodium salt)

Trade Name(s):

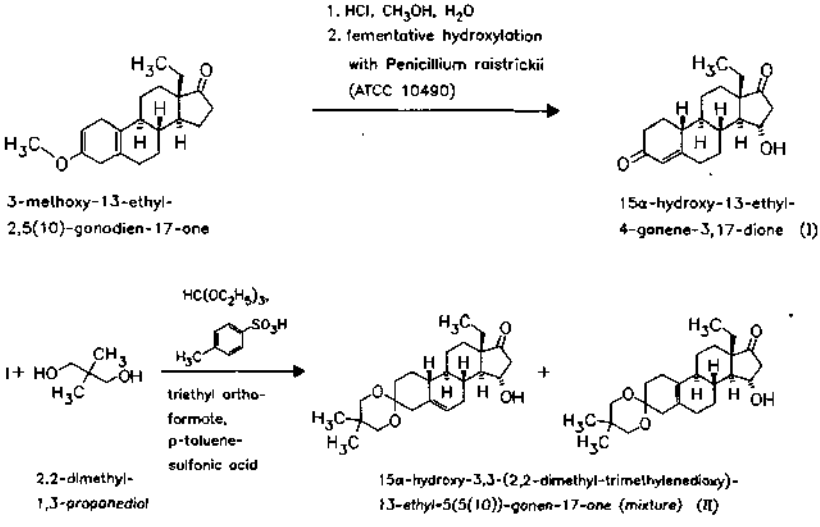
D:	Gentisinamid (Herbrand)-comb.; wfm	Prigenta (Reiss)-comb.; wfm	Rheumadrag (Schuck)-comb.; wfm

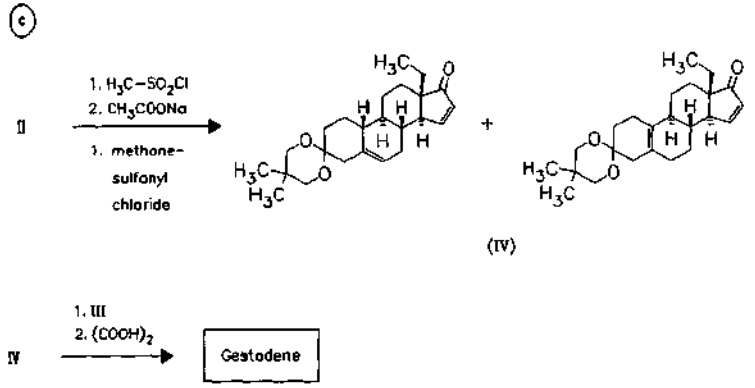
Gestodene

(SHB 331)

ATC: G03AB; G03AA
Use: progestogen, oral contraceptive

RN: 60282-87-3 MF: $C_{21}H_{26}O_2$ MW: 310.44 EINECS: 262-145-8
CN: (17α)-13-ethyl-17-hydroxy-18,19-dinorpregna-4,15-dien-20-yn-3-one





Reference(s):
BE 847 090 (Schering AG; appl. 8.10.1976; D-prior. 10.10.1975, 12.8.1976).
DOS 2 546 062 (Schering AG; appl. 10.10.1975).
DE 2 636 404 (Schering AG; appl. 12.8.1976).
DE 2 636 405 (Schering AG; appl. 12.8.1976).
DOS 2 636 407 (Schering AG, appl. 12.8.1979).
Hofmeister, H. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 781 (1986).

alternative synthesis from 3-alkoxy-18-methyl-3,5-estradien-17-one derivatives:
EP 201 452 (Schering AG; appl. 7.5.1986; D-prior. 10.5.1985).
US 4 719 054 (Schering AG; 12.1.1988; appl. 9.5.1986; D-prior. 10.5.1985).

medical use for oral contraception in combination with ethynyl-estradiol:
EP 148 724 (Schering AG; appl. 18.12.1984; D-prior. 22.12.1983).
US 4 621 079 (Schering AG; 4.11.1986; appl. 21.12.1984; D-prior. 22.12.1983).

medical use for treatment of β-TGF dependent tumors:
EP 399 631 (Schering AG; appl. 17.5.1990; D-prior. 17.5.1989).

transdermal delivery system:
EP 370 220 (Schering AG; appl. 11.10.1989; D-prior. 27.10.1988).

Formulation(s): drg. and tabl. 75 µg in combination with 30 µg ethynylestradiol

Trade Name(s):

D: Femovan (Schering; 1987)-comb. with ethynylestradiol
Minulet (Wyeth)-comb.

F: Harmonet (Wyeth-Lederle)-comb. with ethynylestradiol
Meliane (Schering)-comb. with ethynylestradiol
Minulet (Wyeth-Lederle)-comb. with ethynylestradiol
Moneva (Schering)-comb. with ethynylestradiol
Phaeva (Schering)-comb. with ethynylestradiol
Tri-minulet (Wyeth)-comb. with ethynylestradiol

GB: Femodene (Schering; 1987)-comb. with ethynylestradiol
Minulet (Wyeth; 1997)-comb. with ethynylestradiol

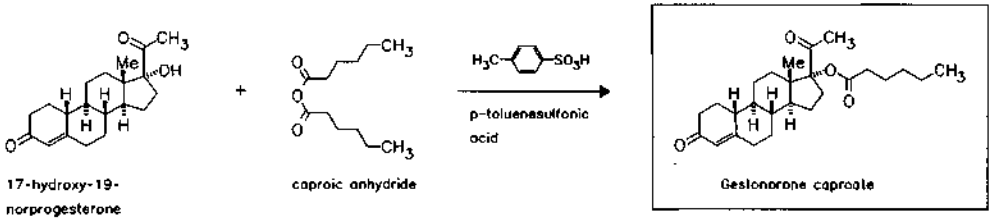
I: Ginoden (Schering; 1987)-comb. with ethynylestradiol
Milvane (Schering)-comb. with ethynylestradiol
Minulet (Wyeth; 1988)-comb. with ethynylestradiol
Triminulet (Wyeth)-comb. with ethynylestradiol
Triadene (Schering)-comb. with ethynylestradiol

Gestonorone caproate

(Gestronol hexanoate)

ATC: G04C
Use: progestogen (for treatment of prostate hypertrophy)

RN: 1253-28-7 MF: $C_{26}H_{38}O_4$ MW: 414.59 EINECS: 215-010-2
LD_{50}: >10 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: 17-[(1-oxohexyl)oxy]-19-norpregn-4-ene-3,20-dione



Reference(s):
DE 1 074 582 (Schering AG; appl. 24.9.1958).
Popper, A. et al.: Arzneim.-Forsch. (ARZNAD) **19**, 352 (1969).
(also starting material).

Formulation(s): amp. 200 mg

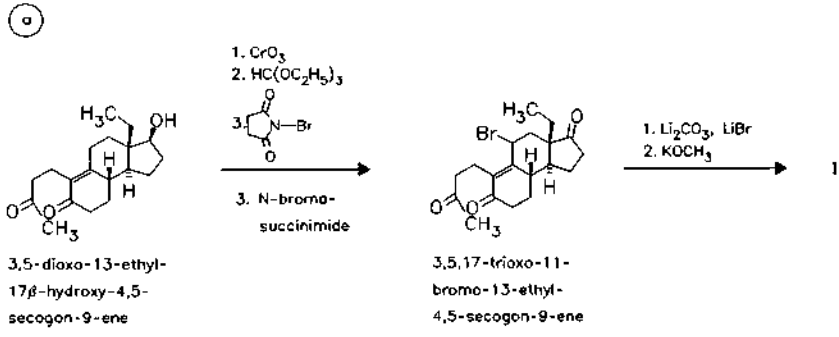
Trade Name(s):

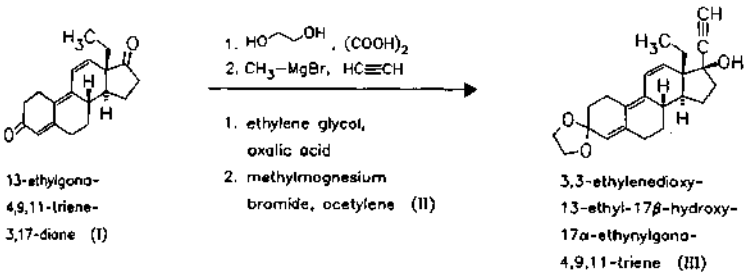
D:	Depostat (Schering); wfm	GB:	Depostat (Schering); wfm	I:	Depostat (Schering)
F:	Depostat (SEPPS); wfm		generic	J:	Depostat (Nihon Schering)

Gestrinone

ATC: G03D; G03XA02
Use: orally active progestogen (for treatment of endometriosis), antigonadotropin

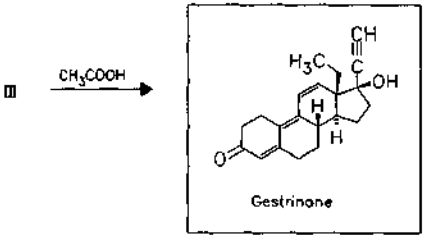
RN: 16320-04-0 MF: $C_{21}H_{24}O_2$ MW: 308.42
CN: (17α)-13-ethyl-17-hydroxy-18,19-dinorpregna-4,9,11-trien-20-yn-3-one



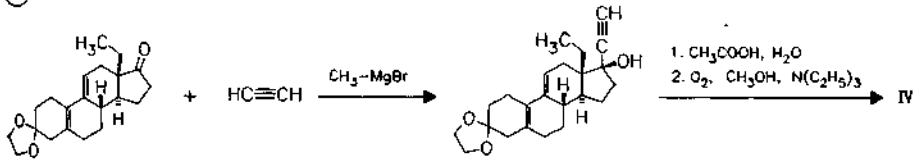


13-ethylgona-4,9,11-triene-3,17-dione (I)

3,3-ethylenedioxy-13-ethyl-17β-hydroxy-17α-ethynylgona-4,9,11-triene (III)

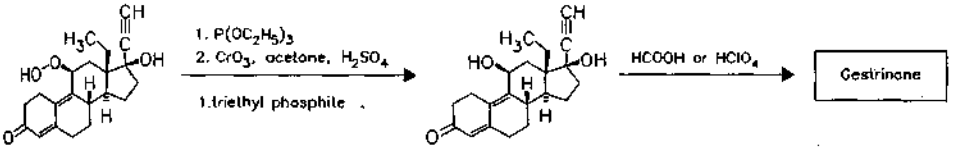


(b)



3,3-ethylenedioxy-13-ethyl-17-oxogona-5(10),9(11)-diene

(II)



(IV)

13-ethyl-17-ethynyl-11β,17β-dihydroxygona-4,9-diene-3-one

Reference(s):

a DE 1 593 307 (Roussel-Uclaf; appl. 1966; F-prior. 1965).

b DE 1 618 810 (Roussel-Uclaf; appl. 1967; F-prior. 1966).

alternative synthesis:

DOS 2 212 589 (Roussel-Uclaf; appl. 15.3.1972; F-prior. 19.3.1971).

GB 1 069 709 (Roussel-Uclaf; appl. 1966; F-prior. 1964).

synthesis of 13β-ethyl-4,9,11-gonatriene-3,17-dione:

FR 1 526 962 (Roussel-Uclaf; appl. 6.1.1967).

alternative synthesis:

NL 6 517 141 (Roussel-Uclaf; appl. 1965; F-prior. 1964).

synthesis of 3,5-dioxo-13-ethyl-17β-hydroxy-4,5-secogon-9-ene:
NL 6 414 702 (Roussel-Uclaf; appl. 1965; F-prior. 1963, 1964).
GB 1 096 761 (Roussel-Uclaf; appl. 1964; F-prior. 1963).

synthesis of 13-ethyl-17-ethynyl-11β,17β-dihydroxygona-4,9-diene-3-one:
FR-M 5 435 (Roussel-Uclaf; appl. 1966).

Formulation(s): cps. 2.5 mg

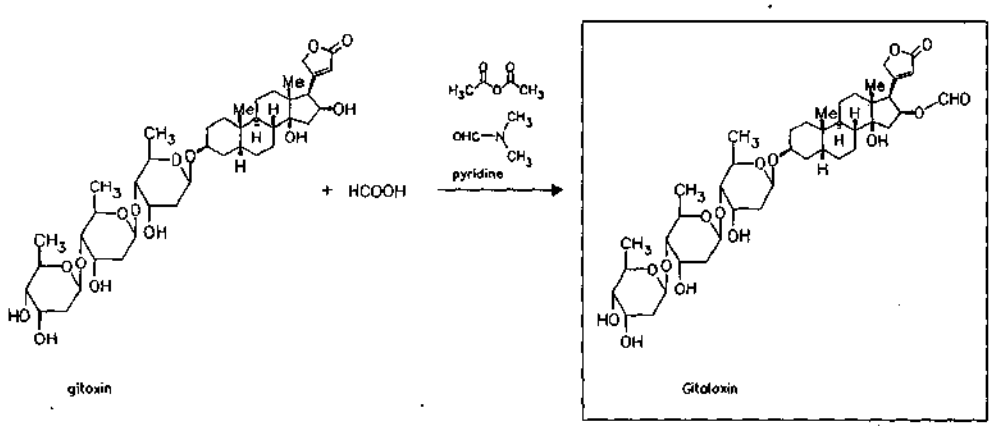
Trade Name(s):
GB: Dimetriose (Florizel) I: Dimetrose (Poli)

Gitaloxin

(16-Formylgitoxin)

ATC: C01AA
Use: cardiac glycoside

RN: 3261-53-8 MF: $C_{42}H_{64}O_{15}$ MW: 808.96 EINECS: 221-864-7
LD_{50}: 28.7 g/kg (M, p.o.);
29.960 mg/kg (R, p.o.)
CN: (3β,5β,16β)-3-[(*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-16-(formyloxy)-14-hydroxycard-20(22)-enolide



Reference(s):
DE 1 026 312 (Boehringer Mannh.; appl. 1955).

extraction from Digitalis purpurea:
DOS 1 042 838 (Boehringer Mannh.; appl. 1958).

mixed crystals with digitoxin:
DE 1 140 315 (Boehringer Mannh.; appl. 1961).

injection solution:
BE 618 160 (Christians; appl. 25.5.1962).

review:
Georges, A. et al.: Therapie (THERAP) **18**, 209 (1963).

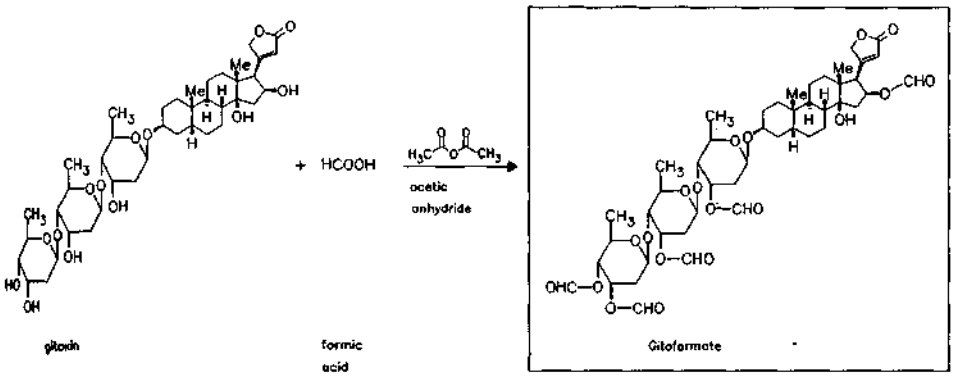
Formulation(s): tabl. 0.1 mg

Trade Name(s):
F: Cristaloxine (Sedaph); wfm

Gitoformate
(Pentaformylgitoxin)

ATC: C01AA09
Use: cardiac glycoside

RN: 10176-39-3 MF: $C_{46}H_{64}O_{19}$ MW: 921.00 EINECS: 233-450-3
LD_{50}: 23.9 mg/kg (M, p.o.);
39.01 mg/kg (R, p.o.)
CN: (3β,5β,16β)-3-[(*O*-2,6-dideoxy-3,4-di-*O*-formyl-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-3-*O*-formyl-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-3-*O*-formyl-β-D-*ribo*-hexopyranosyl)oxy]-16-(formyloxy)-14-hydroxycard-20(22)-enolide



Reference(s):
BE 625 447 (Manufacture de Produits Pharmaceutique; appl. 28.11.1962).

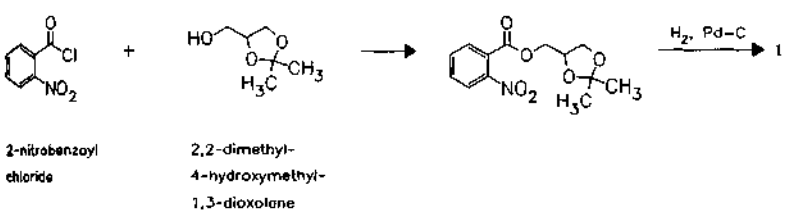
Formulation(s): tabl. 0.04 mg, 0.06 mg

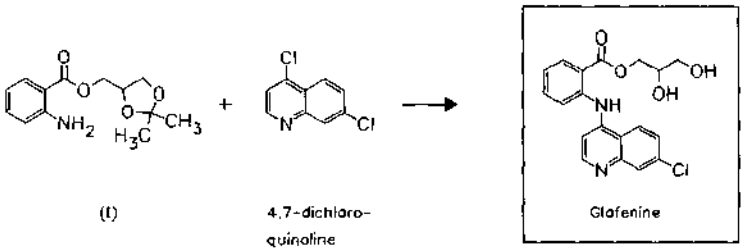
Trade Name(s):
D: Dynocard (Madaus); wfm I: Formiloxine (Menarini); wfm

Glafenine

ATC: N02BG03
Use: analgesic, anti-inflammatory

RN: 3820-67-5 MF: $C_{19}H_{17}ClN_2O_4$ MW: 372.81 EINECS: 223-315-7
LD_{50}: 1486 mg/kg (M, p.o.);
2300 mg/kg (R, p.o.)
CN: 2-[(7-chloro-4-quinolinyl)amino]benzoic acid 2,3-dihydroxypropyl ester





Reference(s):
US 3 232 944 (Roussel-Uclaf; 1.2.1966; F-prior. 20.8.1962).
FR-M 2 413 (Roussel-Uclaf; appl. 20.11.1962; prior. 20.8.1962).

anthranilic acid monoglyceride *from* isatoic anhydride:
E-appl. 678 (Pierre Fabre; appl. 18.7.1978; F-prior. 26.7.1977).

Formulation(s): suppos. 500 mg; tabl. 200 mg

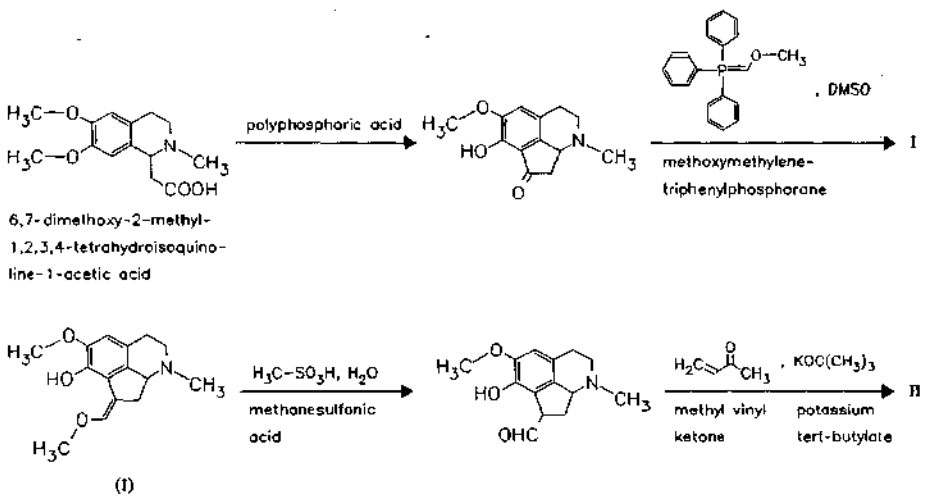
Trade Name(s):

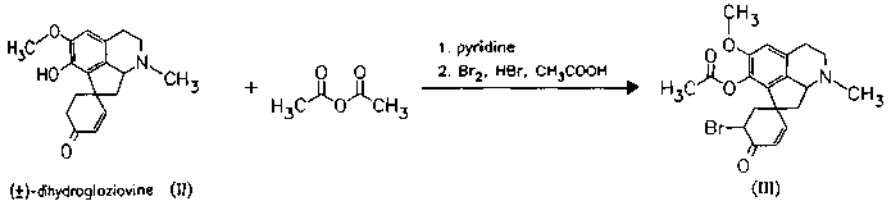
D:	Glifanan (Albert-Roussel); wfm	Glifanan (Roussel); wfm Privadol (Roland-Marie); wfm	I:	Glifan (Roussel-Maestretti); wfm
F:	Adalgur (Roussel)-comb.; wfm		J:	Glifanan (Nippon Roussel)

Glaziovine

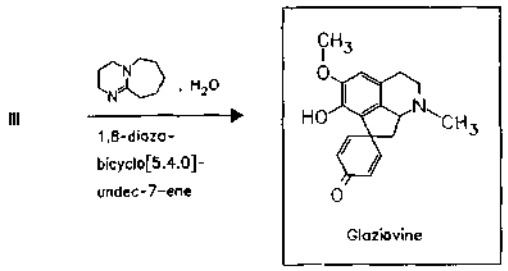
ATC: N05B
Use: tranquilizer

RN: 17127-48-9 MF: $C_{18}H_{19}NO_3$ MW: 297.35
CN: (±)-2',3',8',8'a-tetrahydro-6'-hydroxy-5'-methoxy-1'-methylspiro[2,5-cyclohexadiene-1,7'(1'*H*)-cyclopent[*ij*]isoquinolin]-4-one





(±)-dihydrogloziovine (II) (III)



Reference(s):
DOS 2 363 531 (Siphar; appl. 20.12.1973; CH-prior. 22.12.1972).
GB 1 459 210 (Siphar; valid from 18.12.1973; CH-prior. 22.12.1972).

alternative syntheses:
US 3 886 166 (Siphar; 27.5.1975; CH-prior. 22.12.1972, 26.2.1973).
DOS 2 363 529 (Siphar; appl. 20.12.1973; CH-prior. 22.12.1972, 26.2.1973).
Kametani, T. et al.: Tetrahedron Lett. (TELEAY) **1973**, 4219.
DOS 2 363 530 (Siphar; appl. 20.12.1973; CH-prior. 22.12.1972).

isolation from the leaves of Ocotea glaziovii:
Gilbert, B. et al.: J. Am. Chem. Soc. (JACSAT) **86**, 694 (1964).

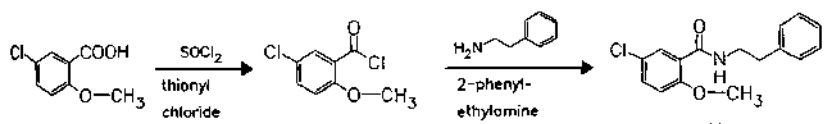
Formulation(s): tabl. 200 mg

Trade Name(s):
I: Suavedol (Simes); wfm

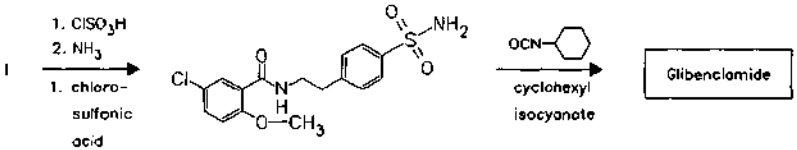
Glibenclamide
(Glyburide)

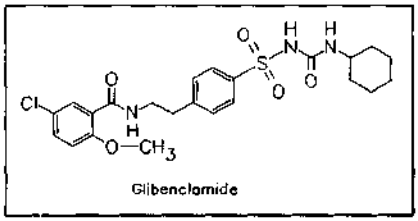
ATC: A10BB01
Use: antidiabetic

RN: 10238-21-8 MF: $C_{23}H_{28}ClN_3O_5S$ MW: 494.01 EINECS: 233-570-6
LD_{50}: 3250 mg/kg (M, p.o.);
>20 g/kg (R, p.o.);
>10 g/kg (dog, p.o.)
CN: 5-chloro-*N*-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]-2-methoxybenzamide



5-chloro-2-methoxybenzoic acid (I)





Reference(s):
Aumüller, W. et al.: Arzneim.-Forsch. (ARZNAD) **16**, 1640 (1966).
DE 1 283 837 (Hoechst; appl. 13.7.1967; CDN-prior. 21.7.1966)
DE 1 301 812 (Hoechst; appl. 27.7.1965).
BE 684 652 (Hoechst; appl. 27.7.1966; D-prior. 27.7.1965).
US 3 454 635 (Hoechst; 8.7.1969; appl. 13.7.1966; D-prior. 2.12.1965).

Formulation(s): tabl. 1.75 mg, 2.5 mg, 3.5 mg, 5 mg

Trade Name(s):

D: Azuglucon (Azuchemie)
Bastiverit (Bastian-Werk)
duraglucon (durachemie)
Euglucon N (Roche/HMR; 1969)
Glimidstada (Stada)
Gluconorm (Wolff)
Glucoreduct (Sanofi Winthrop)
Glucoromed (Lichtenstein)
Gluco Tablinen (Sanorania)
Glucovital (Wolff)
Glycolande (Synthelabo)
Humedia (APS)
Maninil (Berlin-Chemie)
Praeciglucon (Pfleger)
Semi-Euglucon N (Roche/HMR)
Semi-Gliben-Puren N (Isis Puren)

F: Daonil (Hoechst)
Euglucan (Boehringer Mannh.)
Hemi-Daonil (Hoechst)
Miglucan (Boehringer Mannh.)

GB: Daonil (Hoechst)
Euglucon (Hoechst)
Semi-Daonil (Hoechst)

I: Daonil (Hoechst)
Euglucon (Boehringer Mannh.)
Gliben (Gentili)
Glibomet (Guidotti)-comb.
Gliboral (Guidotti)
Glucomide (Lipha)-comb.
combination preparations

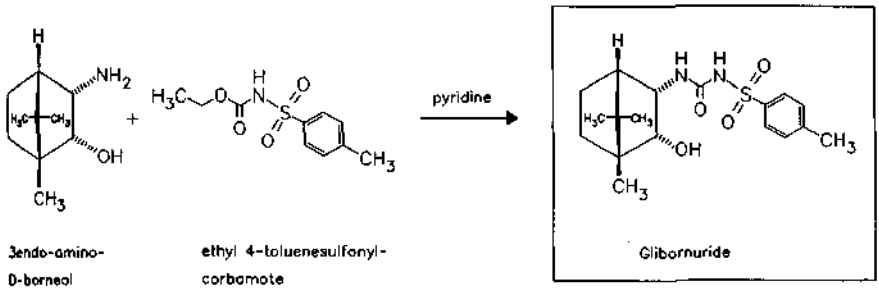
J: Daonil (Hoechst)
Euglucon (Yamanouchi)

USA: Diabeta (Hoechst Marion Roussel)
Glynase (Pharmacia & Upjohn)
Micronase (Pharmacia & Upjohn)

Glibornuride

ATC: A10BB04
Use: antidiabetic

RN: 26944-48-9 MF: $C_{18}H_{26}N_2O_4S$ MW: 366.48 EINECS: 248-124-6
LD_{50}: >20 g/kg (M, p.o.);
18 g/kg (R, p.o.)
CN: [1*S*-(*endo*,*endo*)]-*N*-[[(3-hydroxy-4,7,7-trimethylbicyclo[2.2.1]hept-2-yl)amino]carbonyl]-4-methylbenzenesulfonamide



Reference(s):
DE 1 695 201 (Hoffmann-La Roche; appl. 21.9.1967; CH-prior. 28.10.1966, 24.4.1967, 17.7.1967).
US 3 654 357 (Roche; 4.4.1972; CH-prior. 26.4.1968).
US 3 787 491 (Hoffmann-La Roche; 22.1.1974; prior. 29.9.1971).
US 3 860 724 (Hoffmann-La Roche; 14.1.1975; prior. 29.9.1971).

Formulation(s): tabl. 25 mg

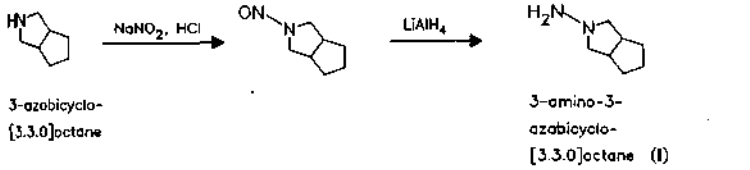
Trade Name(s):

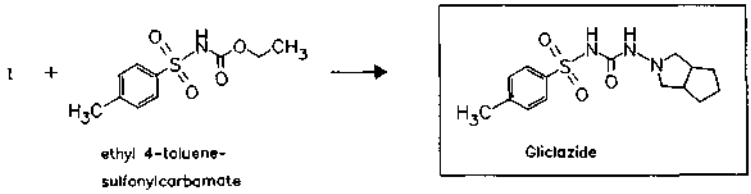
D:	Gluborid (Grünenthal) Glutril (ICN; 1972)	F:	Glutril (Roche; 1972)		
		GB:	Glutril (Roche; 1975); wfm		

Gliclazide

ATC: A10BB09
Use: antidiabetic

RN: 21187-98-4 MF: $C_{15}H_{21}N_3O_3S$ MW: 323.42 EINECS: 244-260-5
LD_{50}: 295 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
382 mg/kg (R, i.v.); 3 g/kg (R, p.o.)
CN: *N*-[[(hexahydrocyclopenta[*c*]pyrrol-2(1*H*)-yl)amino]carbonyl]-4-methylbenzenesulfonamide





Reference(s):
US 3 501 495 (Science Union; 17.3.1970; GB-prior. 10.2.1966).
FR 1 510 714 (Science Union; appl. 9.2.1967; GB-prior. 10.2.1966).

Formulation(s): tabl. 40 mg, 80 mg

Trade Name(s):

D:	Diamicron (Servier Deutschland)	F:	Diamicron (Servier)	I:	Diabrezide (Molteni) Diamicron (Servier)
		GB:	Diamicron (Servier)		

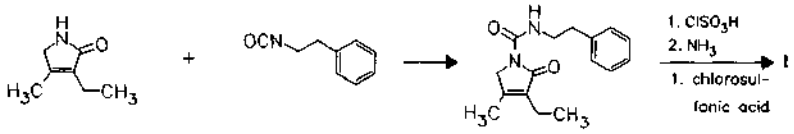
J: Glimicron (Dainippon)

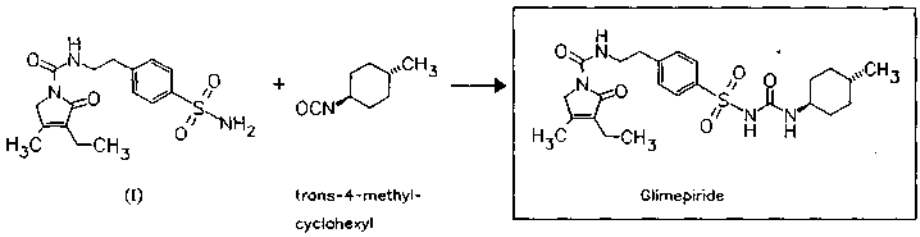
Glimepiride

(Hoe 490)

ATC: A10BB12
Use: insulin-sparing sulfonylurea, antidiabetic

RN: 93479-97-1 MF: $C_{24}H_{34}N_4O_5S$ MW: 490.63
CN: *trans*-3-ethyl-2,5-dihydro-4-methyl-*N*-[2-[4-[[[[(4-methylcyclohexyl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]-2-oxo-1*H*-pyrrole-1-carboxamide





Reference(s):
DE 2 951 135 (Hoechst AG; appl. 25.6.1981; D-prior. 19.12.1979).

preparation of 3-ethyl-4-methyl-Δ^3-pyrrolin-2-one
Siedel: Justus Liebigs Ann. Chem. (JLACBF) **554**, 144, 155 (1943).
Plieninger; Decker: Justus Liebigs Ann. Chem. (JLACBF) **598**, 198, 205 (1956).
Bishop, J.E.; Nagy, J.O.; O'Connell, J.F.; Rapoport, H.: J. Am. Chem. Soc. (JACSAT) **113** (21), 8024 (1991).
Schoenleber, R.W.; Kim, Y.; Rapoport, H.: J. Am. Chem. Soc. (JACSAT) **106** (9), 2645 (1984).
Tipton, A.; Ligthner, D.A.: Monatsh. Chem. (MOCMB7) **130** (3), 425 (1999).

for treatment of arteriosclerosis:
EP 604 853 (Hoechst Japan; appl. 6.7.1994; J-prior. 28.12.1992).

formulation:
EP 649 660 (Hoechst AG; appl. 26.4.1995; D-prior. 26.1.1993).

controlled release:
DE 4 336 159 (Hoechst AG; appl. 27.4.1994; D-prior. 22.1.1993).

for treatment of obesity:
WO 9 303 724 (Upjohn Co.; appl. 4.3.1993; USA-prior. 26.8.1991).

Formulation(s): tabl. 1 mg, 2 mg, 3 mg, 4 mg

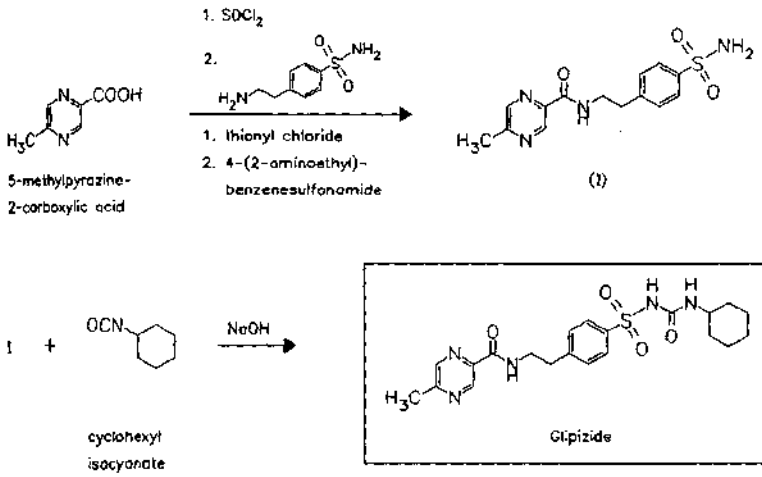
Trade Name(s):

D:	Amarel (Hoechst)	USA:	Amaryl (Hoechst Marion Roussel)
F:	Amarel (Hoechst Houdé)		

Glipizide

ATC: A10BB07
Use: antidiabetic

RN: 29094-61-9 MF: $C_{21}H_{27}N_5O_4S$ MW: 445.54 EINECS: 249-427-6
LD_{50}: >3 g/kg (M, i.p.);
1200 mg/kg (R, i.p.)
CN: *N*-[2-[4-[[[(cyclohexylamino)carbonyl]amino]sulfonyl]phenyl]ethyl]-5-methylpyrazinecarboxamide



Reference(s):
DAS 2 012 138 (Carlo Erba; appl. 14.3.1970; I-prior. 26.3.1969, 18.6.1969).
US 3 669 966 (Carlo Erba; 13.6.1972; I-prior. 26.3.1969, 18.6.1969).
Ambrogli, V. et al.: Arzneim.-Forsch. (ARZNAD) **21**, 200 (1971).

preparation of 5-methylpyrazine-2-carboxylic acid *from* 2,5-dimethylpyrazine *via oxidation:*
Stoehr: J. Prakt. Chem. (JPCEAO) (2), **51**, 464 (1895).
Stoehr: J. Prakt. Chem. (JPCEAO) (2), **47**, 480 (1893).
Kiener, A.: Angew. Chem. (ANCEAD) **104** (6), 748 (1992).
Goldberg, Yu.; Shymanska, M.: Org. Prep. Proced. Int. (OPPIAK) **23** (2), 188 (1991).

electrochemical preparation of 5-methylpyrazine-2-carboxylic acid:
Borsotti, G.P.; Foà, M.; Gatti, N.: Synthesis (SYNTBF) **1990** (3), 207.
Feldman, D. et al.: Chem. Heterocycl. Compd. (N. Y.) (CHCCAL) **31** (1), 80 (1995).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg

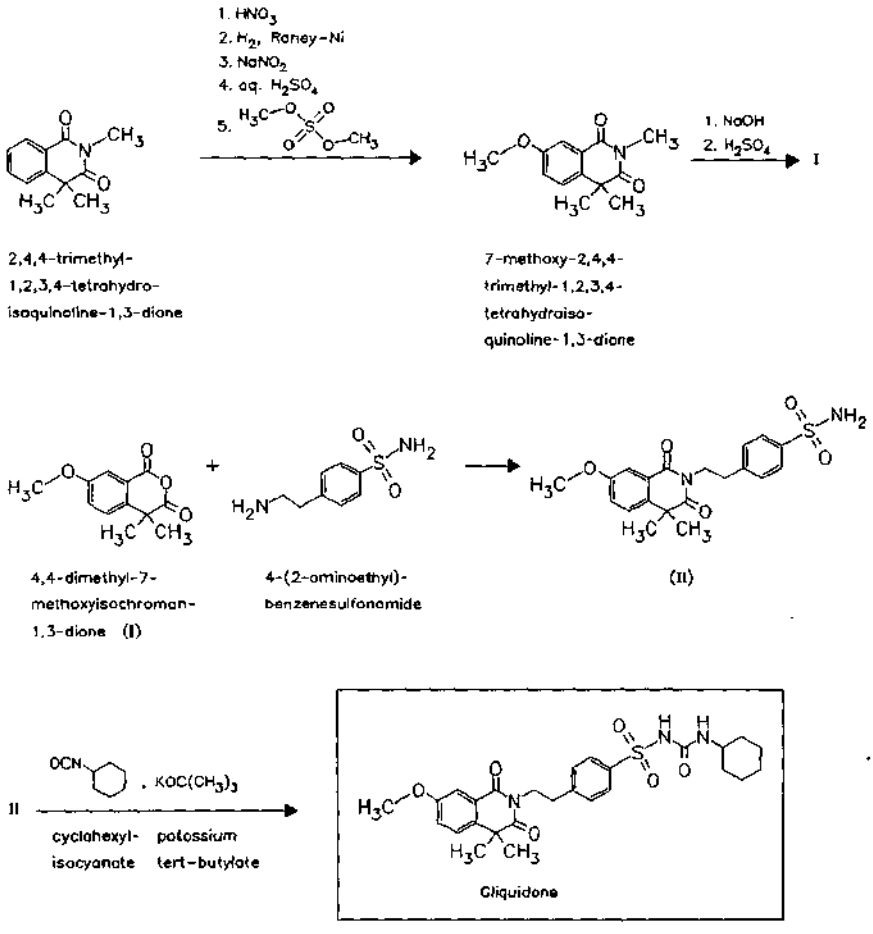
Trade Name(s):

D:	Glibenese (Pfizer; 1977)		Ozidia cp à lib modifiée (CC) (Pfizer)	I:	Minidiab (Carlo Erba; 1972)
F:	Glibénèse (Pfizer; 1974) Minidiab (Pharmacia & Upjohn; 1974)	GB:	Glibenese (Pfizer; 1975) Minodiab (Pharmacia & Upjohn; 1975)	USA:	Glucotrol (Pfizer; 1984)

Gliquidone

ATC: A10BB08
Use: antidiabetic

RN: 33342-05-1 MF: $C_{27}H_{33}N_3O_6S$ MW: 527.64 EINECS: 251-463-2
LD_{50}: 234 mg/kg (M, i.v.); >2g/kg (M, p.o.)
CN: *N*-[(cyclohexylamino)carbonyl]-4-[2-(3,4-dihydro-7-methoxy-4,4-dimethyl-1,3-dioxo-2(1*H*)-isoquinolinyl)ethyl]benzenesulfonamide



Reference(s):
DAS 2 000 339 (Thomae; appl. 5.1.1970).
DOS 2 011 126 (Thomae; appl. 10.3.1970).
US 3 708 486 (Boehringer Ing.; 2.1.1973; D-prior. 5.1.1970 and 17.4.1969).

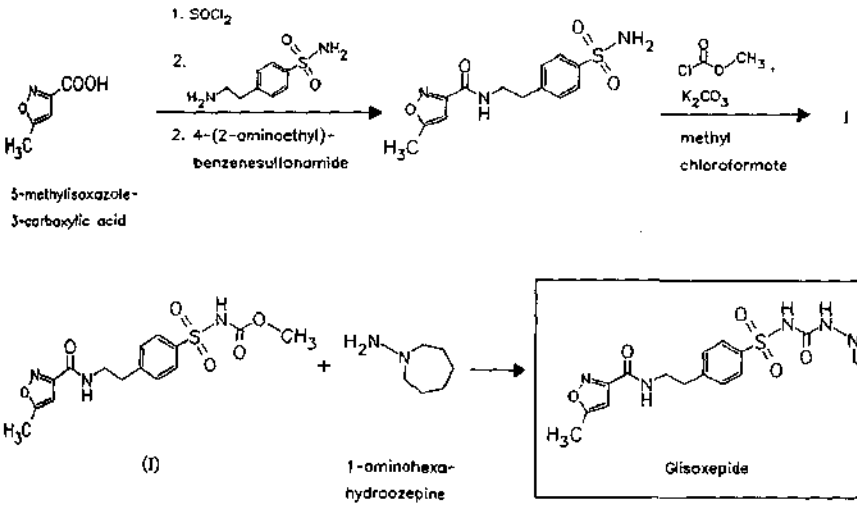
Formulation(s): tabl. 30 mg

Trade Name(s):
D: Glurenorm (Yamanouchi) GB: Glurenorm (Sanofi Winthrop) I: Glurenor (Guidotti)

Glisoxepide

ATC: A10BB11
Use: antidiabetic

RN: 25046-79-1 MF: $C_{20}H_{27}N_5O_5S$ MW: 449.53 EINECS: 246-579-5
LD_{50}: 283 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
196 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: *N*-[2-[4-[[[[(hexahydro-1*H*-azepin-1-yl)amino]carbonyl]amino]sulfonyl]phenyl]ethyl]-5-methyl-3-isoxazolecarboxamide



Reference(s):
DE 1 670 952 (Bayer; appl. 25.11.1967).
US 3 668 215 (Bayer; 6.6.1972; D-prior. 25.11.1967).
Plümpe, H. et al.: Arzneim.-Forsch. (ARZNAD) **24**, 363 (1974).

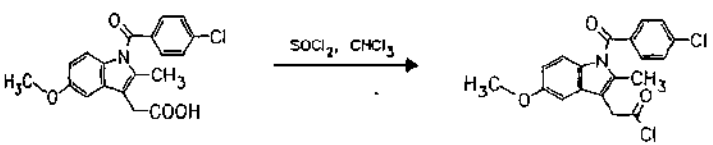
Formulation(s): tabl. 4 mg

Trade Name(s):
D: Pro-Diaban (Bayer Vital) I: Glucoben (Farmades); wfm

Glucametacin

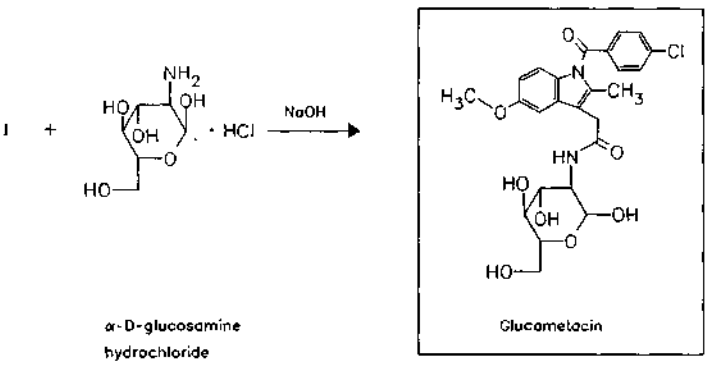
ATC: A02A
Use: anti-inflammatory

RN: 52443-21-7 MF: $C_{25}H_{27}ClN_2O_8$ MW: 518.95 EINECS: 257-923-9
CN: 2-[[[1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indol-3-yl]acetyl]amino]-2-deoxy-D-glucose



indometacin (q. v.)

1-(4-chlorobenzoyl)-2-methyl-5-methoxyindol-3-acetyl chloride (I)



Reference(s):
DOS 2 223 051 (SIR; appl. 12.5.1972; I-prior. 9.5.1972).

Formulation(s): cps. 70 mg, 140 mg

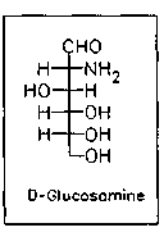
Trade Name(s):
I: Teorema (Farmades); wfm Teoremac (Sir); wfm

D-Glucosamine
(Chitosamine)

ATC: M01AX05
Use: antirheumatic, antiarthritic

RN: 3416-24-8 MF: $C_6H_{13}NO_5$ MW: 179.17 EINECS: 222-311-2
CN: 2-amino-2-deoxy-D-glucose

hydrochloride
RN: 66-84-2 MF: $C_6H_{13}NO_5 \cdot HCl$ MW: 215.63 EINECS: 200-638-1
sulfate (2:1)
RN: 14999-43-0 MF: $C_6H_{13}NO_5 \cdot 1/2H_2O_4S$ MW: 456.42 EINECS: 239-088-2
hydriodide
RN: 14999-44-1 MF: $C_6H_{13}NO_5 \cdot HI$ MW: 307.08 EINECS: 239-089-8



Unit of chitin, mucoproteins and mucopolysaccharids, obtained by hydrolysis with HCl.

Reference(s):
Ledderhose, G.: Z. Physiol. Chem. (ZPCHA5) **2**, 213 (1878).

preparation of glucosamine salts:
GB 1 056 331 (Rotta Research; appl. 15.1.1964; I-prior. 18.1.1963).

stable complex from glucosamine sulfate *and* NaCl:
GB 2 101 585 (Rotta Research; appl. 26.4.1982; I-prior. 30.4.1981).

combination of glucosamine sulfate *and* hydriodide *for therapy of rheumatoid arthritis and osteoarthritis:*
US 3 683 076 (L. Rovati; 8.8.1972; I-prior. 26.10.1968).

Formulation(s): drg. 200 mg, 250 mg (as sulfate); vial 400 mg (as sulfate)

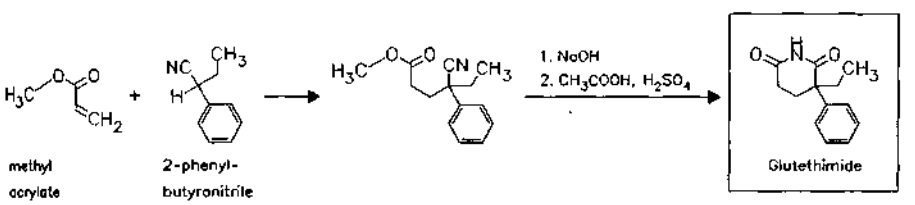
Trade Name(s):

D:	Dona-200 S (Opfermann)	I:	Dona (Rottapharm; as sulfate)

Glutethimide

ATC: N05CE01
Use: hypnotic, sedative

RN: 77-21-4 MF: $C_{13}H_{15}NO_2$ MW: 217.27 EINECS: 201-012-0
LD_{50}: 360 mg/kg (M, p.o.);
600 mg/kg (R, p.o.);
500 mg/kg (dog, p.o.)
CN: 3-ethyl-3-phenyl-2,6-piperidinedione



Reference(s):
US 2 673 205 (Ciba; 1954; CH-prior. 1951).
DE 950 193 (Ciba; appl. 1952; CH-prior. 1951).

Formulation(s): cps.; tabl. 250 mg, 500 mg

Trade Name(s):

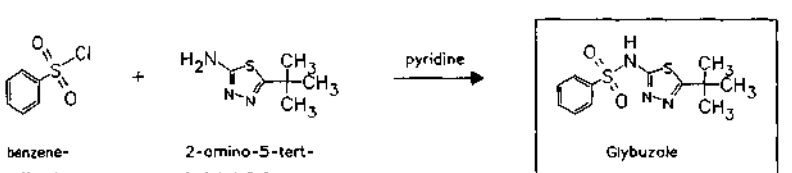
D:	Doriden (Ciba); wfm	I:	Doriden (Ciba); wfm	USA:	Doriden (USV); wfm
F:	Doridéne (Ciba); wfm	J:	Doriden (Ciba-Geigy-Takeda)		generic
GB:	Doriden (Ciba); wfm				

Glybuzole

(Desaglybuzole)

ATC: V03AH
Use: antidiabetic

RN: 1492-02-0 MF: $C_{12}H_{15}N_3O_2S_2$ MW: 297.40 EINECS: 216-081-2
LD_{50}: 193 mg/kg (M, i.v.); 550 mg/kg (M, p.o.);
500 mg/kg (R, p.o.)
CN: *N*-[5-(1,1-dimethylethyl)-1,3,4-thiadiazol-2-yl]benzenesulfonamide



Reference(s):
GB 822 947 (Smith & Nephew; appl. 1957; valid from 1958).
FR-M 3 389 (Rhône-Poulenc; appl. 27.1.1964).

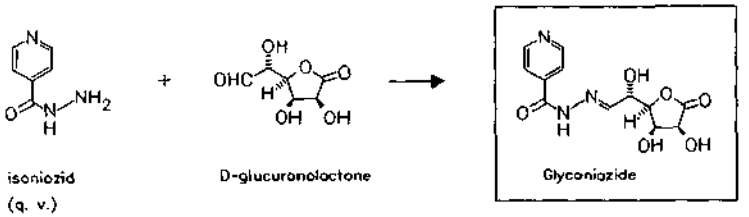
Formulation(s): 250 mg (oral)

Trade Name(s):
J: Gludiase (Kyowa Hakko)

Glyconiazide
(Gluconiazide)

ATC: J04A
Use: tuberculostatic

RN: 3691-74-5 MF: $C_{12}H_{13}N_3O_6$ MW: 295.25 EINECS: 223-005-1
LD_{50}: 641 mg/kg (M, i.v.); 748 mg/kg (M, p.o.);
1763 mg/kg (R, i.v.); 6423 mg/kg (R, p.o.)
CN: glucuronic acid γ-lactone 1-[(4-pyridinylcarbonyl)hydrazone]



Reference(s):
US 2 940 899 (Univ. of California; 14.6.1960; prior. 28.9.1953).
Sah, P.P.T.: J. Am. Chem. Soc. (JACSAT) **75**, 2512 (1953).

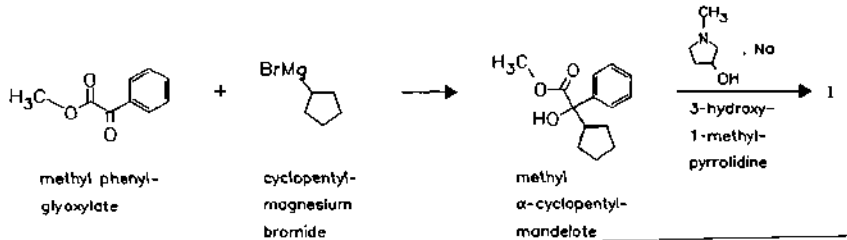
Formulation(s): (oral) 0.015 g/kg

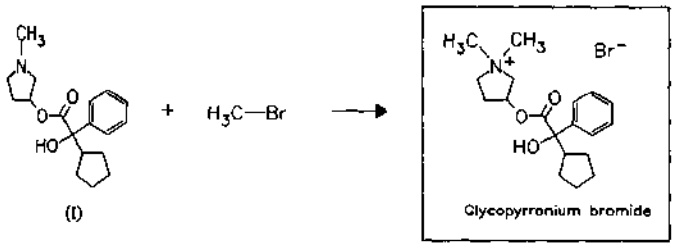
Trade Name(s):
D: Gluronazid (Hormon-Chemie); wfm
Isozidoron 444 (Saarstickstoff)-comb.; wfm
I: Glucazide (Stholl); wfm
J: Hydronsan (Chugai)

Glycopyrronium bromide
(Glycopyrrolate)

ATC: A03AB02
Use: anticholinergic, antispasmodic

RN: 596-51-0 MF: $C_{19}H_{28}BrNO_3$ MW: 398.34 EINECS: 209-887-0
LD_{50}: 15 mg/kg (M, i.v.); 570 mg/kg (M, p.o.);
709 mg/kg (R, p.o.)
CN: 3-[(cyclopentylhydroxyphenylacetyl)oxy]-1,1-dimethylpyrrolidinium bromide





Reference(s):
US 2 956 062 (A. H. Robins; 11.10.1960; prior. 26.2.1959).

Formulation(s): amp. 0.2 mg/ml, 500 µg/ml

Trade Name(s):

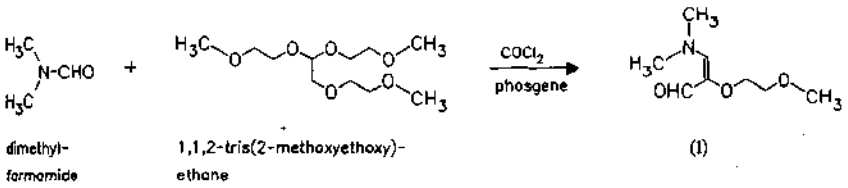
D:	Robinul (Brenner-Efeka)	GB:	Robinul (Anpharm)	USA:	Robinul (Robins)
F:	Asécryl (Martinet); wfm	J:	Robinul (Kaken)		

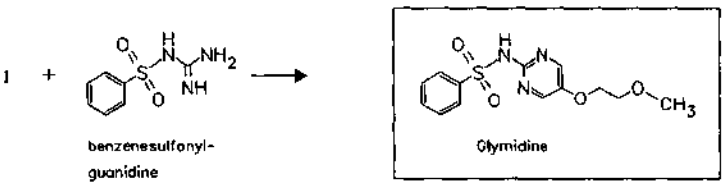
Glymidine
(Glycodiazin)

ATC: A10BC01
Use: antidiabetic

RN: 339-44-6 MF: $C_{13}H_{15}N_3O_4S$ MW: 309.35 EINECS: 206-426-5
LD_{50}: 3100 mg/kg (R, p.o.)
CN: *N*-[5-(2-methoxyethoxy)-2-pyrimidinyl]benzenesulfonamide

sodium salt
RN: 3459-20-9 MF: $C_{13}H_{14}N_3NaO_4S$ MW: 331.33 EINECS: 222-399-2
LD_{50}: 3100 mg/kg (R, p.o.)





Reference(s):
US 3 275 635 (Schering AG; 27.9.1966; D-prior. 18.10.1960, 22.2.1961, 23.2.1961).
DAS 1 445 142 (Schering AG; appl. 22.2.1961).
DAS 1 445 146 (Schering AG; appl. 9.9.1961; addition to DAS 1 445 142).
Gutsche, K. et al.: Arzneim.-Forsch. (ARZNAD) **14**, 373 (1964).

Formulation(s): tabl. 0.5 g, 1 g (as sodium salt)

Trade Name(s):
D: Redul (Bayer-Schering); wfm

	Redul plus (Bayer-Schering)-comb. with buformin; wfm Redul 28 (Schering); wfm	F:	Glyconormal (Bayer-Pharma); wfm Gondafon (SEPPS); wfm	I:	Glycanol (Bayer); wfm Gondafon (Schering); wfm
		GB:	Gondafon (Schering Chemicals); wfm	J:	Lycanol (Bayer-Yoshitomi)

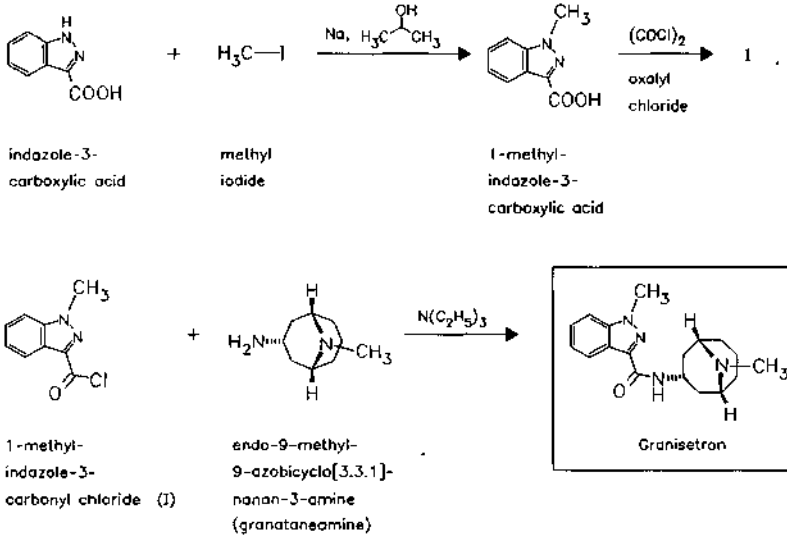
Granisetron

(BRL-43694)

ATC: A04AA02
Use: anti-emetic, 5-HT_3-antagonist

RN: 109889-09-0 MF: $C_{18}H_{24}N_4O$ MW: 312.42
CN: *endo*-1-methyl-*N*-(9-methyl-9-azabicyclo[3.3.1]non-3-yl)-1*H*-indazole-3-carboxamide

monohydrochloride
RN: 107007-99-8 MF: $C_{18}H_{24}N_4O \cdot HCl$ MW: 348.88
LD_{50}: 17 mg/kg (M, i.v.); 350 mg/kg (M, p.o.);
14 mg/kg (R, i.v.); 350 mg/kg (R, p.o.)



Reference(s):
EP 200 444 (Beecham; appl. 21.4.1986; GB-prior. 27.4.1985, 21.10.1985).
Bermudez, J. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **4** (20), 2376 (1994).

alternative synthesis:
WO 9 730 049 (SmithKline Beecham; appl. 11.2.1992; GB-prior. 13.2.1996).

synthesis of 1-methylindazole-3-carboxylic acid:
EP 323 105 (Beecham; appl. 19.12.1988; GB-prior. 22.12.1987).
Bermudez, J. et al.: J. Med. Chem. (JMCMAR) **33**, 1924 (1990).

synthesis of granataneamine:
Jones, G.; Stanger, J.: J. Chem. Soc. C (JSOOAX) **1969**, 901.

medical use for treatment of CNS and cognitive disorders:
EP 223 385 (Beecham; appl. 7.10.1986; GB-prior. 21.10.1985).
EP 279 990 (Glaxo; appl. 16.12.1987; GB-prior. 17.12.1986, 25.3.1987).

medical use for treatment of withdrawal syndrome:
EP 278 161 (Glaxo; appl. 20.11.1987; GB-prior. 21.11.1986, 25.3.1987).
EP 279 114 (Glaxo; appl. 20.11.1987; GB-prior. 21.11.1986, 25.3.1987).

medical use for treatment of visceral pain:
EP 279 512 (Beecham; appl. 18.1.1988; GB-prior. 19.1.1987).
US 4 845 092 (Beecham; 4.7.1989; appl. 19.1.1988; GB-prior. 19.1.1987).

medical use for treatment of cough and bronchoconstriction:
EP 340 270 (Beecham; appl. 14.11.1988; GB-prior. 14.11.1987).

medical use for treatment of myocardial instability:
WO 9 109 593 (Beecham; appl. 20.12.1990; GB-prior. 21.12.1989).

Formulation(s): amp. I mg, 3 mg; tabl. I mg (as hydrochloride)

Trade Name(s):

D:	Kevatril (Bristol-Myers Squibb/SmithKline Beecham)	GB:	Kytril (SmithKline Beecham)		Sedobex (Ecobi)-comb.
F:	Kytril (SmithKline Beecham; 1991)	I:	Broncosedina (Farma)-comb. Kytril (SmithKline Beecham)	J:	Kytril (SmithKline Beecham)
				USA:	Kytril (SmithKline Beecham)

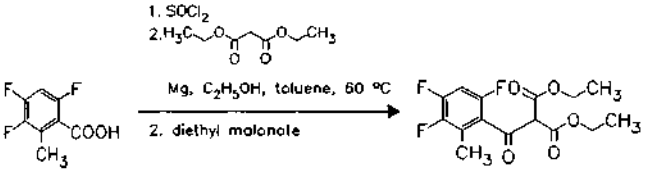
Grepafloxacin

(OPC-17116)

ATC: J01MA11
Use: antibacterial (gyrase inhibitor)

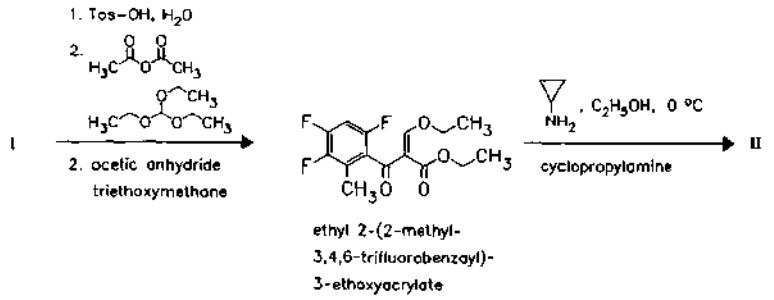
RN: 119914-60-2 MF: $C_{19}H_{22}FNO_3$ MW: 331.39
CN: 1-cyclopropyl-6-fluoro-1,4-dihydro-5-methyl-7-(3-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid

(±)-form
RN: 146863-02-7 MF: $C_{19}H_{22}FNO_3$ MW: 331.39
(±)-monohydrochloride
RN: 161967-81-3 MF: $C_{19}H_{22}FNO_3 \cdot HCl$ MW: 367.85
LD_{50}: 69.2 mg/kg (M, i.v.); 3900 mg/kg (M, p.o.); 152 mg/kg (R, i.v.); 3029 mg/kg (R, p.o.)

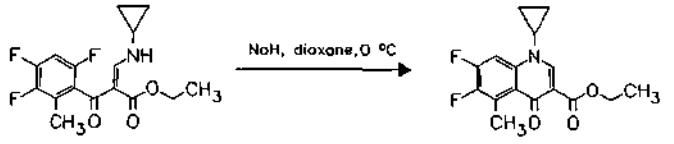


2-methyl-3,4,6-trifluorobenzoic acid

diethyl (2-methyl-3,4,6-trifluorobenzoyl)-malonate (I)

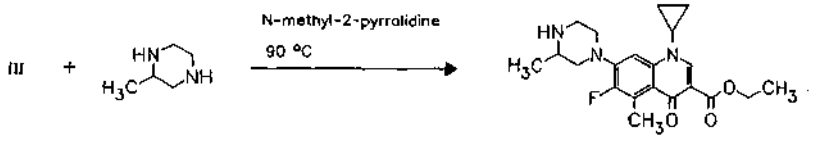


ethyl 2-(2-methyl-3,4,6-trifluorobenzoyl)-3-ethoxyacrylate



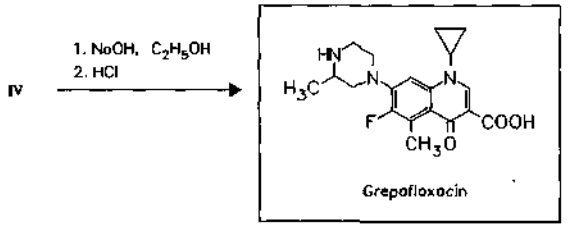
ethyl 2-(2-methyl-3,4,6-trifluorobenzoyl)-3-cyclopropylamino-acrylate (II)

ethyl 1-cyclopropyl-6,7-difluoro-5-methyl-1,4-dihydro-4-oxo-quinoline-3-carboxylate (III)



2-methyl-piperazine

(IV)



Grepafloxacin

Reference(s):
EP 287 951 (Otsuka Pharm.; appl. 14.4.1988; J-prior. 16.4.1987).
EP 364 943 (Otsuka Pharm.; appl. 17.10.1989; J-prior. 20.10.1988).

melt-extruded polymeric material:
JP 08 280 790 (Otsuka Pharm.; appl. 17.4.1995).

use as fungicide:
JP 07 149 647 (Daiichi Seiyaku; appl. 8.9.1994; USA-prior. 8.9.1993).

Formulation(s): f. c. tabl. 400 mg, 600 mg (as hydrochloride)

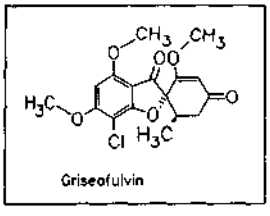
Trade Name(s):

D:	Vaxar (Glaxo Wellcome/ Cascan); wfm	J:	Lungaskin (Otsuka; as hydrochloride); wfm	USA:	Raxar (Glaxo Wellcome; 1997); wfm

Griseofulvin

ATC: D01AA08; D01BA01
Use: antifungal antibiotic

RN: 126-07-8 MF: $C_{17}H_{17}ClO_6$ MW: 352.77 EINECS: 204-767-4
LD_{50}: 280 mg/kg (M, i.v.); >50 g/kg (M, p.o.);
400 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: (1'*S*-*trans*)-7-chloro-2',4,6-trimethoxy-6'-methylspiro[benzofuran-2(3*H*),1'-[2]cyclohexene]-3,4'dione



From fermentation solutions of *Penicillium patulum*.

Reference(s):
GB 784 618 (Glaxo; appl. 28.3.1955).
US 2 900 304 (ICI; 18.8.1959; GB-prior. 21.9.1956).
US 3 038 839 (Glaxo; 12.6.1962; GB-prior. 2.3.1959).
US 3 069 328 (Glaxo; 18.12.1962; GB-prior. 4.5.1960).
US 3 069 329 (Glaxo; 18.12.1962; GB-prior. 4.5.1960).

pharmaceutical formulation with polyethyleneglycol:
US 4 151 273 (Univ. of California; 24.4.1979; prior. 2.1.1970, 2.12.1970, 13.4.1972, 31.10.1974, 13.6.1978).

total syntheses:
Brossi, A. et al.: Helv. Chim. Acta (HCACAV) **43**, 1444 (1960).
Day, A.C. et al.: Proc. Chem. Soc., London (PCSLAW), **1960**, 284.
Kuo, C.H. et al.: Chem. Ind. (London) (CHINAG), **1960**, 1627.
Stork, G. et al.: J. Am. Chem. Soc. (JACSAT) **84**, 310 (1962).

Formulation(s): cps. 125 mg, 250 mg; cream 5 g/100 g; tabl. 125 mg, 165 mg, 330 mg, 500 mg

Trade Name(s):

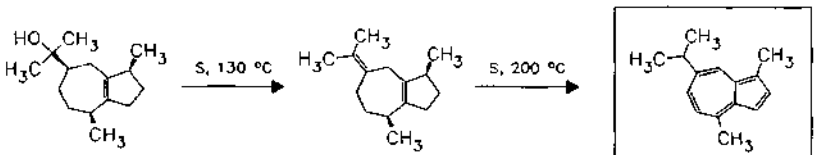
D:	Fulcin S (Zeneca)		Griséfuline (Sanofi Winthrop)		Grisetin (Nippon Kayaku)
	Gricin Creme (LAW)				Grisovin (Fujisawa)
	Gricin Tabl. (ASTA Medica AWD)	GB:	Fulcin (Zeneca)		Guservin (Chugai)
			Grisovin (Glaxo Wellcome)	USA:	Fulvicin P/G (Schering)
	griseco (ct-Arzneimittel)	I:	Fulcin (SIT)		Grifulvin V (Ortho Dermatological)
	Likuden M (Hoechst)		Griseofulvina (Scfm)		
F:	Fulcine (Zeneca Pharma)		Grisovina Fp (Teofarma)		Grisactin (Wyeth-Ayerst)
		J:	Grifulvin (Yamanouchi)		Gris-PEG (Allergan)

Guaiazulene

(Guajazulene)

ATC: S01XA01
Use: anti-inflammatory

RN: 489-84-9 MF: $C_{15}H_{18}$ MW: 198.31 EINECS: 207-701-2
LD_{50}: 1220 mg/kg (M, p.o.);
1550 mg/kg (R, p.o.)
CN: 1,4-dimethyl-7-(1-methylethyl)azulene



Reference(s):
CH 314 487 (Dr. B. Joos; appl. 1953).

Formulation(s): cream; drg. 20 mg; ointment (ethanolic camomile extract)

Trade Name(s):

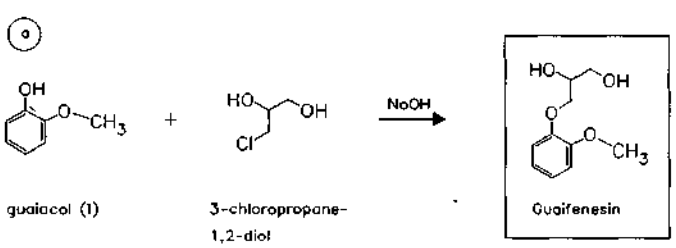
D:	Azulon Kamillen Creme (ASTA Medica AWD)		Thrombocid (bene-Arzneimittel)-comb.	I:	Azulon (Armour Med.); wfm
	Azupanthenol (Parke Davis)-comb.	F:	Cicatryl (Evans Medical)-comb.		Azulon (Rorer); wfm
	Garmastan (Protina)		Pepsane (Rosa-Phytopharma)-comb.	J:	Azulon-Homburg (Daito)

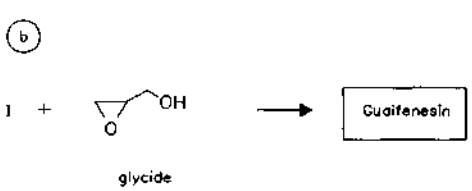
Guaifenesin

(Guajacolglycerinäther; Guaiphenesin)

ATC: R05CA03
Use: muscle relaxant, expectorant

RN: 93-14-1 MF: $C_{10}H_{14}O_4$ MW: 198.22 EINECS: 202-222-5
LD_{50}: 400 mg/kg (M, i.v.); 690 mg/kg (M, p.o.); 360 mg/kg (R, i.v.); 1510 mg/kg (R, p.o.); 335 mg/kg (dog, i.v.)
CN: 3-(2-methoxyphenoxy)-1,2-propanediol





Reference(s):
GB 628 497 (British Drug Houses; appl. 1948).
Marle, E.R.: J. Chem. Soc. (JCSOA9) **101**, 305 (1912).
Yale, H.L. et al.: J. Am. Chem. Soc. (JACSAT) **72**, 3710 (1950).

Formulation(s): cps. 200 mg; elixir 200 mg/5 ml; sol. 100 mg; syrup 100 mg, 200 mg/15 ml; tabl. 200 mg, 600 mg

Trade Name(s):

D: Anastil (Eberth)-comb.
Bricantyl (Astra)-comb. with terbutaline sulfate
Dolestan forte (Whitehall-Much)-comb.
Fagusan (Spreewald Pharma)
Gufen (Steigerwald)
Nephulon (Redel)
Pulmotin (Serum-Werk Bernburg)
Wick Daymed (Wick Pharma)-comb.
Wick Formel 44 (Wick Pharma)
Wick Kinder Formel 44 (Wick Pharma)

F: Bronchospray (Tissot)-comb.
Catabex (Darcy)-comb.
Dimetane expectorant (Whitehall)-comb.
Hexapneumine/-composé (Doms)-comb.
Nortussine (Norgine Pharma)-comb.
Polaramine pectoral (Schering-Plough)-comb.
Pulmofluide (Phygiène)-comb.
Rectoplexil (Théraplix)-comb.
Sédophon pectoral (Mayoly-Spindler)-comb.
Toplexil (Théraplix)-comb.
numerous combination preparations

GB: Bricanyl compound (Astra)-comb.; wfm
Dimotane expect. (Robins)-comb.; wfm
Entair (Duncan, Flockhart)-comb.; wfm
Franol expect. (Winthrop)-comb.; wfm
Lotussin (Searle)-comb.; wfm
Nethaprin expect. (Merrell Dow)-comb.; wfm
Noradran (Norma)-comb.; wfm
Pholcomed expect. (Medo); wfm
Robitussin (Robins); wfm
Terpoin (Hough, Hoseason); wfm

I: Broncovanil (Scharper)
Chymoser Balsamico (Serono)-comb.
Donatiol (AGIPS)-comb.
Fepramol (Schwarz)-comb.
Idropulmina/-composta (ISI)
Lanactin scir. (Lepetit)-comb.
Polarmin Espet. scir. (Essex)-comb.
Pumilene (Montefarmaco)-comb.
Resyl (Ciba)
Rettocistin (Edmond)-comb.
Ribexen Espet. (Formenti)-comb.
Robitussin (Proter)
Torfan (Abbott)-comb.
Tuscalman Berna (Berna)-comb.
Ventolin Espet. (Glaxo)-comb.

J: Fustosil (Kyoto)

USA: numerous combination preparations

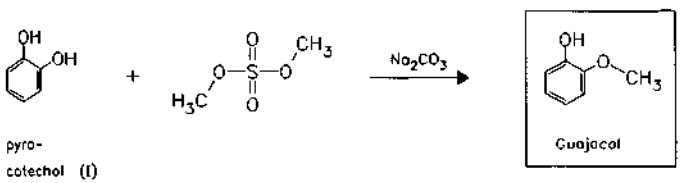
Guajacol

(Gaiacol; Guaiacolina; Guajol; Methylcatechol)

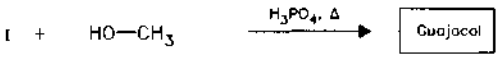
ATC: R05CA
Use: expectorant, antiseptic

RN: 90-05-1 MF: $C_7H_8O_2$ MW: 124.14 EINECS: 201-964-7
LD_{50}: 170 mg/kg (M, i.v.); 621 mg/kg (M, p.o.); 520 mg/kg (R, p.o.)
CN: 2-methoxyphenol

phenylacetate
RN: 4112-89-4 MF: $C_{15}H_{14}O_3$ MW: 242.27



pyrocotechol (I)



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **18**, 226.

Formulation(s): amp. 50 mg, 75 mg; drg. 100 mg; inhalation sol. 75 mg; syrup 50 mg; suppos. 500 mg (as phenylacetate)

Trade Name(s):

D: Anastil (Eberth)
Dalet Med Balsam (Mauermann)-comb.
Infekt-Komplex Ho-Fu-Complex (Pharma Liebermann)-comb.

I: Eucaliptina (Zoja)-comb.
Fosfaguaiacol (Ogna)-comb.
Lacotocol (Ogna)-comb.
Lipobalsamo (Parke Davis)-comb.

generics

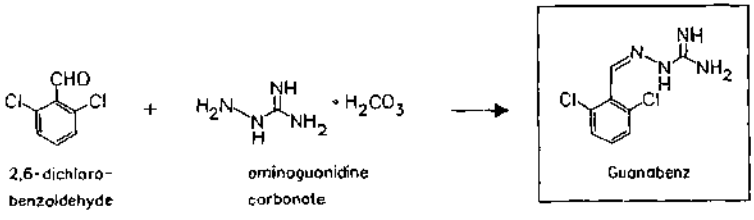
J: Hustosil (Kyoto-Sumitomo)-comb.

Guanabenz

ATC: C02
Use: antihypertensive

RN: 5051-62-7 MF: $C_8H_8Cl_2N_4$ MW: 231.09 EINECS: 225-750-8
CN: 2-[(2,6-dichlorophenyl)methylene]hydrazinecarboximidamide

monoacetate
RN: 23256-50-0 MF: $C_8H_8Cl_2N_4 \cdot C_2H_4O_2$ MW: 291.14 EINECS: 245-534-7
LD_{50}: 260 mg/kg (M, p.o.);
238 mg/kg (R, p.o.)



Reference(s):
DOS 1 802 364 (Wyeth; appl. 10.10.1968; USA-prior. 12.10.1967).
Baum, T. et al.: Experientia (EXPEAM) **25**, 1066 (1969).

Formulation(s): tabl. 4 mg, 8 mg, 16 mg (as acetate)

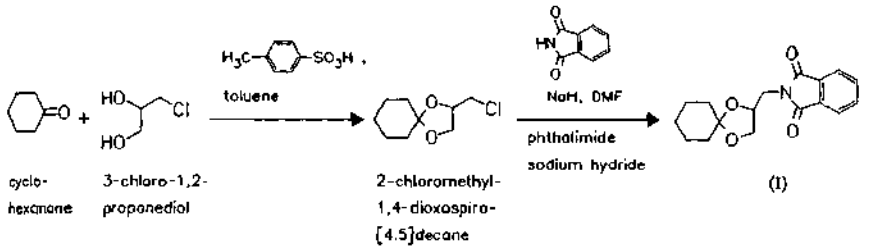
Trade Name(s):

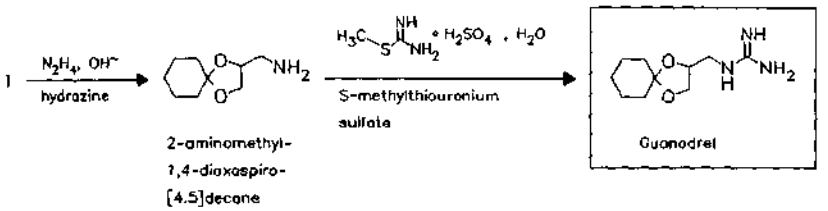
D: Wytensin (Wyeth); wfm
I: Rexitene (LPB); wfm
Rexitene/-plus (LPB); wfm
J: Wytens (Nippon Shoji)
USA: Wytensin (Wyeth-Ayerst; as acetate)

Guanadrel

ATC: C02
Use: antihypertensive

RN: 40580-59-4 MF: $C_{10}H_{19}N_3O_2$ MW: 213.28
CN: (1,4-dioxaspiro[4.5]dec-2-ylmethyl)guanidine





Reference(s):
FR 1 522 153 (Cutter Lab.; appl. 2.5.1967; USA-prior. 3.5.1966).

Formulation(s): tabl. 10 mg, 25 mg (as sulfate)

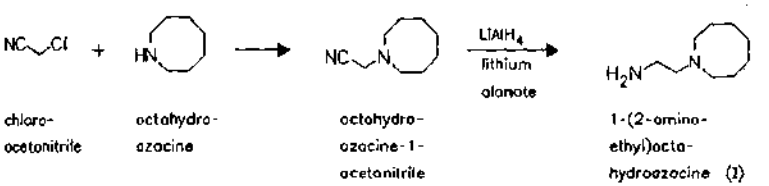
Trade Name(s):
USA: Hylorel (Medeva; as sulfate)

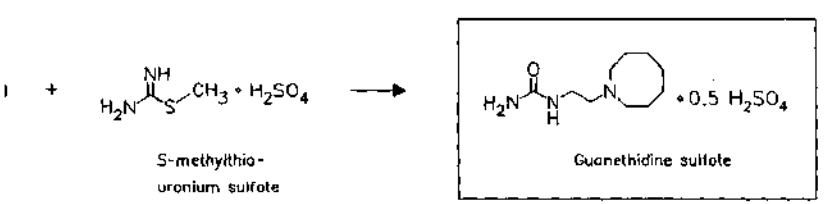
Guanethidine sulfate

ATC: C02CC02; S01EX01
Use: antihypertensive

RN: 60-02-6 MF: $C_{10}H_{22}N_4 \cdot 1/2H_2O_4S$ MW: 494.71 EINECS: 200-452-0
LD_{50}: 18 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 1 g/kg (R, p.o.)
CN: [2-(hexahydro-1(2*H*)-azocinyl)ethyl]guanidine sulfate (2:1)

guanethidine
RN: 55-65-2 MF: $C_{10}H_{22}N_4$ MW: 198.31 EINECS: 200-241-3





Reference(s):
US 2 928 829 (Ciba; 15.3.1960; prior. 10.6.1958).

alternative syntheses:
US 3 006 913 (Ciba; 31.10.1961; appl. 10.6.1959).
US 3 055 882 (Ciba; 25.9.1962; appl. 10.6.1959).

Formulation(s): eye drops 5 %, 10 %tabl. 10 mg, 25 mg

Trade Name(s):

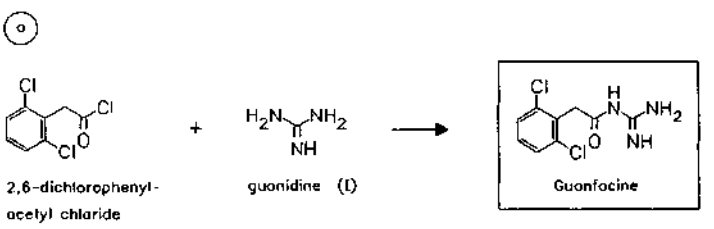
D:	Esimil (Novartis Pharma)-comb.	F:	Isméline (CIBA Vision Ophthalmics)	J:	Ismelin (Novartis-Takeda)
	Suprexon (CIBA Vision)-comb.	GB:	Ganda (Chauvin)-comb.	USA:	Esimil (Novartis)-comb.; wfm
	Thilodigon (Alcon)-comb.		Ismelin (Novartis)		Ismelin (Novartis); wfm
		I:	Visutensil (Merck Sharp & Dohme)		

Guanfacine

ATC: C02CC
Use: antihypertensive, α-adrenoceptor agonist

RN: 29110-47-2 MF: $C_9H_9Cl_2N_3O$ MW: 246.10 EINECS: 249-442-8
LD_{50}: 165 mg/kg (M, p.o.)
CN: *N*-(aminoiminomethyl)-2,6-dichlorobenzeneacetamide

monohydrochloride
RN: 29110-48-3 MF: $C_9H_9Cl_2N_3O \cdot HCl$ MW: 282.56 EINECS: 249-443-3
LD_{50}: 25 mg/kg (M, i.v.); 16 mg/kg (M, p.o.);
5800 µg/kg (R, i.v.); 210 mg/kg (R, p.o.)



Reference(s):
US 3 632 645 (Dr. A. Wander; 4.1.1972; appl. 23.9.1968; CH-prior. 26.9.1967).
DE 1 793 483 (Dr. A. Wander; appl. 24.9.1968; CH-prior. 26.9.1967).
Bream, J.B. et al.: Arzneim.-Forsch. (ARZNAD) **25**, 1477 (1975).

alternative syntheses:
CH 511 816 (Dr. A. Wander; appl. 26.2.1969).
CH 518 910 (Dr. A. Wander; appl. 14.11.1969).

Formulation(s): tabl. 1 mg, 2 mg (as hydrochloride)

Trade Name(s):

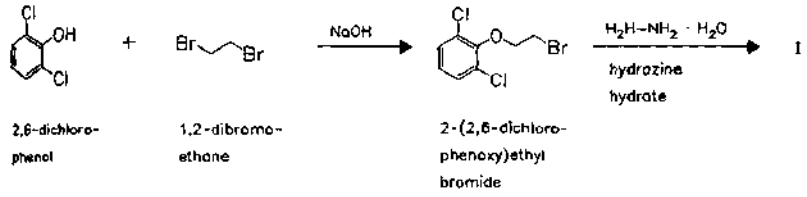
D:	Estulic-Wander (Novartis Pharma; 1980)	F:	Estulic (Novartis; 1981)	USA:	Tenex (Robins; 1987)
		J:	Estulic (Sandoz-Sankyo)		

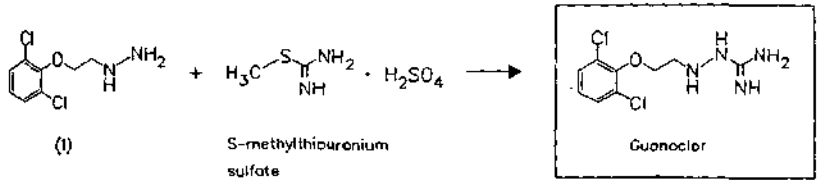
Guanoclor

ATC: C02CC05
Use: antihypertensive

RN: 5001-32-1 MF: $C_9H_{12}Cl_2N_4O$ MW: 263.13 EINECS: 225-667-7
CN: 2-[2-(2,6-dichlorophenoxy)ethyl]hydrazinecarboximidamide

sulfate (2:1)
RN: 551-48-4 MF: $C_9H_{12}Cl_2N_4O \cdot 1/2H_2SO_4$ MW: 624.33 EINECS: 208-996-0





Reference(s):
BE 629 613 (Pfizer; appl. 14.3.1963; GB-prior. 15.3.1962, 20.7.1962).
US 3 271 448 (Pfizer; 6.9.1966; GB-prior. 15.3.1962, 20.7.1962).
Augstein, J. et al.: J. Med. Chem. (JMCMAR) **8**, 395 (1965).

Formulation(s): tabl. 10 mg, 40 mg (as sulfate)

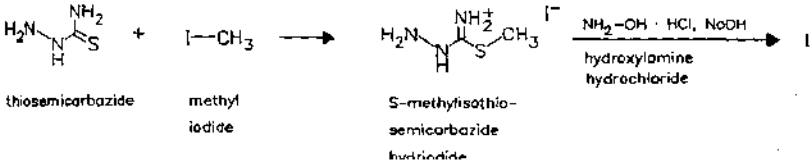
Trade Name(s):

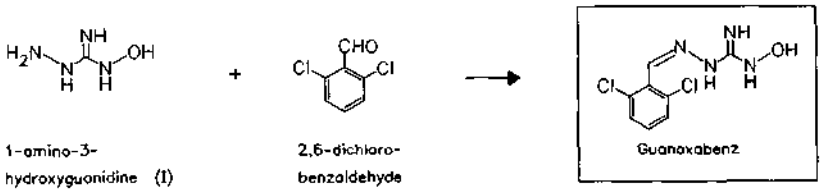
GB:	Vatensol (Pfizer); wfm			USA:	Vatensol (Pfizer); wfm

Guanoxabenz

ATC: C02CC07
Use: antihypertensive

RN: 24047-25-4 MF: $C_8H_8Cl_2N_4O$ MW: 247.09
CN: 2-[(2,6-dichlorophenyl)methylene]-*N*-hydroxyhydrazinecarboximidamide





Reference(s):
DOS 1 902 449 (Sandoz; appl. 18.1.1969; USA-prior. 22.1.1968, 10.7.1968, 16.9.1968).
US 3 591 636 (Sandoz; 6.7.1971; prior. 22.1.1968, 10.7.1968, 16.9.1968).

Formulation(s): vial 5 mg; tabl. 25 mg

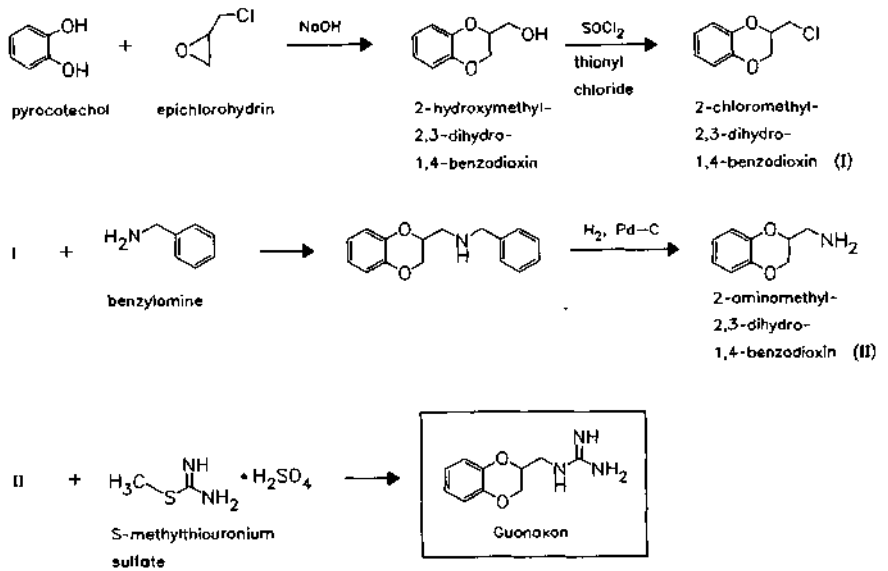
Trade Name(s):
F: Benzerial (Houdé); wfm

Guanoxan

ATC: C02CC03
Use: antihypertensive

RN: 2165-19-7 MF: $C_{10}H_{13}N_3O_2$ MW: 207.23
CN: [(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]guanidine

sulfate (2:1)
RN: 5714-04-5 MF: $C_{10}H_{13}N_3O_2 \cdot 1/2H_2SO_4$ MW: 512.54
LD_{50}: 161 mg/kg (M, i.p.)



Reference(s):
US 3 247 221 (Pfizer; 19.4.1966; appl. 16.5.1963; GB-prior. 22.5.1962).
Augstein, J. et al.: J. Med. Chem. (JMCMAR) **8**, 446 (1965).

Formulation(s): tabl. 10 mg

Trade Name(s):

F: Envacar (Pfizer); wfm | Envarése (Pfizer)-comb.; wfm | GB: Envacar (Pfizer); wfm | USA: Envacar (Pfizer); wfm

Gusperimus trihydrochloride

(BMY-42215-1; BMS-181173; Deoxyspergualin hydrochloride; DSG; NKT-01; NSC-356894)

ATC: L01; L04

Use: antineoplastic, immunosuppressive, multiple sclerosis therapeutic, antiangiogenic, disease modifying drug, systemic lupus erythematosus therapeutic

RN: 85468-01-5 MF: $C_{17}H_{37}N_7O_3 \cdot 3HCl$ MW: 496.91

LD_{50}: 35 mg/kg (M, i.v.)

CN: 7-[(aminoiminomethyl)amino]-*N*-[2-[[4-[(3-aminopropyl)amino]butyl]amino]-1-hydroxy-2-oxoethyl]heptanamide

S-(–)-form

RN: 84937-45-1 MF: $C_{17}H_{37}N_7O_3 \cdot 3HCl$ MW: 496.91

LD_{50}: 35 mg/kg (M, i.v.)

base (racemate)

RN: 104317-84-2 MF: $C_{17}H_{37}N_7O_3$ MW: 387.53

S-(–)-base

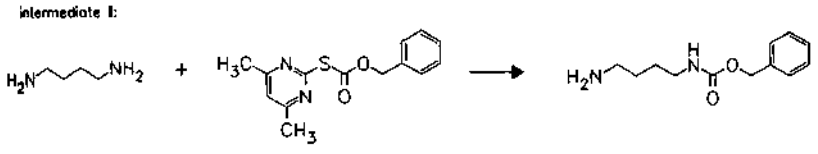
RN: 89149-10-0 MF: $C_{17}H_{37}N_7O_3$ MW: 387.53

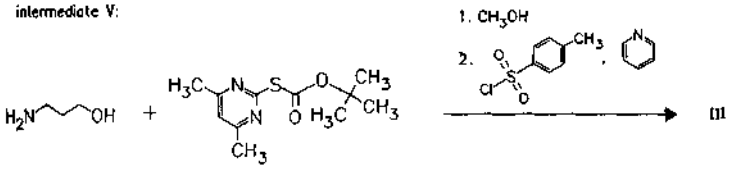
R-(+)-base

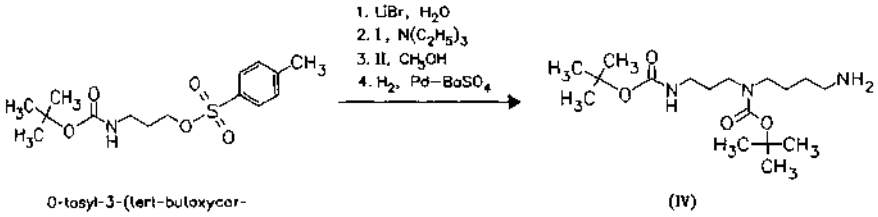
RN: 114760-38-2 MF: $C_{17}H_{37}N_7O_3$ MW: 387.53

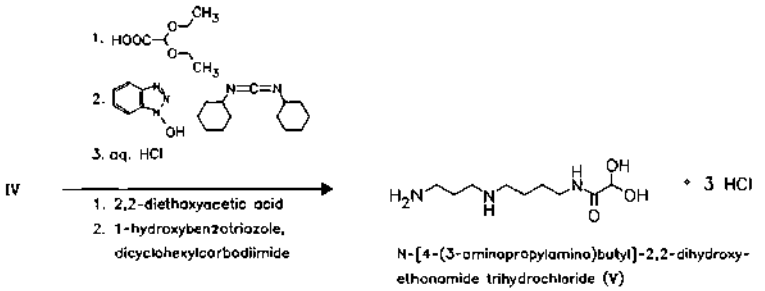
S-(–)-hydrochloride

RN: 128488-79-9 MF: $C_{17}H_{37}N_7O_3 \cdot xHCl$ MW: unspecified



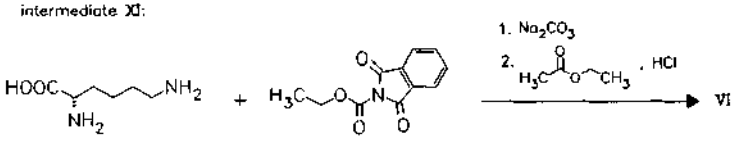




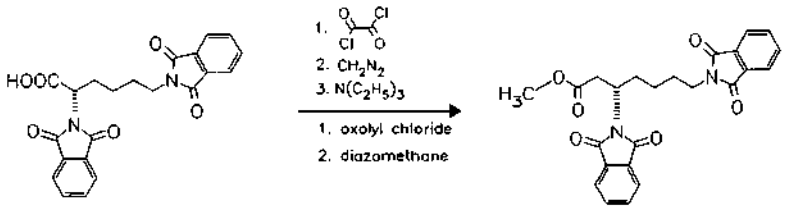


N-[4-(3-aminopropylamino)butyl]-2,2-dihydroxy-ethonamide trihydrochloride (V)

intermediate XI:

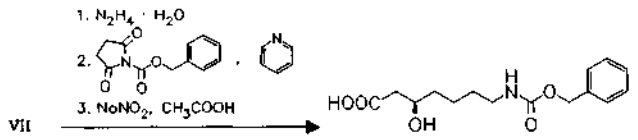


L-lysine + N-ethoxycarbonyl-phthalimide

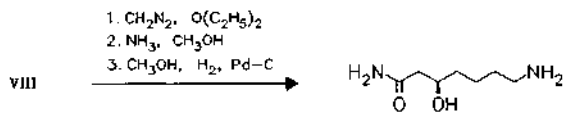


dl-N-phthaloyl-L-lysine (VI)

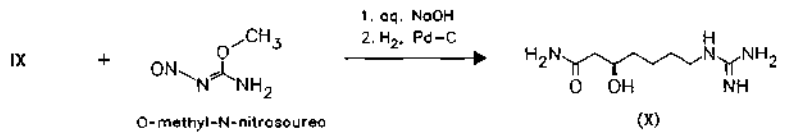
(VII)



(VIII)

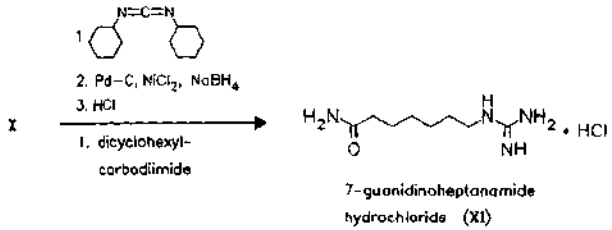


(IX)

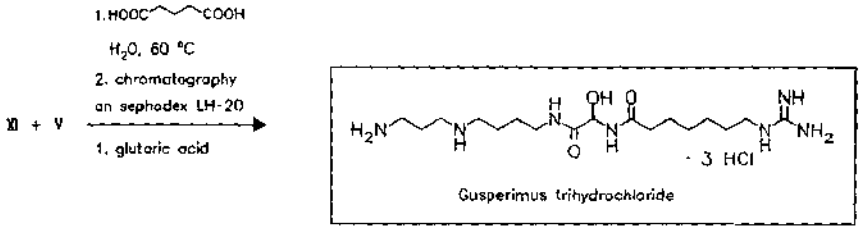


O-methyl-N-nitrosourea

(X)



final product:



Reference(s):
DE 3 626 306 (Microbiochemical Research Found.; appl. 11.2.1988; D-prior. 2.8.1986).
BE 894 651 (Microbiochemical Research Found.; appl. 31.1.1983; J-prior. 8.10.1981).
JP 08 020 533 (Nippon Kayaku; appl. 23.1.1996; J-prior. 7.7.1994).
DE 3 506 330 (Takara Skuzo Co.; Nippon Kayaku Co.; appl. 29.8.1985; J-prior. 29.2.1984).

purification:
JP 59 029 652 (Nippon Kayaku Co.; appl. 16.2.1984; J-prior. 10.8.1982).

pharmaceutical preparations:
JP 02 009 816 (Nippon Kayaku Co.; Takara Skuzo Co.; appl. 12.1.1990; J-prior. 29.6.1988).
EP 188 821 (Microbial Chemistry Research Found.; appl. 30.7.1986; J-prior. 14.1.1985).

use of gusperimus hydrochloride:
CA 2 142 376 (Bristol-Myers Squibb Co.; appl. 26.8.1995; USA-prior. 25.2.1994).
WO 9 405 323 (Jekus Hopkins Univ., School of Medicine; appl. 17.3.1994; WO-prior. 4.9.1982).
DE 3 626 306 (Behringwerke A.G.; appl. 11.2.1988; D-prior. 2.8.1986).

synthesis of O-tert-butyl *S*-(4,6-dimethyl-2-pyrimidinyl)thiocarbonate *and O*-benzyl *S*-(4,6-dimethyl-2-pyrimidinyl)thiocarbonate:
Nagasawa et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **46**, 1269, 1271 (1973).
DE 2 245 392 (Nitto Boseki; appl. 12.4.1973; J-prior. 17.9.1971, 27.9.1971, 30.9.1971, 10.1.1972).
US 3 936 452 (Nitto Boseki; appl. 3.2.1976; J-prior. 8.9.1972).

Formulation(s): vial (inj.) 100 mg (as trihydrochloride)

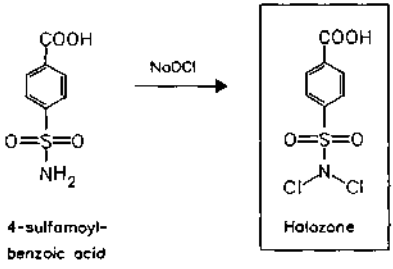
Trade Name(s):
J: Spanidin (Nippon Kayaku)

Halazone
(Aseptamide)

ATC: D08A
Use: antiseptic, chemotherapeutic

RN: 80-13-7 MF: $C_7H_5Cl_2NO_4S$ MW: 270.09 EINECS: 201-253-1
CN: 4-[(dichloroamino)sulfonyl]benzoic acid

sodium salt
RN: 5698-56-6 MF: $C_7H_4Cl_2NNaO_4S$ MW: 292.07 EINECS: 227-176-3



Reference(s):
DE 318 899 (M. Claass; appl. 1918).

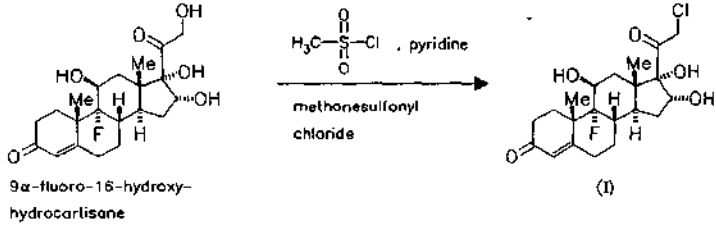
Formulation(s): tabl. 4 mg

Trade Name(s):
F: Gynamide (Merminod); wfm
Théragynes (Theragynes); wfm

Halcinonide

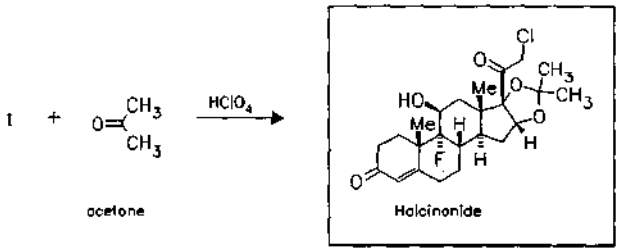
ATC: D07AD02
Use: glucocorticoid

RN: 3093-35-4 MF: $C_{24}H_{32}ClFO_5$ MW: 454.97 EINECS: 221-439-6
LD_{50}: >10 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: (11β,16α)-21-chloro-9-fluoro-11-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregn-4-ene-3,20-dione



9α-fluoro-16-hydroxy-
hydrocortisone
(cf. triamcinolone synthesis)

(I)



Reference(s):
Bernstein, S.; Lenhard, R.H.: J. Am. Chem. Soc. (JACSAT) **82**, 3680 (1960).
Bernstein, S. et al.: J. Org. Chem. (JOCEAH) **27**, 690 (1962).

use:
DE 2 355 710 (Squibb; appl. 7.11.1973; USA-prior. 24.11.1972).

Formulation(s): cream 0.1 %; ointment 0.1 %; sol. 0.1 %

Trade Name(s):

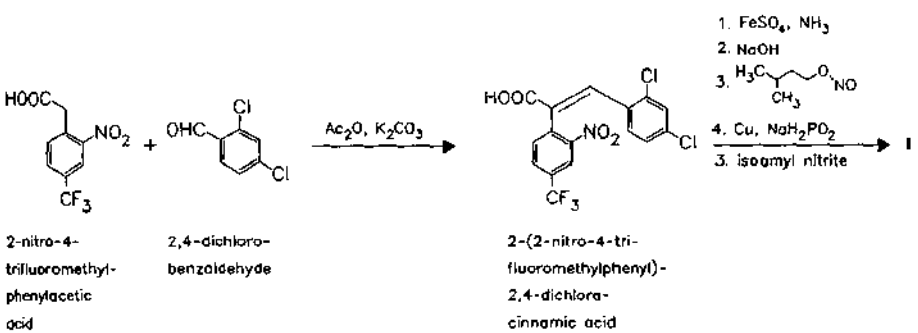
D:	Halog (Bristol-Myers Squibb)	GB:	Halcicomp (F.A.I.R.)-comb.	J:	Adcortin (Sankyo)
F:	Halog crème (Bristol-Myers Squibb)		Halciderm (Squibb)		Simaderm (Bristol-Myers Squibb)
	Halog néomycine (Bristol-Myers Squibb)-comb.		Halcort (F.A.I.R.)	USA:	Halog (Westwood-Squibb)
		I:	Ancofort (Squibb)-comb.		
			Halciderm (Squibb)		

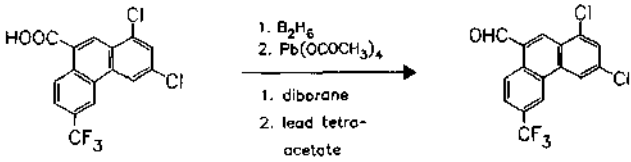
Halofantrine
(WR-171669)

ATC: P01BX01
Use: antimalarial

RN: 69756-53-2 MF: $C_{26}H_{30}Cl_2F_3NO$ MW: 500.43 EINECS: 274-104-1
CN: 1,3-dichloro-α-[2-(dibutylamino)ethyl]-6-(trifluoromethyl)-9-phenanthrenemethanol

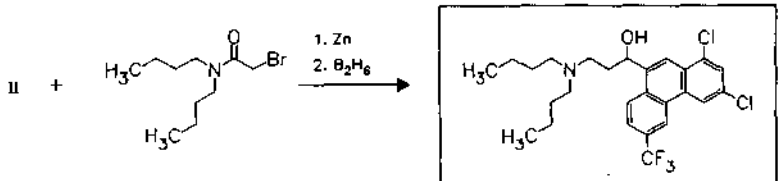
hydrochloride
RN: 36167-63-2 MF: $C_{26}H_{30}Cl_2F_3NO \cdot HCl$ MW: 536.89 EINECS: 252-895-4
LD_{50}: 2050 mg/kg (R, i.p.); 3400 mg/kg (R. p.o.)
(–)-enantiomer
RN: 66051-76-1 MF: $C_{26}H_{30}Cl_2F_3NO$ MW: 500.43
(+)-enantiomer
RN: 66051-74-9 MF: $C_{26}H_{30}Cl_2F_3NO$ MW: 500.43





1,3-dichloro-6-trifluoromethyl-phenanthrene-9-carboxylic acid (I)

1,3-dichloro-6-trifluoromethyl-phenanthrene-9-carboxaldehyde (II)



N,N-dibutyl-2-bromoacetamide

Halofantrine

Reference(s):
Colwell, W.T. et al.: J. Med. Chem. (JMCMAR) **15**, 771 (1972).

preparation of 2-nitro-4-trifluoromethylphenylacetic acid:
Simet, L.: J. Org. Chem. (JOCEAH) **28**, 358a (1963).

preparation of I:
Nodiff, E.A. et al.: J. Med. Chem. (JMCMAR) **14**, 921 (1971); **15**, 775 (1972).

resolution of the racemate:
Carroll, F.I. et al.: J. Med. Chem. (JMCMAR) **21**, 326 (1978).

glycero-phosphate, tartrate and biquinate salts:
US 4 507 288 (Smith Kline & Beckman; 20.3.1985; prior. 16.9.1983, 2.11.1983)
EP 138 374 (Smith Kline & Beckman; appl. 11.9.1984; USA-prior. 2.11.1983, 16.9.1983).

Formulation(s): drinking amp. 2 %, 30 ml; susp. 100 mg/5 ml; tabl. 250 mg (as hydrochloride)

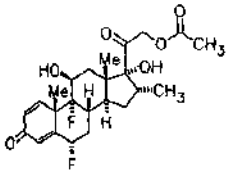
Trade Name(s):

D:	Halfan (SmithKline Beecham; 1991)	F:	Halfan (SmithKline Beecham; 1988 as hydrochloride)	GB:	Halfan (SmithKline Beecham; 1991)

Halometasone

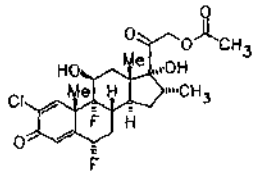
ATC: D07AB; D07AC12
Use: topical corticosteroid, anti-inflammatory

RN: 50629-82-8 MF: $C_{22}H_{27}ClF_2O_5$ MW: 444.90 EINECS: 256-664-9
CN: (6α,11β,16α)-2-chloro-6,9-difluoro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione



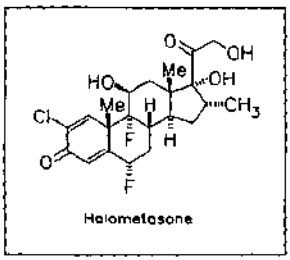
flumetasone acetate

1. Cl_2
2. pyridine



6α,9-difluoro-2-chloro-16α-methyl-11β,17-dihydroxy-21-acetoxypregna-1,4-diene-3,20-dione (I)

I $NaHCO_3$



Halometasone

Reference(s):
DE 1 807 980 (Ciba-Geigy; appl. 9.11.1968; CH-prior. 17.11.1967).
CH 551 399 (Ciba-Geigy; appl. 17.10.1968).
US 3 652 554 (Ciba-Geigy; 28.3.1972; appl. 15.11.1968; CH-prior. 17.11.1967).

topical combination with triclosan:
GB 2 148 116 (Ciba-Geigy; appl. 27.10.1983).
US 4 512 987 (Ciba-Geigy; 23.4.1985; appl. 13.10.1982; GB-prior. 15.7.1982).

Formulation(s): cream 0.5 mg/g (0.05 %),; ointment 0.5 mg/g (0.05 %)

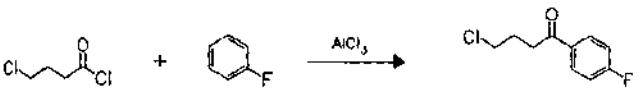
Trade Name(s):

D:	Sicorten (Novartis; 1986)	Sicorten Plus (Novartis; 1986)-comb. with triclosan

Haloperidol

ATC: N05AD01
Use: neuroleptic, antidyskinetic, antipsychotic

RN: 52-86-8 MF: $C_{21}H_{23}ClFNO_2$ MW: 375.87 EINECS: 200-155-6
LD_{50}: 13 mg/kg (M, i.v.); 71 mg/kg (M, p.o.);
15 mg/kg (R, i.v.); 128 mg/kg (R, p.o.);
18 mg/kg (dog, i.v.); 90 mg/kg (dog, p.o.)
CN: 4-[4-(4-chlorophenyl)-4-hydroxy-1-piperidinyl]-1-(4-fluorophenyl)-1-butanone

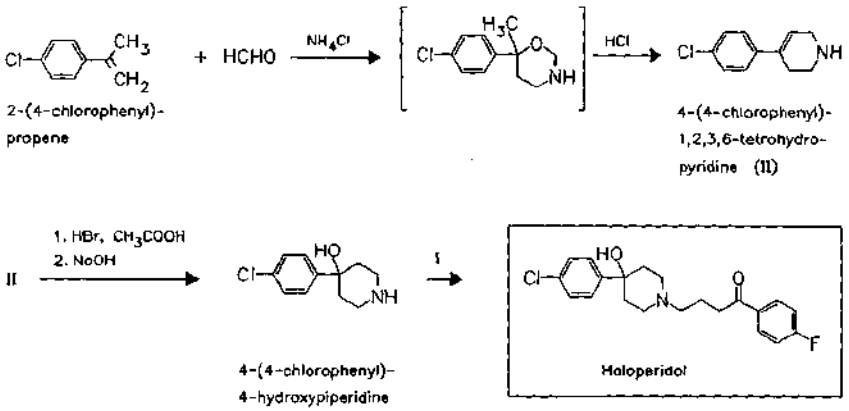


4-chlorobutyryl chloride

fluoro-benzene

$AlCl_3$

4-chloro-4'-fluoro-butyrophenone (I)



Reference(s):
Janssen, P.A.J. et al.: J. Med. Pharm. Chem. (JMPCAS) **1**, 281 (1959).
DE 1 289 845 (Janssen; appl. 18.4.1959; GB-prior. 22.4.1958).
US 3 438 991 (Janssen; 15.4.1969; GB-prior. 18.11.1959).

alternative syntheses:
GB 1 141 664 (Janssen; valid from 7.12.1966; prior. 8.12.1965, 23.9.1966).
US 4 086 234 (Searle; 25.4.1978; appl. 7.11.1975).

Formulation(s): amp. 5 mg/ml, 100 mg/ml, 50 mg/ml; drops 2 mg, 20 mg/ml, 2 mg/ml, 0.5 mg/ml; sol. 10 mg; oral liquid 2 mg/ml, 10 mg/ml; tabl. 1 mg, 2 mg, 5 mg, 10 mg, 20 mg

Trade Name(s):

D: Buteridol (Promonta Lundbeck)
Haldol-Janssen (Janssen-Cilag)
Sigaperidol (Kytta-Siegfried)
generic

F: Haldol (Janssen-Cilag)
Vésadol (Janssen-Cilag)-comb.

GB: Dozic (Rosemont)
Haldol (Janssen-Cilag; as decanoate)
Serenace (Baker Norton)

I: Aloper (Sifra)
Aloperid (Formulario Naz.)
Aloperid (Biologici Italia)
Bioperidolo (Firma)
Haldol (Janssen)
Haldol Decanoas (Janssen; as decanoate)
Serenase (Lusofarmaco)

J: Brotopon (Taito Pfizer)
Einalon S (Maruko)
Halojust (Horita)
Halomonth (Dainippon; as decanoate)
Halosten (Shionogi)
Keselan (Sumitomo)
Linton (Yoshitomi)
Neoperidol (Kyowa Hakko; as decanoate)
Peluces (Isei)
Serenace (Dainippon)

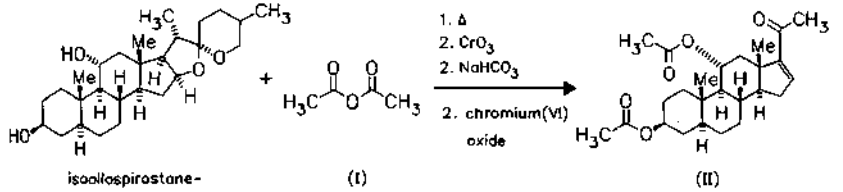
USA: Haldol (Ortho-McNeil Pharmaceutical)

Halopredone diacetate

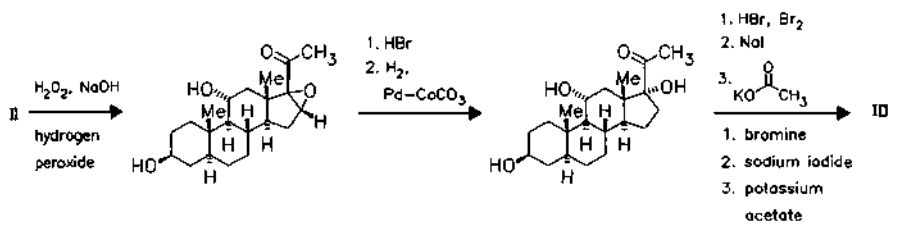
ATC: H02AB
Use: glucocorticoid, topical anti-inflammatory

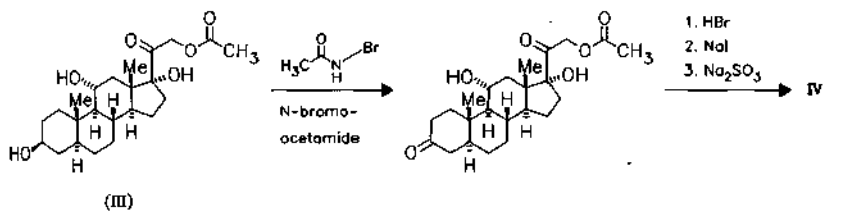
RN: 57781-14-3 MF: $C_{25}H_{29}BrF_2O_7$ MW: 559.40 EINECS: 260-951-4
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: (6β,11β)-17,21-bis(acetyloxy)-2-bromo-6,9-difluoro-11-hydroxypregna-1,4-diene-3,20-dione

halopredone
RN: 57781-15-4 MF: $C_{21}H_{25}BrF_2O_5$ MW: 475.33 EINECS: 260-953-5

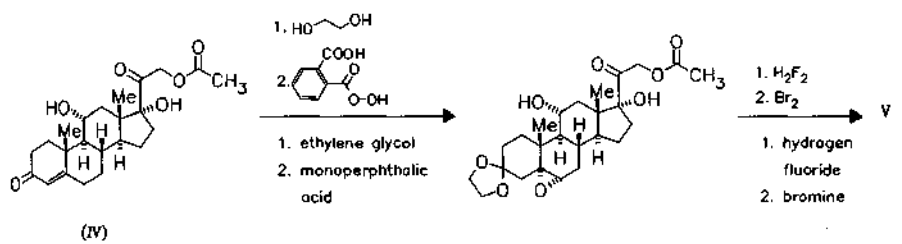


isoallospirostane-3β,11α-diol (I) (II)

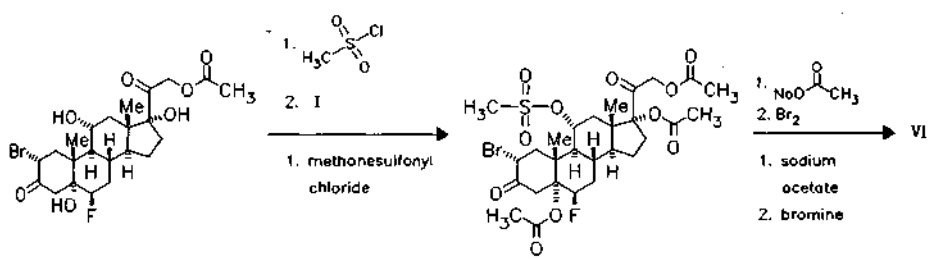




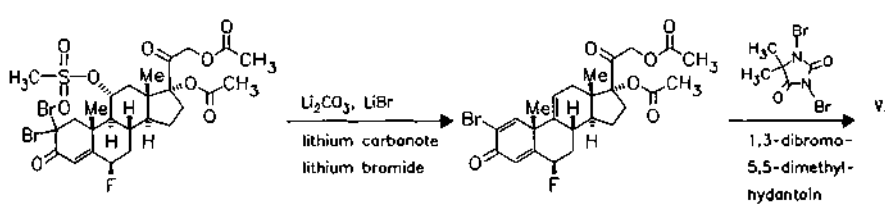
(III)



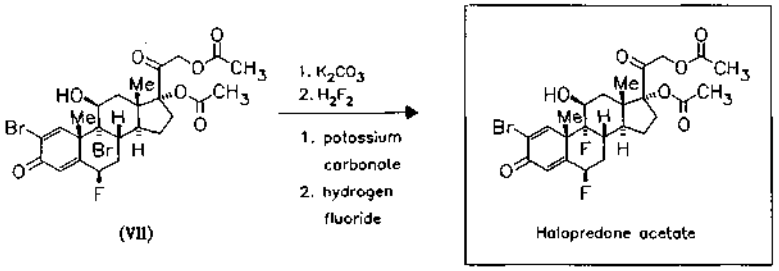
(IV)



(V)



(VI)



Reference(s):
Bianchetti, A.; Riva, M.: J. Med. Chem. (JMCMAR) **20**, 213 (1977).
BE 826 030 (Pierrel; appl. 26.2.1975; GB-prior. 27.2.1974, 5.7.1974, 25.7.1974, 19.11.1974).

synthesis of 21-acetoxy-11α,17-dihydroxypregn-4-ene-3,20-dione:
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **74**, 1712, 3634 (1952); **75**, 1277 (1953).

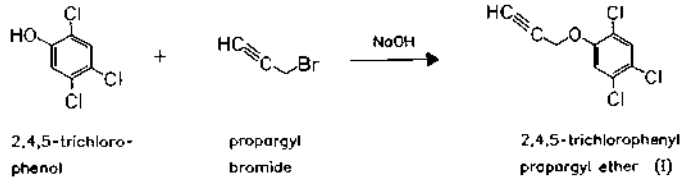
Formulation(s): amp. 12.5 mg/ml, 25 mg/ml

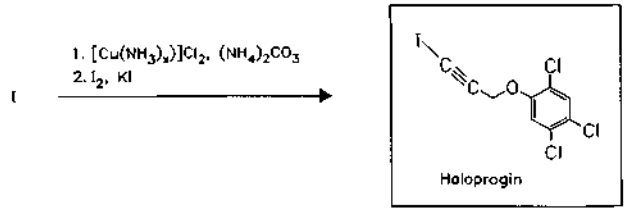
Trade Name(s):
J: Haloart (Dainippon Ink-Taiho)

Haloprogin

ATC: D01AE11
Use: antifungal, antiseptic

RN: 777-11-7 MF: $C_9H_4Cl_3IO$ MW: 361.39 EINECS: 212-286-6
LD_{50}: >5.6 g/kg (R, p.o.);
>3 g/kg (dog, p.o.)
CN: 1,2,4-trichloro-5-[(3-iodo-2-propynyl)oxy]benzene





Reference(s):
US 3 322 813 (Meiji Seika; 30.5.1967).

Formulation(s): cream 1 %; ointment 1 %; sol. 1 %

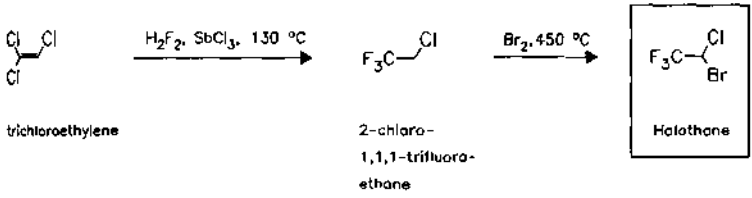
Trade Name(s):

D:	Mycanden (Asche); wfm Mycanden (Schering); wfm	F:	Mycilan (Théraplix); wfm	USA:	Halotex (Westwood); wfm
		J:	Polik (Meiji Seika)		

Halothane

ATC: N01AB01
Use: inhalation anesthetic

RN: 151-67-7 MF: $C_2HBrClF_3$ MW: 197.38 EINECS: 205-796-5
LD_{50}: 5680 mg/kg (R, p.o.)
CN: 2-bromo-2-chloro-1,1,1-trifluoroethane



Reference(s):
GB 767 779 (ICI; appl. 20.10.1954; valid from 11.10.1955).
US 2 921 098 (ICI; 12.1.1960; GB-prior. 20.10.1954).
GB 805 764 (ICI; appl. 1956; valid from 1957).

alternative syntheses:
DE 1 039 503 (Bayer; appl. 1953).
DE 1 041 937 (Hoechst; appl. 1957).
US 2 959 624 (Hoechst; 8.11.1960; D-prior. 18.7.1957).
US 3 082 263 (ICI; 19.3.1963; GB-prior. 19.9.1959).
DAS 1 161 249 (Hoechst; appl. 23.9.1960).
DAS 1 285 989 (Hoechst; appl. 9.3.1963).
DOS 2 245 372 (Biocontrol; appl. 15.9.1972).

Formulation(s): inhalation sol. 125 ml, 250 ml

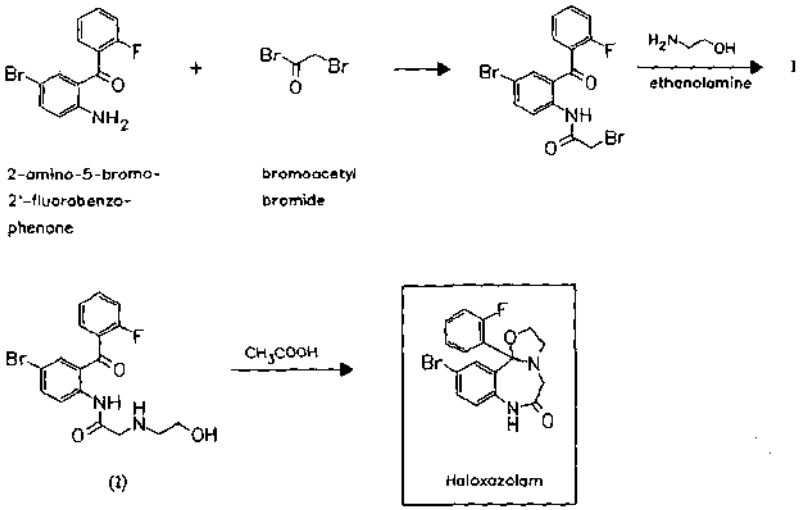
Trade Name(s):

D:	Fluothane (Zeneca) Halothan ASID (Rüsch Hospital)	F:	Fluotane (Zeneca)	J:	Halothane (Hoechst)
		GB:	Fluothane (ICI; 1957); wfm	USA:	Fluothane (Wyeth-Ayerst)
		I:	Fluothane (Zeneca)		

Haloxazolam

ATC: N05BA
Use: tranquilizer, benzodiazepine anxiolytic, hypnotic, sedative

RN: 59128-97-1 MF: $C_{17}H_{14}BrFN_2O_2$ MW: 377.21
LD_{50}: 1850 mg/kg (M, p.o.)
CN: 10-bromo-11b-(2-fluorophenyl)-2,3,7,11b-tetrahydrooxazolo[3,2-*d*][1,4]benzodiazepin-6(5*H*)-one



Reference(s):
Miyadera, T. et al.: J. Med. Chem. (JMCMAR) **14**, 520 (1971).
JP 4 941 439 (Sankyo; appl. 21.12.1970).

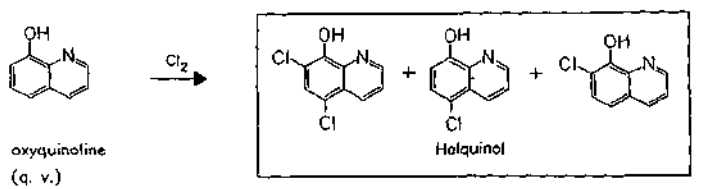
Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):
J: Somelin (Sankyo)

Halquinol
(Chlorquinol)

ATC: A07
Use: intestinal antiseptic, topical anti-infective

RN: 8067-69-4 MF: unspecified MW: unspecified
CN: halquinols



Reference(s):
FR 1 372 414 (Olin Mathieson; appl. 2.8.1961; GB-prior. 24.6.1960, 28.2.1961).

Formulation(s): cream, ointment, sol.

Trade Name(s):
D: Combiase (Luitpold)-comb.; wfm
Diarönt (Chephasaar)-comb.; wfm
Dignoquine (Luitpold)-comb.; wfm
Flamutil (Voigt)-comb.; wfm
Hyalokombun (Merckle)-comb.; wfm
Mexaform plus (Ciba)-comb.; wfm

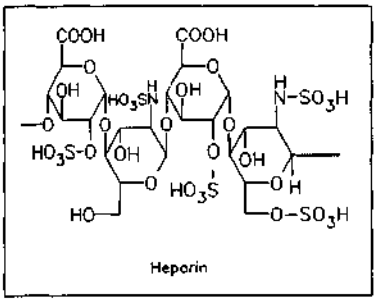
Uzara plus (Uzara)-comb.; wfm	GB:	Quixalin (Squibb); wfm
	USA:	Quinolor (Squibb); wfm

Heparin

ATC: B01AB01; C05BA03
Use: anticoagulant, antithrombotic

RN: 9005-49-6 MF: $[C_{24}H_{38}N_2O_{35}S_5]x$ MW: unspecified EINECS: 232-681-7
LD_{50}: 500 mg/kg (M, i.v.);
1950 mg/kg (R, p.o.)
CN: mucopolysaccharide polysulfuric acid ester

sodium salt
RN: 9041-08-1 MF: unspecified MW: unspecified
LD_{50}: 2800 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
391821 iu/kg (R, i.v.); >779000 iu/kg (R, p.o.);
1 g/kg (dog, i.v.)
calcium salt
RN: 37270-89-6 MF: unspecified MW: unspecified
LD_{50}: >40 g/kg (M, i.v.);
>40 g/kg (R, i.v.)
magnesium salt
RN: 54479-70-8 MF: unspecified MW: unspecified



Heparin

(molar mass 6000-20000, according to origin)
From animal tissue, especially bovine lung and liver (e. g. autolysis of comminuted tissue parts, heating with ammonium sulfate in alkaline solution, filtration and acidification yield heparin as complex with protein, removal of fat with alcohol and treatment with trypsine for the purpose of decomposition of proteins, precipitation with alcohol and various purification methods).

Reference(s):
review:
Hind, H.G.: Manuf. Chem. (MACSAS) **34**, 510 (1963).

purification:
US 2 884 358 (Southern California Gland Co; 28.4.1959; appl. 22.4.1957).
US 2 989 438 (Uclaf; 20.6.1961; appl. 29.12.1958).
DE 1 195 010 (Ormonoterapia Richter; appl. 12.5.1962).
US 3 016 331 (Ormonoterapia Richter; 9.1.1962; I-prior. 28.1.1960).
US 4 119 774 (AB Kabi; 10.10.1978; appl. 2.3.1977).
GB 1 539 332 (AB Kabi; appl. 4.3.1977; S-prior. 5.3.1976).

Formulation(s): amp. 12500 iu, 20000 iu, 50000 iu, 60000 iu; cream, eye drops and eye ointment 30000 iu, 60000 iu, 150000 iu (as sodium salt or calcium salt); syringe 5000 iu, 7500 iu.

Trade Name(s):

D:
Calciparin (Sanofi Winthrop)
Heparin-Injekt (Immuno)
Heparin-Na (Braun Melsungen; medac; Nattermann; ratiopharm)
Heparin Novo (Novo)
Heparin POS (Ursapharm)
Heparin Riker (Riker)
Liquemin (Roche)
Thrombareduct (Azuchemie)
Thrombophob (Knoll)
Traumalitan (3M Medica; as sodium salt)
Vetren (Klinge)
numerous generic and combination preparations

F:
Calciparin (Sanofi Winthrop; as calcium salt)
Dioparine (Théa; as sodium salt)
Néoparyl Framycétine (CIBA Vision Ophthalmics)-comb.
numerous generic and combination preparations

GB:
Canusal (CP Pharm.)
Clexane (Rhône-Poulenc Rorer)
Fragmin (Pharmacia & Upjohn)
Hepsal (CP Pharm.)
Monoparin (CP Pharm.)
generic and combination preparations

I:
Ateroclar (Mediolanum)
Chemyparin (SIT)
Clarisco (Schwarz)
Disebrin (Allergan)
Eparina (Tariff. Integrativo; Bristol-Myers Squibb; Manetti Roberts; Parke Davis)
Eparinovis (Intes)
Essaven Gel (Rhône-Poulenc Rorer)-comb.
Flebs Crema (Pierre Fabre Phar.)-comb.
Heparin Collirio (Farmigea)
Idracemi Eparina (Farmigea)-comb.
Lioton (Menarini)
Liquemin (Roche; as sodium salt)
Luxazone Eparina (Allergan)-comb.
Normoparin (Opocrin)
Venotrauma (Also)-comb.
Viteparin (Teofarma)-comb.
numerous generic preparations

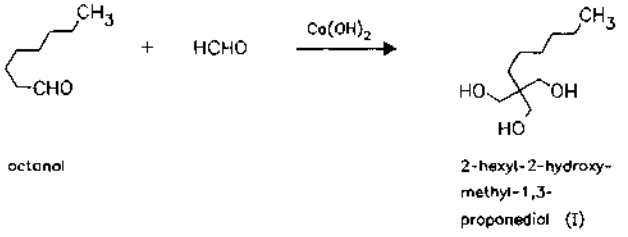
J:
Caprocin (Mitsui; as calcium salt)
Depo-Heparin (Upjohn-Kodama; as sodium salt)
Hepacarin (Eisai)
Heparigen (Mukasa-Torii)
Heparin Sodium (Tokyo Tanabe)
Novo Heparin (Novo-Kodama)
Panheprin (Nippon Abbott)
numerous generic preparations

USA:
Heparin-Sodium (Wyeth-Ayerst)
Hep-Lock (Elkins-Sinn)

Hepronicate

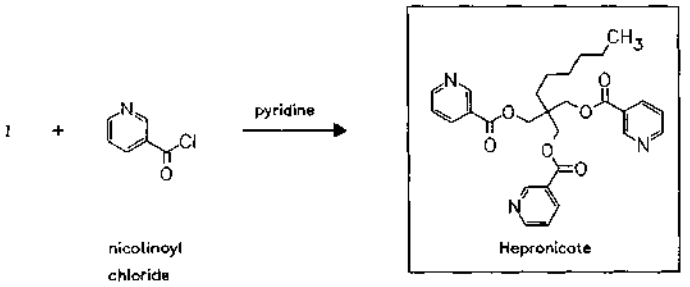
ATC: C04
Use: vasodilator

RN: 7237-81-2 MF: $C_{28}H_{31}N_3O_6$ MW: 505.57
LD_{50}: 5 g/kg (M, p.o.)
CN: 3-pyridinecarboxylic acid 2-hexyl-2-[[(3-pyridinylcarbonyl)oxy]methyl]-1,3-propanediyl ester



octanol

2-hexyl-2-hydroxy-methyl-1,3-propanediol (I)



nicotinoyl chloride

Hepronicate

Reference(s):
US 3 384 642 (Yoshitomi; 21.5.1968; J-prior. 18.11.1964, 12.10.1965).

Formulation(s): tabl. 100 mg

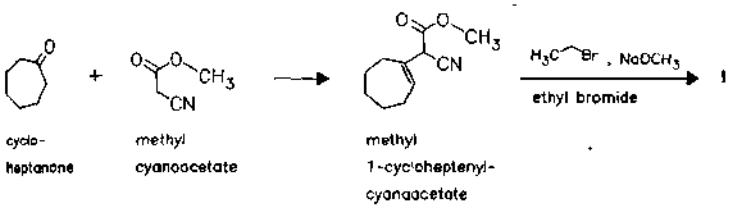
Trade Name(s):
J: Megrin (Yoshitomi)

Heptabarb

(Heptabarbital; Heptabarbitone; Heptamalum)

ATC: N05CA11
Use: hypnotic, sedative

RN: 509-86-4 MF: $C_{13}H_{18}N_2O_3$ MW: 250.30 EINECS: 208-107-6
LD_{50}: 180 mg/kg (M, i.v.); >800 mg/kg (M, p.o.);
>5 g/kg (R, p.o.);
105 mg/kg (dog, i.v.)
CN: 5-(1-cyclohepten-1-yl)-5-ethyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione



Reference(s):
FR 870 714 (Geigy; appl. 1941; Palestine-prior. 1940).
DE 756 489 (Geigy; appl. 1941; Palestine-prior. 1940).

Formulation(s): tabl. 200 mg

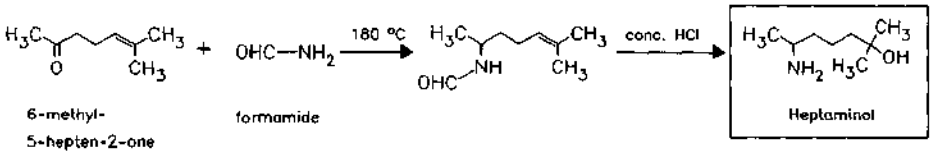
Trade Name(s):
D: Medomin (Geigy); wfm F: Medomine (Geigy); wfm GB: Medomin (Geigy); wfm

Heptaminol

ATC: C01DX08
Use: cardiotonic, sympathomimetic

RN: 372-66-7 MF: $C_8H_{19}NO$ MW: 145.25 EINECS: 206-758-0
LD_{50}: 1250 mg/kg (M, i.p.)
CN: 6-amino-2-methyl-2-heptanol

hydrochloride
RN: 543-15-7 MF: $C_8H_{19}NO \cdot HCl$ MW: 181.71 EINECS: 208-837-5
LD_{50}: 900 mg/kg (M, i.p.)



Reference(s):
Dœuvre, J.; Pozat, J.: C. R. Hebd. Seances Acad. Sci. (COREAF) **224**, 286 (1947).

Formulation(s): amp. 250 mg, 500 mg; drg. 50 mg; drops 50 mg/ml;tabl. 150 mg (as hydrochloride)

Trade Name(s):

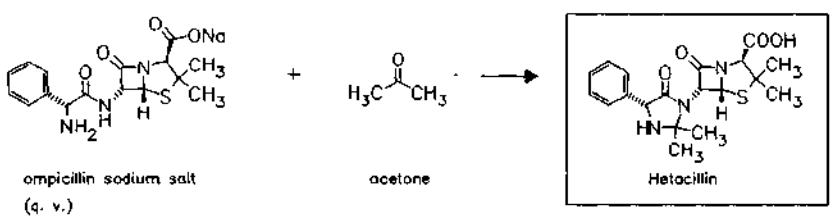
D:	Normotin (OTW)-comb. Perivar (Intersan)-comb.	Débrumyl (Pierre Fabre Santé)-comb.		numerous combination preparations
F:	Ampécyclal (Sarget)	Sureptil (Synthélabo)-comb.	I:	Coreptil (Delalande Isnardi)

Hetacillin

ATC: J01CA18
Use: antibiotic

RN: 3511-16-8 MF: $C_{19}H_{23}N_3O_4S$ MW: 389.48 EINECS: 222-512-5
CN: [2*S*-[2α,5α,6β(*S**)]]-6-(2,2-dimethyl-5-oxo-4-phenyl-1-imidazolidinyl)-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt
RN: 5321-32-4 MF: $C_{19}H_{22}KN_3O_4S$ MW: 427.57 EINECS: 226-182-3
LD_{50}: 650 mg/kg (M, i.v.); >15 g/kg (M, p.o.);
>1400 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
2200 mg/kg (dog, i.v.); >4 g/kg (dog, p.o.)



Reference(s):
US 3 198 804 (Bristol-Myers; 3.8.1965; appl. 6.1.1965; prior. 25.1.1963).

Formulation(s): amp. 250 mg, 500 mg, 1 g; tabl. 50 mg (as potassium salt)

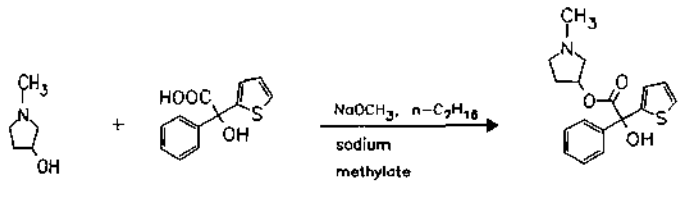
Trade Name(s):

D:	Penplenum (Bristol); wfm	Etadipen (Ghimas)-comb. with dicloxacillin; wfm	J:	Natacillin (Banyu)
F:	Versapen (Allard); wfm	Versaclox (Bristol)-comb. with dicloxacillin; wfm	USA:	Versapen (Bristol); wfm
I:	Dicloeta (Lusopharma)-comb. with dicloxacillin; wfm	Versapen (Bristol); wfm		

Heteronium bromide

ATC: A03
Use: anticholinergic

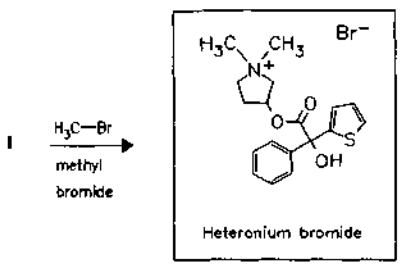
RN: 7247-57-6 MF: $C_{18}H_{22}BrNO_3S$ MW: 412.35
LD_{50}: 3576 mg/kg (R, p.o.)
CN: 3-[(hydroxyphenyl-2-thienylacetyl)oxy]-1,1-dimethylpyrrolidinium bromide



1-methyl-3-pyrrolidinol

phenyl-(2-thienyl)-glycolic acid

(I)



Reference(s):
US 3 138 614 (Eli Lilly; 23.1.1964; prior. 18.12.1961, 3.8.1960).

starting material:
US 2 830 997 (A. H. Robins; 1958; prior. 1956).

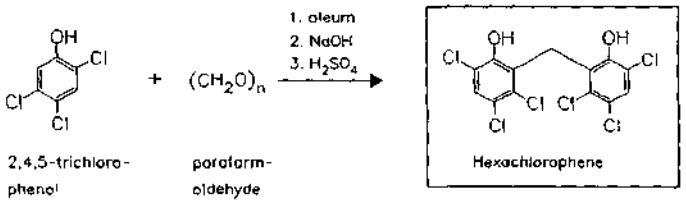
Formulation(s): tabl. 1 mg

Trade Name(s):
I: Quentar (Ravizza)-comb. with oxazepam; wfm
USA: Hetrum Bromide (Lilly); wfm

Hexachlorophene

ATC: D08AE01
Use: topical antiinfective, disinfectant, parasiticide

RN: 70-30-4 MF: $C_{13}H_6Cl_6O_2$ MW: 406.91 EINECS: 200-733-8
LD_{50}: 67 mg/kg (M, p.o.);
7500 µg/kg (R, i.v.); 56 mg/kg (R, p.o.)
CN: 2,2'-methylenebis[3,4,6-trichlorophenol]



Reference(s):
US 2 250 480 (B. T. Bush; 1941; appl. 1939).
US 2 435 593 (B. T. Bush; 1948; appl. 1945).
US 2 812 365 (Givaudan Corp.; 1957; prior. 1951, 1954).

Formulation(s): cream 0.5 g/100 g; emulsion 0.5 g/100 g; lotion 0.5 g/100 g

Trade Name(s):

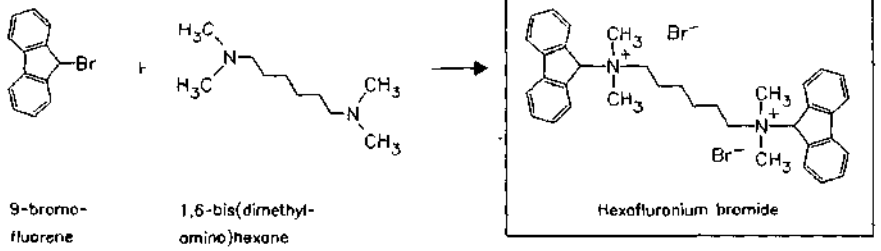
D:	Aknefug (Wolff) Aknefug (Wolff)-comb. with estradiol	GB:	Dermalex (Sanofi Winthrop)-comb. Ster-Zac D.C. (Seton)		Phisohex disinf (Maggioni-Winthrop)-comb.; wfm Vestene (Eurospital); wfm
F:	Acnestrol (Poirier)-comb.	I:	Etaproctene (Angelini)-comb.; wfm	USA:	pHisoHex (Sanofi)

Hexafluronium bromide

(Hexafluorenium bromide)

ATC: M03AC05
Use: muscle relaxant

RN: 317-52-2 MF: $C_{36}H_{42}Br_2N_2$ MW: 662.55 EINECS: 206-265-0
LD_{50}: 1760 µg/kg (M, i.v.); 280 mg/kg (M, p.o.)
CN: *N,N'*-di-9*H*-fluoren-9-yl-*N,N,N',N'*-tetramethyl-1,6-hexanediaminium dibromide



Reference(s):
US 2 783 237 (Irwin, Neisler & Co.; 1957; prior. 1953).

Formulation(s): amp. 20 mg/ml.

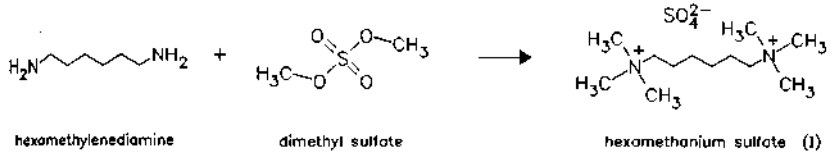
Trade Name(s):

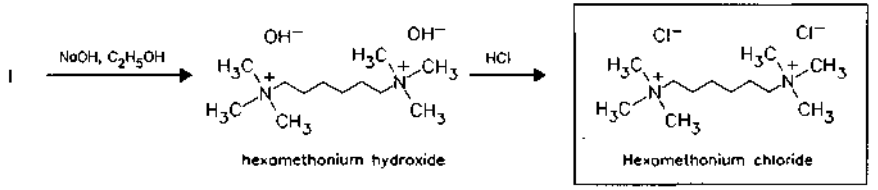
J:	Mylaxen (Nippon Shoji); wfm	USA:	Mylaxen (Mallinckrodt); wfm		Mylaxen (Wallace); wfm

Hexamethonium chloride

ATC: C02
Use: ganglionic blocker, antihypertensive

RN: 60-25-3 MF: $C_{12}H_{30}Cl_2N_2$ MW: 273.29 EINECS: 200-465-1
LD_{50}: 26.7 mg/kg (M, i.v.);
35 mg/kg (dog, i.v.)
CN: *N,N,N,N',N',N'*-hexamethyl-1,6-hexanediaminium dichloride





Reference(s):
DE 900 097 (May & Baker; appl. 1951; GB-prior. 1950).

Formulation(s): oral: 0.5 g/d

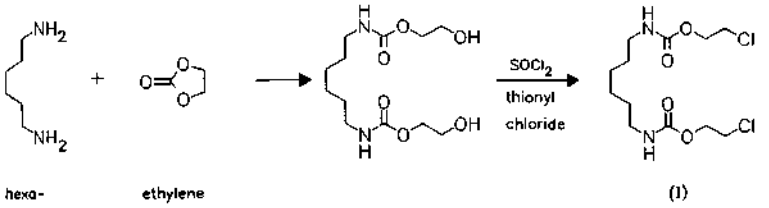
Trade Name(s):

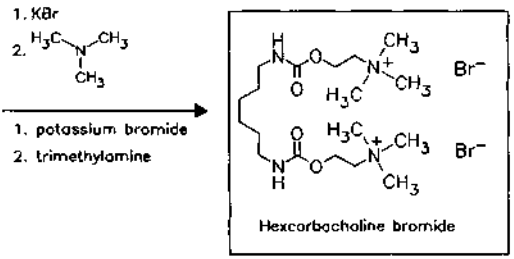
D:	Raucombin forte (Voigt); wfm		Gastrometonio (Fabo; as iodide); wfm
I:	Gastrometonio (Fabo); wfm	J:	Methobromin (Yamanouchi); wfm

Hexcarbacholine bromide
(Carbolonium bromide)

ATC: M03
Use: muscle relaxant

RN: 306-41-2 MF: $C_{18}H_{40}Br_2N_4O_4$ MW: 536.35
CN: *N,N,N,N',N',N'*-hexamethyl-4,13-dioxo-3,14-dioxa-5,12-diazahexadecane-1,16-diaminium dibromide





Reference(s):
DE 1 021 842 (Österr. Stickstoffwerke; appl. 1954; A-prior. 1953).

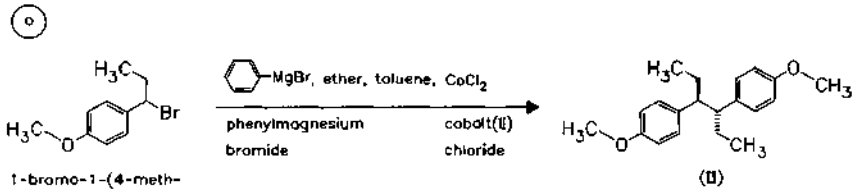
Formulation(s): amp. 2 mg/ml

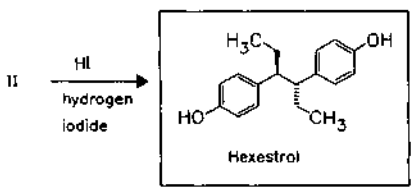
Trade Name(s):
D: Imbretil (Hormon-Chemie); wfm

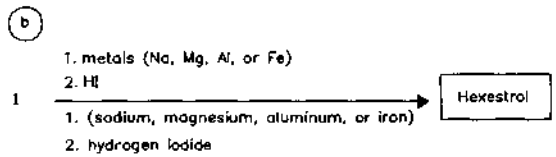
Hexestrol

ATC: G03
Use: estrogen, antineoplastic (hormonal)

RN: 84-16-2 MF: $C_{18}H_{22}O_2$ MW: 270.37 EINECS: 201-518-1
LD_{50}: 1 g/kg (M, p.o.);
>2 g/kg (R, p.o.)
CN: (*R**,*S**)-4,4'-(1,2-diethyl-1,2-ethanediyl)bisphenol







Reference(s):
a Kharasch, M.S.; Kleimann, M.: J. Am. Chem. Soc. (JACSAT) **65**, 491 (1943).
b Dodds, E.C. et al.: Proc. R. Soc. London, Ser. B (PRLBA4) **218**, 253 (1940).
Bernstein, S.; Wallis, E.S.: J. Am. Chem. Soc. (JACSAT) **62**, 2871 (1940).
Buu-Hoï, N.G.; Hoan, N.G.: J. Org. Chem. (JOCEAH) **14**, 1023 (1949).
US 2 357 985 (Research Corp; 1944; appl. 1940).
GB 523 320 (Boots; appl. 1938).
FR 855 879 (Lab. Franç. de Chimiothérapie; appl. 1939).

starting material:
Bernstein, S.; Wallis, E.S.: J. Am. Chem. Soc. (JACSAT) **62**, 2871 (1940).

alternative syntheses:
Docken, A.M.;. Spielman, M.A.: J. Am. Chem. Soc. (JACSAT) **62**, 2163 (1940).
US 2 392 852 (Lilly; 1946; prior. 1941).
US 2 402 054 (Lilly; 1946; prior. 1941).
US 2 421 401 (Hoffmann-La Roche; 1947; S-prior. 1943).

review:
Solmssen, U.V.: Chem. Rev. (Washington, D. C.) (CHREAY) **36**, 481 (1945).

Formulation(s): pessaries 10 mg

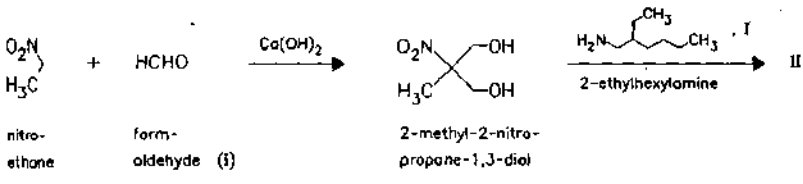
Trade Name(s):

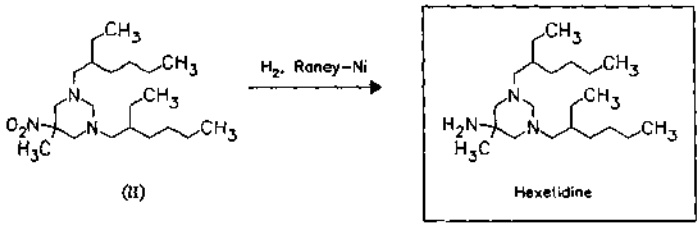
D:	Malun (Temmler)-comb.; wfm		Synthrogene (Gerda); wfm		Hexestrol and Phenorbital (Jenkins)-comb.; wfm
F:	Cycloestrol (Bruneau); wfm	GB:	Synthovo (Boots); wfm		
	Micro-cristaux Cycloestrol (Bruneau); wfm	J:	Robal (Chugai; as diacetate)		Hexestrol W/Butabarb (Bowman)-comb.; wfm
		USA:	Estra-Plex (Rowell); wfm		Vagi-Plex (Rowell)-comb.; wfm

Hexetidine

ATC: A01AB12
Use: antiseptic antifungal

RN: 141-94-6 MF: $C_{21}H_{45}N_3$ MW: 339.61 EINECS: 205-513-5
CN: 1,3-bis(2-ethylhexyl)hexahydro-5-methyl-5-pyrimidinamine





Reference(s):
US 2 415 047 (Commercial Solvents; 1947; appl. 1945).
US 3 054 797 (Commercial Solvents; 18.9.1962; prior. 11.10.1961).
Senkus, M.: J. Am. Chem. Soc. (JACSAT) **68**, 1611 (1946).

purification:
DE 2 011 078 (Gödecke; appl. 9.3.1970).
DAS 2 355 917 (Meditest; appl. 8.11.1973).
DOS 2 709 929 (Dolorgiet; appl. 8.3.1977).
DOS 2 310 337 (Wülfing; appl. 1.3.1973).
DAS 2 323 150 (Wülfing; appl. 8.5.1973).

salts with aromatic acids:
GB 1 538 603 (Doll; appl. 5.11.1976; D-prior. 6.11.1975, 3.6.1976, 16.6.1976).
US 4 141 968 (Doll; 27.2.1979; D-prior. 16.6.1976).
US 4 142 050 (Doll; 27.2.1979; D-prior. 6.11.1975, 3.6.1976).

nicotinate:
DOS 2 310 338 (Wülfing; appl. 1.3.1973).

Formulation(s): sol. 100 mg/100 ml, 200 mg/100 ml; spray 0.1 g/100 g; vaginal tabl. 10 mg

Trade Name(s):

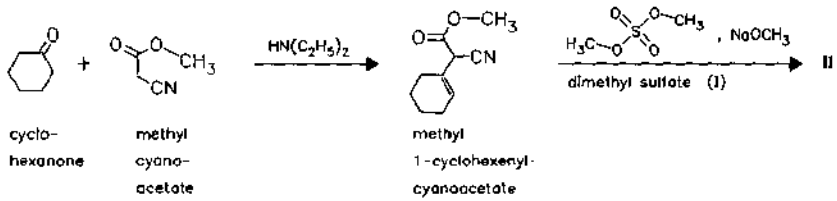
D:	Anginasin Spray (Opfermann)-comb.		Hexoral (Warner-Lambert)		Nifluril (UPSA)
	De-menthasin (Scheurich)-comb.		Hexoral Spray (Warner-Lambert)	GB:	Oraldene (Warner-Lambert)
	Doreperol (Rentschler)		generic	I:	Oraseptic (Parke Davis)
	Givalex (Norgine)-comb.	F:	Collu-Hextril (Warner-Lambert)	USA:	Sterisil (Warner Chilcott); wfm
	Hexetidin Gurgellösung (ratiopharm)		Givalex (Norgine)-comb.		
			Hextril (Warner-Lambert)		

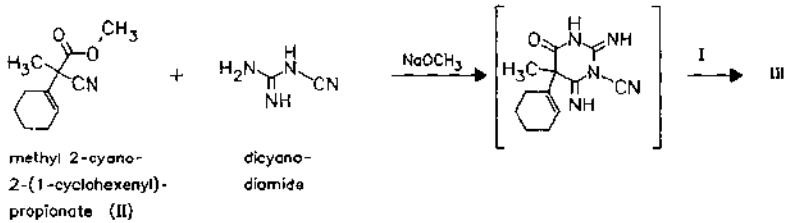
Hexobarbital

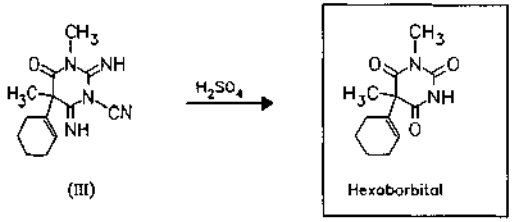
ATC: N01AF02; N05CA16
Use: hypnotic, sedative

RN: 56-29-1 MF: $C_{12}H_{16}N_2O_3$ MW: 236.27 EINECS: 200-264-9
LD_{50}: 133 mg/kg (M, i.v.); 468 mg/kg (M, p.o.)
CN: 5-(1-cyclohexen-1-yl)-1,5-dimethyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

sodium salt
RN: 50-09-9 MF: $C_{12}H_{15}N_2NaO_3$ MW: 258.25 EINECS: 200-009-1
LD_{50}: 165 mg/kg (M, i.v.); 1325 mg/kg (M, p.o.);
1 g/kg (R, p.o.)







Reference(s):
DRP 595 175 (I. G. Farben; 1931).
DRP 590 175 (I. G. Farben; 1932).

Formulation(s): amp. 0.5g/5 g (10 %) (as sodium salt)

Trade Name(s):

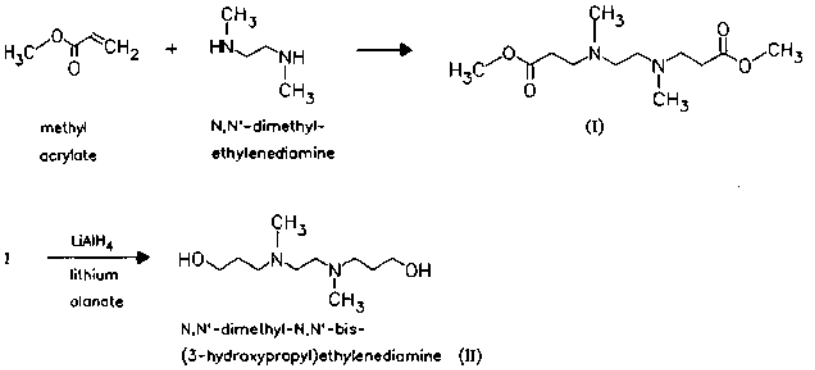
D:	Dormopan (Bayropharm)-comb.; wfm Evipan (Bayer); wfm Stodinox (Lorenz)-comb.; wfm	F:	Dormopan (Bayer-Pharma)-comb.; wfm Noctivane (Vaillant-Defresne); wfm	J:	Cyclopan (Teikoku Kagaku-Nagase) Oltopan (Dainippon) Ouropan Soda (Shionogi)
		GB:	Evidorm (Winthrop); wfm	USA:	Sombulex (Riker); wfm

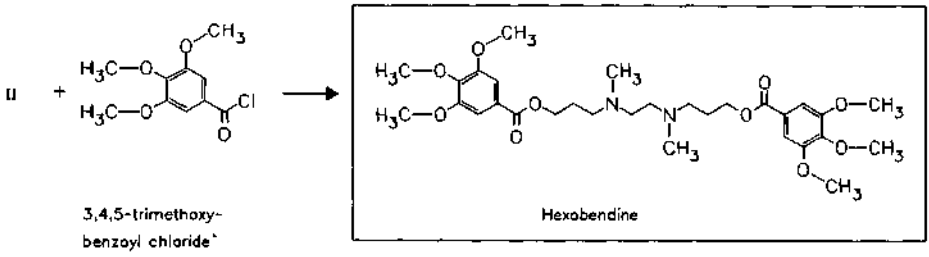
Hexobendine

ATC: C01DX06
Use: coronary vasodilator

RN: 54-03-5 MF: $C_{30}H_{44}N_2O_{10}$ MW: 592.69 EINECS: 200-189-1
LD_{50}: 34 mg/kg (R, i.v.)
CN: 3,4,5-trimethoxybenzoic acid 1,2-ethanediylbis[(methylimino)-3,1-propanediyl] ester

dihydrochloride
RN: 50-62-4 MF: $C_{30}H_{44}N_2O_{10} \cdot 2HCl$ MW: 665.61 EINECS: 200-054-7
LD_{50}: 35.2 mg/kg (M, i.v.); 682 mg/kg (M, p.o.);
52 mg/kg (R, i.v.); 2550 mg/kg (R, p.o.)





Reference(s):
DE 1 217 397 (Lentia; appl. 26.3.1962).

N,N'-bis-(3-hydroxypropyl)-1,2-ethylenediamine *from* 1,2-dichloroethane *and* 3-aminopropanol:
DAS 2 042 320 (Lentia; appl. 26.8.1970).

Formulation(s): amp. 10 mg; tabl. 30 mg, 60 mg

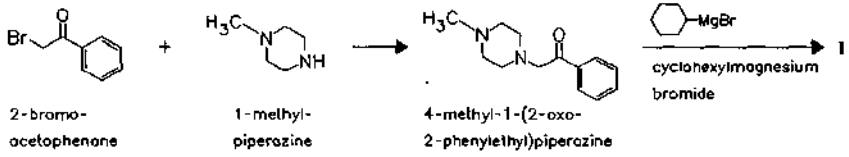
Trade Name(s):

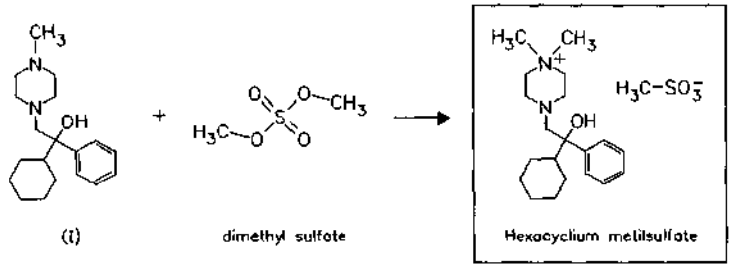
D:	Card-Instenon (Byk Gulden)-comb.; wfm Instenon (Byk Gulden)-comb.; wfm	F:	Reoxyl (Byk Gulden); wfm Hityl (Biosedra)-comb.; wfm	I:	Ustimon (Merck-Clévenot); wfm Flussicor (Farmalabor); wfm

Hexocyclium metilsulfate

ATC: A03AB10
Use: antispasmodic, anticholinergic

RN: 115-63-9 MF: $C_{20}H_{33}N_2O \cdot CH_3O_4S$ MW: 428.59 EINECS: 204-097-2
LD_{50}: 8900 µg/kg (M, i.v.)
CN: 4-(2-cyclohexyl-2-hydroxy-2-phenylethyl)-1,1-dimethylpiperazinium methyl sulfate (salt)





Reference(s):
US 2 907 765 (Abbott; 6.10.1959; prior. 10.9.1956).

Formulation(s): drops; f. c. tabl. 25 mg

Trade Name(s):

D:	Traline retard (Abbott); wfm	F:	Traline (Abbott); wfm	USA:	Tral (Abbott); wfm
		I:	Tral (Abbott); wfm		

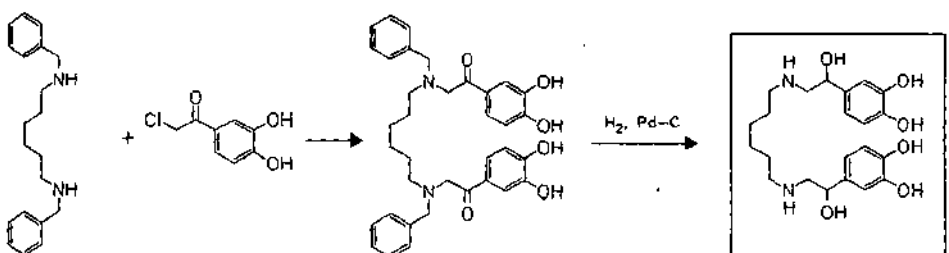
Hexoprenaline

ATC: R03AC06; R03CC05
Use: bronchodilator

RN: 3215-70-1 MF: $C_{22}H_{32}N_2O_6$ MW: 420.51
CN: 4,4'-[1,6-hexanediylbis[imino(1-hydroxy-2,1-ethanediyl)]]bis[1,2-benzenediol]

dihydrochloride
RN: 4323-43-7 MF: $C_{22}H_{32}N_2O_6 \cdot 2HCl$ MW: 493.43 EINECS: 224-354-2
LD_{50}: 88 mg/kg (M, i.v.); 2036 mg/kg (M, p.o.);
58 mg/kg (R, i.v.); 10 g/kg (R, p.o.)

sulfate
RN: 30117-45-4 MF: $C_{22}H_{32}N_2O_6 \cdot xH_2SO_4$ MW: unspecified EINECS: 250-057-2



N,N'-dibenzyl-hexamethylene-diamine

2-chloro-3',4'-dihydroxy-acetophenone

Hexoprenaline

Reference(s):
DE 1 215 729 (Lentia; appl. 14.6.1963).
US 3 329 709 (Österr. Stickstoffwerke; 4.7.1967; A-prior. 11.6.1963).

Formulation(s): aerosol 5.7 mg (as sulfate); amp. 0.005 mg, 0.025 mg; tabl. 0.5 mg (as sulfate)

Trade Name(s):

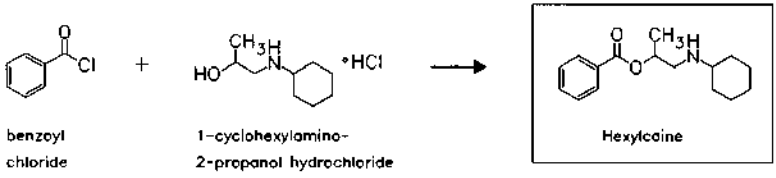
D:	Etoscol (Byk Gulden); wfm	I:	Tocolysan (Byk Gulden; as sulfate)	J:	Leanal (Yoshitomi; as sulfate)

Hexylcaine

ATC: N01B
Use: local anesthetic

RN: 532-77-4 MF: $C_{16}H_{23}NO_2$ MW: 261.37
CN: 1-(cyclohexylamino)-2-propanol benzoate (ester)

hydrochloride
RN: 532-76-3 MF: $C_{16}H_{23}NO_2 \cdot HCl$ MW: 297.83 EINECS: 208-544-2
LD_{50}: 23 mg/kg (M, i.v.); 1080 mg/kg (M, p.o.)



Reference(s):
US 2 486 374 (Sharp & Dohme; 1949; prior. 1944).
Cope, A. et al.: J. Am. Chem. Soc. (JACSAT) **66**, 1453 (1944).

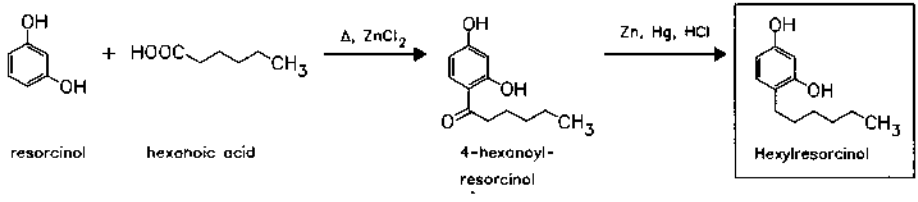
Formulation(s): amp. 1 %, 2 %; sol. (as hydrochloride)

Trade Name(s):
USA: Cyclaine (Merck Sharp & Dohme); wfm

Hexylresorcinol

ATC: R02AA12
Use: anthelmintic, antiseptic

RN: 136-77-6 MF: $C_{12}H_{18}O_2$ MW: 194.27 EINECS: 205-257-4
LD_{50}: 1040 mg/kg (M, p.o.);
550 mg/kg (R, p.o.)
CN: 4-hexyl-1,3-benzenediol



Reference(s):
DRP 488 419 (Sharp & Dohme; appl. 1923; USA-prior. 1923).
DRP 489 117 (Sharp & Dohme; appl. 1925; USA-prior. 1925).
Dohme, A.R.L. et al.: J. Am. Chem. Soc. (JACSAT) **48**, 1688 (1926).
Twiss, D.: J. Am. Chem. Soc. (JACSAT) **48**, 2206 (1926).

Formulation(s): cream 20 mg; drg. 2.4 mg; ointment 4.3 mg

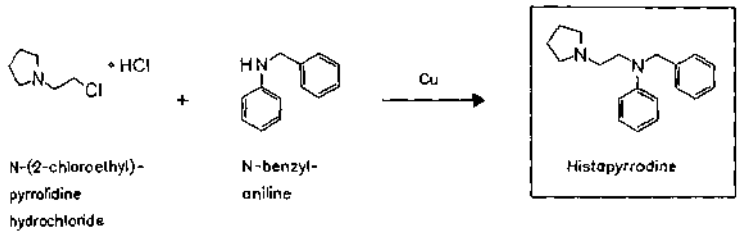
Trade Name(s):
D: Hexamon (Beiersdorf-Lilly)-comb.; wfm
Jodo-Muc (Merz & Co.); wfm
GB: Mycatox (Brenner-Efeka)-comb.; wfm
Kamillosan (Norgine)-comb.; wfm
I: Oxana (Biologici Italia); wfm

Histapyrrodine

ATC: R06AC02
Use: antihistaminic, antiallergic

RN: 493-80-1 MF: $C_{19}H_{24}N_2$ MW: 280.42 EINECS: 207-781-9
CN: *N*-phenyl-*N*-(phenylmethyl)-1-pyrrolidineethanamine

monohydrochloride
RN: 6113-17-3 MF: $C_{19}H_{24}N_2 \cdot HCl$ MW: 316.88 EINECS: 228-079-9



Reference(s):
US 2 623 880 (H. Hopff et al.; 1952; D-prior. 1948).
GB 659 730 (BASF; appl. 1949; D-prior. 1948).

Formulation(s): tabl. 25 mg (as hydrochloride)

Trade Name(s):

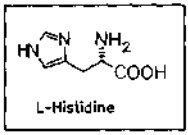
D:	Calcistin (Boehringer Mannh.)-comb. with calcium lactate; wfm		Calcistin (Hestia)-comb. with calcium lactate; wfm		Domistan (Servier); wfm
		F:	Crème domistan vit. F (Servier); wfm.	I:	Calcistin (Boehringer Biochemia)-comb.; wfm

L-Histidine

ATC: M01
Use: essential proteinogen amino acid (for infusion solutions), dietary supplement

RN: 71-00-1 MF: $C_6H_9N_3O_2$ MW: 155.16 EINECS: 200-745-3
LD_{50}: >2 g/kg (M, i.v.); >15 g/kg (M, p.o.); >2 g/kg (R, i.v.); >15 g/kg (R, p.o.)
CN: L-histidine

monohydrochloride monohydrate
RN: 5934-29-2 MF: $C_6H_9N_3O_2 \cdot HCl \cdot H_2O$ MW: 209.63
LD_{50}: >1.677 g/kg (M, i.p.)



Isolation from hydrolysates of blood meal by ion-exchange chromatography.

Reference(s):
Ullmann's Encyclopedia of Industrial Chemistry, 5th Ed., Vol. **A2**, 70.
Vickery, M.B.: J. Biol. Chem. (JBCHA3) **143**, 77 (1942).

Formulation(s): sol. 0.25 g/100 ml (as hydrochloride)

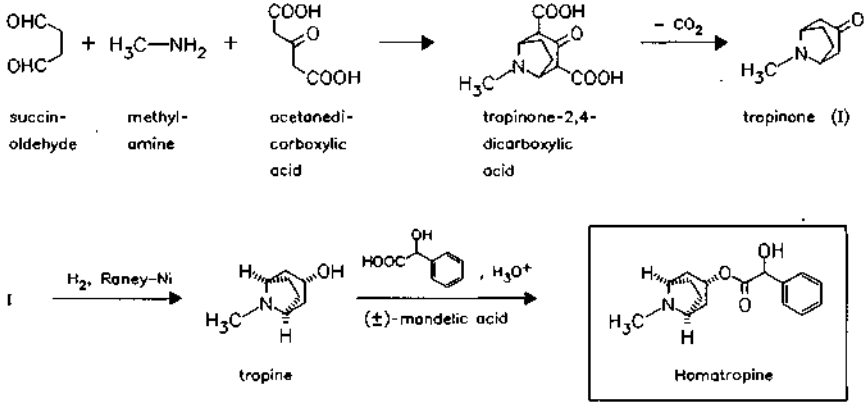
Trade Name(s):
D: Histinorm (A.S.); wfm USA: NephrAmine (R & D)

Homatropine
(Omatropina)

ATC: S01FA05
Use: anticholinergic, antispasmodic, mydriatic

RN: 87-00-3 MF: $C_{16}H_{21}NO_3$ MW: 275.35 EINECS: 201-716-8
CN: α-hydroxybenzeneacetic acid *endo*-(±)-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester

hydrobromide
RN: 51-56-9 MF: $C_{16}H_{21}NO_3 \cdot HBr$ MW: 356.26 EINECS: 200-105-3
LD_{50}: 107 mg/kg (M, i.v.)



Reference(s):
DRP 95 853 (E. Tauber; appl. 1896).
Ladenburg, A.: Justus Liebigs Ann. Chem. (JLACBF) **217**, 75 (1883).
Chemnitius, F.: J. Prakt. Chem. (JPCEAO) **117**, 142 (1927).

tropinone *synthesis:*
Robinson, R.: J. Chem. Soc. (JCSOA9) **111**, 762 (1917); **111**, 876 (1917).
Schöpf, C.: Angew. Chem. (ANCEAD) **50**, 779 (1937).

racemate resolution:
Werner, G.; Miltenberger, K.: Justus Liebigs Ann. Chem. (JLACBF) **631**, 163 (1960).

Formulation(s): eye drops 1 %; eye ointment 1 % (as hydrobromide)

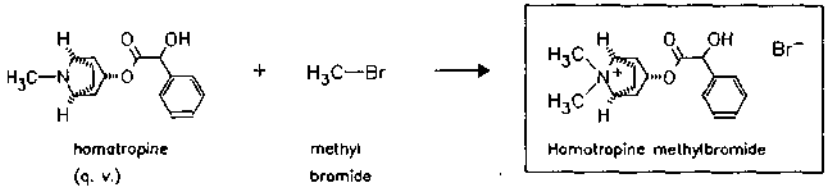
Trade Name(s):
D: Homatropin POS 1 % Augentropfen (Ursapharm)
GB: Minims Homatropine Hydrobromide (Chauvin)
I: Omatr Br (Formulario Naz.; Tariff. Nazionale) Omatropina Lux coll. (Allergan)
J: Homatropine hydrobromide (Torii)

Homatropine methylbromide

(Methylhomatropine bromide)

ATC: A07AA54
Use: anticholinergic, antispasmodic

RN: 80-49-9 MF: $C_{17}H_{24}BrNO_3$ MW: 370.29 EINECS: 201-284-0
LD_{50}: 1400 mg/kg (M, p.o.);
12 mg/kg (R, i.v.); 1200 mg/kg (R, p.o.)
CN: *endo*-3-[(hydroxyphenylacetyl)oxy]-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide



Reference(s):
Cahen, R.L.; Tvede, K.: J. Pharmacol. Exp. Ther. (JPETAB) **105**, 166 (1952).

Formulation(s): eye drops 1 %, 2 %, 5 %; syrup 1.5 mg/5 ml, 5 mg/5 ml; tabl. 1.5 mg, 5 mg

Trade Name(s):

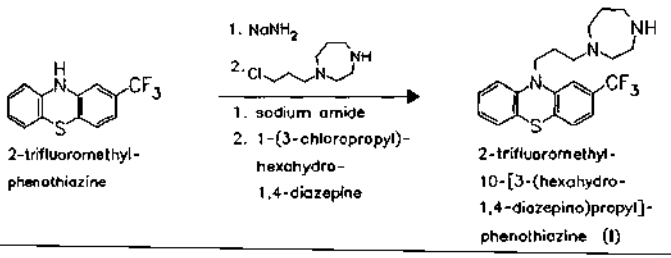
F:	Entercine (Robapharm)-comb.; wfm Supadol (Lederle)-comb.; wfm Surparine (Licardy)-comb.; wfm	GB:	Ulfon (Lafon)-comb.; wfm Vagantyl (Robapharm)-comb.; wfm APP (Consolidated); wfm Vagantyl (Robapharm)-comb.; wfm	I: USA:	Novatropina (ASTA Medica) Hycodan (Endo)

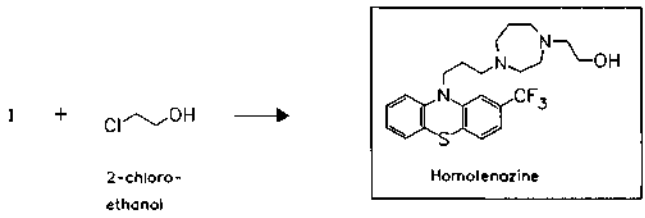
Homofenazine

ATC: N05AK
Use: neuroleptic, psychosedative

RN: 3833-99-6 MF: $C_{23}H_{28}F_3N_3OS$ MW: 451.56
CN: hexahydro-4-[3-[2-(trifluoromethyl)-10*H*-phenothiazin-10-yl]propyl]-1*H*-1,4-diazepine-1-ethanol

dihydrochloride
RN: 1256-01-5 MF: $C_{23}H_{28}F_3N_3OS \cdot 2HCl$ MW: 524.48 EINECS: 215-017-0
LD_{50}: 73.5 mg/kg (M, i.v.); 790 mg/kg (M, p.o.);
102 mg/kg (R, i.v.); 880 mg/kg (R, p.o.)





Reference(s):
DE 1 160 442 (Degussa; appl. 18.2.1960).
US 3 040 043 (Degussa; 19.6.1962; D-prior. 18.3.1959).

Formulation(s): tabl. 3 mg (as hydrochloride)

Trade Name(s):

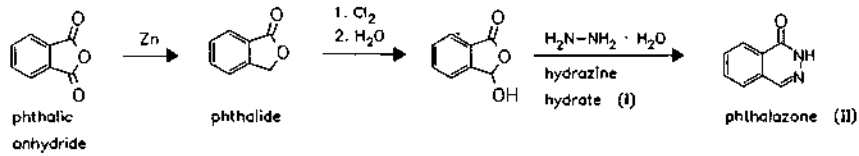
D:	Pasaden (Homburg); wfm	Seda-Ildamen (Homburg)-comb.; wfm	I:	Pasaden (Farmades); wfm

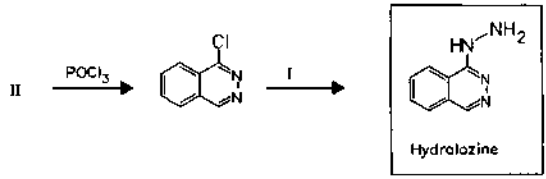
Hydralazine

ATC: C02DB02
Use: antihypertensive

RN: 86-54-4 MF: $C_8H_8N_4$ MW: 160.18 EINECS: 201-680-3
LD_{50}: 52 mg/kg (M, i.v.); 122 mg/kg (M, p.o.);
34 mg/kg (R, i.v.); 90 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.); 100 mg/kg (dog, p.o.)
CN: 1(2*H*)-phthalazinone hydrazone

monohydrochloride
RN: 304-20-1 MF: $C_8H_8N_4 \cdot HCl$ MW: 196.64 EINECS: 206-151-0
LD_{50}: 84 mg/kg (M, i.v.); 188 mg/kg (M, p.o.);
34 mg/kg (R, i.v.)





Reference(s):
US 2 484 029 (Ciba; 1949; CH-prior. 1945).
DE 848 818 (Ciba; CH-prior. 1945).

Formulation(s): amp. 20 mg; drg. 10 mg, 25 mg, 50 mg; tabl. 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D: Docidrazin (Rhein-Pharma; Zeneca)-comb.
Impresso-Puren (Isis Puren)-comb.
pertenso (Fournier Pharma)-comb.
Treloc (Astra/Promed)-comb.
Trepress (Novartis Pharma)-comb.
Tri-Normin (Zeneca)-comb.

GB: Apresoline (Novartis; as hydrochloride)

I: Apresolin Retard (Novartis; as hydrochloride)

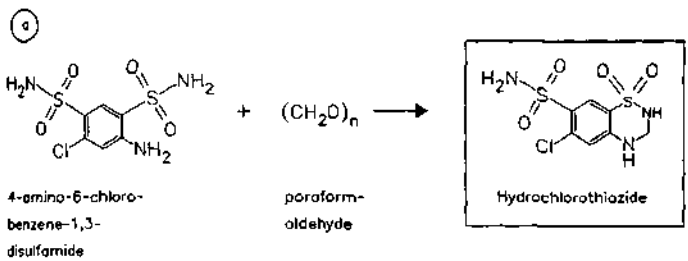
J: Anaspasmin (Vitacain)
Aprelazine (Kaigai)
Apresoline (Novartis)
Aprezine (Kanto)
Basedock D (Sawai)
Deselazine (Kobayashi Kako)
Diucholin (Toyama)
Homoton (Horii)
Hypatol (Yamanouchi)
Hypos (Nippon Shinyaku)
Pressfail (Nissin)
Propectin (Maruishi)
Solesorin (Hishiyama)

USA: Hydralazine Hydrochloride (SoloPak)
Hydra-Zide (Par)

Hydrochlorothiazide

ATC: C03AA03
Use: diuretic

RN: 58-93-5 MF: $C_7H_8ClN_3O_4S_2$ MW: 297.74 EINECS: 200-403-3
LD_{50}: 590 mg/kg (M, i.v.); 1175 mg/kg (M, p.o.); 990 mg/kg (R, i.v.); 2750 mg/kg (R, p.o.); 250 mg/kg (dog, i.v.)
CN: 6-chloro-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):

a US 3 163 645 (Ciba; 29.12.1964; appl. 25.9.1964; prior. 9.4.1958).
Stevens, G. de et al.: Experientia (EXPEAM) **14**, 463 (1958).

b US 3 164 588 (Merck & Co.; 5.1.1965; GB-prior. 19.6.1959).

alternative syntheses:

US 3 025 292 (Merck & Co.; 13.3.1962; prior. 26.11.1958).

purification:

US 3 043 840 (Merck & Co. 10.7.1962; appl. 14.10.1959).

Formulation(s): tabl. 12.5 mg, 25 mg, 50 mg, 100 mg

Trade Name(s):

D: Disalunil (Berlin-Chemie)
diu melusin (Schwarz)-comb.
Esidrix (Novartis Pharma)
HCT-ISIS (Isis Puren)
numerous generics and combination preparations

F: Acuilix (Parke Davis)-comb.
Briazide (Pierre Fabre)-comb.
Esidrex (Novartis)
Moducren (Merck Sharp & Dohme-Chibret)-comb.
Moduretic (Du Pont Pharma)-comb.
Prestole (SmithKline Beecham)-comb.
Zestoretic (Zeneca)-comb.
numerous generics and combination preparations

GB: Hydrosaluric (Merck Sharp & Dohme)
numerous combination preparations

I: Accuretic (Parke Davis)-comb.
Acediur (Guidotti)-comb.
Aceplus (Bristol-Myers Squibb)-comb.
Acequide (Recordati)-comb.
Acesistem (Sigma-Tau)-comb.
Aldactazide (SPA)-comb.
Condiuren (Neopharmed)-comb.
Esidrex (Novartis)
Indroclor (Formulario Naz.)
Medozide (Malesci)-comb.
Moduretic (Merck Sharp & Dohme)-comb.
Prinzide (Du Pont)-comb.
Quinazide (Malesci)-comb.
Raunova Plus (SmithKline Beecham)-comb.
Selozide (Astra)-comb.
Spiridazide (SIT)-comb.
Vasoretic (Merck Sharp & Dohme)-comb.
Zestoretic (Zeneca)-comb.
combination preparations

J: Chlothia (Iwaki)
Dichlotride (Merck-Banyu)
Esidrex (Novartis-Takeda)
Maschitt (Showa Shinyaku)
Mikorten (Zensei)
Newtolide (Towa)
Pantemon (Tatsumi)

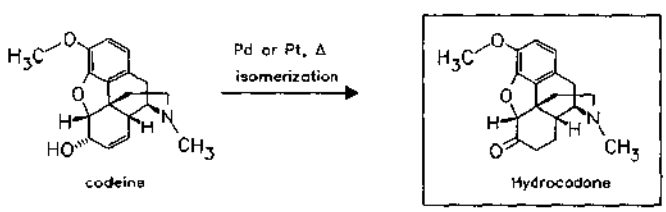
USA: Hydrochlorothiazide (Lederle)
HydroDIURIL (Merck Sharp & Dohme)
Hydropres (Merck Sharp & Dohme)-comb.
Oretic (Abbott)
Timolide (Merck Sharp & Dohme)-comb.
generic and combination preparations

Hydrocodone

ATC: R05DA03
Use: antitussive, narcotic analgesic

RN: 125-29-1 MF: $C_{18}H_{21}NO_3$ MW: 299.37 EINECS: 204-733-9
LD_{50}: 8.57 mg/kg (M, s.c.);
CN: (5α)-4,5-epoxy-3-methoxy-17-methylmorphinan-6-one

bitartrate hydrate
RN: 34195-34-1 MF: $C_{18}H_{21}NO_3 \cdot C_4H_6O_6 \cdot 5/2H_2O$ MW: 988.99
LD_{50}: 375 mg/kg (R, p.o.)
hydrochloride
RN: 25968-91-6 MF: $C_{18}H_{21}NO_3 \cdot HCl$ MW: 335.83 EINECS: 247-382-7



Reference(s):
Ehrhart, Ruschig I, 119-120.
DRP 607 931 (Knoll; 1935).
DRP 617 238 (Knoll; 1935).
DRP 623 821 (Knoll; 1935).

Formulation(s): amp. 15 mg/ml (as hydrochloride); syrup 5 mg/5 ml, 100 mg/5 ml; tabl. 5 mg, 10 mg (as bitartrate hydrate)

Trade Name(s):

D:	Dicodid (Knoll)	USA:	Hycotuss (Endo)-comb.	numerous combination
I:	Dicodid (Knoll); wfm		Tussend (Monarch)-comb.	preparations

Hydrocortisone

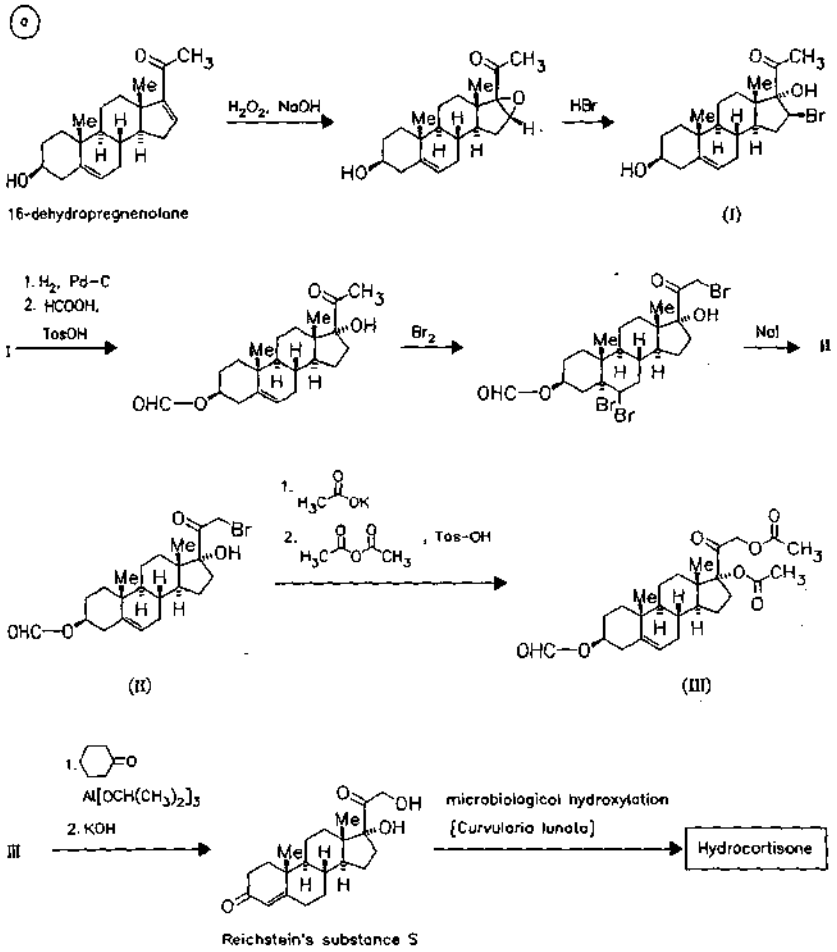
ATC: A01AC03; A07EA02; D07AA02; C05AA01; D07XA01; H02AB09; S01BA02; S01CB03; S02BA01

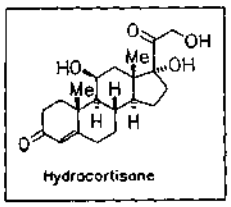
Use: glucocorticoid, anti-inflammatory

RN: 50-23-7 MF: $C_{21}H_{30}O_5$ MW: 362.47 EINECS: 200-020-1

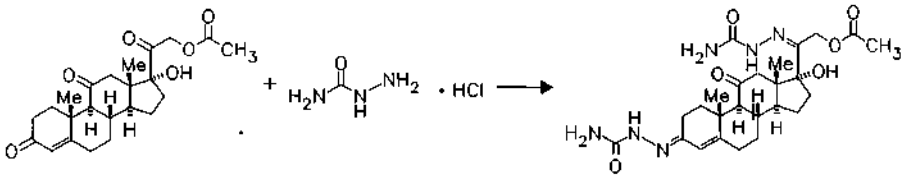
LD_{50}: >500 mg/kg (M, s.c.);
150 mg/kg (R, i.p.); 449 mg/kg (R, s.c.)

CN: (11β)-11,17,21-trihydroxypregn-4-ene-3,20-dione





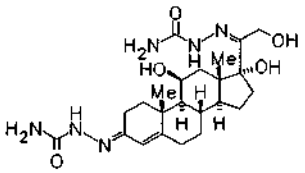
(b)



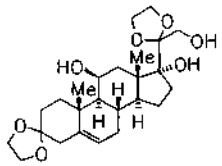
cortisone 21-acetate

semicarbazide hydrochloride

(IV)

IV —(KBH_4; potassium boro-hydride)→  —($NaNO_2$, HCl)→ Hydrocortisone

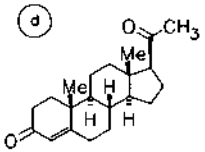
(c)



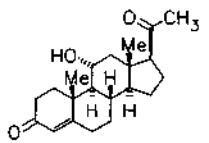
3,3:20,20-bis(ethylenedioxy)-11β,17,21-trihydroxy-5-pregnene (from cortisone)

—(H_2SO_4)→ Hydrocortisone

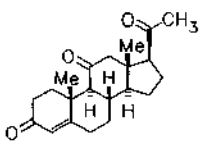
(d)



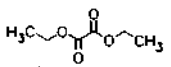
progesterone

—(microbiological hydroxylation [Rhizopus arrhizus Fischer (ATCC-11145) or Rhizopus nigricans])→ 

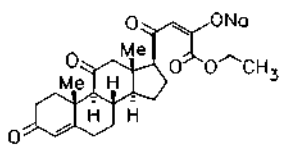
11α-hydroxy-progesterone (V)

V —(CrO_3, CH_3COOH)→ 

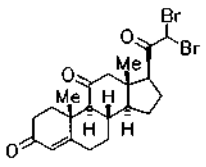
11-oxoprogesterone

—($NaOC_2H_5$; )→ VI

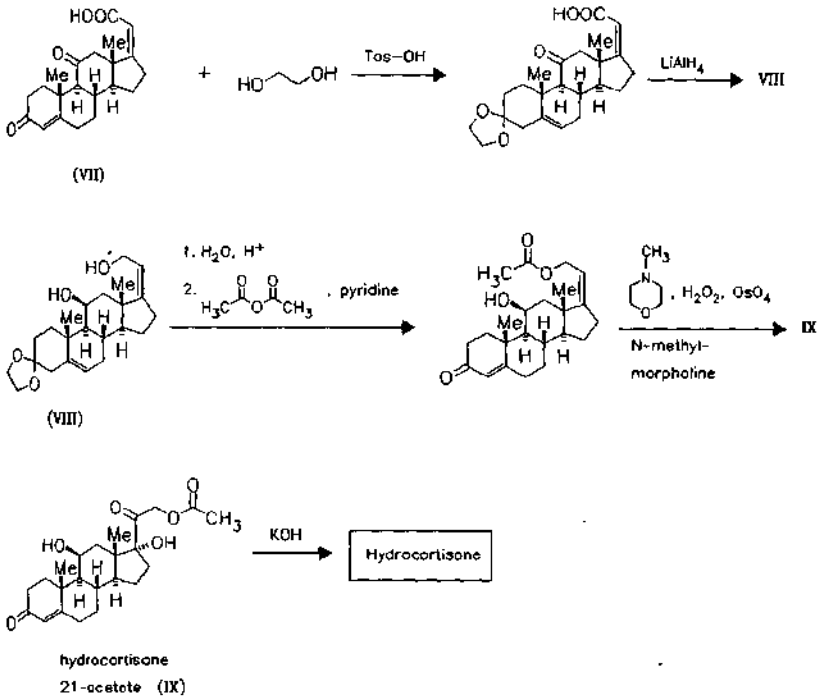
diethyl oxalate



(VI)

—(Br_2)→ 

—($NaOCH_3$, (Favorskii rearrangement))→ VII



Reference(s):

a US 2 649 401 (Upjohn; 1953; appl. 1950).
US 2 658 023 (Pfizer; 1953; appl. 1952).
US 2 794 816 (Upjohn; 1957 appl. 1954).
synthesis of cortexolon:
Julian, P.L.: J. Am. Chem. Soc. (JACSAT) **72**, 5145 (1950).
Sondheimer, F. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 816 (1956).
The Merck Index, 2891 (Rahway 1976).

b Oliveto, E. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 1736 (1956).

c US 2 666 069 (American Cyanamid; 1954; appl. 1951).
synthesis of starting material:
US 2 622 081 (American Cyanamid; 1952; appl. 1951).
US 2 700 666 (American Cyanamid; 1955; appl. 1953).

d Hogg, J.A. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 4436 (1955).
US 2 769 823 (Upjohn; 1956; appl. 1954).

alternative syntheses:
US 2 541 104 (Merck & Co.; 1951; appl. 1947).
GB 800 797 (Pfizer; appl. 1956; USA-prior. 1955).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).
DOS 2 803 660 (Schering AG; appl. 25.1.1978).
DOS 2 803 661 (Schering AG; appl. 25.1.1978).

review:
Fieser, L.F.; Fieser, M.: Steroide, 710, 737 (Weinheim 1961).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 52.

pharmaceutical formulation:
DOS 2 606 516 (Dermal; appl. 18.2.1976; GB-prior. 19.2.1975).

Formulation(s): cream 0.5 %; lotion 0.5 %; ointment 1 %, 2.5 %; tabl. 10 mg

Trade Name(s):

D:
Dermallerg-ratiopharm (ratiopharm)
Derm Posterisan (Kade)
Ficortril (Pfizer; as acetate)
Hydrocort (Pharmagalen)
Hydrocortison Hoechst (Hoechst)
Hydroderm (Karrer)
Hydrogalen (Pharmagalen)
Munitren H (Robugen)
Sanatison (Parke Davis)
generics and several combination preparations

F:
Daktacort (Janssen-Cilag)-comb.
Hydracort (Galderma)
numerous generics and combination preparations

GB:
Cobadex (Cox)-comb.
Corlan (Evans)
Efcortelan (Glaxo Wellcome)
Eurax Hydrocortisone (Novartis Consumer)-comb.
Hydrocortistab (Knoll)
Hydrocortisyl (Hoechst)
Hydrocortone (Merck Sharp & Dohme)
several combination preparations

I:
Algicortis (Vaillant)
Daktacort crema (Janssen)-comb.
Dermocortal (Puropharma)
Molidex (Clintec)-comb.
Nasomixin (Pierrel)-comb.
Sintotrat (Edmond)
Vasosterone (Angelini)-comb.
several combination preparations

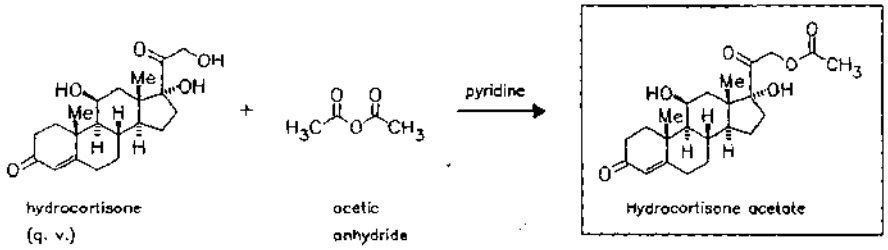
J:
Cortril (Taito Pfizer)
Solu-cortef (Sumitomo Chem.)

USA:
Cortenema (Solvay)
Hydrocortone (Merck Sharp & Dohme)
Hytone (Dermik)
Protocort (Monarch)
several combination preparations

Hydrocortisone acetate

ATC: A07EA02; D07AA02
Use: glucocorticoid

RN: 50-03-3 MF: $C_{23}H_{32}O_6$ MW: 404.50 EINECS: 200-004-4
LD_{50}: 2300 mg/kg (M, i.p.); 45.05 mg/kg (M, s.c.)
CN: (11β)-21-(acetyloxy)-11,17-dihydroxypregn-4-ene-3,20-dione



Reference(s):
US 2 183 589 (Roche-Organon; 1939; CH-prior. 1936).

alternative syntheses:
US 2 541 104 (Merck & Co.; 1951; appl. 1947).
US 2 769 823 (Upjohn; 1956; appl. 1954).

Formulation(s): cream 3.3 mg; ointment (0.5 %, 1 %, 2 %); suppos. 3.3 mg

Trade Name(s):

D:
Colifoam (Trommsdorff)
Cortisol Thilo (Thilo)
Ebenol (Strathmann)
Ficortril Augensalbe (Pfizer)
Hydrocortison-POS (Ursapharm)
Litraderm (Desitin)
numerous combination preparations and generics

F:
Colofoam (Norgine Pharma)
Hydrocortisone Roussel Susp. Inj. (Roussel)
Onctose hydrocortisone (Monot)-comb.
Proctocort (Boehringer Ing.)
numerous combination preparations and generics

GB:
Actinac (Hoechst)-comb.
Anugesic HC (Parke Davis)-comb.
Anusol HC (Parke Davis)-comb.

Colifoam (Stafford-Miller)
Fucidin H (Leo)-comb.
Neo-cortef (Dominion)-comb.
Proctofoam (Stafford-Miller)-comb.
Xyloproct (Astra)-comb.
numerous combination preparations

I: Antiacne Samil (Samil)-comb.
Antiemorroidale Milanfarma (Milanfarma)-comb.
Argisone (Teofarma)-comb.
Cortidro (Salus Research)
Cortinal (Teofarma)-comb.
Cortison-Chemicetina (Carlo Erba)-comb.
Emorril (Poli)-comb.
Idrocet (Lusofarmaco)-comb.
Idrocortisone Roussel (Roussel)
Idroneomicil (Poli)-comb.
Lenirit (Bonomelli Farm.)
Mictasone (Zoja)-comb.
Proctosedyl (Roussel)-comb.
Reumacort (Teofarma)-comb.
Urecortyn (Roussel)
Vasosterone antib. (Angelini)-comb.
Xyloproct (Byk Gulden)-comb.

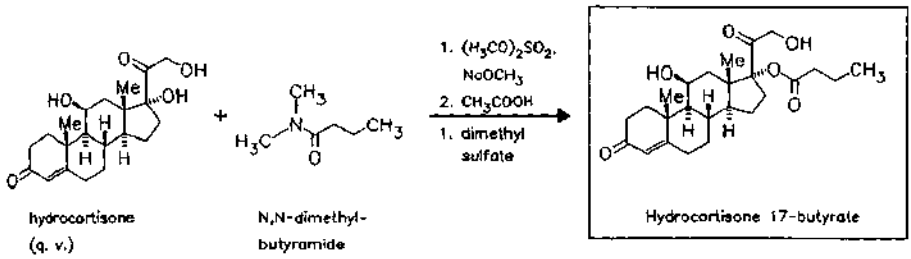
J: Dortizon Oint. (Kobayashi Kako)
Hydrocortisone (Banyu)
Hydrocortone (Merck-Banyu)
KC Oint. (Hokuriku)
Manosil (Sumitomo Kagaku)
Otozon Base (Nakano)
Scheroson F (Nihon Schering)

USA: Anusol-HC (Parke Davis)
Cortifoam (Schwarz)
Pramosone (Ferndale)
numerous combination preparations and generic

Hydrocortisone 17-butyrate

ATC: D07AB02
Use: glucocorticoid

RN: 13609-67-1 MF: $C_{25}H_{36}O_6$ MW: 432.56 EINECS: 237-093-4
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (11β)-11,21-dihydroxy-17-(1-oxobutoxy)pregn-4-ene-3,20-dione



Reference(s):
DAS 2 644 556 (Beiersdorf AG; appl. 2.10.1976).

alternative syntheses:
DAS 2 441 284 (Schering AG; appl. 16.9.1974).
JP 52 010 489 (Taisho; appl. 15.7.1975).
JP 52 136 157 (Taisho; appl. 14.4.1976).
JP 53 015 360 (Taisho; appl. 26.7.1976).
DOS 2 055 221 (Lab. Chimico Farma Untico; appl. 10.11.1970).
DOS 2 204 366 (Dermal; appl. 27.1.1962).

Formulation(s): cream 1 mg/g; emulsion 1 mg/g; lotion 1 mg/g; ointment 1 mg/g

Trade Name(s):

D: Alfason (Yamanouchi)
Laticort (medphano)

F: Locoid (Yamanouchi Pharma)

GB: Locoid (Yamanouchi)

I: Daktacort crema (Janssen)-comb.
Locoidon (Brocades)
Molidex (Clintec)-comb.
Nasomixin (Pierrel)-comb.

J: Locoid (Torii)

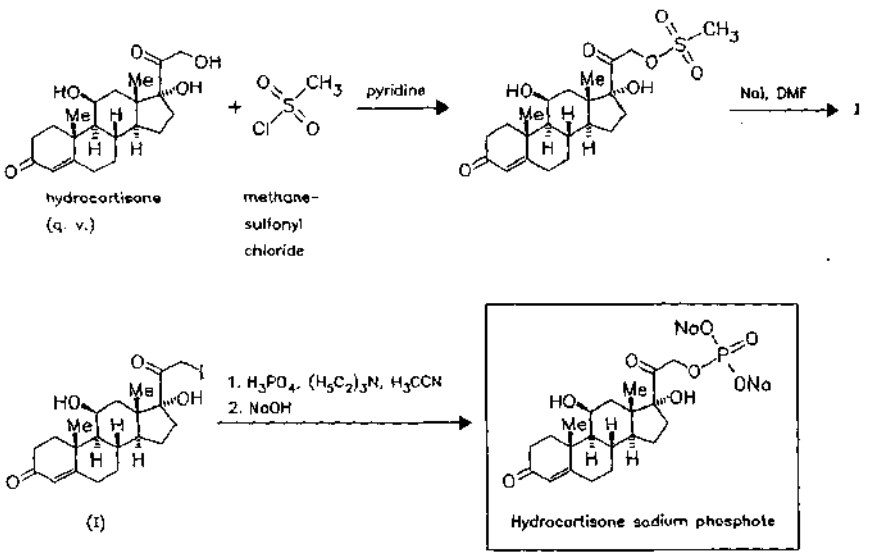
USA: Locoid (Ferndale)

Hydrocortisone sodium phosphate

ATC: S01XA99
Use: glucocorticoid

RN: 6000-74-4 MF: $C_{21}H_{29}Na_2O_8P$ MW: 486.41 EINECS: 227-843-9
LD_{50}: 746 mg/kg (M, i.v.); 3950 mg/kg (M, p.o.);
632 mg/kg (R, i.v.); 6100 mg/kg (R, p.o.)
CN: (11β)-11,17-dihydroxy-21-(phosphonooxy)pregn-4-ene-3,20-dione disodium salt

free acid
RN: 3863-59-0 MF: $C_{21}H_{31}O_8P$ MW: 442.45 EINECS: 223-382-2



Reference(s):
US 2 936 313 (Glaxo; 10.5.1960; appl. 18.11.1958; GB-prior. 19.11.1957).
US 2 932 657 (Merck & Co.; 12.4.1960; appl. 30.6.1957).

alternative syntheses:
US 2 870 177 (Merck & Co.; 20.1.1959; appl. 4.8.1954).
US 3 068 223 (Merck & Co.; 11.12.1962; appl. 18.11.1958; prior. 4.8.1954).
DE 1 134 075 (Merck AG; appl. 26.11.1959).

Formulation(s): amp. 100 mg; drops 0.335 %

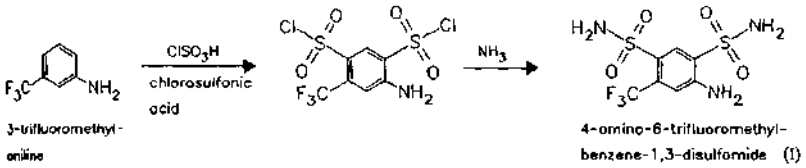
Trade Name(s):

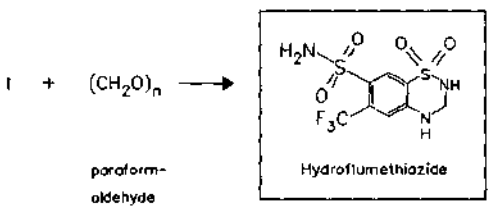
D:	Pantocrinale (Simons)-comb.	I:	Idracemi coll. (Farmigea)	USA:	Hydrocortone Phosphate Inj. (Merck Sharp & Dohme)
GB:	Efcortesol (Glaxo Wellcome)		Idracemi eparina (Farmigea)-comb.		
		J:	Gleiton (Sankyo Zoki)		

Hydroflumethiazide

ATC: C03AA02
Use: diuretic, antihypertensive

RN: 135-09-1 MF: $C_8H_8F_3N_3O_4S_2$ MW: 331.30 EINECS: 205-173-8
LD_{50}: 750 mg/kg (M, i.v.); >10 g/kg (M, p.o.)
CN: 3,4-dihydro-6-(trifluoromethyl)-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide





Reference(s):
US 3 254 076 (Lovens Kemiske Fabrik; 31.5.1966; GB-prior. 13.8.1958).
Holdrege, C.T. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 4807 (1959).

Formulation(s): tabl. 25 mg, 50 mg

Trade Name(s):

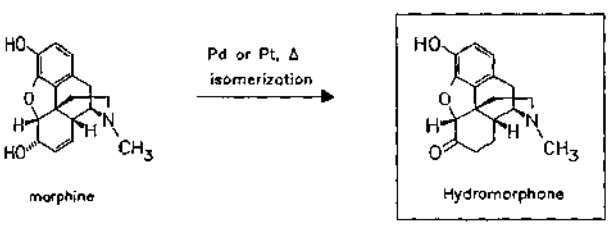
F:	Eusod (Leo)-comb.; wfm		Hydrenox (Knoll)		Robezon (Mitsu)
	Leodrine (Leo); wfm	I:	Diuritens (Biotrading)-comb.; wfm		Rontyl (Leo-Sankyo)
	Plurine (Leo)-comb. with KCl; wfm		Rivosil (Benvegna); wfm	USA:	Diucardin (Wyeth-Ayerst)
GB:	Aldactide 50 (Searle)-comb.	J:	Di-Ademil (Squibb-Showa)		
			Enjit (Meiji)		

Hydromorphone

ATC: N02AA03
Use: analgesic

RN: 466-99-9 MF: $C_{17}H_{19}NO_3$ MW: 285.34 EINECS: 207-383-5
LD_{50}: 104 mg/kg (M, i.v.)
CN: (5α)-4,5-epoxy-3-hydroxy-17-methylmorphinan-6-one

monohydrochloride
RN: 71-68-1 MF: $C_{17}H_{19}NO_3 \cdot HCl$ MW: 321.80 EINECS: 200-762-6
LD_{50}: 55 mg/kg (M, i.v.)



Reference(s):
Ehrhart, Ruschig I, 120.
DRP 365 683 (Knoll; 1922).
DRP 607 931 (Knoll; 1935).
DRP 617 238 (Knoll; 1935).
DRP 623 821 (Knoll; 1935).

Formulation(s): amp. 1 mg/ml, 2 mg/ml, 10 mg/ml, 50 mg/5 ml; tabl. 2 mg, 4 mg, 8 mg; vial 500 mg/5 ml (as hydrochloride)

Trade Name(s):

D:	Dilaudid (Knoll; as hydrochloride)	GB:	Palladone (Napp; as hydrochloride)	generics
	Dilaudid-Atropin (Knoll; as hydrochloride)-comb.	USA:	Dilaudid (Knoll; as hydrochloride)	

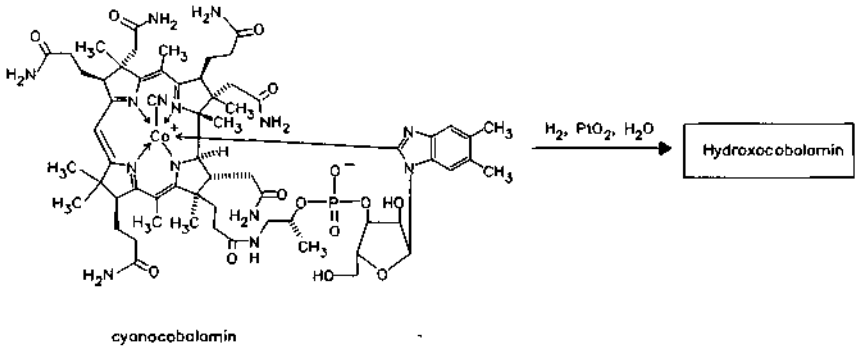
Hydroxocobalamin

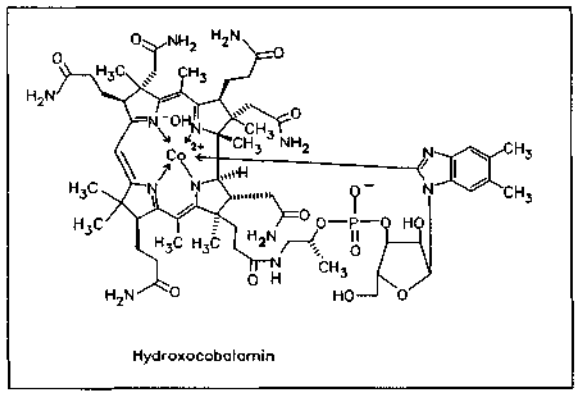
(Aquocobalamin; Hydroxycobalamin; Vitamin B_{12a})

ATC: V03AB33
Use: antipernicious vitamin (depot form: acetate)

RN: 13422-51-0 MF: $C_{62}H_{89}CoN_{13}O_{15}P$ MW: 1346.38 EINECS: 236-533-2
LD_{50}: >50 mg/kg (M, i.v.)
CN: cobinamide dihydroxide dihydrogen phosphate (ester) mono(inner salt) 3'-ester with 5,6-dimethyl-1-α-D-ribofuranosyl-1*H*-benzimidazole

hydrate
RN: 13422-52-1 MF: $C_{62}H_{89}CoN_{13}O_{15}P \cdot H_2O$ MW: 1364.39 EINECS: 236-534-8
acetate
RN: 22465-48-1 MF: $C_{64}H_{91}CoN_{13}O_{16}P$ MW: 1388.41 EINECS: 245-019-7
LD_{50}: 2 g/kg (M, i.v.)





Reference(s):
US 2 738 301 (Merck & Co.; 1956; appl. 1950).
US 2 738 302 (Merck & Co.; 1956; appl. 1950).

stabilized solutions:
FR 1 336 671 (Merck & Co.; appl. 28.2.1962; USA-prior. 13.3.1961).

Formulation(s): amp. 0.5 mg/1 ml; cps. 460 mg; vial 5 mg, 10 mg (as hydrochloride)

Trade Name(s):

D: Aquo-Cytobion (Merck)
Lophakomp-B12 Depot (Lomapharm)
Novidroxin (Fatol; as acetate)
numerous combination preparations

F: Arginotri-B (Bouchara)-comb.
Dodécavit (L'Arguenon; as acetate)
Hydroxo 5000 (Lipha Santé Division Aron-Médica)
Inadrox (Logeais; as acetate)-comb.
Néoparyl B12 (CIBA Vision Ophthalmics; as acetate)-comb.
Ternéurine H 5000 (Bristol-Myers Squibb; Labs. Allard)-comb.
Vibalgan (Doms-Adrian; as acetate)-comb.
generic and numerous combination preparations

GB: Cobalin-H (Link)
Neo-Cytamen (Evans)
numerous combination preparations

I: Idroxoc (Formulario Naz.)
Idroxoc (Biologici Italia)
Neocytamen (Teofarma)
numerous combination preparations

J: Anemisol (Tobishi)
Aquo B'av (Nippon Zoki)
Bistin (Yamanouchi)
B-Red S (Kyorin)
B-Valet B_{12} (Tokyo Tanabe)
Cobalamin H (Otsuka)
Colsamine (Kanto)
Dasvit H (Tanabe)
Docelan (Nippon Roussel-Chugai)
Dolevern (Seiko)
Fresmin-S (Takeda; as acetate)
Funacomin-F (Funai)
Hicobala (Mitaka)
Hicobalan (Maruko)
Hydocobamin (Hishiyama)
Hydocomin (Sanwa)
Hydroxomin (Tokyo Hosei)
Laseramin (Choseido)
Masblon H (Fuso)
Nichicoba (Nichiiko)
OH-B_{12} (Morishita)
Rasedon (Sawai)
Red-B (Kowa)
Redisol H (Merck-Banyu)
Runova (Squibb-Sankyo)
Solco H (Tobishi)
Tsuerumin S (Mohan)
Twelvmin (Mohan)
Vigolatin (Kowa)

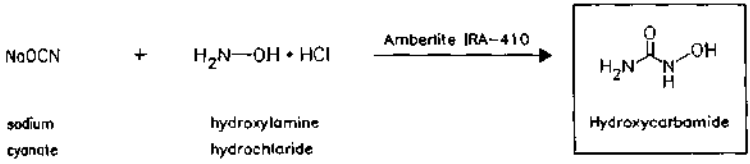
USA: Bevitamel (Westlake)-comb.
Chromagen (Savage)-comb.
Mega-B (Arco)-comb.
numerous combination preparations

Hydroxycarbamide

(Hydroxyurea)

ATC: L01XX05
Use: antineoplastic

RN: 127-07-1 MF: $CH_4N_2O_2$ MW: 76.06 EINECS: 204-821-7
LD_{50}: 2350 mg/kg (M, i.v.); 7330 mg/kg (M, p.o.); 4730 mg/kg (R, i.v.); 5760 mg/kg (R, p.o.); >1 g/kg (dog, i.v.); >2 g/kg (dog, p.o.)
CN: hydroxyurea



Reference(s):
US 2 705 727 (Du Pont; 1955; prior. 1952).

Formulation(s): cps. 500 mg

Trade Name(s):

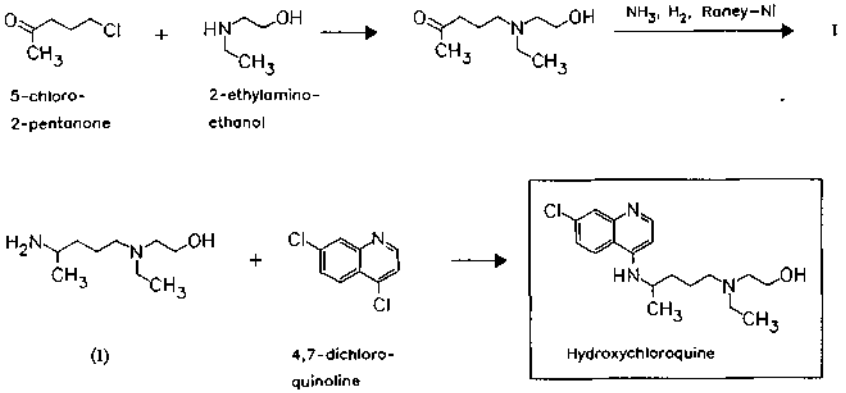
D:	Litalir (Bristol-Myers Squibb) Syrea (medac)	GB:	Hydrea (Bristol-Myers Squibb)	USA:	Hydrea (Bristol-Myers Squibb)
F:	Hydréa (Bristol-Myers Squibb)	I:	Onco-Carbide (Astra-Simes)		
		J:	Hydrea (Bristol)		

Hydroxychloroquine

ATC: P01BA02
Use: antirheumatic, antimalarial

RN: 118-42-3 MF: $C_{18}H_{26}ClN_3O$ MW: 335.88 EINECS: 204-249-8
LD_{50}: 1240 mg/kg (M, p.o.)
CN: 2-[[4-[(7-chloro-4-quinolinyl)amino]pentyl]ethylamino]ethanol

sulfate (1:1)
RN: 747-36-4 MF: $C_{18}H_{26}ClN_3O \cdot H_2SO_4$ MW: 433.96 EINECS: 212-019-3
phosphate (1:2)
RN: 6168-85-0 MF: $C_{18}H_{26}ClN_3O \cdot 2H_3PO_4$ MW: 531.87



Reference(s):
US 2 546 658 (Sterling Drug; 1951; prior. 1949).

Formulation(s): drg. 200 mg (as hydrochloride)

Trade Name(s):

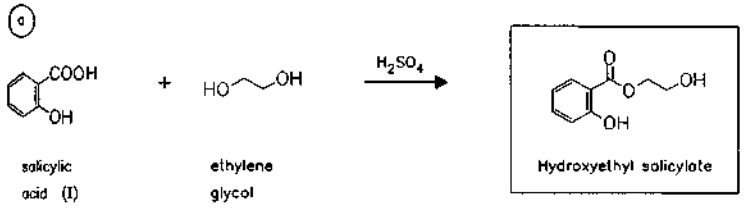
D:	Quensyl (Sanofi Winthrop)	I:	Plaquenil (Maggioni-Winthrop)		Rhyumapirine S:Q (Nichiiko)
F:	Plaquénil (Sanofi Winthrop)	J:	Eroquin (Shionogi)		Toremonil (Iwaki)
GB:	Plaquenil (Sanofi Winthrop)		Plaquenil (Yamanouchi)	USA:	Plaquenil (Sanofi Winthrop; as sulfate)

Hydroxyethyl salicylate

(Glycol salicylate)

ATC: M02AC
Use: anti-inflammatory, analgesic

RN: 87-28-5 MF: $C_9H_{10}O_4$ MW: 182.18 EINECS: 201-737-2
CN: 2-hydroxybenzoic acid 2-hydroxyethyl ester



Reference(s):
Kaufmann, H.P.: Arzneimittel-Synthese, Springer Verlag 1953, p. 79.
DD 218 616 (VEB Chem.-Pharmaz. Werk Oranienburg; appl. 18.4.1983).

Formulation(s): cream 10.55 g/100 g, 12.5 g/100 g; gel 10.55 g/100 g, 12.5 g/100 g; ointment 10.55 g/100 g, 12.5 g/100 g

Trade Name(s):

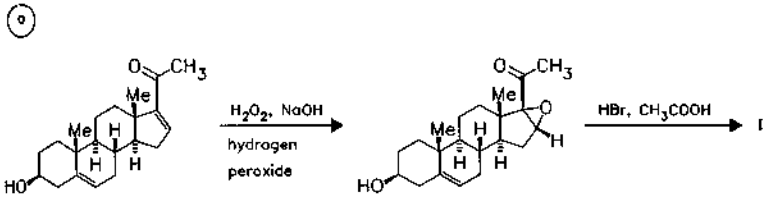
D:	Dolo-Arthrosonex (Brenner-Efeka) Kytta-Gel (Merck Produkte) Lumbinon (Lichtenstein) Phlogont Salbe (Azupharma) Traumasenex (Brenner-Efeka; LAW)	GB:	ca. 100 combination preparations Cremalgin (Berk)-comb.; wfm Dubam (Norma)-comb.; wfm Salonair (Salonpas)-comb.; wfm	I:	combination preparations only: Balsamo Sifcamina (Midy) Disalgil (Also) Lasoreum Crema (Bayer) Mobilisin (Luitpold) Salonpas (Farmila) Sloan balsamo (Parke Davis)

Hydroxyprogesterone

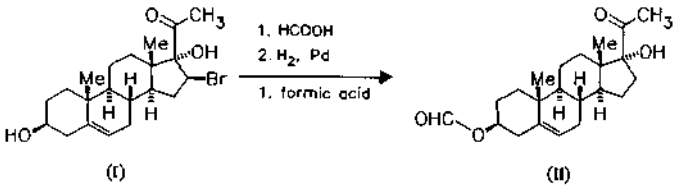
ATC: G03DA03
Use: progestogen

RN: 68-96-2 MF: $C_{21}H_{30}O_3$ MW: 330.47 EINECS: 200-699-4
CN: 17-hydroxypregn-4-ene-3,20-dione

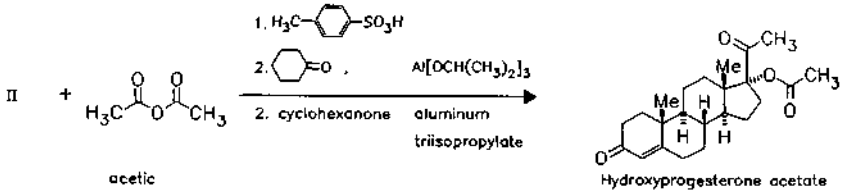
acetate
RN: 302-23-8 MF: $C_{23}H_{32}O_4$ MW: 372.51 EINECS: 206-119-6



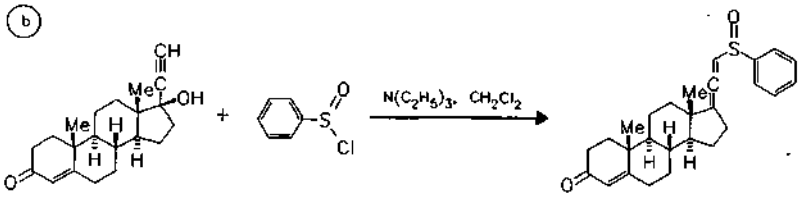
16-dehydropregnenolone (cf. pregnenolone synthesis)

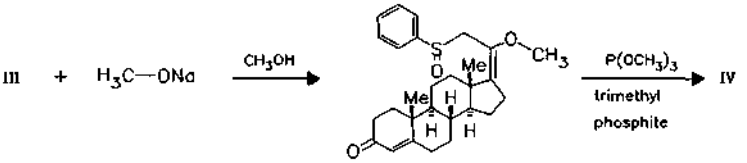


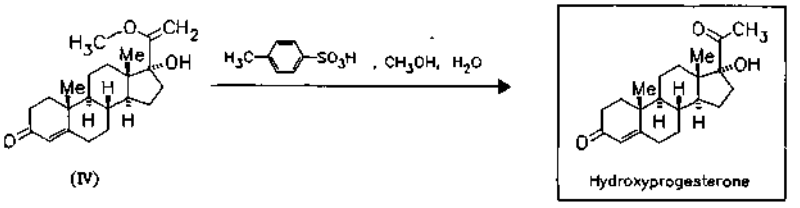
(I) (II)



b







Reference(s):

a Ringold, H.J. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 816 (1956).
US 2 802 839 (Syntex; 1957; appl. 1953; MEX-prior. 1953).

b US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

alternative syntheses:

US 2 648 662 (Glidden; 1953; appl. 1949).
US 2 777 843 (Merck & Co.; 1957; appl. 1954).
US 2 786 857 (Merck & Co.; 1957; appl. 1954; prior. 1952).
US 2 813 060 (Upjohn; 1957; appl. 1955).
US 3 000 883 (Upjohn; 1961; appl. 1957).
Cutler, E.A. et al.: J. Org. Chem. (JOCEAH) **24**, 1629 (1959).

Formulation(s): amp. 250 mg/ml

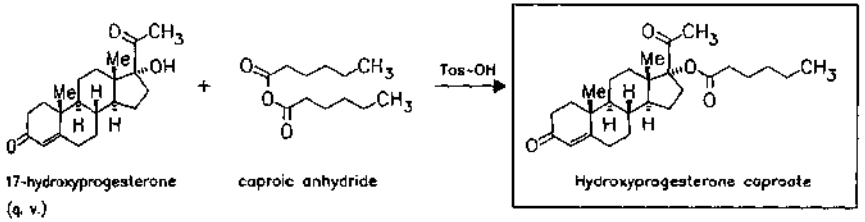
Trade Name(s):

F:	Tocogestan (Théramex; as 17α-heptanoate)-comb.	GB:	Proluton Depot (Schering; as hexanoate)
	Trophobolène (Théramex; as heptanoate)-comb.	USA:	Prodox (Upjohn; as acetate); wfm

Hydroxyprogesterone caproate

ATC: G03D
Use: depot progestogen

RN: 630-56-8 MF: $C_{27}H_{40}O_4$ MW: 428.61 EINECS: 211-138-8
CN: 17-[(1-oxohexyl)oxy]pregn-4-ene-3,20-dione



17-hydroxyprogesterone (q. v.) + caproic anhydride → Hydroxyprogesterone caproate

Reference(s):
US 2 753 360 (Schering AG; 1956; D-prior. 1953).

alternative synthesis:
Babcock, J.C. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 2904 (1958).

Formulation(s): amp. 250 mg/ml, 500 mg/ml

Trade Name(s):

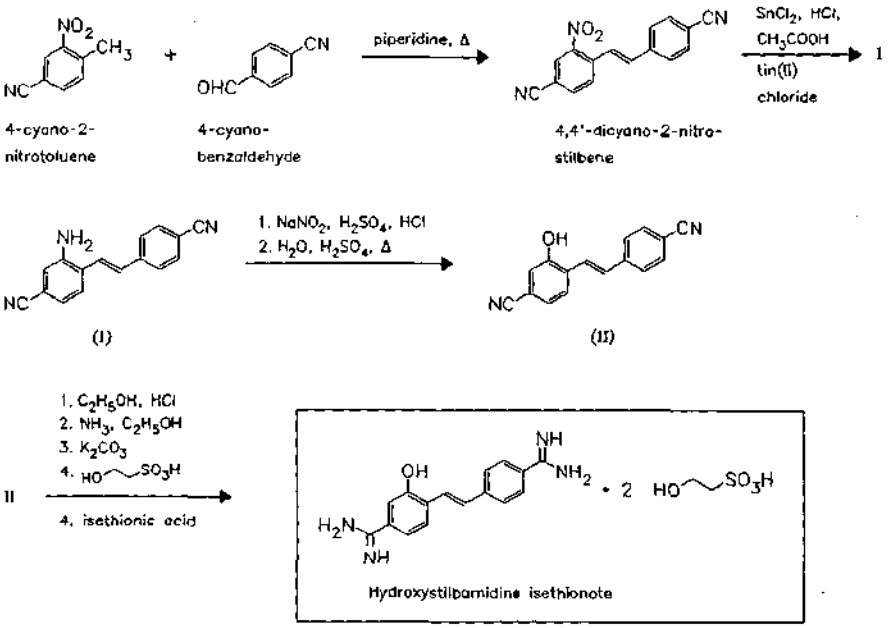
D:	Gravibinon (Schering)-comb.	GB:	Primolut Depot (Schering Chemicals); wfm		Oophormin Luteum Depot (Teikoku Zoki)
	Progesteron-Depot (Jenapharm)	I:	Gravibinan (Schering)-comb.		Proluton-Depot (Nichidoku)
	Proluton Depot (Schering)		Lentogest (Amsa)	USA:	Delalutin (Squibb); wfm
F:	Progestérone-Retard-Pharlon (Schering)		Proluton Depot (Schering)		Deluteval (Squibb)-comb.; wfm
		J:	Caprogen Depot (Kanto)		Prodrox (Legere); wfm
			Depot-Progen (Hokuriku)		

Hydroxystilbamidine isethionate

ATC: P01C
Use: antiprotozoal (Leishmania)

RN: 533-22-2 MF: $C_{16}H_{16}N_4O \cdot 2C_2H_6O_4S$ MW: 532.60 EINECS: 208-557-3
CN: 4-[2-[4-(aminoiminomethyl)phenyl]ethenyl]-3-hydroxybenzenecarboximidamide compd. with 2-hydroxyethanesulfonic acid (1:2)

hydroxystilbamidine
RN: 495-99-8 MF: $C_{16}H_{16}N_4O$ MW: 280.33 EINECS: 207-811-0
LD_{50}: 27 mg/kg (M, i.v.)



Reference(s):
US 2 510 047 (May & Baker; 1950; GB-prior. 1941).

Formulation(s): vial 53.6 mg

Trade Name(s):

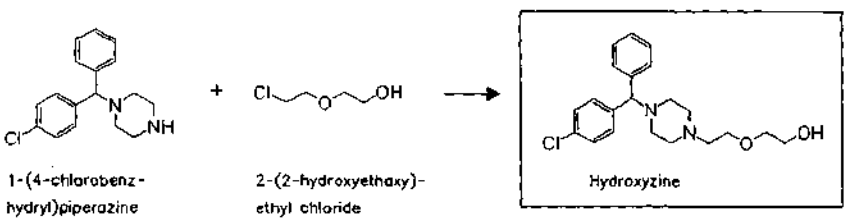
GB:	Hydroxystilbamide (May & Baker); wfm	USA:	Hydroxystilbamidine Isethionate (Merrell-National); wfm	generic

Hydroxyzine

ATC: N05BB01
Use: tranquilizer

RN: 68-88-2 MF: $C_{21}H_{27}ClN_2O_2$ MW: 374.91 EINECS: 200-693-1
LD_{50}: 137 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
45 mg/kg (R, i.v.); 840 mg/kg (R, p.o.)
CN: 2-[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxy]ethanol

dihydrochloride
RN: 2192-20-3 MF: $C_{21}H_{27}ClN_2O_2 \cdot 2HCl$ MW: 447.83 EINECS: 218-586-3
LD_{50}: 48.9 mg/kg (M, i.v.);
45 mg/kg (R, i.v.); 950 mg/kg (R, p.o.)
pamoate
RN: 10246-75-0 MF: $C_{23}H_{16}O_6 \cdot C_{21}H_{27}ClN_2O_2$ MW: 763.29 EINECS: 233-582-1



Reference(s):
US 2 899 436 (UCB; 11.8.1959; B-prior. 30.10.1953).
DE 1 049 383 (UCB; appl. 1954; B-prior. 1953).
DE 1 061 786 (UCB; appl. 1954; B-prior. 1953).
DE 1 068 262 (UCB; appl. 1954; B-prior. 1953).
DE 1 072 624 (UCB; appl. 1954; B-prior. 1953).
DE 1 075 116 (UCB; appl. 1954; B-prior. 1953).

Formulation(s): f. c. tabl. 10 mg, 25 mg; inj. sol. 100 mg/2 ml; syrup 10 mg/5 ml; tabl. 10 mg, 25 mg, 100 mg (as dihydrochloride)

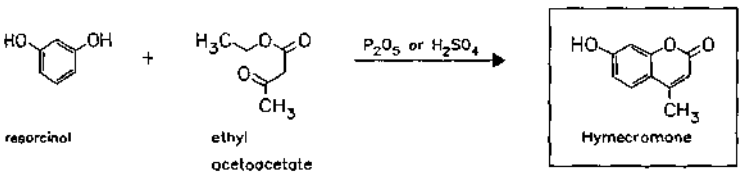
Trade Name(s):

D:	AH3 (Rodleben)-comb. Atarax (Rodleben; UCB; Vedim; as hydrochloride) Beta-Intensain (Cassella)-comb. Diligan (Rodleben; Vedim; as hydrochloride)-comb. Elroquil (Rodleben; as hydrochloride)	F:	Atarax (UCB; as dihydrochloride)	USA:	Atarax (Pfizer; as hydrochloride) Marax (Pfizer; as hydrochloride) Vistaril (Pfizer; as hydrochloride) Vistaril (Pfizer; as pamoate) generic
		GB:	Atarax (Pfizer) Ucerax (UCB)		
		I:	Atarax (UCB)		
		J:	Atarax (Lederle-Pfizer Taito; as hydrochloride) Atarax P (Pfizer Taito; as pamoate)		

Hymecromone

ATC: A05AX02
Use: choleretic

RN: 90-33-5 MF: $C_{10}H_8O_3$ MW: 176.17 EINECS: 201-986-7
LD_{50}: 2850 mg/kg (M, p.o.);
15 mg/kg (R, i.p.); 3850 mg/kg (R, p.o.)
CN: 7-hydroxy-4-methyl-2*H*-1-benzopyran-2-one



resorcinol
ethyl acetoacetate

Reference(s):
Pechmann, H. v.; Duisberg, C.: Ber. Dtsch. Chem. Ges. (BDCGAS) **16**, 2119 (1883).
FR-M 1 430 (Lipha; appl. 13.7.1961).
Woods, L.L.; Sapp, J.: J. Org. Chem. (JOCEAH) **27**, 3703 (1962).

Formulation(s): amp. 200 mg; cps. 200 mg, 400 mg; tabl. 400 mg (as sodium salt)

Trade Name(s):

D:	Cholspasmin (Lipha) Cholspasmoletten (Dolorgiet) Gallo Merz Spasmo (Merz & Co.)	F:	Cantabiline (Lipha Santé Division Aron-Médica)		Cumarote CD (Towa) Himecol (Kissei) Himecromon (Sawai) Paroamin (Zensei) generic
		I:	Cantabilin (Formenti)		
		J:	Croamon (Torii) Crodimon (Roussel)		

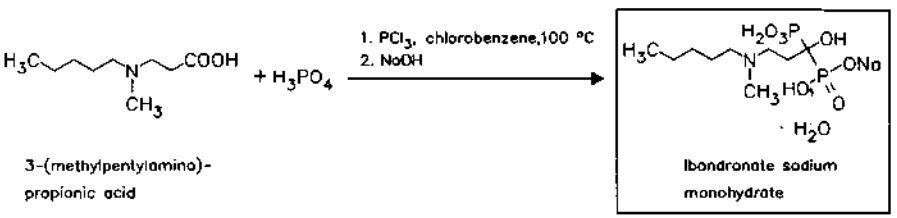
Ibandronate sodium monohydrate

(BM-21.0955; Ibandronic acid monosodium salt)

ATC: M05BA06
Use: bone resorption inhibitor

RN: 138926-19-9 MF: $C_9H_{22}NNaO_7P_2 \cdot H_2O$ MW: 359.23
CN: [1-hydroxy-3-(methylpentylamino)propylidene]bisphosphonic acid monosodium salt monohydrate

anhydrous
RN: 138844-81-2 MF: $C_9H_{22}NNaO_7P_2$ MW: 341.21
free acid
RN: 114084-78-5 MF: $C_9H_{23}NO_7P_2$ MW: 319.23



Reference(s):
EP 252 504 (Boehringer Mannh.; appl. 9.7.1987; D-prior. 11.7.1986).

topical preparation:
EP 407 344 (Ciba-Geigy; appl. 28.6.1990; CH-prior. 7.7.1989).

oral formulation:
EP 566 535 (Ciba-Geigy; appl. 6.4.1993; CH-prior. 15.4.1992).

stable injection solution:
DE 4 228 552 (Boehringer Mannh.; appl. 27.8.1992; D-prior. 27.8.1992).

drymix formulation:
WO 9 412 200 (Merck & Co.; appl. 17.11.1993; USA-prior. 2.12.1992).

treatment of osteoporosis:
US 5 366 965 (Boehringer Mannh.; appl. 19.1.1993; USA-prior. 29.1.1993).

combination with growth hormone secretagones:
WO 9 511 029 (Merck & Co.; appl. 18.10.1994; USA-prior. 19.10.1993).

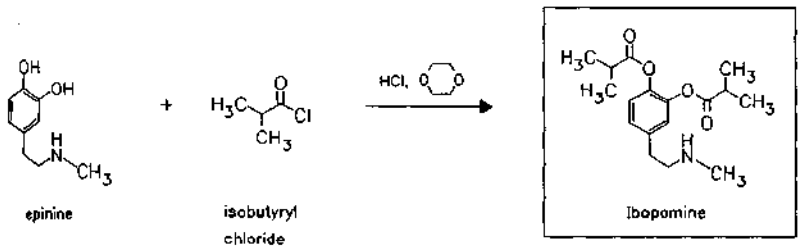
Formulation(s): amp. 1 mg/ml, 2 mg/ml

Trade Name(s):
D: Bondronat (Boehringer Mannh.)

Ibopamine

ATC: C01CA16
Use: cardiotonic

RN: 66195-31-1 MF: $C_{17}H_{25}NO_4$ MW: 307.39 EINECS: 266-229-5
CN: 2-methylpropanoic acid 4-[2-(methylamino)ethyl]-1,2-phenylene ester



Reference(s):
US 4 218 470 (Hal. Med. Sint. Sim; 19.8.1980; appl. 28.7.1977; I-prior. 5.8.1976).
US 4 302 471 (Hal. Med. Sint. Sim; 19.8.1980; appl. 28.7.1977; I-prior. 5.8.1976).
DOS 2 734 678 (Simes; appl. 1.8.1977; J-prior. 5.8.1976).
Casagrande, C. et al.: Arzneim.-Forsch. (ARZNAD) **36** (I), 291 (1986).

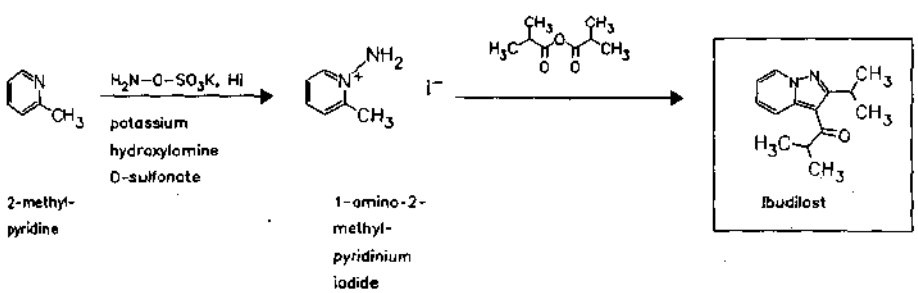
Formulation(s): tabl. 50 mg, 100 mg, 200 mg (as hydrochloride)

Trade Name(s):
I: Inopamil (Astra-Simes; 1984) Scandine (Zambon; 1984)

Ibudilast
(KC-404)

ATC: R03DX04
Use: antiallergic, leukotriene antagonist

RN: 50847-11-5 MF: $C_{14}H_{18}N_2O$ MW: 230.31
LD_{50}: 146 mg/kg (M, i.v.); 1860 mg/kg (M, p.o.);
42.5 mg/kg (R, i.v.); 1340 mg/kg (R, p.o.)
CN: 2-methyl-1-[2-(1-methylethyl)pyrazolo[1,5-*a*]pyridin-3-yl]-1-propanone



Reference(s):
DE 2 315 801 (Kyorin; appl. 29.3.1973; J-prior. 30.3.1972).
US 3 850 941 (Kyorin; 26.11.1974; J-prior. 30.3.1972).

synthesis of 1-amino-2-methylpyridinium iodide:
Gösl, R.; Meuwsen, A.: Chem. Ber. (CHBEAM) **92**, 2521 (1959).

medical use for treatment of rheumatism:
EP 215 438 (Kyorin; appl. 10.9.1986; J-prior. 14.9.1985).

medical use for treatment of bronchial asthma, allergic rhinitis, urticaria:
JP 9 167 516 (Kyorin; appl. 21.9.1984; prior. 14.3.1983).

inhalant:
EP 320 002 (Kyorin; appl. 9.12.1988; J-prior. 10.12.1987).

transdermal formulation:
EP 319 902 (Kyorin; appl. 6.12.1988; J-prior. 10.12.1987).

controlled-release formulation:
EP 156 243 (Kyorin; appl. 12.3.1985; J-prior. 14.3.1984).

synthesis of potassium hydroxylamine *O*-sulfonate:
Gösl, R.; Meuwsen, A.: Chem. Ber. (CHBEAM) **92**, 2521 (1959).

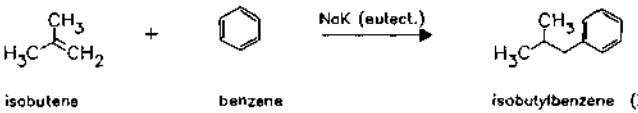
Formulation(s): cps. 10 mg

Trade Name(s):
J: Ketas (Kyorin; 1989)

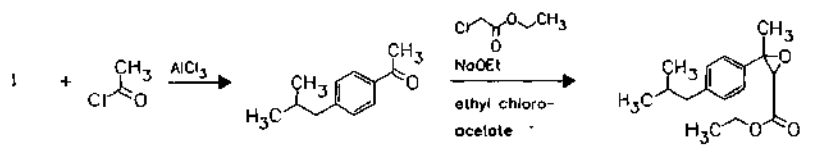
Ibuprofen

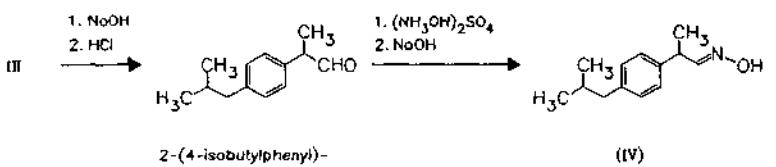
ATC: G02CC01; M01AE01; M02AA13
Use: anti-inflammatory, antirheumatic

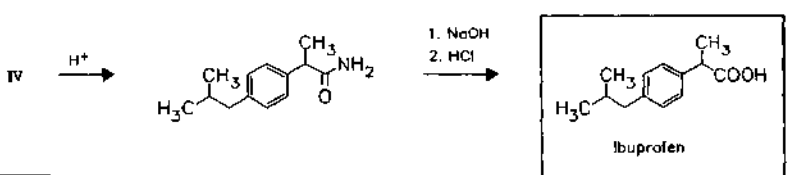
RN: 15687-27-1 MF: $C_{13}H_{18}O_2$ MW: 206.29 EINECS: 239-784-6
LD_{50}: 740 mg/kg (M, p.o.);
636 mg/kg (R, p.o.)
CN: α-methyl-4-(2-methylpropyl)benzeneacetic acid



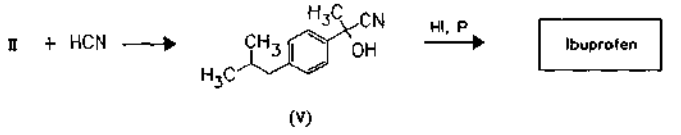
Boots process (industrial process)





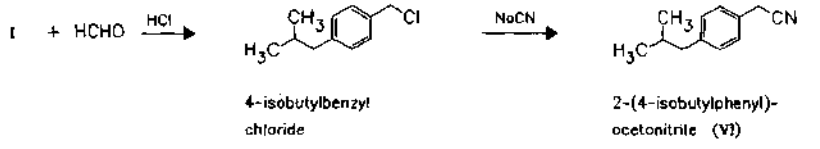


(b)



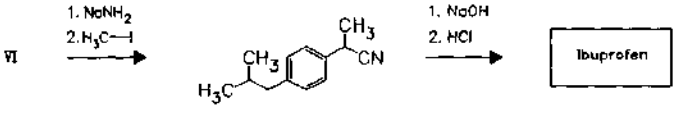
(V)

(c)

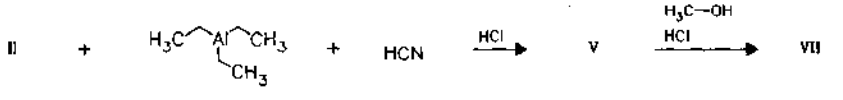


4-isobutylbenzyl chloride

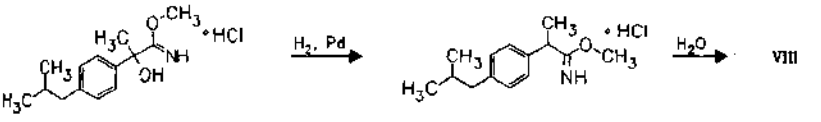
2-(4-isobutylphenyl)-acetonitrile (VI)



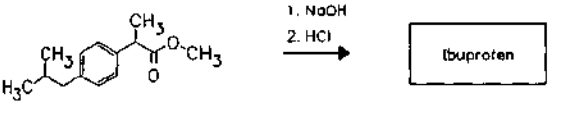
(d) Ethyl process



triethylaluminum

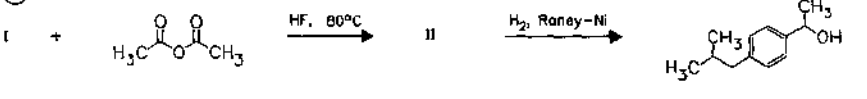


VII



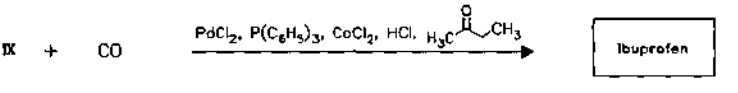
ibuprofen methyl ester (VIII)

(e) BHC (Boots-Hoechst-Celanese) process (industriol)



acetic anhydride

1-(4-isobutylphenyl)-ethanol (IX)



Reference(s):
DE 1 443 429 (Boots; appl. 26.1.1962; GB-prior. 2.2.1961).
a,b GB 971700 (Boots; appl. 2.2.1961).
US 3 228 831 (Boots; 11.1.1966; GB-prior. 2.2.1961).
US 3 385 886 (Boots; 28.5.1968; GB-prior. 2.2.1961).
c GB 1 514 812 (Boots; appl. 4.4.1975; valid from 31.3.1976).

similar method:
US 3 959 364 (Boots; 25.5.1976; GB-prior. 24.5.1973).

from 4'-isobutylacetophenone:
GB 1 160 725 (Boots; appl. 25.11.1966; valid from 20.11.1967).
US 4 021 478 (Upjohn; 3.5.1977; prior. 13.7.1972).

from 4'-isobutylpropiophenone *by oxidation with* thallium(III) nitrate:
GB 1 535 690 (Upjohn; appl. 20.5.1977; USA-prior. 16.6.1976).
Walker, J.A.; Pillai, M.D.: Tetrahedron Lett. (TELEAY) **42**, 3707 (1977).

from vinyl isobutyl ketone *and* diethyl 2-acetyl-3-methylsuccinate:
GB 1 265 800 (Boots; appl. 5.11.1968 and 15.11.1968; valid from 16.10.1969).
DOS 2 719 304 (Upjohn; appl. 29.4.1977; USA-prior. 24.5.1976, 15.3.1977).
DOS 2 806 424 (Upjohn; appl. 15.2.1978; USA-prior. 17.3.1977).
US 4 096 177 (L. Baiocchi; 20.6.1978; I-prior. 11.4.1974).

from 1-(4-isobutylphenyl)ethyl chloride *via the Grignard compound:*
DOS 2 605 650 (Ind. Chim. Prodotti Francis; appl. 12.2.1976; I-prior. 22.5.1975).

alternative syntheses:
DOS 2 404 159 (Nisshin Flour Milling; appl. 29.1.1974; J-prior. 29.1.1973).
DOS 2 646 792 (Mitsubishi Petrochemical; appl. 16.10.1976; J-prior. 23.10.1975, 31.7.1976).
DAS 2 709 504 (Sagami; appl. 4.3.1977; J-prior. 4.3.1976, 27.12.1976).
DOS 2 724 702 (Valles Chimica; appl. 1.6.1977; E-prior. 2.6.1976).
US 4 016 196 (Nisshin Flour Milling; 5.4.1977; J-prior. 27.7.1974, 29.7.1974).
US 4 131 747 (Ono Pharmaceutical; 26.12.1978; J-prior. 19.11.1975).
BE 859 846 (Sagami; appl. 27.12.1976; J-prior. 18.10.1976).
DOS 2 824 856 (Upjohn; appl. 6.6.1978; USA-prior. 16.6.1977).

(*S*)-ibuprofen:
Cleij, M. et al.: J. Org. Chem. (JOCEAH) **64**, 5029-5035 (1999)

Formulation(s): amp. 400 mg; drg. 200 mg, 400 mg; eff. gran. 200 mg; f. c. tabl. 200 mg, 400 mg, 600 mg; s. r. tabl. 800 mg; suppos. 600 mg; syrup 100 mg/5 ml

Trade Name(s):

D:
Aktren (Bayer Vital)
Anco (Kanoldt)
Brufen (Kanoldt; 1971)
Dignoflex (Sankyo)
Dolgit (Dolorgiet)
DOLO PUREN (Isis Puren)
Dolormin (Woelm)
Duralbuprofen (durachemie)
Esprenit (Hennig)
Exneural (BASF Generics)
Ibu (AbZ-Pharma)
Ibu Beta (betapharm)
Ibufug (Wolff)
Ibuhexal (Hexal)
Ibumerck (Merck Generika)
Imbun (Merckle)
Jenaprofen (Jenapharm)
Novogent (Temmler)
Opturem (Kade)
Parsal (Brenner-Efeka)
Tempil (Temmler)
Togal (Togal)
Urem (Kade)

F:
Advil (Whitehall)
Algifène (Lab. Nicolas, Division de LRN SA)
Antalfène (Bouchara Santé Active)
Brufen (Lab. Knoll; 1972)
Dolgit (Lab. Merck-Clévenot)
Ergix (Murat)
Gélufène (Lab. CPF)
Nurofen (Lab. Boots Healthcare)
Oralfène (Pierre Fabre Médicament)
Rhinadvil (Whitehall)-comb.

GB: Brufen Retard (Knoll; 1969)
Fenbid spansule (Goldshield)
Motrin (Pharmacia & Upjohn)
numerous generics

I: Algofen (Blue Cross)
Antagil (Janssen)
Brufen (Boots Italia; 1972)
Brufort (Lampugnani)
Dolocyl (Novartis)
Moment (Angelini)
Nurofen (Boots Italia)
generics

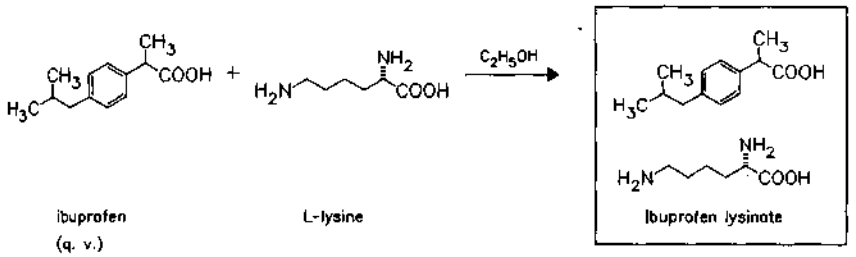
J: Andran (Takata)
Anflagen (Ohta)
Bluton (Morishita)
Brufanic (Teiyo; 1976)
Brufen (Kakenyaku)
Buburone (Towa)
Butylenin (Sanwa)
Daiprophen (Daito)
Donjust-B (Horita)
Epinal (Mitsubishi Yuka)
Epobron (Ono)
Eputes (Kobayashi Kako)
IB-100 (Hishiyama)
Ibuprocin (Nisshin)
Lamidon (Kowa)
Landelun (Tsuruhara)
Liptan (Kowa)
Manypren (Zensei)
Mynosedin (Toho Yakuhin)
Napacetin (Toyama)
Nobfelon (Toho)
Nobfen (Toho)
Nobgen (Kanebo)
Roidenin (Showa)
Sednafen (Taisho)
generic

USA: Motrin (McNeil)
Vicoprofen (Knoll)
generics

Ibuprofen lysinate

ATC: M01AE; M02AA
Use: anti-inflammatory, analgesic

RN: 57469-77-9 MF: $C_{13}H_{18}O_2 \cdot C_6H_{14}N_2O_2$ MW: 352.48 EINECS: 260-751-7
LD_{50}: 299 mg/kg (M, p.o.);
841 mg/kg (R, p.o.)
CN: L-lysine mono[α-methyl-4-(2-methylpropyl)benzeneacetate]



Reference(s):
DOS 2 419 317 (Neopharmed; appl. 22.4.1974; I-prior. 22.3.1974).
GB 1 497 044 (SpA Soc. Prodotti Antibiotici; appl. 6.3.1975; prior. 7.3.1974).

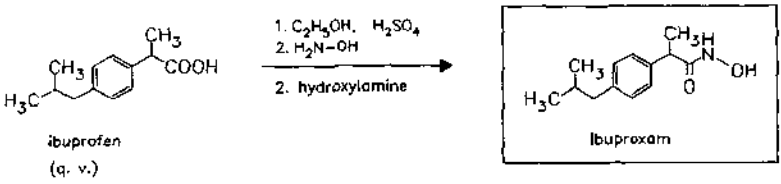
Formulation(s): eff. tabl. 342 mg; f. c. tabl. 250 mg, 500 mg; gel 10 %; s. r. tabl. 800 mg; suppos. 500 mg; vial (lyo.) 400 mg

Trade Name(s):
D: Imbun (Merckle); wfm
I: Aciril (Delalande Isnardi)
Arfen (Lisapharma)

Ibuproxam

ATC: M01AE13; M02AA
Use: anti-inflammatory

RN: 53648-05-8 MF: $C_{13}H_{19}NO_2$ MW: 221.30 EINECS: 258-683-8
LD_{50}: >2 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: *N*-hydroxy-α-methyl-4-(2-methylpropyl)benzeneacetamide



Reference(s):
DOS 2 400 531 (Manetti Roberts; appl. 7.1.1974; I-prior. 8.1.1973, 5.7.1973).

Formulation(s): ointment 5 %; suppos. 600 mg; tabl. 300 mg

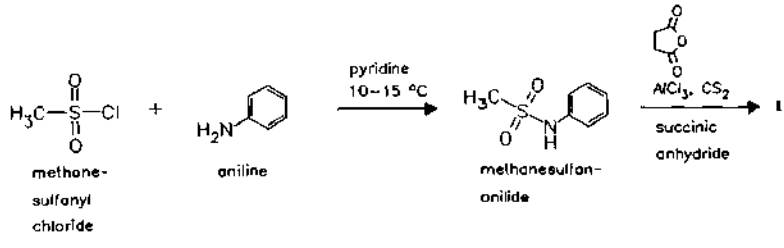
Trade Name(s):
I: Deflogon (Damor); wfm Ibudros (Manetti Roberts)

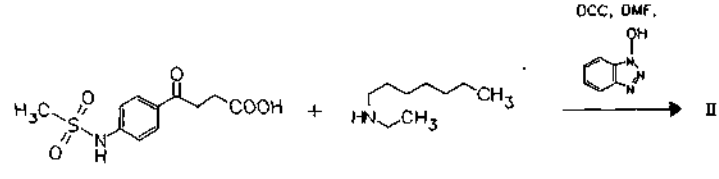
Ibutilide fumarate
(U-70226E)

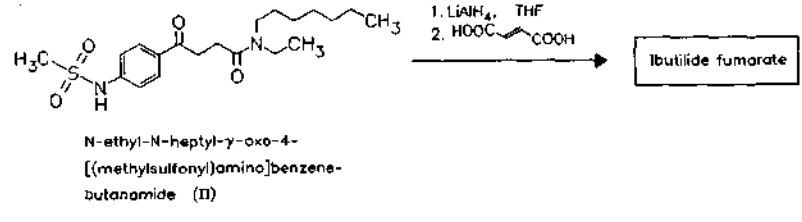
ATC: C01BD05
Use: antiarrhythmic

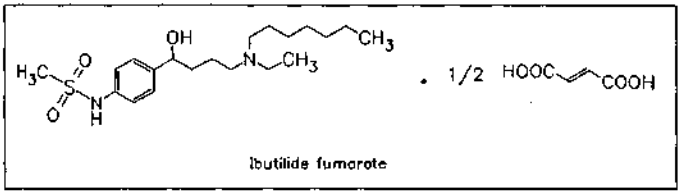
RN: 122647-32-9 MF: $C_{20}H_{36}N_2O_3S \cdot 1/2C_4H_4O_4$ MW: 885.24
LD_{50}: 94.2 mg/kg (R, i.v.)
CN: *N*-[4-[4-(ethylheptylamino)-1-hydroxybutyl]phenyl]methanesulfonamide (*E*)-2-butenedioate (2:1) (salt)

base
RN: 122647-31-8 MF: $C_{20}H_{36}N_2O_3S$ MW: 384.59









Ibutilide fumarate

Reference(s):
EP 164 865 (Upjohn; appl. 1.5.1985; USA-prior. 4.5.1984).
Hester, J.B. et al.: J. Med. Chem. (JMCMAR) **34** (1), 308 (1991).

controlled-release formulation:
WO 9 421 237 (Univ. Michigan; appl. 15.3.1994; USA-prior. 15.3.1993).

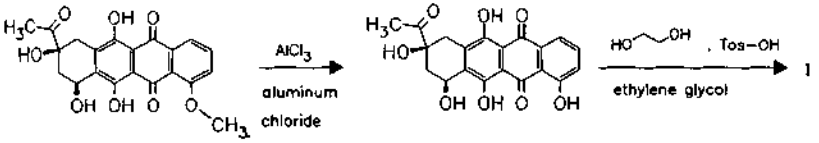
Formulation(s): vial 1 mg/ml

Trade Name(s):
USA: Corvert (Pharmacia & Upjohn)

Idarubicin

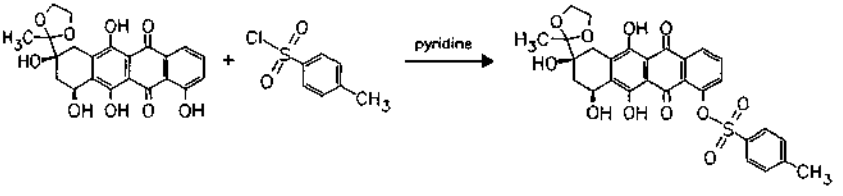
ATC: L01DB06
Use: antineoplastic, anthracycline

RN: 58957-92-9 MF: $C_{26}H_{27}NO_9$ MW: 497.50
LD_{50}: 3 mg/kg (M, i.p.); 4 mg/kg (M, i.v.); 16 mg/kg (M, p.o.)
CN: (7*S*-*cis*)-9-acetyl-7-[(3-amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)oxy]-7,8,9,10-tetrahydro-6,9,11-trihydroxy-5,12-naphthacenedione



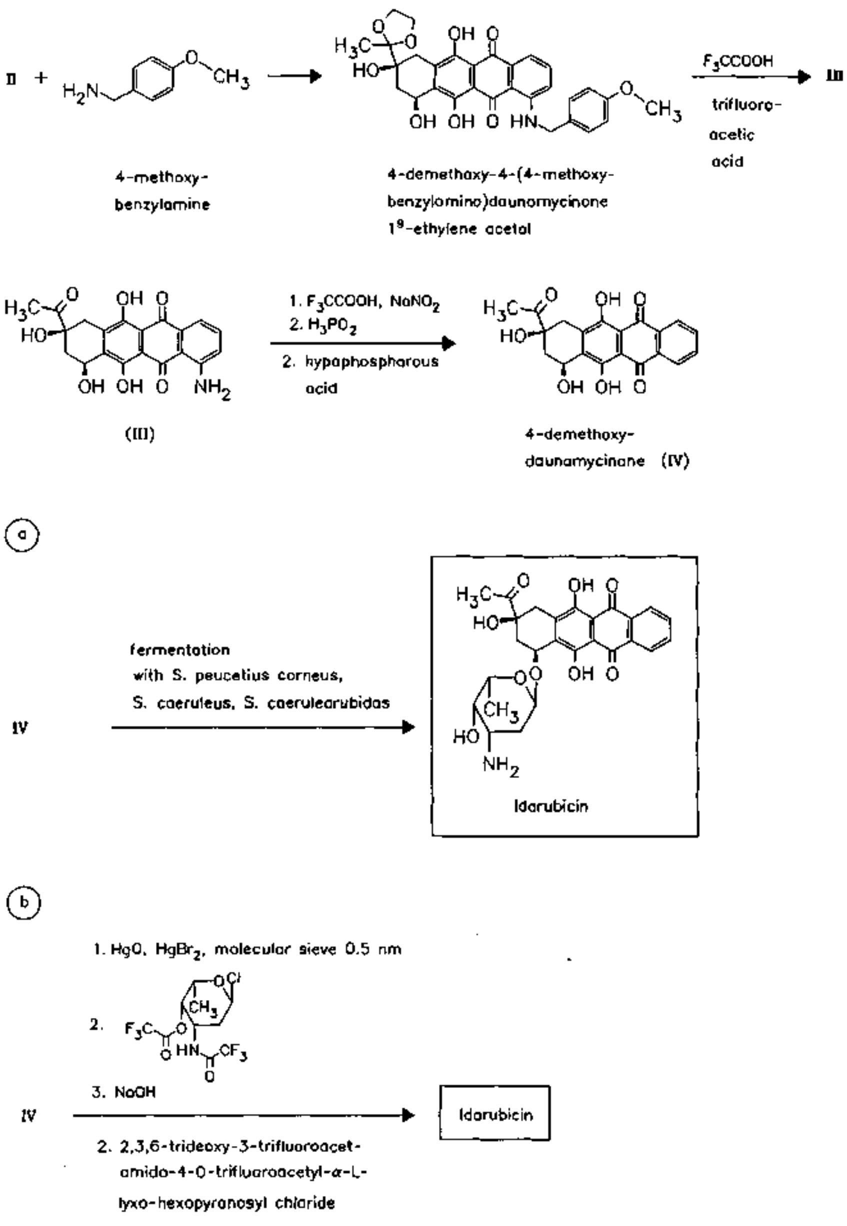
daunomycinone

4-O-demethyl-daunomycinone



4-O-demethyldaunomycinone 1^9-ethylene acetal (I)

4-O-demethyl-4-O-(p-toluenesulfonyl)daunomycinone 1^9-ethylene acetal (II)



Reference(s):

a US 4 471 052 (Adria; 9.11.1984; appl. 18.1.1982).

b DOS 2 525 633 (Soc. Farmaceutici; appl. 6.9.1975; GB-prior. 16.12.1974).
US 4 046 878 (Soc. Farmaceutici; 9.6.1977; appl. 22.5.1975; GB-prior. 6.12.1974).

alternative synthesis:
Arcamone, F. et al.: Experientia (EXPEAM) **34**, 1255 (1978).

synthesis of 4-demethoxydaunomycinone:
EP 328 399 (Farmitalia; appl. 2.10.1989; GB-prior. 2.12.1988).

lyophilisate:
GB 2 165 751 (Farmitalia; appl. 22.10.1984).

Formulation(s): cps. 5 mg, 10 mg, 25 mg; vial 5 mg, 10 mg (as hydrochloride)

Trade Name(s):

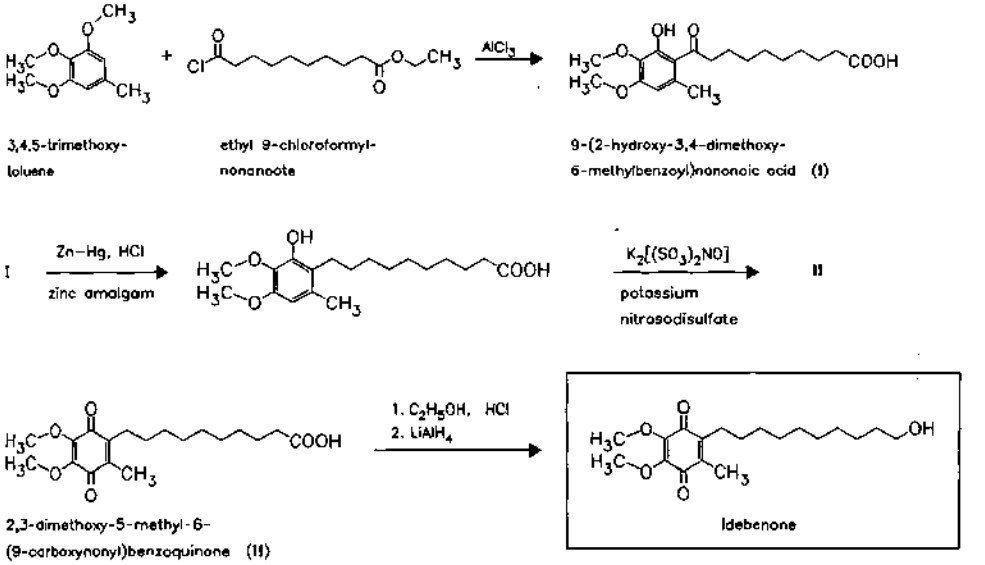
D:	Zavedos (Pharmacia & Upjohn)	GB:	Zavedos (Pharmacia & Upjohn)	J:	Idamycin (Pharmacia & Upjohn; as hydrochloride)
F:	Zavedos (Pharmacia SA; as hydrochloride)	I:	Zavedos (Pharmacia & Upjohn)	USA:	Idamycin (Pharmacia & Upjohn; as hydrochloride)

Idebenone

(CV-2619)

ATC: C01EB; N06BX13; N07X
Use: senile dementia therapeutic, coenzyme Q10 derivative, nootropic

RN: 58186-27-9 MF: $C_{19}H_{30}O_5$ MW: 338.44
LD_{50}: >10 g/kg (M, p.o.);
10 g/kg (R, p.o.)
CN: 2-(10-hydroxydecyl)-5,6-dimethoxy-3-methyl-2,5-cyclohexadiene-1,4-dione



Reference(s):

DOS 2 519 730 (Takeda; appl. 2.5.1975; J-prior. 2.5.1974).
JP 51 128 932 (Takeda; appl. 10.11.1976).
JP 59 039 855 (Takeda; appl. 27.8.1982).
US 4 139 545 (Takeda; 13.2.1979; J-prior. 2.5.1974).
US 4 271 083 (Takeda; 2.6.1981; J-prior. 2.5.1974).
US 4 525 361 (Takeda; 13.2.1979; appl. 3.4.1975; J-prior. 2.5.1974).

medical use for the therapy of ischemic disease:

EP 31 727 (Takeda; appl. 29.12.1980; J-prior. 30.12.1979).
DOS 3 049 039 (Takeda; appl. 24.12.1980; J-prior. 30.12.1979).

medical use for treatment of allergic disease:

EP 38 674 (Takeda; appl. 15.4.1981; J-prior. 21.4.1980).

medical use for treatment of fibrosis:

DOS 3 311 922 (Takeda; appl. 31.3.1983; J-prior. 6.4.1982).
Okamoto, K. et al.: Chem. Pharm. Bull. (CPBTAL) **33**, 3745; 3756 (1985).
Goto, G. et al.: Chem. Pharm. Bull. (CPBTAL) **33**, 4422 (1985).

alternative synthesis:
EP 21 841 (Takeda; appl. 27.6.1980; J-prior. 28.6.1979).
EP 58 057 (Takeda; appl. 4.2.1982; J-prior. 9.2.1981).

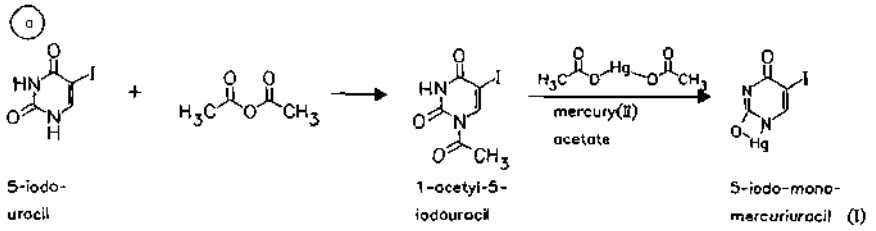
Formulation(s): drg. 30 mg, 45 mg; tabl. 30 mg

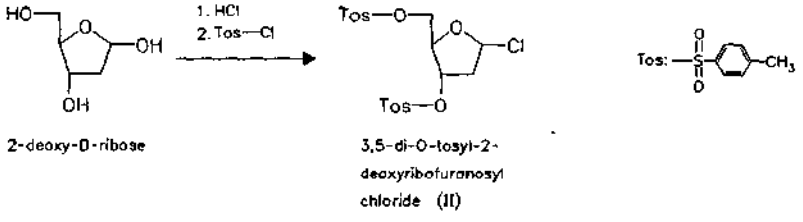
Trade Name(s):
I: Daruma (Cyanamid) Mnesis (Takeda) J: Avan (Takeda; 1987)

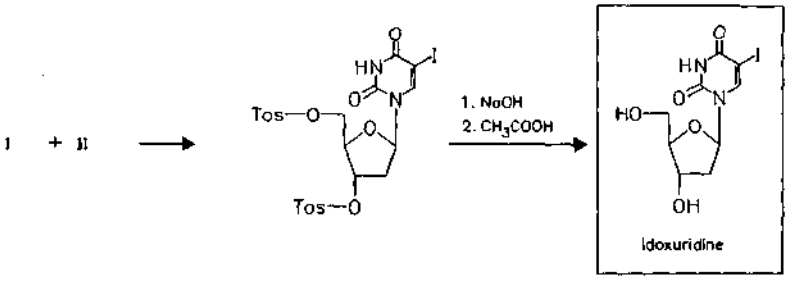
Idoxuridine

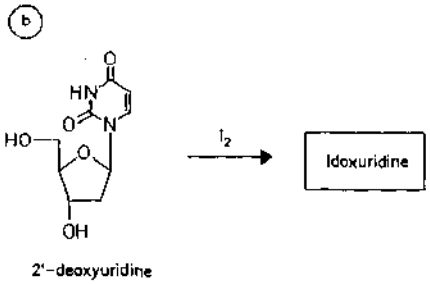
ATC: D06BB01; J05AB02; S01AD01
Use: chemotherapeutic (Herpes simplex)

RN: 54-42-2 MF: $C_9H_{11}IN_2O_5$ MW: 354.10 EINECS: 200-207-8
LD_{50}: 1 g/kg (M, i.p.);
4 g/kg (R, i.p.)
CN: 2'-deoxy-5-iodouridine









Reference(s):
Chang, P.K.; Welch, A.D.: J. Med. Chem. (JMCMAR) **6**, 428 (1963).
FR 1 336 866 (Roussel-Uclaf; appl. 27.7.1962).
GB 1 024 156 (Roussel-Uclaf; appl. 24.7.1963; F-prior. 27.7.1962).

Formulation(s): eye drops 0.1 %; ointment 0.2 %, 0.5 %; sol. 5 %, 10 %, 40 %

Trade Name(s):

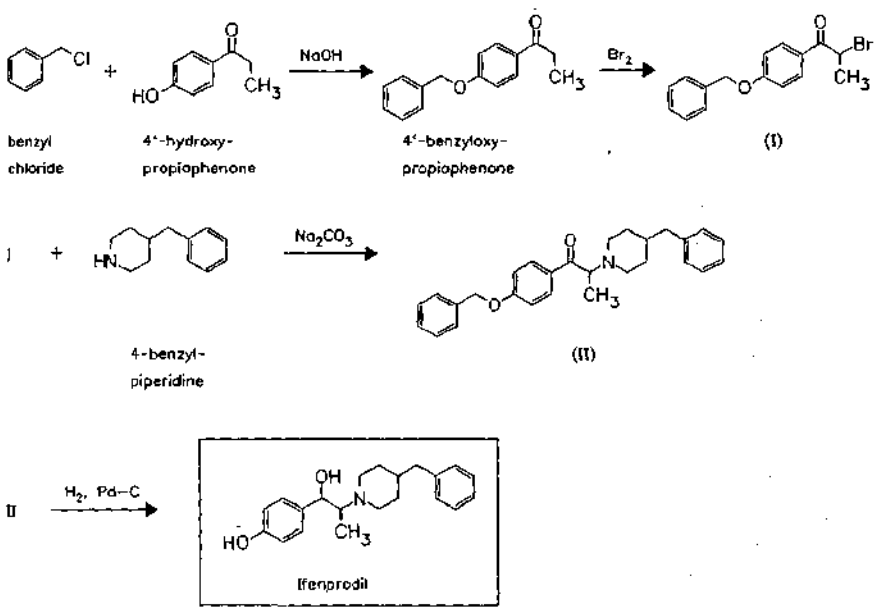
D:	Idugalen (Pharmagalen)		Iduridin (Ferring)	J:	I.D.U. (Sumitomo)
	Ophtal (Winzer)	I:	Iducher (Farmigea)	USA:	Dendrid (Alcon); wfm
	Virunguent (Hermal)		Iducol (SIFI)-comb.		Herplex (Allergan); wfm
	Zostrum (Galderma)		Iduridin (Geymonat)		Stoxil (Smith Kline &
F:	Iduviran (Chauvin)		Idustatin (Delalande		French); wfm
GB:	Herpid (Yamanouchi)		Isnardi)-comb.		

Ifenprodil

ATC: C04AX28
Use: cerebral and peripheral vasodilator

RN: 23210-56-2 MF: $C_{21}H_{27}NO_2$ MW: 325.45 EINECS: 245-491-4
LD_{50}: 320 mg/kg (M, p.o.)
CN: α-(4-hydroxyphenyl)-β-methyl-4-(phenylmethyl)-1-piperidineethanol

tartrate (2:1)
RN: 23210-58-4 MF: $C_{21}H_{27}NO_2 \cdot 1/2C_4H_6O_6$ MW: 800.99 EINECS: 245-493-5



Reference(s):
DAS 1 695 772 (Lab. Robert et Carrière; appl. 7.9.1967; F-prior. 27.9.1966).
FR 5 733 M (Lab. Robert et Carrière; appl. 27.9.1966).
US 3 509 164 (Lab. Robert et Carrière; 28.4.1970; F-prior. 27.9.1966).

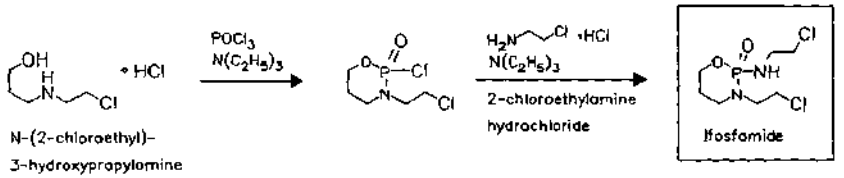
Formulation(s): amp. 5 mg/2 ml; tabl. 20 mg (as tartrate)

Trade Name(s):
F: Vadilex (Synthélabo; 1972) J: Cerocral (Funai; 1979)

Ifosfamide

ATC: L01AA06
Use: antineoplastic

RN: 3778-73-2 MF: $C_7H_{15}Cl_2N_2O_2P$ MW: 261.09 EINECS: 223-237-3
LD_{50}: 338 mg/kg (M, i.v.); 1005 mg/kg (M, p.o.);
190 mg/kg (R, i.v.); 143 mg/kg (R, p.o.)
CN: *N*,3-bis(2-chloroethyl)tetrahydro-2*H*-1,3,2-oxazaphosphorin-2-amine 2-oxide



N-(2-chloroethyl)-3-hydroxypropylamine hydrochloride (cf. trofosfamide synthesis)

Reference(s):
DAS 1 645 921 (ASTA-Werke; appl. 11.7.1966).

Formulation(s): vial 200 mg, 500 mg, 1 g, 2 g (dry powder)

Trade Name(s):

D:	Holoxan (ASTA Medica AWD)	GB:	Mitoxana (ASTA Medica)	USA:	Ifex (Bristol-Myers Squibb)
F:	Holoxan (ASTA Medica)	I:	Holoxan (ASTA Medica)		
		J:	Ifomide (Shionogi)		

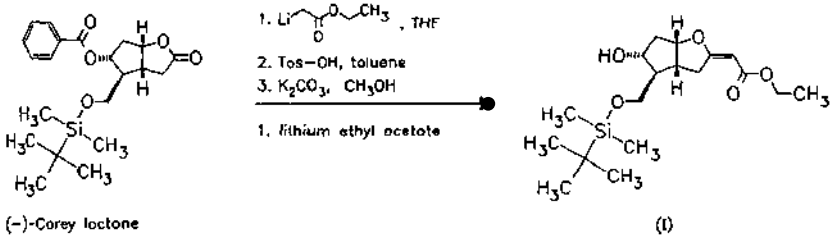
Iloprost

(Ciloprost; E-1030; SH-401; ZK-36374)

ATC: B01AC11
Use: vasodilator, platelet aggregation inhibitor

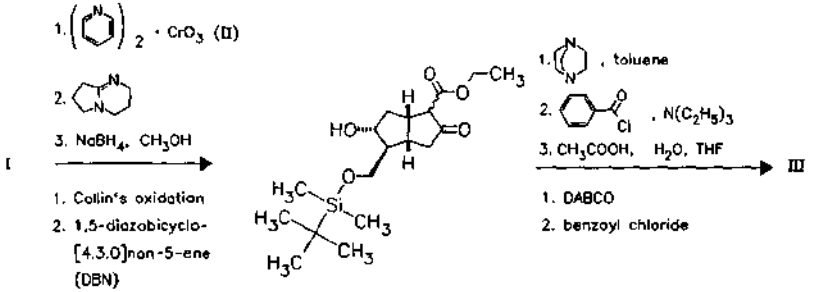
RN: 78919-13-8 MF: $C_{22}H_{32}O_4$ MW: 360.49
CN: 5-[Hexahydro-5-hydroxy-4-(3-hydroxy-4-methyl-1-octen-6-ynyl)-2(1*H*)-pentalenylidene]pentanoic acid

(4*R*)
RN: 74843-30-4 MF: $C_{22}H_{32}O_4$ MW: 360.49
(4*S*)
RN: 74843-14-4 MF: $C_{22}H_{32}O_4$ MW: 360.49
trometamol salt
RN: 73873-87-7 MF: $C_{26}H_{43}NO_7$ MW: 481.63



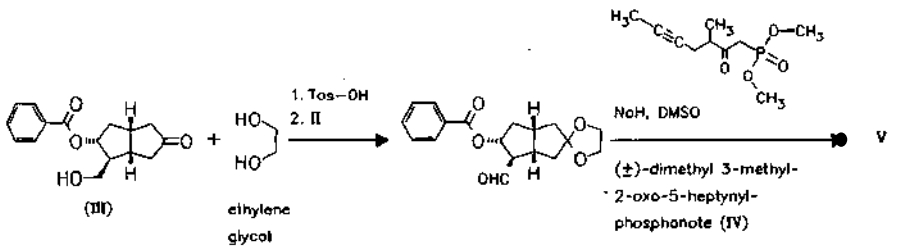
(–)-Corey lactone derivative (cf. dinoprost)

(I)



I

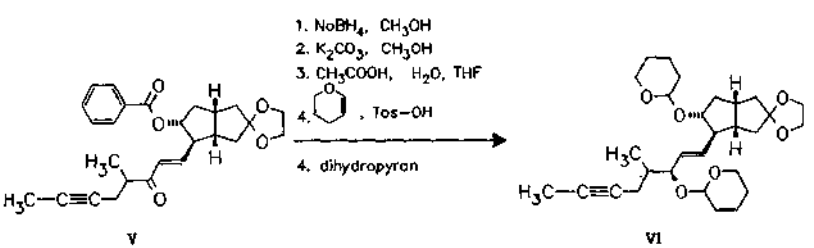
III



(III)

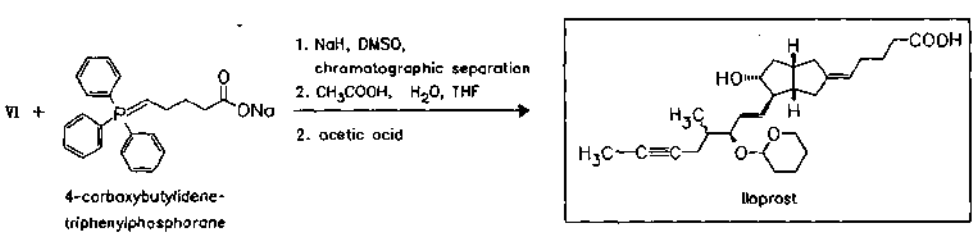
ethylene glycol

V



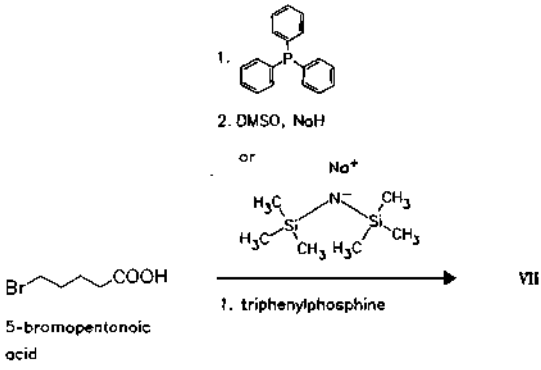
V

VI

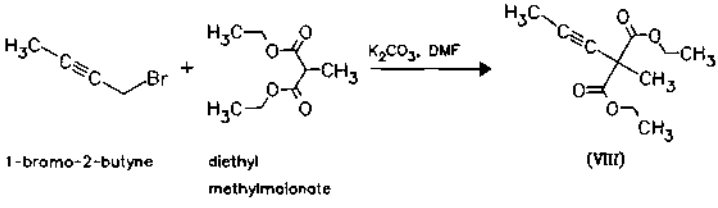


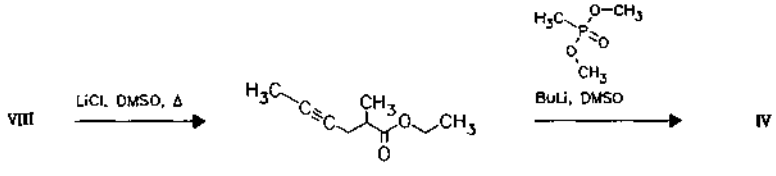
4-carboxybutylidene-triphenylphosphorane sodium salt (VII)

preparation of 4-carboxybutylidenetriphenylphosphorane sodium salt



preparation of (±)-dimethyl 3-methyl-2-oxo-5-heptynylphosphonate





Reference(s):
DE 2 845 770 (Schering AG; D-prior. 19.10.1978)
DE 3 839 155 (Schering AG; D-prior. 17.11.1988)
Skuballa, W.; Vorbrueggen, H.: Angew. Chem. (ANCEAD) **93** (12), 1080 (1981)

preparation of 4-carboxybutylidenetriphenylphosphorane sodium salt:
Martinelli, M.J.: J. Org. Chem. (JOCEAH) **55** (17), 5065 (1990).
Johnson, F.P. et al.: J. Am. Chem. Soc. (JACSAT) **104** (8), 2190 (1982).
Niwa, H. et al.: Tetrahedron (TETRAB) **50** (25), 7385 (1994).

preparation of (±)-dimethyl 3-methyl-2-oxo-5-heptynylphosphonate:
DE 2 729 960 (Schering AG; prior. 30.6.1977).
footnote [6] in: Skuballa, W.; Vorbrueggen, H.: Angew. Chem. (ANCEAD) **93** (12), 1080 (1981).

(E)- or (Z)-selective Wittig reactions in the synthesis of carbacyclins:
Westermann, J.; Harre, M.; Hickisch, K.: Tetrahedron Lett. (TELEAY) **33** (52), 8055 (1992).

alternative synthesis of cis-bicyclo[3.3.0]octylidene derivative:
EP 153 822 (Sagami Chemical Research Center; J-prior. 10.2.1984).
Sodeoka, M.; Ogawa, Y.; Kirio, Y.; Shibasaki, M.: Chem. Pharm. Bull. (CPBTAL) **39** (2), 309 (1991)

Formulation(s): amp. 50 µg/0.5 ml, 100 µg/1 ml (as trometamol salt)

Trade Name(s):

D:	Ilomedin (Schering; 1992)	I:	Endoprost (Schering)
F:	Ilomedine (Schering)		Ilomedin (Schering)

Imidapril

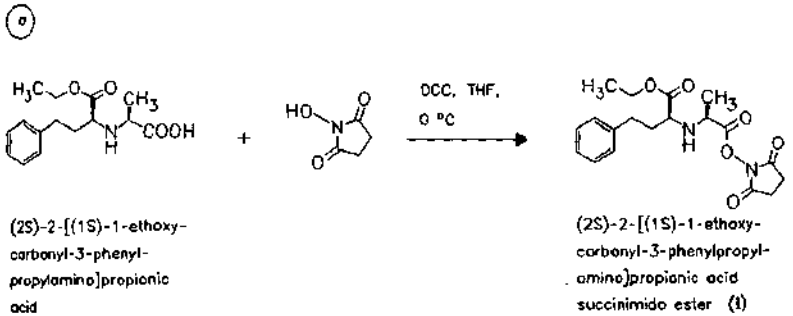
(TA-6366)

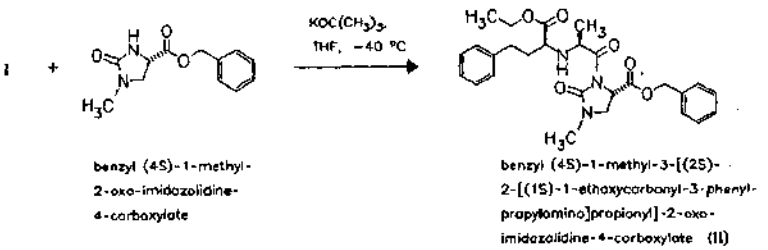
ATC: C09A
Use: antihypertensive (ACE inhibitor)

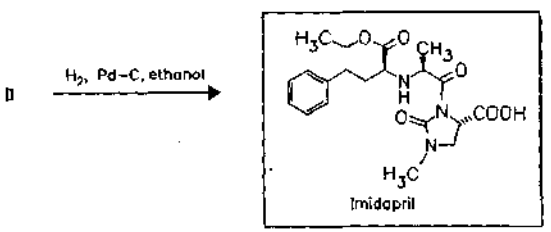
RN: 89371-37-9 MF: $C_{20}H_{27}N_3O_6$ MW: 405.45
CN: [4*S*-[3[*R**(*R**)],4*R**]]-3-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-1-methyl-2-oxo-4-imidazolidinecarboxylic acid

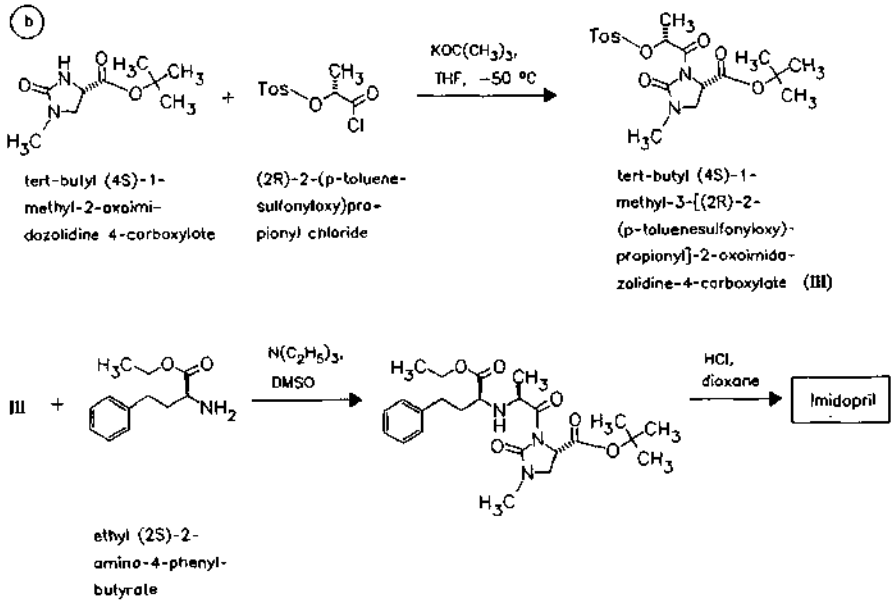
monohydrochloride

RN: 89396-94-1 MF: $C_{20}H_{27}N_3O_6 \cdot HCl$ MW: 441.91









Reference(s):
a EP 95 163 (Tanabe Seiyaku; appl. 20.5.1983; J-prior. 24.5.1982).
b EP 373 881 (Tanabe Seiyaku; appl. 12.12.1989; J-prior. 16.12.1988).

formulation with increased stability:
JP 06 100 447 (Tanabe Seiyaku; appl. 24.9.1992; J-prior. 24.9.1992).

composition for treatment of kidney diseases:
JP 272 849 (Tanabe Seiyaku; appl. 10.12.1987; J-prior. 12.12.1986).

composition for treatment of heart failure:
EP 274 230 (Tanabe Seiyaku; appl. 8.12.1987; J-prior. 9.12.1986).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg (as hydrochloride)

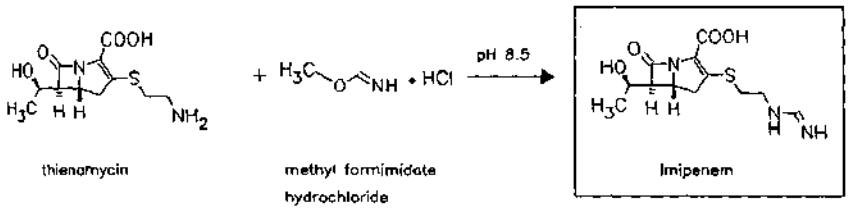
Trade Name(s):
J: Novaroc (Nihon Schering) Tanatril (Tanabe Seiyaku)

Imipenem
(Imipemide)

ATC: J01DH51
Use: β-lactam antibiotic

RN: 64221-86-9 MF: $C_{12}H_{17}N_3O_4S$ MW: 299.35 EINECS: 264-734-5
LD_{50}: 1660 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
1972 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: [5*R*-[5α,6α(*R**)]]-6-(1-hydroxyethyl)-3-[[2-[(iminomethyl)amino]ethyl]thio]-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid

monohydrate
RN: 74431-23-5 MF: $C_{12}H_{17}N_3O_4S \cdot H_2O$ MW: 317.37



Reference(s):
Leanza, W.J. et al.: J. Med. Chem. (JMCMAR) **22**, 1435 (1979).
US 4 194 047 (Merck & Co.; 18.3.1980; prior. 21.11.1975).
DOS 2 652 679 (Merck & Co.; appl. 19.11.1976; USA-prior. 21.11.1975).

production of thienamycin *(by fermentation of S. cattleya):*
US 3 950 357 (Merck & Co.; 13.4.1976; appl. 25.11.1974).
DOS 2 552 638 (Merck & Co.; appl. 24.11.1975; USA-prior. 25.11.1974).

combination with cilastatin:
EP 48 301 (Merck & Co.; appl. 24.9.1980).

Formulation(s): amp. 250 mg, 500 mg; vial 200 mg, 500 mg

Trade Name(s):

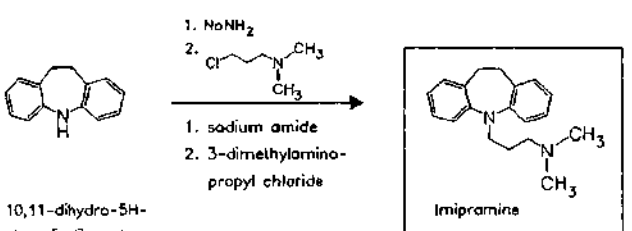
D:	Zienam (MSD; 1985)-comb. with cilastatin	I:	Imipem (Neopharmed)-comb.		all combination preparations with cilastatin
F:	Tiénam (Merck Sharp & Dohme-Chibret)-comb.		Tenacid (Sigma-Tau)-comb.	J:	Tienam (Banyu; 1987)-comb. with cilastatin sodium
GB:	Primaxin IV (Merck Sharp & Dohme)		Tienam (MSD)-comb.	USA:	Primaxin (Merck; 1985)

Imipramine

ATC: N06AA02
Use: antidepressant

RN: 50-49-7 MF: $C_{19}H_{24}N_2$ MW: 280.42 EINECS: 200-042-1
LD_{50}: 21 mg/kg (M, i.v.); 188 mg/kg (M, p.o.);
9300 µg/kg (R, i.v.); 250 mg/kg (R, p.o.)
CN: 10,11-dihydro-*N*,*N*-dimethyl-5*H*-dibenz[*b*,*f*]azepine-5-propanamine

monohydrochloride
RN: 113-52-0 MF: $C_{19}H_{24}N_2 \cdot HCl$ MW: 316.88 EINECS: 204-030-7
LD_{50}: 27 mg/kg (M, i.v.); 275 mg/kg (M, p.o.);
18 mg/kg (R, i.v.); 305 mg/kg (R, p.o.)



Reference(s):
US 2 554 736 (Geigy; 1951; CH-prior. 1949).
DE 829 167 (Geigy; appl. 1950; CH-prior. 1949).

Formulation(s): amp. 25 mg/2 ml; cps. 75 mg, 100 mg, 125 mg, 150 mg; drg. 10 mg, 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D: Imipramin (neuraxpharm; as hydrochloride)
Pryleugan (ASTA Medica AWD; as hydrochloride)
Tofranil (Novartis Pharma; as hydrochloride)

F: Tofranil (Novartis; as hydrochloride)

GB: Tofranil (Novartis; as hydrochloride)

I: Imipra C (Formulario Naz.)
Tofranil (Novartis)
combination preparations

J: Depress (Toho)
Efuranol (Taito Pfizer)
Feinalmin (Sanko)
Imidol (Yoshitomi)
Imilanyle (Takata)
Meripramin (Kanebo Nakataki)
Tofranil (Novartis)

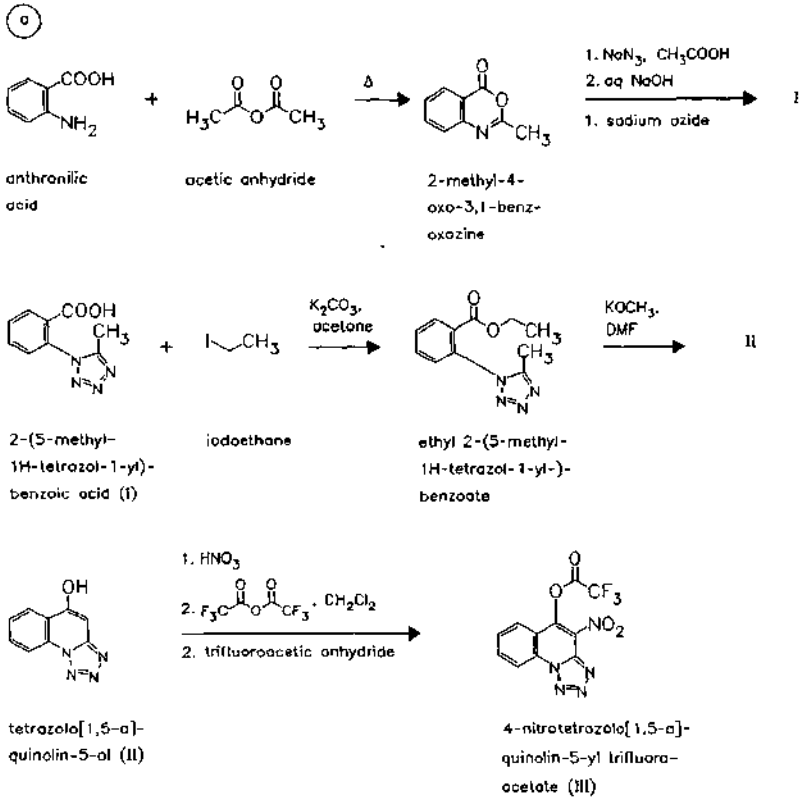
USA: Tofranil (Novartis; as pamoate)
generic

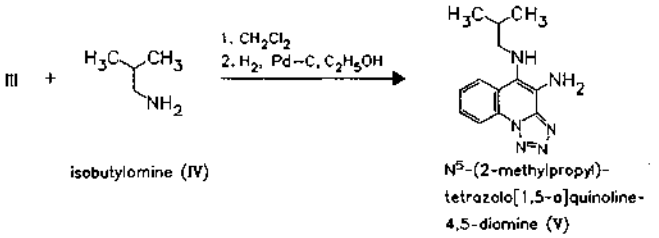
Imiquimod

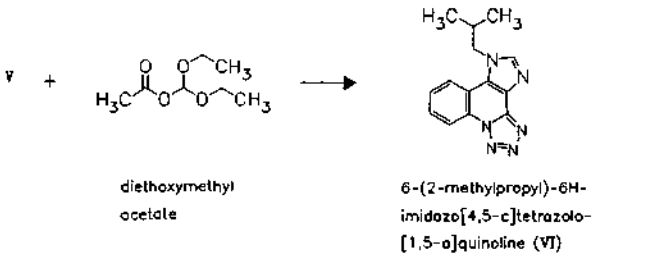
ATC: D06BB10
Use: immunomodulator, interferon alfa inducer, antiviral

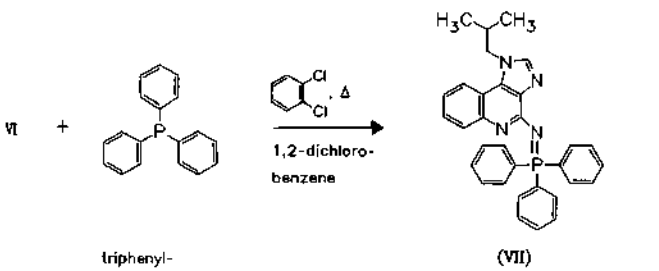
RN: 99011-02-6 MF: $C_{14}H_{16}N_4$ MW: 240.31
CN: 1-(2-Methylpropyl)-1*H*-imidazo[4,5-*c*]quinolin-4-amine

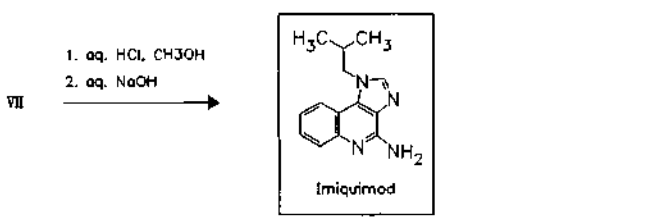
hydrochloride
RN: 99011-78-6 MF: $C_{14}H_{16}N_4 \cdot HCl$ MW: 276.77



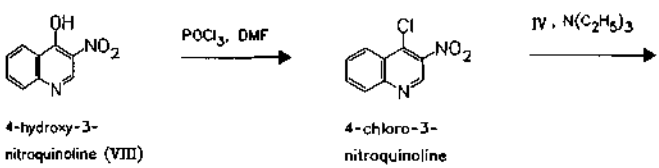

III
1. CH_2Cl_2
2. H_2, Pd–C, C_2H_5OH
isobutylomine (IV)
N^5-(2-methylpropyl)-tetrazolo[1,5-a]quinoline-4,5-diomine (V)

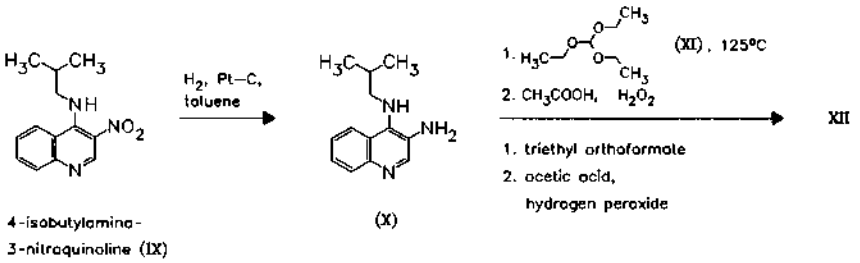

V
diethoxymethyl acetate
6-(2-methylpropyl)-6H-imidazo[4,5-c]tetrazolo-[1,5-a]quinoline (VI)

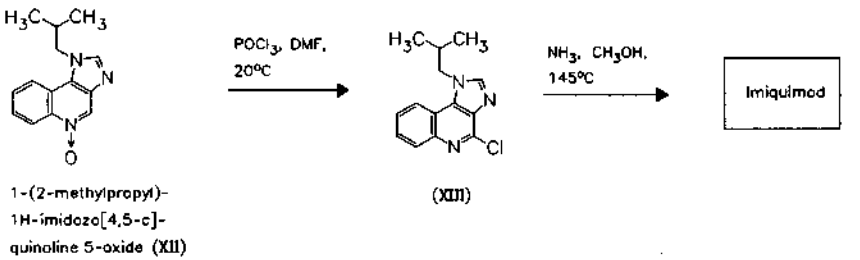

VI
Δ
1,2-dichloro-benzene
triphenyl-phosphine
(VII)


VII
1. aq. HCl, CH3OH
2. aq. NaOH
Imiquimod

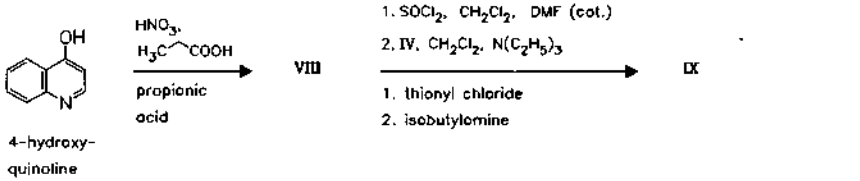
(b)

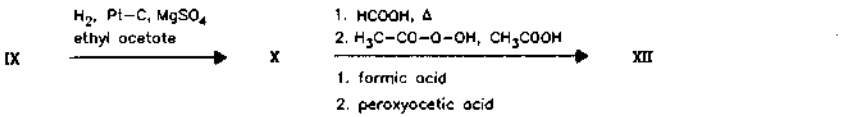

$POCl_3$, DMF
IV, $N(C_2H_5)_3$
IX
4-hydroxy-3-nitroquinoline (VIII)
4-chloro-3-nitroquinoline

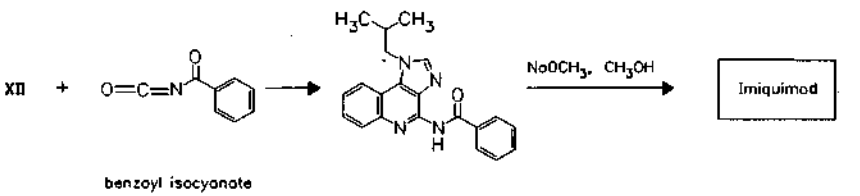

H2, Pt–C,
toluene
(XI), 125°C
1. triethyl orthoformate
2. acetic acid,
hydrogen peroxide
XII
4-isobutylamino-
3-nitroquinoline (IX)
(X)


POCl3, DMF,
20°C
NH3, CH3OH,
145°C
Imiquimod
1-(2-methylpropyl)-
1H-imidazo[4,5-c]-
quinoline 5-oxide (XII)
(XIII)

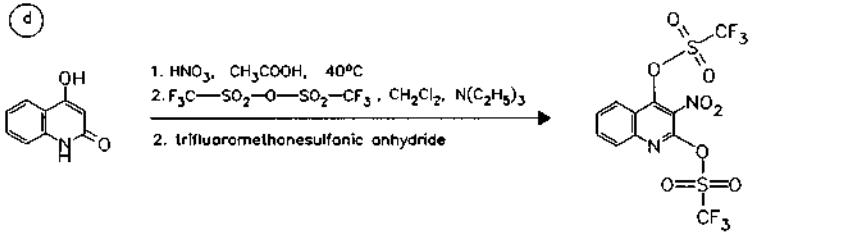
(c)

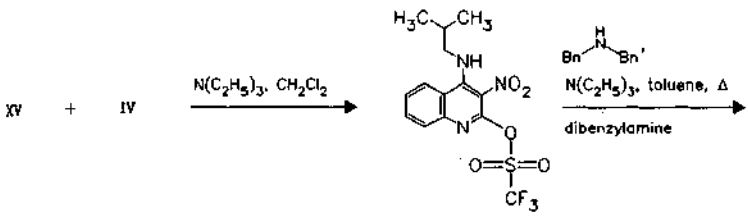

HNO3,
propionic
acid
VIII
1. SOCl2, CH2Cl2, DMF (cat.)
2. IV, CH2Cl2, N(C2H5)3
1. thionyl chloride
2. isobutylamine
IX
4-hydroxy-
quinoline


IX
H2, Pt–C, MgSO4
ethyl acetate
X
1. HCOOH, Δ
2. H3C–CO–O–OH, CH3COOH
1. formic acid
2. peroxyacetic acid
XII

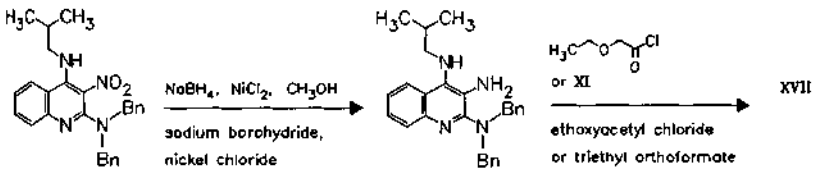

XII
+
NaOCH3, CH3OH
Imiquimod
benzoyl isocyanate

(d)

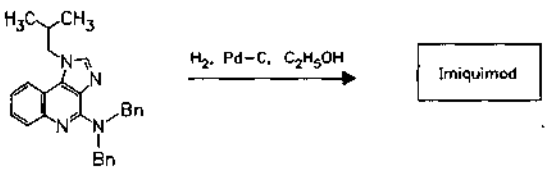

1. HNO3, CH3COOH, 40°C
2. F3C–SO2–O–SO2–CF3, CH2Cl2, N(C2H5)3
2. trifluoromethanesulfonic anhydride
4-hydroxy-2(1H)-
quinolinone (XIV)
(XV)



Bn:

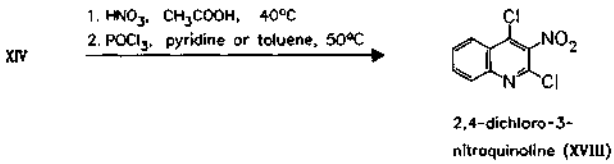


(XVI)

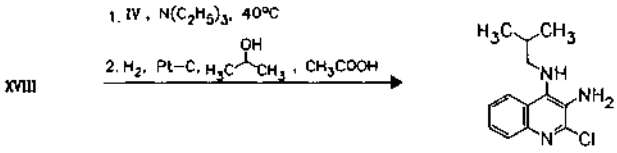


(XVII)

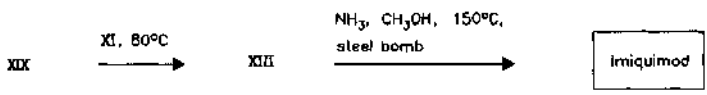
(e)



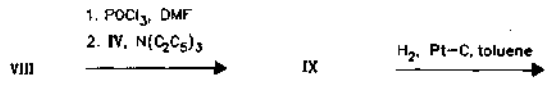
2,4-dichloro-3-nitroquinoline (XVIII)

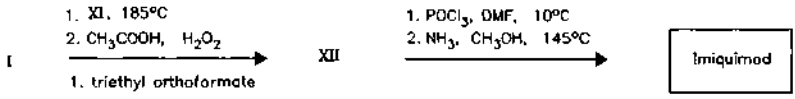


2-chloro-N⁴-(2-methylpropyl)-3,4-quinolinediamine (XIX)



(f)





Reference(s):

a WO 9 748 704 (Minnesota Mining and Manufacturing Company; appl. 22.10.1996; USA-prior. 21.6.1996).
b EP 145 340 (Riker Lab.; appl. 16.11.1984; USA-prior. 18.11.1983).
c WO 9 215 581 (Minnesota Mining and Manufacturing Company; appl. 13.2.1992; USA-prior. 1.3.1991).
d WO 9 417 043 (Minnesota Mining and Manufacturing Company; appl. 25.1.1994; USA-prior. 29.1.1993).
e US 4 988 815 (Riker Lab.; 29.1.1991; USA-prior. 26.10.1989).
f US 4 689 338 (Riker Lab.; 25.8.1987; USA-prior. 18.11.1983).

Formulation(s): cream 5%

Trade Name(s):

D: Aldara (3M Medica Lab.; 1998) GB: Aldara (3M Health Care) USA: Aldara (3M Pharm.; 1997)
I: Zarta (3M Medica)

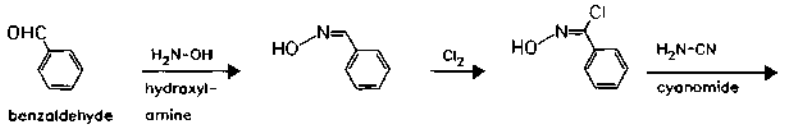
Imolamine

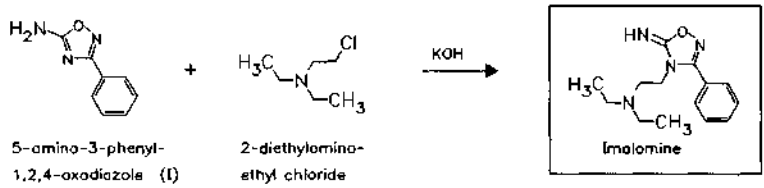
ATC: C01DX09
Use: coronary vasodilator, antianginal

RN: 318-23-0 MF: $C_{14}H_{20}N_4O$ MW: 260.34 EINECS: 206-267-1
CN: *N,N*-diethyl-5-imino-3-phenyl-1,2,4-oxadiazol-4(5*H*)-ethanamine

monohydrochloride

RN: 15823-89-9 MF: $C_{14}H_{20}N_4O \cdot HCl$ MW: 296.80 EINECS: 239-920-4
LD_{50}: 25 mg/kg (M, i.v.); 475 mg/kg (M, p.o.); 650 mg/kg (R, p.o.)





Reference(s):

FR 2 023 M (J. Marcel, D. Aron-Samuel, J.-J. Sterne; appl. 10.10.1962; GB-prior. 12.6.1962, 11.7.1961).

Formulation(s): inj. sol. 50 mg/5 ml; tabl. 30 mg

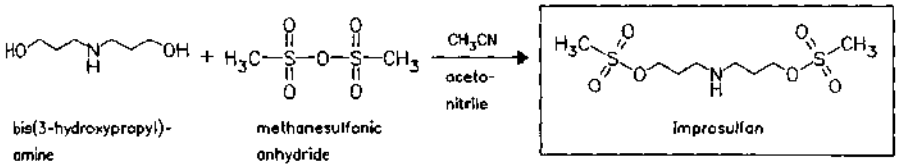
Trade Name(s):

D: Irrigor (Karlspharma); wfm I: Irrigor (Lipha); wfm Irrigor Aron (Spemsa); wfm
F: Irrigor (Aron); wfm

Improsulfan

ATC: L01
Use: antineoplastic

RN: 13425-98-4 MF: $C_8H_{19}NO_6S_2$ MW: 289.37
CN: 3,3'-iminobis[1-propanol] dimethanesulfonate (ester)



Reference(s):
Sakurai, J.; El-Merzabani, M.M.: Chem. Pharm. Bull. (CPBTAL) **12**, 954 (1964).

sulfonic acid salts:
DOS 2 059 377 (Yoshitomi; appl. 2.12.1970; J-prior. 2.12.1969, 12.8.1970).
GB 1 272 497 (Yoshitomi; appl. 25.12.1970; J-prior. 2.12.1969, 12.8.1970).

Formulation(s): tabl. 10 mg

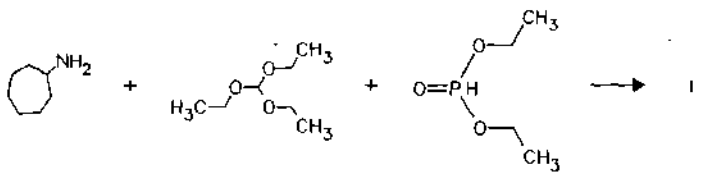
Trade Name(s):
J: Protecton (Yoshitomi; as tosylate)

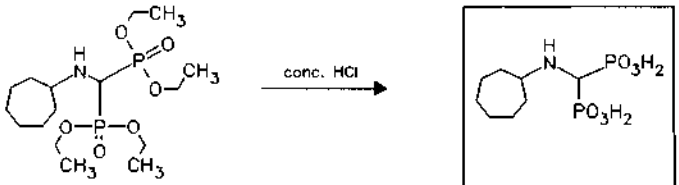
Incadronic acid

Use: bone resorption inhibitor, antiarthritic agent

RN: 124351-85-5 MF: $C_8H_{19}NO_6P_2$ MW: 287.19
CN: [(Cycloheptylamino)methylene]bis[phosphonic acid]

disodium salt monohydrate
RN: 183808-97-1 MF: $C_8H_{17}NNa_2O_6P_2 \cdot H_2O$ MW: 349.17
disodium salt
RN: 138330-18-4 MF: $C_8H_{17}NNa_2O_6P_2$ MW: 331.15





tetroethyl (cycloheptylamino)methylenebis(phosphonate) (I)

Incadronic acid

Reference(s):
EP 325 482 (Yamanouchi Pharm. Co.; appl. 20.1.1989; J-prior. 20.1.1988)
Takeuchi, M.; Sakamoto, S.; Yoshida, M.; Abe, T.; Isomura, Y.: Chem. Pharm. Bull. (CPBTAL) **41** (4), 688 (1993)

oral pharmaceutical compositions:
EP 550 385 (Ciba-Geigy A. G.; appl. 11.12.1992; CH-prior. 19.12.1991)

pharmaceutical compositions:
EP 693 285 (Eli Lilly & Co.; appl. 20.7.1995; USA-prior. 22.7.1994)

Formulation(s): amp. 10 mg

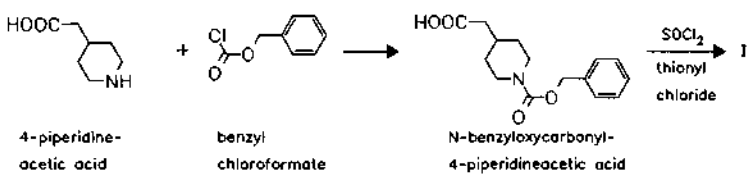
Trade Name(s):
J: Bisphonal (Yamanouchi; 1997)

Indalpine

ATC: N06B
Use: antidepressant, selective serotonin-uptake inhibitor

RN: 63758-79-2 MF: $C_{15}H_{20}N_2$ MW: 228.34 EINECS: 264-445-4
CN: 3-[2-(4-piperidinyl)ethyl]-1*H*-indole

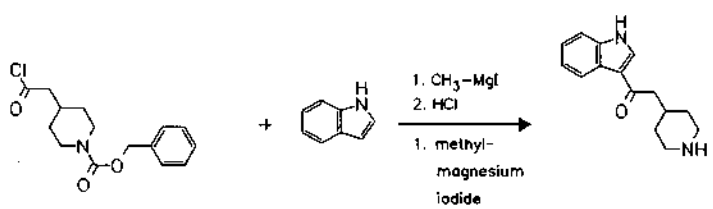
monohydrochloride
RN: 63845-42-1 MF: $C_{15}H_{20}N_2 \cdot HCl$ MW: 264.80
LD_{50}: 60 mg/kg (M, i.v.); 600 mg/kg (M, p.o.)



4-piperidineacetic acid

benzyl chloroformate

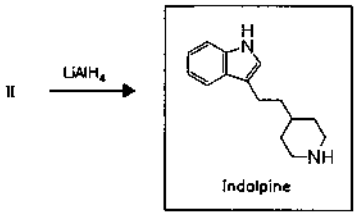
N-benzyloxycarbonyl-4-piperidineacetic acid



(I)

indole

3-indolyl 4-piperidylmethyl ketone (II)



Reference(s):
DOS 2 618 152 (Marpha; appl. 26.4.1976; F-prior. 12.12.1975).
US 4 064 255 (Marpha; 20.12.1977; F-prior. 12.12.1975).

Formulation(s): tabl. 50 mg

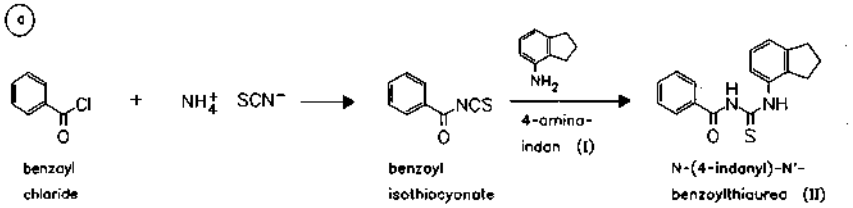
Trade Name(s):
F: Upstène (Fournier); wfm

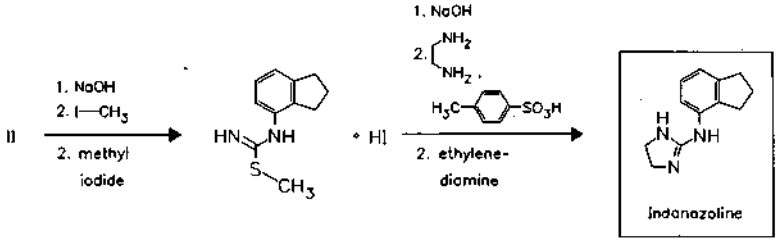
Indanazoline

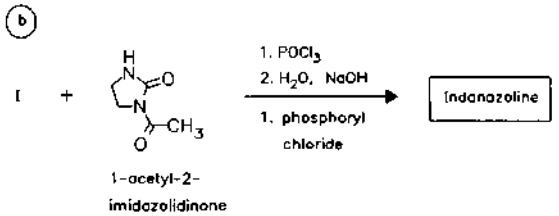
ATC: R01AA
Use: vasoconstrictor, nasal decongestant

RN: 40507-78-6 MF: $C_{12}H_{15}N_3$ MW: 201.27
LD_{50}: 17.6 mg/kg (Mf, i.v.); 16.3 mg/kg (Mm, i.v.); 233 mg/kg (Mf, p.o.); 179 mg/kg (Mm, p.o.)
CN: *N*-(2,3-dihydro-1*H*-inden-4-yl)-4,5-dihydro-1*H*-imidazol-2-amine

hydrochloride
RN: 56601-85-5 MF: $C_{12}H_{15}N_3 \cdot xHCl$ MW: unspecified







Reference(s):
May, H.J.: Arzneim.-Forsch. (ARZNAD) **30**, 1733 (1980).
a DOS 2 136 325 (Nordmark; appl. 21.7.1971).
US 3 882 229 (Nordmark; 6.5.1975; D-prior. 21.7.1971).
b DOS 2 652 004 (BASF; appl. 15.11.1976).

Formulation(s): nasal drops 1.18 mg/ml; spray 1.18 mg/ml (as hydrochloride)

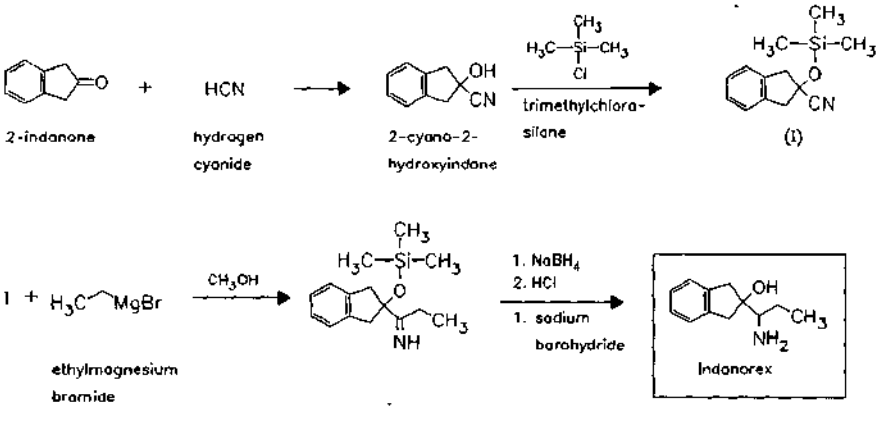
Trade Name(s):
D: Farial (RIAM)

Indanorex

ATC: A08AB
Use: appetite depressant

RN: 16112-96-2 MF: $C_{12}H_{17}NO$ MW: 191.27
CN: 2-(1-aminopropyl)-2,3-dihydro-1*H*-inden-2-ol

hydrochloride
RN: 16112-95-1 MF: $C_{12}H_{17}NO \cdot HCl$ MW: 227.74



Reference(s):
DOS 2 422 879 (Lab. Logeais; appl. 11.5.1974; F-prior. 16.7.1973).

alternative synthesis:
FR 2 322 851 (Lab. Logeais; appl. 5.9.1975).

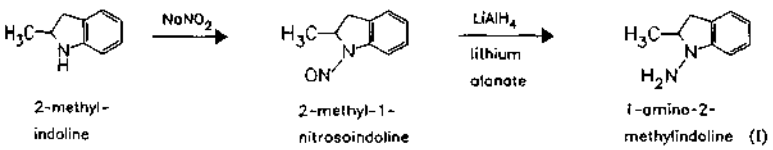
use as appetite depressant:
DOS 2 336 560 (Lab. Logeais; appl. 18.7.1973; F-prior. 20.7.1972).

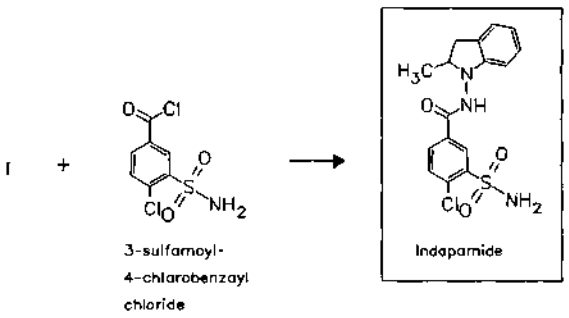
Trade Name(s):
F: Dietor (Logeais); wfm

Indapamide

ATC: C03BA11
Use: diuretic, antihypertensive

RN: 26807-65-8 MF: $C_{16}H_{16}ClN_3O_3S$ MW: 365.84 EINECS: 248-012-7
CN: 3-(aminosulfonyl)-4-chloro-*N*-(2,3-dihydro-2-methyl-1*H*-indol-1-yl)benzamide





Reference(s):
DE 1 909 180 (Science Union; appl. 2.7.1970; prior. 24.2.1969).
US 3 565 911 (Science Union; 23.2.1971; GB-prior. 6.3.1968).
FR-appl. 2 003 311 (Science Union; appl. 5.3.1969; GB-prior. 6.3.1968).

preparation of 2-methylindoline *from* 2-methyl indole:
DE 623 693 (I. G. Farbenind.; 1934).
Rogovile, V.M.; Chumale, V.T.; Dzvinka, R.T.; Shein, S.M.: J. Appl. Chem. USSR (Engl. Transl.) **54** (6), 1137 (1981).

Formulation(s): drg. 2.5 mg, f. c. tabl. 2.5 mg

Trade Name(s):

D:	indapamid von ct (ct-Arzneimittel)	GB:	Natramid (Trinity)		Millibar (Lisapharma)
	Natrilix (Servier Deutschland; 1976)		Natrilix SR (Servier; 1978)		Veroxil (Baldacci)
	Sicco (ASTA Medica AWD)	I:	Damide (Benedetti)	J:	Natrix (Inahata-Kyoto; 1985)
F:	Fludex (LBF Biopharma; Euthérapie; 1977)		Indaflex (Lampugnani)	USA:	Lozol (Rhône-Poulenc Rorer; 1983)
			Indamol (Rhône-Poulenc Rorer)		
			Indolin (Herdel)		
			Ipamix (Gentili)		

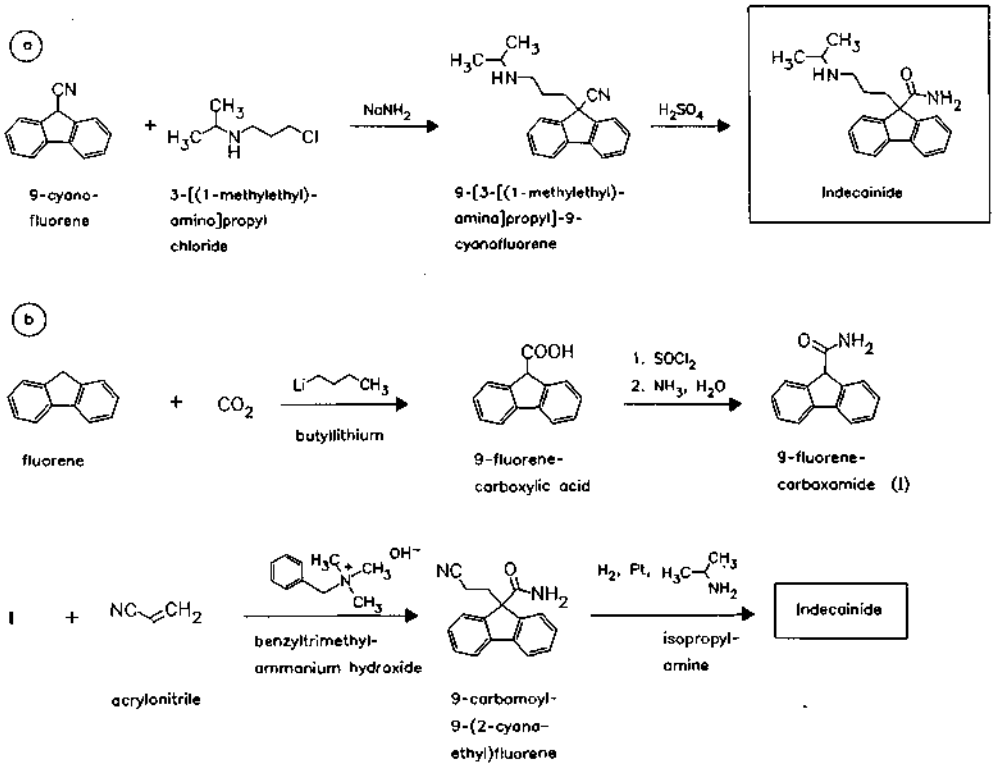
Indecainide

(LY-135837; Ricainide)

ATC: C01B
Use: cardiac depressant (class I antiarrhythmic), therapy of life-threatening ventricular arrhythmias

RN: 74517-78-5 MF: $C_{20}H_{24}N_2O$ MW: 308.43
LD_{50}: 96 mg/kg (M, p.o.);
10 mg/kg (R, i.v.); 82 mg/kg (R, p.o.);
10 mg/kg (dog, i.v.); 25 mg/kg (dog, p.o.)
CN: 9-[3-[(1-methylethyl)amino]propyl]-9*H*-fluorene-9-carboxamide

monohydrochloride
RN: 73681-12-6 MF: $C_{20}H_{24}N_2O \cdot HCl$ MW: 344.89
LD_{50}: 96 mg/kg (M, p.o.);
10 mg/kg (R, i.v.); 82 mg/kg (R, p.o.);
>5 mg/kg (dog, i.v.); 25 mg/kg (dog, p.o.)



Reference(s):
EP 18 076 (Lilly; appl. 11.3.1980; USA-prior. 12.3.1978).
US 4 277 495 (Lilly; 7.7.1981; appl. 17.4.1980; prior. 12.3.1979).
US 4 282 170 (Lilly; 4.8.1981; appl. 17.4.1980).
EP 38 676 (Lilly; appl. 15.4.1981; USA-prior. 17.4.1980).

alternative synthesis:
US 4 197 313 (Lilly; 8.4.1980; appl. 12.3.1979).
US 4 552 982 (Lilly; 12.11.1985; appl. 1.8.1983).
EP 140 646 (Lilly; appl. 17.10.1984; USA-prior. 19.10.1983).

synthesis of intermediates:
US 4 486 592 (Lilly; 4.12.1984; appl. 19.10.1983).

pharmaceutical formulation:
US 4 382 093 (Lilly; 3.5.1983; appl. 29.9.1982).

Formulation(s): s. r. tabl. 50 mg, 75 mg, 100 mg (as hydrochloride)

Trade Name(s):
USA: Decabid (Lilly; 1989); wfm

Indeloxacine
(CI-974; YM-08054)

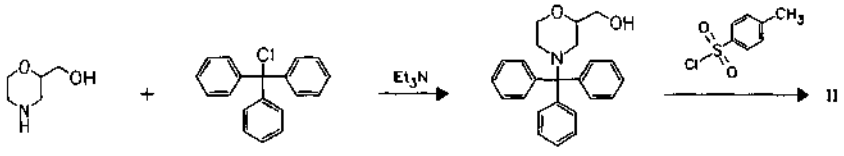
ATC: N07X
Use: cognition activator, antidepressant, nootropic

RN: 60929-23-9 MF: $C_{14}H_{17}NO_2$ MW: 231.30
CN: (±)-2-[(1*H*-inden-7-yloxy)methyl]morpholine

hydrochloride

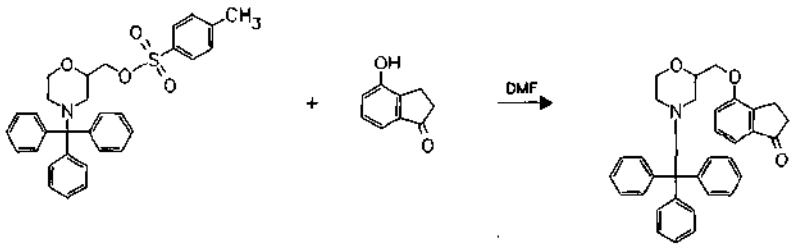
RN: 65043-22-3 MF: $C_{14}H_{17}NO_2 \cdot HCl$ MW: 267.76

LD_{50}: 47 mg/kg (M, i.v.); 444 mg/kg (M, p.o.);
77.3 mg/kg (R, i.v.); 502 mg/kg (R, p.o.);
>60 mg/kg (dog, p.o.)



(±)-2-(hydroxymethyl)morpholine (I)

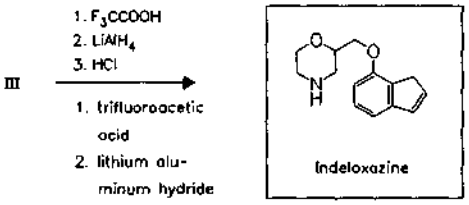
triphenylchloromethane



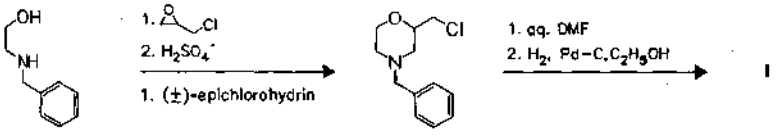
4-(triphenylmethyl)-2-(p-toluenesulfonyloxymethyl)morpholine (II)

4-hydroxy-1-indanone

2-(1-oxoindan-4-yloxymethyl)-4-(triphenylmethyl)morpholine (III)



preparation of (±)-2-(hydroxymethyl)morpholine (I)



2-benzylaminoethanol

N-benzyl-2-(chloromethyl)morpholine

Reference(s):

US 4 109 088 (Yamanouchi; 22.8.1978; appl. 25.2.1977; prior. 5.1.1976; J-prior. 29.1.1975).
DOS 2 601 703 (Yamanouchi; appl. 19.1.1976; J-prior. 29.1.1975).
JP 52 111 580 (Yamanouchi; appl. 15.3.1976).
CA 1 103 247 (Yamanouchi; J-prior. 27.10.1976).
Kojima, T. et al.: Chem. Pharm. Bull. (CPBTAL) 33, 3766 (1985).

synthesis of ^{14}C-labeled compound:
Arima, H.; Tamazawa, K.: J. Labelled Compd. Radiopharm. (JLCRD4) **22**, 1217 (1985).

alternative synthesis:
DE 2 707 678 (Yamanouchi; appl. 13.10.1977; J.-prior. 31.3.1976).

medical use for the treatment of mental disorders:
JP 61 145 119 (Yamanouchi; appl. 19.12.1984).
JP 56 123 915 (Yamanouchi; appl. 5.3.1980).

preparation of (±)-2-(hydroxymethyl)morpholine:
Kato, S. et al.: J. Med. Chem. (JMCMAR) **33** (5), 1406 (1990).
Berg, S. et al.: J. Med. Chem. (JMCMAR) **41** (11), 1934 (1998).
Jinbo, Y. et al.: J. Med. Chem. (JMCMAR) **37** (17), 2791 (1994).
Yanagisawa, H.; Kanazaki, T.: Heterocycles (HTCYAM) **35** (1), 105 (1993).
Loftus, F.: Synth. Commun. (SYNCAV) **10** (1), 59 (1980).

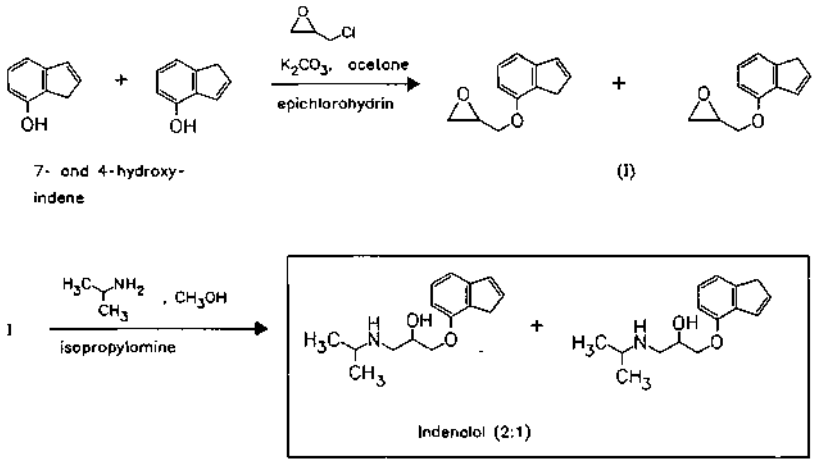
Formulation(s): tabl. 20 mg (as hydrochloride)

Trade Name(s):
J: Elen (Yamanouchi; 1988) Noin (Essex Nippon; 1988)

Indenolol

ATC: C07AA49
Use: beta blocking agent

RN: 60607-68-3 MF: $C_{15}H_{21}NO_2$ MW: 247.34 EINECS: 262-323-5
CN: 1-[1*H*-inden-4(or 7)-yloxy]-3-[(1-methylethyl)amino]-2-propanol



Reference(s):
DOS 1 955 229 (Yamanouchi; appl. 3.11.1969; J-prior. 12.11.1968, 11.9.1969).
Murase, K. et al.: Chem. Pharm. Bull. (CPBTAL) **24**, 552 (1976).

Formulation(s): tabl. 60 mg

Trade Name(s):
1: Myodil (Glaxo) Securpres (Poli) J: Pulsan (Yamanouchi)

Indinavir sulfate

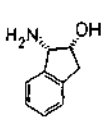
(L-735524; MK-639)

ATC: J05AE02
Use: antiviral, HIV-1-protease inhibitor

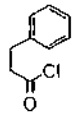
RN: 157810-81-6 MF: $C_{36}H_{47}N_5O_4 \cdot H_2SO_4$ MW: 711.88
CN: [1(1*S*,2*R*),5(*S*)]-2,3,5-trideoxy-*N*-(2,3-dihydro-2-hydroxy-1*H*-inden-1-yl)-5-[2-[[(1,1-dimethylethyl)amino]carbonyl]-4-(3-pyridinylmethyl)-1-piperazinyl]-2-(phenylmethyl)-D-*erythro*-pentonamide sulfate (1:1) (salt)

base
RN: 150378-17-9 MF: $C_{36}H_{47}N_5O_4$ MW: 613.80

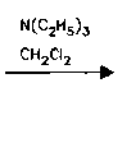
a



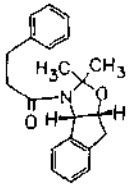
2(R)-hydroxy-1(S)-amino-indane (I)



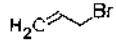
dihydro-cinnamoyl chloride



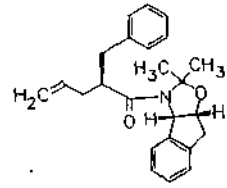
N-[2(R)-hydroxy-1(S)-indanyl]-3-phenylpropon-amide



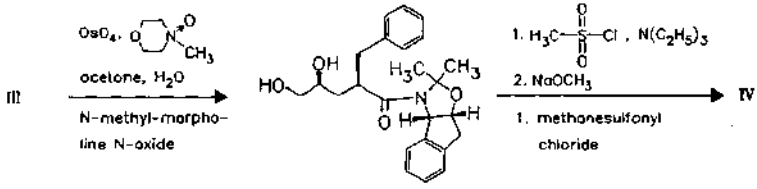
(3aS,8aR)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-(3-phenylpropionyl)-2H-indeno-[1,2-d]oxazole (II)



allyl bromide

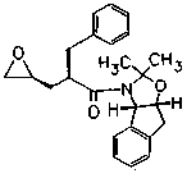


(3aS,8aR)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[(2S)-2-benzyl-4-pentenoyl]-2H-indeno-[1,2-d]oxazole (III)

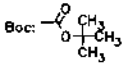


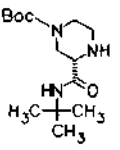
N-methyl-morpholine N-oxide

methanesulfonyl chloride



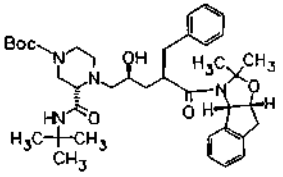
(IV)





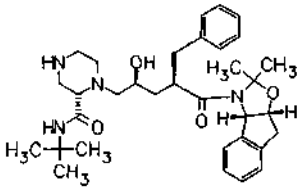
N-tert-butyl-4-(tert-butoxycarbonyl)-piperazine-2(S)-carboxamide (V)

CH_3OH, reflux

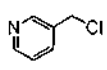


(3aS,8aR)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[2(S)-benzyl-5-[4-(tert-butoxycarbonyl)-2(S)-(tert-butylcarbamoyl)piperazino]-4(R)-hydroxyvaleryl]-2H-indeno[1,2-d]oxazole (VI)

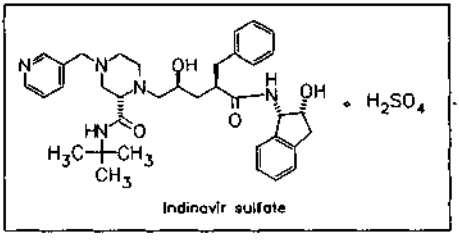
VI — HCl, CH_2Cl_2, 0 °C →



(3a,S,8aR)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[2(S)-benzyl-5-[2(S)-(tert-butoxycarbonyl)piperazino]-4(R)-hydroxyvaleryl]-2H-indeno[1,2-d]oxazole (VII)

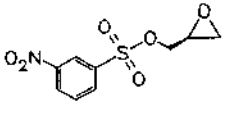
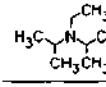
VII +  — 1. $N(C_2H_5)_3$, DMF; 2. H_2SO_4 →

3-picolyl chloride

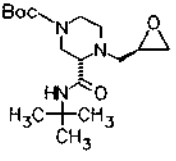


Indinavir sulfate

(b)

V +  —  , DMF; DIEA →

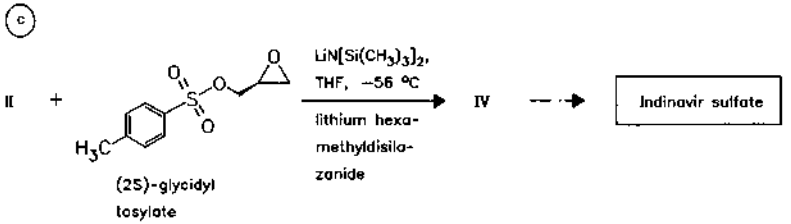
(2S)-glycidyl 3-nitrobenzenesulfonate



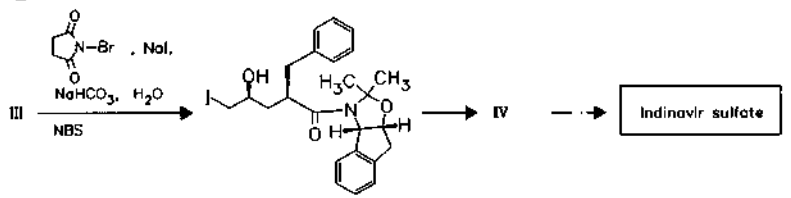
N-tert-butyl-1-[(R)-oxiranylmethyl]-4-tert-butoxycarbonyl-piperazine-2(S)-carboxamide (VIII)

VIII + II —  , THF, −78 °C → VI → Indinavir sulfate

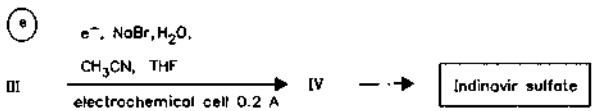
(c)



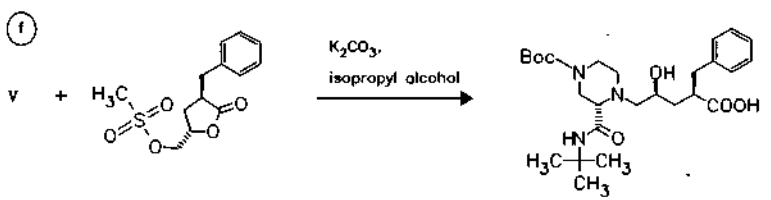
(d)



(e)

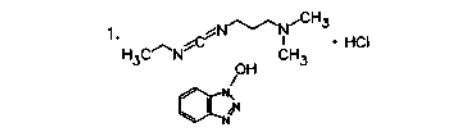


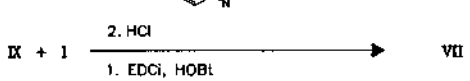
(f)



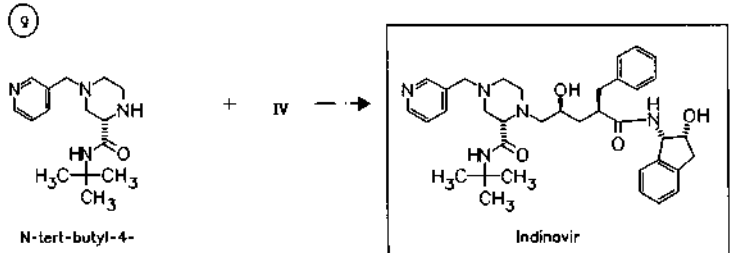
dihydro-5(S)-(methanesulfonyloxymethyl)-3(R)-phenylmethyl-2(3H)-furanone

(IX)





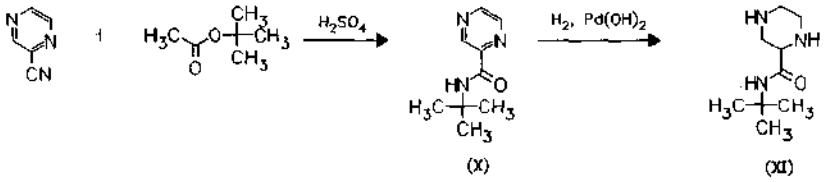
(g)



N-tert-butyl-4-(3-picolyl)-2(S)-piperazinecarboxamide

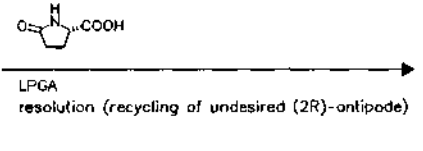
preparation of intermediate V:

(aa)



(X) (XI)

XI

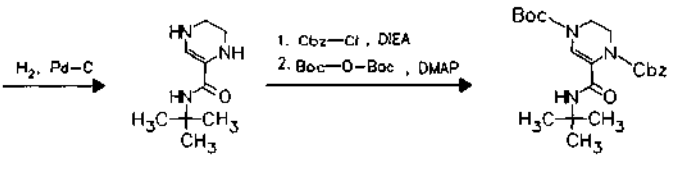


(XII)

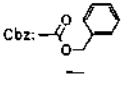
XII + Boc—O—Boc —KOH→ V

(ab)

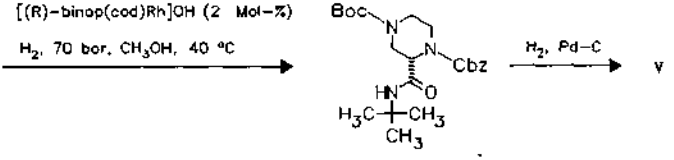
X



(XIII)



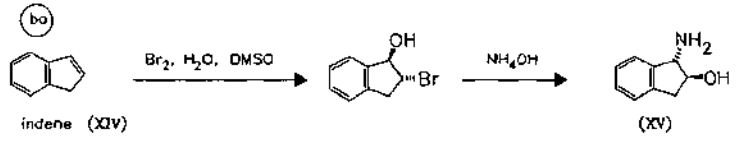
XIII



V

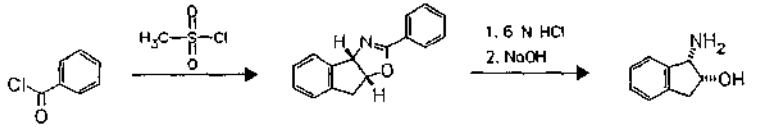
synthesis of starting material I:

(ba)

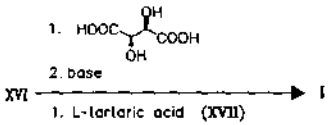


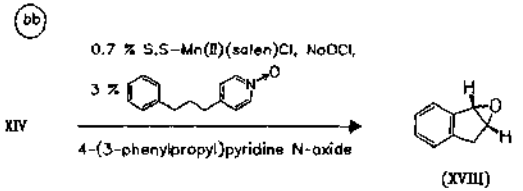
indene (XIV) (XV)

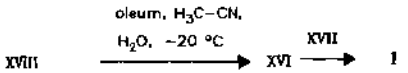
XV +

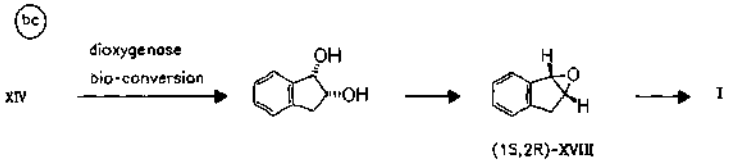


(XVI)









Reference(s):

a WO 9 309 096 (Merck & Co.; appl. 3.11.1992; USA-prior. 8.11.1991, 15.5.1992).
b WO 9 502 583 (Merck & Co.; appl. 11.7.1994; USA-prior. 16.7.1993).
c Askin, D. et al.: Tetrahedron Lett. (TELEAY) **35** (4), 673 (1994).
WO 9 502 584 (Merck & Co.; appl. 11.7.1994; USA-prior. 16.7.1993, 26.1.1994).
d Maligres, P.E. et al.: Tetrahedron Lett. (TELEAY) **36**, 2195 (1995).
e WO 9 716 450 (Merck & Co.; appl. 25.10.1996; USA-prior. 30.10.1995, 22.2.1996).
f US 5 413 999 (Merck & Co.; appl. 7.5.1993; USA-prior. 8.11.1991).
g WO 9 628 439 (Merck & Co.; appl. 11.3.1996; USA-prior. 15.3.1995).
aa WO 9 636 629 (Merck & Co.; appl. 14.5.1996; USA-prior. 18.5.1995).
WO 9 521 162 (Merck & Co.; appl. 30.1.1995; USA-prior. 4.2.1994).
ab GB 2 302 690 (Merck & Co.; appl. 20.6.1996; GB-prior. 13.2.1996; USA-prior. 28.6.1995).
ba WO 9 636 724 (Merck & Co.; appl. 15.5.1996; USA-prior. 19.5.1995).
US 5 449 830 (Merck & Co., Procter/Gamble Co.; appl. 11.3.1994; USA-prior. 11.3.1994).
bb WO 9 700 966 (Merck & Co.; appl. 14.6.1996; USA-prior. 13.2.1996, 20.6.1995).
WO 9 612 818 (Merck & Co.; appl. 17.10.1995; USA-prior. 21.10.1994).

reductive amination with pyridinecarboxaldehyde:
US 5 508 404 (Merck & Co.; appl. 15.3.1995; USA-prior. 15.3.1995).

prodrugs of indinavir:
WO 9 514 016 (Merck & Co.; appl. 14.11.1994; USA-prior. 18.11.1993).

combination with AZT:
WO 9 623 509 (Merck & Co.; appl. 29.1.1996; USA-prior. 1.2.1995).
WO 9 604 913 (Merck & Co.; appl. 7.8.1995; USA-prior. 20.7.1995, 11.8.1994, 14.11.1994).

combination with e. g. nevarapine:
WO 9 600 068 (Merck & Co.; appl. 23.6.1995; USA-prior. 27.6.1994).

combination with quinoxalines:
EP 728 481 (Bayer AG; appl. 14.2.1996; D-prior. 27.2.1995).

Formulation(s): cps. 200 mg, 400 mg (as sulfate)

Trade Name(s):

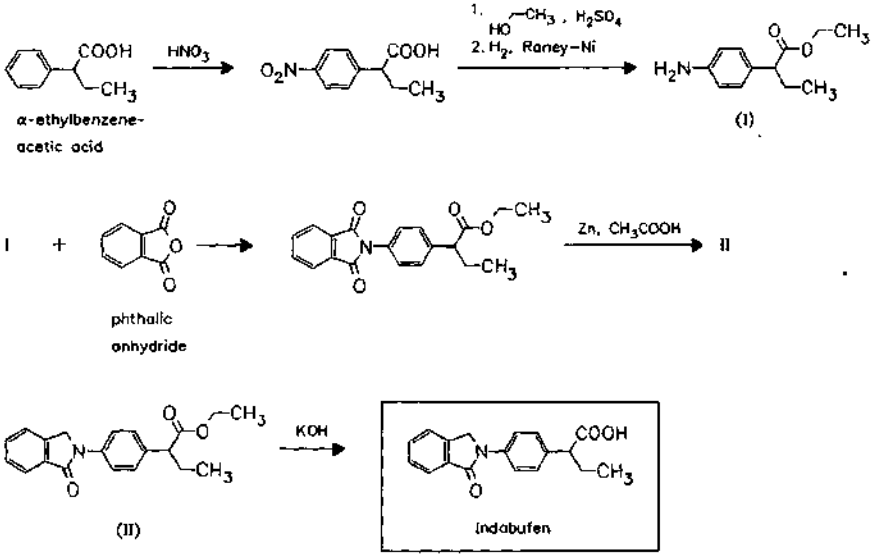
D:	Crixivan (Merck Sharp & Dohme)	GB:	Crixivan (Merck Sharp & Dohme)	USA:	Crixivan (Merck & Co.)

Indobufen

ATC: B01AC10
Use: anti-inflammatory, antithrombocytic

RN: 63610-08-2 MF: $C_{18}H_{17}NO_3$ MW: 295.34 EINECS: 264-364-4
LD_{50}: 370 mg/kg (M, i.v.); 697 mg/kg (M, p.o.);
333 mg/kg (R, i.v.); 373 mg/kg (R, p.o.)
CN: (±)-4-(1,3-dihydro-1-oxo-2*H*-isoindol-2-yl)-α-ethylbenzeneacetic acid

sodium salt
RN: 94135-04-3 MF: $C_{18}H_{16}NNaO_3$ MW: 317.32



Reference(s):
US 4 118 504 (Carlo Erba; 3.10.1978; I-prior. 10.11.1970).
DOS 2 154 525 (Carlo Erba; appl. 3.11.1971; I-prior. 5.11.1970).
GB 1 344 663 (Carlo Erba; appl. 27.10.1971; I-prior. 5.11.1970).
Nannini, G. et al.: Arzneim.-Forsch. (ARZNAD) **23**, 1090 (1973).
(alternative syntheses described)

synthesis of ethyl p-amino-α-ethylphenylacetate:
Wilds, A.L.; Biggerstaff, W.R.: J. Am. Chem. Soc. (JACSAT) **67**, 789 (1945).

Formulation(s): amp. 200 mg (as sodium salt); tabl. 100 mg, 200 mg

Trade Name(s):

I:	Ibustrin (Pharmacia & Upjohn; 1984)

Indometacin

(Indomethacin)

ATC: C01EB03; M01AB01; M02AA23; S01BC01

Use: anti-inflammatory, antipyretic, analgesic

RN: 53-86-1 MF: $C_{19}H_{16}ClNO_4$ MW: 357.79 EINECS: 200-186-5

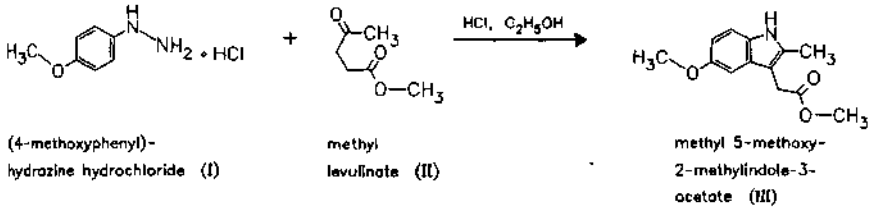
LD_{50}: 30 mg/kg (M, i.v.); 11.841 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 2.42 mg/kg (R, p.o.);
100 mg/kg (dog, i.v.); 160 mg/kg (dog, p.o.)

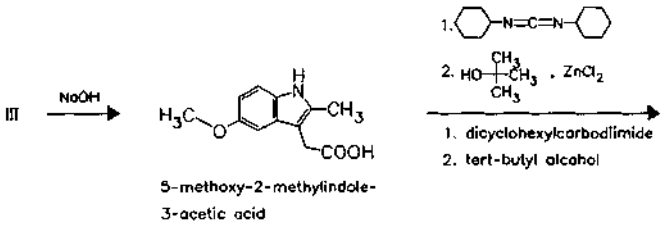
CN: 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indole-3-acetic acid

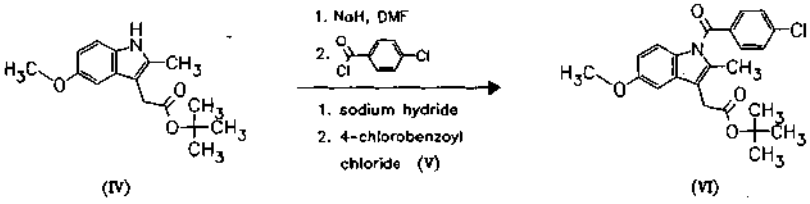
sodium salt hydrate

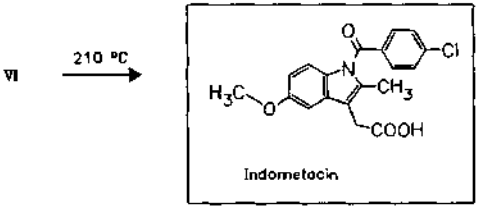
RN: 74252-25-8 MF: $C_{19}H_{15}ClNaO_4 \cdot 3H_2O$ MW: 419.81

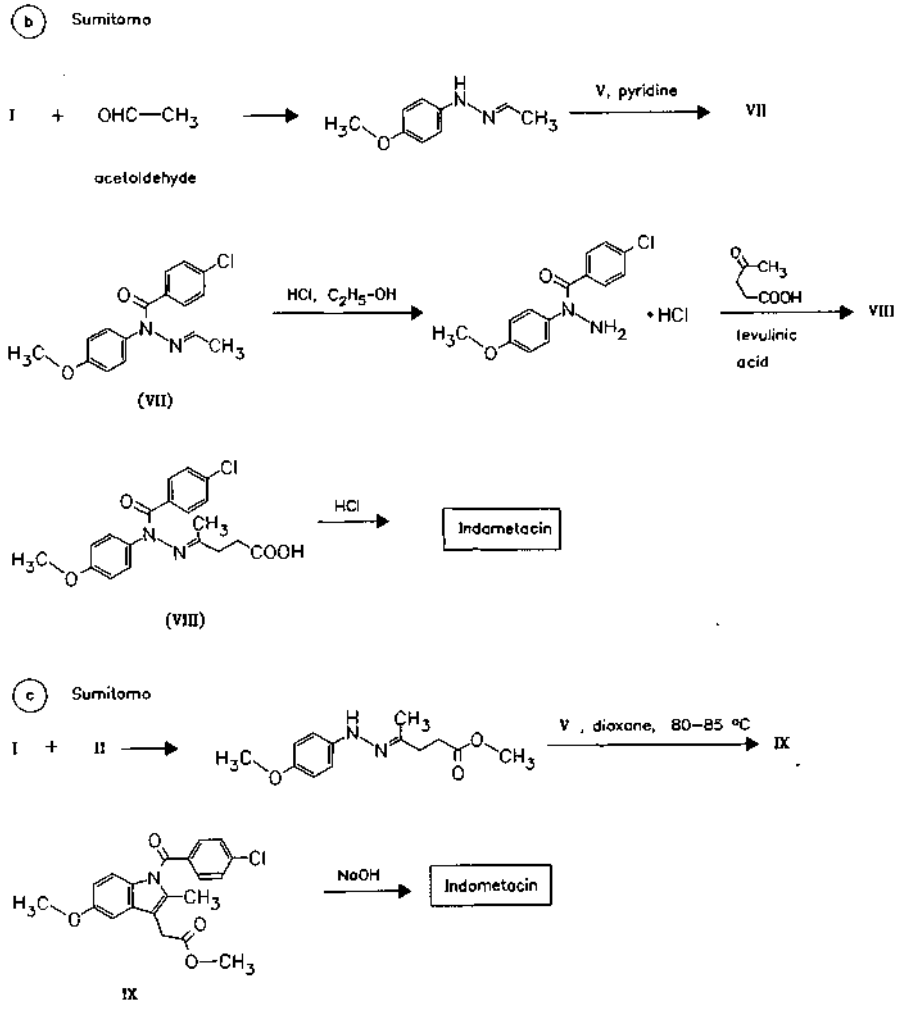
Merck + Co.











Reference(s):

a DE 1 232 150 (Merck & Co.; appl. 16.3.1962; USA-prior. 22.3.1961, 5.1.1962).
DAS 1 620 014 (Merck & Co.; appl. 29.6.1966; USA-prior. 30.6.1965).
DE 1 620 030 (Merck & Co.; appl. 16.3.1962; USA-prior. 22.3.1961, 5.1.1962).
DE 1 620 031 (Merck & Co.; appl. 16.3.1962; USA-prior. 22.3.1961, 5.1.1962).
DE 1 643 463 (Merck & Co.; appl. 12.10.1967; USA-prior. 13.10.1966, 14.8.1967).
US 3 161 654 (Merck & Co.; 15.12.1964; appl. 11.6.1963; prior. 22.3.1961).

b Yamamoto, H.: Chem. Pharm. Bull. (CPBTAL) **16**, 17 (1968).
Yamamoto, H. et al.: Chem. Pharm. Bull. (CPBTAL) **16**, 647 (1968).

c DAS 1 795 674 (Sumitomo; appl. 8.4.1968; J-prior. 11.4.1967, 6.5.1967, 8.5.1967, 23.5.1967, 27.5.1967, 29.5.1967, 8.11.1967, 12.12.1967, 14.12.1967).

suspension for parenteral use:
US 4 093 733 (Merck & Co.; 6.6.1978; appl. 9.9.1976).

Formulation(s): cps. 25 mg, 50 mg; eye drops 1 %; gel 10 mg; sol. 8 mg/ml (1 %); s. r. cps. 75 mg; suppos. 50 mg, 100 mg; susp. 25 mg (as sodium salt hydrate)

Trade Name(s):

D: Amuno (Merck Sharp & Dohme; 1965)-comb. Chibro-Amuno (Chibret)
Confortid (Dumex; as sodium salt)
Elmetacin (Sankyo)
Indo (ct-Arzneimittel)
Indometacin (Aliud Pharma)

Indometacin (Heyl)-comb.
Indomisal (Brenner-Efeka)
Indo-Top (ratiopharm)
Inflam (Lichtenstein)-comb.
Jenatacin (Jenapharm)
various generics and combination preparations

F: Ainscrid LP (Gerda SA)
Chrono-Indocid 75 (Merck Sharp & Dohme)
Indocid (Merck Sharp & Dohme; 1966)
Indocollyre (Chauvin)

GB: Artracin SR (Searle)
Flexin Continus (Napp)
Indocid (Morson)
Indomod (Pharmacia & Upjohn)

I: Cidalgon (Ecobi)
Difmetre (UCM)-comb.
Imet (Firma)
Indocid (Merck Sharp & Dohme)
Indoxen (Sigma-Tau)
Liometacen (Chiesi; as megluminate)
Metacen (Chiesi)
combination preparations

J: Indacin (Merck-Banyu; 1966)
Inderapollon (Kaigai)
Indomethine (Kowa)
Inmecin (Nippon Chemiphar)
Inmetocin (Tobishi)
Inteban (Sumitomo; 1967)
Intedarl (Choseido)
Lausit (Showa)
Methazine (Sankyo)
Mezolin (Meiji)
Salinac (Nippon Kayaku)
Taikosashin S (Taiho)
Zalbico (Toyo Pharmar)

USA: Indocin (Merck Sharp & Dohme; 1965)

Indometacin farnesil

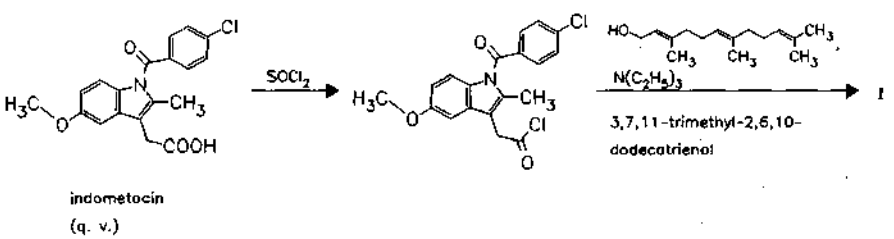
(Indometacin farnesyl)

ATC: M01AB
Use: non-steroidal anti-inflammatory, indometacin prodrug

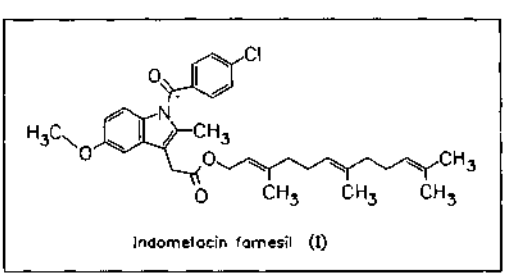
RN: 85801-02-1 MF: $C_{34}H_{40}ClNO_4$ MW: 562.15

LD_{50}: >4 g/kg (M, i.m.); 1305 mg/kg (M, i.p.); 6800 mg/kg (M, p.o.); 8g/kg (M, s.c.); 2000 mg/kg (R, i.m.); 3800 mg/kg (R, i.p.); 1680 mg/kg (R, p.o.); 2400 mg/kg (R, s.c.); >3 g/kg (dog, p.o.)

CN: 1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indole-3-acetic acid 3,7,11-trimethyl-2,6,10-dodecatrienyl ester



indometacin
(q. v.)



Reference(s):

DE 3 226 687 (Eisai; appl. 16.7.1982; J-prior. 23.7.1981).
US 4 455 316 (Eisai; 19.6.1984; appl. 8.7.1982; J-prior. 23.7.1981).
US 4 576 963 (Eisai; 18.3.1986; appl. 14.5.1984; prior. 8.7.1982; J-prior. 23.7.1981).

soft gelatine capsules:

EP 407 815 (Eisai; appl. 27.6.1990; J-prior. 10.7.1989).

stabilisation with tocopherol:
EP 387 655 (Eisai; appl. 5.3.1990; J-prior. 17.3.1989).

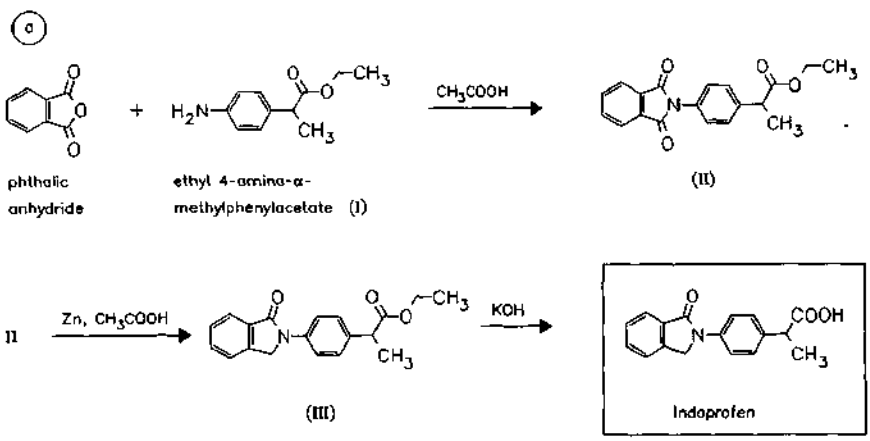
Formulation(s): cps. 100 mg

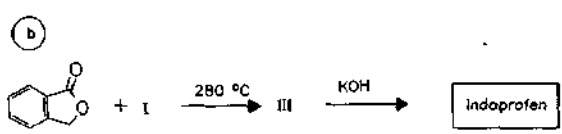
Trade Name(s):
J: Infree (Eisai; 1991)

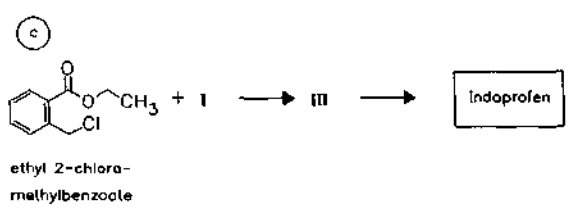
Indoprofen

ATC: M01AE10
Use: anti-inflammatory, analgesic

RN: 31842-01-0 MF: $C_{17}H_{15}NO_3$ MW: 281.31 EINECS: 250-833-0
LD_{50}: 700 mg/kg (M, p.o.);
84 mg/kg (R, p.o.)
CN: 4-(1,3-dihydro-1-oxo-2*H*-isoindol-2-yl)-α-methylbenzeneacetic acid







Reference(s):
DOS 2 154 525 (Carlo Erba; appl. 3.11.1971; I-prior. 5.11.1970, 10.11.1970).
US 3 767 805 (Ciba-Geigy; 23.10.1973; USA-prior. 27.3.1968, 3.9.1968, 13.1.1969, 18.3.1969, 18.7.1969, 8.9.1969, 3.2.1970).
DOS 2 034 240 (Ciba-Geigy; appl. 10.7.1970; USA-prior. 18.7.1969, 8.9.1969, 12.9.1969, 3.2.1970, 25.5.1970).
Nannini, G. et al.: Arzneim.-Forsch. (ARZNAD) **23**, 1090 (1973).

Formulation(s): tabl. 200 mg

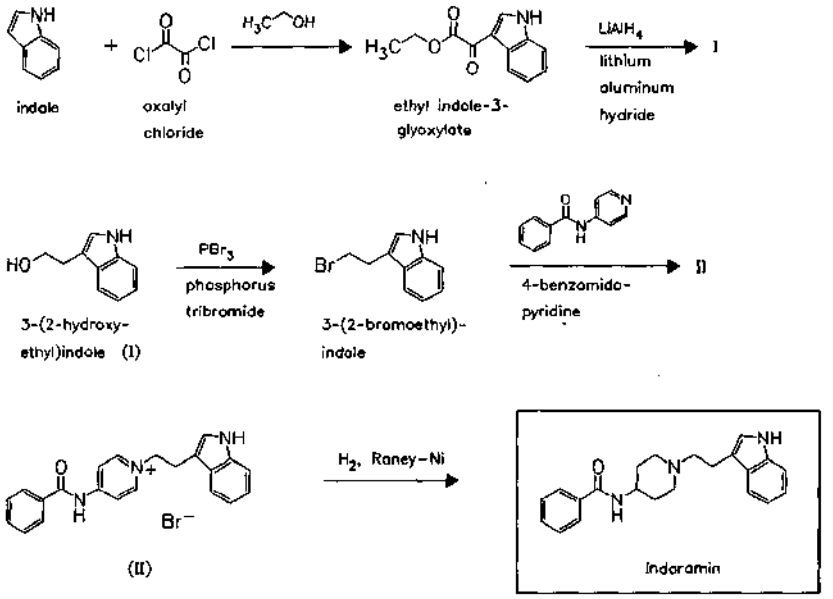
Trade Name(s):
J: Flosint (Carlo Erba)

Indoramin

ATC: C02CA02
Use: antihypertensive, α-adrenoceptor antagonist

RN: 26844-12-2 MF: $C_{22}H_{25}N_3O$ MW: 347.46 EINECS: 248-041-5
LD_{50}: 1800 mg/kg (R, p.o.)
CN: *N*-[1-[2-(1*H*-indol-3-yl)ethyl]-4-piperidinyl]benzamide

monohydrochloride
RN: 38821-52-2 MF: $C_{22}H_{25}N_3O \cdot HCl$ MW: 383.92 EINECS: 254-136-2



Reference(s):
Neumeyer, J.L. et al.: J. Med. Chem. (JMCMAR) **12**, 450 (1969).
DOS 1 770 460 (Wyeth; appl. 20.5.1968; GB-prior. 24.5.1967, 1.3.1968).

Formulation(s): tabl. 20 mg, 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

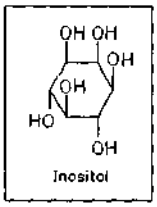
D:	Wydora (Brenner-Efeka)	GB:	Baratol (Monmouth)	I:	Indorena (Lusofarmaco);
F:	Vidora (Wyeth)		Doralese (Bencard)		wfm

Inositol

(Cyclohexitol; *meso*-Inositol; *myo*-Inositol)

ATC: A05
Use: liver therapeutic

RN: 87-89-8 MF: $C_6H_{12}O_6$ MW: 180.16 EINECS: 201-781-2
LD_{50}: 10 g/kg (M, p.o.);
>750 mg/kg (R, i.v.)
CN: *myo*-inositol



Inositol

Preparation by hydrolysis of phytin isolated from maize steep water [Ca- and Mg-salts of phytic acid (inositol hexa(dihydrogen phosphate))] with diluted sulfuric acid or with water under pressure.

Reference(s):
Bartow, E.B.; Walker, W.W.: Ind. Eng. Chem. (IECHAD) **30**, 300 (1938).
US 2 112 553 (E. B. Bartow, W. W. Walker; 1938; appl. 1935).
US 2 414 365 (American Cyanamid; 1947; appl. 1942).

synthesis from hexahydroxybenzene:
Wieland, H.; Wishart, R.S.: Ber. Dtsch. Chem. Ges. (BDCGAS) **47**, 2082 (1914).
Anderson, R.C.; Wallis, E.S.: J. Am. Chem. Soc. (JACSAT) **70**, 2931 (1948).

Formulation(s): drg. 5 mg, 50 mg

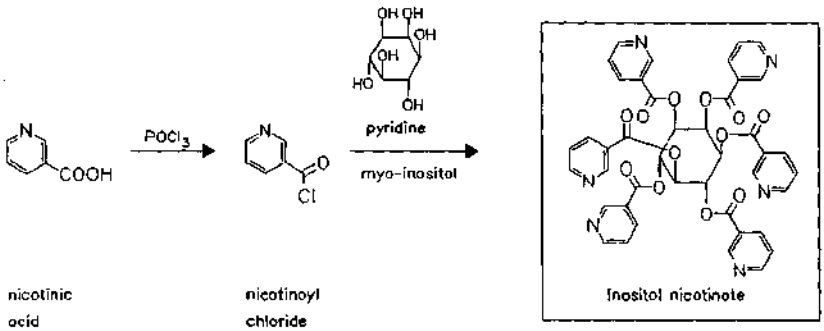
Trade Name(s):

D:	Geriatric Pharmaton (Pharmaton)-comb.	Enteroton (Panthox & Burck)-comb.	Lisacol Metionina (Lisapharma)-comb.; wfm
	Inosit-Zyma (Zyma); wfm	Equipar (Lampugnani)-comb.; wfm	Neoepa (Vis)-comb.; wfm
	various generics and 50 more combination preparations	Inobetin (Boniscontro & Gazzone)-comb.; wfm	Vitabil Composto (IBP)-comb.; wfm
I:	Colamin (UCM-Difme)	Inosital (Biomedica Foscama); wfm	USA: Amino-Cerv (Milex)-comb.
			Mega-B (Arco)-comb.
			Megadose (Arco)-comb.

Inositol nicotinate

ATC: C04AC03
Use: vasodilator

RN: 6556-11-2 MF: $C_{42}H_{30}N_6O_{12}$ MW: 810.73 EINECS: 229-485-9
LD_{50}: 345 mg/kg (M, i.v.); >30 g/kg (M, p.o.);
268 mg/kg (R, i.v.); >20 g/kg (R, p.o.)
CN: *myo*-inositol hexa-3-pyridinecarboxylate



nicotinic acid — nicotinoyl chloride — Inositol nicotinate

Reference(s):
Badgett; Woodward: J. Am. Chem. Soc. (JACSAT) **69**, 2907 (1947).
GB 1 053 689 (Bofors; appl. 19.11.1965; S-prior. 21.11.1964).

Formulation(s): chewing tabl. 600 mg; tabl. 200 mg, 500 mg, 600 mg, 750 mg, 800 mg

Trade Name(s):

D: Hämovannad (Bastian-Werk)
Hexanicit (Astra/Promed)
Nicolip (Hennig)
numerous combination preparations

F: Dilexpal (Winthrop); wfm
Tensid (Bayer-Pharma)-comb.; wfm

GB: Hexopal (Sanofi Winthrop)

I: Angiokapsul (Schering)-comb.; wfm
Esantene (Ibis); wfm
Vascunicol (Boehringer Ing.); wfm
Vasonicit (Ibis); wfm

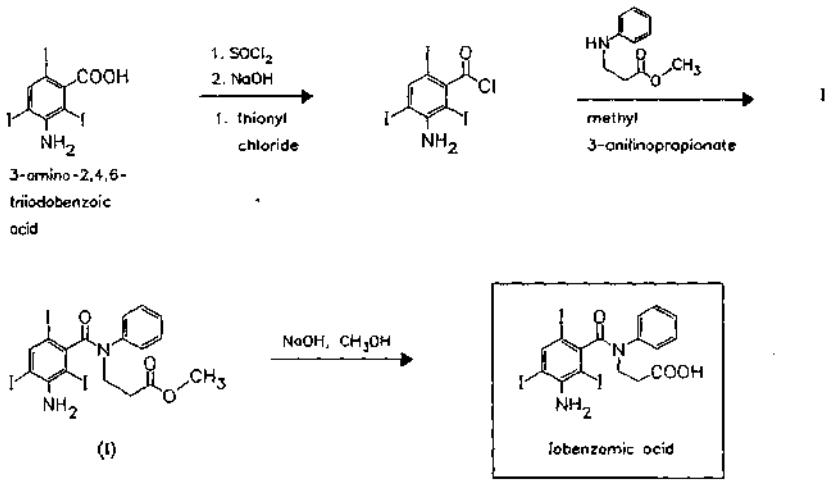
J: Clevamin (Kowa)
Cycnate (Toyo Pharmar)
Ebelin (Samva)
Hexalmin (Maruishi)
Hexainosineat (Hishiyama)
Hexanate (Nippon Chemiphar)
Hexanicit (Yoshitomi)
Hexate (Mohan)
Hexatin (Kobayashi)
Hexit (Toho)
Inochinate (Nichiiko)
Inosinit (Kanto)
Kotanicit (Kotani)
Mesonex (Tokyo Tanabe)
Mesosit (Toyo Jozo)
Nasky (Nikken)
Neonitin (Chugai)
Nicosamin (Toyama)
Nicosinate (Toyo S.-Ono)
Nicosinit (Hokuriku)
Nicotol (Maruko)
Nicoxatin (Fuso)
Romanit (Kowa)
Salex (Iwaki)
Sannecit (Sanko)
Secotinen (Seiko)
Shikicit (Shiki)
Xatolone (Showa)
Yonomol (Sawai)

Iobenzamic acid

(Acide iobenzamique)

ATC: V08AC05
Use: X-ray contrast medium

RN: 3115-05-7 MF: $C_{16}H_{13}I_3N_2O_3$ MW: 662.00 EINECS: 221-484-1
LD_{50}: 530 mg/kg (M, i.v.); 2870 mg/kg (M, p.o.);
500 mg/kg (R, i.v.); 2800 mg/kg (R, p.o.)
CN: *N*-(3-amino-2,4,6-triiodobenzoyl)-*N*-phenyl-β-alanine



Reference(s):
GB 870 321 (Österr. Stickstoffwerke; appl. 17.7.1959; A-prior. 23.7.1958, 2.8.1958).
DE 1 085 648 (Lentia; appl. 6.8.1958).
US 3 051 745 (Österr. Stickstoffwerke; 28.8.1962; A-prior. 23.7.1958).

Formulation(s): tabl. 750 mg

Trade Name(s):

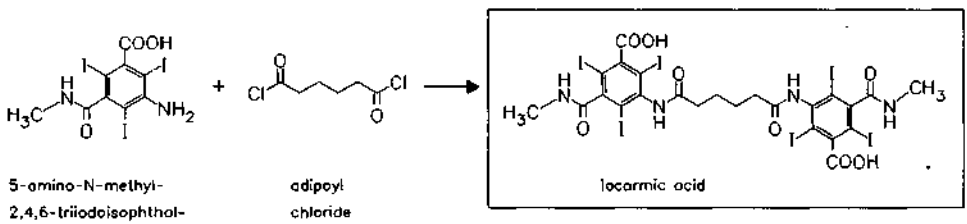
D:	Bilibyk (Byk Gulden); wfm Osbil (Byk Gulden); wfm	F:	Osbil (Biodica); wfm	I:	Bilibyk (Byk Gulden); wfm
		GB:	Osbil (May & Baker); wfm		

Iocarmic acid

ATC: V08AA08
Use: X-ray contrast medium

RN: 10397-75-8 MF: $C_{24}H_{20}I_6N_4O_8$ MW: 1253.87 EINECS: 233-861-8
LD_{50}: 9.057 g/kg (M, i.v.); >16 g/kg (M, p.o.);
13.3 g/kg (R, i.v.); >16 g/kg (R, p.o.)
CN: 3,3'-[(1,6-dioxo-1,6-hexanediyl)diimino]bis[2,4,6-triiodo-5-[(methylamino)carbonyl]benzoic acid]

meglumine salt (1:2)
RN: 54605-45-7 MF: $C_{24}H_{20}I_6N_4O_8 \cdot 2C_7H_{17}NO_5$ MW: 1644.30 EINECS: 259-252-7
LD_{50}: 10.9 mg/kg (M, i.v.); >16 g/kg (M, p.o.);
13.3 mg/kg (R, i.v.); >16 g/kg (R, p.o.)



Reference(s):
US 3 290 366 (Mallinckrodt; 6.12.1966; appl. 6.3.1963).
GB 1 033 695 (Mallinckrodt; appl. 25.2.1964; USA-prior. 6.3.1963).

Formulation(s): amp. 3.02 g; inj. 60 % (as meglumine salt)

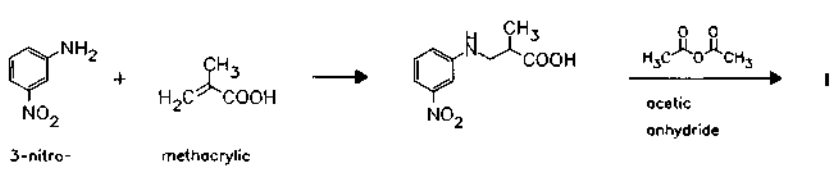
Trade Name(s):

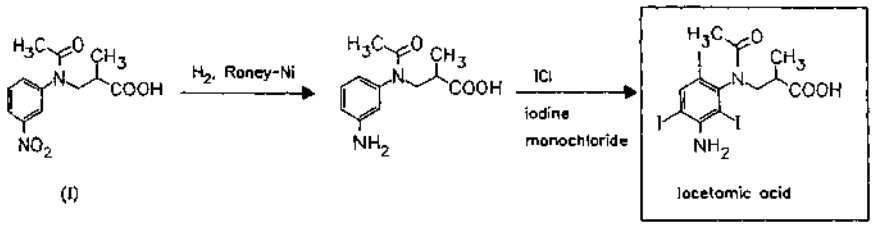
D:	Dimer-X (Byk Gulden); wfm	GB:	Dimer X (May & Baker); wfm
F:	Dimer-X (Guerbet); wfm	I:	Osbil (Byk Gulden); wfm

Iocetamic acid
(Acide iocétamique)

ATC: V08AC07
Use: X-ray contrast medium

RN: 16034-77-8 MF: $C_{12}H_{13}I_3N_2O_3$ MW: 613.96 EINECS: 240-173-1
LD_{50}: 410 mg/kg (M, i.v.);
700 mg/kg (R, i.v.); 7100 mg/kg (R, p.o.)
CN: 3-[acetyl(3-amino-2,4,6-triiodophenyl)amino]-2-methylpropanoic acid





Reference(s):
FR 5997 M (Dagra; appl. 23.11.1966; prior. 25.11.1965, 26.5.1966).

Formulation(s): tabl. 500 mg, 750 mg

Trade Name(s):

D:	Cholebrine (Mundipharma); wfm	F:	Cholébrine (Schering); wfm	USA:	Cholebrine (Mallinckrodt); wfm
		I:	Colebrin (Schering); wfm		

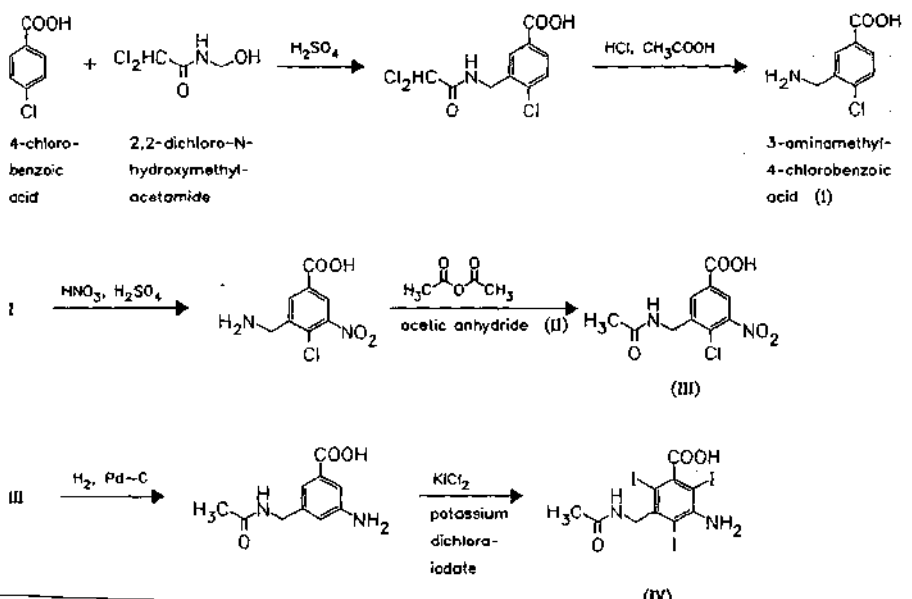
Iodamide

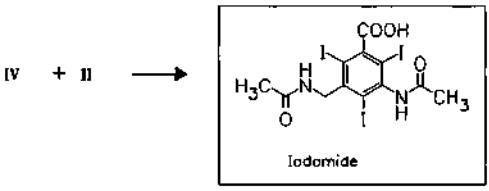
(Jodamid)

ATC: V08AA03
Use: X-ray contrast medium

RN: 440-58-4 MF: $C_{12}H_{11}I_3N_2O_4$ MW: 627.94 EINECS: 207-125-1
LD_{50}: >7 g/kg (M, p.o.);
>7 g/kg (R, p.o.)
CN: 3-(acetylamino)-5-[(acetylamino)methyl]-2,4,6-triiodobenzoic acid

meglumine salt
RN: 18656-21-8 MF: $C_{12}H_{11}I_3N_2O_4 \cdot C_7H_{17}NO_5$ MW: 823.16 EINECS: 242-480-6





Reference(s):
US 3 360 436 (Eprova; appl. 12.11.1963; CH-prior. 23.11.1962, 9.8.1963).
GB 1 002 344 (Eprova; appl. 3.10.1963; CH-prior. 23.11.1962, 9.8.1963).
DE 1 273 747 (Eprova; appl. 24.9.1963; CH-prior. 23.11.1962).

Formulation(s): amp. 300 mg, 380 mg, 420 mg (as meglumine salt)

Trade Name(s):

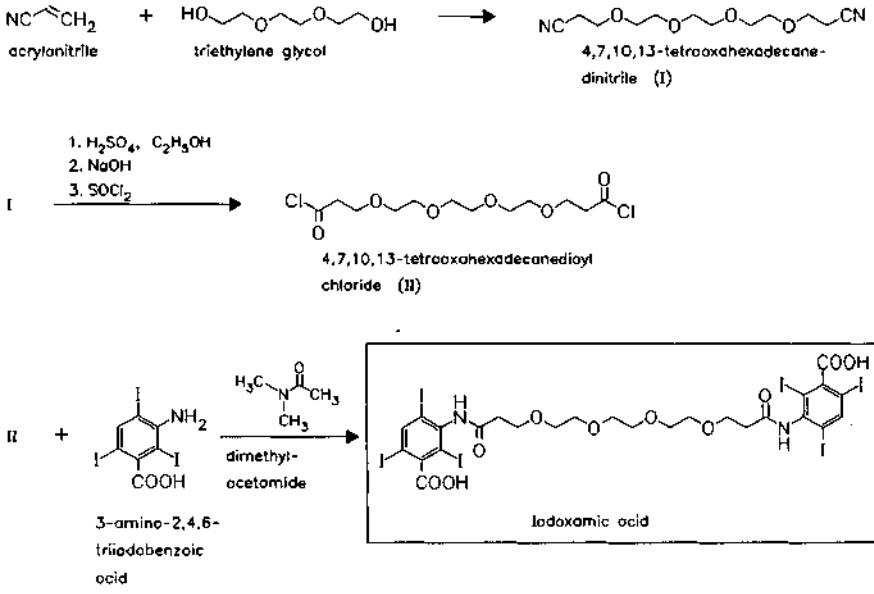
D:	Uromiro (Heyden); wfm	Opacist E.R. (Bracco)	J:	Conraxin (Takeda)
I:	Isteropac E.R. (Bracco)	Uromiro (Bracco)		

Iodoxamic acid

ATC: V08AC01
Use: X-ray contrast medium (cholangiography)

RN: 31127-82-9 MF: $C_{26}H_{26}I_6N_2O_{10}$ MW: 1287.92 EINECS: 250-478-1
LD_{50}: 13.65 g/kg (M, i.v.)
CN: 3,3'-[(1,16-dioxo-4,7,10,13-tetraoxahexadecane-1,16-diyl)diimino]bis[2,4,6-triiodobenzoic acid]

meglumine salt (1:2)
RN: 51764-33-1 MF: $C_{26}H_{26}I_6N_2O_{10} \cdot 2C_7H_{17}NO_5$ MW: 1678.35 EINECS: 257-398-6



Reference(s):
DE 1 937 211 (Bracco; appl. 22.7.1969).

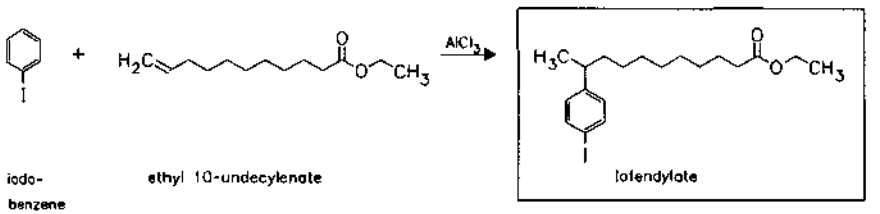
Formulation(s): amp. 3.66 g, 5.49 g, 8.06 g, 9.91 g, 12.09 g (as meglumine salt)

Trade Name(s):
D: Endomirabil (Byk Gulden); wfm
I: Endobil (Bracco)
J: Cholegrafin (Takeda)

Iofendylate
(Iophendylate)

ATC: V08AD04
Use: X-ray contrast medium (myelography)

RN: 99-79-6 MF: $C_{19}H_{29}IO_2$ MW: 416.34 EINECS: 202-787-8
LD_{50}: 2100 mg/kg (R, p.o.)
CN: 4-iodo-ι-methylbenzenedecanoic acid ethyl ester



Reference(s):
US 2 348 231 (Eastman Kodak; 1944; appl. 1940).

Formulation(s): inj. sol.

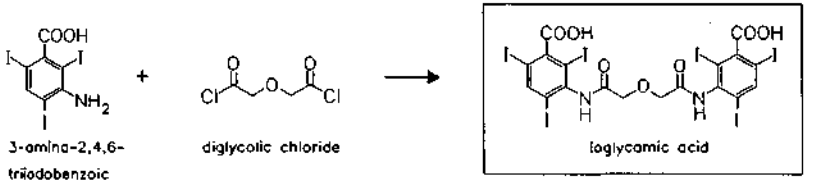
Trade Name(s):
GB: Ethiodan (Allen & Hanburys); wfm
USA: Pantopaque (Lafayette); wfm

Ioglycamic acid
(Acide ioglycamique)

ATC: V08AC03
Use: X-ray contrast medium

RN: 2618-25-9 MF: $C_{18}H_{10}I_6N_2O_7$ MW: 1127.71 EINECS: 220-048-8
CN: 3,3'-[oxybis[(1-oxo-2,1-ethanediyl)imino]]bis[2,4,6-triodobenzoic acid]

meglumine salt (1:2)
RN: 14317-18-1 MF: $C_{18}H_{10}I_6N_2O_7 \cdot 2C_7H_{17}NO_5$ MW: 1518.14



Reference(s):
US 2 776 241 (Schering AG; 1957; D-prior. 1952).
US 2 853 424 (Schering AG; 1958; D-prior. 1952).
DE 936 928 (Schering AG; appl. 1952).
DE 962 698 (Schering AG; appl. 1952).
DE 962 699 (Schering AG; appl. 1953).
DE 1 006 428 (Schering AG; appl. 1955).

Formulation(s): amp. 0.17 g/ml (as meglumine salt)

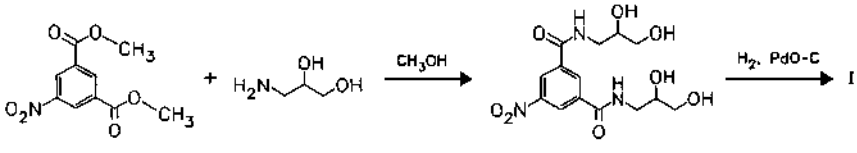
Trade Name(s):

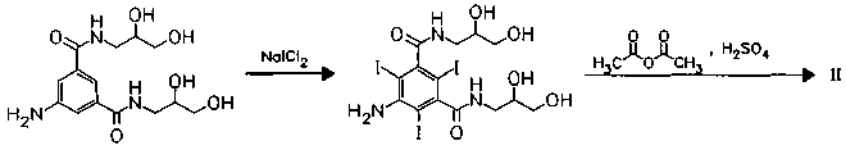
D:	Biligram (Schering); wfm Bilivistan (Schering); wfm	GB:	Biligram (Schering Chemicals); wfm		Bilivison (Schering); wfm Bilivistan (Schering); wfm
F:	Biligram (Schering); wfm	I:	Biligram (Schering); wfm		

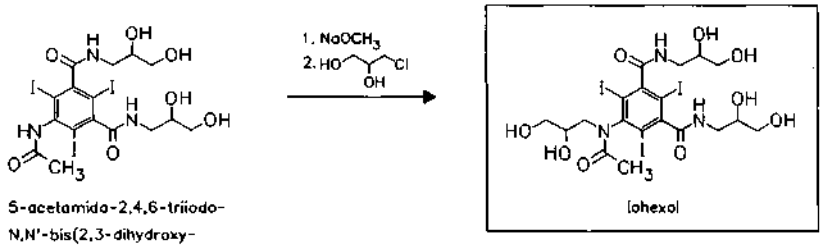
Iohexol

ATC: V08AB02
Use: X-ray contrast medium

RN: 66108-95-0 MF: $C_{19}H_{26}I_3N_3O_9$ MW: 821.14 EINECS: 266-164-2
LD_{50}: 50 g/kg (M, i.v.); >20 g/kg (M, p.o.);
25.235 g/kg (R, i.v.); >20 g/kg (R, p.o.);
>20 g/kg (dog, i.v.)
CN: 5-[acetyl(2,3-dihydroxypropyl)amino]-*N*,*N*'-bis(2,3-dihydroxypropyl)-2,4,6-triiodo-1,3-benzenedicarboxamide







Reference(s):
DE 2 726 196 (Nyegaard; appl. 10.6.1977; GB-prior. 11.6.1976).
US 4 250 113 (Nyegaard; 10.2.1981; GB-prior. 11.6.1976).

Formulation(s): amp. 240 mg, 300 mg, 350 mg

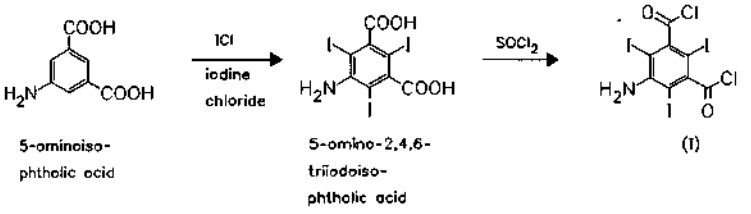
Trade Name(s):

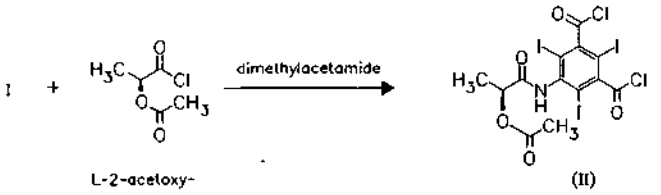
D:	Accupaque (Nycomed) Omnipaque (Schering; 1983)	F:	Omnipaque (Nycomed; 1986)	J:	Lumopaque (Winthrop) Omnipaque (Daiichi)
		I:	Omnipaque (Schering; 1985)	USA:	Omnipaque (Winthrop-Breon); wfm

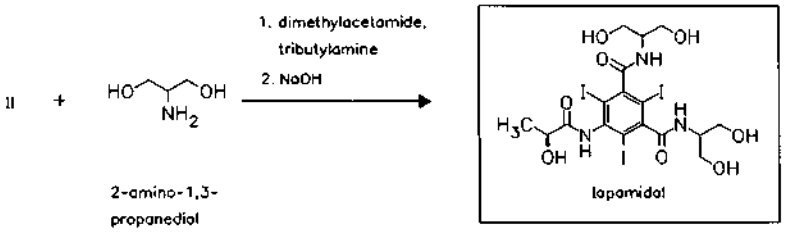
Iopamidol

ATC: V08AB04
Use: X-ray contrast medium

RN: 60166-93-0 MF: $C_{17}H_{22}I_3N_3O_8$ MW: 777.09 EINECS: 262-093-6
LD_{50}: 33 g/kg (M, i.v.); >49 g/kg (M, p.o.);
22.044 g/kg (R, i.v.);
35 g/kg (dog, i.v.)
CN: (*S*)-*N*,*N*'-bis[2-hydroxy-1-(hydroxymethyl)ethyl]-5-[(2-hydroxy-1-oxopropyl)amino]-2,4,6-triiodo-1,3-benzenedicarboxamide







Reference(s):
DE 2 547 789 (Savac; appl. 24.10.1975; CH-prior. 13.12.1974).
US 4 001 323 (Savac; 4.1.1977; CH-prior. 13.12.1974).

Formulation(s): amp. 200 mg, 300 mg, 370 mg

Trade Name(s):

D:	Solutrast (Byk Gulden; 1981)	GB:	Niopam (Merck; 1982); wfm	J:	Iopamiron (Nippon Schering)
F:	Iopamiron (Schering; 1982)	I:	Giastromiro (Bracco) Iopamiro (Bracco)	USA:	Isovue (Squibb); wfm

Iopanoic acid

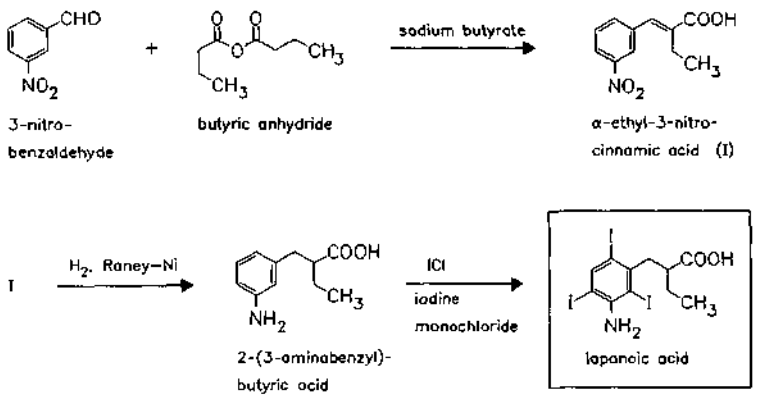
(Acidum iopanoicum)

ATC: V08AC06
Use: X-ray contrast medium

RN: 96-83-3 MF: $C_{11}H_{12}I_3NO_2$ MW: 570.93 EINECS: 202-539-9
LD_{50}: 320 mg/kg (M, i.v.); 6600 mg/kg (M, p.o.);
280 mg/kg (R, i.v.); 1540 mg/kg (R, p.o.)
CN: 3-amino-α-ethyl-2,4,6-triiodobenzenepropanoic acid

monosodium salt

RN: 2497-78-1 MF: $C_{11}H_{11}I_3NNaO_2$ MW: 592.92 EINECS: 219-683-3
LD_{50}: 296 mg/kg (M, i.v.); 1602 mg/kg (M, p.o.);
332 mg/kg (R, i.v.); 2986 mg/kg (R, p.o.)



Reference(s):
US 2 705 726 (Sterling Drug; 1955; prior. 1949).

Formulation(s): cps. 500 mg (as sodium salt); powder 375 mg/g (as calcium salt)

Trade Name(s):

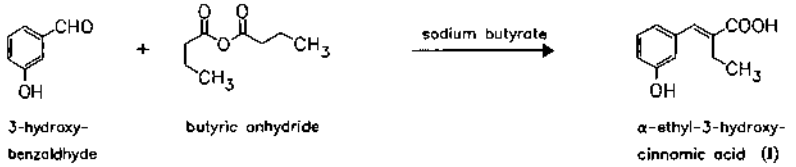
D:	Telepaque (Winthrop); wfm	I:	Cistobil (Bracco)		Molpaque (Tokyo Tanabe)
F:	Télépaque (Winthrop); wfm		Telepaque (Winthrop); wfm		Telepaque (Kodama)
GB:	Telepaque (Winthrop); wfm	J:	Ace-Line (Maruishi)	USA:	Telepaque (Winthrop); wfm
			Leabar (Toyo S.-Ono)		

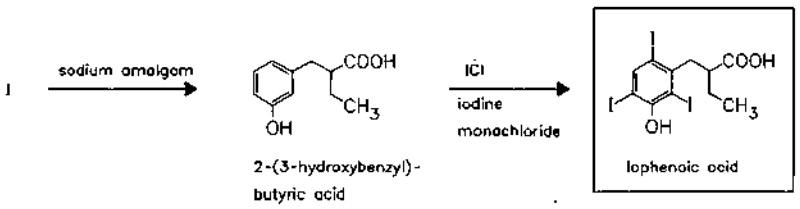
Iophenoic acid

(Acidum iophenoicum; Iophenoxic acid)

ATC: V08AD
Use: X-ray contrast medium

RN: 96-84-4 MF: $C_{11}H_{11}I_3O_3$ MW: 571.92
LD_{50}: 374 mg/kg (M, i.v.); 1850 mg/kg (M, p.o.);
2 g/kg (R, p.o.);
203 mg/kg (dog, i.v.)
CN: α-ethyl-3-hydroxy-2,4,6-triiodobenzenepropanoic acid





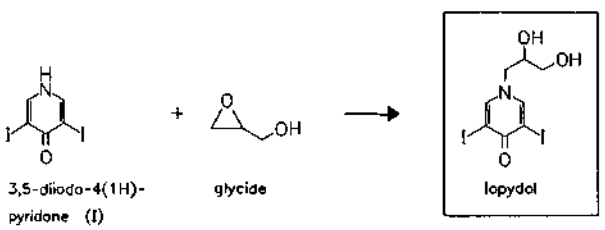
Reference(s):
US 2 931 830 (Sterling Drug; 5.4.1960; appl. 20.3.1952).
GB 726 987 (Sterling Drug; appl. 1953; USA-prior. 1952).

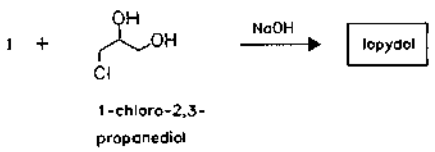
Trade Name(s):
USA: Teridax (Schering); wfm

Iopydol

ATC: V08AD02
Use: X-ray contrast medium

RN: 5579-92-0 MF: $C_8H_9I_2NO_3$ MW: 420.97 EINECS: 226-968-6
CN: 1-(2,3-dihydroxypropyl)-3,5-diiodo-4(1*H*)-pyridinone





Reference(s):
DRP 579 224 (I. G. Farben; appl. 1930).

Formulation(s): vial 20 mg 3,5-diiodo-4(1*H*)-pyridone/ml in comb. with iopydol

Trade Name(s):

D:	Hydrast (Byk Gulden); wfm	I:	Hytrast (Byk Gulden)-comb. with iopydone; wfm	J:	Hydrast (Guerbet-Kodama)-comb. with iopydone
F:	Hydrast (Guerbet)-comb. with iopydone; wfm				

Iotalamic acid

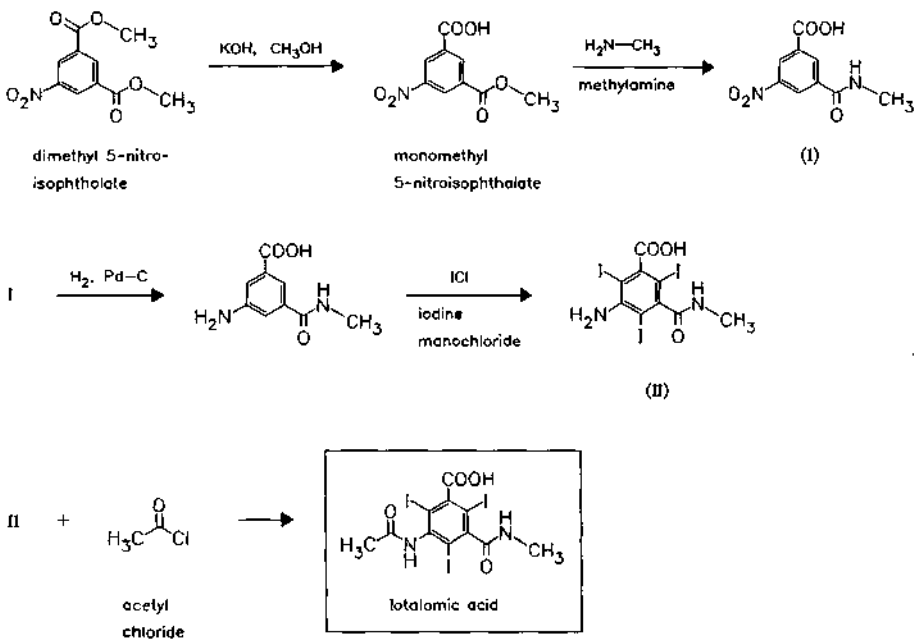
(Iothalamic acid; Acide iotalamique)

ATC: V08AA04
Use: X-ray contrast medium

RN: 2276-90-6 MF: $C_{11}H_9I_3N_2O_4$ MW: 613.92 EINECS: 218-897-4
CN: 3-(acetylamino)-2,4,6-triiodo-5-[(methylamino)carbonyl]benzoic acid

monosodium salt
RN: 1225-20-3 MF: $C_{11}H_8I_3N_2NaO_4$ MW: 635.90 EINECS: 214-955-8
LD_{50}: 19.2 g/kg (M, i.v.)

meglumine salt
RN: 13087-53-1 MF: $C_{11}H_9I_3N_2O_4 \cdot C_7H_{17}NO_5$ MW: 809.13 EINECS: 235-998-9
LD_{50}: 8.1 g/kg (M, i.v.);
10.5 g/kg (R, i.v.)



Reference(s):
Hoey, G.B. et al.: J. Med. Chem. (JMCMAR) **6**, 24 (1963).
US 3 145 197 (Mallinckrodt; 18.8.1964; appl. 26.6.1961; prior. 25.8.1960).
GB 994 215 (Mallinckrodt; appl. 18.8.1961; USA-prior. 25.8.1960).

Formulation(s): sol. 17 %, 24 %, 36 %, 43 %, 60 %, 66.8 %, 80 % (as meglumine salt)

Trade Name(s):

D:	Conray (Byk Gulden); wfm	I:	Angio-Conray 80 (Bracco)		Conray (Mallinckrodt); wfm
F:	Contrix 28 (Guerbet); wfm		Conray (Bracco)		
GB:	Conray (May & Baker); wfm	J:	Angio-Conray (Daiichi)		Cysto-Conray (Mallinckrodt); wfm
	Gastro-Conray (May & Baker); wfm		Conray (Daiichi)		Vascoray (Mallinckrodt); wfm
		USA:	Angio-Conray (Mallinckrodt); wfm		

Iotrolan

(DL-3117; Iotrol)

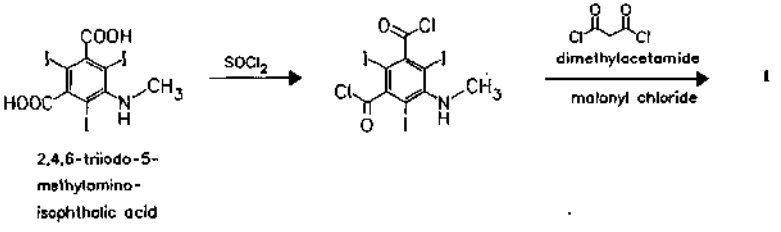
ATC: V08AB06

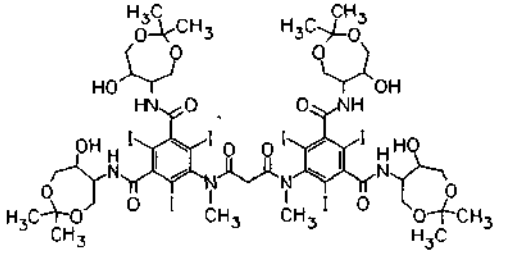
Use: X-ray contrast medium (water soluble, non-ionic, for myelography and contrast enhancement in CT)

RN: 79770-24-4 MF: $C_{37}H_{48}I_6N_6O_{18}$ MW: 1626.24

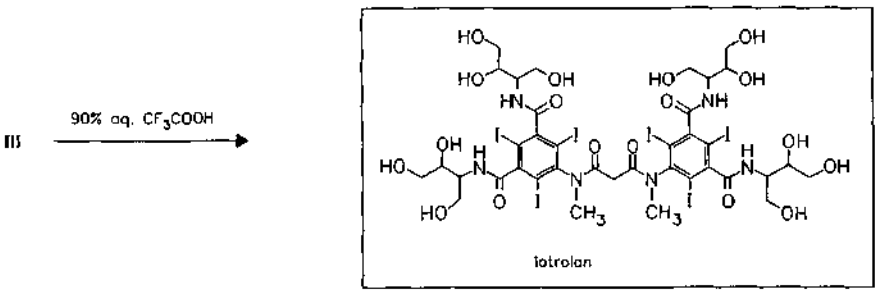
LD_{50}: > 26 g/kg (M, i.v.);
12.7 g/kg (R, i.v.)

CN: 5,5'-[1,3-dioxo-1,3-propanediylbis(methylimino)]bis[*N*,*N'*-bis[2,3-dihydroxy-1-(hydroxymethyl)propyl]-2,4,6-triiodo-1,3-benzenedicarbamide]

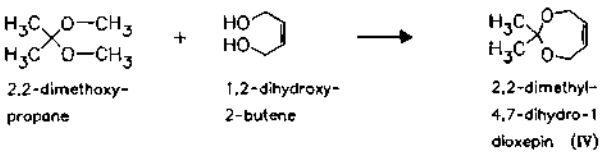


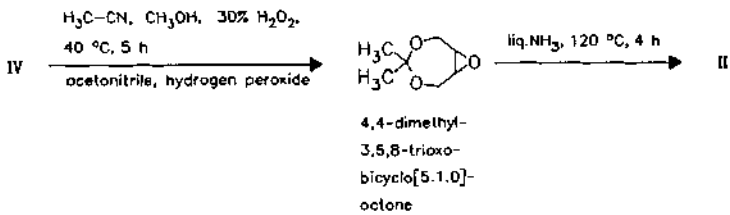


(III)



preparation of II:





The epoxidation step can also be performed with *m*-chloroperoxybenzoic acid in CH_2Cl_2.

Reference(s):
US 4 341 756 (The Regents of the Univ. of Calif.; 27.7.1982; prior. 31.1.1980, 17.4.1980).
EP 33 426 (The Regents of the Univ. of Calif.; appl. 30.12.1980; USA-prior. 31.1.1980, 17.4.1980).

preparation of trans-2,2-dimethyl-5-amino-6-hydroxy-1,3-dioxepane:
US 4 439 613 (The Regents of the Univ. of Calif.; 27.5.1984; prior. 31.1.1980, 17.4.1980, 5.4.1982).

review:
Dawson, P.; Howell, M.: Br. J. Radiol. (BJRAAP) **59**, 987 (1986).

Formulation(s): vial 10 ml and 20 ml (513 mg/ml), 10 ml (641 mg/ml)

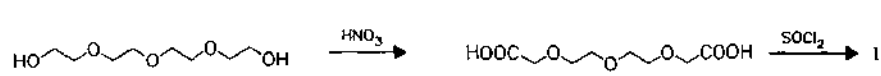
Trade Name(s):

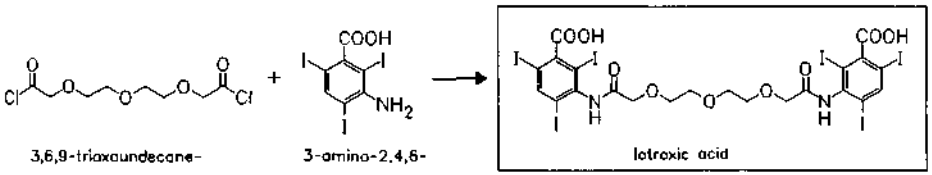
D:	Isovist (Schering; 1988)	J:	Isovist (Nihon Schering; 1987)
I:	Isovist (Schering)		

Iotroxic acid

ATC: V08AC02
Use: X-ray contrast medium

RN: 51022-74-3 MF: $C_{22}H_{18}I_6N_2O_9$ MW: 1215.82 EINECS: 256-917-3
LD_{50}: 2820 mg/kg (M, i.v.); >9 g/kg (M, p.o.);
4190 mg/kg (R, i.v.); >9 g/kg (R, p.o.)
CN: 3,3'-[oxybis[2,1-ethanediyloxy(1-oxo-2,1-ethanediyl)imino]]bis[2,4,6-triiodobenzoic acid]





3,6,9-trioxaundecane-dioyl chloride (I)

3-amino-2,4,6-triiodobenzoic acid

Iotroxic acid

Reference(s):
DAS 2 405 652 (Schering AG; appl. 4.2.1974).

Formulation(s): vial 10.5 g/100 ml, 11.4 g/50 ml

Trade Name(s):

D:	Biliscopin (Schering)	F:	Biliscopine (Schering); wfm	I:	Chologram (Schering)
				J:	Biliscopin (Schering)

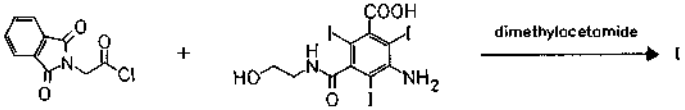
Ioxaglic acid

(Acide ioxaglique)

ATC: V08AB03
Use: X-ray contrast medium

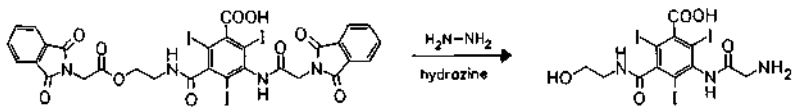
RN: 59017-64-0 MF: $C_{24}H_{21}I_6N_5O_8$ MW: 1268.88 EINECS: 261-560-1
LD_{50}: >13.3 g/kg (M, i.v.);
13.3 g/kg (R, i.v.); 13.3 g/kg (R, p.o.);
>10.7 g/kg (dog, i.v.)
CN: 3-[[[[3-(acetylmethylamino)-2,4,6-triiodo-5-[(methylamino)carbonyl]benzoyl]amino]acetyl]amino]-5-[[(2-hydroxyethyl)amino]carbonyl]-2,4,6-triiodobenzoic acid

monosodium salt
RN: 67992-58-9 MF: $C_{24}H_{20}I_6N_5NaO_8$ MW: 1290.87 EINECS: 268-060-2
meglumine salt
RN: 59018-13-2 MF: $C_{24}H_{21}I_6N_5O_8 \cdot C_7H_{17}NO_5$ MW: 1464.10 EINECS: 261-561-7



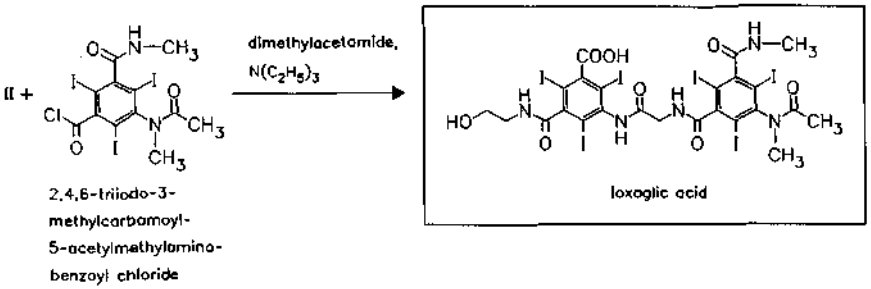
N-phthaloyl-glycyl chloride

2,4,6-triiodo-3-(2-hydroxyethylcarbamoyl)-5-aminobenzoic acid (cf. ioxitalamic acid synthesis)



(I)

2,4,6-triiodo-3-(2-hydroxy-ethylcarbamoyl)-5-amino-acetamidobenzoic acid (II)



Reference(s):
US 4 014 986 (Guerbet; 29.3.1977; appl. 20.5.1975; GB-prior. 31.7.1974).
DE 2 523 567 (Guerbet; appl. 28.5.1975; GB-prior. 31.5.1974, 31.7.1974).
US 4 055 188 (Guerbet; 25.1.1977; GB-prior. 31.5.1974).

Formulation(s): amp. 393 mg/ml (as sodium salt)

Trade Name(s):

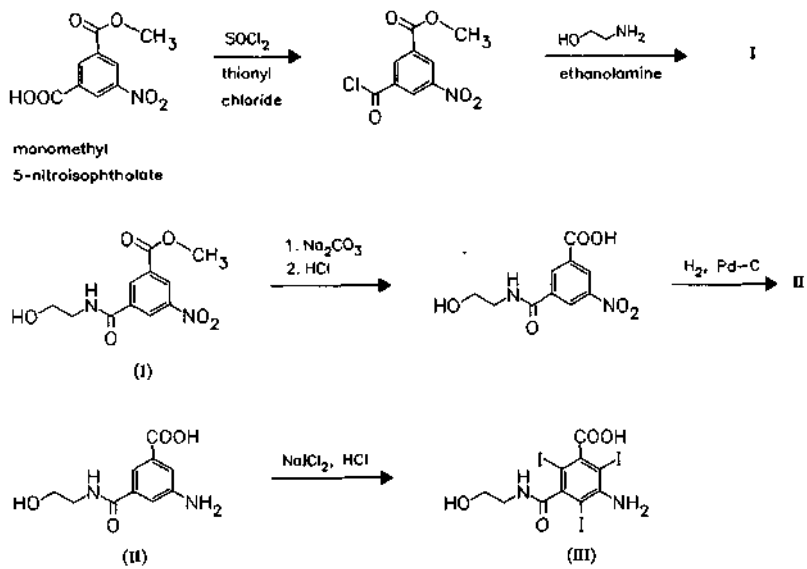
D:	Hexabrix (Guerbet; 1979)	I:	Hexabrix (Byk Gulden)
F:	Hexabrix (Guerbet; 1980)	J:	Hexabrix (Eiken)

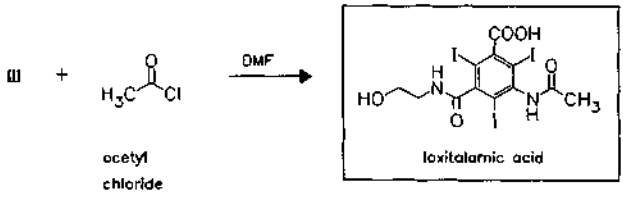
Ioxitalamic acid

(Acide ioxitalamique)

ATC: V08AA05
Use: X-ray contrast medium

RN: 28179-44-4 MF: $C_{12}H_{11}I_3N_2O_5$ MW: 643.94 EINECS: 248-887-5
CN: 3-(acetylamino)-5-[[(2-hydroxyethyl)amino]carbonyl]-2,4,6-triiodobenzoic acid





Reference(s):
DOS 1 928 838 (Nyegaard; appl. 6.6.1969; GB-prior. 10.6.1968).

Formulation(s): amp. 397.2 mg, 660.3 mg/ml (as meglumine salt)

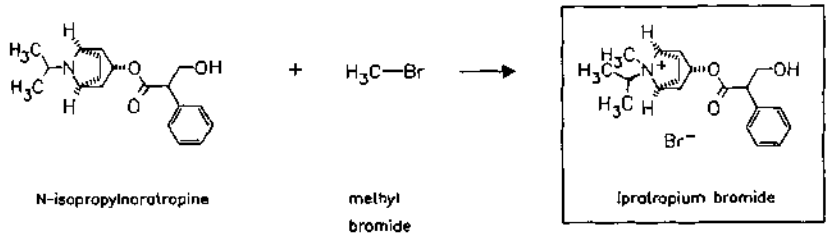
Trade Name(s):

D:	Telebrix (Byk Gulden)		Télébrix (Guerbet)-comb.
F:	Télébrix (Guerbet)	I:	Telebrix (Byk Gulden)

Ipratropium bromide

ATC: R01AX03; R03BB01
Use: bronchodilator

RN: 22254-24-6 MF: $C_{20}H_{30}BrNO_3$ MW: 412.37 EINECS: 244-873-8
LD_{50}: 12.29 mg/kg (M, i.v.); 1001 mg/kg (M, p.o.);
15.7 mg/kg (R, i.v.); 1663 mg/kg (R, p.o.);
1300 mg/kg (dog, p.o.)
CN: (*endo,syn*)-(±)-3-(3-hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-(1-methylethyl)-8-azoniabicyclo[3.2.1]octane bromide



Reference(s):
DE 1 670 177 (Boehringer Ing.; prior. 28.12.1966).
US 3 505 337 (Boehringer Ing.; 7.4.1970; D-prior. 22.12.1967).

inhalation spray, also in combination with mucolytica and/or sympathomimetic effective bronchodilators:
US 3 681 500 (Boehringer Ing.; 1.8.1972; D-prior. 12.12.1969).

Formulation(s): aerosol inhalation 20 µg/metered inhalation, 40 µg/metered inhalation; inhalation sol. 250 µg/ml; inhalation cps. 20 µg, 40 µg; doses aerosol 0.25 mg, 0.4 mg; doses aerosol susp. 0.02 mg; sol. 0.02 mg, 0.25 mg/2 ml, 0.5 mg/2 ml

Trade Name(s):

D:	Atrovent (Boehringer Ing.; 1975)		Bronchodual (Boehringer Ing.)-comb.		numerous combination preparations
	Berodual (Boehringer Ing.; 1980)-comb.		Combivent (Boehringer Ing.)-comb.	I:	Atem (Chiesi)
	Itrop (Boehringer Ing.)	GB:	Atrovent (Boehringer Ing.)		Breva (Valeas)-comb.
F:	Atrovent (Boehringer Ing.)		Duovent (Boehringer Ing.)-comb.		Duovent (Boehringer Ing.)-comb.
					Iprafen (Chiesi)-comb.

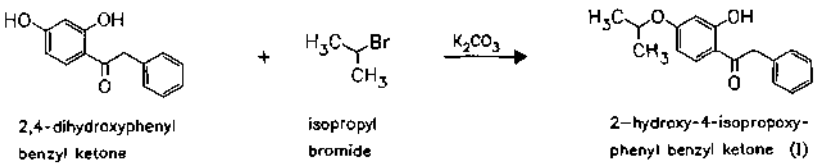
J: Atrovent (Tejim Phar.) USA: Atrovent (Boehringer Ing.; 1987) Combivent (Boehringer Ing.)

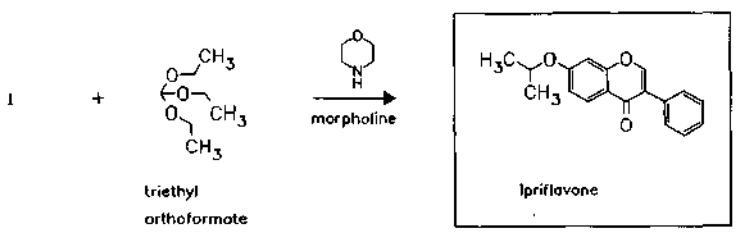
Ipriflavone

(FL-113; TC-80)

ATC: M05BX01
Use: calcium regulator (for treatment of osteoporosis)

RN: 35212-22-7 MF: $C_{18}H_{16}O_3$ MW: 280.32
LD_{50}: >2.5 g/kg (M, i.p.); 3185 mg/kg (M, p.o.); >5 g/kg (M, s.c.); >2.5 g/kg (R, i.p.); 2.5 g/kg (R, p.o.); >5 g/kg (R, s.c.)
CN: 7-(1-methylethoxy)-3-phenyl-4*H*-1-benzopyran-4-one





Reference(s):
US 4 166 862 (Chinoin; 4.9.1979; appl. 25.5.1971; prior. 16.5.1974; H-prior. 27.5.1970).
DE 2 125 245 (Chinoin; appl. 21.5.1971; H-prior. 27.5.1970).
Szuk, G. et al.: Magy. Kem. Lapja (MGKLAL) **43**, 401, (1988) (CA **110**, 179494 d).

synthesis of I:
GB 1 374 925 (Chinoin; appl. 30.11.1972; H-prior. 2.12.1971).

pharmaceutical formulations:
JP 53 133 635 (Chinoin; appl. 20.4.1978; H-prior. 20.4.1978).
EP 129 893 (Takeda; appl. 23.6.1984; J-prior. 28.6.1983).
US 4 772 627 (Takeda; 20.9.1988; appl. 15.1.1987; J-prior. 8.6.1984, 23.6.1984, 28.6.1983).

cyclodextrin clathrates:
EP 214 647 (Chinoin; appl. 9.9.1986; J-prior. 10.9.1985).

medical use for treatment of heart and lung diseases:
JP 53 133 635 (Chinoin; appl. 20.4.1978; H-prior. 20.4.1977).

medical use for treatment of climacteric disorders:
EP 129 667 (Takeda; appl. 25.4.1984; J-prior. 26.4.1983).

dental compositions:
EP 349 535 (Reanal Finomvegyszergyar; appl. 29.1.1988; H-prior. 3.2.1987).

Formulation(s): tabl. 200 mg

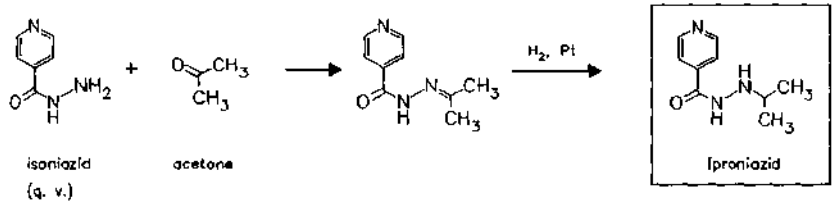
Trade Name(s):
I: Iprosten (Takeda; 1991) Osteofix (Chiesi; 1991) J: Osten (Takeda; 1989)

Iproniazid

ATC: N06AF045
Use: tuberculostatic, psychoenergetic, antidepressant

RN: 54-92-2 MF: $C_9H_{13}N_3O$ MW: 179.22 EINECS: 200-218-8
LD_{50}: 719 mg/kg (M, i.v.); 681 mg/kg (M, p.o.);
365 mg/kg (R, p.o.);
95 mg/kg (dog, p.o.)
CN: 4-pyridinecarboxylic acid 2-(1-methylethyl)hydrazide

phosphate
RN: 305-33-9 MF: $C_9H_{13}N_3O \cdot H_3PO_4$ MW: 277.22



Reference(s):
US 2 685 585 (Hoffmann-La Roche; 1954; prior. 1951).

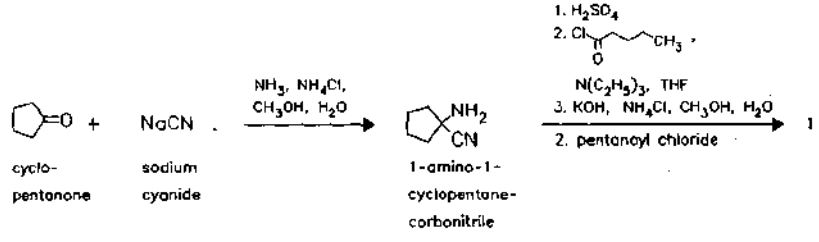
Formulation(s): tabl. 50 mg (as phosphate)

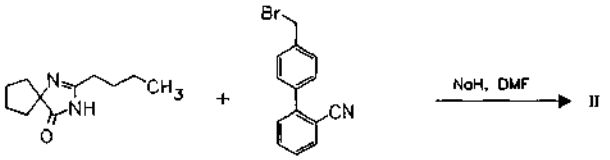
Trade Name(s):
F: Marsilid (Laphal)
GB: Marsilid (Roche); wfm
I: Ellepibina (LPB)-comb.; wfm

Irbesartan
(BMS-186295; SR-47436)

ATC: C09CA04
Use: antihypertensive, angiotensin II antagonist

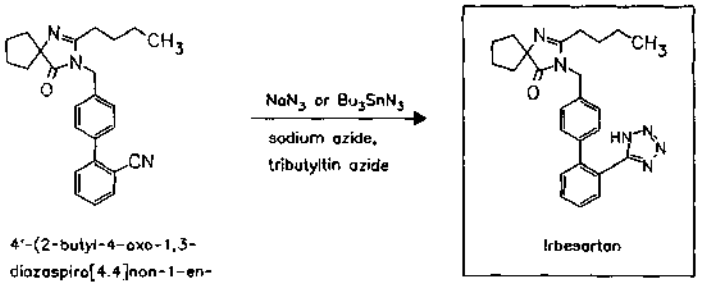
RN: 138402-11-6 MF: $C_{25}H_{28}N_6O$ MW: 428.54
CN: 2-butyl-3-[[2'-(1*H*-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-1,3-diazaspiro[4.4]non-1-en-4-one





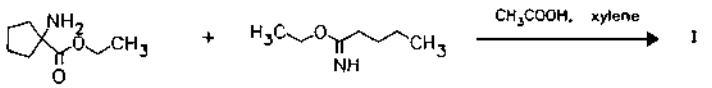
2-butyl-1,3-diaza-spiro[4.4]non-1-en-4-one (I)

4'-(bromomethyl)-biphenyl-2-carbo-nitrile (cf. losartan synthesis)



4'-(2-butyl-4-oxo-1,3-diazaspiro[4.4]non-1-en-3-ylmethyl)biphenyl-2-carbonitrile (II)

alternative synthesis of intermediate I:



ethyl 1-amino-1-cyclopentane-carboxylate

ethyl pentan-imidate

Reference(s):
US 5 270 317 (Elf Sanofi; 14.12.1993; F-prior. 20.3.1990, 1.9.1990, 10.9.1991).
Bernhart, C.A. et al.: J. Med. Chem. (JMCMAR) **36**, 3371-3380 (1993).
EP 454 511 (Sanofi; appl. 20.3.1991; F-prior. 20.3.1990, 8.8.1990).

Formulation(s): tabl. 75 mg, 150 mg, 300 mg

Trade Name(s):

D:	Aprovel (Sanofi Winthrop; 1997)		KARVEZIDETM (BMS)-comb.	USA:	Avapro (BMS)-comb.
	COAPROVEL (Sanofi Synthelabo; BMS)-comb.	F:	Aprovel (Bristol-Myers Squibb)		Avalide (Sanofi Synthelabo)
	Karvea (Bristol-Myers Squibb)	GB:	Aprovel (Bristol-Myers Squibb/Sanofi)		

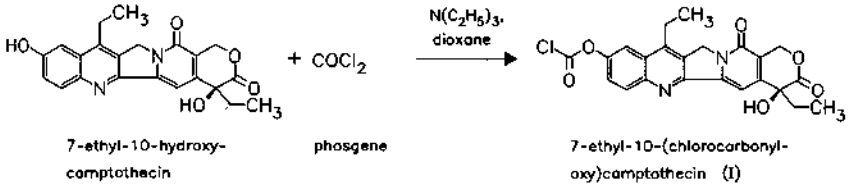
Irinotecan

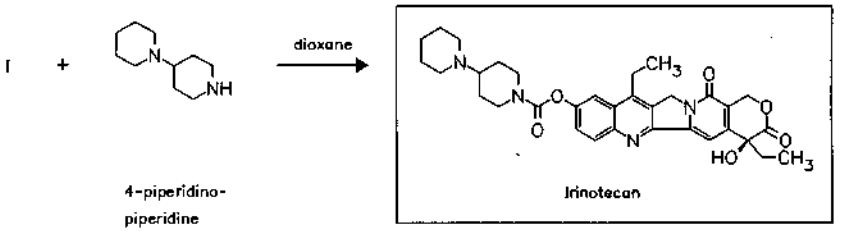
(CPT-11; DQ-2805; NSC-616348)

ATC: L01XX19
Use: antineoplastic, topoisomerase inhibitor

RN: 97682-44-5 MF: $C_{33}H_{38}N_4O_6$ MW: 586.69
CN: (*S*)-[1,4'-bipiperidine]-1'-carboxylic acid 4,11-diethyl-3,4,12,14-tetrahydro-4-hydroxy-3,14-dioxo-1*H*-pyrano[3',4':6,7]indolizino[1,2-*b*]quinolin-9-yl ester

monohydrochloride
RN: 100286-90-6 MF: $C_{33}H_{38}N_4O_6 \cdot HCl$ MW: 623.15
monohydrochloride trihydrate
RN: 136572-09-3 MF: $C_{33}H_{38}N_4O_6 \cdot HCl \cdot 3H_2O$ MW: 677.20
LD_{50}: 132 mg/kg (M, i.v.); 1045 mg/kg (M, p.o.);
83.6 mg/kg (R, i.v.); 867 mg/kg (R, p.o.);
40 mg/kg (dog, i.v.)
racemate
RN: 130144-33-1 MF: $C_{33}H_{38}N_4O_6$ MW: 586.69





Reference(s):
EP 137 145 (Yakult Honsha; appl. 14.7.1983; J-prior. 14.7.1983).
Henegar, K.E. et al.: J. Org. Chem. (JOCEAH) **62**, 6588 (1997).

slow release formulation:
JP 07 277 981 (Daiichi Pharm.; appl. 12.4.1994; J-prior. 12.4.1994).

synergistic combinations:
JP 04 208 224 (Daiichi Pharm.; appl. 30.11.1990; J-prior. 30.11.1990).
WO 9 309 782 (SmithKline Beecham; appl. 13.11.1992; USA-prior. 15.11.1991).
WO 9 410 995 (Rhône-Poulenc Rorer; appl. 10.11.1992; F-prior. 10.11.1992).

Formulation(s): vial 40 mg/2 ml, 100 mg/ 5 ml (as hydrochloride)

Trade Name(s):

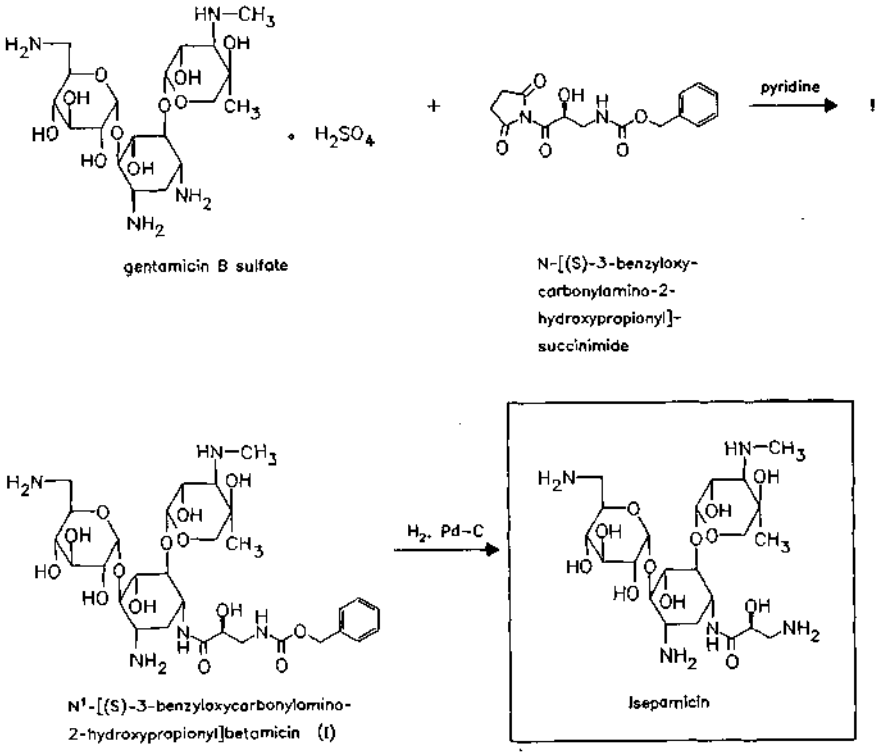
F:	Campto (Rhône-Poulenc Rorer; as hydrochloride)	J:	Campto (Yakult Housha; as hydrochloride)	USA:	Camptosar (Pharmacia & Upjohn)
GB:	Campto (Rhône-Poulenc Rorer; as hydrochloride)		Topotecin (Daiichi Seiyaku; as hydrochloride)		

Isepamicin
(Sch-21420)

ATC: J01GB11; J01KD
Use: aminoglycoside antibiotic (against urinary and respiratory tract infections)

RN: 58152-03-7 MF: $C_{22}H_{43}N_5O_{12}$ MW: 569.61 EINECS: 261-143-4
LD_{50}: 5000 mg/kg (M, i.p.); 330 mg/kg (M, i.v.)
CN: (*S*)-*O*-6-amino-6-deoxy-α-D-glucopyranosyl-(1→4)-*O*-[3-deoxy-4-*C*-methyl-3-(methylamino)-β-L-arabinopyranosyl-(1→6)]-*N*^{1}-(3-amino-2-hydroxy-1-oxopropyl)-2-deoxy-D-streptamine

sulfate
RN: 67814-76-0 MF: $C_{22}H_{43}N_5O_{12} \cdot H_2SO_4$ MW: 667.69
LD_{50}: 2088 mg/kg (R, i.m.); 1591 mg/kg (R, i.p.); 476 mg/kg (R, i.v.); 3392 mg/kg (R, s.c.)
disulfate
RN: 68000-78-2 MF: $C_{22}H_{43}N_5O_{12} \cdot 2H_2SO_4$ MW: 765.77
LD_{50}: 234 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
476 mg/kg (R, i.v.); >5 g/kg (R, p.o.);
720 mg/kg (dog, i.v.)



Reference(s):
DOS 2 502 296 (Scherico; appl. 21.1.1975; USA-prior. 19.3.1974).
US 4 029 882 (Schering Corp.; 14.6.1977; appl. 19.3.1974).

alternative synthesis:
EP 405 820 (Schering Corp.; appl. 19.6.1990; USA-prior. 21.6.1989).
US 4 136 254 (Scherico; 23.1.1979; appl. 18.5.1978; prior. 17.6.1976).
US 4 230 847 (Schering Corp.; 28.1.1980; appl. 26.12.1979; prior. 18.5.1978, 17.6.1976).
US 4 337 335 (Schering Corp.; 29.6.1982; appl. 26.12.1979; prior. 18.5.1978, 17.6.1976).
EP 430 234 (Kanegafuchi; appl. 29.11.1990; J-prior. 29.11.1989).

stable ampoule formulation:
JP 1 268 698 (Toyo Jozo; appl. 20.4.1988).

Formulation(s): amp. 250 mg, 500 mg

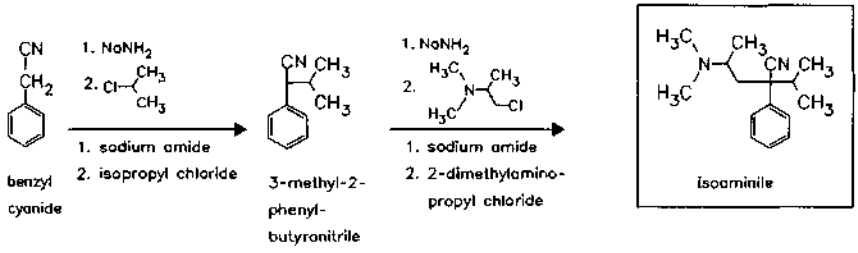
Trade Name(s):
F: Isépalline (Schering-Plough)
J: Exacin (Toyo Jozo; 1988)
Isepacin (Essex; 1988)

Isoaminile

ATC: R05DB04
Use: antitussive

RN: 77-51-0 MF: $C_{16}H_{24}N_2$ MW: 244.38 EINECS: 201-033-5
LD_{50}: 55 mg/kg (M, i.v.);
48.4 mg/kg (dog, i.v.)
CN: α-[2-(dimethylamino)propyl]-α-(1-methylethyl)benzeneacetonitrile

citrate (1:1)
RN: 28416-66-2 MF: $C_{16}H_{24}N_2 \cdot C_6H_8O_7$ MW: 436.51 EINECS: 249-011-4
cyclamate (1:1)
RN: 10075-36-2 MF: $C_{16}H_{24}N_2 \cdot C_6H_{13}NO_3S$ MW: 423.62 EINECS: 233-207-1
LD_{50}: 57 mg/kg (M, i.v.); 298 mg/kg (M, p.o.);
270 mg/kg (R, p.o.);
84 mg/kg (dog, i.v.)



Reference(s):
GB 765 510 (Kali-Chemie; appl. 1955; D-prior. 1954).
DE 960 462 (Kali-Chemie; appl. 1954).
DE 964 499 (Kali-Chemie; appl. 1954).
US 2 934 557 (Kali-Chemie; 1960; D-prior. 1957).
Krause, D.: Arzneim.-Forsch. (ARZNAD) **8**, 553 (1958).

cyclohexylsulfamic acid salt:
US 3 074 996 (Abbott; 22.1.1963; appl. 18.4.1960).

Formulation(s): drg., tabl. 40 mg (as citrate); drops 50 mg; sol. 50 mg/15 ml (as cyclamate)

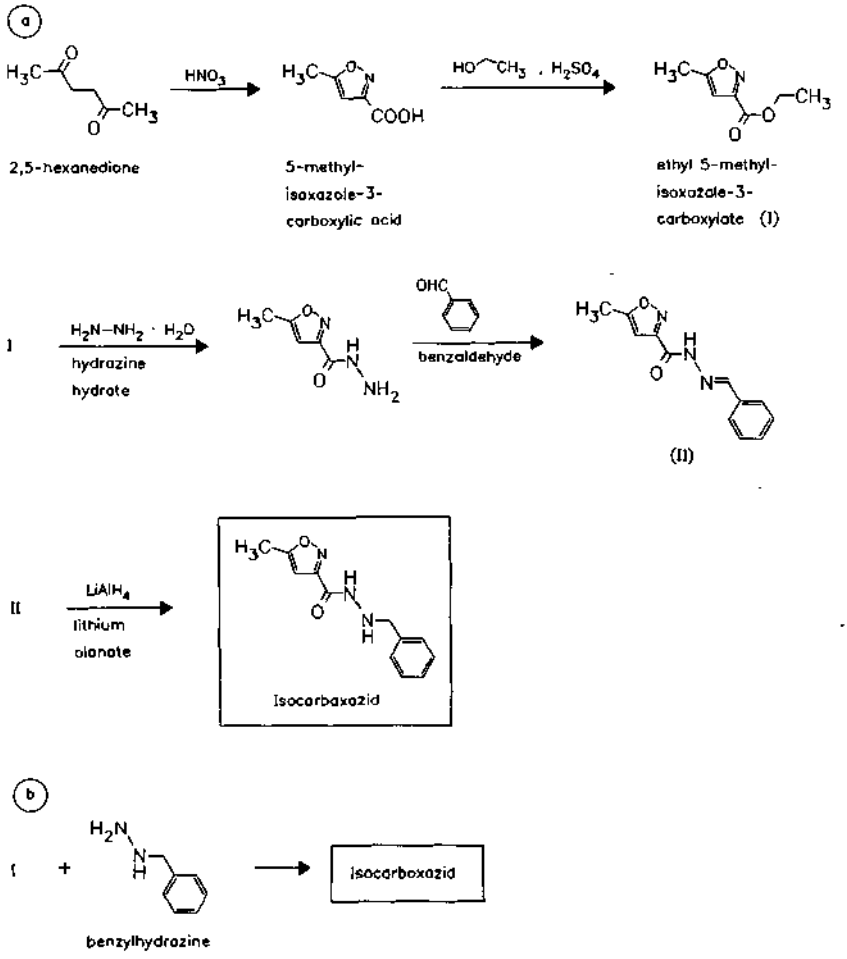
Trade Name(s):

D:	Peracon (Kali-Chemie); wfm	GB:	Dimyril (Fisons); wfm		Sedotosse (Panthox & Burck); wfm
F:	Mucalan (Delagrange); wfm	I:	Peracon Kali-Chemie (Sir); wfm	J:	Peracan (Toyo)

Isocarboxazid

ATC: N06AF01
Use: MAO-inhibitor, antidepressant

RN: 59-63-2 MF: $C_{12}H_{13}N_3O_2$ MW: 231.26 EINECS: 200-438-4
LD_{50}: 193 mg/kg (M, p.o.);
280 mg/kg (R, p.o.);
>40 mg/kg (dog, p.o.)
CN: 5-methyl-3-isoxazolecarboxylic acid 2-(phenylmethyl)hydrazide



Reference(s):
US 2 908 688 (Hoffmann-La Roche; 13.10.1959; prior. 15.4.1958).

Formulation(s): tabl. 10 mg

Trade Name(s):

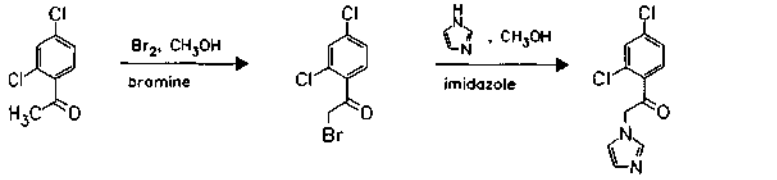
F:	Marplan (Roche); wfm	I:	Marplan (Roche); wfm	USA:	Marplan (Roche); wfm
GB:	Marplan (Roche); wfm	J:	Enerzer (Takeda)		

Isoconazole

ATC: D01AC05; G01AF07
Use: antifungal, antibacterial

RN: 27523-40-6 MF: $C_{18}H_{14}Cl_4N_2O$ MW: 416.14 EINECS: 248-508-3
LD_{50}: 189 mg/kg (M, i.p.)
CN: 1-[2-(2,4-dichlorophenyl)-2-[(2,6-dichlorophenyl)methoxy]ethyl]-1*H*-imidazole

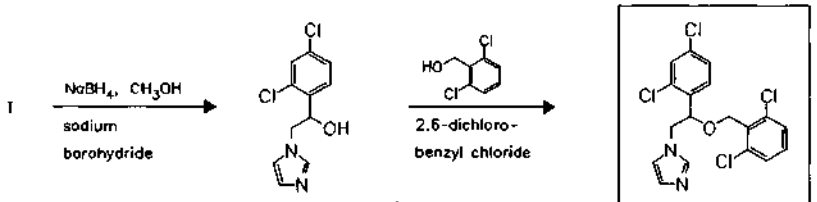
mononitrate
RN: 24168-96-5 MF: $C_{18}H_{14}Cl_4N_2O \cdot HNO_3$ MW: 479.15 EINECS: 246-051-4
LD_{50}: 2 g/kg (M, p.o.);
5600 mg/kg (R, p.o.)



2',4'-dichloro-acetophenone

2-bromo-2',4'-dichloro-acetophenone

1-(2,4-dichloro-phenacyl)imidazole (I)



1-(2,4-dichloro-phenyl)-2-(1H-imidazol-1-yl)-ethanol

Reference(s):
DOS 1 940 388 (Janssen; appl. 8.8.1969; USA-prior. 19.8.1968).
US 3 717 655 (Janssen; 20.2.1973; prior. 19.8.1968, 23.7.1969).
US 3 839 574 (Janssen; 1.10.1974; prior. 19.8.1968, 23.7.1969, 19.7.1972).
Godefroi, E.F. et al.: J. Med. Chem. (JMCMAR) **12**, 784 (1969).

Formulation(s): cream 10 mg/g (1 %); pessaries 100 mg, 300 mg, 600 mg (as nitrate); spray 10 mg/ml

Trade Name(s):

D:	Bi-Vaspit (Asche)-comb.	F:	Fazol (Bellon; Rhône-Poulenc Rorer; 1979)		Travocort (Schering)-comb.
	Travocort (Schering)-comb.				Travogen (Schering)
	Travogen (Schering; 1979)	GB:	Travogyn (Schering)	J:	Adestan (Schering)
		I:	Isogyn (Crosara)		

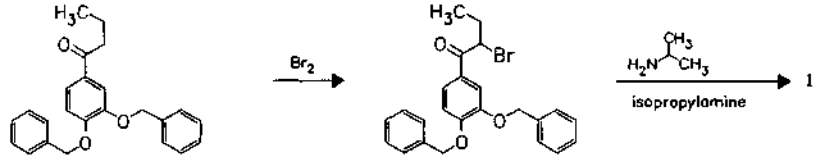
Isoetarine

(Etyprenalinum; Isoetharine)

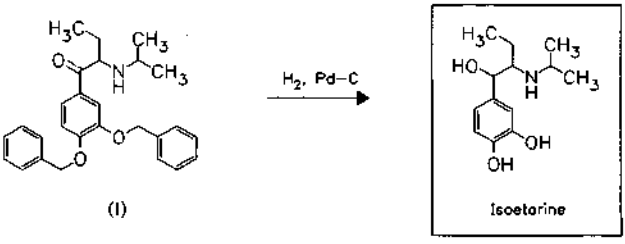
ATC: R03AC07; R03CC06
Use: bronchodilator, sympathomimetic

RN: 530-08-5 MF: $C_{13}H_{21}NO_3$ MW: 239.32 EINECS: 208-472-1
CN: 4-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-1,2-benzenediol

hydrochloride
RN: 50-96-4 MF: $C_{13}H_{21}NO_3 \cdot HCl$ MW: 275.78
LD_{50}: 57 mg/kg (M, i.v.)



3',4'-dibenzyloxy-butyrophenone



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Reference(s):
DRP 638 650 (I. G. Farben; 1934).

Formulation(s): amp. 1 mg, 2.4 mg, 5 mg, 5.1 mg; sol. for inhalation 0.125 %, 0.61 %, 1 % (as hydrochloride)

Trade Name(s):

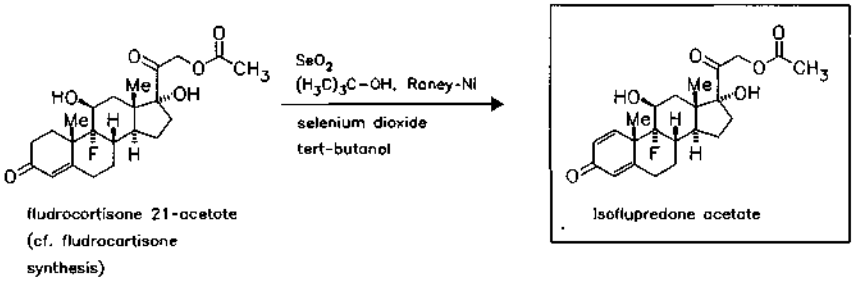
D:	Asthmalitan (Kettelhack-Riker); wfm	GB:	Bronchilator (Sterling Res.); wfm Numotac (Riker); wfm	USA:	Bronkometer (Sanofi)-comb. Bronkosol (Sanofi)-comb.

Isoflupredone acetate

ATC: H02AB
Use: glucocorticoid

RN: 338-98-7 MF: $C_{23}H_{29}FO_6$ MW: 420.48 EINECS: 206-423-9
CN: (11β)-21-(acetyloxy)-9-fluoro-11,17-dihydroxypregna-1,4-diene-3,20-dione

isoflupredone
RN: 338-95-4 MF: $C_{21}H_{27}FO_5$ MW: 378.44 EINECS: 206-422-3



Reference(s):
DE 1 096 900 (American Cyanamid; appl. 1959; USA-prior. 1958).

microbiological dehydrogenation with Corynebacterium simplex (A.T.C.C. 6946):
US 2 837 464 (Schering Corp.; 1958; prior. 1955).

alternative synthesis:
DE 1 159 947 (Merck & Co.; appl. 1956; USA-prior. 1955).
GB 826 364 (Merck & Co.; valid from 1956; USA-prior. 1955).

Formulation(s): amp. 10 ml

Trade Name(s):

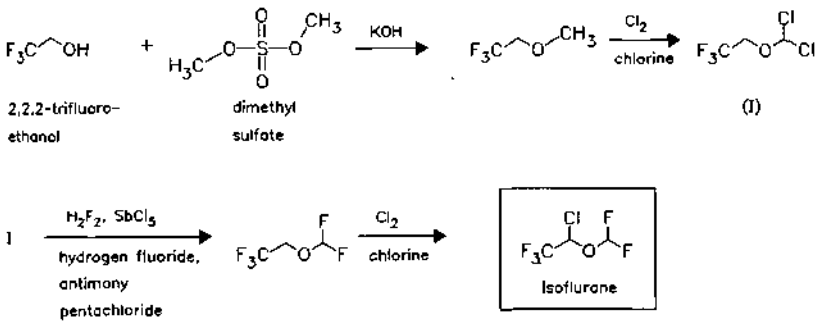
I:	Altaflor (Intes)-comb.; wfm Biorinil (Farmila)-comb.; wfm	Cortifluoral (Schering); wfm	Dermaflogil (Nuovo Cons. Sanit. Naz.)-comb.; wfm

Fluoroinil (Farmila)-comb.; wfm	Menaderm simp. (Menarini); wfm	USA: Predef 2x (Upjohn); wfm

Isoflurane

ATC: N01AB06
Use: inhalation anesthetic

RN: 26675-46-7 MF: $C_3H_2ClF_5O$ MW: 184.49 EINECS: 247-897-7
LD_{50}: 5080 µL/kg (M, p.o.);
4770 µL/kg (R, p.o.)
CN: 2-chloro-2-(difluoromethoxy)-1,1,1-trifluoroethane



Reference(s):
DOS 1 814 962 (Air Reduction Comp.; appl. 16.12.1968; USA-prior. 15.12.1967, 22.5.1968).
US 3 535 388 (Air Reduction Comp.; 20.10.1970; prior. 15.12.1967, 21.3.1969).
US 3 535 425 (Air Reduction Comp.; 20.10.1970; prior. 15.12.1967, 18.12.1969).

alternative synthesis:
DOS 2 344 442 (Hoechst; appl. 4.9.1973).
US 3 637 477 (Air Reduction Comp.; 25.1.1972; prior. 20.2.1970, 22.5.1968).

Formulation(s): sol. for inhalation 100 ml

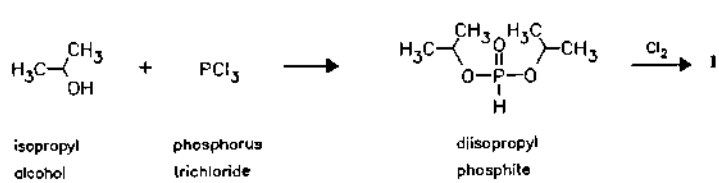
Trade Name(s):

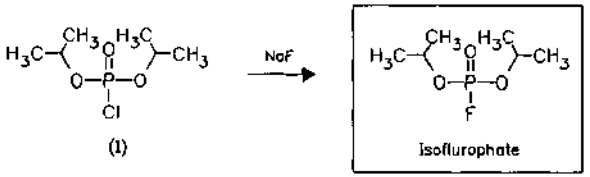
D:	Forene (Abbott; 1984)	J:	Forane (Dainabot)	USA:	Forane (Ohmeda; 1981)

Isoflurophate
(Difluorophate)

ATC: S03
Use: parasympathomimetic, miotic

RN: 55-91-4 MF: $C_6H_{14}FO_3P$ MW: 184.15 EINECS: 200-247-6
LD_{50}: 3200 µg/kg (M, i.v.); 2 mg/kg (M, p.o.);
5 mg/kg (R, p.o.);
3430 µg/kg (dog, i.v.)
CN: phosphorofluoridic acid bis(1-methylethyl) ester





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Reference(s):
US 2 409 039 (Monsanto; 1946; appl. 1944).

Formulation(s): eye drops 0.01 %; eye ointment 0.025 %

Trade Name(s):

F:	Diflupyl (Labaz); wfm	USA:	Floropryl (Merck Sharp & Dohme); wfm
J:	D. F. P. Inj. (Sumitomo)		

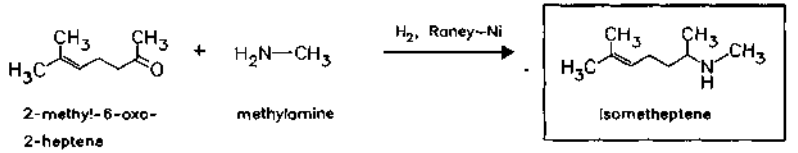
Isometheptene

ATC: A03AX10
Use: sympathetic antispasmodic for gut and urinary tract

RN: 503-01-5 MF: $C_9H_{19}N$ MW: 141.26 EINECS: 207-959-6
LD_{50}: 34 mg/kg (M, i.v.); 134 mg/kg (M, p.o.)
CN: *N*,6-dimethyl-5-hepten-2-amine

hydrochloride
RN: 6168-86-1 MF: $C_9H_{19}N \cdot HCl$ MW: 177.72 EINECS: 228-211-5
LD_{50}: 18 mg/kg (M, i.v.);
26 mg/kg (dog, i.v.)

tartrate (1:1)
RN: 5984-50-9 MF: $C_9H_{19}N \cdot C_4H_6O_6$ MW: 291.34 EINECS: 227-795-9
LD_{50}: 130 mg/kg (R, i.p.)



Reference(s):
US 1 972 450 (Knoll; 1934; D-prior. 1931).
US 2 230 753 (E. Bilhuber; 1941; D-prior. 1937).
US 2 230 754 (E. Bilhuber; 1941; D-prior. 1937).

Formulation(s): amp. 100 mg (as hydrochloride); drops 100 mg, 50 mg; tabl. (as tartrate)

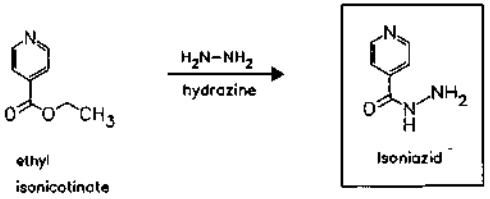
Trade Name(s):

D:	Neopyrin (Nordmark)-comb.; wfm Neosal (Nordmark)-comb.; wfm	GB:	Midrid (Shire)-comb.	USA:	Duradrin (Duramed; as mucate)-comb. Midrin (Carnrick; as mucate)
		I:	Octinum (Knoll); wfm		
		J:	Cesal (Dainippon)-comb.		

Isoniazid

ATC: J04AC01
Use: tuberculostatic

RN: 54-85-3 MF: $C_6H_7N_3O$ MW: 137.14 EINECS: 200-214-6
LD_{50}: 149 mg/kg (M, i.v.); 133 mg/kg (M, p.o.);
365 mg/kg (R, i.v.); 1250 mg/kg (R, p.o.);
50 mg/kg (dog, p.o.)
CN: 4-pyridinecarboxylic acid hydrazide



Reference(s):
Meyer, H.; Mally, J.: Monatsh. Chem. (MOCMB7) **33**, 393 (1912).
US 2 596 069 (Roche; 1952; appl. 1952).
US 2 830 994 (Distillers; 15.4.1958; GB-prior. 29.6.1955).
DE 1 116 667 (BASF; appl. 3.7.1956).

combination with protionamide *and* dapsone:
DAS 2 340 515 (Saarstickstoff-Fatol; appl. 10.8.1973).

Formulation(s): amp. 100 mg/5 ml, 250 mg/5 ml; tabl. 50 mg, 100 mg, 200 mg, 300 mg

Trade Name(s):

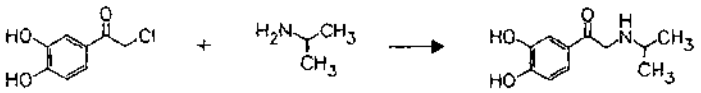
D: Isoprodian (Fatol)-comb.
Isozid (Fatol)
Myambutol (Lederle)-comb.
Tebesium (Hefa Pharma)
F: Dexambutol-INH (L'Arguenon)-comb.
Rifater (Marion Merrell)-comb.
Rifinah (Marion Merrell)-comb.
Rimifon (Roche)
GB: Rifater (Hoechst)-comb.
Rifinah (Hoechst)-comb.
Rimactazid (Novartis)-comb.
Rimifon (Roche); wfm
I: Emozide B6 (Piam)-comb.
Etanicozid (Piam)-comb.
Etibi (Zoja)-comb.
Miazide (Cyanamid)-comb.
Nicazide (IFI)
Nicizina (Pharmacia & Upjohn)
Nicozid (Piam)
Rifanicozid (Piam)-comb.
Rifinah (Lepetit)-comb.
combination preparations
J: Anteben (Dainippon)
Diazid (Nippon Shinyaku)
Hycozid (Takeda)
Hydra (Otsuka)
Iscotin (Daiichi)
Niazid (Sankyo)
Niplen (Tanabe)
Sumifon (Sumitomo)
Tuberon (Shionogi)
USA: Nydrazid (Apothecon)
Rifamate (Hoechst Marion Roussel)
Rifater (Hoechst Marion Roussel)
generic

Isoprenaline
(Isoproterenol)

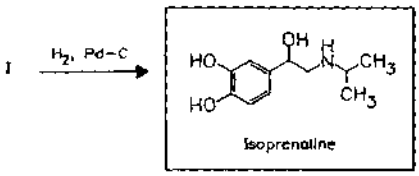
ATC: C01CA02; R03AB02; R03CB01
Use: bronchodilator, dermatic

RN: 7683-59-2 MF: $C_{11}H_{17}NO_3$ MW: 211.26 EINECS: 231-687-7
LD_{50}: 83 mg/kg (M, i.v.); 450 mg/kg (M, p.o.);
57 mg/kg (R, i.v.); 355 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.); 600 mg/kg (dog, p.o.)
CN: 4-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]-1,2-benzenediol

bitartrate (1:1)
RN: 59-60-9 MF: $C_{11}H_{17}NO_3 \cdot C_4H_6O_6$ MW: 361.35 EINECS: 200-437-9
hydrochloride
RN: 51-30-9 MF: $C_{11}H_{17}NO_3 \cdot HCl$ MW: 247.72 EINECS: 200-089-8
LD_{50}: 77 mg/kg (M, i.v.); 1260 mg/kg (M, p.o.);
26.9 mg/kg (R, i.v.); 2221 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.); 600 mg/kg (dog, p.o.)
sulfate (2:1)
RN: 299-95-6 MF: $C_{11}H_{17}NO_3 \cdot 1/2H_2SO_4$ MW: 520.60 EINECS: 206-085-2
LD_{50}: 188 mg/kg (M, i.v.); >3 g/kg (M, p.o.);
96 mg/kg (R, i.v.); 2230 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.); 600 mg/kg (dog, p.o.)



2-chloro-3',4'-dihydroxyacetophenone | isopropylamine | (I)



Reference(s):
US 2 308 232 (Boehringer Ing.; 1943; D-prior. 1939).
DRP 723 278 (Boehringer Ing.; appl. 1939).

racemate resolution with (+)-tartaric acid:
US 2 715 141 (Delmar Chemicals; 1955; appl. 1952).

Formulation(s): aerosol 0.1 mg/push; amp. 0.2 mg, 2 mg (as hydrochloride); sol. for inhalation 0.25 %, 0.5 % (as sulfate); tabl. 10 mg, 15 mg, 20 mg

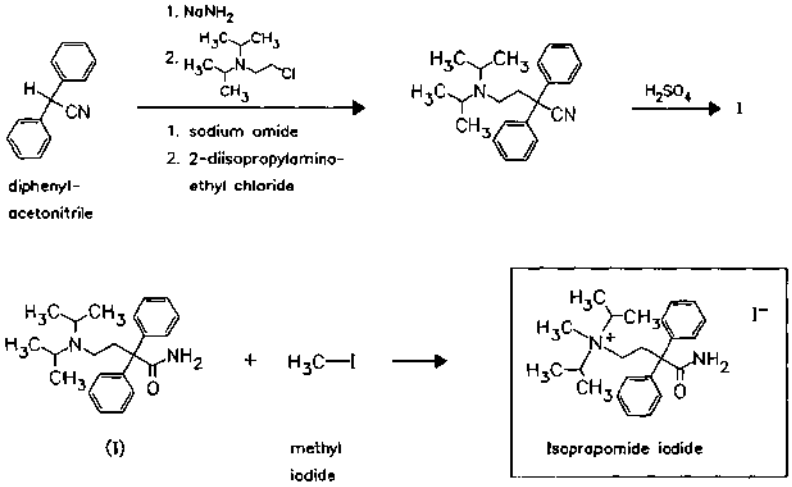
Trade Name(s):

D:	Ingelan (Boehringer Ing.)	J:	Asthpul Sol. (Nippon Shoji)		Sedansol "Iso" (Nippon Zoki)
	Kattwilon (Kattwiga)		Isomenyl (Kaken)		Sooner (Kaken)
F:	Isuprel (Abbott)		Medihaler-Iso (Dainippon)	USA:	Isuprel (Sanofi; as hydrochloride)
	generic		Protemal (Nikken)		Medihaler-Iso (3M; as sulfate)
GB:	Saventrine (Pharmax)		Proternol (Nikken)		
I:	Aleudrin (Fher); wfm generics				

Isopropamide iodide

ATC: A03AB09
Use: anticholinergic, antispasmodic

RN: 71-81-8 MF: $C_{23}H_{33}IN_2O$ MW: 480.43 EINECS: 200-766-8
LD_{50}: 12.779 g/kg (M, i.v.); 1600 mg/kg (M, p.o.)
CN: γ-(aminocarbonyl)-*N*-methyl-*N*,*N*-bis(1-methylethyl)-γ-phenylbenzenepropanaminium iodide



Reference(s):
GB 772 921 (Janssen; appl. 1955; NL-prior. 1954).
DE 1 003 744 (Janssen; appl. 1955; NL-prior. 1954).
Janssen, P. et al.: Arch. Int. Pharmacodyn. Ther. (AIPTAK) CIII, **82** (1955).
US 2 823 233 (Bristol; 1958; appl. 1954).

Formulation(s): inj. sol. 3 mg/2 ml; tabl. 5 mg

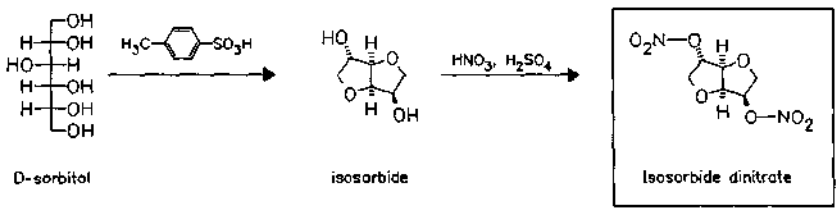
Trade Name(s):

D:	Ornatos (Röhm Pharma)-comb.; wfm	GB:	Stelabid (S.K.F.)-comb.; wfm	USA:	Combid (Smith Kline & French); wfm
	Priamide-Eupharma (Janssen); wfm		Tyrimide (Smith Kline & French); wfm		Darbid (Smith Kline & French); wfm
	Stelabid (Röhm Pharma)-comb.; wfm	I:	Fluvaleas (Valeas)-comb.		Ornade (Smith Kline & French); wfm
F:	Enuretine vit. E		Iodosan (SmithKline Beecham)-comb.		Prochlor-Iso (Schein); wfm
	isoprapamide (Le Marchand)-comb.; wfm		Valtrax (Valeas) combination preparations		Pro-Iso (Zenith); wfm
	Priamide (Delalande); wfm	J:	Marygin M (Sumitomo)		

Isosorbide dinitrate

ATC: D03AX08
Use: coronary vasodilator

RN: 87-33-2 MF: $C_6H_8N_2O_8$ MW: 236.14 EINECS: 201-740-9
LD_{50}: >40 mg/kg (M, i.v.); 1050 mg/kg (M, p.o.);
>40 mg/kg (R, i.v.); 747 mg/kg (R, p.o.)
CN: 1,4:3,6-dianhydro-D-glucitol dinitrate



Reference(s):
Goldberg, L.: Acta Physiol. Scand. (APSCAX) **15**, 173 (1948).
Krantz, J.C. et al.: J. Pharmacol. Exp. Ther. (JPETAB) **67**, 187 (1939).

aqueous solutions for parenteral application:
DAS 2 623 800 (Sanol Schwarz-Monheim; appl. 28.5.1976).

Formulation(s): r. r. cps. 20 mg, 40 mg, 60 mg, 80 mg, 100 mg; tabl. 2.5 mg, 5 mg, 10 mg, 20 mg, 30 mg, 40 mg

Trade Name(s):

D: Corovliss (Boehringer Mannh.)
Dignonitrat (Sankyo)
Duranitrat (durachemie)
isoket (Schwarz)
Iso Mack (Mack, Illert.)
Isostenase (Azuchemie)
Maycor (Gödecke; Parke Davis)
Nitrosorbon (Pohl)
TD spray Iso Mack (Mack)
generic and numerous combination preparations

F: Isocard (Bouchara)
Langoran (Marion Merrell)
Risordan (Specia)

GB: Cedocard retard (Pharmacia & Upjohn)
Isocard (Eastern)
Isoket retard (Schwarz)
Isordil (Monmouth)
Sorbichew (Zeneca)
Sorbid SA (Zeneca)
Sorbitrate (Zeneca)

I: Carvasin (Wyeth)
Diniket (Schwarz)
Nitrosorbide (Lusofarmaco)
Stenodilate (Schwarz)-comb.

J: Cardis (Iwaki)
Carvanil (Banyu)
Diretan (Ono)
Nitroret (Hishiyama)

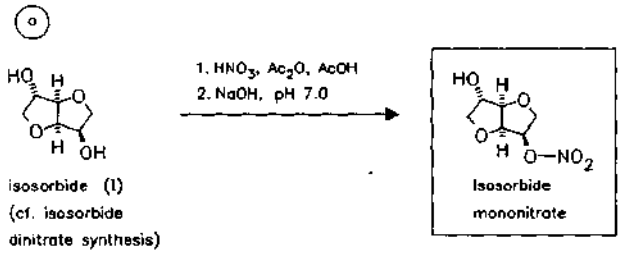
USA: Dilatrate-SR (Schwarz)
Isordil (Wyeth-Ayerst)
Sorbitrate (Zeneca)
generic

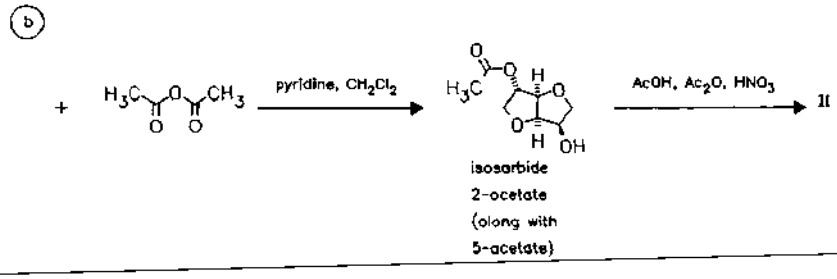
Isosorbide mononitrate

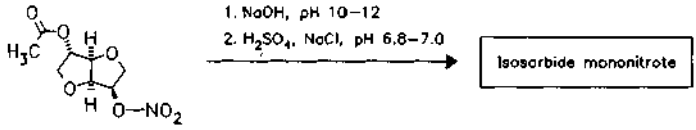
(Isosorbide 5-nitrate)

ATC: C01DA14
Use: · coronary vasodilator

RN: 16051-77-7 MF: $C_6H_9NO_6$ MW: 191.14 EINECS: 240-197-2
LD_{50}: 1820 mg/kg (M, i.v.); 1771 mg/kg (M, p.o.);
1750 mg/kg (R, i.v.); 2010 mg/kg (R, p.o.)
CN: 1,4:3,6-dianhydro-D-glucitol 5-nitrate







isosorbide 2-acetate 5-nitrate (II)

Reference(s):

a US 3 886 186 (American Home; 27.5.1975; prior. 29.4.1971, 30.8.1973).
EP 143 507 (Toshin Chemical; appl. 13.7.1984; J-prior. 25.11.1983).
DOS 2 221 080 (American Home Products; appl. 28.4.1972; USA-prior. 29.4.1971).

b DOS 2 751 934 (American Home Products; appl. 21.11.1977; USA-prior. 24.2.1977).
US 4 065 488 (American Home Products; 27.12.1977; appl. 24.2.1977).
EP 45 076 (Boehringer Mannh.; appl. 25.7.1981; D-prior. 30.7.1980).
DOS 3 028 873 (Boehringer Mannh.; appl. 30.7.1980).
US 4 431 829 (Boehringer Mannh.; 14.2.1984; D-prior. 30.7.1980).

similar methods (via 2-acyloxy derivative):

DE 2 903 927 (Sanol-Schwarz; appl. 2.2.1979).
EP 64 194 (Cassella; appl. 16.4.1982; D-prior. 5.5.1981).
EP 57 847 (H. Mack Nachf.; appl. 26.1.1982; D-prior. 29.1.1981).
DOS 3 102 947 (H. Mack Nachf.; appl. 29.1.1981).
EP 67 964 (H. Mack Nachf.; appl. 18.5.1982; D-prior. 22.6.1981).
DOS 3 124 410 (H. Mack Nachf.; appl. 22.6.1981).
US 4 417 065 (H. Mack Nachf.; 22.11.1983; D-prior. 22.6.1981).

preparation from isosorbide dinitrate:

EP 59 664 (SNPE; appl. 25.2.1982; F-prior. 27.2.1981).

formulations:

DOS 3 325 652 (Dr. Rentschler; appl. 15.7.1983).

Formulation(s): s. r. cps. 40 mg, 60 mg; tabl. 20 mg, 40 mg

Trade Name(s):

D: Coleb (Astra/Promed)
Corangin (Novartis Pharma)
elantan (Synthelabo)
IS 5 mono-ratiopharm (ratiopharm)
Ismo (Boehringer Mannh.)
Monit-Puren (Isis Puren)
Monoclair (Hennig)
Mono Mack (Mack, Illert.)
Monostenase (Azupharma)
Olicard (Solvay Arzneimittel)
numerous generics

F: Monicor L.P. (Pierre Fabre)

GB: Elantan (Schwarz)
Imdur (Astra)
Isib XL (Ashbourne)
Ismo retard (Boehringer Mannh.)
MCR 50 (Pharmacia & Upjohn)
Monit (Lorex)
Mono-Cedocard (Pharmacia & Upjohn)
Monomax (Trinity)

I: Duronitrin (Astra)
Elan (Schwarz)
Ismo (Boehringer Mannh.)
Ismo Diffutab (Boehringer Mannh.)
Monocinque (Lusofarmaco)
Monoket (Chiesi)
Nitralfa (Malesci)
Orasorbil (Rottapharm)
dinitrate:
Nitrosorbide (Lusofarmaco)
Stenodilate (Schwarz)-comb.

J: Itocol (Toa Eiyo-Yamanouchi)

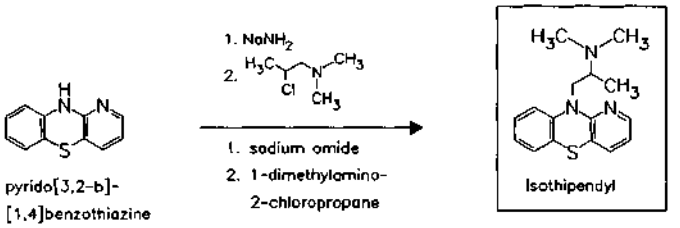
USA: Imdur (Key Pharm.)
Ismo (Wyeth-Ayerst)
Monoket (Schwarz)

Isothipendyl

ATC: D04AA22; R06AD09
Use: antiallergic, antihistaminic

RN: 482-15-5 MF: $C_{16}H_{19}N_3S$ MW: 285.42 EINECS: 207-578-5
LD_{50}: 222 mg/kg (M, p.o.)
CN: *N*,*N*,α-trimethyl-10*H*-pyrido[3,2-*b*][1,4]benzothiazine-10-ethanamine

monohydrochloride
RN: 1225-60-1 MF: $C_{16}H_{19}N_3S \cdot HCl$ MW: 321.88 EINECS: 214-957-9
LD_{50}: 28 mg/kg (M, i.v.); 222 mg/kg (M, p.o.);
1220 mg/kg (R, p.o.)



Reference(s):
DE 1 001 684 (Degussa; appl. 1954).
US 2 974 139 (Degussa; 7.3.1961; D-prior. 2.10.1954).

Formulation(s): drg. 12 mg; gel 0.75 % (as hydrochloride)

Trade Name(s):

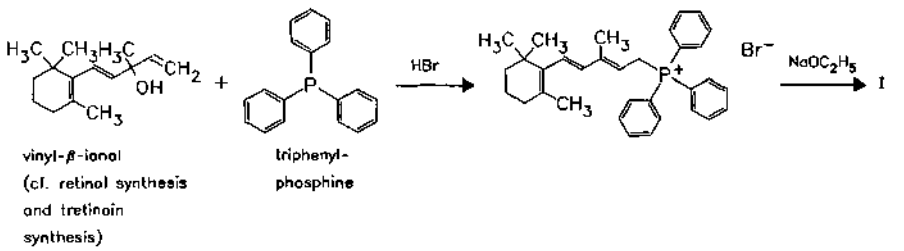
D:	Andantol-forte/-Gelee (Homburg); wfm	GB:	Nilergex (ICI); wfm	J:	Aczen NS (Kanebo) Andantol (Sumitomo)
F:	Sédermyl (RPR Cooper)	I:	Calmogel (Rhône-Poulenc Rorer)		

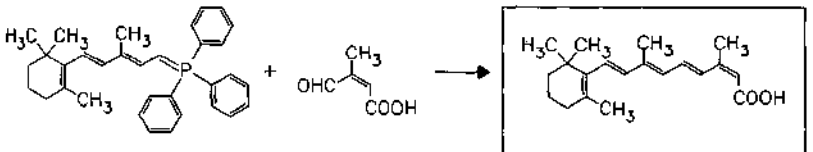
Isotretinoin

ATC: D10AD04; D10BA01
Use: keratolytic, acne therapeutic

RN: 4759-48-2 MF: $C_{20}H_{28}O_2$ MW: 300.44 EINECS: 225-296-0
LD_{50}: 3389 mg/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: 13-*cis*-retinoic acid

sodium salt
RN: 13497-05-7 MF: $C_{20}H_{27}NaO_2$ MW: 322.42
potassium salt
RN: 22232-80-0 MF: $C_{20}H_{27}KO_2$ MW: 338.53





Reference(s):
Garbers, C.F. et al.: J. Chem. Soc. C (JSOOAX) **1968**, 1982.

(Z)-β-formylcrotonic acid:
Conradie, W.J. et al.: J. Chem. Soc. C (JSOOAX) **1964**, 594.

combination with taurine:
US 4 545 977 (Searle; 8.10.1985; appl. 11.1.1985).

medical use:
DE 2 061 507 (Hoffmann-La Roche; appl. 8.7.1971; prior. 14.12.1970).
US 3 746 730 (Hoffmann-La Roche; 17.7.1973; appl. 17.12.1970; GB-prior. 13.12.1969).

Formulation(s): cps. 2.5 mg, 5 mg, 10 mg, 20 mg; gel 0.05 %

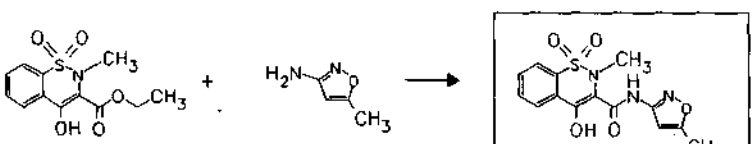
Trade Name(s):

D:	ISOTREX (Stiefel)		Roaccutane (Roche; 1986)		Roaccutane (Roche)
	Roaccutan (Roche; 1985)	GB:	Isotrex (Stiefel)	I:	Roaccutane (Roche)
F:	Isotrex (Stiefel)		Isotrexin (Stiefel)	USA:	Accutane (Roche; 1982)

Isoxicam

ATC: M01
Use: anti-inflammatory

RN: 34552-84-6 MF: $C_{14}H_{13}N_3O_5S$ MW: 335.34 EINECS: 252-084-5
LD_{50}: >5 g/kg (R, p.o.)
CN: 4-hydroxy-2-methyl-*N*-(5-methyl-3-isoxazolyl)-2*H*-1,2-benzothiazine-3-carboxamide 1,1-dioxide



Reference(s):
DOS 2 208 351 (Warner-Lambert; appl. 22.2.1972; USA-prior. 1.3.1971).
Lombardino, J.G.; Wiseman, E.H.: J. Med. Chem. (JMCMAR) **14**, 973 (1971).
Zinnes, H. et al.: J. Med. Chem. (JMCMAR) **25**, 12 (1982).

Formulation(s): cps. 100 mg

Trade Name(s):

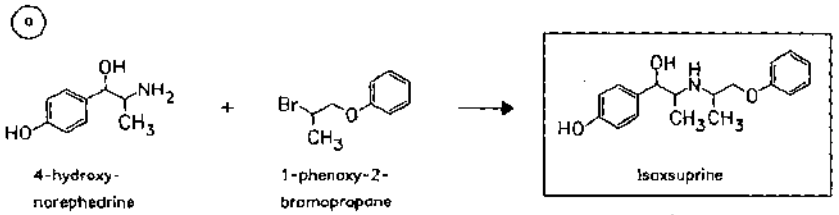
D:	Pacyl (Adenylchemie); wfm	F:	Vectren (Substantia); wfm		Maxicam (Parke Davis); wfm
		J:	Floxicam (Menarini); wfm		

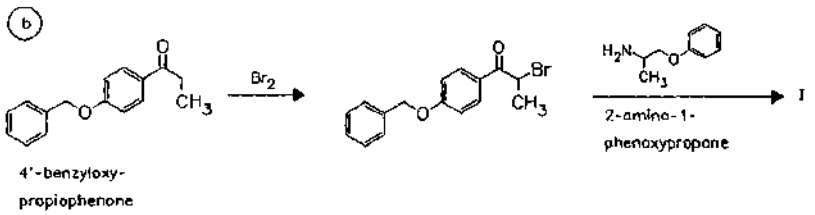
Isoxsuprine

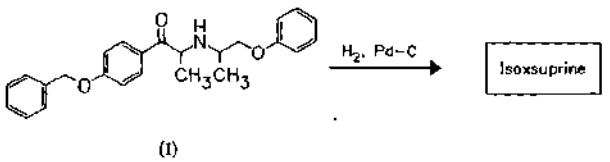
ATC: C04AA01
Use: vasodilator

RN: 395-28-8 MF: $C_{18}H_{23}NO_3$ MW: 301.39 EINECS: 206-898-2
LD_{50}: 48 mg/kg (M, i.v.); 200 mg/kg (M, p.o.)
CN: 4-hydroxy-α-[1-[(1-methyl-2-phenoxyethyl)amino]ethyl]benzenemethanol

hydrochloride
RN: 579-56-6 MF: $C_{18}H_{23}NO_3 \cdot HCl$ MW: 337.85 EINECS: 209-443-6
LD_{50}: 61 mg/kg (M, i.v.); 1.1 g/kg (M, p.o.);
1.75 g/kg (R, p.o.);
57 mg/kg (dog, i.v.); >1.2 g/kg (dog, p.o.)







(I)

Reference(s):
US 3 056 836 (Philips; 2.10.1962; NL-prior. 28.5.1955).
GB 832 286 (Philips; appl. 11.10.1957; NL-prior. 15.10.1956).
GB 832 287 (Philips; appl. 11.10.1957; NL-prior. 15.10.1956).

Formulation(s): amp. 10 mg; cps. 40 mg; r. r. cps. 40 mg; tabl. 10 mg, 20 mg (as hydrochloride)

Trade Name(s):

D:	Duvadilan (Thomae/ Duphar); wfm		Duvadilan (Duphar); wfm		Isokulin (Toho Iyaku Kenkyusho)
	Vasoplex (Lappe); wfm	I:	Duvadilan (UCM)		Synzedrin (Teisan)
F:	Duvadilan (Solvay Pharma)		Fenam (UCM)		Vahodilan (Morita)
GB:	Defencin (Bristol); wfm		Vasosuprina (Lusofarmaco)		Vasoladin (Kanto)
		J:	Duvadilan (Daiichi)		

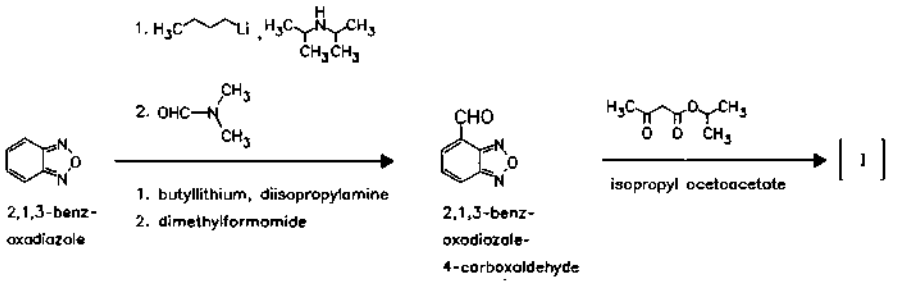
USA: Isolait (Elder); wfm	Vasodilan (Mead Johnson); wfm	generic

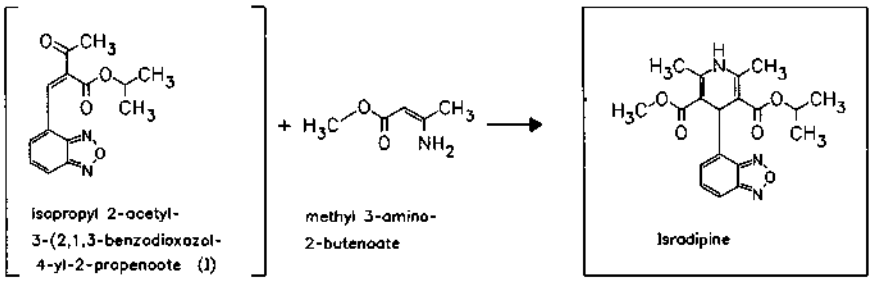
Isradipine

(Isrodipine; PN 200-110)

ATC: C02DE; C08CA03
Use: long acting calcium antagonist, antihypertensive, antianginal

RN: 75695-93-1 MF: $C_{19}H_{21}N_3O_5$ MW: 371.39
LD_{50}: 1.2 mg/kg (M, i.v.); 216 mg/kg (M, p.o.);
1.8 mg/kg (R, i.v.); >3000 mg/kg (R, p.o.);
1.2 mg/kg (rabbit, i.v.); 58 mg/kg (rabbit, p.o.)
CN: 4-(4-benzofurazanyl)-1,4-dihydro-2,6-dimethyl-3,5-pyridinedicarboxylic acid methyl 1-methylethyl ester





Reference(s):
DE 2 949 491 (Sandoz; appl. 8.12.1979; CH-prior. 18.12.1978).
GB 2 122 192 (Sandoz; appl. 13.6.1983; CH-prior. 15.6.1982).
US 4 466 972 (Sandoz; 21.8.1984; appl. 19.3.1982; CH-prior. 18.12.1978).

synthesis of 2,1,3-benzoxadiazole-4-carboxaldehyde:
CH 661 270 (Sandoz 15.11.1982; GB-prior. 18.11.1981).

synthesis of enantiomers:
DE 3 320 616 (Sandoz; appl. 8.6.1983; CH-prior. 15.6.1982).

sustained release formulation:
US 4 950 486 (Alza; 21.8.1990; prior. 7.11.1988, 2.10.1987).
US 4 946 687 (Alza; 7.8.1990; prior. 7.11.1988, 2.10.1987).
US 4 816 263 (Alza; 28.3.1989; prior. 7.11.1988, 2.10.1987).

hydrosol formulation:
GB 2 200 048 (Sandoz; appl. 17.12.1987; D-prior. 19.12.1986, 15.12.1987).
DE 3 742 473 (Sandoz; appl. 19.12.1986).

combination with calcitonin:
EP 202 282 (Sandoz; appl. 8.11.1985; GB-prior. 12.11.1984).

nanoparticles:
Leroueil-Le Verger, M. et al.: Eur. J. Pharm. Biopharm. (EJPBEL) **46**, 137-143 (1998)

Formulation(s): cps. 2.5 mg, 5 mg; s. r. tabl. 5 mg, 10 mg; tabl. 2.5 mg

Trade Name(s):

D:	Lomir (Novartis Pharma)	GB:	Prescal (Novartis)		Lomir (Sandoz)
	Vascal (Schwarz; 1991)	I:	Clivoten (Lifepharma)	USA:	Dyna Circ (Novartis)
F:	Icaz LP (Novartis)		Esradin (Sigma-Tau)		

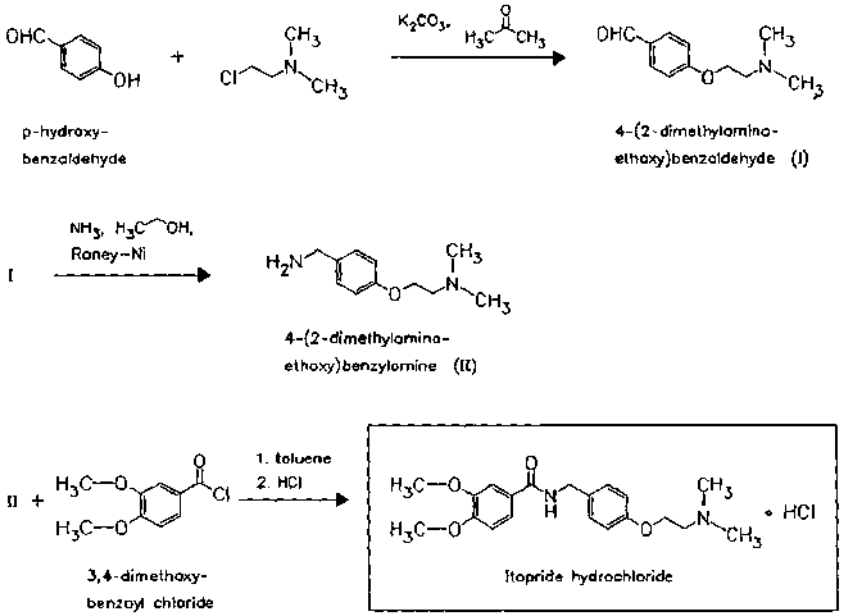
Itopride hydrochloride

(151235 (as hydrochloride); 149097 (as free base))

ATC: D08
Use: peristaltic stimulant, gastric prokinetic agent

RN: 122892-31-3 MF: $C_{20}H_{26}N_2O_4 \cdot HCl$ MW: 394.90
LD_{50}: 190.6 mg/kg (M, i.v.)
CN: *N*-[[4-[2-(dimethylamino)ethoxy]phenyl]methyl]-3,4-dimethoxybenzamide monohydrochloride

base
RN: 122898-67-3 MF: $C_{20}H_{26}N_2O_4$ MW: 358.44



Reference(s):

preparation and formulation:
EP 306 827 (Hokuriku Pharmaceutical Co.; appl. 15.3.1989; J-prior. 1.9.1988, 5.9.1987, 22.9.1987, 29.9.1987, 5.10.1987).

synthesis of intermediate II:
US 2 879 293 (Hoffmann-La Roche; 1957).

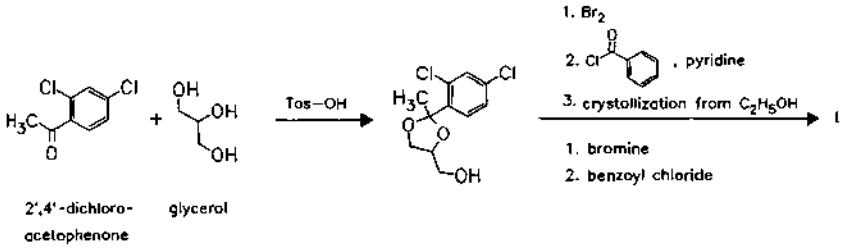
Formulation(s): tabl. 50 mg (hydrochloride)

Trade Name(s):
J: Ganaton (Hokuriku)

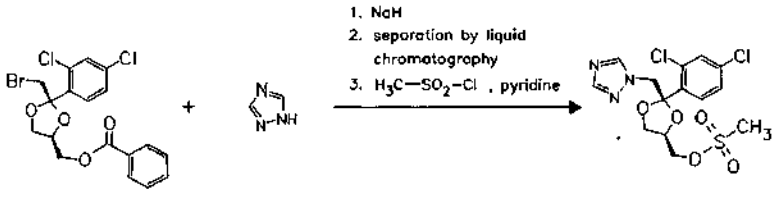
Itraconazole
(R-51211)

ATC: J02AC02
Use: antifungal (treatment of vaginal candidiasis pityriasis versicolor, dermatophytes and systemic mycoses)

RN: 84625-61-6 MF: $C_{35}H_{38}Cl_2N_8O_4$ MW: 705.65
LD_{50}: 46.4 mg/kg (M, i.v.); >320 mg/kg (M, p.o.);
40 mg/kg (R, i.v.); >320 mg/kg (R, p.o.);
>200 mg/kg (dog, p.o.)
CN: 4-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2,4-dihydro-2-(1-methylpropyl)-3*H*-1,2,4-triazol-3-one



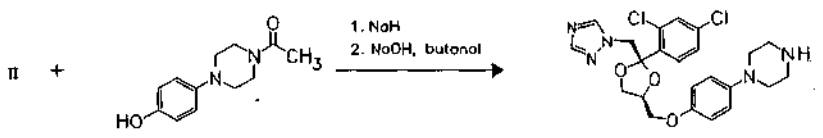
2',4'-dichloroacetophenone glycerol



cis-[2-bromomethyl-2-(2,4-dichlorophenyl)-1,3-dioxolan-4-ylmethyl] benzoate (I)

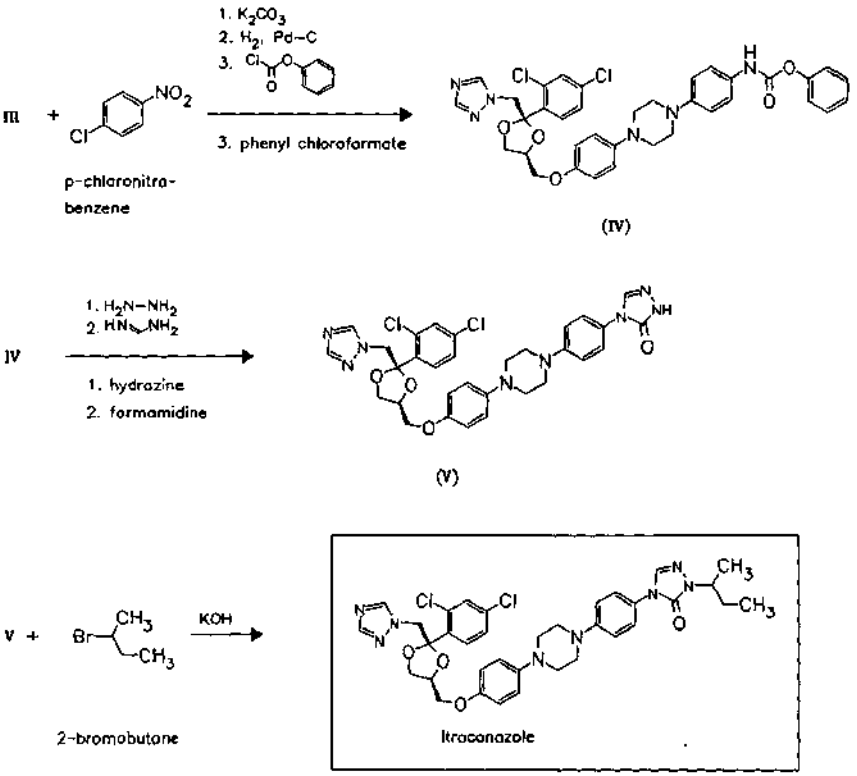
1H-1,2,4-triazole

cis-2-(2,4-dichlorophenyl)-2-(1H-1,2,4-triazol-1-ylmethyl)-1,3-dioxolane-4-methanol methanesulfonate (II)



1-(4-hydroxyphenyl)-4-acetylpiperazine

(III)



Reference(s):
EP 118 138 (Janssen; appl. 24.1.1984; USA-prior. 28.2.1983).

alternative synthesis:
EP 6 711 (Janssen; appl. 13.6.1979; USA-prior. 23.6.1978, 14.3.1979).
US 4 267 179 (Janssen; 12.5.1981; appl. 14.3.1979; prior. 23.6.1978).
Meeres, J.; Backx, L.J.J.; Cutsem, J. van: J. Med. Chem. (JMCMAR) **27**, 894 (1984).

synthesis of cis-2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolane-4-methanol methanesulfonate:
Meeres, J. et al.: J. Med. Chem. (JMCMAR) **22**, 1003 (1979).
Meeres, J.; Hendrickx, R.; Cutsem, J. van: J. Med. Chem. (JMCMAR) **26**, 611 (1983).

topical liposomal formulation:
WO 9 315 719 (Janssen; appl. 4.2.1993; EP-prior. 12.2.1992).

pharmaceutical composition:
WO 9 416 700 (Sepracor; appl. 27.1.1994; USA-prior. 27.1.1993).

Formulation(s): cps. 100 mg, sol. 1 %

Trade Name(s):

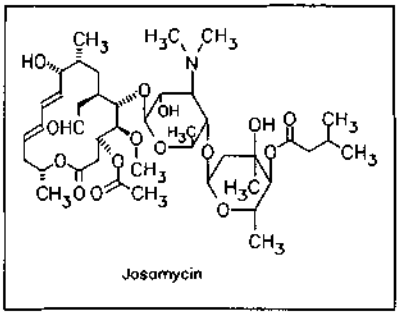
D:	Sempera (Glaxo Wellcome; Janssen-Cilag) Siros (Janssen-Cilag)	F:	Sporanox (Janssen-Cilag)		Triasporin (Lifepharma)
		GB:	Sporanox (Janssen-Cilag)	J:	Itrizole (Janssen-Kyowa)
		I:	Sporanox (Janssen)	USA:	Sporanox (Janssen; 1999)

Josamycin

ATC: J01FA07
Use: antibiotic

RN: 16846-24-5 MF: $C_{42}H_{69}NO_{15}$ MW: 828.01 EINECS: 240-871-6
CN: leucomycin V 3-acetate 4^B-(3-methylbutanoate)

propionate
RN: 51016-68-3 MF: $C_{45}H_{73}NO_{16}$ MW: 884.07



From fermentation solutions of *Streptomyces narbonensis var. josamyceticus* (ATTC 17835).

Reference(s):
DOS 1 492 035 (Microbial Chem. Res.; appl. 3.6.1965; J-prior. 9.6.1964).
US 3 636 197 (Yamanouchi; 18.1.1972; J-prior. 9.6.1964).

alternative syntheses:
from 10-acetyl- *and* 10,2'-diacetyljosamycin:
JP-appl. 76/41 497 (Yamanouchi; appl. 2.10.1974).

from 3-deacetyljosamycin:
JP-appl. 77/41 294 (Microb. Res. Found.; appl. 26.9.1975).

water soluble H_2SO_3-D-glucosamine *addition compound:*
JP-appl. 77/71 489 (Yamanouchi; appl. 31.10.1975).

solvent free crystals:
JP-appl. 77/51 013 (Yamanouchi; appl. 16.10.1975).
JP-appl. 76/142 519 (Yamanouchi; appl. 31.5.1975).

pharmaceutical formulation:
US 3 960 757 (Toyo Jozo; 1.6.1976; prior. 29.6.1973).

Formulation(s): gran. 1 g; susp. 150 mg, 300 mg; tabl. 500 mg (as propionate)

Trade Name(s):

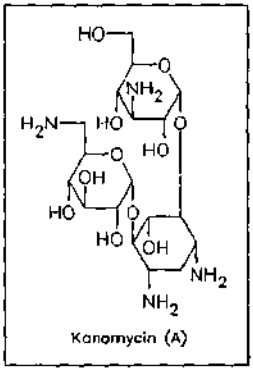
D:	Wilprafen (Yamanouchi; 1984)	I:	Iosalide (Schering) Josaxin (UCB)	J:	Josamycin (Yamanouchi; 1970)
F:	Josacine (Bellon; 1980)				

Kanamycin (A)

ATC: A07AA08; J01GB04
Use: antibiotic

RN: 59-01-8 MF: $C_{18}H_{36}N_4O_{11}$ MW: 484.50 EINECS: 200-411-7
LD_{50}: 115 mg/kg (M, i.v.); 20.7 mg/kg (M, p.o.);
437 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: *O*-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-*O*-[6-amino-6-deoxy-α-D-glucopyranosyl-(1→4)]-2-deoxy-D-streptamine

sulfate (1:1)
RN: 25389-94-0 MF: $C_{18}H_{36}N_4O_{11} \cdot H_2SO_4$ MW: 582.58 EINECS: 246-933-9



Kanamycin (A)

From fermentation solutions of *Streptomyces kanamyceticus*.

Reference(s):
US 2 931 798 (H. Umezawa, K. Maeda, M. Meda; 5.4.1960; J-prior. 5.9.1956).
US 2 936 307 (Bristol-Myers; 1960; appl. 1957).
US 2 967 177 (Bristol-Myers; 1961; appl. 1958).
US 3 032 547 (Merck & Co.; 1962; appl. 1958).

Formulation(s): amp. 1 g (as sulfate); cream 5 mg/g; eye drops 5 mg/ml

Trade Name(s):

D: Kanamycin-POS (Ursapharm)
Kanamytrex (Alcon)
Kana-Ophthal (Winzer; as sulfate)

F: Kamycine (Bristol-Myers Squibb)
Stérimycine (CIBA Vision Ophthalmics)-comb.

GB: Kannasyn (Sanofi Winthrop)

I: Dermaflogil (Nuovo Cons. Sanit. Naz.)-comb.
Fluomicetina (Zoja)-comb.
Kanaderm (Firma)-comb.
Kanamicina Firma (Firma)
Kanatrombina (Baldacci)-comb.
Kanazone (SIT)-comb.
Keimicina (Boehringer Mannh.)
Roseomix (Farmigea)-comb.
generic

J: Kanacillin (Banyu; Meiji)-comb.
Kanacyclin (Banyu; Meiji)-comb.
Kanafuracin (Fujita)-comb.
Kanamycin (Banyu; Meiji; Tanabe; Yamanouchi)
generic

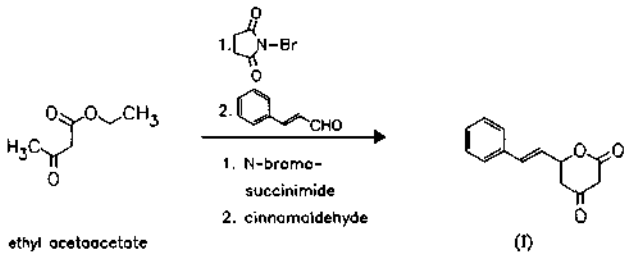
USA: Kantrex (Bristol); wfm
generic

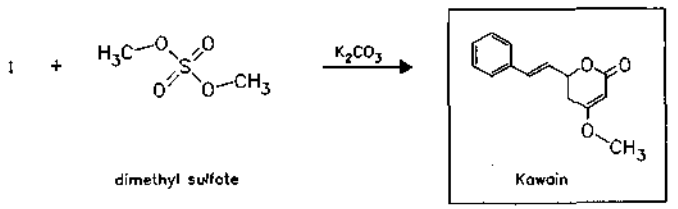
Kawain

(Kavain; Cavain)

ATC: C04
Use: anticonvulsant, psychotonic

RN: 500-64-1 MF: $C_{14}H_{14}O_3$ MW: 230.26 EINECS: 207-907-2
LD_{50}: 69 mg/kg (M, i.v.); 1130 mg/kg (M, p.o.)
CN: [*R*-(*E*)]-5,6-dihydro-4-methoxy-6-(2-phenylethenyl)-2*H*-pyran-2-one





Reference(s):
FR 1 526 596 (Spezialchemie; appl. 9.6.1967; D-prior. 29.7.1966).

alternative syntheses:
Fowler, E.M.; Henbest, H.B.: J. Chem. Soc. (JCSOA9) **1950**, 3642 (racemate).
Kostermans, D.G.F.R.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **70**, 79 (1951) (racemate).

isolation of (+)-kawain:
Borsche, W.; Peitzsch, W.: Ber. Dtsch. Chem. Ges. (BDCGAS) **63**, 2414 (1930).

absolute configuration:
Snatzke, G.; Hansel, R.: Tetrahedron Lett. (TELEAY) **1968**, 1797.

preparation of an endoanesthetic effective solution:
GB 1 214 936 (Spezialchemie; valid from 5.6.1968; D-prior. 5.6.1967).

review:
Kretzschmer, R.; Teschendorf, H.J.: Chem.-Ztg. (CMKZAT) **98**, 24 (1974).

Formulation(s): cps. 30 mg, 50 mg, 200 mg

Trade Name(s):

D: Ardeydystin (Ardeypharm)-comb.; wfm
Duront (Woelm)-comb.; wfm
Kavaform (Dr. Schwab)-comb.
Neuronika (Klinge)

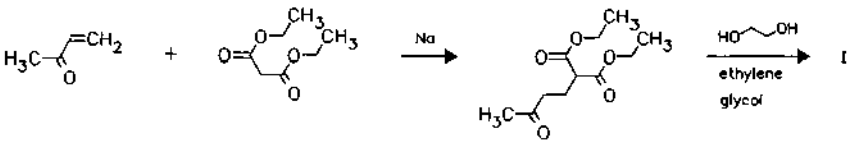
Kebuzone

(Cetophenylbutazone; Ketophenylbutazon)

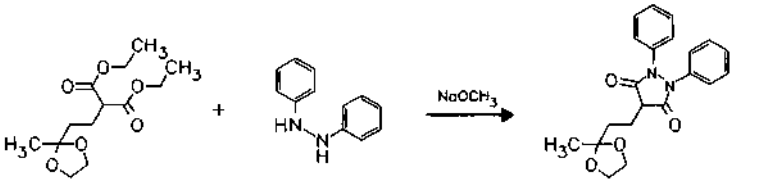
ATC: M01AA06
Use: anti-inflammatory, antirheumatic

RN: 853-34-9 MF: $C_{19}H_{18}N_2O_3$ MW: 322.36 EINECS: 212-715-7
LD_{50}: 580 mg/kg (M, i.v.); 750 mg/kg (M, p.o.);
315 mg/kg (R, i.v.); 720 mg/kg (R, p.o.)
CN: 4-(3-oxobutyl)-1,2-diphenyl-3,5-pyrazolidinedione

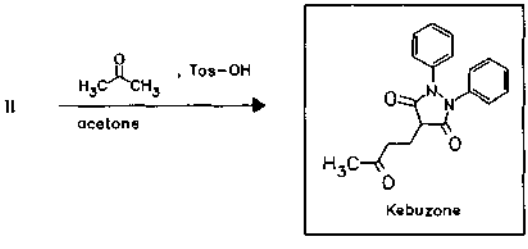
(a)



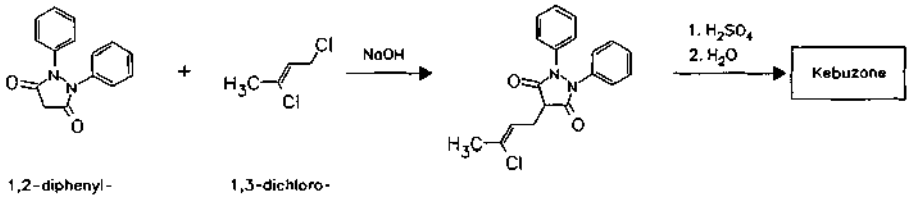
methyl vinyl ketone | diethyl malonate | diethyl 2-(3-oxobutyl)malonate



diethyl 2-(3,3-ethylenedioxybutyl)-malonate (I) | hydrazobenzene



(b)



1,2-diphenyl-pyrazolidine-3,5-dione (form hydrazobenzene and diethyl malonate) | 1,3-dichloro-2-butene

Reference(s):

a Denss, R. et al.: Helv. Chim. Acta (HCACAV) **40**, 402 (1957).

starting material:
Kühn, M.: J. Prakt. Chem. (JPCPAO) **156** (II), 103 (1940).
b AT 198 263 (Synfarma; appl. 1955).

Formulation(s): amp. 1 g/5 ml; cps. 250 mg

Trade Name(s):

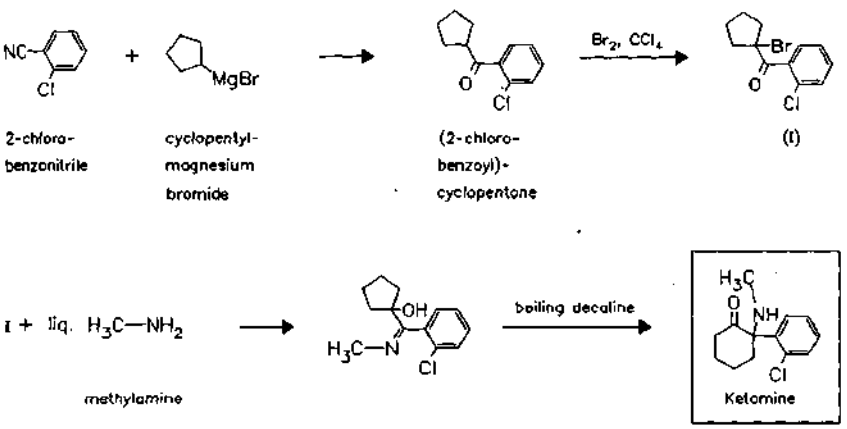
D:	Kebuzon (Steiner)	Kentan-S (Sawai)	Ketobutane (Yamagata)
F:	Ketazone (Beytout); wfm	Ketazon (Kyowa)	Ketobutazone (Sato; Toho)
I:	Chetopir (Sarm); wfm	Ketazone (Kyowa Hakko)	Ketophezon (Kissei)
J:	Hichillos (Kotani)	Ketobutan (Santen)	Vintop (Maruko)

Ketamine

ATC: N01AX03
Use: analgesic, anesthetic

RN: 6740-88-1 MF: $C_{13}H_{16}ClNO$ MW: 237.73 EINECS: 229-804-1
LD_{50}: 77 mg/kg (M, i.v.)
CN: (±)-2-(2-chlorophenyl)-2-(methylamino)cyclohexanone

monohydrochloride
RN: 1867-66-9 MF: $C_{13}H_{16}ClNO \cdot HCl$ MW: 274.19 EINECS: 217-484-6



Reference(s):
US 3 254 124 (Parke Davis; 31.5.1966; prior. 31.7.1961, 29.6.1962).
BE 634 208 (Parke Davis; appl. 27.6.1963; USA-prior. 29.6.1962).

Formulation(s): amp. 50 mg/5 ml, 100 mg/2 ml, 500 mg/10 ml; inj. 5 mg/ml, 10 mg/ml, 25 mg/ml, 50 mg/ml (as hydrochloride)

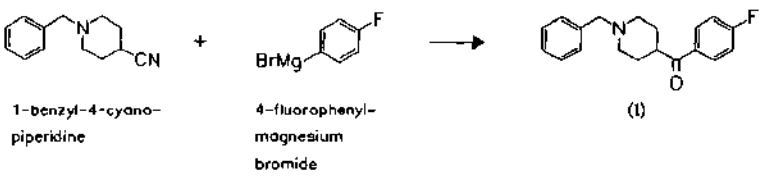
Trade Name(s):

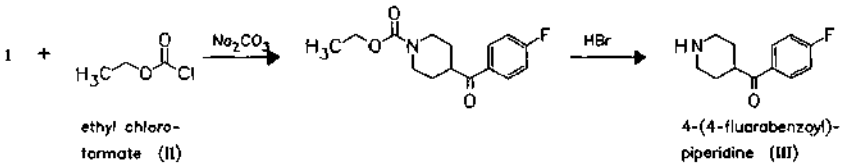
D:	Ketanest (Parke Davis; as hydrochloride)		Kétamine Panpharma (Panpharma; as hydrochloride)	Ketalar (Parke Davis); wfm
	Velonarcon (ASTA Medica AWD; as hydrochloride)	GB:	Ketalar (Parke Davis)	Ketalar (Parke Davis; as hydrochloride); wfm
F:	Kétalar (Parke Davis; as hydrochloride)	I:	Ketalar (Parke Davis)	Ketaset (Bristol-Myers Squibb; as hydrochloride); wfm
		J:	Ketalar (Sankyo)	
		USA:	Ketaject (Bristol); wfm	

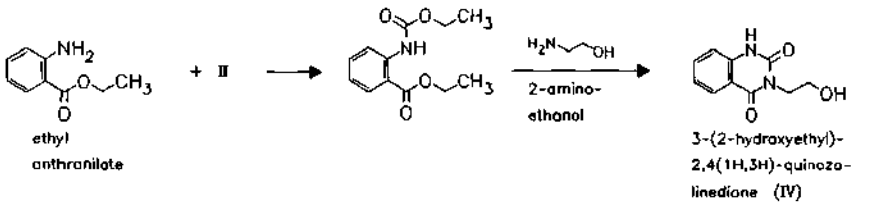
Ketanserin

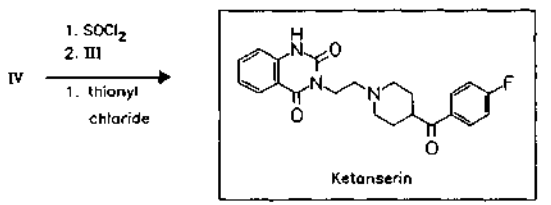
ATC: C02KD01
Use: antihypertensive

RN: 74050-98-9 MF: $C_{22}H_{22}FN_3O_3$ MW: 395.43 EINECS: 277-680-2
CN: 3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-2,4(1*H*,3*H*)-quinazolinedione









Reference(s):
EP 13 612 (Janssen; appl. 7.1.1980; USA-prior. 8.1.1979, 12.10.1979).
US 4 335 127 (Janssen; 15.6.1982; prior. 8.1.1979, 12.10.1979).

alternative synthesis:
EP-appl. 98 499 (Ravizza; appl. 27.6.1983; I-prior. 6.7.1982).

Formulation(s): amp. 10 mg/2 ml, 50 mg/10 ml; tabl. 20 mg, 40 mg

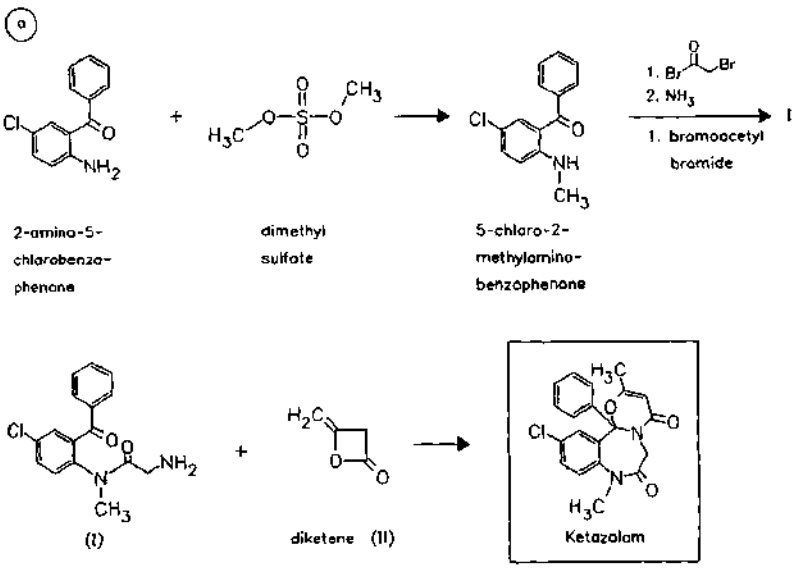
Trade Name(s):

I:	Perketan (Inverni della Beffa)	Serepress (Formenti)
		Sufrexal (Janssen; 1987)

Ketazolam

ATC: N05BA10
Use: tranquilizer

RN: 27223-35-4 MF: $C_{20}H_{17}ClN_2O_3$ MW: 368.82 EINECS: 248-346-3
LD_{50}: 2 g/kg (M, p.o.);
5 g/kg (R, p.o.)
CN: 11-chloro-8,12b-dihydro-2,8-dimethyl-12b-phenyl-4*H*-[1,3]oxazino[3,2-*d*][1,4]benzodiazepine-4,7(6*H*)-dione



Reference(s):

a US 3 575 965 (Upjohn; 20.4.1971; prior. 20.10.1969).

b Szmuskovicz, J. et al.: Tetrahedron Lett. (TELEAY) **1971**, 3665.
DOS 1 947 226 (Upjohn; appl. 18.9.1969; USA-prior. 19.9.1968, 27.3.1969).

Formulation(s): cps. 15 mg, 30 mg, 45 mg

Trade Name(s):

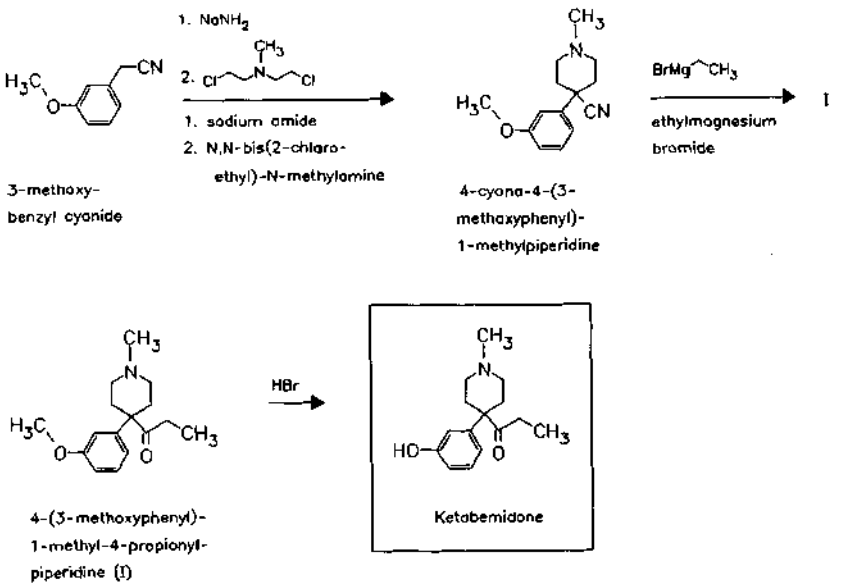
D:	Contamex (Beecham-Wülfing); wfm	GB:	Anxon (Beecham); wfm
		I:	Anseren (Novartis)

Ketobemidone
(Cetobemidone)

ATC: N02AB01
Use: analgesic

RN: 469-79-4 MF: $C_{15}H_{21}NO_2$ MW: 247.34 EINECS: 207-421-0
LD_{50}: 14 mg/kg (M, i.v.);
10 mg/kg (R, i.v.)
CN: 1-[4-(3-hydroxyphenyl)-1-methyl-4-piperidinyl]-1-propanone

hydrochloride
RN: 5965-49-1 MF: $C_{15}H_{21}NO_2 \cdot HCl$ MW: 283.80



Reference(s):
DRP 752 755 (I. G. Farben; appl. 1942).

alternative synthesis:
Kägi, H.; Miescher, K.: Helv. Chim. Acta (HCACAV) **32**, 2489 (1949).

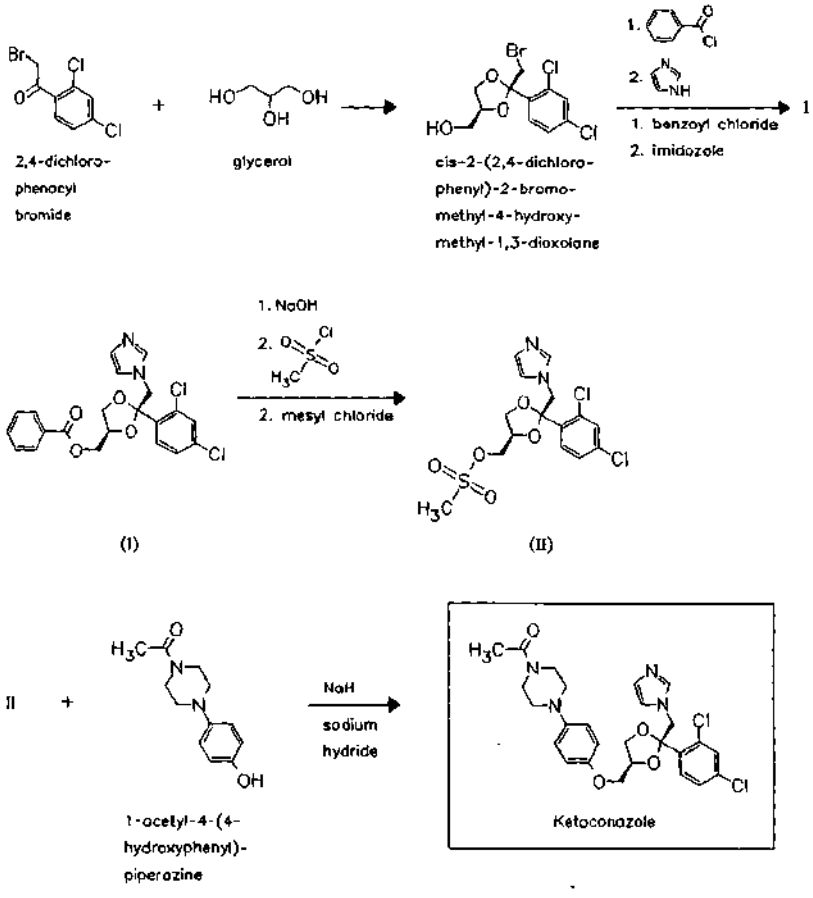
Formulation(s): amp. 2 mg/2 ml, 10 mg/10 ml, 30 mg/50 ml; tabl. 5 mg (as hydrochloride)

Trade Name(s):
D: Cliradon (Ciba); wfm

Ketoconazole

ATC: D01AC08; G01AF11; J02AB02
Use: antimycotic

RN: 65277-42-1 MF: $C_{26}H_{28}Cl_2N_4O_4$ MW: 531.44 EINECS: 265-667-4
LD_{50}: 32 mg/kg (M, i.v.); 618 mg/kg (M, p.o.);
86 mg/kg (R, i.v.); 166 mg/kg (R, p.o.);
23.3 mg/kg (dog, i.v.); 178 mg/kg (dog, p.o.)
CN: *cis*-1-acetyl-4-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-imidazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]piperazine



Reference(s):
DOS 2 804 096 (Janssen; appl. 31.1.1978; USA-prior. 31.1.1977, 21.11.1977).
US 4 335 125 (Janssen; 15.6.1982; prior. 31.1.1977).

Formulation(s): cream 20 mg/g (2 %); shampoo 2 %; sol. 20 mg/ml; susp. 100mg; tabl. 200 mg

Trade Name(s):

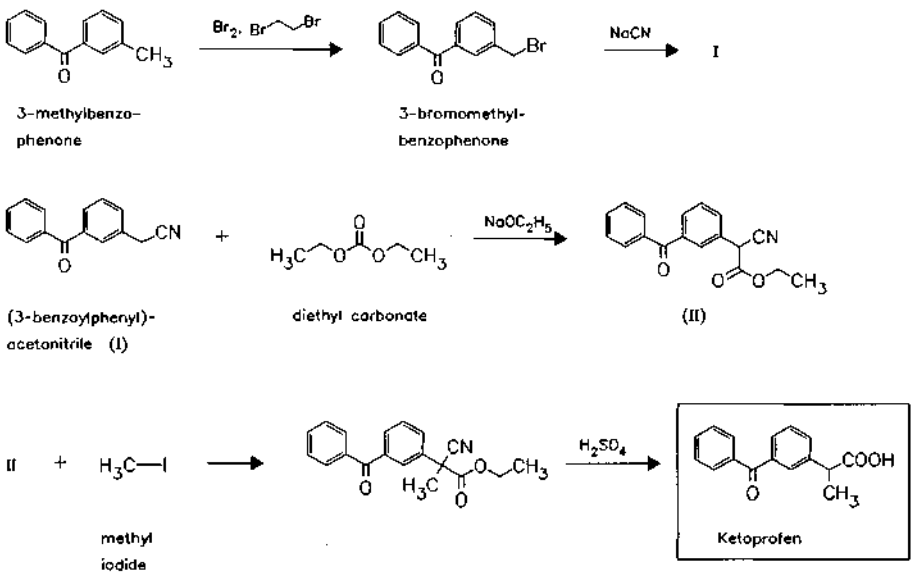
D:	Nizoral (Janssen-Cilag; 1981)		Nizoral (Janssen-Cilag; 1983)	I:	Nizoral (Janssen; 1983)
	Terzolin (Janssen-Cilag)	GB:	Nizoral (Janssen-Cilag; 1981)	J:	Nizoral (Kyowa Hakko)
F:	Kétoderm (Janssen-Cilag)			USA:	Nizoral (Janssen; 1981)

Ketoprofen

ATC: M01AE03; M02AA10
Use: analgesic, anti-inflammatory

RN: 22071-15-4 MF: $C_{16}H_{14}O_3$ MW: 254.29 EINECS: 244-759-8
LD_{50}: 500 mg/kg (M, i.v.); 360 mg/kg (M, p.o.);
350 mg/kg (R, i.v.); 62.4 mg/kg (R, p.o.)
CN: 3-benzoyl-α-methylbenzeneacetic acid

lysine salt
RN: 57469-78-0 MF: $C_{22}H_{28}N_2O_5$ MW: 400.48



Reference(s):
US 3 641 127 (Rhône-Poulenc; 8.2.1972; F-prior. 27.1.1967).
DE 1 668 648 (Rhône-Poulenc; appl. 26.1.1968; F-prior. 27.1.1967).

alternative syntheses:
DOS 2 646 792 (Mitsubishi Petrochemical; appl. 16.10.1976; J-prior. 23.10.1975, 31.7.1976).
US 4 097 522 (Aziende Chim. Riun. Angelini Francesco; 27.6.1978; I-prior. 5.6.1975).
DOS 2 744 832 (LEK; appl. 5.10.1977; YU-prior. 18.10.1976).
DOS 2 744 833 (LEK; appl. 5.10.1977; YU-prior. 18.10.1976).
DOS 2 744 834 (LEK; appl. 5.10.1977; YU-prior. 18.10.1976).

Formulation(s): cps. 50 mg, 100 mg; gel 2.5 %; s. r. cps. 200 mg, 320 mg (as lysine salt); suppos. 30.6 mg, 100 mg; vial 100 mg

Trade Name(s):

D: Alrheumun (Bayer Vital; 1975)
Orudis (Rhône-Poulenc Rorer)

F: Bi-Profénid (Specia)
Kétum (Ménarini)
Profénid (Specia)
Toprec (Théraplix)

GB: Ketocid (Trinity)
Orudis (Rhône-Poulenc Rorer)
Oruvail (Rhône-Poulenc Rorer)
Powergel (Searle)

I: Artrosilene (Dompé; as lysine salt)
Fastum (Menarini)
Flexen (Lifepharma)
Isok (San Carlo)
Ketartrium (Esseti)
Ketodol (Drug Research)
Ketofen (Delsaz & Filippini; as lysine salt)
Meprofen (AGIPS)
Orudis (Rhône-Poulenc Rorer)
Profenil (Drug Research)
Reuprofen (Terapeutico M.R.)
Salient (Biomedica Foscama)
Sinketol (Locatelli)

J: Capisten (Kissei)
Orudis (Hokuriku)

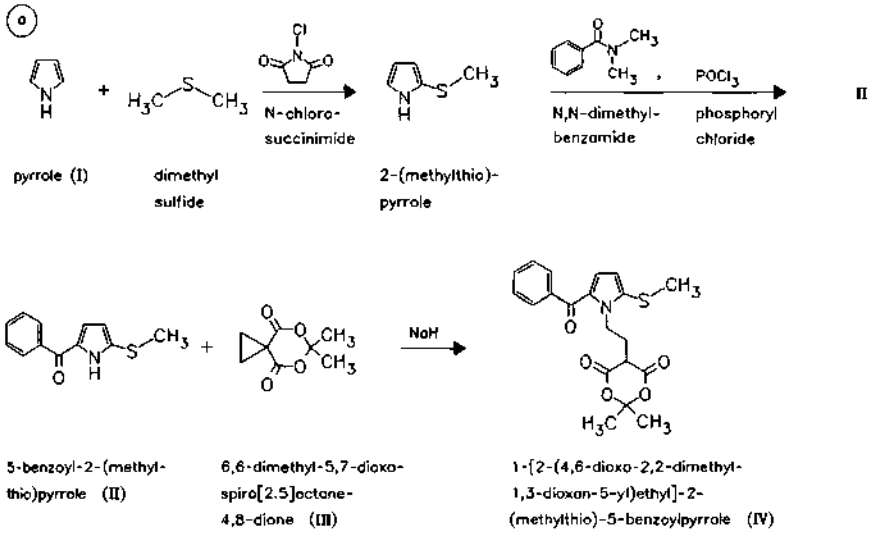
USA: Orudis (Wyeth-Ayerst; 1977)
Oruvail (Wyeth-Ayerst)

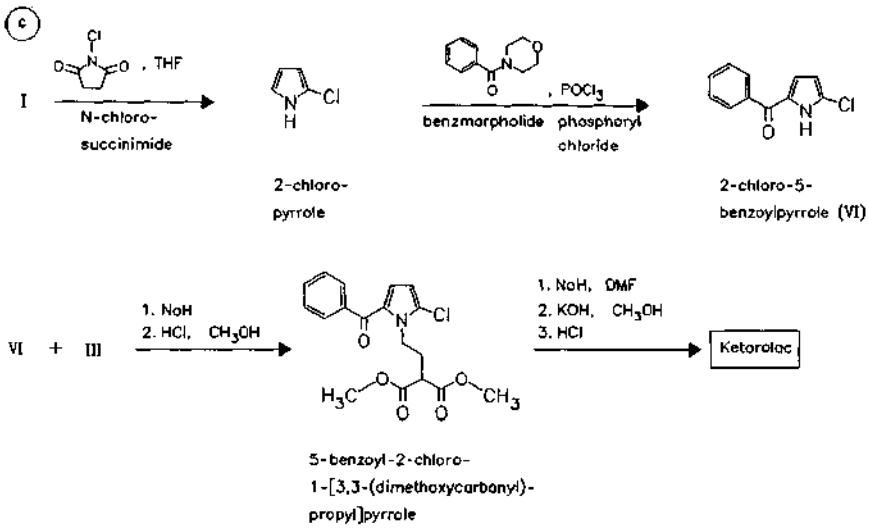
Ketorolac

ATC: M01AB15; N02BE; S01BC05
Use: non-steroidal anti-inflammatory, analgesic

RN: 74103-06-3 MF: $C_{15}H_{13}NO_3$ MW: 255.27
LD_{50}: 200 mg/kg (M, p.o.)
CN: (±)-5-benzoyl-2,3-dihydro-1*H*-pyrrolizine-1-carboxylic acid

tromethamine salt
RN: 74103-07-4 MF: $C_{15}H_{13}NO_3 \cdot C_4H_{11}NO_3$ MW: 376.41
monosodium salt
RN: 110618-38-7 MF: $C_{15}H_{12}NNaO_3$ MW: 277.26





Reference(s):
a,**b** US 4 347 186 (Syntex; 31.8.1982; appl. 20.10.1980).
US 4 458 081 (Syntex; 3.7.1984; appl. 11.6.1982; prior. 20.10.1980).
c US 4 873 340 (Syntex; 10.10.1989; appl. 29.5.1986).

alternative synthesis:
DE 2 760 330 (Syntex; appl. 13.7.1977; USA-prior. 14.7.1976, 23.2.1977).
DE 2 731 678 (Syntex; appl. 13.7.1977; USA-prior. 14.7.1976, 23.2.1977).
US 4 087 539 (Syntex; 5.2.1978; appl. 23.2.1977; prior. 14.7.1976).
US 4 089 969 (Syntex; 16.5.1978; appl. 23.2.1977; prior. 14.7.1976; 23.2.1977).
US 4 097 579 (Syntex; 27.6.1978; appl. 31.3.1977).
Muchowski, J.M. et al.: J. Med. Chem. (JMCMAR) **28**, 1037 (1985).

synthesis of enantiomers:
EP 264 429 (Wisconsin Alu. Res. Found.; appl. 2.4.1987; USA-prior. 6.11.1986, 16.4.1986).
Gazman, A. et al.: J. Med. Chem. (JMCMAR) **29**, 589 (1986).

Formulation(s): amp. 10 mg, 15 mg, 30 mg; eye drops 0.5 %; suppos. 30 mg; tabl. 10 mg (as tromethamine salt)

Trade Name(s):

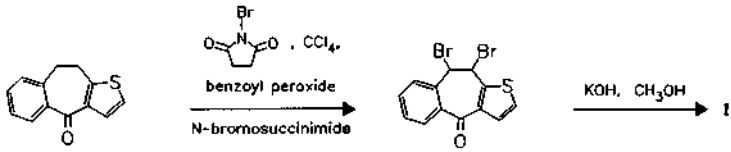
D:	Acular (Pharm-Allergan)		Toradol (Recordati; 1990)		Toradol IM (Syntex; Roche; 1990)
GB:	Acular (Allergan)		Toradol IM (Recordati; 1990)		
	Toradol (Roche)				
I:	Lixidol (Farmitalia)	USA:	Acular (Allergan)		

Ketotifen

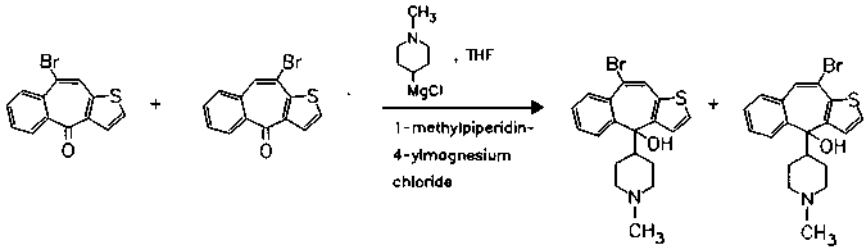
ATC: R06AX17; S01GX08
Use: antiasthmatic, antihistaminic

RN: 34580-13-7 MF: $C_{19}H_{19}NOS$ MW: 309.43 EINECS: 252-099-7
LD_{50}: 179 mg/kg (M, p.o.)
CN: 4,9-dihydro-4-(1-methyl-4-piperidinylidene)-10*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophen-10-one

fumarate
RN: 34580-14-8 MF: $C_{23}H_{23}NO_5S$ MW: 425.51

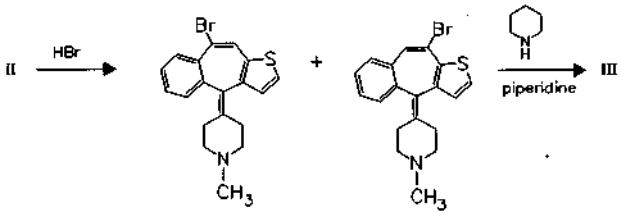


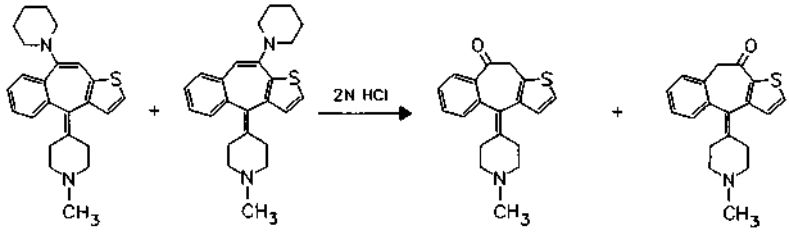
4-oxo-9,10-dihydro-4H-benzo[4.5]cyclohepta[1.2-b]thiophene (cf. pizotifen synthesis)



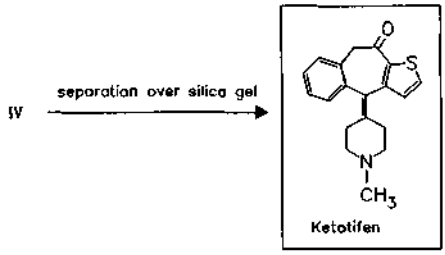
9- and 10-bromo-4-oxo-4H-benzo[4.5]cyclohepta[1.2-b]thiophene (I)

(II)





(III) (IV)



Reference(s):
DAS 2 111 071 (Sandoz; appl. 9.3.1971; CH-prior. 11.3.1970, 31.7.1970).
US 3 682 930 (Sandoz; 8.8.1972; CH-prior. 11.3.1970, 31.7.1970).
DOS 2 144 490 (Sandoz; appl. 6.9.1971; CH-prior. 24.9.1970, 4.2.1971).
US 3 749 786 (Sandoz; 8.8.1972; CH-prior. 11.3.1970).

alternative syntheses:
DOS 2 302 970 (Sandoz; appl. 22.1.1973; CH-prior. 24.1.1972).
US 3 960 894 (Sandoz; 1.6.1976; CH-prior. 21.1.1972).
US 4 128 549 (Sandoz; 5.12.1978; prior. 19.1.1973, 26.7.1974, 27.2.1976, 3.2.1977).

medical use as antiasthmatic:
US 4 073 915 (Sandoz; 14.2.1978; CH-prior. 20.5.1975).

Formulation(s): cps. 1 mg; syrup 0.02 %; tabl. 1 mg, 2 mg (as fumarate)

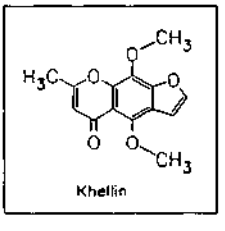
Trade Name(s):

D:	Airvitess (Farmasan)		generics		Zaditen (Sandoz)
	Astifat (Fatol)	F:	Zaditen (Novartis; 1980)	J:	Zaditen (Sandoz-Sankyo; 1983)
	Zaditen (Novartis Pharma; 1979)	GB:	Zaditen (Novartis; 1979)		
	Zatofug (Wolff)	I:	Allerkif (Edmond)		
			Totifen (Master Pharma)		

Khellin

ATC: M03
Use: vasodilator

RN: 82-02-0 MF: $C_{14}H_{12}O_5$ MW: 260.25 EINECS: 201-392-8
LD_{50}: 30.6 mg/kg (M, i.v.); 50.8 mg/kg (M, p.o.);
34.4 mg/kg (R, i.v.); 68.8 mg/kg (R, p.o.)
CN: 4,9-dimethoxy-7-methyl-5*H*-furo[3,2-*g*][1]benzopyran-5-one



Khellin

Isolation from ethanolic extracts of fruits of Umbellifera *Ammi visnaga*.

Reference(s):
Späth, E.; Gruber, W.: Ber. Dtsch. Chem. Ges. (BDCGAS) **71**, 106 (1938).
Abu-Shady, H.; Soine, T.O.: J. Am. Pharm. Assoc. (JPHAA3) **41**, 481 (1952).

total syntheses:
Baxter, R.H. et al.: J. Chem. Soc. (JCSOA9) **1949**, 30.
Clarke, J.R.; Robertson, A.: J. Am. Chem. Soc. (JACSAT) **71**, 362 (1949).
Clarke, J.R.; Robertson, A.: J. Chem. Soc. (JCSOA9) **1949**, 302.
Geissman, T.A.; Halsall, T.G.: J. Am. Chem. Soc. (JACSAT) **73**, 1280 (1951).

Formulation(s): cps. 10 mg, 12 mg; drg. 1.25 mg, 10 mg; drops 50 mg/100 ml; ointment 0.1 g/100 g, 0.01 g/100 g; sol. 0.025 g/100 ml; suppos. 2.5 mg, 5 mg; tabl. 25 mg

Trade Name(s):

D:
Athmakhell (Steigerwald); wfm
Bilicordan (Repha); wfm
Broncaid (Rhône-Poulenc Pharma); wfm
Cardiopax (Wider); wfm
Coronar-Homocent (Fides); wfm
Coropar (Redel); wfm
Farctil (Gewo); wfm
Hyperidyst II (Vogel & Weber); wfm
Iosimitan (Wider); wfm
Keldrin (Thiemann); wfm
Puraeton E (Dolorgiet); wfm
Solamin (Ardeypharm); wfm

F:
Khelline Promethazine Berthier (Labaz); wfm

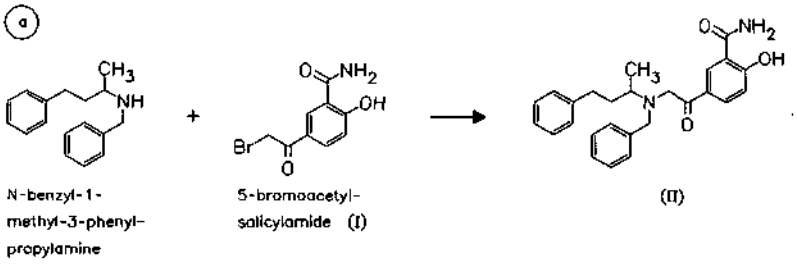
I:
Kellina (UCB); wfm
Nefrolitin (Geymonat)-comb.; wfm
Vasokellina papaverina (Angelini)-comb.; wfm

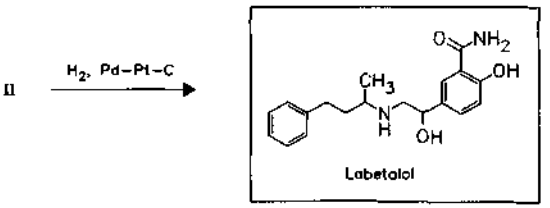
Labetalol

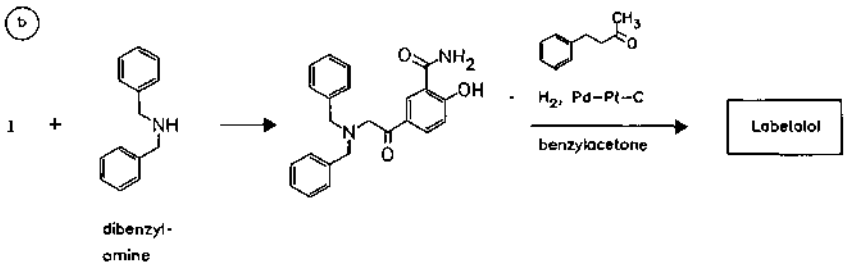
ATC: C07AG01
Use: antihypertensive (α- and β-receptor blocker)

RN: 36894-69-6 MF: $C_{19}H_{24}N_2O_3$ MW: 328.41 EINECS: 253-258-3
LD_{50}: 97.5 mg/kg (M, i.v.); 660 mg/kg (M, p.o.);
>50 mg/kg (R, i.v.); >2 g/kg (R, p.o.)
CN: 2-hydroxy-5-[1-hydroxy-2-[(1-methyl-3-phenylpropyl)amino]ethyl]benzamide

hydrochloride
RN: 32780-64-6 MF: $C_{19}H_{24}N_2O_3 \cdot HCl$ MW: 364.87 EINECS: 251-211-1
LD_{50}: 47 mg/kg (M, i.v.); 1.45 g/kg (M, p.o.);
53 mg/kg (R, i.v.); 2.114 g/kg (R, p.o.);
>1.5 g/kg (dog, p.o.)







Reference(s):
US 4 012 444 (Allen & Hanburys;.15.3.1977; prior. 29.6.1970).
US 4 066 755 (Allen & Hanburys; 3.1.1978; GB-prior. 30.11.1973).
DOS 2 032 642 (Allen & Hanburys; appl. 1.7.1970; GB-prior. 8.7.1969).
DAS 1 643 224 (Allen & Hanburys; appl. 22.9.1967; GB-prior. 23.9.1966, 21.4.1967).
US 3 705 233 (Allen & Hanburys; 5.12.1972; GB-prior. 23.9.1966).

Formulation(s): amp. 5 mg/ml, 50 mg, 100 mg; tabl. 50 mg, 100 mg, 200 mg, 300 mg, 400 mg (as hydrochloride)

Trade Name(s):

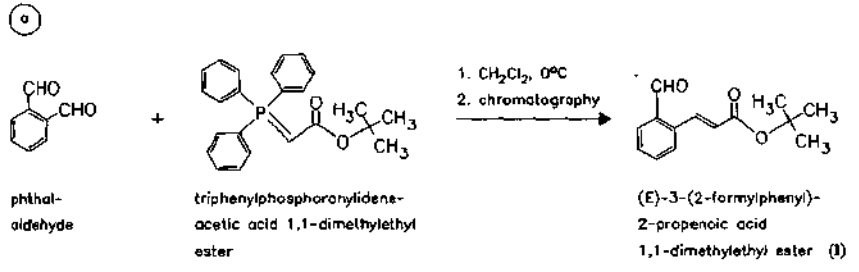
D: Trandate (Glaxo; 1978); wfm
F: Trandate (Novartis; 1980)
GB: Trandate (Evans; 1977)
I: Abetol (CT)
Alfabetal (Mitim)
Amipres (Salus Research)
Biotens (Kemyos)-comb.
Diurolab (Leben's)-comb.
Ipolab (Leben's)
Lolum (Lifepharma)
Pressalolo (Locatelli)
Trandate (Glaxo Wellcome)
Trandiur (Glaxo Wellcome)-comb.
J: Trandate (Shim Nihon Jitsugyo-Graxo)
USA: Normodyne (Schering-Plough; 1984)
Trandate (Glaxo Wellcome; 1984)

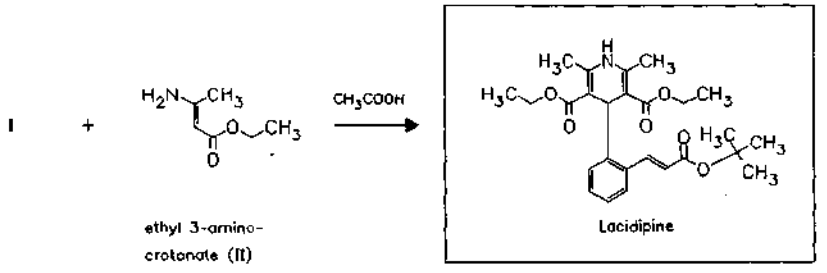
Lacidipine

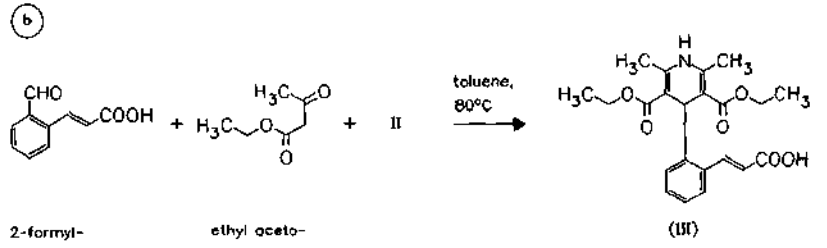
(Lacipil; GR-43659X; GX-1048; SN-305)

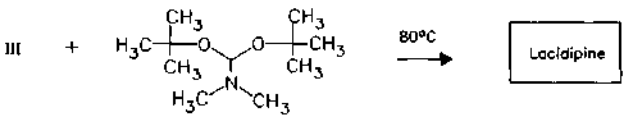
ATC: C02DE; C08CA09
Use: once-daily calcium antagonist, antihypertensive

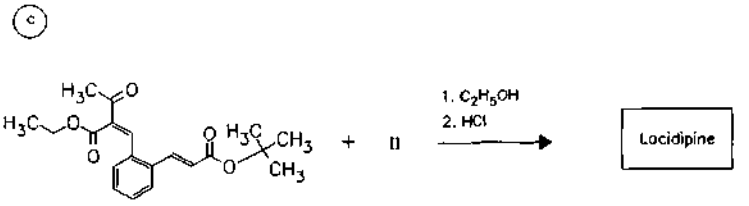
RN: 103890-78-4 MF: $C_{26}H_{33}NO_6$ MW: 455.55
LD_{50}: 3150 mg/kg (M, p.o.);
880 mg/kg (R, p.o.)
CN: (*E*)-4-[2-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]phenyl]-1,4-dihydro-2,6-dimethyl-3,5-pyridinedicarboxylic acid diethyl ester











Reference(s):

a DE 3 529 997 (Glaxo; appl. 22.8.1985; I-prior. 22.8.1984; 5.7.1985).
US 4 801 599 (Glaxo; 31.1.1989; appl. 20.8.1985; I-prior. 22.8.1984, 5.7.1985).
US 5 011 848 (Glaxo; 30.4.1990; appl. 23.1.1989; prior. 20.8.1985; I-prior. 22.8.1984, 5.7.1985).

b EP 370 974 (Glaxo; appl. 21.11.1989; I-prior. 21.11.1989).

c EP 534 520 (Merck + Co.; appl. 5.9.1992; USA-prior. 13.9.1991, 28.7.1992).

lacidipine *for treating arteriosclerosis:*
EP 499 920 (Glaxo; appl. 8.2.1992; I-prior. 13.2.1991).

long-acting formulation for dihydropyridines:
EP 301 133 (Syntex; appl. 21.12.1987; USA-prior. 26.7.1987).

prolonged-release oral pharmaceuticals:
EP 557 244 (Siegfried Pharma; appl. 3.2.1993; CH-prior. 17.2.1993).

process for preparation of solid dispersions:
WO 9 508 987 (KRKA Tovarna; appl. 26.9.1994; SI-prior. 28.9.1993).

Formulation(s): tabl. 2 mg, 4 mg

Trade Name(s):

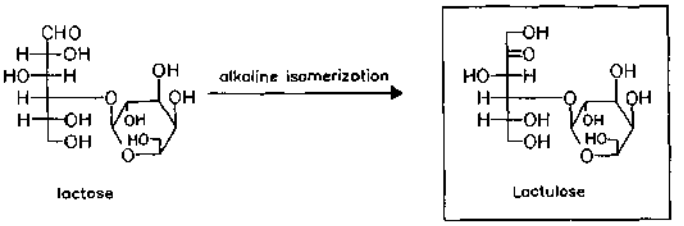
D:	Motens (Boehringer Ing.)	I:	Apanil (Fidia)	Viapres (Zambon; 1991)
F:	Caldine (Boehringer Ing.)		Lacipil (Glaxo Wellcome)	
GB:	Motens (Boehringer Ing.)		Lacirex (Guidotti; 1991)	

Lactulose

(Laktulose)

ATC: A06AD11
Use: laxative

RN: 4618-18-2 MF: $C_{12}H_{22}O_{11}$ MW: 342.30 EINECS: 225-027-7
CN: 4-*O*-β-D-galactopyranosyl-D-fructose



Reference(s):
Montgomery, E.M.; Hudson, C.S.: J. Am. Chem. Soc. (JACSAT) **52**, 2101 (1930).

use of alkali aluminate:
US 3 546 206 (Kraftco; 8.12.1970; prior. 20.9.1967).
US 3 850 905 (Kraftco; 26.11.1974; prior. 30.12.1972).

use of borax *and* NaOH:
JP 7 700 091 (Morinaga Milk Ind.; appl. 13.4.1971).

use of MgO, $MgCO_3$:
ES 397 810 (Jalup Jaures; appl. 26.11.1971).

use of alkali tetraborate:
US 3 505 309 (Research Corp.; 7.4.1970; prior. 25.9.1967).

use of alkali metal hydroxide or ammonia:
DOS 2 038 230 (Hayashibora; appl. 31.7.1970; J-prior. 31.7.1969, 2.8.1969).

lactulose *syrup:*
DOS 2 224 680 (Morinaga Milk Ind.; appl. 19.5.1972; J-prior. 22.5.1971).

lactulose *powder:*
DAS 1 189 839 (N.V. Tervalon; appl. 20.4.1961; N-prior. 22.4.1960).
DOS 2 153 106 (Morinaga Milk Ind.; appl. 25.10.1971; J-prior. 31.5.1971).
BE 843 777 (Morinaga Milk Ind.; appl. 5.7.1976; J-prior. 4.7.1975, 8.7.1975).
DOS 2 038 230 (Hayashibara; appl. 31.7.1970; J-prior. 31.7.1969, 2.8.1969).

crystalline lactulose:
US 5 003 061 (SIRAC; 26.3.1991; I-prior. 1.12.1987).
AT 327 224 (Laevosan; appl. 12.10.1973; valid from 15.4.1975).
Osten, B.J.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **86**, 673 (1967).
CS 161 498 (M. Tadra et al.; appl. 24.5.1973).

Formulation(s): gran. 3 g, 5 g, 6 g, 10 g; syrup 3.33 g, 50 %, 66.7 %

Trade Name(s):

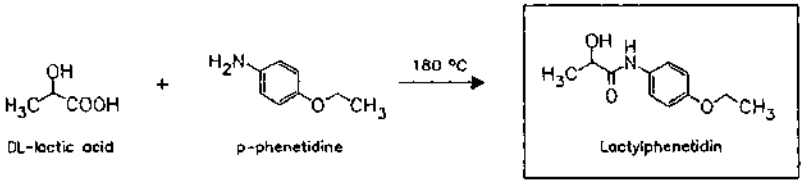
D:	Bifiteral (Solvay Arzneimittel)		Fitaxal (Phygiène)		Epalfen (Zambon)
	Eugalac (Töpfer)		Melaxose (Boehringer Ing.)-comb.		Lactoger (Ripari-Gero)
	Lactofalk (Falk)		Transulose (Schwarz)-comb.		Lacvolac (Boehringer Mannh.)
	Lactuflor (MIP Pharma)				Lassifar (Lafare)
	Lacvilac S (Fresenius-Praxis)	GB:	Duphalac (Solvay)		Normase (Molteni)
			Lactugal (Galen)		Osmolac (Savio IBN)
	generic	I:	Diacolon (Piam)	USA:	Duphalac (Solvay)
F:	Duphalac (Solvay Pharma)		Duphalac (UCM)		Ixxose (ECR)

Lactylphenetidin

(Lactophenin)

ATC: N02B
Use: analgesic, antipyretic, antirheumatic

RN: 539-08-2 MF: $C_{11}H_{15}NO_3$ MW: 209.25 EINECS: 208-708-3
CN: *N*-(4-ethoxyphenyl)-2-hydroxypropanamide



DL-lactic acid p-phenetidine Lactylphenetidin

Reference(s):
DRP 70 250 (Chem. Fabr. formerly Goldenberg Geromont; 1892).

Formulation(s): tabl. 200 mg

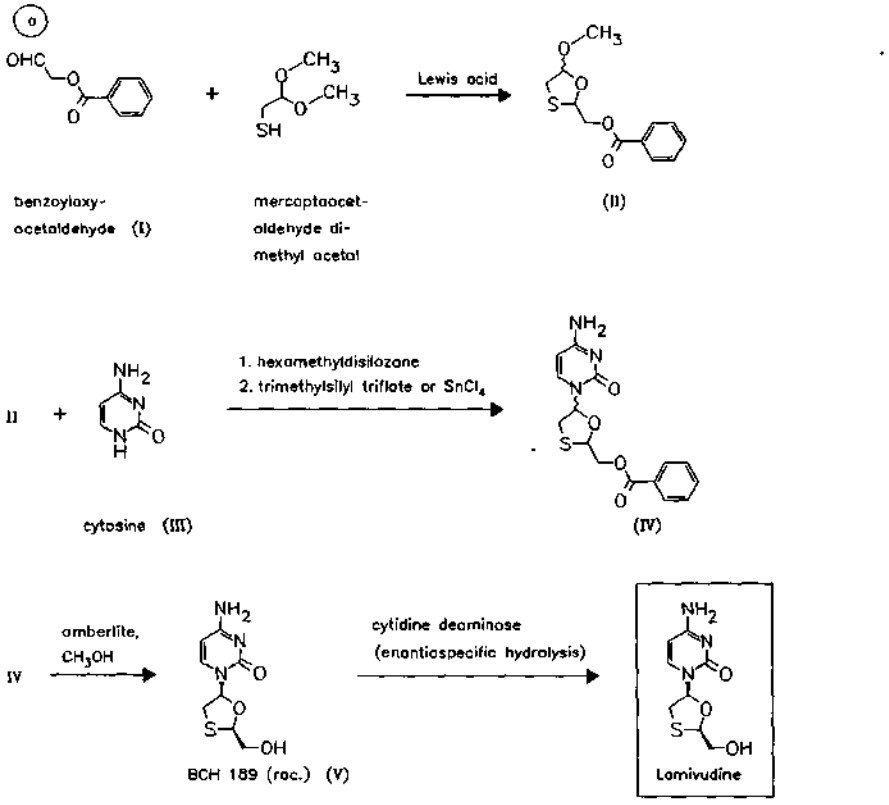
Trade Name(s):
D: Octadon (Thiemann)-comb.; wfm
Quadronal (ASTA)-comb.; wfm

Lamivudine
(3 TC; BCH-790; GR-109714X; (–)sddc)

ATC: J05AF05
Use: antiviral, reverse transcriptase inhibitor

RN: 134678-17-4 MF: $C_8H_{11}N_3O_3S$ MW: 229.26
CN: (2*R*-*cis*)-4-amino-1-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1*H*)-pyrimidinone

(2*S*-*cis*)-form
RN: 134680-32-3 MF: $C_8H_{11}N_3O_3S$ MW: 229.26
racemate
RN: 136891-12-8 MF: $C_8H_{11}N_3O_3S$ MW: 229.26



(b)

V + trimethyl phosphate ($POCl_3$) → (VI)

VI → (1. 5'-nucleotidase from Crotalus atrox; 2. bacterial alkaline phosphatase) → Lamivudine

(c)

(+)-mercaptolactic acid (VII) + I → (1. Lewis acid; 2. lead tetraacetate) (VIII) → (IX)

IX + III → (I—$Si(CH_3)_3$, trimethylsilyl iodide (X)) → (1. separation; 2. deprotection) → Lamivudine

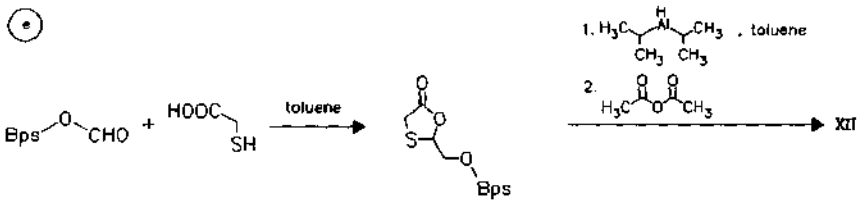
(d)

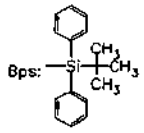
OHC—COOH (glyoxylic acid) + VII → (1. Lewis acid; 2. VIII) → (1. resolution; 2. H_3C—OH, $SOCl_2$) → XI

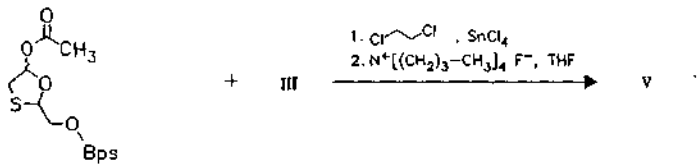
XI + III → (X) → (reduction) → Lamivudine

(XII)

(e)

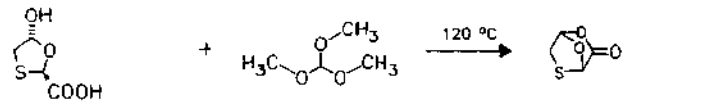




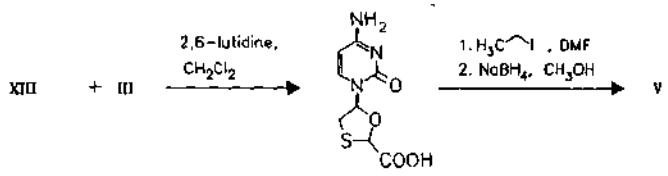


2-(tert-butyldiphenyl-silyloxymethyl)-5-acetoxy-1,3-oxathiolane (XII)

(f)



trans-5-hydroxy-1,3-oxathiolane-2-carboxylic acid | trimethyl orthoformate | 3-oxo-2,7-dioxa-5-thiabicyclo-[2.2.1]heptane (XIII)



Reference(s):

a,b EP 382 526 (IAF Biochem. Int.; appl. 16.8.1990; USA-prior. 8.2.1989).
WO 9 117 159 (IAF Biochem. Int.; appl. 14.11.1991; GB-prior. 2.5.1990).
Beach, I.W. et al.: J. Org. Chem. (JOCEAH) **57** (8), 2217 (1992).
(synthesis via L-gulose see also WO 9 210 496).

c Humber, D.-C. et al.: Tetrahedron Lett. (TELEAY) **33** (32), 4625 (1992).

d Drugs Future (DRFUD4) **18** (4), 319-323 (1993).

e WO 9 111 186 (Emory Univ.; appl. 31.1.1991; USA-prior. 1.2.1990).
US 5 210 085 (Emory Univ.; 22.2.1991; USA-prior. 1.2.1990).

f WO 9 429 301 (Biochem. Pharma. Inc.; appl. 7.6.1994; GB-prior. 7.6.1993).

process that avoids Lewis acids:

WO 9 529 174 (Glaxo; appl. 21.4.1995; GB-prior. 23.4.1994).

crystalline new form:
EP 517 145 (Glaxo; appl. 2.6.1992; GB-prior. 3.6.1991).

use for treating and preventing hepatitis B infection:
EP 494 119 (P. Bellean; IAF Biochem. Int.; Biochem. Pharma. Inc.; appl. 3.1.1992; GB-prior. 3.1.1991).

composition for HIV infections:
EP 513 917 (Glaxo; appl. 11.5.1992; GB-prior. 16.5.1991).
WO 9 504 525 (Andrulis Pharm.; appl. 3.8.1994; USA-prior. 4.8.1993).

combination with zidovudine *and* loviride:
WO 9 601 110 (Janssen Pharm.; appl. 23.6.1995; EP-prior. 1.7.1994).

Formulation(s): f. c. tabl. 100 mg; oral sol. 10 mg/ml; sol. 1 %; tabl. 150 mg

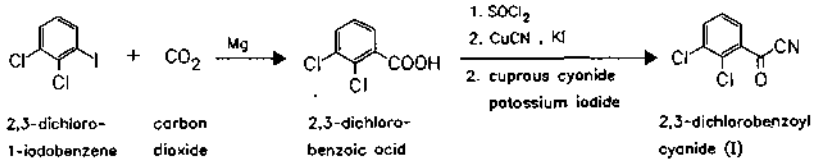
Trade Name(s):

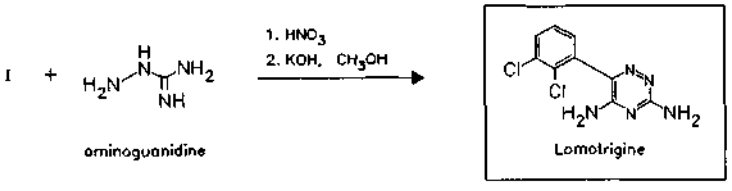
D:	Combivir (Glaxo Wellcome)-comb. with Zidovudine Epivir (Glaxo Wellcome) Zeffix (Glaxo Wellcome)	GB:	Combivir (Glaxo Wellcome)-comb. with Zidovudine Epivir (Glaxo Wellcome) Zeffix (Glaxo Wellcome)		Epivir (Glaxo Wellcome)
F:	Epivir (Glaxo Wellcome)	I:	Combivir (Glaxo Wellcome)-comb. with Zidovudine	J:	Epivir (Nippon Wellcome)
				USA:	Combivir (Glaxo Wellcome)-comb. with Zidovudine Epivir (Glaxo Wellcome) 3TC (Glaxo Wellcome)

Lamotrigine
(BW-430C)

ATC: N03AX09
Use: anticonvulsant, glutamate inhibitor

RN: 84057-84-1 MF: $C_9H_7Cl_2N_5$ MW: 256.10 EINECS: 281-901-8
LD_{50}: 245 mg/kg (M, p.o.);
205 mg/kg (R, p.o.)
CN: 6-(2,3-dichlorophenyl)-1,2,4-triazine-3,5-diamine





Reference(s):
US 4 560 687 (Wellcome; 24.12.1985; appl. 5.3.1984; prior. 15.9.1981, 29.5.1980; GB-prior. 1.6.1979).
US 4 602 017 (Wellcome; 22.7.1986; appl. 27.2.1984; prior. 15.9.1981, 29.5.1980; GB-prior. 1.6.1979).
EP 21 121 (Wellcome; appl. 30.5.1980; GB-prior. 1.6.1979).
US 5 912 345 (Glaxo Wellcome; 15.6.1999; appl. 29.12.1995; GB-prior. 30.12.1994).

Formulation(s): tabl. 5 mg, 25 mg, 50 mg, 100 mg, 200 mg

Trade Name(s):

D:	Lamictal (Glaxo Wellcome/ Desitin)	GB:	Lamictal (Glaxo Wellcome; 1995)	USA:	Lamictal (Glaxo Wellcome; 1998)
F:	Lamictal (Novartis)	I:	Lamictal (Wellcome)		

Lanatoside C

(Lanatoside)

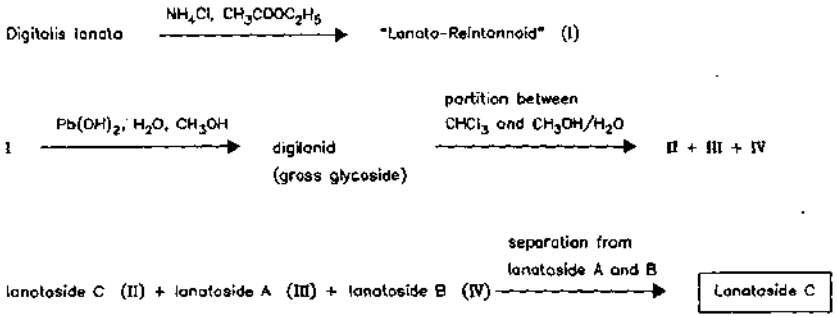
ATC: C01AA06
Use: cardiac glycoside

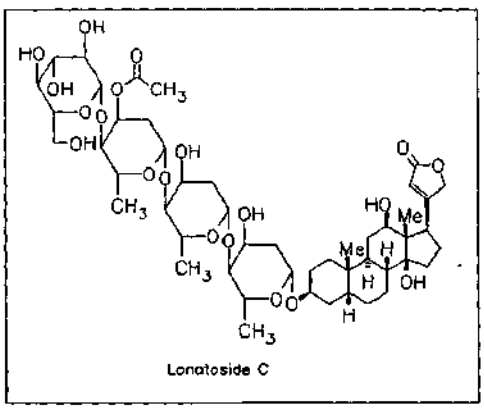
RN: 17575-22-3 MF: $C_{49}H_{76}O_{20}$ MW: 985.13 EINECS: 241-546-1

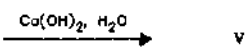
CN: (3β,5β,12β)-3-[(*O*-β-D-glucopyranosyl-(1→4)-*O*-3-*O*-acetyl-2,6-dideoxy-β-d-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-12,14-dihydroxycard-20(22)-enolide

deslanoside

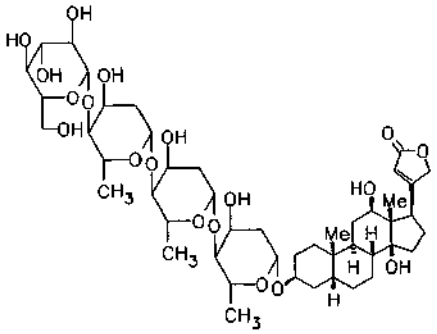
RN: 17598-65-1 MF: $C_{47}H_{74}O_{19}$ MW: 943.09







V



Deslanoside (V)

Reference(s):
Stoll, A.; Kreis, W.: Helv. Chim. Acta (HCACAV) **16**, 1049 (1933).
HU 156 638 (Richter Gedeon; appl. 24.10.1967).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **12**, 617.

digilanid (gross glycoside preparation):
DRP 631 790 (Sandoz; appl. 1930).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 227.
CH 245 219 (Dr. Wander; appl. 1944).

deslanoside (desacetyl-lanatoside C):
Stoll, A.; Kreis, W.: Helv. Chim. Acta (HCACAV) **16**, 1049 (1933).
DD 70 088 (C. Lindig, K. Repke; appl. 1.11.1968).

Formulation(s): amp. 0.4 mg/2 ml; drops 1 mg; tabl. 0.25 mg

Trade Name(s):

D: Cedilanid (Sandoz); wfm
Cedilanid Amp. (Sandoz)-desacetyllanatoside C; wfm
Cedilanid c. Th. (Sandoz)-comb.; wfm
Cedilanid c. Th. Amp. (Sandoz)-desacetyllanatoside C; wfm
Celadigal (Beiersdorf); wfm
Ceto sanol (Sanol); wfm
Conjunctisan-A (vitOrgan)-desacetyllanatoside C; wfm
Digilanid (Sandoz)-glycoside total preparation; wfm
Euphyllinat (Byk Gulden)-comb.; wfm
Lanatorot (Heumann)-comb.; wfm
Lanatosid Hameln (Hameln); wfm
Lanibion (Merck)-comb.; wfm
Lanimerck (Merck); wfm
Pandigal (Beiersdorf)-glycoside total preparation; wfm
Pulmo Frenona curn Digitalis (Hefa-Frenon)-comb.; wfm

F: Cédilanide (Novartis)

GB: Cedilanid (Sandoz); wfm

I: Cedilanid (Sandoz)

J: Cedilanid (Sandoz-Sankyo)
Digilanogen C (Fujisawa)
Digysid (Kanto)
Erpasin (Kowa Yakuhin)
Lanaside (Toyo S.-Ono)
Lanatos (Sanko)
Lanimerck (Doitsu)
Ranato C (Kobayashi Kako)

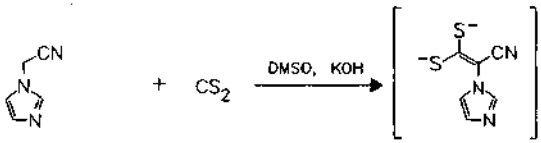
USA: Cedilanid (Sandoz); wfm
Cedilanid D (Sandoz)-desacetyllanatoside C; wfm

Lanoconazole

(NND 318; TJN-318)

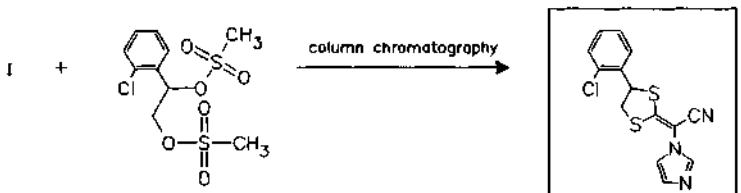
ATC: D01
Use: antifungal

RN: 101530-10-3 MF: $C_{14}H_{10}ClN_3S_2$ MW: 319.84
CN: (*E*)-(±)-α-[4-(2-chlorophenyl)-1,3-dithiolan-2-ylidene]-1*H*-imidazole-1-acetonitrile



1-cyanomethyl-imidazole

I



2-chloro-1-(1,2-dimesyloxy-ethyl)benzene

Lanoconazole

Reference(s):
EP 218 736 (Nihon Nohyaku; EP-prior. 9.10.1985).

preparation of E-isomer:
JP 02 121 983 (Nihon Noyaku; J-prior. 29.10.1988).

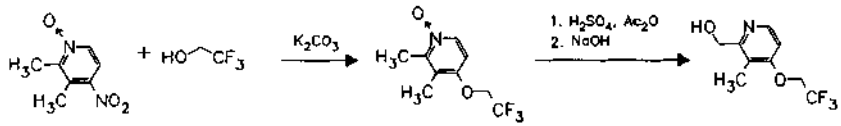
Formulation(s): cream 1 %; sol. 1 %

Trade Name(s):
J: Astat (Tsumura)

Lansoprazole

ATC: A02BC03
Use: antiulcer agent H^+/K^+-ATPase inhibitor

RN: 103577-45-3 MF: $C_{16}H_{14}F_3N_3O_2S$ MW: 369.37
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1*H*-benzimidazole

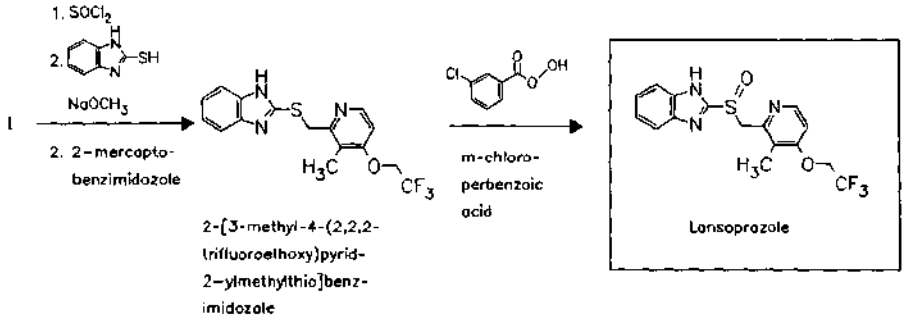


2,3-dimethyl-4-nitropyridine 1-oxide

2,2,2-trifluoro-ethanol

2,3-dimethyl-4-(2,2,2-trifluoro-ethoxy)pyridine 1-oxide

2-hydroxymethyl-3-methyl-4-(2,2,2-trifluoroethoxy)-pyridine (I)



Reference(s):
EP 174 726 (Takeda; appl. 31.7.1985; J-prior. 16.8.1984).

stabilized pharmaceutical formulation:
EP 237 200 (Takeda; appl. 17.10.1990; J-prior. 21.2.1986, 13.2.1986).

medical use for treatment of osteoporosis:
JP 1 203 325 (Takeda; appl. 8.2.1988).

medical use for treatment of camylobacter infections:
EP 382 489 (Takeda; appl. 6.2.1990).

Formulation(s): cps. 15 mg, 30 mg

Trade Name(s):

D:	Agopton (Takeda) Lanzor (Albert-Roussel, Hoechst)	F:	Lanzor (Hoechst Houdé; 1991) Ogast (Takeda; 1991)	I:	Lansox (Takeda)
		GB:	Zoton (Wyeth)	J:	Takepron (Takeda)
				USA:	Prevacid (TAP)

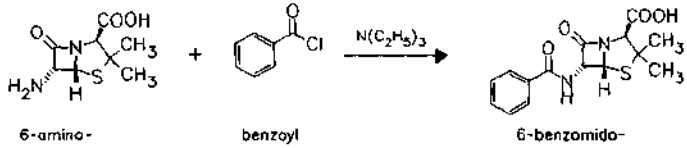
Latamoxef

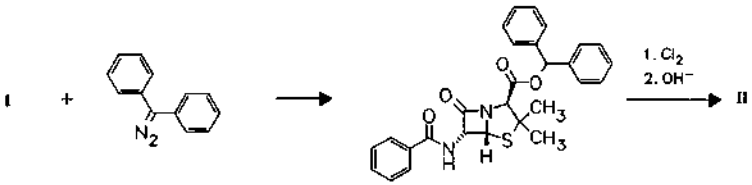
(Moxalactam; S-6059)

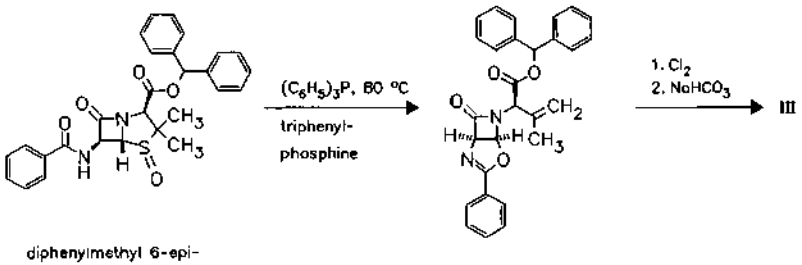
ATC: J01DA18
Use: β-lactam antibiotic (1-oxadethia-cephalosporin derivative)

RN: 64952-97-2 MF: $C_{20}H_{20}N_6O_9S$ MW: 520.48 EINECS: 265-287-9
CN: [6*R*-[6α,7α,7(*R**)]]-7-[[carboxy-(4-hydroxyphenyl)acetyl]amino]-7-methoxy-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-oxa-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid

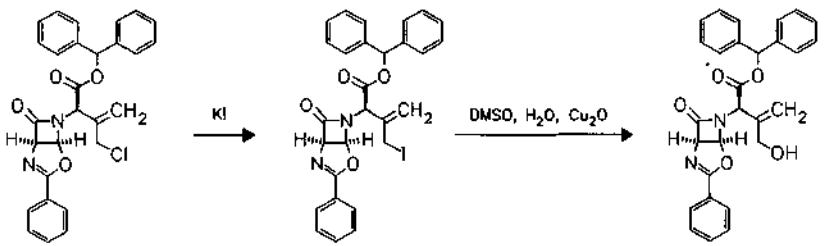
disodium salt
RN: 64953-12-4 MF: $C_{20}H_{18}N_6Na_2O_9S$ MW: 564.44 EINECS: 265-288-4
LD_{50}: 5300 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
5500 mg/kg (R, i.v.); >10 g/kg (R, p.o.)



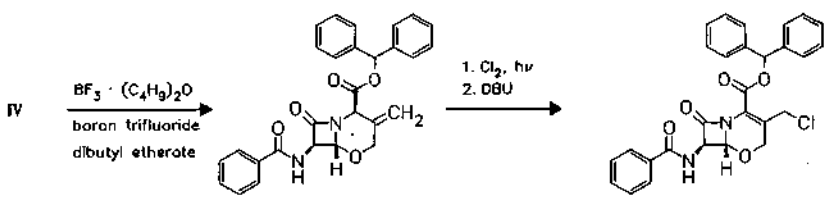




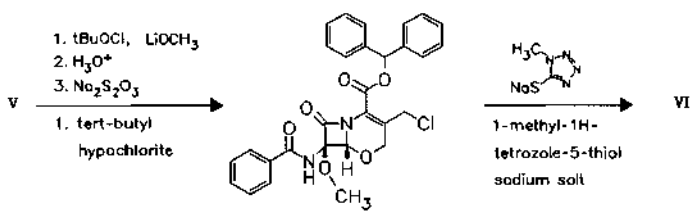
diphenylmethyl 6-epi-
benzamidopenicillonate
S-oxide (II)

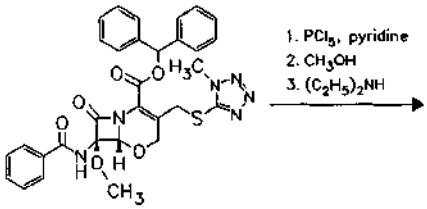


(III) (IV)



(V)

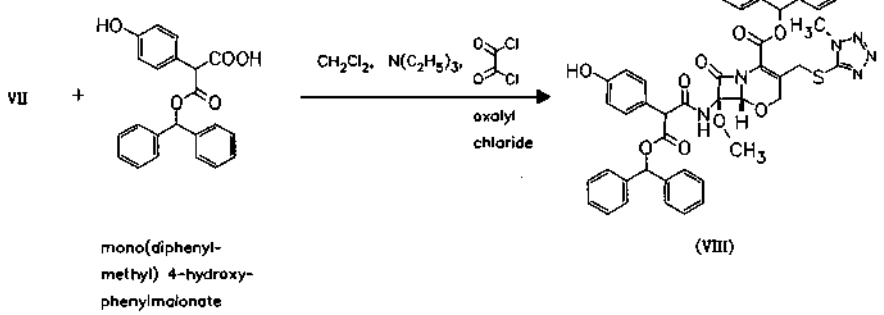




(VI)

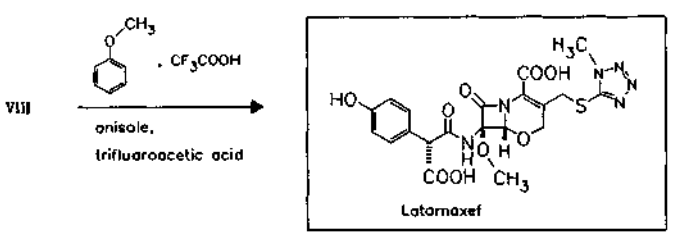
diphenylmethyl (7R)-7-amino-7-methoxy-3-(1-methyltetrazol-5-ylthiomethyl)-1-oxa-1-dethia-3-cephem-4-carboxylate (VII)

(a)

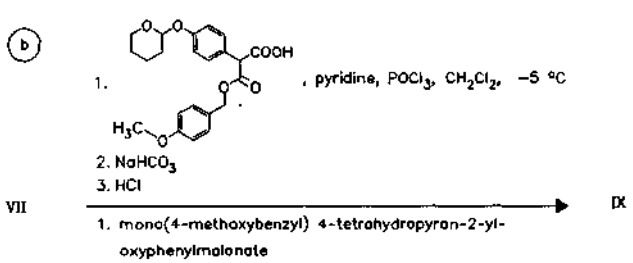


mono(diphenylmethyl) 4-hydroxyphenylmalonate

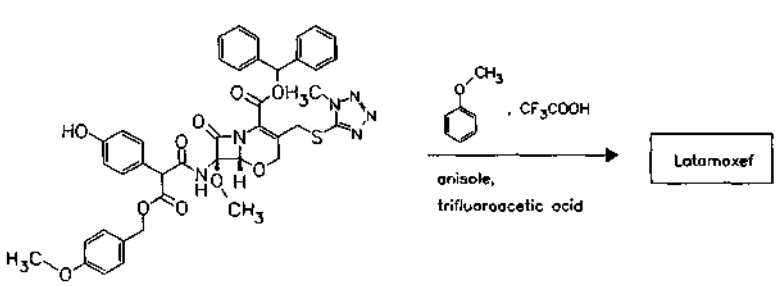
(VIII)



(b)



1. mono(4-methoxybenzyl) 4-tetrahydropyran-2-yloxyphenylmalonate



(IX)

Reference(s):
Nagata, W.: "Synthetic Aspects of 1-Oxacephem Antibiotics", in Curr. Trends Org. Synth., Proc. Int. Conf., 4th, Tokyo, 22.-27.8.1982; Ed. by H. Nozaki; Pergamon Press 1983.
DOS 2 713 370 (Shionogi; appl. 25.3.1977; J-prior. 25.3.1976, 30.4.1976).
US 4 138 486 (Shionogi; 6.2.1979; J-prior. 25.3.1976, 30.4.1976).
US 4 180 571 (Shionogi; 25.12.1979; J-prior. 25.3.1976, 30.4.1976).

alternative syntheses:
Narisada, M. et al.: J. Med. Chem. (JMCMAR) **22**, 758 (1979).
Narisada, M. et al.: Heterocycles (HTCYAM) **7**, 839 (1977).

preparation of [6*R*-[6α,7β,7(*R**)]]-[[carboxy-(4-hydroxyphenyl)acetyl]amino] enantiomer *and epimerization methods:*
EP 98 545 (Shionogi; appl. 1.7.1983; J-prior. 2.7.1982).
US 4 504 658 (Shionogi; 12.3.1985; J-prior. 2.7.1982).

stable lyophilisates:
US 4 418 058 (Shionogi; 29.11.1983; J-prior. 23.6.1980).

combination with other antibiotics:
US 4 452 778 (Eli Lilly; 5.6.1984; appl. 4.5.1979, 31.3.1980; 21.12.1981).

Formulation(s): amp. 250 mg, 500 mg, 1 g, 2 g, 10 g; inj. 1 g/3 ml, 1 g/20 ml (as sodium salt)

Trade Name(s):

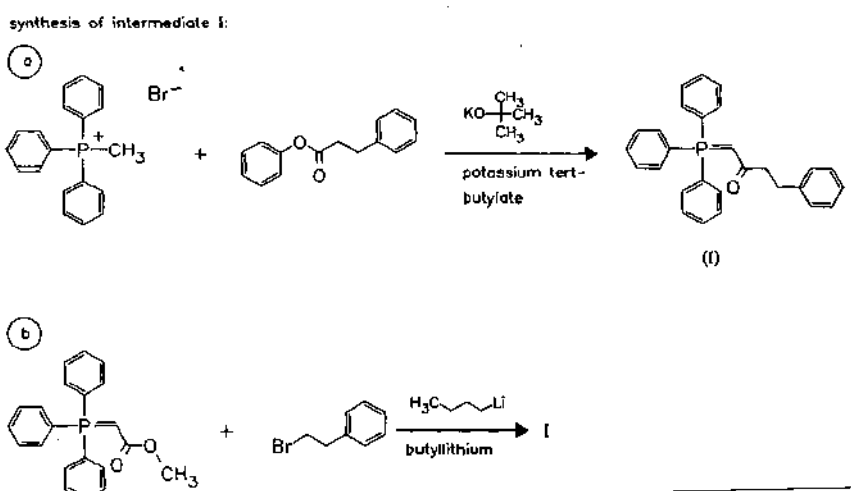
D:	Festamoxin (Shionogi); wfm	Mactam (Coli)		Polimoxal (Herdel)	
		Moxa (Ital. Suisse)		Priolatt (Sancarlo)	
I:	Baxal (Italsuisse)	Moxacef (Pulitzer)		Sectam (Locatelli)	
	Betalactam (Bergamon)	Moxatres (Radiumfarma)	J:	Shiomarin (Shionogi)	
	Latoxacef (Magis)	Oxacef (Gibipharma)	USA:	Moxam (Lilly); wfm	

Latanoprost

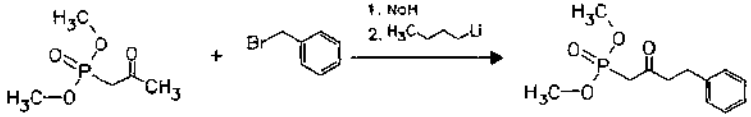
(PhXA41; PhXA34 (as 15(R,S)-isomer))

ATC: S01EX03
Use: antiglaucoma, prostaglandin

RN: 130209-82-4 MF: $C_{26}H_{40}O_5$ MW: 432.60
CN: [1*R*-[1α(*Z*),2β(*R**),3α,5α]]-7-[3,5-dihydroxy-2-(3-hydroxy-5-phenylpentyl)cyclopentyl]-5-heptenoic acid 1-methylethyl ester

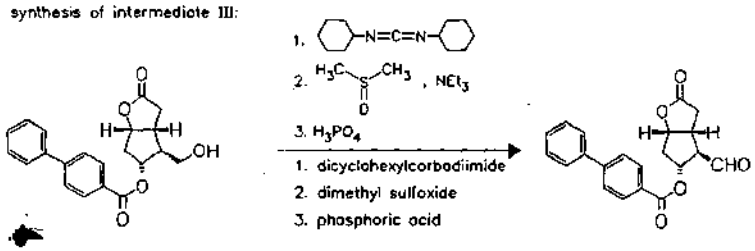


synthesis of intermediate II:



(II)

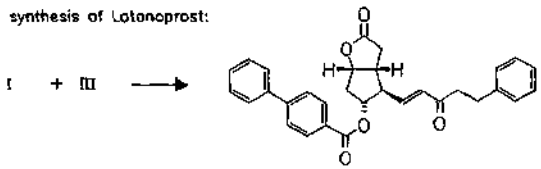
synthesis of intermediate III:



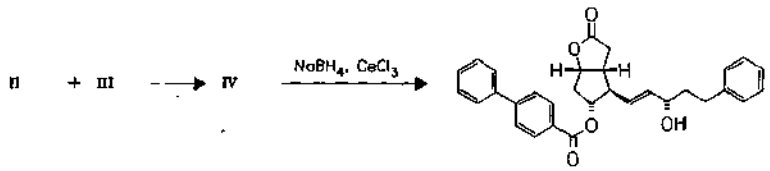
(III)

(3aα,4α,5β,6aα)-(−)-hexahydro-4-(hydroxymethyl)-2-oxo-2H-cyclopenta[b]furan-5-yl 1,1'-biphenyl-4-carboxylate

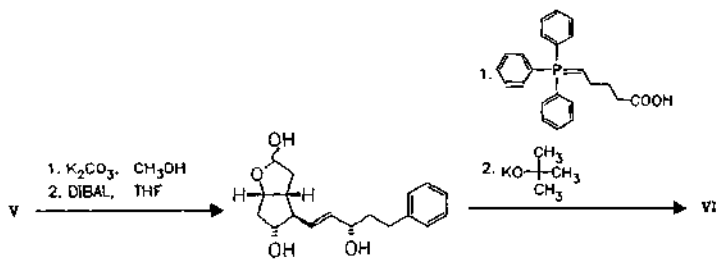
synthesis of Latanoprost:



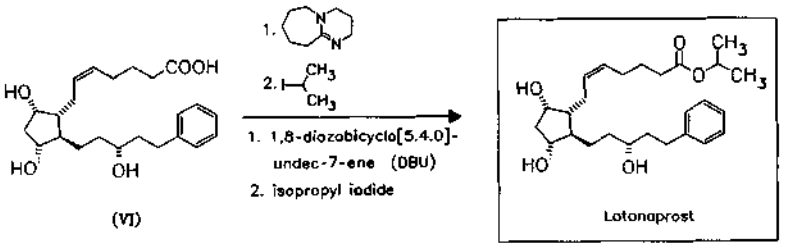
(IV)



(V)



VI



Reference(s):
WO 9 002 553 (Pharmacia; appl. 22.3.1990; S-prior. 6.9.1988).
EP 364 417 (Pharmacia; appl. 18.4.1990).

synthesis of Corey lactone derivatives:
Corey, E.J. et al.: J. Am. Chem. Soc. (JACSAT) **93**, 1491 (1971).
Alm, A. et al.: Invest. Ophthalmol. Visual Sci. (IOVSDA) **1992**, Suppl. 1247.

Formulation(s): eye drops 50 µg/ml

Trade Name(s):

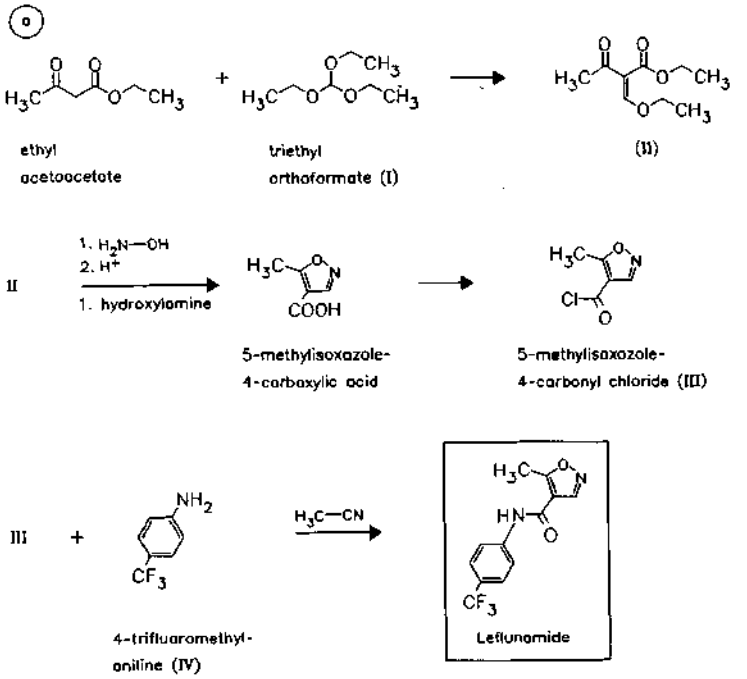
D:	XALATAN (Pharmacia & Upjohn)	GB:	Xalatan (Pharmacia & Upjohn; 1997)
F:	Xalatan (Pharmacia & Upjohn)	USA:	Xalatan (Pharmacia & Upjohn)

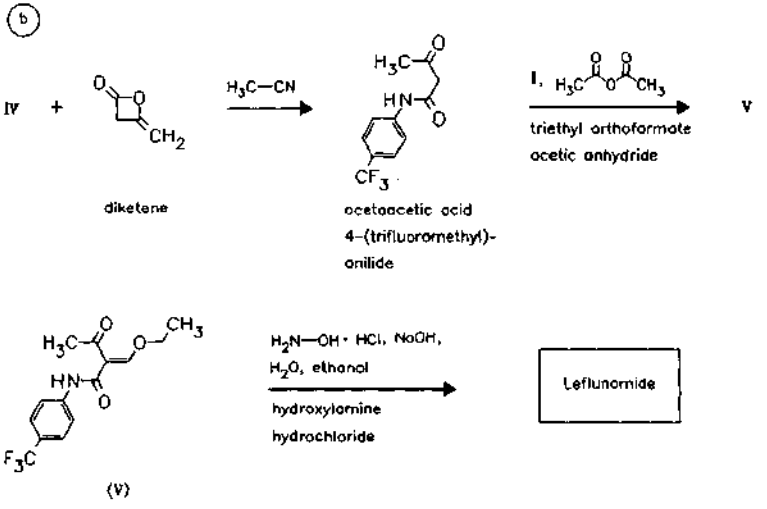
Leflunomide

(HWA-486; SU 101)

ATC: L04AX
Use: antirheumatic, immunosuppressant

RN: 75706-12-6 MF: $C_{12}H_9F_3N_2O_2$ MW: 270.21
CN: 5-Methyl-*N*-[4-(trifluoromethyl)phenyl]-4-isoxazolecarboxamide





Reference(s):
a,b DE 2 854 439 (Hoechst AG, D-prior. 16.12.1978).
DE 4 127 737 (Hoechst AG; appl. 22.8.1991).

isoxazole-4-carboxamides as neoplasm inhibitors and antirheumatics:
WO 9 117 748 (Hoechst AG; appl. 24.10.1990; D-prior. 18.5.1990).

thioamide analogs with anticancer activity:
WO 9 633 179 (Sugen; appl. 19.4.1996; USA-prior. 21.4.1995).

injectable formulations:
WO 9 633 745 (Sugen; appl. 17.4.1996; USA-prior. 26.4.1995).

Formulation(s): tabl. 10 mg, 20 mg, 100 mg

Trade Name(s):

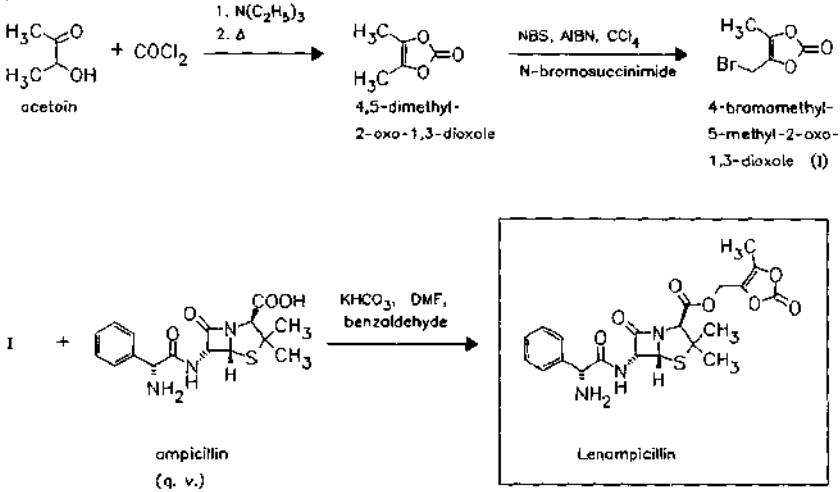
D:	Arava (Hoechst Marion Roussel)	USA:	Arava (Hoechst Marion Roussel)

Lenampicillin
(KBT-1585)

ATC: S01AA
Use: antibacterial, semisynthetic β-lactam antibiotic, derivative of ampicillin (prodrug for oral application)

RN: 86273-18-9 MF: $C_{21}H_{23}N_3O_7S$ MW: 461.50
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl ester

monohydrochloride
RN: 80734-02-7 MF: $C_{21}H_{23}N_3O_7S \cdot HCl$ MW: 497.96
LD_{50}: >700 mg/kg (M, i.v.); >8000 mg/kg (M, p.o.); >800 mg/kg (R, i.v.); ca. 10000 mg/kg (R, p.o.)



Reference(s):
Sakamoto, F. et al.: Chem. Pharm. Bull. (CPBTAL) **32**, 2241 (1984).
Ikeda, S. et al.: Chem. Pharm. Bull. (CPBTAL) **32**, 4316 (1984).
US 4 342 693 (Kanebo; 3.8.1982; J-prior. 30.4.1980).
US 4 389 408 (Kanebo; 21.6.1983; J-prior. 30.4.1980, 22.5.1980).
EP 39 086 (Kanebo; appl. 29.4.1981; J-prior. 30.4.1980, 22.5.1980).
EP 39 477 (Kanebo; appl. 29.4.1981; J-prior. 30.4.1980).
EP 61 206 (Kanebo; appl. 29.4.1981; J-prior. 30.4.1980, 22.5.1980).
EP 90 344 (Kanebo; appl. 29.4.1981; J-prior. 30.4.1980).

Formulation(s): vial 250 mg (as hydrochloride)

Trade Name(s):
J: Takacillin (MECT; 1987) Varacillin (Kanebo; 1987)

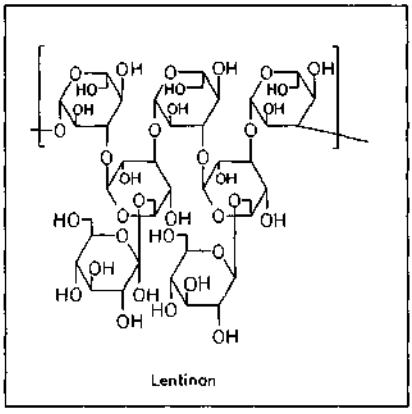
Lentinan

(LC-33)

ATC: L03AX01
Use: immunostimulant, antineoplastic

RN: 37339-90-5 MF: unspecified MW: unspecified
LD_{50}: 250 mg/kg (M, i.v.);
250 mg/kg (R, i.v.);
>100 mg/kg (dog, i.v.)
CN: lentinan

Extraction of edible fungus *Lentinus edodes* with hot water, solubilization through treatment with aqueous urea.



Reference(s):
US 3 883 505 (Ajinomoto; 13.5.1975; J-prior. 17.7.1972).
DE 2 336 378 (Ajinomoto; appl. 17.7.1973; J-prior. 17.7.1972).
Chibara, J. et al.: Cancer Res. (CNREA8) **30**, 2776 (1970).
Chihara, G. et al.: Nature (London) (NATUAS) **222**, 637 (1968).

structural study:
Sasaki, T. et al.: Carbohydr. Res. (CRBRAT) **47**, 99 (1976).

water soluble pharmaceutical formulation:
US 4 207 312 (Ajinomoto, Morishita; 10.6.1980; J-prior. 5.2.1975).

combination with CSF:
EP 326 149 (Green Cross, Morinaga; appl. 27.1.1989; J-prior. 29.1.1988).

Formulation(s): vial 1 g

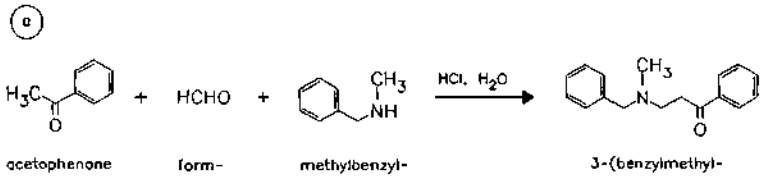
Trade Name(s):
J: Lentinan (Ajinomoto-Morishita; Yamanouchi; 1986)

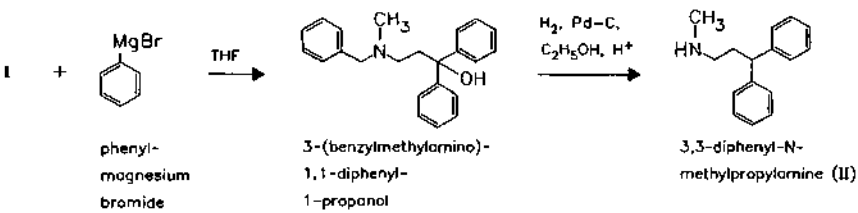
Lercanidipine hydrochloride

ATC: C08CA13
Use: treatment of hypertension, vasoselective calcium antagonist

RN: 132866-11-6 MF: $C_{36}H_{41}N_3O_6 \cdot HCl$ MW: 648.20
CN: 1,4-Dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-[(3,3-diphenylpropyl)methylamino]-1,1-dimethylethyl methyl ester hydrochloride

base
RN: 100427-26-7 MF: $C_{36}H_{41}N_3O_6$ MW: 611.74

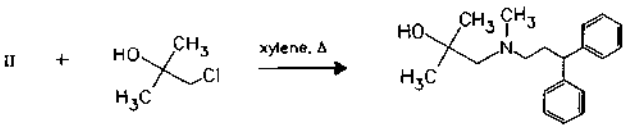




phenyl-magnesium bromide

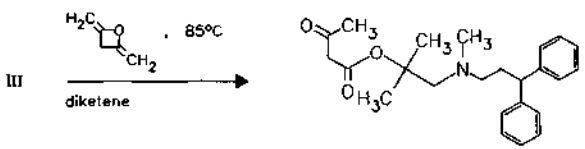
3-(benzylmethylamino)-1,1-diphenyl-1-propanol

3,3-diphenyl-N-methylpropylamine (II)



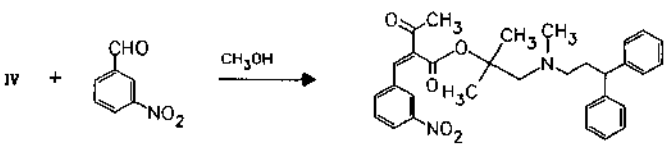
1-chloro-2-methyl-2-propanol

1-(3,3-diphenyl-N-methylpropylamino)-2-methyl-2-propanol (III)



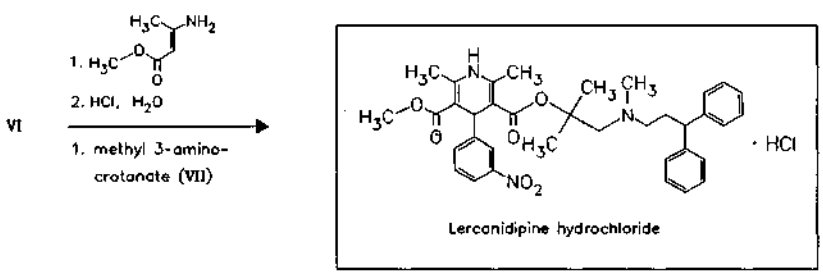
diketene

(IV)



3-nitro-benzaldehyde (V)

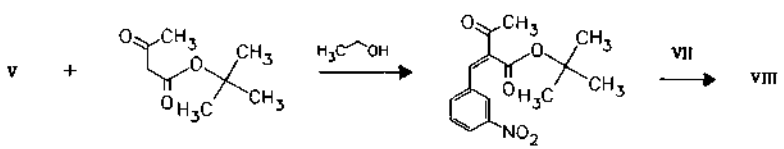
(VI)



1. methyl 3-amino-crotonate (VII)

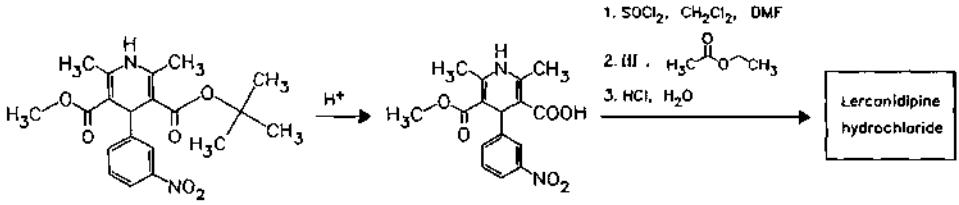
Lercanidipine hydrochloride

(b)



tert-butyl acetoacetate

tert-butyl 2-(3-nitro-benzylidene)acetoacetate



5-tert-butyl 3-methyl 2,6-dimethyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3,5-dicarboxylate (VIII)

2,6-dimethyl-5-methoxycarbonyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3-carboxylic acid

Reference(s):
Leonardi, A. et al.: Eur. J. Med. Chem. (EJMCA5) **33**, 399 (1998).
a EP 153 016 (Recordati Chem. and Pharm.; appl. 21.1.1985; GB-prior. 14.2.1984).
b WO 9 635 668 (Recordati Chem. and Pharm.; appl. 9.5.1996; I-prior. 12.5.1995).

preparation of 3-(benzylmethylamino)-1,1-diphenyl-1-propanol:
Morrison; Rinderknecht: J. Chem. Soc. (JOCEAH) **1950**, 1510

preparation of 3,3-diphenyl-*N*-methylpropylamine:
DE 925 468 (Farbwerke Hoechst; appl. 13.8.1941)

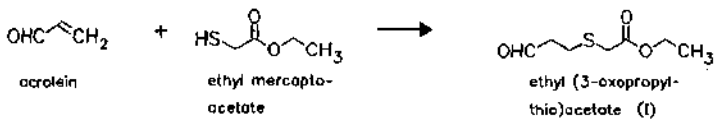
Formulation(s): tabl. 10 mg (as hydrochloride)

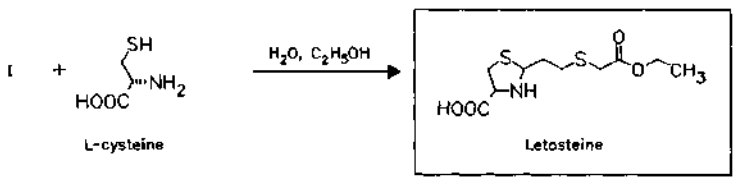
Trade Name(s):
GB: Zanidip (Napp) I: Zanedip (Recordati; 1999)

Letosteine

ATC: R05CB09
Use: mucolytic agent

RN: 53943-88-7 MF: $C_{10}H_{17}NO_4S_2$ MW: 279.38 EINECS: 258-879-3
CN: 2-[2-[(2-ethoxy-2-oxoethyl)thio]ethyl]-4-thiazolidinecarboxylic acid





Reference(s):
DOS 2 410 307 (Ferlux-Chimie; appl. 22.3.1974; F-prior. 22.3.1973).
US 4 032 534 (Ferlux-Chimie; 28.6.1977; F-prior. 22.3.1973).

Formulation(s): cps. 50 mg; gran. 25 mg/dose, 50 mg

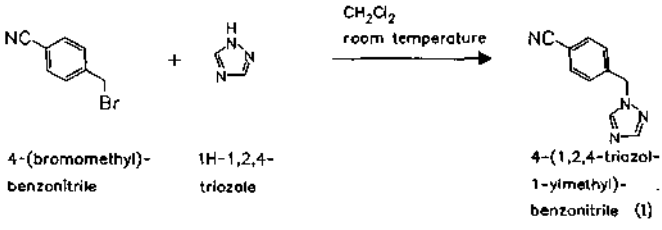
Trade Name(s):
F: Viscotiol (Evans Medical) I: Letofort (Salus Research)

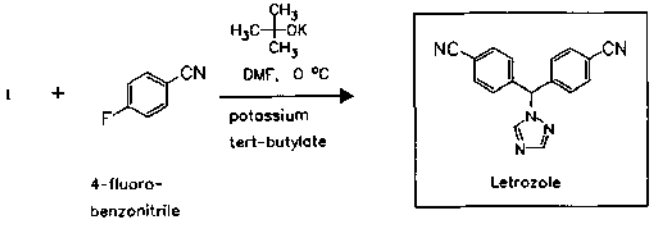
Viscotiol (Schiapparelli Searle) J: Viscotiol (ISF)

Letrozole
(CGS-20267)

ATC: L02BG04
Use: antineoplastic, aromatase inhibitor

RN: 112809-51-5 MF: $C_{17}H_{11}N_5$ MW: 285.31
CN: 4,4'-(1*H*-1,2,4-triazol-1-ylmethylene)bis[benzonitrile]





Reference(s):
EP 236 940 (Ciba-Geigy; appl. 5.3.1987; USA-prior. 7.3.1986).

alternativ preparation of I with K_2CO_3/KI *in* acetone:
US 4 978 672 (Ciba-Geigy; appl. 6.9.1988; USA-prior. 7.3.1986, 7.3.1988).

combination with 5-α-reductase inhibitors:
WO 9 218 132 (Merck & Co.; appl. 6.4.1992; USA-prior. 17.4.1991).

use to treat androgen deficiencies:
DE 445 368 (Schering AG; appl. 22.9.1994; D-prior. 22.9.1994).

Formulation(s): tabl. 2.5 mg

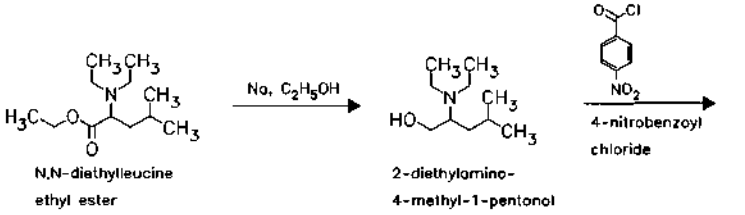
Trade Name(s):
D: Femara (Novartis Pharma) GB: Femara (Novartis)
F: Femara (Novartis) USA: Femara (Novartis)

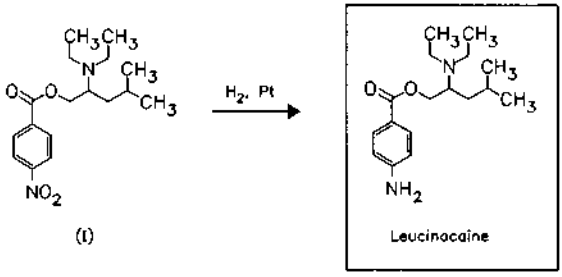
Leucinocaine

ATC: N01B
Use: local anesthetic

RN: 92-23-9 MF: $C_{17}H_{28}N_2O_2$ MW: 292.42
CN: 2-(diethylamino)-4-methyl-1-pentanol 4-aminobenzoate (ester)

monomesylate
RN: 135-44-4 MF: $C_{17}H_{28}N_2O_2 \cdot CH_4O_3S$ MW: 388.53 EINECS: 205-191-6





Reference(s):
DRP 464 484 (Chem. Fabr. Flora; appl. 1923; CH-prior. 1922).

Formulation(s): amp. 200 mg/4 ml

Trade Name(s):

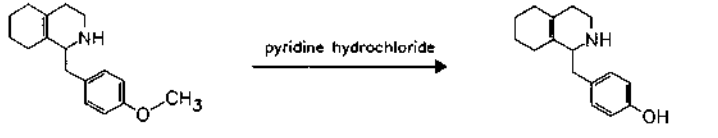
D:	Panthesin-Balsam (Sandoz); wfm	Panthesin-Hydergin (Sandoz)-comb.; wfm

Levallorphan

Use: morphine antagonist, narcotic antagonist

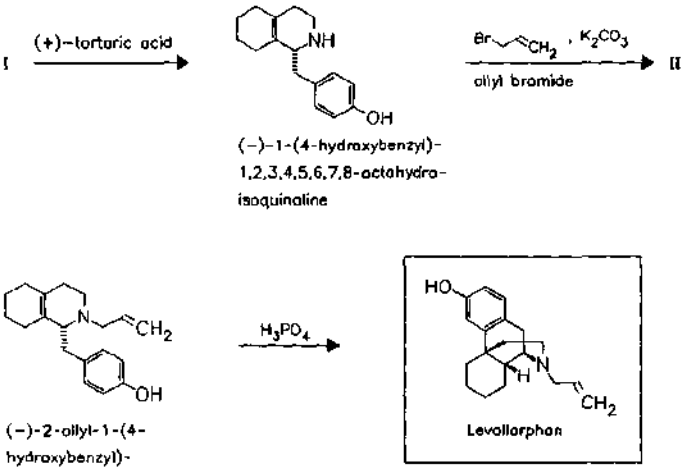
RN: 152-02-3 MF: $C_{19}H_{25}NO$ MW: 283.42 EINECS: 205-799-1
LD_{50}: 949 mg/kg (R, p.o.)
CN: 17-(2-propenyl)morphinan-3-ol

hydrogen tartrate
RN: 71-82-9 MF: $C_{19}H_{25}NO \cdot C_4H_6O_6$ MW: 433.50



(±)-1-(4-methoxybenzyl)-1,2,3,4,5,6,7,8-octohydroisoquinoline
(cf. levorphanol synthesis)

(±)-1-(4-hydroxybenzyl)-1,2,3,4,5,6,7,8-octohydroisoquinoline (I)



Reference(s):
Hellerbach, J.; Grüssner, A.; Schnider, O.: Helv. Chim. Acta (HCACAV) **39**, 429 (1956).
Ehrhart, Ruschig **I**, 131-132.

Formulation(s): amp. 1 mg/ml

Trade Name(s):

D:	Lorfan (Roche); wfm	J:	Lorfan (Takeda); wfm
GB:	Lorfan (Roche); wfm	USA:	Lorfan (Roche); wfm

Levamisole

ATC: P02CE01
Use: anthelmintic, immunostimulant (tetramisole is used only in veterinary range as anthelmintic)

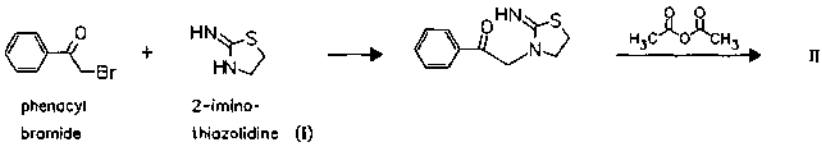
RN: 14769-73-4 MF: $C_{11}H_{12}N_2S$ MW: 204.30 EINECS: 238-836-5
LD_{50}: 22 mg/kg (M, i.v.); 210 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 480 mg/kg (R, p.o.)
CN: (*S*)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1-*b*]thiazole

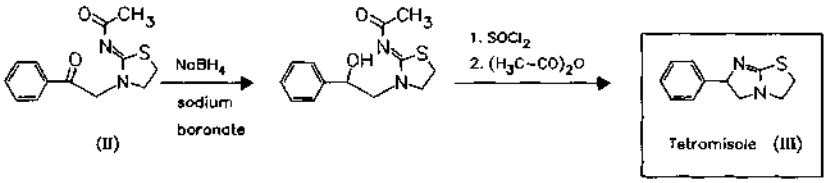
monohydrochloride
RN: 16595-80-5 MF: $C_{11}H_{12}N_2S \cdot HCl$ MW: 240.76 EINECS: 240-654-6

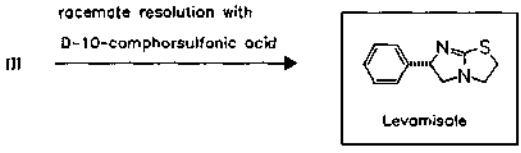
Tetramisole

RN: 5036-02-2 MF: $C_{11}H_{12}N_2S$ MW: 204.30 EINECS: 225-729-3
CN: (±)-2,3,5,6-tetrahydro-6-phenylimidazo[2,1-*b*]thiazole
monohydrochloride
RN: 5086-74-8 MF: $C_{11}H_{12}N_2S \cdot HCl$ MW: 240.76 EINECS: 225-799-5
LD_{50}: 22 mg/kg (M, i.v.); 210 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 480 mg/kg (R, p.o.)

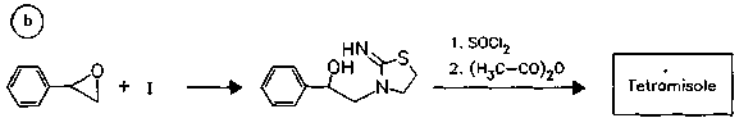
(a)


phenacyl bromide
2-imino-thiazolidine (I)
II

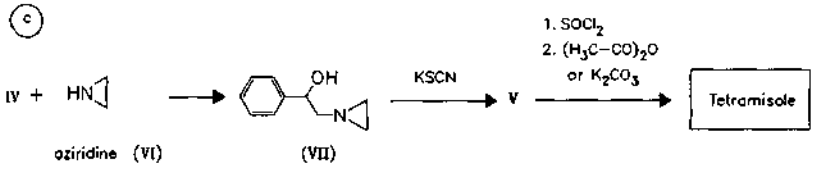

NaBH4
sodium boronate
(II)
1. SOCl2
2. (H3C–CO)2O
Tetramisole (III)

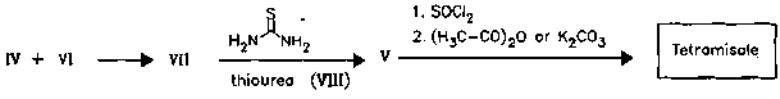

racemate resolution with
D-10-camphorsulfonic acid
III
Levamisole

(b)

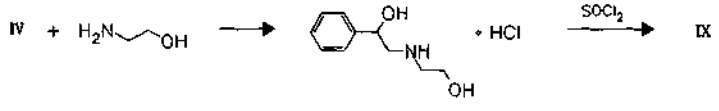

styrene oxide (IV)
(V)
1. SOCl2
2. (H3C–CO)2O
Tetramisole

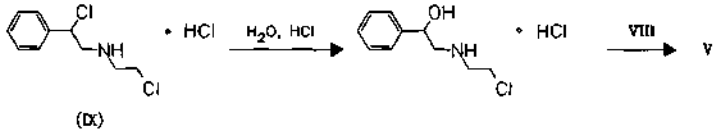
(c)

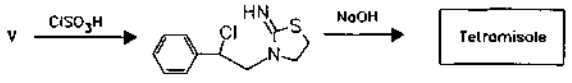

oziridine (VI)
(VII)
KSCN
V
1. SOCl2
2. (H3C–CO)2O
or K2CO3
Tetramisole

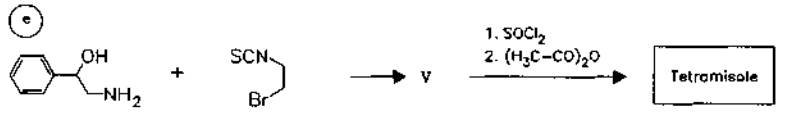

IV + VI
VII
thiourea (VIII)
V
1. SOCl2
2. (H3C–CO)2O or K2CO3
Tetramisole

(d)


ethanolamine
• HCl
SOCl2
IX


• HCl
H2O, HCl
• HCl
VIII
V
(IX)





2-amino-1-phenylethanol

2-bromoethyl isothiocyanate

Reference(s):

a,b US 3 274 209 (Janssen; 20.9.1966; prior. 11.5.1964, 3.8.1964, 2.10.1964, 7.4.1965).
Raeymaekers, A.H.M. et al.: J. Med. Chem. (JMCMAR) **9**, 545 (1966).

c Spicer, L.D. et al.: J. Org. Chem. (JOCEAH) **33**, 1350 (1968).
DAS 1 795 651 (ICI; appl. 13.7.1966; AUS-prior. 31.8.1965, 8.9.1965).
GB 1 076 109 (American Cyanamid; appl. 11.11.1965; USA-prior. 5.10.1965).
US 3 679 725 (American Cyanamid; 25.7.1972; prior. 5.10.1965, 22.9.1967, 11.6.1970).
GB 1 131 798 (ICI; appl. 4.7.1966; AUS-prior. 31.8.1965, 8.9.1965).
DAS 1 795 651 (ICI; appl. 13.7.1966; AUS-prior. 31.8.1965, 8.9.1965).
GB 1 131 799 (ICI; appl. 4.7.1966; AUS-prior. 19.7.1965, 26.7.1965, 31.8.1965, 8.9.1965).
GB 1 131 800 (ICI; appl. 4.7.1966; AUS-prior. 19.7.1965, 26.7.1965, 31.8.1965, 8.9.1965).
US 3 478 047 (ICI; 11.11.1969; GB-prior. 10.12.1965).

d DOS 2 233 481 (ICI; appl. 7.7.1972; GB-prior. 9.7.1971, 6.4.1972).
DOS 2 264 911 (ICI; appl. 7.7.1972; GB-prior. 9.7.1971, 6.4.1972).
US 3 855 234 (ICI; 17.12.1974; GB-prior. 9.7.1971, 6.4.1972).
US 4 070 363 (ICI; 24.1.1978; GB-prior. 13.4.1974).
US 4 107 170 (American Cyanamid; 15.8.1978; prior. 18.6.1973, 24.1.1974, 29.10.1975, 14.2.1977).

e DAS 2 034 081 (Chinoin; appl. 9.7.1970; H-prior. 1.10.1969).

other methods:

US 3 726 894 (American Cyanamid; 10.4.1973; prior. 24.6.1971).
DOS 2 326 308 (ICI; appl. 23.5.1973; GB-prior. 23.5.1973).
US 3 845 070 (ICI; 29.10.1974; GB-prior. 27.7.1971).
FR 2 224 472 (P. R. Dick, M. Rombi; appl. 5.4.1973).
US 4 090 025 (American Cyanamid; 16.5.1978; prior. 26.4.1973, 8.11.1976).
FR-appl. 2 359 844 (Propharma; appl. 28.7.1976).
FR-appl. 2 364 218 (Propharma; appl. 14.9.1976).

racemate resolution of tetramisole:

US 3 463 786 (American Cyanamid; 26.8.1969; prior. 1.6.1966, 19.12.1967).

with D-10-camphersulfonic acid:

DAS 1 645 991 (American Cyanamid; appl. 18.8.1967; USA-prior. 18.8.1966).
US 3 565 907 (American Cyanamid; 23.2.1971; prior. 18.8.1966, 23.4.1969).
Bullock, M.W. et al.: J. Med. Chem. (JMCMAR) **11**, 169 (1968).

with N-(p-toluenesulfonyl)-L-glutamic acid:

US 3 579 530 (ICI; 18.5.1971; AUS-prior. 24.8.1967, 11.1.1968, 18.1.1968).
DAS 1 795 217 (ICI; appl. 23.8.1968; AUS-prior. 24.8.1967, 11.1.1968, 18.1.1968).

with N-(p-toluenesulfonyl)-l-pyroglutamic acid *and 2,3-O,O-diaroyl-(+)-tartaric acids:*

DAS 1 907 609 (ICI; appl. 14.2.1969; GB-prior. 14.2.1968).

with di-(p-toluoyl)-(+)-tartaric acid:

DAS 2 020 142 (Rhône-Poulenc; appl. 24.4.1970; F-prior. 24.4.1969).

regioselective levamisole *synthesis by use of optical active rhodium-DIOP-complexes (asymmetric hydrogenation of 3-acyl-1-(2-methoxyethyl)-4-phenyl-4-imidazolin-2-ones):*
DOS 2 718 058 (American Cyanamid; appl. 22.4.1977; USA-prior. 26.4.1976, 8.11.1976).
DOS 2 718 059 (American Cyanamid; appl. 22.4.1977; USA-prior. 26.4.1976, 8.11.1976).
US 4 087 611 (American Cyanamid; 2.5.1978; prior. 26.4.1976, 8.11.1976).
US 4 166 824 (American Cyanamid; 4.9.1979; prior. 14.6.1977, 14.4.1978).

racemization with bases:
US 3 673 206 (American Cyanamid; 27.6.1972; prior. 14.7.1966, 2.4.1969).

via 1-vinyl-4-phenyl-2-imidazolidinthione:
US 3 726 894 (American Cyanamid; 10.4.1973; appl. 24.6.1971).

levamisole *resp.* tetramisole embonate:
DAS 1 817 509 (ICI; appl. 30.12.1968; GB-prior. 8.1.1968).

use for treatment of scabies:
DOS 2 828 200 (Johnson & Johnson; appl. 27.6.1978; USA-prior. 28.6.1977).
US 4 150 141 (Johnson & Johnson; 17.4.1979; appl. 28.6.1977).

aqueous tetramisole *preparation:*
DAS 2 036 113 (ICI; appl. 21.7.1970; AUS-prior. 21.7.1969).

Formulation(s): tabl. 30 mg, 50 mg, 150 mg (as levamisole hydrochloride)

Trade Name(s):

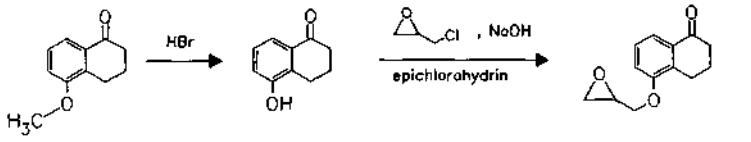
D:	Ergamisol (Janssen-Cilag)	I:	Ergamisol (Janssen)
F:	Solaskil (Specia)	USA:	Ergamisol (Janssen)

Levobunolol

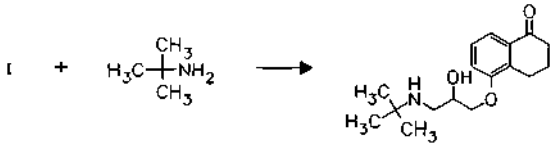
ATC: S01ED03
Use: beta blocking agent

RN: 47141-42-4 MF: $C_{17}H_{25}NO_3$ MW: 291.39
CN: (*S*)-5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-3,4-dihydro-1(2*H*)-naphthalenone

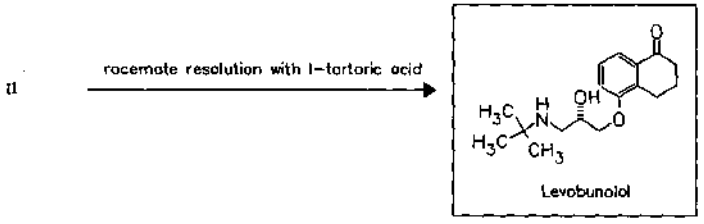
hydrochloride
RN: 27912-14-7 MF: $C_{17}H_{25}NO_3 \cdot HCl$ MW: 327.85 EINECS: 248-725-3
LD_{50}: 78 mg/kg (M, i.v.); 1220 mg/kg (M, p.o.);
25 mg/kg (R, i.v.); 700 mg/kg (R, p.o.);
100 mg/kg (dog, p.o.)



5-methoxy-1-tetralone — 5-hydroxy-1-tetralone — 5-(2,3-epoxypropoxy)-1-tetralone (I)



I + tert-butylamine → (±)-5-(2-hydroxy-3-tert-butylaminopropoxy)-1-tetralone (II)



Reference(s):
DE 1 948 144 (Warner-Lambert; appl. 23.9.1969; USA-prior. 23.9.1968).
DE 1 967 162 (Warner-Lambert; appl. 23.9.1969; USA-prior. 23.9.1968).
US 3 641 152 (Warner-Lambert; 8.2.1972; prior. 23.9.1968).

racemate resolution:
DOS 2 046 043 (Warner-Lambert; appl. 17.9.1970; USA-prior. 17.9.1969).

Formulation(s): eye drops 0.1 %, 0.25 %, 0.5 % (5 mg/ml) (as hydrochloride)

Trade Name(s):

D:	Vistagan Liquifilm (Pharm-Allergan; 1985)	F:	Bétagan (Allergan)	I:	Vistagan (Allergan; 1987)
		GB:	Betagan (Allergan)		

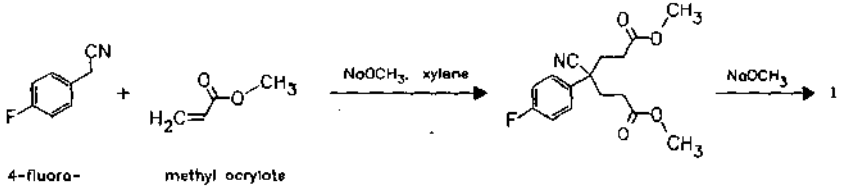
Levocabastine

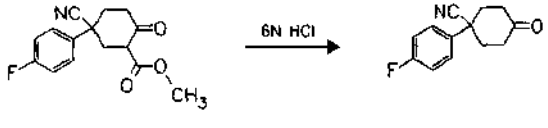
(R-50; 547)

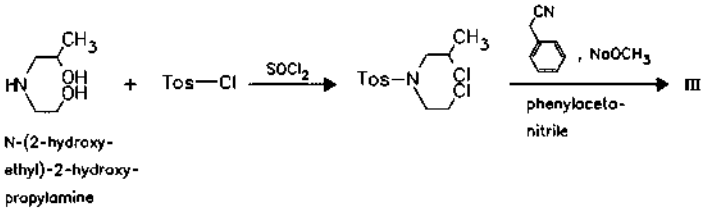
ATC: R01AC02; S01GX02
Use: antihistaminic (H_1-selective)

RN: 79516-68-0 MF: $C_{26}H_{29}FN_2O_2$ MW: 420.53
CN: [3*S*-[1(*cis*),3α,4β]]-1-[4-cyano-4-(4-fluorophenyl)cyclohexyl]-3-methyl-4-phenyl-4-piperidinecarboxylic acid

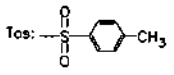
monohydrochloride
RN: 79547-78-7 MF: $C_{26}H_{29}FN_2O_2 \cdot HCl$ MW: 456.99

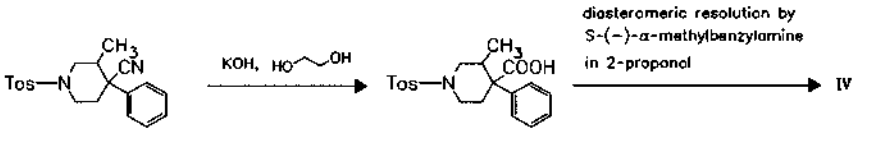




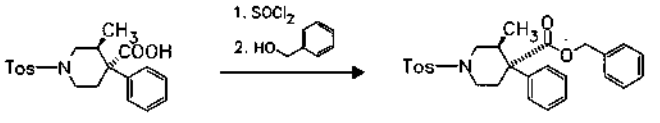


N-(2-hydroxy-ethyl)-2-hydroxy-propylamine



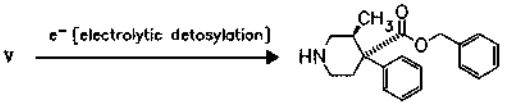


(III)

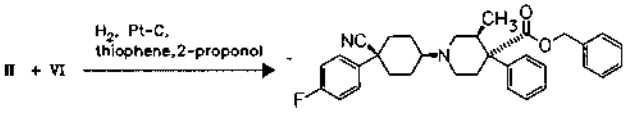


(−)-3-methyl-4-phenyl-1-tosyl-4-piperidinecarboxylic acid (IV)

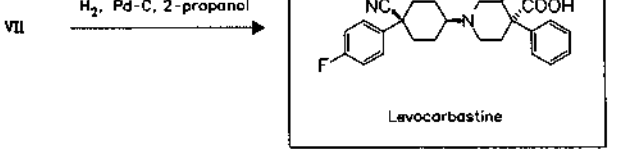
(V)



benzyl (−)-3-methyl-4-phenyl-4-piperidine-carboxylate (VI)



(VII)



Reference(s):

US 4 369 184 (Janssen; 18.1.1983; prior. 24.1.1980, 29.9.1980).
EP 34 415 (Janssen; appl. 23.1.1981; USA-prior. 24.1.1980, 29.9.1980).

Formulation(s): susp. 0.5 mg/ml (nasal spray, eye drops as hydrochloride)

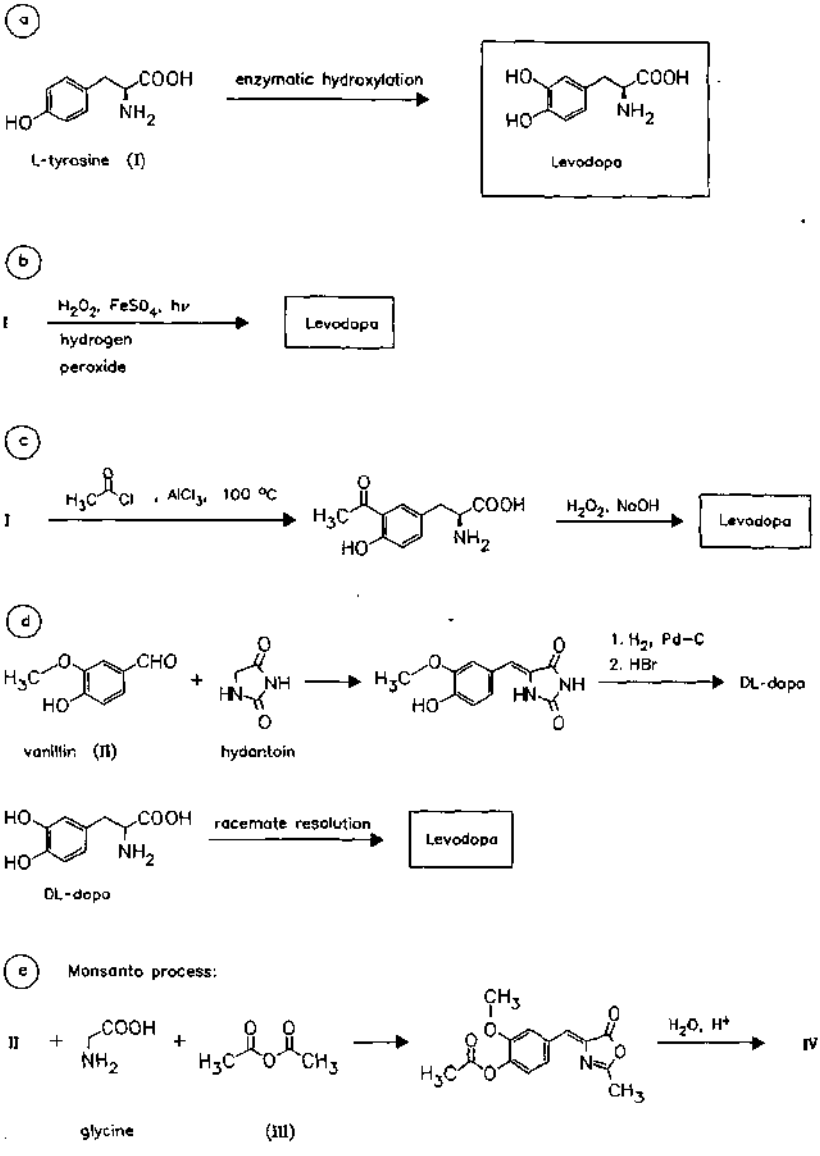
Trade Name(s):

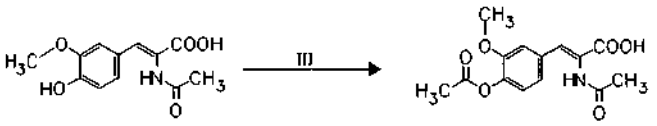
D:	Levophta (CIBA Vision/ Winzer; as hydrochloride)	F:	Lévophta (Chauvin)	Livostin (Janssen)
	Livocab (Janssen-Cilag)	GB:	Livostin (CIBA Vision)	
		I:	Levostab (Formenti)	

Levodopa

ATC: N04BA01
Use: antiparkinsonian

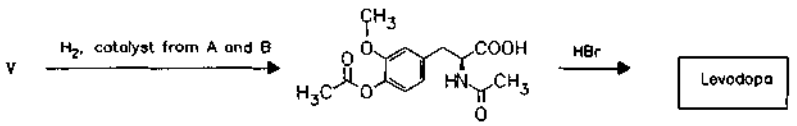
RN: 59-92-7 MF: $C_9H_{11}NO_4$ MW: 197.19 EINECS: 200-445-2
CN: 3-hydroxy-L-tyrosine





α-acetamido-4-hydroxy-3-methoxycinnamic acid (IV)

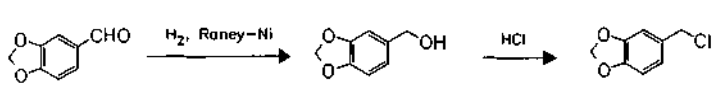
α-acetamido-4-acetoxy-3-methoxycinnamic acid (V)



N-acetyl-3-(4-acetoxy-3-methoxyphenyl)-L-alanine

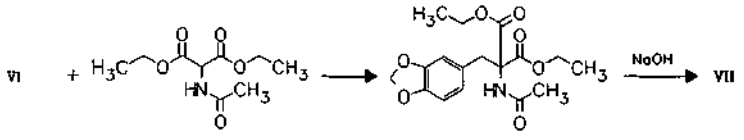
A: 1,5-cycloactodienylrhodium chloride
B: (+)-cyclohexylmethyl(2-methoxyphenyl)phosphine

(f)

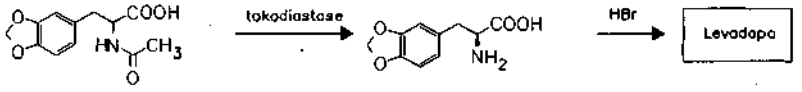


piperonal

(VI)



diethyl acetamidomalonate



(VII)

L-3-(3,4-methylene-dioxyphenyl)alanine

Reference(s):

a Amao, S. et al.: Sankyo Kenkyusho Nempo (SKKNAJ) **23**, 249 (1971).
Sih, C.J. et al.: J. Am. Chem. Soc. (JACSAT) **91**, 6204 (1969).

b Waser, E.; Lewandowski, M.: Helv. Chim. Acta (HCACAV) **4**, 657 (1921).
hydroxylation with benzoyl peroxide:
DAS 2 026 952 (Schering; appl. 28.5.1970).

c Bretschneider, H. et al.: Helv. Chim. Acta (HCACAV) **56**, 2857 (1973).
DAS 2 023 459 (Roche; appl. 13.5.1970; CH-prior. 14.5.1969).
DAS 2 023 460 (Roche; appl. 13.5.1970; CH-prior. 14.5.1969).
DAS 2 023 461 (Roche; appl. 13.5.1970; CH-prior. 14.5.1969).
similar method:
DAS 2 026 952 (Schering AG; appl. 28.5.1970).

d US 2 605 282 (Dow; 1952; appl. 1949).
racemate resolution of DL-*N*-benzoyl-3-(4-hydroxy-3-methoxyphenyl)alanine *with* dehydroabietylamine:
DOS 1 964 420 (Roche; appl. 23.12.1969; CH-prior. 27.12.1968).

racemate resolution of DL-*N*-acetyl-3-(4-acetoxy-3-methoxyphenyl)alanine *with* (–)-α-phenylethylamine:
DOS 2 052 953 (Egyt; appl. 28.10.1970; H-prior. 28.10.1969).
with (+)-*threo*-2-amino-1-(4-nitrophenyl)-1,3-propanediol:
DOS 2 052 995 (Egyt; appl. 28.10.1970; H-prior. 28.10.1969).
alternative synthesis via 2,5 dioxopiperazine:
Losse, G. et al.: J. Prakt. Chem. (JPCEAO) **21**, 32 (1963).

e US 4 005 127 (Monsanto; 25.1.1977; prior. 8.3.1971).
DAS 2 123 063 (Monsanto; appl. 10.5.1971; USA-prior. 11.5.1970, 8.3.1971).
DAS 2 210 938 (Monsanto; appl. 7.3.1972; USA-prior. 8.3.1971).
US 4 124 533 (Monsanto; 7.11.1978; prior. 9.9.1968, 11.5.1970, 8.3.1971, 17.3.1975).
Knowles, W.S. et al.: J. Am. Chem. Soc. (JACSAT) **97**, 2567 (1975).
Vineyard, B.D. et al.: J. Am. Chem. Soc. (JACSAT) **99**, 5946 (1977).
similar method:
DOS 2 161 200 (IFR; appl. 9.12.1971; F-prior. 10.12.1970).

f Yamada, S. et al.: Chem. Pharm. Bull. (CPBTAL) **10**, 680, 688, 693 (1963).

alternative syntheses from piperonal:
Mori, K.: Nippon Kagaku Zasshi (NPKZAZ) **81**, 464 (1960).
Barry, R.H. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 693 (1948).

other methods for racemate resolution of DL-dopa *or its derivatives:*
US 3 405 159 (Merck & Co.; 8.10.1968; appl. 17.11.1964).
CH 511 774 (Ajinomoto; appl. 22.4.1970; J-prior. 23.4.1969).
Yamada, S. et al.: J. Org. Chem. (JOCEAH) **40**, 3360 (1975).

racemate resolution of DL-*N*-benzoyldopa *with* cinchonine:
DOS 1 963 992 (Dynamit Nobel; appl. 20.12.1969).

racemization of D-*N*-benzoyldopa *with* acetanhydride:
DOS 1 963 991 (Dynamit Nobel; appl. 20.12.1969).

racemization of D-dopa *by thermic treatment:*
DAS 2 126 049 (Dynamit Nobel; appl. 26.5.1971).

fermentative and enzymatic methods:
from 3,4-dihydroxyphenylpyruvic acid *by transamination by means of microorganisms:*
DOS 2 041 418 (Anm. 14.8.1970; J-prior. 16.8.1969).

by means of transaminase from Alcaligenes faecalis (IAM 1015):
DAS 2 148 953 (Nisshin Flour Milling; appl. 30.9.1971; J-prior. 30.9.1970, 1.6.1971, 19.8.1971).

from pyrocatechol, pyruvic acid *and ammonium salts by means of* β-*tyrosinase:*
DAS 2 152 548 (Ajinomoto; appl. 21.10.1971; J-prior. 21.10.1970, 2.11.1970, 30.12.1970).

enzymatic resolution of DL-*N*-phenylacety1-3-(3,4-methylenedioxyphenyl)alanine *or* DL-*N*-phenylacetyl-3-(3,4-dimethoxyphenyl)alanine *or* DL-*N*-phenylacety1-3-(3,4-dihydroxyphenyl)-alanine *by means of Escherichia coli-acylase:*
DOS 2 100 445 (Astra; appl. 7.1.1971; S-prior. 19.1.1970, 25.6.1970).

isolation from the seed meal of fodder beans or vetch pods:
US 3 253 023 (Dow; 24.5.1966; appl. 27.9.1963).

combination with carbidopa:
US 3 769 424 (Merck & Co.; 30.10.1973; prior. 1.10.1968, 23.6.1969, 1.10.1970).

combination with etoperidone *and* trazodone:
US 4 131 675 (Angelini Francesco; 26.12.1978; appl. 9.2.1978).

Formulation(s): cps. 125 mg, 200 mg, 250 mg, 500 mg; tabl. 100 mg, 200 mg, 500 mg

Trade Name(s):

D: Dopaflex (medphano) | Madopar (Roche)-comb. with benserazide | Nacom (Du Pont Pharma)-comb. with carbidopa

	numerous generics		Sinemet (Merck Sharp & Dohme)-comb. with carbidopa		Dopasol (Daiichi)
F:	Modopar (Roche)-comb. with benserazide				Dopaston (Sankyo)
	Sinemet (Du Pont Pharma)-comb. with carbidopa	I:	Larodopa (Roche)		Larodopa (Roche)
			Madopar (Roche)-comb. with benserazide		Neodopaston (Sankyo)-comb. with carbidopa
GB:	Madopar (Roche)-comb. with benserazide			USA:	Larodopa (Roche)
			Sinemet (Du Pont)-comb. with carbidopa		Sinemet (Du Pont)-comb. with carbidopa
		J:	Doparl (Kyowa)		generic

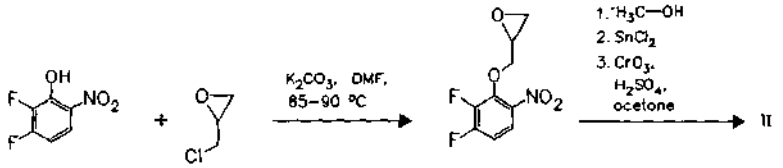
Levofloxacin

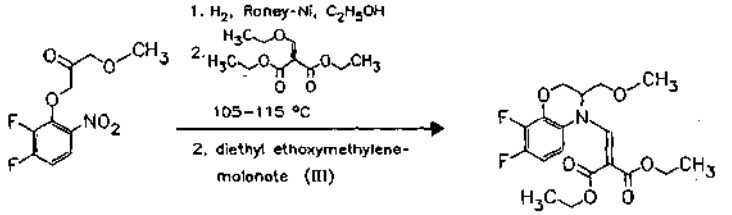
((*S*)-Ofloxacin; DR-3355; HR-355; RWJ-25213)

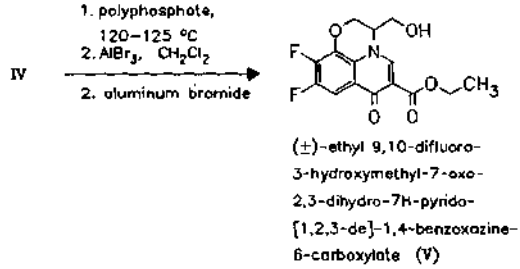
ATC: J01MA12
Use: antibacterial

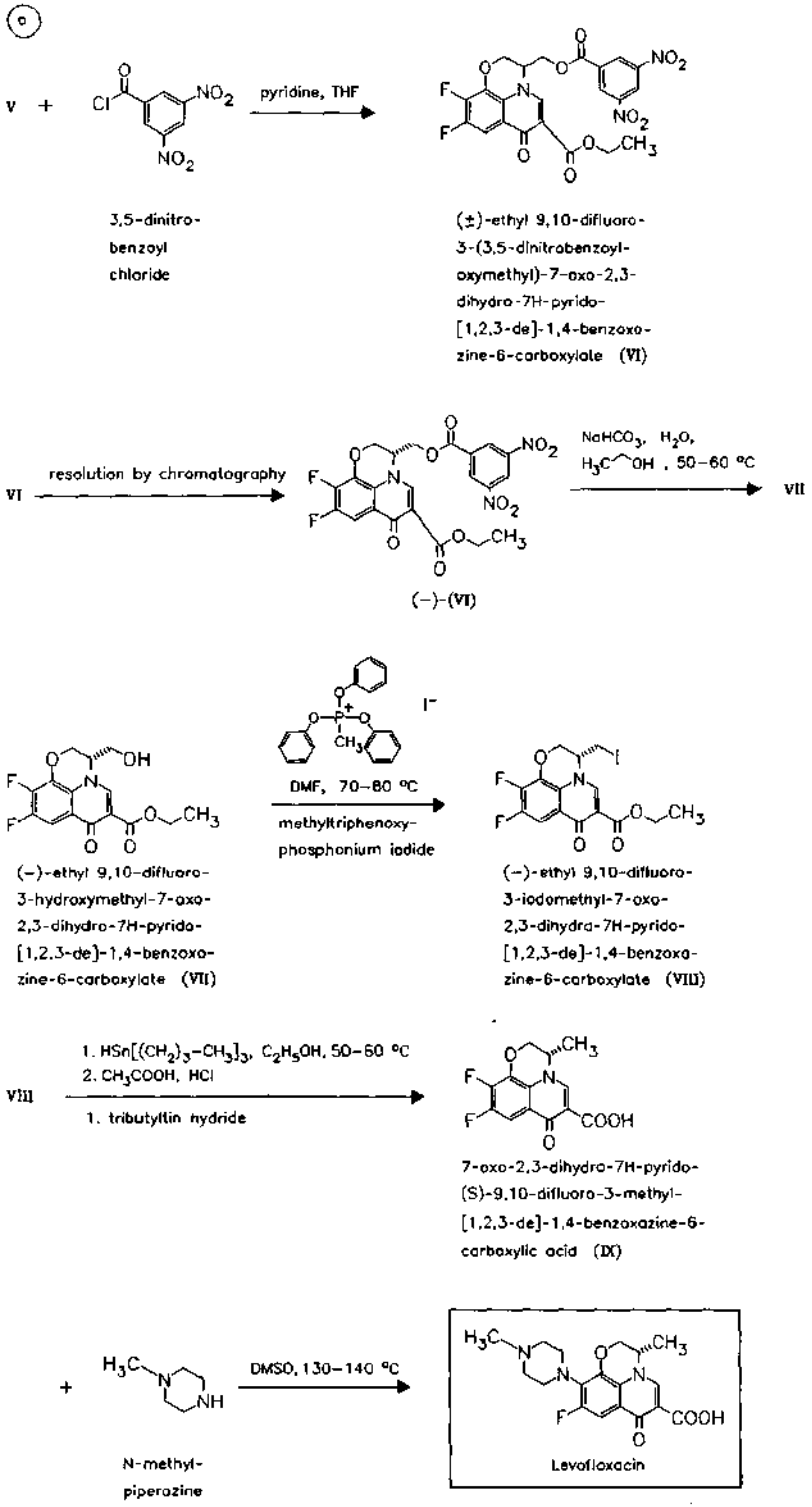
RN: 100986-85-4 MF: $C_{18}H_{20}FN_3O_4$ MW: 361.37
LD_{50}: 1803 mg/kg (M, p.o.);
1478 mg/kg (R, p.o.)
CN: (*S*)-9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzoxazine-6-carboxylic acid

hydrate (2:1)
RN: 138199-71-0 MF: $C_{18}H_{20}FN_3O_4 \cdot 1/2H_2O$ MW: 740.76

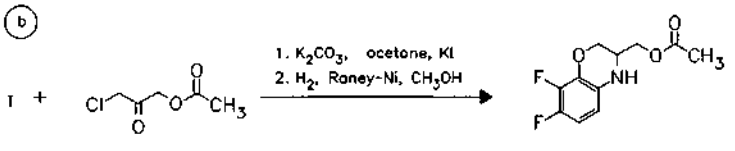






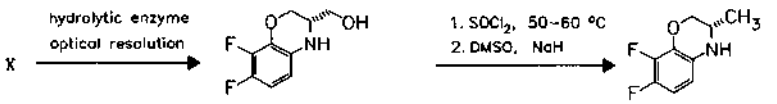

V
pyridine, THF
3,5-dinitrobenzoyl chloride
(±)-ethyl 9,10-difluoro-3-(3,5-dinitrobenzoyloxymethyl)-7-oxo-2,3-dihydro-7H-pyrido-[1,2,3-de]-1,4-benzoxazine-6-carboxylate (VI)
resolution by chromatography
VI
(−)-(VI)
NaHCO3, H2O, H3C–OH, 50–60 °C
VII
(−)-ethyl 9,10-difluoro-3-hydroxymethyl-7-oxo-2,3-dihydro-7H-pyrido-[1,2,3-de]-1,4-benzoxazine-6-carboxylate (VII)
DMF, 70–80 °C
methyltriphenoxyphosphonium iodide
(−)-ethyl 9,10-difluoro-3-iodomethyl-7-oxo-2,3-dihydro-7H-pyrido-[1,2,3-de]-1,4-benzoxazine-6-carboxylate (VIII)
VIII
1. HSn[(CH2)3–CH3]3, C2H5OH, 50–60 °C
2. CH3COOH, HCl
1. tributyltin hydride
7-oxo-2,3-dihydro-7H-pyrido-(S)-9,10-difluoro-3-methyl-[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid (IX)
DMSO, 130–140 °C
N-methylpiperazine
Levofloxacin

(b)



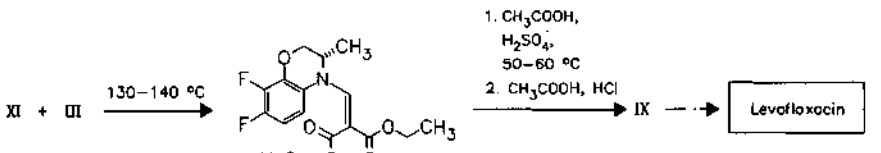
1-acetoxy-3-chloro-2-propanone

(±)-3-acetoxymethyl-7,8-difluoro-2,3-dihydro-4H-1,4-benzoxazine (X)



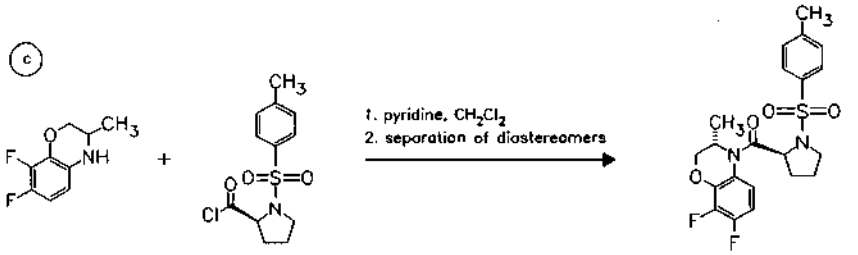
(−)-7,8-difluoro-2,3-dihydro-3-hydroxymethyl-4H-1,4-benzoxazine

(−)-7,8-difluoro-2,3-dihydro-3-methyl-4H-1,4-benzoxazine (XI)



XII

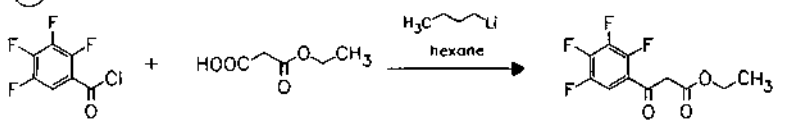
(c)



(S)-N-(p-toluenesulfanyl)proline chloride

(XIII)

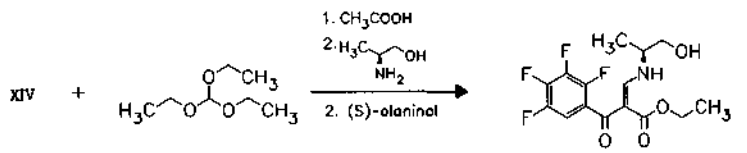
(d)



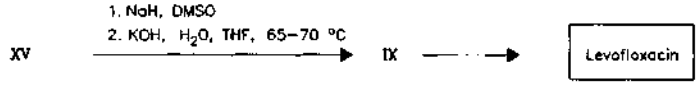
2,3,4,5-tetrafluorobenzoyl chloride

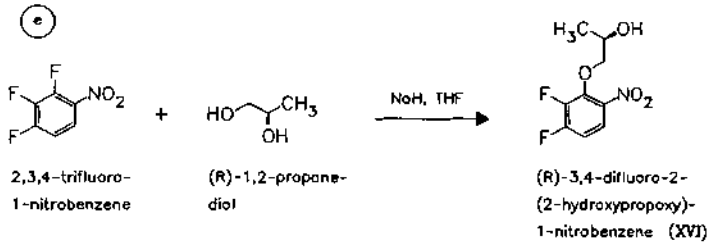
monoethyl malonate

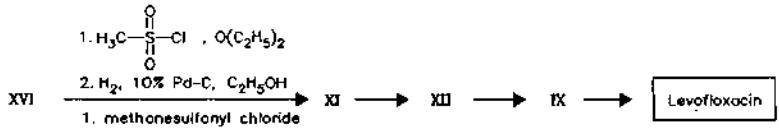
ethyl 2,3,4,5-tetrafluorobenzoylacetate (XIV)



(XV)







Reference(s):
a-c EP 206 283 (Daiichi Seiyaku; appl. 20.6.1986; J-prior. 20.6.1985, 11.10.1985, 28.1.1986).
d US 4 777 253 (Abbott Labs.; 11.10.1980; appl. 25.4.1986; USA-prior. 25.4.1986).
DE 3 543 513 (Bayer AG; appl. 10.12.1985; D-prior. 10.12.1985).
e EP 368 410 (Gist-Brocades; appl. 6.11.1989; EP-prior. 7.11.1988).
Atarashi, S. et al.: Chem. Pharm. Bull. (CPBTAL) **35** (5), 1896 (1987).

preparation of 2,3-difluoro-6-nitrophenol:
O'Neill, P.M et al.: J. Med. Chem. (JMCMAR) **37** (9), 1362 (1994).
Hayakawa, I.; Hiramitsu, T.; Tanaka, Y.: Chem. Pharm. Bull. (CPBTAL) **32** (12), 4907 (1984).

preparation of intermediate XI:
JP 05 068 577 (Mercian Corp.; appl. 11.12.1990; J-prior. 11.12.1990).

synergistic combination with azidothymidine:
WO 9 013 542 (Daiichi Pharm.; appl. 27.4.1990; J-prior. 23.2.1990).

topical formulation:
EP 274 714 (Daiichi Seiyaku; appl. 18.12.1987; J-prior. 18.12.1987).

liposomes with increased retention:
WO 9 526 185 (Daiichi Pharm.; appl. 27.3.1995; J-prior. 28.3.1994).

Formulation(s): gran. 100 mg/g; tabl. 100 mg, 250 mg; vial 5 mg/ml, 25 mg/ml

Trade Name(s):
D: Tavanic (Hoechst Marion Roussel; 1998)
J: Cravit (Daiichi Seiyaku)
USA: Levaquin (Ortho-McNeil)

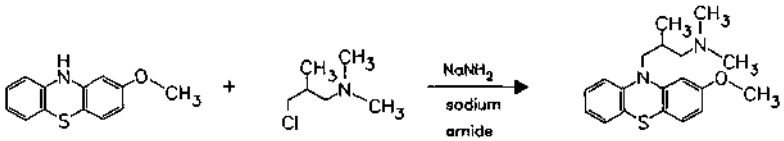
Levomepromazine

(Laevomepromazine; Methotrimeprazine)

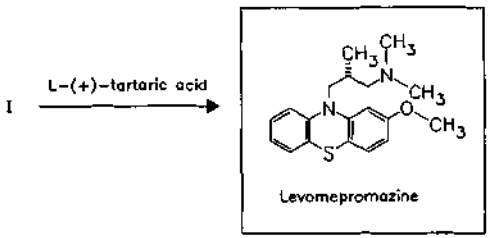
ATC: N05AA02
Use: neuroleptic

RN: 60-99-1 MF: $C_{19}H_{24}N_2OS$ MW: 328.48 EINECS: 200-495-5
LD_{50}: 39 mg/kg (M, i.v.); 370 mg/kg (M, p.o.); 1100 mg/kg (R, p.o.)
CN: (*R*)-2-methoxy-*N*,*N*,β-trimethyl-10*H*-phenothiazine-10-propanamine

monohydrochloride
RN: 1236-99-3 MF: $C_{19}H_{24}N_2OS \cdot HCl$ MW: 364.94 EINECS: 214-978-3
LD_{50}: 75 mg/kg (M, i.v.); 380 mg/kg (M, p.o.)
maleate (1:1)
RN: 7104-38-3 MF: $C_{19}H_{24}N_2OS \cdot C_4H_4O_4$ MW: 444.55 EINECS: 230-412-8



2-methoxy-phenothiazine + 3-dimethylamino-2-methylpropyl chloride → (±)-mepromazine (I)



I → Levomepromazine

Reference(s):
US 2 837 518 (Rhône-Poulenc; 1958; F-prior. 1954).
DE 1 034 638 (Rhône-Poulenc; appl. 1955; F-prior. 1954).

Formulation(s): amp. 25 mg, 200 mg (as hydrochloride); sol. 40 mg/ml; tabl. 2 mg, 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

Trade Name(s):

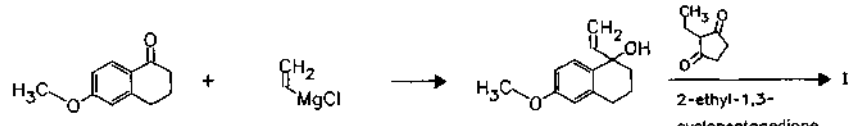
D:	Neurocil (Bayer Vital)	I:	Nozinan (Rhône-Poulenc Rorer)		Levaru (Mohan)
	Tisercin (Thiemann)	J:	Dedoran (Shionogi)		Levomezine (Toho)
F:	Nozinan (Specia)		Hirnamin (Shionogi; as maleate)		Levotomin (Yoshitomi)
GB:	Nozinan (Link)				Sofmin (Dainippon)
				USA:	Levoprome (Immunex)

Levonorgestrel

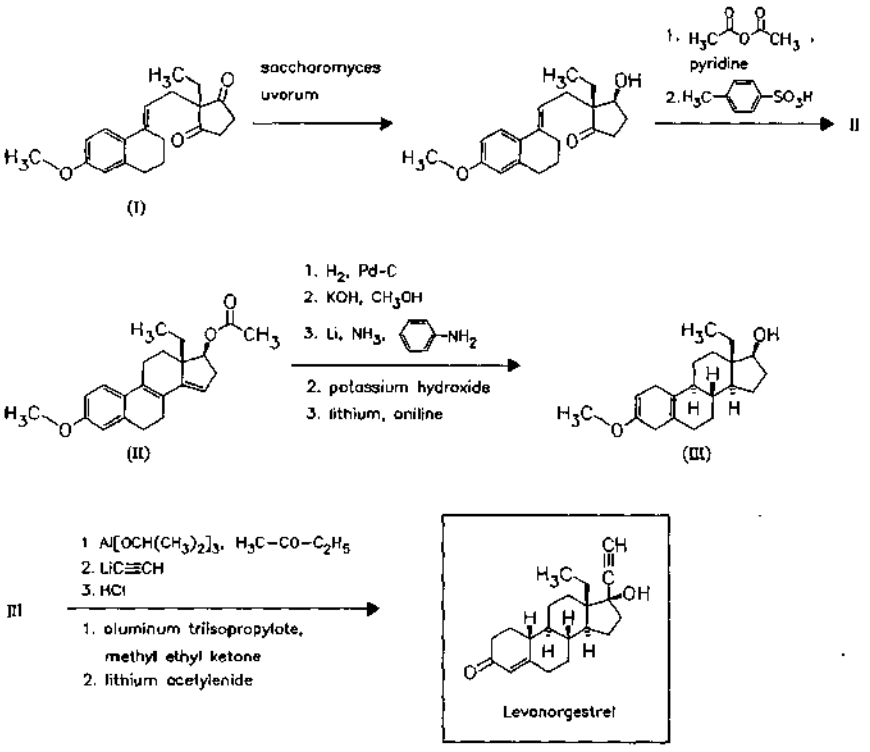
(D-Norgestrel; Dexnorgestrel)

ATC: G03AC03
Use: progestogen

RN: 797-63-7 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 212-349-8
CN: (17α)-13-ethyl-17-hydroxy-18,19-dinorpregn-4-en-20-yn-3-one



6-methoxy-1-tetralone + vinylmagnesium chloride → I



Reference(s):
Rufer, C. et al.: Justus Liebigs Ann. Chem. (JLACBF) **702**, 141 (1967).

alternative syntheses:
DOS 1 806 410 (Hoffmann-La Roche; appl. 31.10.1968; USA-prior. 2.11.1967).
Smith, H. et al.: J. Chem. Soc. (JCSOA9) **1964**, 4472.

ethynylation methods:
DD 114 807 (Reihe, Kutz; appl. 11.10.1974).
DE 2 030 056 (Schering AG; appl. 13.7.1970).

use as contraceptive:
DOS 1 922 005 (Schering AG; appl. 24.4.1969).

combination with 17α-ethynylestradiol *as contraceptive:*
DOS 2 218 831 (Schering AG; appl. 14.4.1972).
DOS 2 335 265 (Schering AG; appl. 30.1.1975).
DAS 2 365 103 (Schering AG; appl. 21.12.1973).
DOS 2 431 704 (Asche; appl. 2.7.1974).

intrauterine anticonception:
DOS 2 361 206 (Schering AG; appl. 6.12.1973).

pharmaceutical formulation:
DOS 2 432 925 (Schering AG; appl. 5.7.1974).
DOS 2 449 865 (Schering AG; appl. 17.10.1974).

Formulation(s): drg. 0.03 mg, 0.1 mg, 0.15 mg, 0.25 mg; pessaries 52 mg

Trade Name(s):

D:	Micro-30-Wyeth (Wyeth)	Mirena Intrauterinpessar (Schering)	numerous combination preparations
	Microlut (Schering)		

F: Adepal (Wyeth-Lederle)-comb. with ethynylestradiol
Microval (Wyeth-Lederle)-comb. with ethynylestradiol
Minidril (Wyeth-Lederle)-comb. with ethynylestradiol
Trinordiol (Wyeth-Lederle)-comb. with ethynylestradiol

GB: Microval (Wyeth)
Mirena (Schering)
Norgeston (Schering)

I: numerous combination preparations
Binordiol (Wyeth)-comb.
Bivlar (Schering)-comb.
Egogyn (Schering)-comb.
Evanor D (Wyeth)-comb.
Microgynon (Schering)-comb.
Microlut (Schering)
Novogyn (Schering)-comb.
Ovranet (Wyeth)-comb.
Trigynon (Schering)-comb.
Trinordiol (Wyeth)-comb.

J: Microlut (Nihon Schering)
Micro 30 (Wyeth)
Norgeston (Nihon Schering)

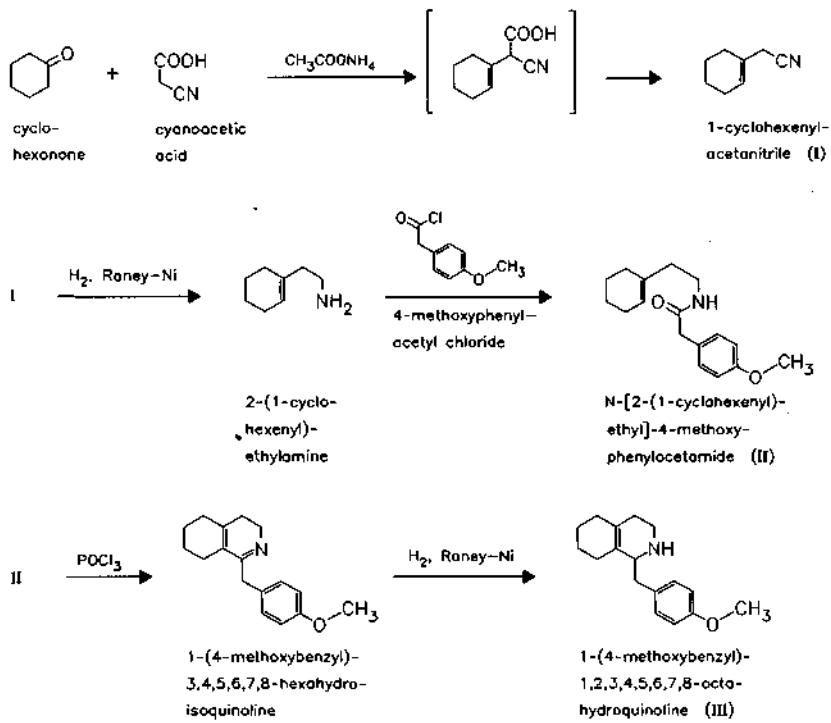
USA: Alesse (Wyeth-Ayerst)-comb.
Levlen (Berlex)-comb.
Nordette (Wyeth-Ayerst)-comb.
Tri-Levlen (Berlex)-comb.
Triphasil (Wyeth-Ayerst)-comb.

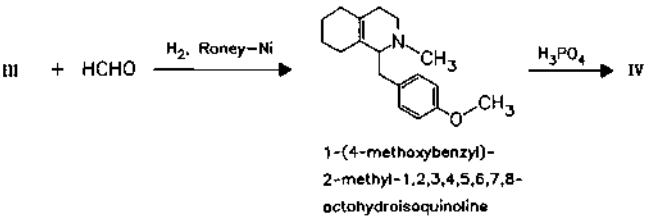
Levorphanol

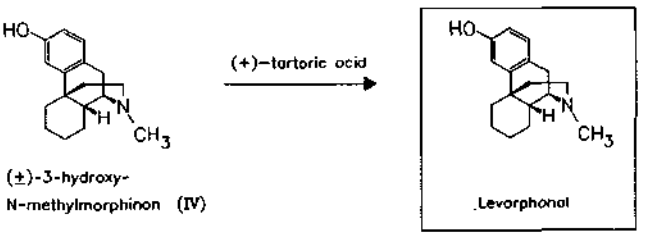
ATC: N02
Use: analgesic

RN: 77-07-6 MF: $C_{17}H_{23}NO$ MW: 257.38 EINECS: 201-002-6
LD_{50}: 41 mg/kg (M, i.v.); 285 mg/kg (M, p.o.);
150 mg/kg (R, p.o.)
CN: 17-methylmorphinan-3-ol

tartrate (1:1)
RN: 125-72-4 MF: $C_{17}H_{23}NO \cdot C_4H_6O_6$ MW: 407.46 EINECS: 204-753-8
LD_{50}: 32 mg/kg (M, i.v.); 285 mg/kg (M, p.o.);
27 mg/kg (R, i.v.); 150 mg/kg (R, p.o.);
46 mg/kg (dog, i.v.)







Reference(s):
Ehrhart, Ruschig **I**, 130-131.
Schnider, O.; Hellerbach, J.: Helv. Chim. Acta (HCACAV) **33**, 1437 (1950).
Schnider, O.; Grüssner, A.: Helv. Chim. Acta (HCACAV) **34**, 2211 (1951).

Formulation(s): amp. 2 mg/ml; tabl. 2 mg (as tartrate)

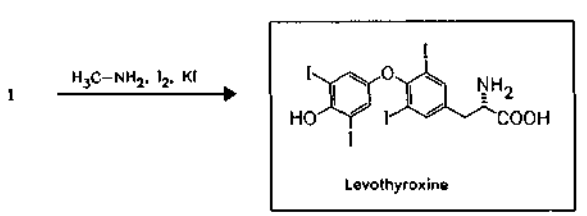
Trade Name(s):
D: Dromoran (Roche); wfm GB: Dromoran (Roche); wfm USA: Levo-Dromoran (Roche)

Levothyroxine

ATC: H03AA01
Use: thyroid hormone

RN: 51-48-9 MF: $C_{15}H_{11}I_4NO_4$ MW: 776.87 EINECS: 200-101-1
CN: *O*-(4-hydroxy-3,5-diiodophenyl)-3,5-diiodo-L-tyrosine

monosodium salt
RN: 55-03-8 MF: $C_{15}H_{10}I_4NNaO_4$ MW: 798.85 EINECS: 200-221-4
LD_{50}: 20 mg/kg (R, i.p.); 50 mg/kg (R, s.c.)



Reference(s):
Nahm, H.; Siedel, W.: Chem. Ber. (CHBEAM) **96**, 1 (1963).
DE 1 067 826 (Hoechst; appl. 1955).
DE 1 077 673 (Hoechst; appl. 1958).

alternative syntheses from L-tyrosine *via* L-*N*-acetyl-3,5-diiodotyrosine ethyl ester:
DE 1 064 529 (G. Hillmann; appl. 1956).
DE 1 065 855 (G. Hillmann; appl. 1956).
US 2 803 654 (Baxter Labs.; 1957; prior. 1953).
US 2 889 363 (Baxter Labs.; 1959; appl. 1955).
US 2 889 364 (Baxter Labs.; 1959; appl. 1957).

Formulation(s): tabl. 0.025 mg, 0.05 mg, 0.075 mg, 0.1 mg, 0.125 mg, 0.150 mg, 0.175 mg, 0.2 mg, 0.3 mg (as sodium salt)

Trade Name(s):

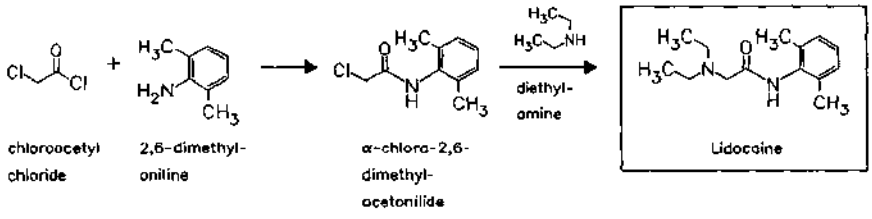
D:	Eferox (Hexal) Euthyrox (Merck) Thevier (Glaxo Wellcome) L-Thyroxin "Henning" (Henning Berlin) numerous combination preparations	GB: I:	Lévothyrox (Lipha Santé) L-thyroxine Roche (Roche) Eltroxin (Goldshield) Dermocinetic crema (Irbi)-comb. Somatoline emuls. (Manetti Roberts)-comb.	USA:	Tyronamin (Takeda; as sodium salt) Levothroid (Forest; as sodium salt) Levoxyl (Jones Medical Industries; as sodium salt) Synthroid (Knoll; as sodium salt)
F:	Euthyral (Lipha Santé)-comb.	J:	Thyradin-S (Teikoku Zoki)		

Lidocaine
(Lignocaine)

ATC: C01BB01; C05AD01; D04AB01; N01BB02; R02AD02; S01HA07; S02DA01
Use: local anesthetic, antiarrhythmic

RN: 137-58-6 MF: $C_{14}H_{22}N_2O$ MW: 234.34 EINECS: 205-302-8
LD_{50}: 20 mg/kg (M, i.v.); 220 mg/kg (M, p.o.);
18 mg/kg (R, i.v.); 317 mg/kg (R, p.o.)
CN: 2-(diethylamino)-*N*-(2,6-dimethylphenyl)acetamide

monohydrochloride
RN: 73-78-9 MF: $C_{14}H_{22}N_2O \cdot HCl$ MW: 270.80 EINECS: 200-803-8
LD_{50}: 15 mg/kg (M, i.v.); 220 mg/kg (M, p.o.);
21 mg/kg (R, i.v.)



Reference(s):
US 2 441 498 (AB Astra; 1948; S-prior. 1943).
DE 968 561 (AB Astra; appl. 1944; S-prior. 1943).

hydrochloride monohydrate:
US 2 797 241 (C.L.M. Brown, A. Poole; 1957; GB-prior. 1953).

Formulation(s): amp. 0.5 %, 1 %, 2 %, 25 mg; gel 2 %; ointment 5 %; sol. 4 % (as hydrochloride)

Trade Name(s):

D: Gelicain (curasan)
Heweneural (Hevert)
Licain (curasan)
Xylocain (Astra)
Xyloneural (Strathmann)
numerous generics

F: Xylocaine (Astra)
Xylocard (Astra)
numerous combination preparations

GB: Xylocaine (Astra)
Xylocard (Astra)
numerous combination preparations

I: Luan (Molteni; as hydrochloride)
Neolidocaton (Dentalica)-comb.
Odontalg (Giovanardi; as hydrochloride)
Ortodermina (Salus Research; as hydrochloride)
Xylocaina (Astra-Simes; as hydrochloride)
Xylocaina epinefrina (Astra-Simes)-comb.
Xylocaina iniett. (Astra-Simes; as hydrochloride)
Xylocaina Spray (Astra-Simes; as hydrochloride)
Xylonor (Ogna)-comb.
combination preparations

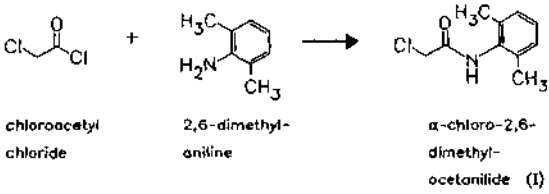
J: Leostesin N (Showa)
Xylocaine (Astra-Fujisawa)

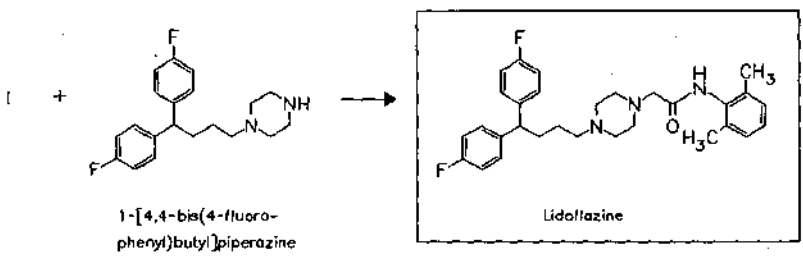
USA: Anestacon (PolyMedica; as hydrochloride)
EMLA (Astra)
Lidocaine (Roxane)
Lidocaine Hydrochloride (Elkins-Sinn)
Xylocaine (Astra)
Xylocaine (Astra; as hydrochloride)

Lidoflazine

ATC: C08EX01
Use: coronary vasodilator

RN: 3416-26-0 MF: $C_{30}H_{35}F_2N_3O$ MW: 491.63 EINECS: 222-312-8
LD_{50}: 40 mg/kg (M, i.v.); >2 g/kg (M, p.o.); >3.2 g/kg (R, p.o.)
CN: 4-[4,4-bis(4-fluorophenyl)butyl]-*N*-(2,6-dimethylphenyl)-1-piperazineacetamide





Reference(s):
US 3 267 104 (Janssen; 16.8.1966; prior. 9.6.1964, 14.5.1965).
GB 1 055 100 (Janssen; appl. 8.6.1965; USA-prior. 9.6.1964, 14.5.1965).
NL-appl. 6 507 312 (Janssen; appl. 9.6.1965; USA-prior. 9.6.1964, 14.5.1965).

Formulation(s): tabl. 60 mg

Trade Name(s):

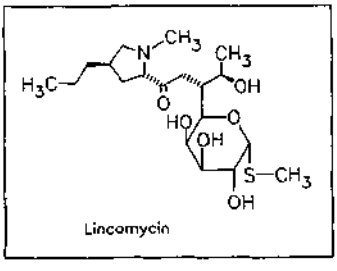
D:	Clinium (Janssen); wfm		Corflazine (Syntex); wfm		Clinium (Janssen); wfm
F:	Clinium (LeBrun); wfm	I:	Clavidene (Corvi); wfm	USA:	Clinium (McNeil); wfm

Lincomycin

ATC: J01FF02
Use: antibiotic

RN: 154-21-2 MF: $C_{18}H_{34}N_2O_6S$ MW: 406.54 EINECS: 205-824-6
LD_{50}: 13.9 g/kg (M, p.o.);
1 g/kg (R, p.o.)
CN: (2*S*-*trans*)-methyl 6,8-dideoxy-6-[[(1-methyl-4-propyl-2-pyrrolidinyl)carbonyl]amino]-1-thio-D-*erythro*-α-D-*galacto*-octopyranoside

monohydrochloride
RN: 859-18-7 MF: $C_{18}H_{34}N_2O_6S \cdot HCl$ MW: 443.01 EINECS: 212-726-7



Lincomycin

From fermentation solutions of *Streptomyces lincolnensis*.

Reference(s):
US 3 086 912 (Upjohn; 23.4.1963; prior. 3.7.1961).
US 3 155 580 (Upjohn; 3.11.1964; prior. 30.8.1961).
US 4 091 204 (Upjohn; 23.5.1978; prior. 20.12.1974, 24.9.1976).

lincomycin derivatives:
US 3 380 992 (Upjohn; 30.4.1968; prior. 5.8.1964, 14.6.1965).

Formulation(s): amp. 300 mg, 600 mg; cps. 250 mg, 500 mg; syrup 250 mg (as hydrochloride)

Trade Name(s):

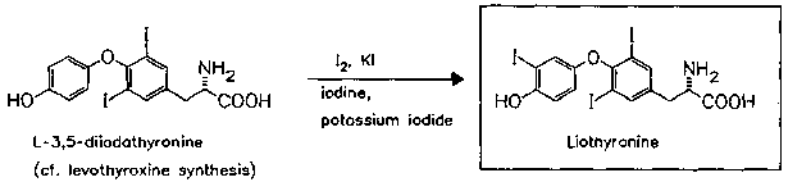
D:	Albiotic (Pharmacia & Upjohn)	GB:	Lincocin (Upjohn); wfm Mycivin (Boots); wfm	J:	Lincocin (Upjohn-Sumitomo Chem.)
F:	Lincocine (Pharmacia & Upjohn)	I:	Lincocin (Upjohn)	USA:	Lincocin (Upjohn); wfm

Liothyronine

ATC: H03AA02
Use: thyroid hormone

RN: 6893-02-3 MF: $C_{15}H_{12}I_3NO_4$ MW: 650.98 EINECS: 229-999-3
CN: *O*-(4-hydroxy-3-iodophenyl)-3,5-diiodo-L-tyrosine

monosodium salt
RN: 55-06-1 MF: $C_{15}H_{11}I_3NNaO_4$ MW: 672.96 EINECS: 200-223-5



Reference(s):
US 2 823 164 (Nat. Res. Dev. Corp.; 1958; prior. 1953).
US 2 993 928 (Glaxo; 25.7.1961; GB-prior. 15.1.1957).
GB 671 070 (Glaxo; appl. 1949).

Formulation(s): tabl. 0.005 mg, 0.02 mg, 0.025 mg, 0.05 mg, 0.1 mg; vial 0.01 mg/ml, 0.1 mg/ml

Trade Name(s):

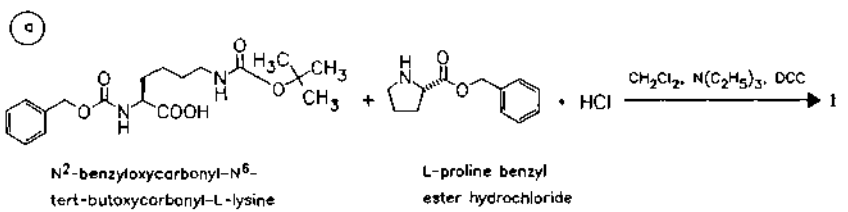
D:	Thybon (Henning Berlin)		Euthyral (Lipha Santé)-comb.		Cytomel (SmithKline Beecham; as sodium salt)
	Thyrotardin (Henning Berlin)	GB:	Tertroxin (Link)		Triostat (SmithKline Beecham; as sodium salt)
	Trijodthyronin (Berlin-Chemie)	I:	Titre (Teofarma)		Triostat (Jones Medical Industries; as sodium salt)
	numerous combination preparations	J:	Thyronamin (Takeda)		
F:	Cynomel (Marion Merrell)		Thyronine (Taisho)		
		USA:	Cytomel (Jones Medical Industries; as sodium salt)		

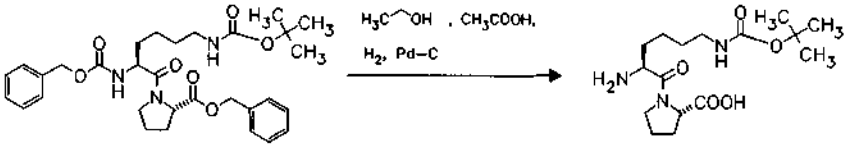
Lisinopril
(MK-521)

ATC: C09AA03
Use: angiotensin-converting enzyme inhibitor (for use as antihypertensive and in congestive heart failure, oral absorption about 25 % (6-60 %), long acting (plasma half-life 12.6 hrs), once-daily dosing)

RN: 76547-98-3 MF: $C_{21}H_{31}N_3O_5$ MW: 405.50 EINECS: 278-488-1
CN: (*S*)-1-[N^2-(1-carboxy-3-phenylpropyl)-L-lysyl]-L-proline

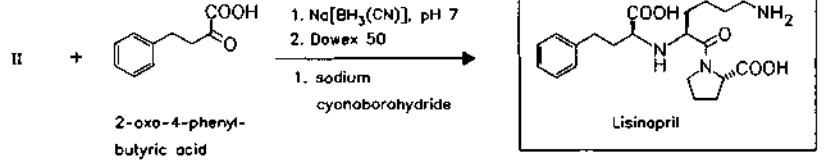
dihydrate
RN: 83915-83-7 MF: $C_{21}H_{31}N_3O_5 \cdot 2H_2O$ MW: 441.53
LD_{50}: >20 g/kg (M, p.o.);
>20 g/kg (R, p.o.)





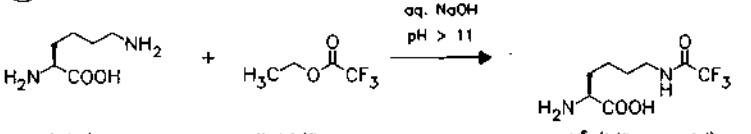
(I)

N-(N⁶-tert-butoxycarbonyl-L-lysyl)-L-proline (II)



2-oxo-4-phenylbutyric acid

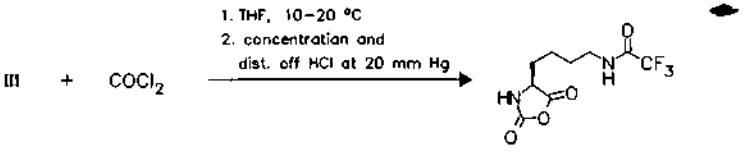
(b)



L-lysine

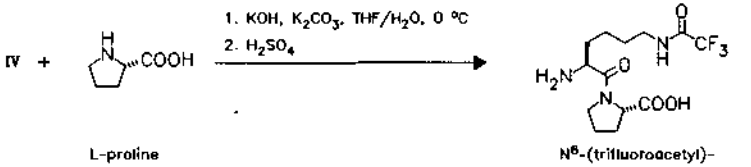
ethyl trifluoroacetate

N⁶-(trifluoroacetyl)-L-lysine (III)



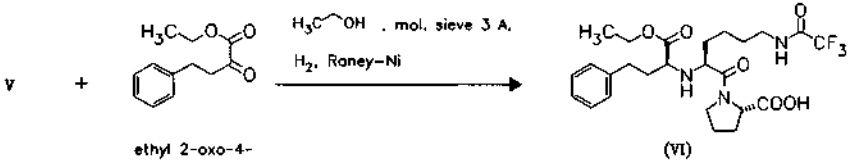
phosgene

N⁶-(trifluoroacetyl)-N²-carboxy-L-lysine anhydride (IV)



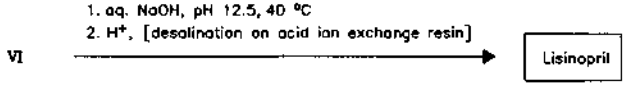
L-proline

N⁶-(trifluoroacetyl)-L-lysyl-L-proline (V)



ethyl 2-oxo-4-phenylbutyrate

(VI)



Reference(s):
Patchett, A.A. et al.: Nature (London) (NATUAS) **288**, 280 (1980).
a US 4 374 829 (Merck & Co.; 22.2.1983; prior. 11.12.1978, 7.5.1979, 9.10.1979, 17.2.1981).
US 4 472 380 (Merck & Co.; 18.9.1984; prior. 11.12.1978, 7.5.1979, 9.10.1978, 17.2.1981, 27.9.1982).
EP 12 401 (Merck & Co.; appl. 10.12.1979; USA-prior. 11.12.1978).
Wu, M.T. et al.: J. Pharm. Sci. (JPMSAE) **74**, 352 (1985).
b Blacklock, T.J. et al.: J. Org. Chem. (JOCEAH) **53**, 836 (1988).
EP 168 769 (Merck & Co.; appl. 11.7.1985; USA-prior. 16.7.1984).

alternative processes:
EP 79 521 (Merck & Co.; appl. 3.11.1982; USA-prior. 9.11.1981, 9.8.1982).
EP 336 368 (Kanegafuchi; appl. 4.4.1989; J-prior. 4.4.1988).

synthesis of N^6-(trifluoroacetyl)-L-lysine:
EP 279 716 (Rhône-Poulenc; appl. 18.1.1988; F-prior. 26.1.1987).

N^6-(trifluoroacetyl)-L-lysyl-L-proline, aromatic sulfonic acid salts:
US 4 720 554 (Ajinomoto; 19.1.1988; J-prior. 6.12.1985).
US 4 786 737 (Ajinomoto; 22.11.1988; J-prior. 6.12.1985).
EP 293 244 (Hamari Chemicals; appl. 27.5.1988; J-prior. 29.5.1987).

purification of N^6-(trifluoroacetyl)-L-lysyl-L-proline:
US 4 935 526 (Rhône-Poulenc; 19.6.1990; F-prior. 6.4.1988).
EP 340 056 (Rhône-Poulenc; appl. 31.3.1989; F-prior. 6.4.1988).

medical use in congestive heart failure:
EP 241 201 (Merck & Co.; appl. 31.3.1987; USA-prior. 7.4.1986).

combination with calcium antagonistic dihydropyridines:
DOS 3 437 917 (Bayer; appl. 17.10.1984).

Formulation(s): tabl. 2.5 mg, 5mg, 10mg, 20 mg, 40 mg (USA); (as dihydrate) comb. with hydrochlorothiazide: tabl. 20 mg lisinopril with 12.5 mg or 25 mg hydrochlorothiazide

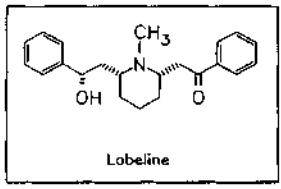
Trade Name(s):
D: Acerbon (Zeneca; 1990)
Acercomp (Zeneca)-comb.
Coric (Du Pont; 1990)
F: Prinivil (Du Pont)
Prinzide (Du Pont)-comb.
Zestoretic (Zeneca Pharma)
Zestril (Zeneca Pharma)
GB: Carace (Du Pont)
Zestril (Zeneca; 1988)
I: Alapril (Sigma-Tau)
Prinivil (Du Pont)
Zestril (Zeneca)
J: Longes (Shionogi)
Zestril (Zeneca-Sumitomo; ICI)
USA: Prinivil (Merck & Co.; 1988)
Prinzide (Merck & Co.)-comb. with hydrochlorothiazide
Zestoretic (Stuart)-comb. with hydrochlorothiazide
Zestril (Stuart; Zeneca; 1988)

Lobeline

ATC: N06
Use: respiratory analeptic, nicotine withdrawl agent

RN: 90-69-7 MF: $C_{22}H_{27}NO_2$ MW: 337.46 EINECS: 202-012-3
LD_{50}: 6300 µg/kg (M, i.v.)
CN: [2*S*-[2α,6α(*R**)]]-2-[6-(2-hydroxy-2-phenylethyl)-1-methyl-2-piperidinyl]-1-phenylethanone

hydrochloride
RN: 134-63-4 MF: $C_{22}H_{27}NO_2 \cdot HCl$ MW: 373.92 EINECS: 205-150-2
LD_{50}: 7800 µg/kg (M, i.v.)
sulfate (2:1)
RN: 134-64-5 MF: $C_{22}H_{27}NO_2 \cdot 1/2H_2O_4S$ MW: 773.00 EINECS: 205-151-8
LD_{50}: 55.3 mg/kg (M, i.p.)



Lobeline

From *Lobelia inflata* L. by extraction of the slightly acidic extract of the drug with chloroform and subsequent purification.

Reference(s):
Wieland, H.: Ber. Dtsch. Chem. Ges. (BDCGAS) **54**, 1784 (1921).
Wieland, H.; Dragendorff, O.: Justus Liebigs Ann. Chem. (JLACBF) **473**, 83 (1929).

syntheses:
Wieland, H.; Drishaus, J.: Justus Liebigs Ann. Chem. (JLACBF) **473**, 102 (1929).
Scheuing, G.; Winterhalder, L.: Justus Liebigs Ann. Chem. (JLACBF) **473**, 126 (1929).

Formulation(s): amp. 3 mg, 10 mg; tabl. 2 mg (as sulfate)

Trade Name(s):

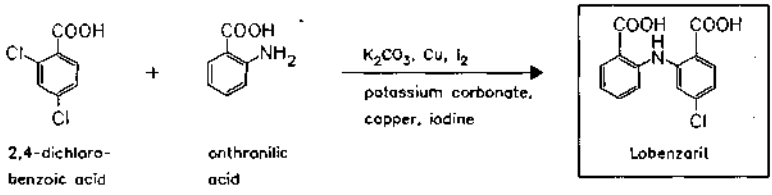
D:	Citotal (Müller/ Göppingen)-comb.; wfm		Unilobin (Rhône-Poulenc); wfm
	Stenopressin (Efeka)-comb.; wfm	F:	Lobatox (Sobio); wfm
		J:	Atmulatin (Dainippon)

Lobenzarit

ATC: M01
Use: anti-inflammatory

RN: 63329-53-3 MF: $C_{14}H_{10}ClNO_4$ MW: 291.69
CN: 2-[(2-carboxyphenyl)amino]-4-chlorobenzoic acid

sodium salt
RN: 64808-48-6 MF: $C_{14}H_8NNa_2O_4$ MW: 300.20



2,4-dichlorobenzoic acid
anthranilic acid
Lobenzarit

Reference(s):
US 4 092 426 (Chugai; 30.5.1978; J-prior. 12.4.1976).
BE 842 832 (Chugai; appl. 11.6.1976; J-prior. 11.6.1975).
DE 2 526 092 (Chugai; prior. 11.6.1975).

Formulation(s): tabl. 40 mg, 80 mg (as sodium salt)

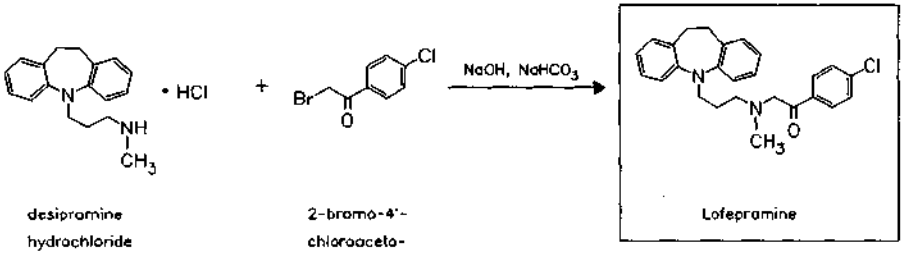
Trade Name(s):
J: Carfenil (Chugai; 1986)

Lofepramine
(Lopramine)

ATC: N06AA07
Use: antidepressant

RN: 23047-25-8 MF: $C_{26}H_{27}ClN_2O$ MW: 418.97 EINECS: 245-396-8
CN: 1-(4-chlorophenyl)-2-[[3-(10,11-dihydro-5*H*-dibenz[*b*,*f*]azepin-5-yl)propyl]methylamino]ethanone

monohydrochloride
RN: 26786-32-3 MF: $C_{26}H_{27}ClN_2O \cdot HCl$ MW: 455.43 EINECS: 248-002-2
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)



Reference(s):
GB 1 177 525 (Leo; appl. 13.4.1967; valid from 2.4.1968).
DOS 1 770 153 (Leo; appl. 8.4.1968; GB-prior. 13.4.1967).
GB 1 497 306 (Leo; appl. 3.7.1975; valid from 30.6.1976).
DOS 2 628 558 (Leo; appl. 25.6.1976; GB-prior. 3.7.1975).
US 3 637 660 (Leo; 25.1.1972; appl. 8.4.1968; GB-prior. 13.4.1967).

medical use as antidepressant:
GB 1 498 857 (Leo; appl. 3.7.1975; valid from 30.6.1976).
US 4 061 747 (Leo; 6.12.1977; GB-prior. 3.7.1975).
Eriksoo, E.; Rohte, O.: Arzneim.-Forsch. (ARZNAD) **20**, 1561 (1970).

Formulation(s): f. c. tabl. 10 mg, 25 mg, 35 mg, 70 mg (as hydrochloride)

Trade Name(s):
D: Gamonil (Merck; 1977) GB: Gamanil (Merck; 1983) J: Amplit (Daiichi; 1981)

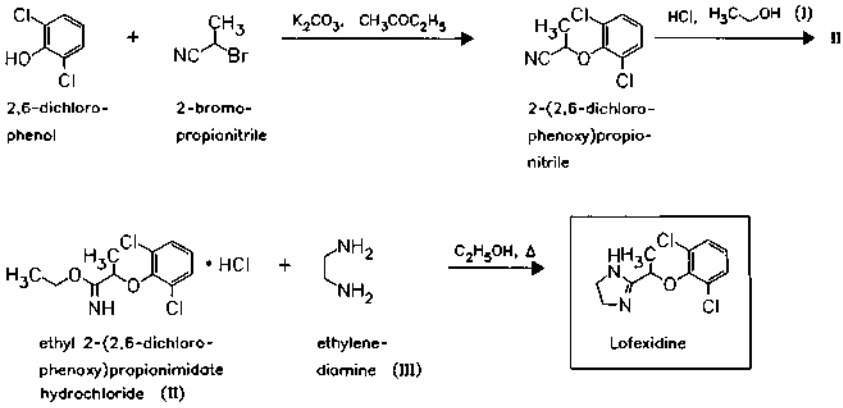
Lofexidine
(MDL-14042; BA-168; RMI-14042)

ATC: C02
Use: antihypertensive, α_2-agonist, relief of symptoms of opiate withdrawal

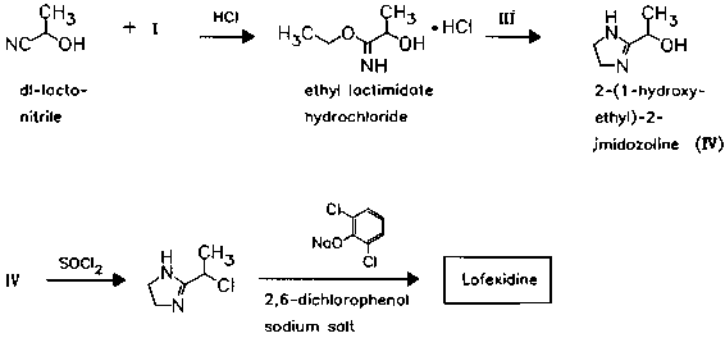
RN: 31036-80-3 MF: $C_{11}H_{12}Cl_2N_2O$ MW: 259.14
LD_{50}: 13 mg/kg (M, i.v.); 100 mg/kg (M, p.o.)
13 mg/kg (R, i.v.); 100 mg/kg (R, p.o.)
CN: 2-[1-(2,6-dichlorophenoxy)ethyl]-4,5-dihydro-1*H*-imidazole

hydrochloride
RN: 21498-08-8 MF: $C_{11}H_{12}Cl_2N_2O \cdot HCl$ MW: 295.60

(a)



(b)



Reference(s):

a US 3 966 757 (Nattermann GmbH; 29.6.1976; D-prior. 23.2.1967).
DOS 1 695 555 (Nordmark-Werke; appl. 23.2.1967).
GB 1 181 356 (Nordmark-Werke; valid from 23.2.1968; D-prior. 23.2.1967).

b DE 1 935 479 (Nordmark-Werke; appl. 12.7.1967; D-prior. 12.7.1967). addition to DOS 1 695 555.

synthesis of 2-(1-chloroethyl)-2-imidazoline:
Klarer, W.; Urech, E.: Helv. Chim. Acta (HCACAV) **27**, 1762 (1944).

use as insecticides:
DE 2 818 367 (Ciba-Geigy; appl. 26.4.1978; CH-prior. 29.4.1977).

Formulation(s): tabl. 0.2 mg (as hydrochloride)

Trade Name(s):

D:	Lofetensin (Nattermann); wfm	GB:	Britlofex (Britannia Pharm.)	BritLoflex (Britannia)

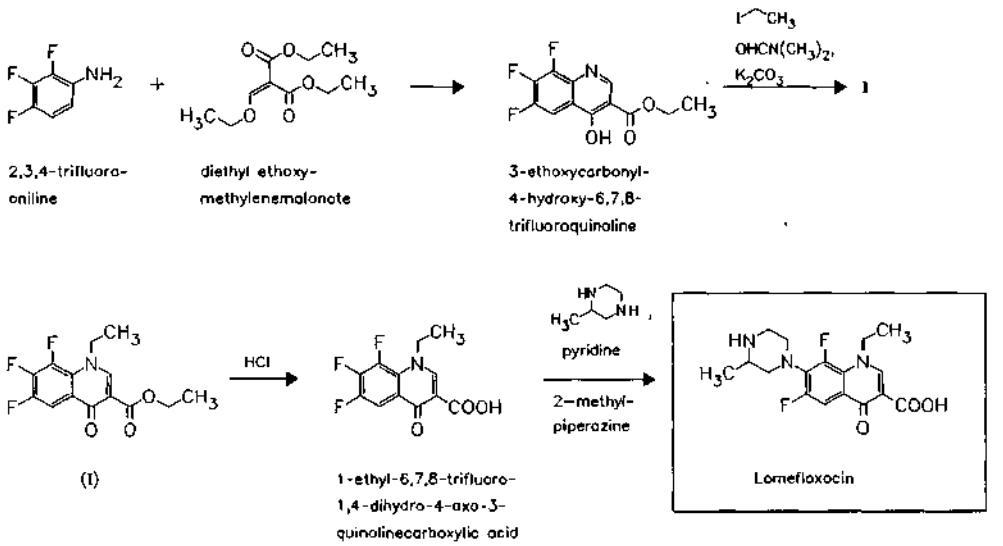
Lomefloxacin

(NY-198)

ATC: J01MA07; S01AX17
Use: quinolone antibacterial, gyrase inhibitor

RN: 98079-51-7 MF: $C_{17}H_{19}F_2N_3O_3$ MW: 351.35
LD_{50}: 246 mg/kg (M, i.v.); >4 g/kg (M, p.o.);
3800 mg/kg (R, p.o.)
CN: (±)-1-ethyl-6,8-difluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid

monohydrochloride
RN: 98079-52-8 MF: $C_{17}H_{19}F_2N_3O_3 \cdot HCl$ MW: 387.81
LD_{50}: 253 mg/kg (M, i.v.); 1608 mg/kg (M, p.o.);
328 mg/kg (R, i.v.); 1556 mg/kg (R, p.o.)



Reference(s):
EP 140 116 (Hokuriku; appl. 15.9.1984; J-prior. 19.9.1983, 12.3.1984, 18.6.1984).
DE 3 433 924 (Hokuriku; appl. 15.9.1984; J-prior. 19.9.1983, 12.3.1984, 18.6.1984).
US 4 528 287 (Hokuriku; 9.7.1985; appl. 17.9.1984; J-prior. 19.9.1983, 12.3.1984, 18.6.1984).

isotonic solution for i.v. administration or as ophthalmic or nasal solution:
US 4 780 465 (Hokuriku; 25.10.1988; appl. 20.5.1987; J-prior. 14.1.1987).
DOS 3 715 918 (Hokuriku; appl. 13.5.1987; J-prior. 14.1.1987).

synthesis of 1-ethyl-6,7,8-trifluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid:
DE 3 031 767 (Kyorin; appl. 22.8.1980; J-prior. 22.8.1979).

lyophilizate:
EP 322 892 (Kyorin; appl. 28.12.1988; J-prior. 28.12.1987).

Formulation(s): eye drops 0.3 %; tabl. 200 mg, 400 mg (as hydrochloride)

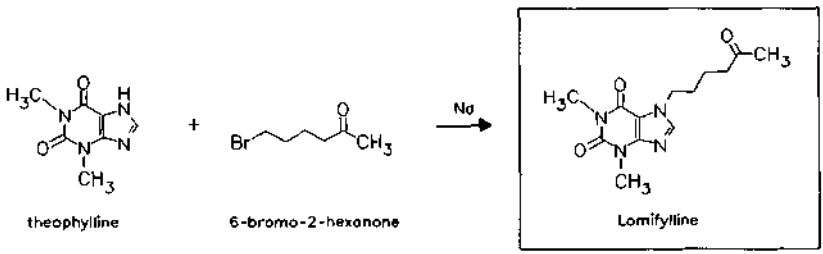
Trade Name(s):

F:	Logiflox (Monsanto)		Uniquin (Alfa Wassermann)	USA:	Maxaquin (Searle; Unimed)
I:	Chimono (Lusofarmaco)	J:	Bareon (Hokuriku; 1990)		
	Maxaquin (Schiapparelli Searle)		Lomefact (Shionogi; 1990)		

Lomifylline

ATC: C04
Use: vasodilator (peripheral)

RN: 10226-54-7 MF: $C_{13}H_{18}N_4O_3$ MW: 278.31 EINECS: 233-547-0
CN: 3,7-dihydro-1,3-dimethyl-7-(5-oxohexyl)-1*H*-purine-2,6-dione



Reference(s):
US 3 422 107 (Chemische Werke Albert; 14.1.1969; D-prior. 5.9.1964, 2.7.1965, 10.7.1965, 24.7.1965).
DE 1 233 405 (Chemische Werke Albert; appl. 5.9.1964).

alternative syntheses:
DOS 2 302 772 (Chemische Werke Albert; appl. 20.1.1973).
DOS 2 330 741 (Chemische Werke Albert; appl. 16.6.1973).

use as dissolving intermediary:
DE 1 250 968 (Chemische Werke Albert; appl. 24.7.1965).

oral pharmaceutical form:
DOS 2 520 978 (Hoechst; appl. 10.5.1975).

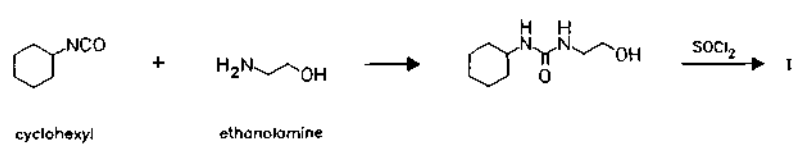
Formulation(s): tabl. 80 mg

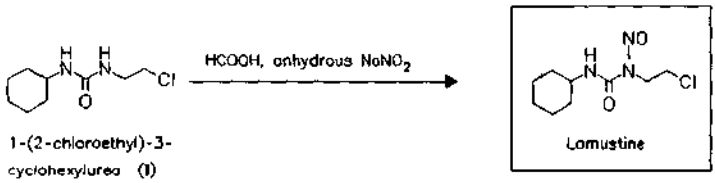
Trade Name(s):
F: Cervilane (Cassenne)-
comb.

Lomustine
(CCNU)

ATC: L01AD02
Use: antineoplastic

RN: 13010-47-4 MF: $C_9H_{16}ClN_3O_2$ MW: 233.70 EINECS: 235-859-2
LD_{50}: 38 mg/kg (M, p.o.);
70 mg/kg (R, p.o.)
CN: *N*-(2-chloroethyl)-*N*'-cyclohexyl-*N*-nitrosourea





1-(2-chloroethyl)-3-cyclohexylurea (I)

Reference(s):
Johnston, T.P. et al.: J. Med. Chem. (JMCMAR) **9**, 892 (1966).

starting material:
Johnston, T.P. et al.: J. Med. Chem. (JMCMAR) **6**, 669 (1963).

Formulation(s): cps. 10 mg, 40 mg; tabl. 10 mg, 40 mg

Trade Name(s):

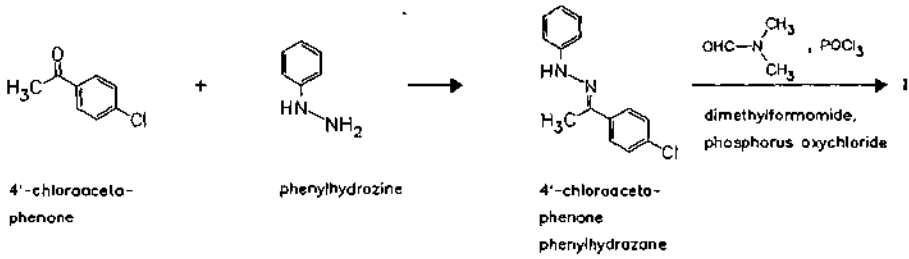
D:	Cecenu (medac)	I:	Belustine (Rhône-Poulenc Rorer)	USA:	CeeNU (Bristol-Myers Squibb)
F:	Bélustine (Roger Bellon)				

Lonazolac

ATC: M01AB09
Use: non-steroidal anti-inflammatory

RN: 53808-88-1 MF: $C_{17}H_{13}ClN_2O_2$ MW: 312.76 EINECS: 258-791-5
CN: 3-(4-chlorophenyl)-1-phenyl-1*H*-pyrazole-4-acetic acid

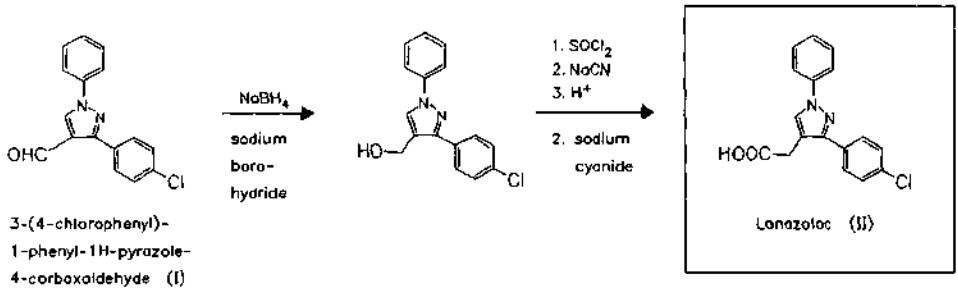
calcium salt
RN: 75821-71-5 MF: $C_{34}H_{24}CaCl_2N_4O_4$ MW: 663.57 EINECS: 278-322-8
LD_{50}: 670 mg/kg (M, p.o.);
845 mg/kg (R, p.o.);
790 mg/kg (g. p., p.o.);
650 mg/kg (rabbit, p.o.)



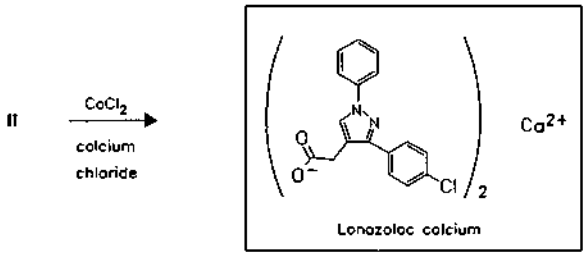
4'-chloroacetophenone

phenylhydrazine

4'-chloroacetophenone phenylhydrazone



3-(4-chlorophenyl)-1-phenyl-1H-pyrazole-4-carboxaldehyde (I)



Reference(s):
DE 1 946 370 (Byk Gulden; appl. 12.9.1969).
US 4 325 962 (Byk Gulden; 20.4.1982; D-prior. 12.9.1969).
US 4 146 721 (Byk Gulden; 27.3.1979; D-prior. 12.9.1968).
Rainer, G. et al.: Arzneim.-Forsch. (ARZNAD) **31**, 649 (1981).

alternative synthesis of calcium salt:
EP 299 504 (Spofa; appl. 15.7.1988; CS-prior. 17.7.1987).

alternative synthesis of the free acid:
GB 1 373 212 (Wyeth; appl. 7.12.1970).

combination with analgesics:
DE 2 605 243 (Byk Gulden; appl. 11.2.1976; LUX-prior. 14.2.1975).

medical use for thrombocyte aggregation inhibition:
DE 3 444 633 (Byk Gulden; appl. 7.12.1984; CH-prior. 23.12.1983).

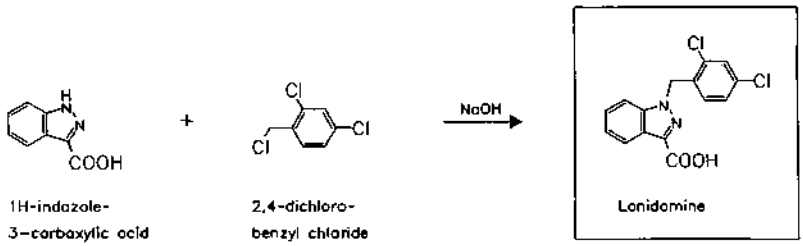
Formulation(s): suppos. 400 mg; tabl. 200 mg, 300 mg

Trade Name(s):
D: Argun (Merckle); arthro akut (Byk Gulden; Byk Tosse)

Lonidamine

ATC: L01XX07
Use: antineoplastic

RN: 50264-69-2 MF: $C_{15}H_{10}Cl_2N_2O_2$ MW: 321.16 EINECS: 256-510-0
LD_{50}: 435 mg/kg (M, i.p.); 900 mg/kg (M, p.o.);
525 mg/kg (R, i.p.); 1700 mg/kg (R, p.o.)
CN: 1-[(2,4-dichlorophenyl)methyl]-1*H*-indazole-3-carboxylic acid



Reference(s):
DE 2 310 031 (Aziende chimiche Riunite; appl. 28.2.1973; I-prior. 29.2.1972).
US 3 895 026 (Aziende chimiche Riunite; 15.7.1975; I-prior. 29.2.1972).
Corsi, G.; Palazzo, G.: J. Med. Chem. (JMCMAR) **19**, 778 (1976).

alternative synthesis:
ES 545 644 (Lab. Ausonia; appl. 29.7.1985).

medical use for treatment of cancer:
BE 894 111 (Angelini Inst.; appl. 13.8.1982; I-prior. 17.8.1981).

Formulation(s): tabl. 150 mg

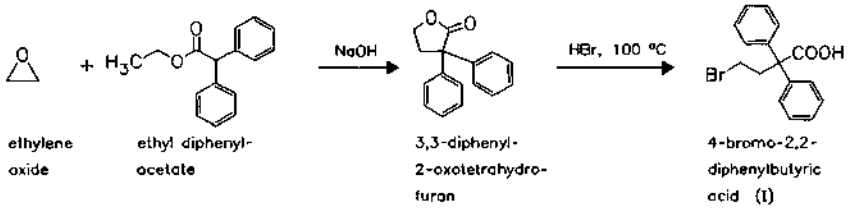
Trade Name(s):
I: Doridamina (Angelini; 1987)

Loperamide

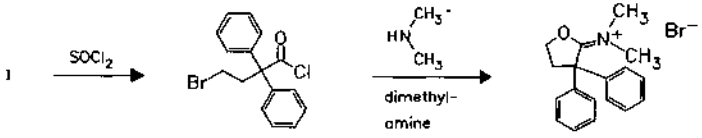
ATC: A07DA03
Use: antidiarrheal

RN: 53179-11-6 MF: $C_{29}H_{33}ClN_2O_2$ MW: 477.05 EINECS: 258-416-5
LD_{50}: 105 mg/kg (M, p.o.);
5.1 mg/kg (R, i.v.); 98 mg/kg (R, p.o.);
2.8 mg/kg (dog, i.v.); 40 mg/kg (dog, p.o.)
CN: 4-(4-chlorophenyl)-4-hydroxy-*N*,*N*-dimethyl-α,α-diphenyl-1-piperidinebutanamide

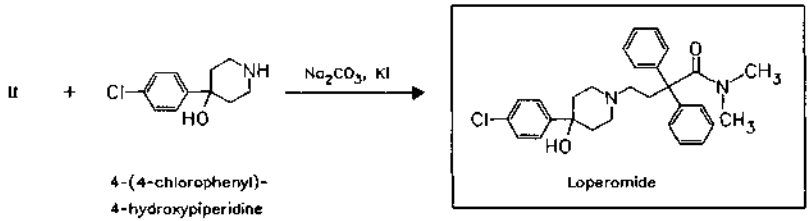
monohydrochloride
RN: 34552-83-5 MF: $C_{29}H_{33}ClN_2O_2 \cdot HCl$ MW: 513.51 EINECS: 252-082-4
LD_{50}: 12.64 mg/kg (M, i.v.); 105 mg/kg (M, p.o.);
7.49 mg/kg (R, i.v.); 185 mg/kg (R, p.o.)



ethylene oxide | ethyl diphenylacetate | 3,3-diphenyl-2-oxotetrahydrofuran | 4-bromo-2,2-diphenylbutyric acid (I)



4-bromo-2,2-diphenylbutyryl chloride | dimethyl-(3,3-diphenyltetrahydro-2-furylidene)-ammonium bromide (II)



4-(4-chlorophenyl)-4-hydroxypiperidine

Reference(s):
Stokbroekx, R.A. et al.: J. Med. Chem. (JMCMAR) **16**, 782 (1973).
US 3 714 159 (Janssen; 30.1.1973; prior. 1.6.1970, 30.3.1971).
FR-appl. 2 100 711 (Janssen; appl. 28.5.1971; USA-prior. 1.6.1970, 30.3.1971).
US 3 884 916 (Janssen; 20.5.1975; prior. 1.6.1970, 30.3.1971, 7.12.1972).
DOS 2 126 559 (Janssen; appl. 28.5.1971; USA-prior. 1.6.1970, 30.3.1971).

Formulation(s): cps. 2 mg; sol. 0.2 mg; syrup 1 mg/5 ml; tabl. 2 mg (as hydrochloride)

Trade Name(s):

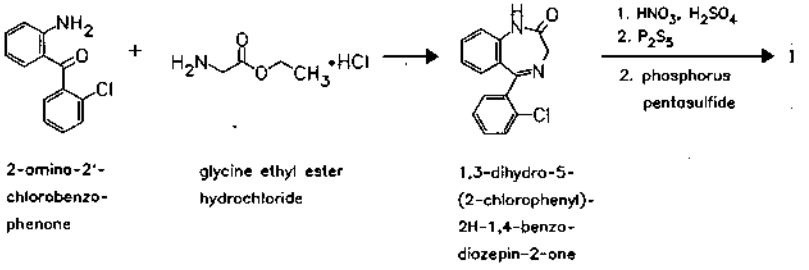
D:	Imodium (Janssen-Cilag; 1976)		Imodium (Janssen-Cilag; 1976)		Loperyl (SmithKline Beecham)
	Sanifug (Wolff)	GB:	Imodium (Janssen-Cilag; 1975)		Tebloc (Lafare)
	Santax (Asche)		Lopergan (Norgine)	J:	Lopemin (Dainippon; 1981)
	numerous generics		Novimode (Tillomed)	USA:	Imodium (Janssen; 1977)
F:	Altocel (Irex)	I:	Dissenten (SPA; 1979)		Imodium (McNeil; 1977)
	Arestal (Janssen-Cilag)		Imodium (Janssen; 1979)		
	Diaretyl (RPR Cooper)		Lopemid (Gentili)		
	Dyspagon (Pierre Fabre)				

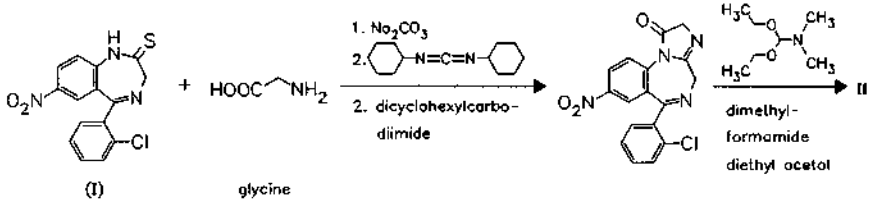
Loprazolam

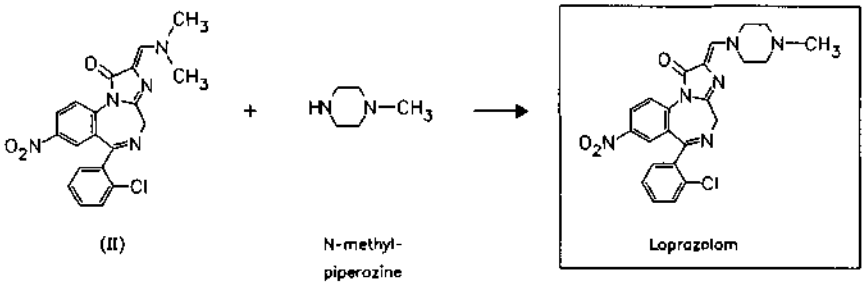
ATC: N05CD11
Use: tranquilizer, hypnotic

RN: 61197-73-7 MF: $C_{23}H_{21}ClN_6O_3$ MW: 464.91
LD_{50}: >1 g/kg (M, p.o.)
CN: (*Z*)-6-(2-chlorophenyl)-2,4-dihydro-2-[(4-methyl-1-piperazinyl)methylene]-8-nitro-1*H*-imidazo[1,2-*a*][1,4]benzodiazepin-1-one

mesylate
RN: 61197-93-1 MF: $C_{23}H_{21}ClN_6O_3 \cdot xCH_4O_3S$ MW: unspecified







Reference(s):
DOS 2 605 652 (Roussel-Uclaf; appl. 12.2.1976; GB-prior. 4.11.1975, 15.2.1975).
US 4 044 142 (Roussel-Uclaf; 23.8.1977; GB-prior. 15.2.1975, 4.9.1975).

alternative synthesis:
DOS 3 211 243 (Roussel-Uclaf; appl. 26.3.1982; F-prior. 27.3.1981).

synthesis of 1,3-dihydro-7-nitro-5-(2-chlorophenyl)-2*H*-1,4-benzodiazepin-2-thione:
Sternbach, L.H. et al.: J. Med. Chem. (JMCMAR) **6**, 261 (1963).
Hester, J.B. et al.: J. Med. Chem. (JMCMAR) **14**, 1078 (1971).
DOS 2 164 777 (Upjohn; appl. 27.12.1971; USA-prior. 3.3.1971).
US 3 402 171 (Roche; 17.9.1968; CH-prior. 2.12.1960).

Formulation(s): tabl. 1 mg, 2 mg (as mesylate)

Trade Name(s):

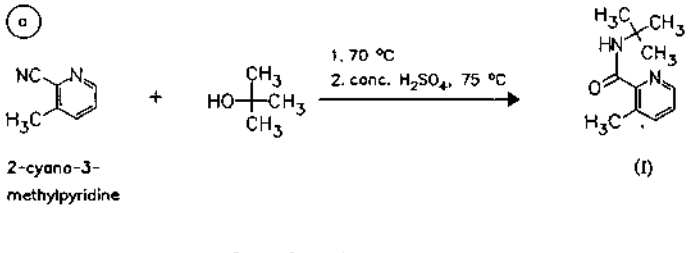
D:	Sonin (Lipha; 1987)	GB:	Dormonoct (Roussel; 1983); wfm	generics
F:	Havlane (Diamant; 1984)			

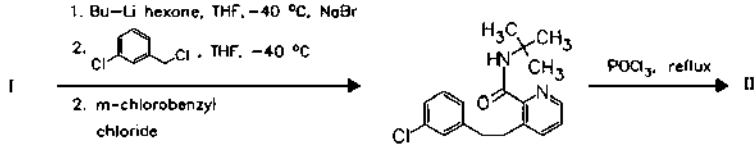
Loratadine

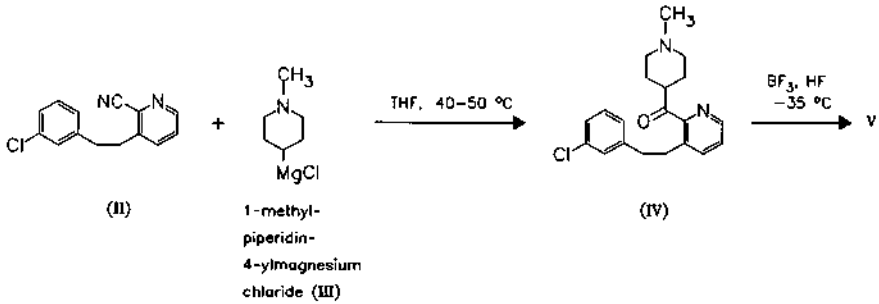
(Sch-29851)

ATC: R06AX13
Use: antiallergic, non-sedating antihistaminic

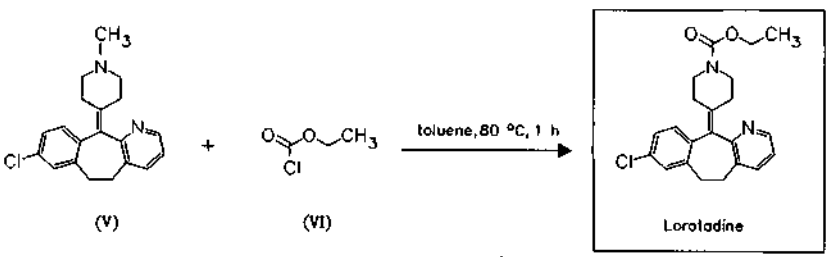
RN: 79794-75-5 MF: $C_{22}H_{23}ClN_2O_2$ MW: 382.89
CN: 4-(8-chloro-5,6-dihydro-11*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-ylidene)-1-piperidinecarboxylic acid ethyl ester





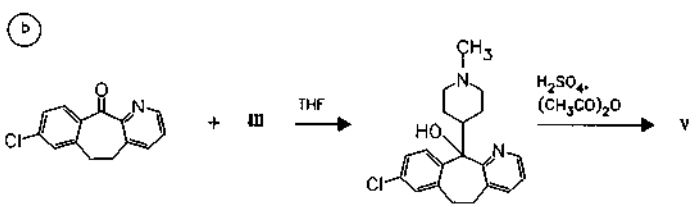


(II) 1-methyl-piperidin-4-ylmagnesium chloride (III) (IV)

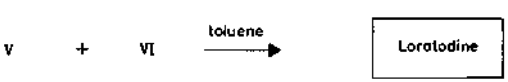


(V) (VI)

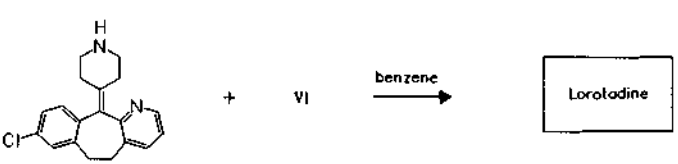
b



8-chloro-5,6-dihydro-11H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-one (VII)

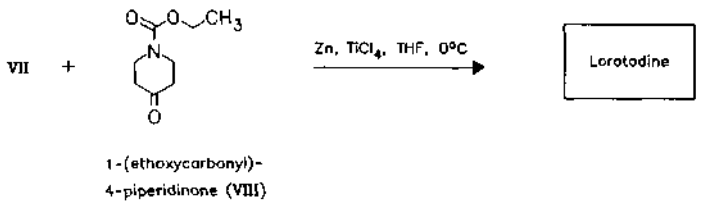


c

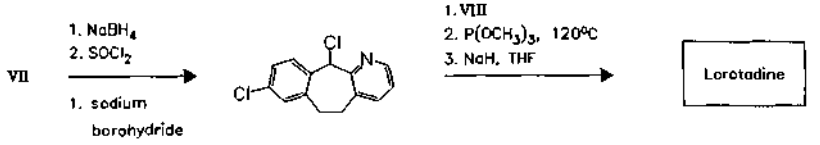


8-chloro-6,11-dihydro-11-(4-piperidylidene)-5H-benzo[5,6]cyclohepta[1,2-b]pyridine

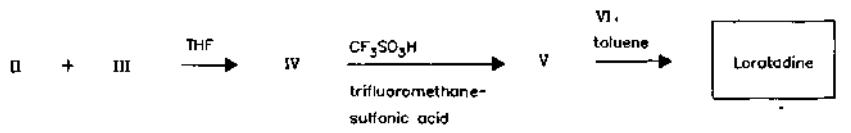
(d)



(e)



(f)



Reference(s):

US 4 454 143 (Schering Corp.; 12.6.1984; prior. 16.3.1981).
US 4 560 688 (Schering Corp.; 24.12.1985; prior. 16.3.1981).
US 4 282 233 (Schering Corp.; 4.8.1981; prior. 19.6.1980).
US 4 355 036 (Schering Corp.; 19.10.1982; prior. 19.6.1980, 16.3.1981).
EP 42 544 (Schering; USA-prior. 19.6.1980).

a R Schumacher, D.P. et al.: J Org. Chem. (JOCEAH) **54**, 2242 (1989).
US 4 731 447 (Schering Corp.; 15.3.1988; prior. 13.5.1985, 12.3.1986).

b Villani, F.J. et al.: Arzneim.-Forsch. (ARZNAD) **36**, 1311 (1986).
Villani, F.J. et al.: J. Med. Chem. (JMCMAR) **15** (7), 750 (1972).

c US 4 355 036 (Schering; 19.10.1982; appl. 16.3.1981; USA-prior. 19.6.1980).

d WO 9 840 376 (Cilag; appl. 6.3.1998; CH-prior. 11.3.1997).
WO 9 838 166 (Jackson; appl. 26.2.1998).

e WO 9 200 293 (Schering Corp.; appl. 21.6.1991; USA-prior. 22.6.1990).

f WO 8 803 138 (Schering, appl. 29.10.1987; USA-prior. 31.10.1986).

Formulation(s): eff. tabl. 10 mg; syrup 10 mg/spoon; tabl. 10 mg

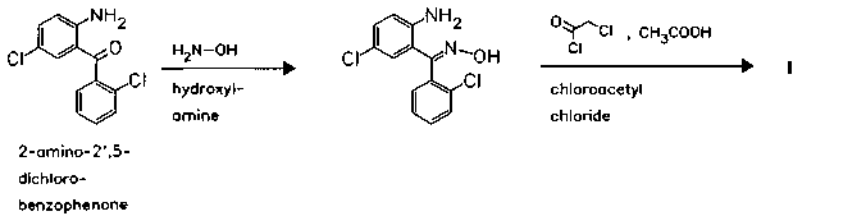
Trade Name(s):

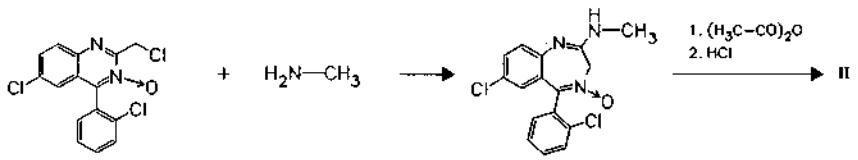
D:	Lisino (Essex Pharma; 1989)		Clarityne (Schering-Plough)	I:	Clarityn (Schering-Plough) Fristamin (Lifepharma)
F:	Clarinase Repetabs (Schering-Plough)-comb.	GB:	Clarityn (Schering-Plough; 1989)	USA:	Claritin (Schering)

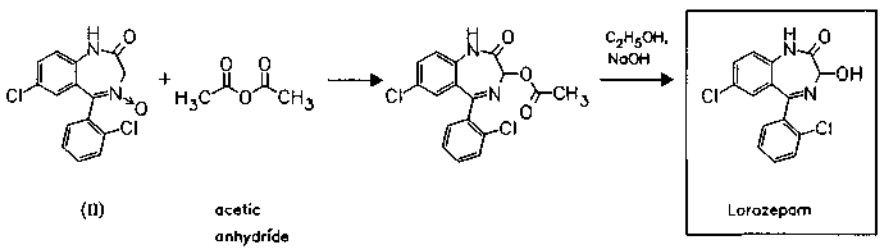
Lorazepam

ATC: N05BA06
Use: tranquilizer, anxiolytic

RN: 846-49-1 MF: $C_{15}H_{10}Cl_2N_2O_2$ MW: 321.16 EINECS: 212-687-6
LD_{50}: 1850 mg/kg (M, p.o.);
4500 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-3-hydroxy-2*H*-1,4-benzodiazepin-2-one







Reference(s):
US 3 296 249 (American Home Products; 3.1.1967; appl. 4.6.1963; prior. 29.8.1961, 5.3.1962).
US 3 176 009 (American Home Products; 30.3.1965; prior. 5.3.1962).
GB 1 022 642 (American Home; appl. 28.8.1962; USA-prior. 29.8.1961, 5.3.1962).
GB 1 022 644 (American Home; appl. 28.8.1962; USA-prior. 29.8.1961, 5.3.1962).
GB 1 022 645 (American Home; appl. 28.8.1962; USA-prior. 29.8.1961, 5.3.1962).
GB 1 057 492 (American Home; appl. 29.8.1968; addition to GB 1 022 642).
DE 1 445 412 (American Home; appl. 17.8.1962; USA-prior. 29.8.1961, 5.3.1962).
DE 1 645 904 (American Home; appl. 17.8.1962; USA-prior. 29.8.1961, 5.3.1962).
DE 1 795 509 (American Home; appl. 17.8.1962; USA-prior. 29.8.1961, 5.3.1962).

Formulation(s): amp. 2 mg/ml, 4 mg/ml; tabl. 0.5 mg, 1 mg, 2.5 mg

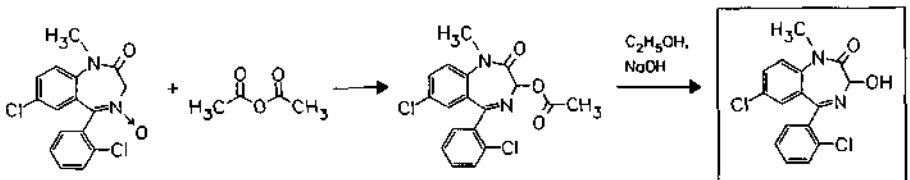
Trade Name(s):
D: Laubeel (Desitin)
Pro-Dorm (Synthelabo)
Punktyl (Krewel Meuselbach)
Somagerol (Brenner-Efeka)
Tavor (Wyeth)

	Tolid (Dolorgiet)		Lorans (Schiapparelli Searle)	J:	Wypax (Yamanouchi)
F:	Temesta (Wyeth-Lederle)		Quait (SIT)	USA:	Ativan (Wyeth-Ayerst)
GB:	Ativan (Wyeth)		Tavor (Wyeth)		
I:	Control (Bayropharm)				

Lormetazepam

ATC: N05CD06
Use: tranquilizer, hypnotic

RN: 848-75-9 MF: $C_{16}H_{12}Cl_2N_2O_2$ MW: 335.19 EINECS: 212-700-5
LD_{50}: 1790 mg/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: 7-chloro-5-(2-chlorophenyl)-1,3-dihydro-3-hydroxy-1-methyl-2*H*-1,4-benzodiazepin-2-one



7-chloro-5-(2-chlorophenyl)-1-methyl-2-oxo-1,3-dihydro-2H-1,4-benzodiazepine 4-oxide

acetic anhydride

3-acetoxy-7-chloro-5-(2-chlorophenyl)-1-methyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one

Reference(s):
US 3 295 249 (American Home Products; 3.1.1967; prior. 4.6.1963, 5.3.1962, 29.8.1961).

Formulation(s): cps. 0.5 mg, 1 mg, 2 mg; tabl. 0.5 mg, 1 mg, 2 mg

Trade Name(s):

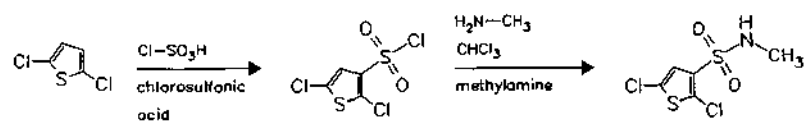
D:	Ergocalm (Brenner-Efeka)	F:	Noctamide (Schering)	I:	Minias (Farmades)
	Loretam (Wyeth)	GB:	Loramet (Wyeth); wfm	J:	Evamyl (Schering)
	Noctamid (Asche; Schering)		Noctamid (Schering); wfm generics		Loramet (Wyeth)
				USA:	Loramet (Wyeth); wfm

Lornoxicam

(Chlortenoxicam; Ro-13-9297)

ATC: M01AC05
Use: anti-inflammatory, nonsteroid antiphlogistic agent

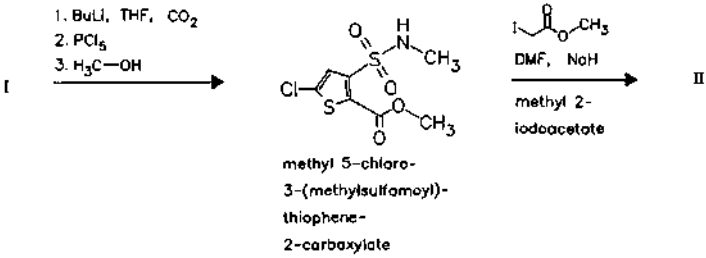
RN: 70374-39-9 MF: $C_{13}H_{10}ClN_3O_4S_2$ MW: 371.83
CN: 6-Chloro-4-hydroxy-2-methyl-*N*-2-pyridinyl-2*H*-thieno[2,3-*e*]-1,2-thiazine-3-carboxamide 1,1-dioxide

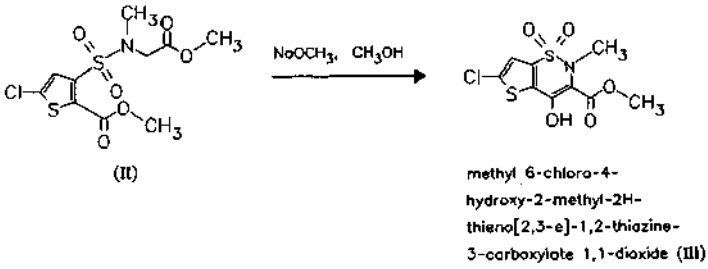


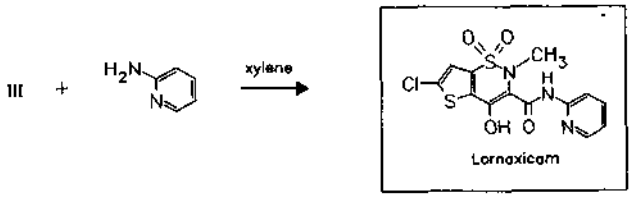
2,5-dichloro-thiophene

2,5-dichloro-3-thiophene-sulfonylchloride

(I)







Reference(s):
DE 2 838 851 (Hoffmann-La Roche; appl. 6.9.1978; LU-prior. 6.9.1977).

process for the preparation of 5-chloro-3-chlorosulfonyl-2-thiophenecarboxylic esters:
EP 34 072 (CL Pharma A. G.; appl. 7.4.1989; A-prior. 2.5.1988).

pharmaceutical composition containing lornoxicam *and* disodium EDTA:
WO 9 809 654 (Nycomed Austria GmbH; appl. 1.9.1997; A-prior. 3.9.1996).

Formulation(s): tabl. 2 mg, 8 mg

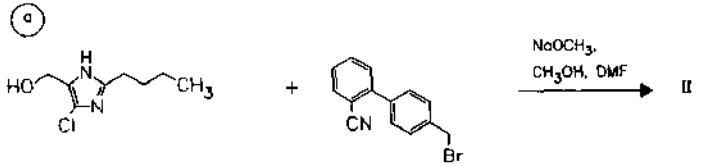
Trade Name(s):

D:	Telos (Merckle; 1999)	GB:	Xefo (Nycomed Amersham)	I:	Acabel (Formenti)

Losartan potassium
(DuP-753; MK-954)

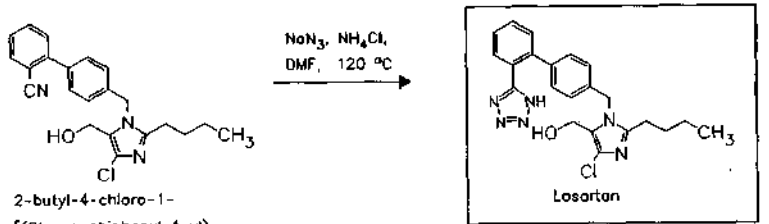
ATC: C02EX01; C09CA01
Use: antihypertensive, angiotensin II blocker

RN: 124750-99-8 MF: $C_{22}H_{22}ClKN_6O$ MW: 461.01
CN: 2-butyl-4-chloro-1-{[2'-(1*H*-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl}-1*H*-imidazole-5-methanol monopotassium salt



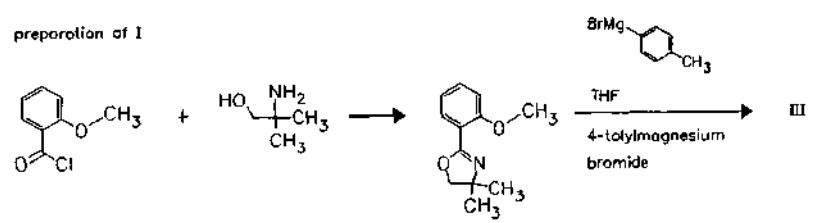
2-butyl-4-chloro-5-hydroxymethyl-imidazole

4'-bromomethyl-2-cyanobiphenyl (I)



2-butyl-4-chloro-1-[(2'-cyanobiphenyl-4-yl)-methyl]-5-(hydroxy-methyl)imidazole (II)

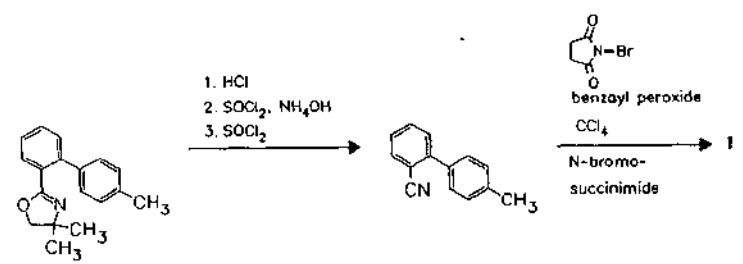
preparation of I



2-methoxy-benzoyl chloride

2-amino-2-methyl-1-propanol

4,4-dimethyl-2-(2-methoxy-phenyl)-2-oxazoline



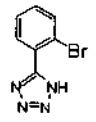
2-(4'-methylbiphenyl-2-yl)-4,4-dimethyl-2-oxazoline (III)

4-methyl-2'-cyanobiphenyl

(b)

2-bromo-benzonitrile

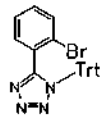
NoN_3
tributyltin chloride



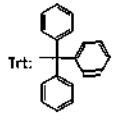
5-(2-bromo-phenyl)tetrazole

Trt—Cl, NaOH

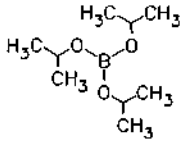
triphenyl-methyl chloride



1-(triphenyl-methyl)-5-(2-bromophenyl)-1H-tetrazol (IV)

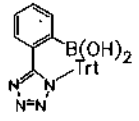


IV +

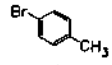


triisopropyl borate

BuLi, THF



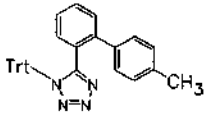
2-(1-triphenyl-methyl-1H-tetrazol-5-yl)-phenylboronic acid (V)



Na_2CO_3
$(Ph_3P)_4Pd$, 80 °C

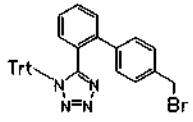
p-bromotoluene, tetrakis(triphenyl-phosphine)-palladium

VI



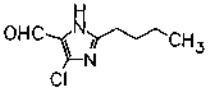
5-(4'-methyl-1,1'-biphenyl-2-yl)-1-triphenylmethyl-1H-tetrazole (VI)

N-bromosuccinimide
CH_2Cl_2



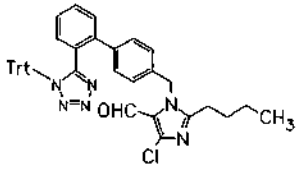
5-(4'-bromo-methyl-1,1'-bi-phenyl-2-yl)-1-triphenylmethyl-1H-tetrazole (VII)

VII +

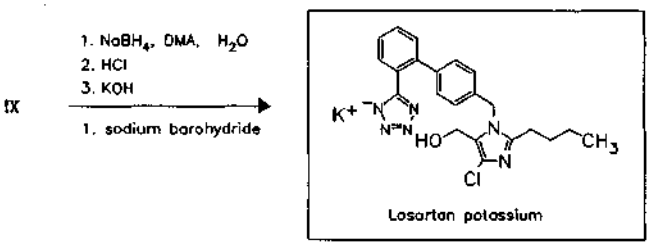


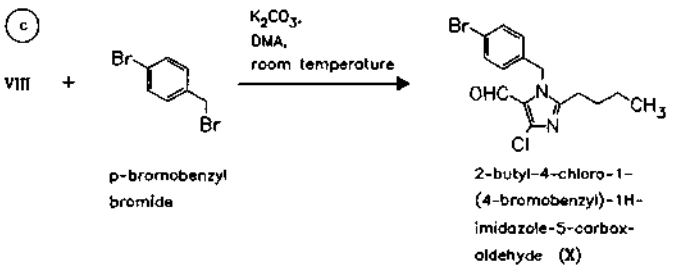
2-butyl-4-chloro-1H-imidazole-5-carboxaldehyde (VIII)

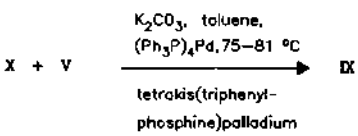
K_2CO_3,
DMA,
0–5 °C



2-butyl-4-chloro-1-[[2'-(1-triphenylmethyl-1H-tetrazol-5-yl)-1,1'-bi-phenyl-4-yl]methyl]-1H-imidazole-5-carbox-aldehyde (IX)







Reference(s):

Larsen, R.D. et al.: J. Med. Chem. (JMCMAR) **59** (21), 6391 (1994).

a EP 324 377 (Du Pont de Nemours; appl. 5.1.1989; USA-prior. 7.1.1988).
Carini, D.J. et al.: J. Med. Chem. (JMCMAR) **34**, 2525 (1991).

b,c Smith, G.B. et al.: J. Org. Chem. (JOCEAH) **59**, 8151-8156 (1994).
US 5 130 439 (Du Pont de Nemours; 14.7.1992; USA-prior. 18.11.1991).
US 5 310 928 (Du Pont de Nemours; 10.5.1994; USA-prior. 18.11.1991).

polymorphs of losartan potassium:

WO 9 517 396 (Merck & Co.; du Pont de Nemours; appl. 21.12.1994; USA-prior. 23.12.1993).

preparation of 2-butyl-4-chloro-5-hydroxymethylimidazole:

Beoschelli, D.H.; Connor, D.T.: Heterocycles (HTCYAM) **35** (1), 121-124 (1993).
Shy, Y.-J.; Frey, L.F.; Tschaen, D.M.; Verhoeven, T.R.: Synth. Commun. (SYNCAV) **23** (18), 2623-2630 (1993).

preparation of 4'-bromomethyl-2-cyanobiphenyl *via bromination with N*-bromosuccinimide:

Tanaka, A. et al.: Bioorg. Med. Chem. (BMECEP) **6** (1), 15-30 (1998).
Huang, H.C. et al.: J. Med. Chem. (JMCMAR) **36** (15), 2172-2181 (1993).

synthesis of intermediate V:

Lo, Y.S.; Rossano, L.T.; Meloni, D.J.; Moore, J.R.; Lee, Y.-C; Arneet, J.F.: J. Heterocycl. Chem. (JHTCAD) **32** (1), 355 (1995).

synthesis of intermediate VIII:

Griffiths, G.H. et al.: J. Org. Chem. (JOCEAH) **64**, 8084 (1999).
Griffiths, G.J.: Chimia (CHIMAD) **51** (6), 283 (1997).

combination with e. g. lovastatin:

WO 9 526 188 (Merck & Co.; appl. 24.3.1995; USA-prior. 29.3.1994).

new form with specific properties:

WO 9 517 396 (Merck & Co., Du Pont; appl. 21.12.1994; USA-prior. 23.12.1993).

use for treatment of neurodegenerative processes:
WO 9 521 609 (Ciba-Geigy; appl. 26.1.1995; EP-prior. 8.2.1994).
US 5 091 390 (Du Pont de Nemours; appl. 20.9.1990; USA-prior. 20.9.1990).

composition with potassium channel activator:
EP 561 357 (Merck; appl. 16.3.1993; D-prior. 20.3.1992).

composition for direct compression tabl.:
EP 511 767 (Merck & Co.; appl. 21.4.1992; USA-prior. 29.4.1991).

use for treatment of cardiac and vascular hypertrophy:
DE 4 036 706 (Hoechst; appl. 17.11.1990; D-prior. 17.11.1990).

Formulation(s): tabl. 12.5 mg, 25 mg, 50 mg

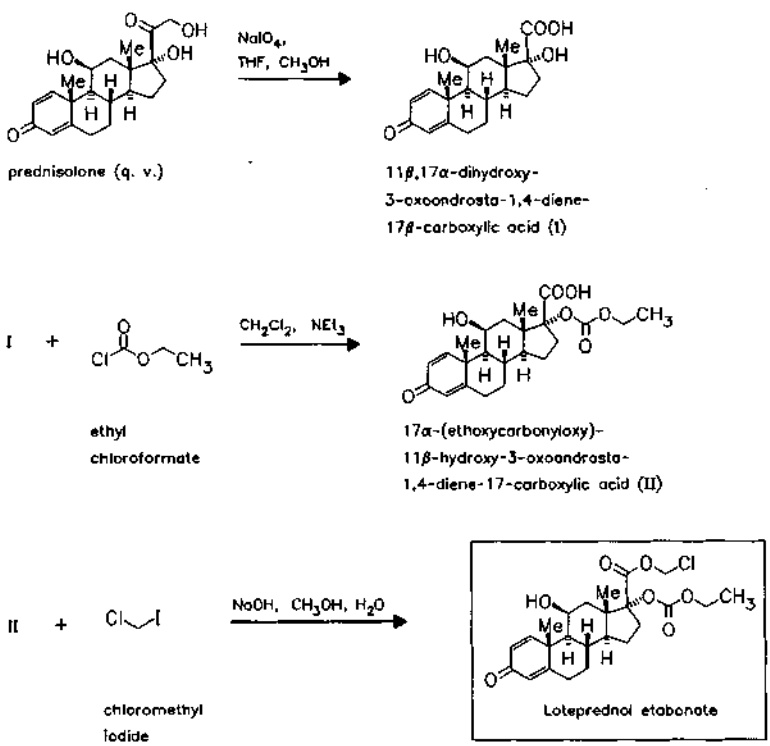
Trade Name(s):

D:	Lorzaar (MSD Chibropharm) Lorzaar (MSD Chibropharm)-comb. with hydrochlorothiazide	F:	Cozaar (Merck Sharp & Dohme-Chibret) Hyzaar (Merck Sharp & Dohme-Chibret)-comb.	I:	Losaprex (Merck & Co.)
		GB:	Cozaar (Merck Sharp & Dohme)	USA:	Cozaar (Merck & Co.; 1995) Hyzaar (Merck & Co.)-comb. with hydrochlorothiazide

Loteprednol etabonate

Use: ocular antiinflammatory soft corticosteroid

RN: 82034-46-6 MF: $C_{24}H_{31}ClO_7$ MW: 466.96
CN: (11β,17α)-17-[(Ethoxycarbonyl)oxy]-11-hydroxy-3-oxoandrosta-1,4-diene-17-carboxylic acid chloromethyl ester



Reference(s):
BE 889 563 (Otsuka Pharm. Co. Ltd.; appl. 9.7.1981; USA-prior. 10.7.1980).

oxidation of prednisolone *with sodium periodate:*
Hirschmann et al.: Chem. Ind. (London) (CHINAG) **1958**, 682

suspension of loteprednol etabonate:
WO 9 511 669 (Pharmos Corp.; USA-prior. 25.10.1993).
US 5 747 061 (Pharmos Corp.; 5.5.1998; USA-prior. 25.10.1993).

Formulation(s): ophthalmic susp. 0.2% 5 ml, 10 ml, 0.5% 2.5 ml, 5 ml, 10 ml, 15 ml

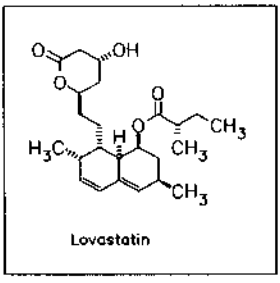
Trade Name(s):
USA: Alrex (Bausch & Lomb Pharm.; 1998) Lotemax (Bausch & Lomb Pharm.)

Lovastatin
(Mevinolin; MK 803; Monakolin-K)

ATC: B04AB; C10AA02
Use: HMG-CoA-reductase inhibitor, antihypercholesterolemic

RN: 75330-75-5 MF: $C_{24}H_{36}O_5$ MW: 404.55
LD_{50}: >1 g/kg (M, p.o.)
CN: [1*S*-[1α(*R**),3α,7β,8β(2*S**,4*S**),8aβ]]-2-methylbutanoic acid 1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-(tetrahydro-4-hydroxy-6-oxo-2*H*-pyran-2-yl)ethyl]-1-naphthalenyl ester

Fermentation of *Aspergillus terreus* (ATCC 20541).



Lovastatin

Reference(s):
US 4 294 926 (Merck & Co.; 13.10.1981, appl. 15.6.1979; prior. 23.1.1980).
US 4 342 767 (Merck & Co.; 3.8.1982; prior. 16.6.1980, 15.6.1979, 23.1.1980).
US 4 294 846 (Merck & Co.; 13.10.1981; 28.5.1980, 21.9.1979).
US 4 231 938 (Merck & Co.; 4.11.1980; prior. 15.6.1979).
EP 22 478 (Merck & Co.; 13.6.1980; USA-prior. 15.6.1979).
Alberts, A.W. et al.: Proc. Natl. Acad. Sci. USA (PNASA6) **77**, 3957 (1980).
Buckland, B. et al.: Novel Microb. Prod. Med. Agric., [Pap. Int. Conf. Biotechnol. Microb. Prod.] 1st , **1988** (56RDAV), 161, Ed. A. L. Demain (Elsevier, Amsterdam).

fermentation of Monascus ruber:
DE 3 006 216 (Sankyo; appl. 20.2.1980; J-prior. 20.2.1979).
US 4 323 648 (Sankyo; 6.4.1982; J-prior. 11.5.1979).
DOS 3 028 284 (Sankyo; appl. 25.7.1980; J-prior. 27.7.1979).

synthesis of intermediates:
JP 59 193 883 (Suntry; appl. 9.3.1983).
JP 59 186 973 (Suntry; appl. 9.3.1983).
JP 59 186 972 (Suntry; appl. 9.3.1983).

medical use for the treatment of prostatomegaly:
JP 56 115 717 (A. Endo; appl. 19.2.1980).

total synthesis:
Quinkert, G. et al.: Synform (SNFMDF) **2**, 84, **111** (1984) (review).
Majewski, M. et al.: Tetrahedron Lett. (TELEAY) **25**, 2101 (1984).
Wovkulich, P.M. et al.: J. Am. Chem. Soc. (JACSAT) **111**, 2596 (1989).
Clive, D.L. et al.: J. Am. Chem. Soc. (JACSAT) **110**, 6914 (1988).
Hirama, M.; Iwashita, M.: Tetrahedron Lett. (TELEAY) **24**, 1811 (1983).

new fermentation process:
EP 877 089 (Gist-Brocades; EP-prior. 7.5.1997).
WO 9 837 220 (Gist-Brocades; appl. 20.2.1998; EP-prior. 20.2.1997).
WO 9 837 179 (Gist-Brocades; appl. 20.2.1998; EP-prior. 20.2.1997).
WO 9 736 996 (Gist-Brocades; appl. 21.3.1997; EP-prior. 28.3.1996)

fermentation of Coniothyrium fuckelii:
US 5 409 820 (Apotex; USA-prior. 6.8.1993).

Formulation(s): tabl. 10 mg, 20 mg, 40 mg

Trade Name(s):

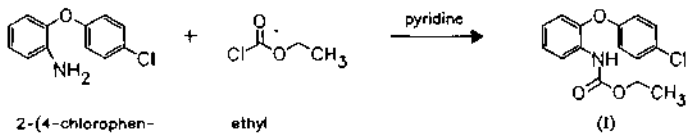
D:	Mevinacor (Merck Sharp & Dohme; 1989)	USA:	Mevacor (Merck Sharp & Dohme; 1987)

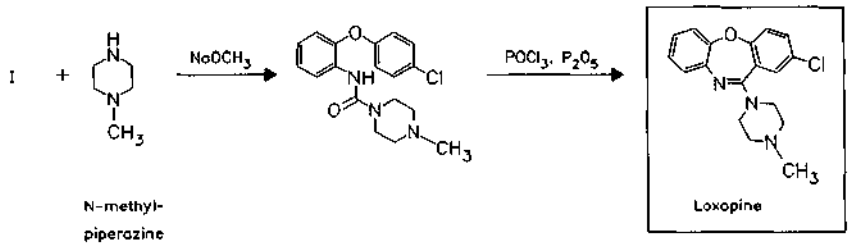
Loxapine

ATC: N05AH01
Use: neuroleptic, anxiolytic

RN: 1977-10-2 MF: $C_{18}H_{18}ClN_3O$ MW: 327.82 EINECS: 217-835-3
LD_{50}: 22 mg/kg (M, i.v.); 40 mg/kg (M, p.o.);
18 mg/kg (R, i.v.); 151 mg/kg (R, p.o.)
CN: 2-chloro-11-(4-methyl-1-piperazinyl)dibenz[*b,f*][1,4]oxazepine

succinate (1:1)
RN: 27833-64-3 MF: $C_{18}H_{18}ClN_3O \cdot C_4H_6O_4$ MW: 445.90 EINECS: 248-682-0





Reference(s):
US 3 412 193 (American Cyanamid; 19.11.1968; appl. 13.12.1965).
Schmutz, J. et al.: Helv. Chim. Acta (HCACAV) **50**, 245 (1967).

alternative syntheses:
US 3 546 226 (Dr. A. Wander; 8.12.1970, CH-prior. 30.5.1963, 27.9.1963, 13.3.1967, 22.3.1967, 9.5.1967, 14.7.1967, 3.11.1967).
DE 1 470 426 (Dr. A. Wander; appl. 25.5.1964; CH-prior. 30.5.1963, 27.9.1963).

Formulation(s): cps. 5 mg, 10 mg, 25 mg, 50 mg

Trade Name(s):

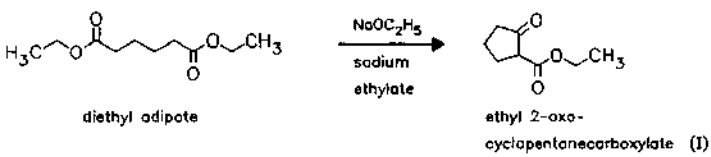
F:	Loxapac (Wyeth-Lederle; 1980)	GB:	Loxapac (Wyeth)	USA:	Loxitane (Lederle; 1975)
		I:	Loxapac (Cyanamid); wfm		generic

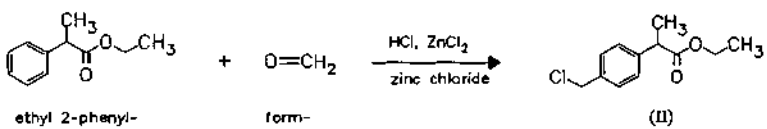
Loxoprofen

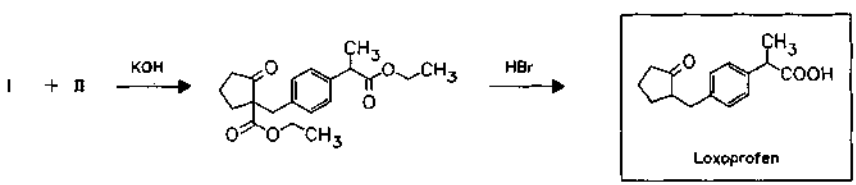
ATC: M01
Use: anti-inflammatory, analgesic

RN: 68767-14-6 MF: $C_{15}H_{18}O_3$ MW: 246.31
CN: α-methyl-4-[(2-oxocyclopentyl)methyl]benzeneacetic acid

sodium salt
RN: 80382-23-6 MF: $C_{15}H_{17}NaO_3$ MW: 268.29
LD_{50}: 740 mg/kg (M, i.v.); 3030 mg/kg (M, p.o.);
155 mg/kg (R, i.v.); 145 mg/kg (R, p.o.)







Reference(s):
US 4 161 538 (Sankyo; 17.7.1979; J-prior. 5.4.1977).
DOS 2 814 556 (Sankyo; appl. 4.4.1978; J-prior. 5.4.1977).

synthesis of I:
Zupancic, B.G.; Trpin, J.: Monatsh. Chem. (MOCMB7) **98**, 369 (1967).

synthesis of II:
FR 2 134 197 (Lab. Logeais; appl. 26.4.1971).

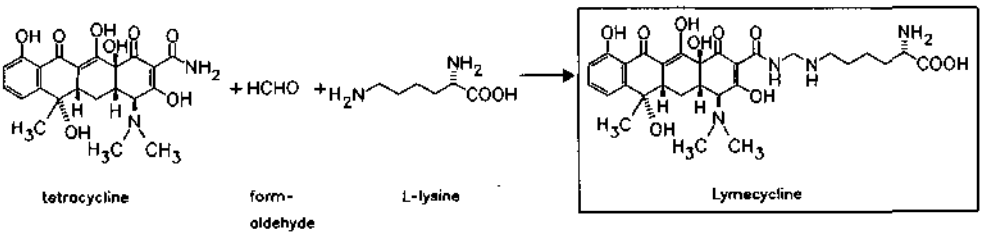
Formulation(s): oral: 3x60 mg/d

Trade Name(s):
J: Loxonin (Sankyo; 1986)

Lymecycline

ATC: J01AA04
Use: antibiotic

RN: 992-21-2 MF: $C_{29}H_{38}N_4O_{10}$ MW: 602.64 EINECS: 213-592-2
LD_{50}: 181 mg/kg (M, i.v.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-*N*^{6}-[[[[4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-2-naphthacenyl]carbonyl]amino]methyl]-L-lysine



Reference(s):
DE 1 134 071 (Carlo Erba; appl. 7.11.1960; I-prior. 23.11.1959).
US 3 042 716 (Pfizer; 3.7.1962; appl. 4.12.1961).

Formulation(s): cps. 150 mg, 300 mg (calculated as tetracycline)

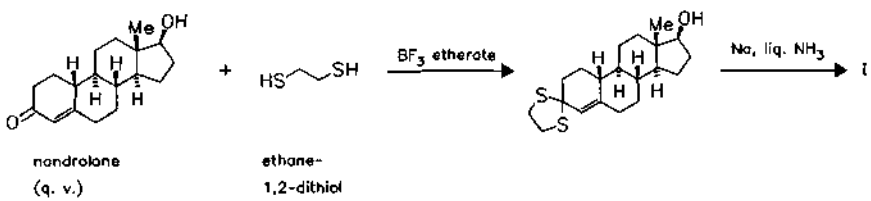
Trade Name(s):

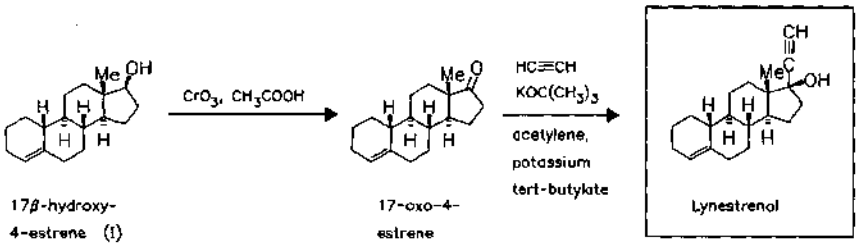
F:	Tetralysal (Galderma)	Lisinbiotic		Stiltetra (Ellea); wfm
GB:	Tetralysal (Galderma)	(Farmacosmici); wfm		Tetralysal (Erba); wfm
I:	Ciclisin (Fabo); wfm	Lisinciclina (Biotrading); wfm	J:	Tralisin (Firma); wfm Tetralysal (Fujisawa)

Lynestrenol

ATC: G03AC02; G03DC03
Use: progestogen (in comb. with estrogen as oral contraceptive)

RN: 52-76-6 MF: $C_{20}H_{28}O$ MW: 284.44 EINECS: 200-151-4
CN: (17α)-19-norpregn-4-en-20-yn-17-ol





17β-hydroxy-4-estrene (I)

17-oxo-4-estrene

Reference(s):
GB 841 411 (Organon; appl. 2.4.1958; NL-prior. 10.4.1957).

alternative syntheses:
GB 875 549 (Organon; appl. 31.12.1959; NL-prior. 13.1.1959).
US 2 878 267 (Organon; appl. 16.4.1958; NL-prior. 1.5.1957).

Formulation(s): tabl. 0.5 mg, 1 mg, 5 mg (in combinations)

Trade Name(s):

D: Exlutona (Organon)
Orgametril (Organon)
numerous generics and combination preparations

F: Exluton (Organon)
Orgametril (Organon)
Ovanon (Organon)-comb.

GB: Minilyn (Organon)-comb.; wfm
Physiostat (Organon)-comb.

I: Franovul (Francia Farm.)-comb.; wfm

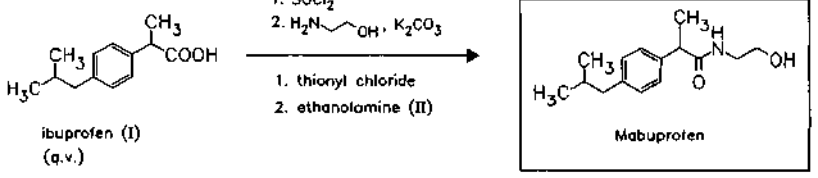
J: Linseral (Proter)-comb.; wfm
Lyndiol (Ravasini Organon)-comb.; wfm
o-Lyndiol (Organon-Sankyo)-comb.

Mabuprofen
(Aminoprofen; AU-7801)

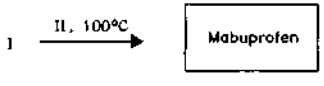
ATC: M01AE; M02A
Use: topical anti-inflammatory

RN: 82821-47-4 MF: $C_{15}H_{23}NO_2$ MW: 249.35 EINECS: 280-048-9
LD_{50}: 2828 mg/kg (M, s.c.)
CN: *N*-(2-hydroxyethyl)-α-methyl-4-(2-methylpropyl)benzeneacetamide

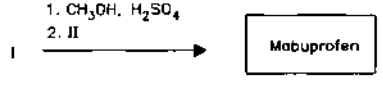
a



b



c



Reference(s):

a DE 3 121 595 (Calzada; appl. 30.5.1981; E-prior. 10.3.1981).
Zhang, D.; Ji, H., Yang, S.: Zhongguo Yiyao Gongye Zazhi (ZYGZEA) **25** (12), 535 (1994).
b ES 2 028 601 (Prodesfarma S. A.; appl. 4.2.1991; E-prior. 4.2.1990).
ES 2 007 236 (Laboratoio Aldo-Union S. A.; appl. 16.6.1988).
c ES 2 023 585 (Prodesfarma S. A.; appl. 17.10.1990).

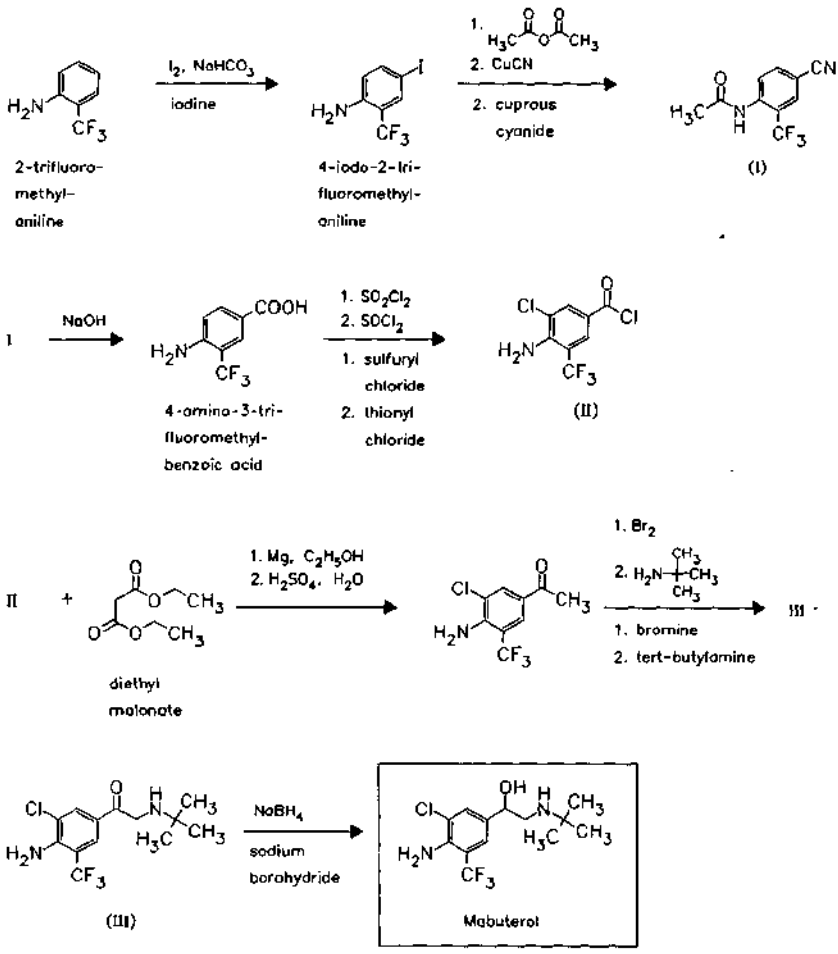
Formulation(s): pump spray 10 %

Trade Name(s):
E: Aldospray Analgesico (Aldo Union; 1989)
Formix (Lab. Padro; 1990)
Sedaspray (Lusi; 1989)

Mabuterol

ATC: R03
Use: bronchodilator

RN: 56341-08-3 MF: $C_{13}H_{18}ClF_3N_2O$ MW: 310.75
CN: 4-amino-3-chloro-α-[[(1,1-dimethylethyl)amino]methyl]-5-(trifluoromethyl)benzenemethanol



Reference(s):
Keck, J. et al.: Arzneim.-Forsch. (ARZNAD) **34** (II), 1612 (1984).

Formulation(s): tabl. 0.05 mg

Trade Name(s):
J: Broncholin (Kaken)

Mafenide

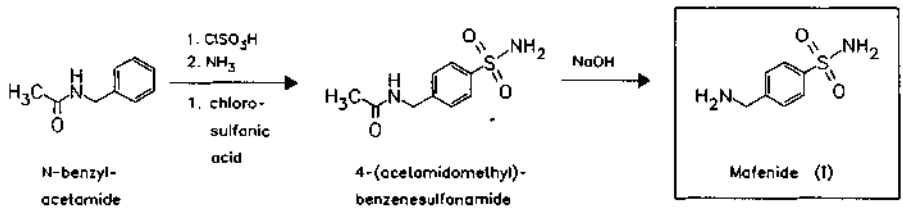
ATC: D06BA03; G01AE01
Use: chemotherapeutic

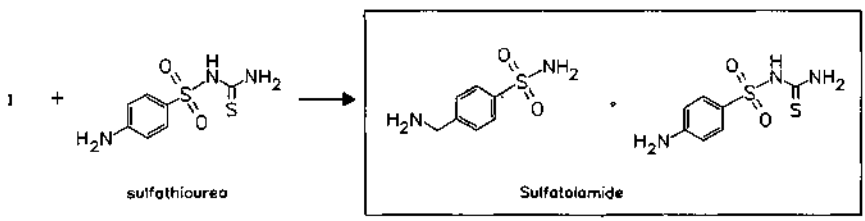
RN: 138-39-6 MF: $C_7H_{10}N_2O_2S$ MW: 186.24 EINECS: 205-326-9
CN: 4-(aminomethyl)benzenesulfonamide

acetate
RN: 13009-99-9 MF: $C_7H_{10}N_2O_2S \cdot C_2H_4O_2$ MW: 246.29
hydrochloride
RN: 138-37-4 MF: $C_7H_{10}N_2O_2S \cdot HCl$ MW: 222.70

Sulfatolamide

RN: 1161-88-2 MF: $C_7H_{10}N_2O_2S \cdot C_7H_9N_3O_2S_2$ MW: 417.54 EINECS: 214-600-7
CN: 4-amino-*N*-(aminothioxomethyl)benzenesulfonamide compd. with 4-(aminomethyl)benzenesulfonamide (1:1)





Reference(s):

mafenide:
DRP 726 386 (I. G. Farben; appl. 1939).
US 2 288 531 (Winthrop; 1942; D-prior. 1939).

sulfathiourea:
FR 913 920 (Rhône-Poulenc; appl. 1942).

sulfatolamide:
US 2 696 454 (Schenley Ind.; 1954; CH-prior. 1949).
DE 836 350 (Bayer; appl. 1944).

Formulation(s): cream 8.5 %, 11.2 g/100 g (as acetate); eye drops 2.5 mg/g, 5 % (as propionate)

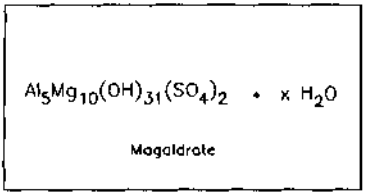
Trade Name(s):

D:	Combiamid (Winzer; as hydrochloride) Marbaletten (Bayer; as sulfatolamide); wfm Napaltan (Winthrop; as mafenide); wfm	F:	Anafluose (Guillaumin et Hales; as mafenide)-comb.; wfm	J:	Paramenyl (Takeda)
		GB:	Sulfamylon (Winthrop; as mafenide); wfm Sulfomyl (Winthrop); wfm	USA:	Sulfamylon (Dow Hickam; as acetate)

Magaldrate

ATC: A02AD02
Use: antacid

RN: 74978-16-8 MF: $Al_5H_{31}Mg_{10}O_{39}S_2 \cdot xH_2O$ MW: unspecified
CN: aluminum magnesium hydroxide sulfate ($Al_5Mg_{10}(OH)_{31}(SO_4)_2$) hydrate



$AlCl_3$ is treated with NaOH (mole ratio 1:6) to yield an aqueous sodium aluminate solution, 1.2 mole $MgSO_4$ (in aqueous solution) are added, the precipitate is washed and dried.

Reference(s):
US 2 923 660 (Byk Gulden; 2.2.1960; D-prior. 5.8.1955).

Formulation(s): chewing tabl. 400 mg, 800 mg; gel 80 mg, 800 mg; susp. 540 mg, 800 mg; tabl. 400 mg, 480 mg

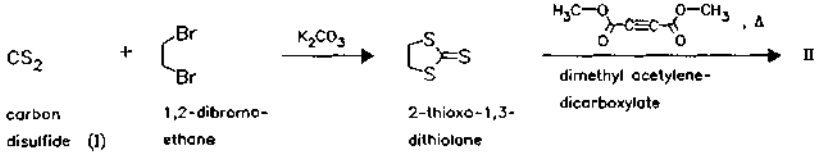
Trade Name(s):

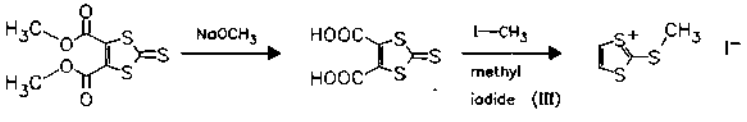
D:	Riopan (Byk Gulden; Roland)	I:	Riopan (Byk Gulden)	generics; wfm
		USA:	Riopan (Ayerst); wfm	

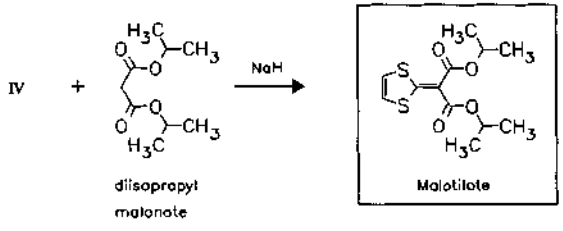
Malotilate

Use: liver therapeutic, hepatoprotectant

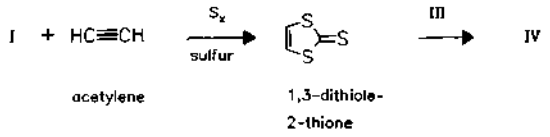
RN: 59937-28-9 MF: $C_{12}H_{16}O_4S_2$ MW: 288.39 EINECS: 261-987-3
LD_{50}: 729 mg/kg (M, i.v.); 3120 mg/kg (M, p.o.); 2065 mg/kg (R, p.o.)
CN: 1,3-dithiol-2-ylidenepropanedioic acid bis(1-methylethyl) ester







alternative synthesis of 2-methylthio-1,3-dithiolium iodide (IV):



Reference(s):
DOS 2 545 569 (Nihon Nohyaku; appl. 10.10.1975; J-prior. 18.10.1974, 22.10.1974).
US 4 035 387 (Nihon Nohyaku; 12.7.1977; J-prior. 18.10.1974, 22.10.1974).

medical use against liver diseases:
DOS 2 625 012 (Nihon Nohyaku; appl. 3.6.1976; USA-prior. 6.6.1975).
FR 2 313 037 (Nihon Nohyaku; appl. 4.6.1976; USA-prior. 6.6.1975).

2-thioxo-1,3-dithiolane:
Fujinami, T. et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **55**, 1174 (1982).

dimethyl 2-thioxo-1,3-dithiole-4,5-dicarboxylate:
Gorgues, A. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **1983**, 405.
O'Connor, B.R.; Jones, F.N.: J. Org. Chem. (JOCEAH) **35**, 2002 (1970).

alternative synthesis of 2-thioxo-1,3-dithiolane:
Mayer, R. et al.: Angew. Chem. (ANCEAD) **76**, 143 (1964).

Formulation(s): tabl. 200 mg

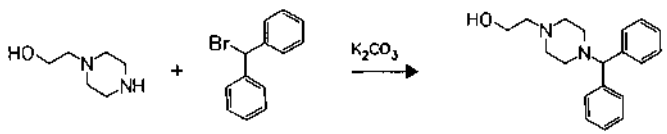
Trade Name(s):
J: Kantec (Daiichi/Nihon Nohyaku)

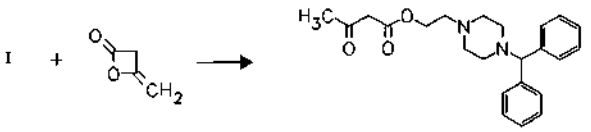
Manidipine
(Franidipine)

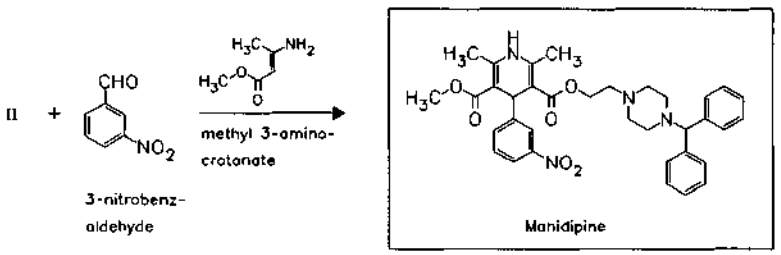
ATC: C02DE; C08CA11
Use: calcium antagonist, antihypertensive

RN: 89226-50-6 MF: $C_{35}H_{38}N_4O_6$ MW: 610.71
CN: 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-[4-(diphenylmethyl)-1-piperazinyl]ethyl methyl ester

dihydrochloride
RN: 89226-75-5 MF: $C_{35}H_{38}N_4O_6 \cdot 2HCl$ MW: 683.63
LD_{50}: 62.2 mg/kg (Mm, i.v.); 68 mg/kg (Mf, i.v.); 190 mg/kg (Mm, p.o.); 171 mg/kg (Mf, p.o.); 387 mg/kg (Mm, s.c.); 340 mg/kg (Mf, s.c.);
66.5 mg/kg (Rm, i.v.); 48.8 mg/kg (Rf, i.v.); 247 mg/kg (Rm, p.o.); 156 mg/kg (Rf, p.o.); 222 mg/kg (Rm, s.c.); 199 mg/kg (Rf, s.c.)







Reference(s):
EP 94 159 (Takeda; appl. 15.4.1983; J-prior. 10.5.1982).

medical use as anti-arteriosclerotic:
JP 1 022 017 (Takeda; appl. 9.7.1984).

Formulation(s): tabl. 5 mg, 10 mg, 20 mg (as dihydrochloride)

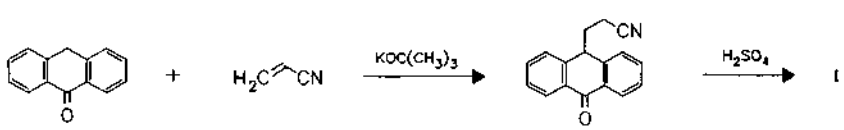
Trade Name(s):
J: Calslot (Takeda; 1991)

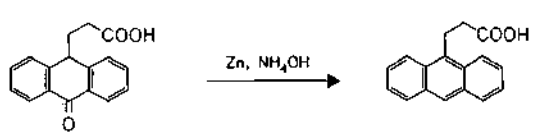
Maprotiline

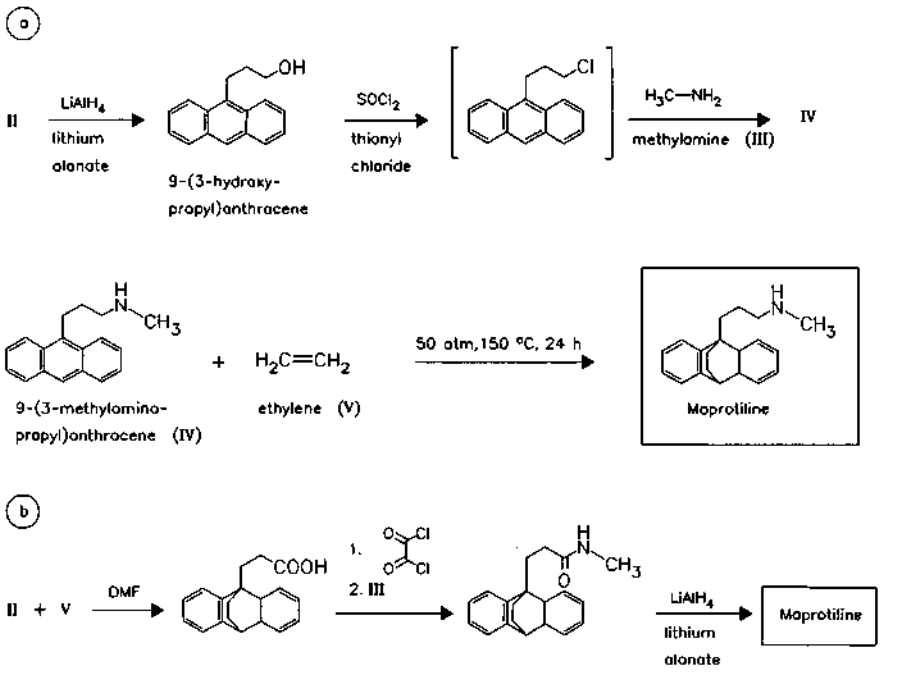
ATC: N06AA21
Use: antidepressant

RN: 10262-69-8 MF: $C_{20}H_{23}N$ MW: 277.41 EINECS: 233-599-4
LD_{50}: 31 mg/kg (M, i.v.); 660 mg/kg (M, p.o.);
38 mg/kg (R, i.v.); 760 mg/kg (R, p.o.)
CN: *N*-methyl-9,10-ethanoanthracene-9(10*H*)-propanamine

hydrochloride
RN: 10347-81-6 MF: $C_{20}H_{23}N \cdot HCl$ MW: 313.87 EINECS: 233-758-8
LD_{50}: 31 mg/kg (M, i.v.); 480 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 760 mg/kg (R, p.o.)







Reference(s):
DE 1 518 691 (Ciba; appl. 16.12.1965; CH-prior. 23.12.1964).
CH 467 237 (Ciba; appl. 23.12.1964).
CH 467 747 (Ciba; appl. 23.12.1964).

Formulation(s): amp. 25 mg (as hydrochloride); f. c. tabl. 10 mg, 25 mg, 50 mg, 75 mg

Trade Name(s):

D:	Deprilept (Promonta Lundbeck) Ludiomil (Novartis; as hydrochloride) Mapro-Gry (GRY)Maprolu (Neuro Hexal) Mirpan (Dolorgret)		Psymion (Desitin)	J:	Ludiomil (Novartis; as hydrochloride)
		F:	Ludiomil (Novartis; as hydrochloride)	USA:	Ludiomil (Novartis; as hydrochloride); wfm generics
		GB:	Ludiomil (Novartis; as hydrochloride)		
		I:	Ludiomil (Novartis; as hydrochloride)		

Maruyama
(Z-100)

ATC: L03A
Use: immunostimulant adjuvant in radiation-induced leucopenia

RN: 64060-36-2 MF: unspecified MW: unspecified
CN: Z 100 (polyester)

Extraction of *Mycobacterium tuberculosis* Aoyama B. with hot water.

Reference(s):
JP 8 094 247 (C. Maruyama; appl. 7.10.1980).
DE 3 048 699 (C. Maruyama; appl. 23.12.1980).
GB 2 088 399 (C. Maruyama; appl. 28.11.1980).
DE 3 407 823 (Zeria; appl. 2.3.1984; J-prior. 4.3.1983).
US 4 746 511 (Zeria; 24.5.1988; appl. 28.7.1986; prior. 2.3.1984; J-prior. 4.3.1983).

Formulation(s): amp. 20 µg

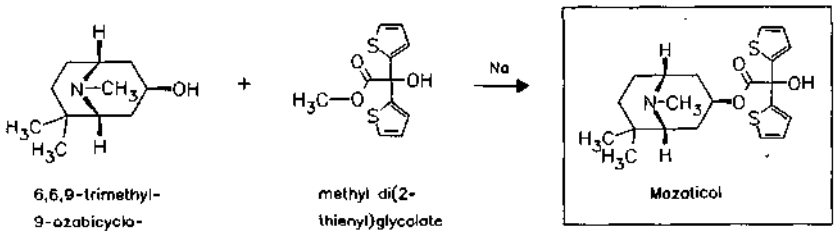
Trade Name(s):
J: Ancer 20 (Z-100) (Zeria; 1991)

Mazaticol

ATC: N04AA10
Use: antiparkinsonian, muscle relaxant

RN: 42024-98-6 MF: $C_{21}H_{27}NO_3S_2$ MW: 405.58
CN: *exo*-α-hydroxy-α-2-thienyl-2-thiopheneacetic acid 6,9,9-trimethyl-9-azabicyclo[3.3.1]non-3-yl ester

hydrochloride
RN: 32891-29-5 MF: $C_{21}H_{27}NO_3S_2 \cdot HCl$ MW: 442.04
LD_{50}: 20.2 mg/kg (M, i.v.); 263 mg/kg (M, p.o.); 12.9 mg/kg (R, i.v.); 1182 mg/kg (R, p.o.)



6,6,9-trimethyl-9-azabicyclo-[3.3.1]nonan-3β-ol

methyl di(2-thienyl)glycolate

Reference(s):
DOS 2 026 462 (Tanabe Seiyaku; appl. 29.5.1970; J-prior. 8.10.1969).
US 3 673 195 (Tanabe Seiyaku; 27.6.1972; prior. 25.5.1970).
Yoneda, N. et al.: Chem. Pharm. Bull. (CPBTAL) **20**, 476 (1972).

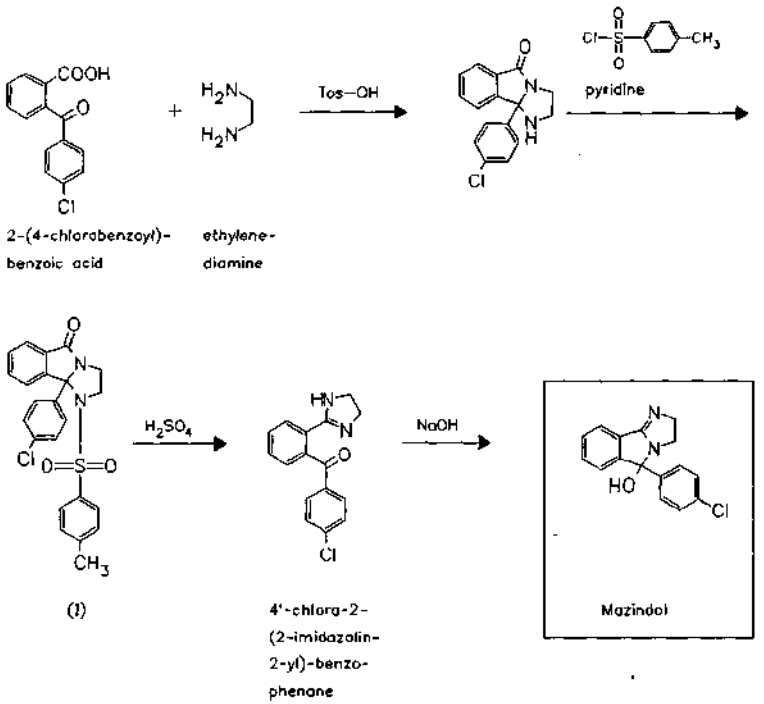
Formulation(s): tabl. 4 mg (as hydrochloride)

Trade Name(s):
J: Pentona (Tanabe)

Mazindol

ATC: A08AA05
Use: appetite depressant

RN: 22232-71-9 MF: $C_{16}H_{13}ClN_2O$ MW: 284.75 EINECS: 244-857-0
LD_{50}: 44.8 mg/kg (M, p.o.); 36.3 mg/kg (R, p.o.)
CN: 5-(4-chlorophenyl)-2,5-dihydro-3*H*-imidazo[2,1-*a*]isoindol-5-ol



Reference(s):
DOS 1 770 030 (Sandoz; appl. 22.3.1968; USA-prior. 23.3.1967).
US 3 597 445 (Sandoz-Wander; 3.8.1971; appl. 19.6.1968).
US 3 763 178 (American Home Products; 2.10.1973; appl. 5.9.1968; prior. 15.9.1965, 2.9.1966, 14.3.1967).

alternative syntheses:
DOS 1 795 105 (Sandoz; appl. 10.8.1968; USA-prior. 15.8.1967, 3.5.1968).
DOS 1 814 540 (Sandoz; appl. 12.12.1968; USA-prior. 18.12.1967, 23.7.1968).
DOS 1 930 488 (Sandoz; appl. 16.6.1969; USA-prior. 19.6.1968).

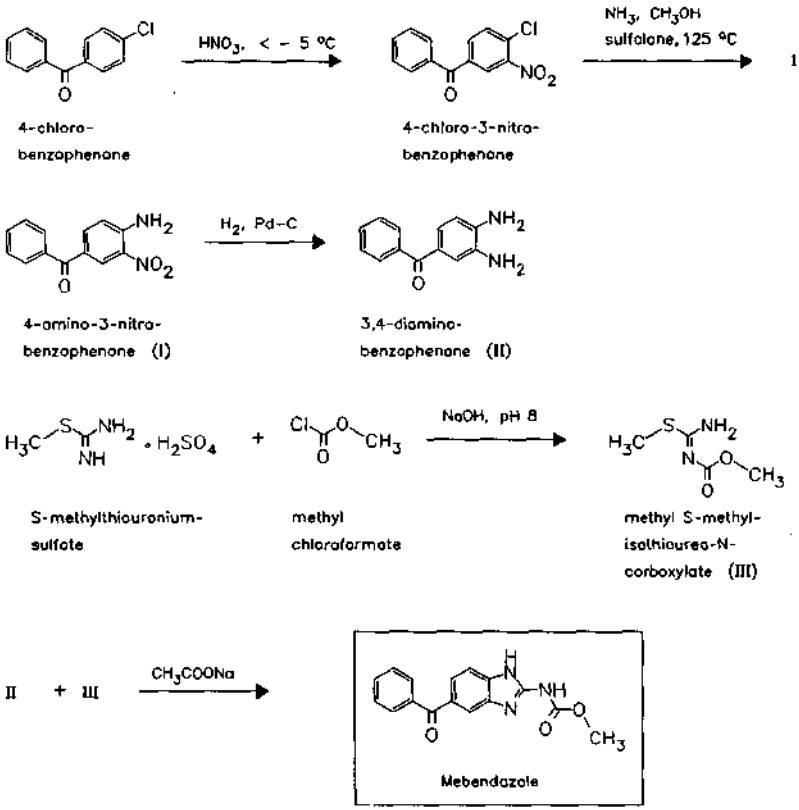
Formulation(s): tabl. 1 mg, 2 mg

Trade Name(s):
D: Teronac (Wander); wfm
GB: Teronac (Wander); wfm
I: Mazildene (Lifepharma); wfm
USA: Sanorex (Sandoz); wfm

Mebendazole

ATC: P02CA01
Use: anthelmintic

RN: 31431-39-7 MF: $C_{16}H_{13}N_3O_3$ MW: 295.30 EINECS: 250-635-4
LD_{50}: 620 mg/kg (M, p.o.);
714 mg/kg (R, p.o.);
1280 mg/kg (dog, p.o.)
CN: (5-benzoyl-1*H*-benzimidazol-2-yl)carbamic acid methyl ester



Reference(s):
DE 2 029 637 (Janssen; appl. 16.6.1970; USA-prior. 20.6.1969).
US 3 657 267 (Janssen; 18.4.1972; prior. 20.6.1969).

Formulation(s): chewable tabl. 100 mg; susp. 100 mg/5 ml; tabl. 100 mg, 500 mg

Trade Name(s):

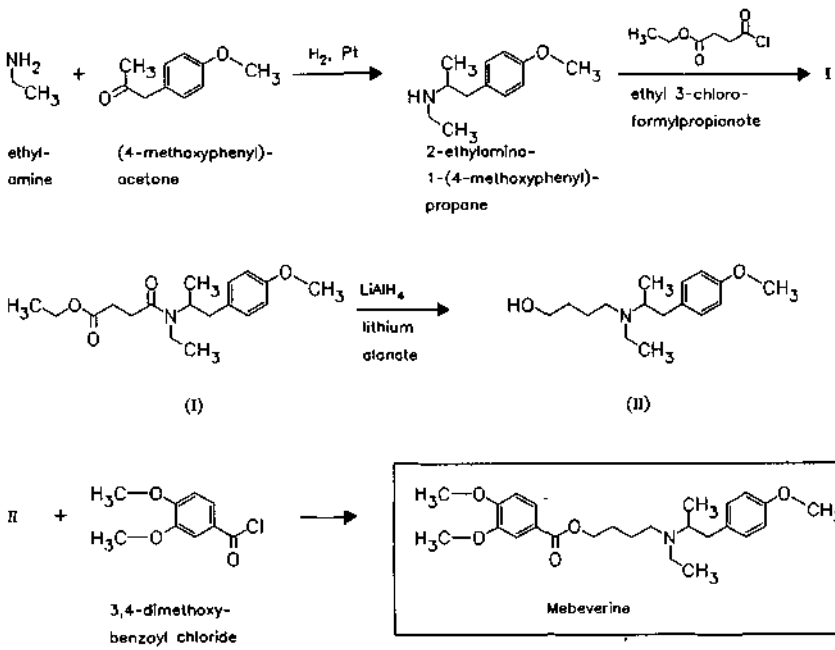
D:	Surfont (Ardeypharm) Vermox (Janssen-Cilag; 1976)	GB:	Vermox (Janssen-Cilag; 1976)	J:	Mebendazol (Janssen Kyowa)
		I:	Vermox (Janssen; 1979)	USA:	Vermox (Janssen; 1975)

Mebeverine

ATC: A03AA04
Use: antispasmodic

RN: 3625-06-7 MF: $C_{25}H_{35}NO_5$ MW: 429.56 EINECS: 222-830-4
LD_{50}: 24 mg/kg (M, i.v.); 995 mg/kg (M, p.o.)
CN: 3,4-dimethoxybenzoic acid 4-[ethyl[2-(4-methoxyphenyl)-1-methylethyl]amino]butyl ester

hydrochloride
RN: 2753-45-9 MF: $C_{25}H_{35}NO_5 \cdot HCl$ MW: 466.02 EINECS: 220-400-0
LD_{50}: 17.7 mg/kg (R, i.v.); 1540 mg/kg (R, p.o.)



Reference(s):
DE 1 126 889 (N. V. Philips; appl. 20.11.1958; NL-prior. 23.11.1957).

alternative synthesis:
GB 1 009 082 (N. V. Philips; appl. 19.10.1961; NL-prior. 22.10.1960).

Formulation(s): cps. 100 mg; drg. 135 mg; s. r. cps. 200 mg (as hydrochloride); susp. 10 mg

Trade Name(s):

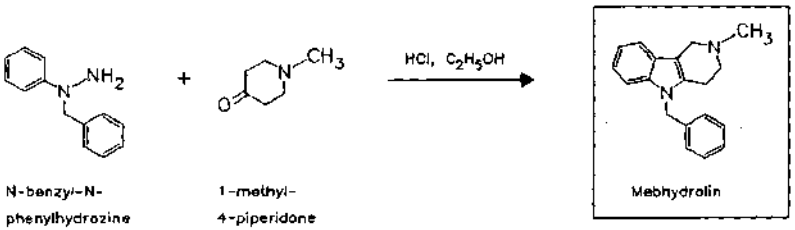
D:	Duspatal (Solvay Arzneimittel; as hydrochloride)-comb.		Duspatalin (Solvay; as hydrochloride)		Fybogel Mebeverine (Reckitt & Colman)-comb.
F:	Colopriv (Biotherapie; as hydrochloride)		Spasmopriv (Irex; as hydrochloride)	I:	Duspatal Duphar (UCM)
		GB:	Colofac (Solvay)		

Mebhydrolin

ATC: R06AX15
Use: antihistaminic

RN: 524-81-2 MF: $C_{19}H_{20}N_2$ MW: 276.38 EINECS: 208-364-4
CN: 2,3,4,5-tetrahydro-2-methyl-5-(phenylmethyl)-1*H*-pyrido[4,3-*b*]indole

naphthalene-1,5-disulfonate (2:1)
RN: 6153-33-9 MF: $C_{19}H_{20}N_2 \cdot 1/2C_{10}H_8O_6S_2$ MW: 841.07 EINECS: 228-170-3
LD_{50}: 40 mg/kg (M, i.v.)



Reference(s):
GB 721 171 (Bayer; appl. 1952; D-prior. 1951).

Formulation(s): drg. 50 mg, 76 mg (as napadisilate); s. r. tabl. 150 mg; susp. 50 mg; tabl. 50 mg

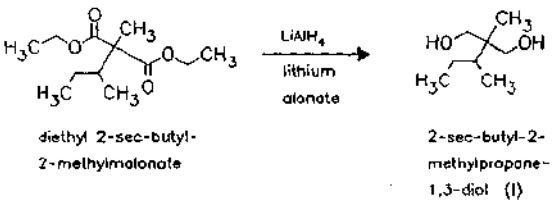
Trade Name(s):

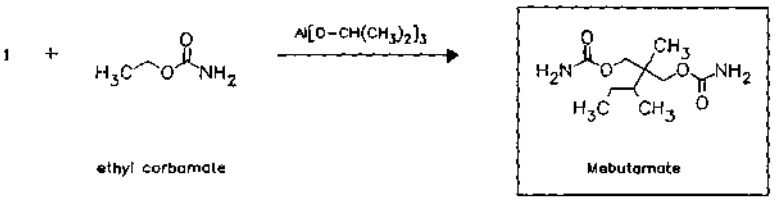
D:	Omeril (Bayer); wfm	GB:	Fabahistin (Bayer); wfm
	Omeril (Tropon-Dome Hollister Stier); wfm	I:	Incidal (Bayropharm)
		J:	Incidal (Yoshitomi)

Mebutamate

ATC: N05BC04
Use: neurosedative, antihypertensive

RN: 64-55-1 MF: $C_{10}H_{20}N_2O_4$ MW: 232.28 EINECS: 200-587-5
LD_{50}: 550 mg/kg (M, p.o.);
1160 mg/kg (R, p.o.)
CN: 2-methyl-2-(1-methylpropyl)-1,3-propanediol dicarbamate





Reference(s):
US 2 878 280 (Carter Products; 17.3.1959; prior. 29.11.1955).

Formulation(s): tabl. 300 mg

Trade Name(s):

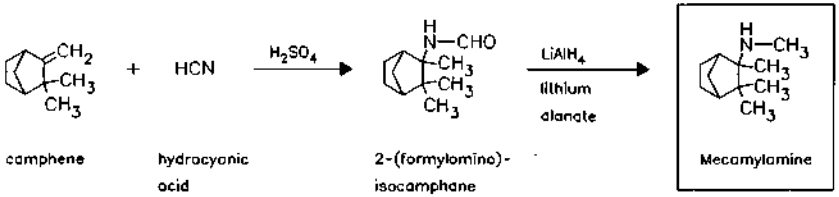
F:	Dévalène (Dexo)-comb.	J:	Mega (Ono)	Dormate (Wallace); wfm
I:	Sigmafon (Lafare)	USA:	Capla (Wallace); wfm	

Mecamylamine
(Dimecamine)

ATC: C02BB01
Use: ganglionic blocker, antihypertensive

RN: 60-40-2 MF: $C_{11}H_{21}N$ MW: 167.30 EINECS: 200-476-1
LD_{50}: 11.9 mg/kg (M, i.v.); 90 mg/kg (M, p.o.)
CN: *N*,2,3,3-tetramethylbicyclo[2.2.1]heptan-2-amine

hydrochloride
RN: 826-39-1 MF: $C_{11}H_{21}N \cdot HCl$ MW: 203.76 EINECS: 212-555-8
LD_{50}: 14 mg/kg (M, i.v.); 92 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 208 mg/kg (R, p.o.)



Reference(s):
US 2 831 027 (Merck & Co.; 1958, prior. 1955).
Stein, G.A. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 1514 (1956).

Formulation(s): tabl. 2.5 mg (as hydrochloride)

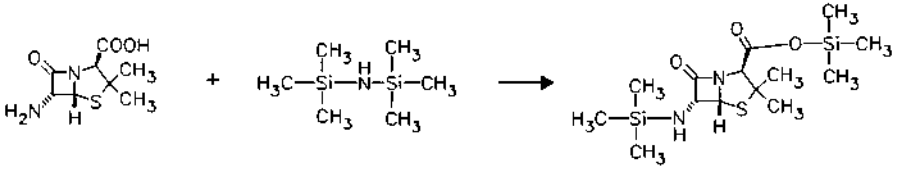
Trade Name(s):

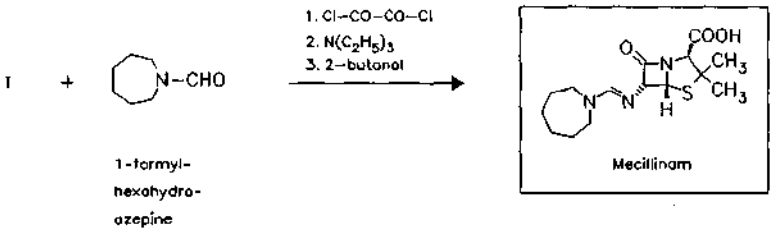
D:	Mevasine (Sharp & Dohme); wfm	GB:	Inversine (Merck Sharp & Dohme); wfm	USA:	Inversine (Merck Sharp & Dohme)
F:	Inversine (Merck Sharp & Dohme); wfm	J:	Mevasine (Meiji)		

Mecillinam

ATC: J01CA11
Use: antibiotic

RN: 32887-01-7 MF: $C_{15}H_{23}N_3O_3S$ MW: 325.43 EINECS: 251-277-1
CN: [2*S*-(2α,5α,6β)]-6-[[(hexahydro-1*H*-azepin-1-yl)methylene]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid





Reference(s):
DOS 2 055 531 (Loevens; appl. 11.11.1970; GB-prior. 11.11.1969, 8.7.1970).
GB 1 293 590 (Loevens; appl. 11.11.1969, 8.7.1970; valid from 10.11.1970).

Formulation(s): amp. 0.2 g, 0.4 g, 0.5 g, 1 g

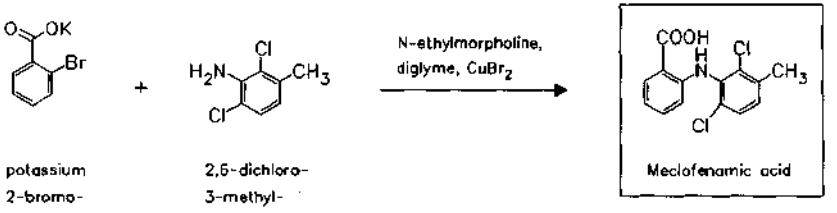
Trade Name(s):
GB: Selexidin (Burgess); wfm — Selexidin (Leo); wfm — USA: Coactin (Roche); wfm

Meclofenamic acid

ATC: M01AG04; M02AA18
Use: anti-inflammatory, antirheumatic, antipyretic

RN: 644-62-2 MF: $C_{14}H_{11}Cl_2NO_2$ MW: 296.15 EINECS: 211-419-5
LD_{50}: 100 mg/kg (R, p.o.)
CN: 2-[(2,6-dichloro-3-methylphenyl)amino]benzoic acid

monosodium salt
RN: 6385-02-0 MF: $C_{14}H_{10}Cl_2NNaO_2$ MW: 318.14 EINECS: 228-983-3



Reference(s):
DE 1 149 015 (Parke Davis; appl. 22.6.1961; USA-prior. 12.1.1961).
US 3 313 848 (Parke Davis; 11.4.1967; prior. 12.1.1961, 18.9.1962, 18.6.1964).

Formulation(s): cps. 50 mg, 100 mg; suppos. 200 mg (as sodium salt)

Trade Name(s):

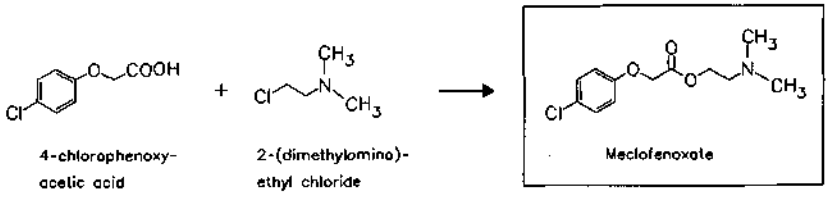
I:	Movens (Inverni della Beffa); wtm	Meclomen (Warner-Lambert); wfm	generic
USA:	Meclomen (Parke Davis); wfm	Meclomen (Parke Davis; as sodium salt); wfm	

Meclofenoxate
(Centrophenoxine)

ATC: N06BX01
Use: neuroenergetic

RN: 51-68-3 MF: $C_{12}H_{16}ClNO_3$ MW: 257.72 EINECS: 200-116-3
LD_{50}: 1750 mg/kg (M, p.o.);
2600 mg/kg (R, p.o.)
CN: (4-chlorophenoxy)acetic acid 2-(dimethylamino)ethyl ester

hydrochloride
RN: 3685-84-5 MF: $C_{12}H_{16}ClNO_3 \cdot HCl$ MW: 294.18 EINECS: 222-473-4
LD_{50}: 330 mg/kg (M, i.v.); 1750 mg/kg (M, p.o.);
865 mg/kg (R, p.o.)



Reference(s):
Thuillier, G.; Rumpf, P.; Thuillier, J.: C. R. Hebd. Seances Acad. Sci. (COREAF) **249**, 2081 (1959).
FR 398 M (Centre Nat'l. Recherche Sci., appl. 15.4.1959).

Formulation(s): amp. 250 mg, 500 mg, 2 g (as hydrochloride); drg. 200 mg, 500 mg; f. c. tabl. 100 mg, 250 mg

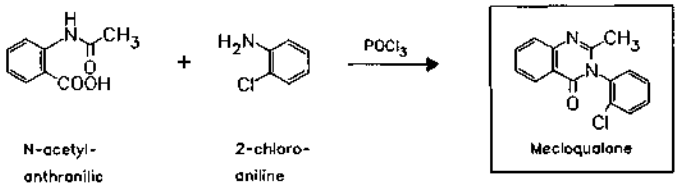
Trade Name(s):

D:	CERUTIL (Isis Pharma) Helfergin (Promonta Lundbeck; Isis Pharma; as hydrochloride)	F:	Lucidril (Lipha Santé; as hydrochloride)	J:	Lucidril (Dainippon) Meclon (Toho) Mecroeat (Hishiyama) Proseryl (Funai)
		GB:	Lucidril (Reckitt & Colman); wfm		
		I:	Lucidril (Bracco); wfm		

Mecloqualone

ATC: N05C
Use: hypnotic, sedative

RN: 340-57-8 MF: $C_{15}H_{11}ClN_2O$ MW: 270.72 EINECS: 206-432-8
LD_{50}: 470 mg/kg (M, p.o.)
CN: 3-(2-chlorophenyl)-2-methyl-4(3*H*)-quinazolinone



Reference(s):
Jackman, G.B. et al.: J. Pharm. Pharmacol. (JPPMAB) **12**, 528 (1960).
Klosa, J.: J. Prakt. Chem. (JPCEAO) **14** [4], 84 (1961).

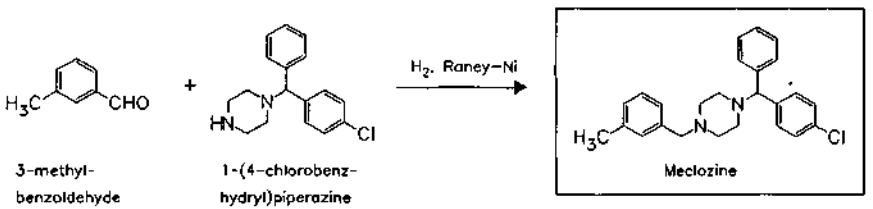
Trade Name(s):
F: Nubarène (Diamant); wfm

Meclozine
(Histamethizine; Meclizine)

ATC: R06AE05
Use: antihistaminic

RN: 569-65-3 MF: $C_{25}H_{27}ClN_2$ MW: 390.96 EINECS: 209-323-3
LD_{50}: 1650 mg/kg (M, p.o.);
1750 mg/kg (R, p.o.)
CN: 1-[(4-chlorophenyl)phenylmethyl]-4-[(3-methylphenyl)methyl]piperazine

dihydrochloride
RN: 1104-22-9 MF: $C_{25}H_{27}ClN_2 \cdot 2HCl$ MW: 463.88 EINECS: 214-164-8
LD_{50}: 1600 mg/kg (M, p.o.)
dihydrochloride monohydrate
RN: 31884-77-2 MF: $C_{25}H_{27}ClN_2 \cdot 2HCl \cdot H_2O$ MW: 481.90



Reference(s):
US 2 709 169 (UCB; 1955; B-prior. 1951).

Formulation(s): drg. 12.5 mg; suppos. 50 mg; tabl. 12.5 mg, 25 mg, 50 mg (as dihydrochloride)

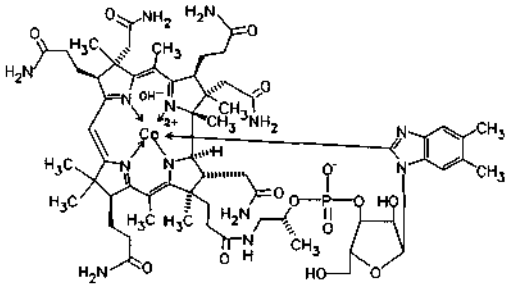
Trade Name(s):

D:	Bonamine (Pfizer; as hydrochloride)		Postafen (UCB; as hydrochloride)	I:	Neo-Istafene (UCB-Smith); wfm
	Diligan (Rodleben; Vedim; as hydrochloride)-comb.	F:	Agyrax (Medim; as hydrochloride)	J:	Bonamine (Taito Pfizer) Taizer (Taito Pfizer)
	Peremesin (Bristol-Myers Squibb; as hydrochloride)	GB:	Ancolan (Duncan, Flockhart); wfm	USA:	Antivert (Pfizer; as hydrochloride)
	Postadoxin (Rodleben; as hydrochloride)-comb.		Ancoloxin (Duncan, Flockhart)-comb.; wfm		Bonine (Pfizer; as hydrochloride)

Mecobalamin
(Methylcobalamin)

ATC: V03AB
Use: vitamin B_{12}-preparations

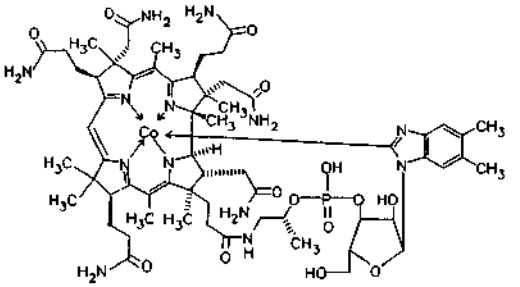
RN: 13422-55-4 MF: $C_{63}H_{91}CoN_{13}O_{14}P$ MW: 1344.41 EINECS: 236-535-3
CN: cobinamide *Co*-methyl deriv. hydroxide dihydrogen phosphate (ester) inner salt 3'-ester with 5,6-dimethyl-1-α-D-ribofuranosyl-1*H*-benzimidazole



Zn/NH_4Cl or Zn/CH_3COOH or $NaBH_4$
(under exclusion of O_2)

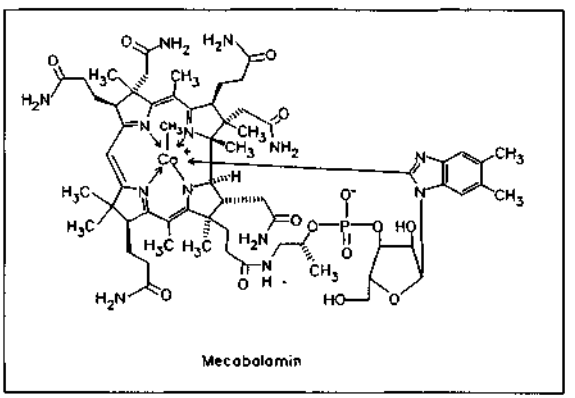
I

hydroxocobalamin
(q. v.)



+ CH_2N_2 → Mecobalamin

hydridocobalamin (I)



Mecobalamin

I + $H_3C-O-S(=O)_2-O-CH_3$ → Mecobalamin

I + H_3C-I → Mecobalamin

Reference(s):
Müller, O.; Müller, G.: Biochem. Z. (BIZEA2) **336**, 299 (1962).
Dolphin, D.H.; Johnson, A.W.: Proc. Chem. Soc., London (PCSLAW) **1963**, 311.
Dolphin, D.H.; Johnson, A.W.: J. Chem. Soc. (JCSOA9) **1965**, 2174.
Boos, R.N. et al.: Science (Washington, D.C.) (SCIEAS) **117**, 603 (1953).
Smith, E.L. et al.: Nature (London) (NATUAS) **194**, 1175 (1962).

review:
Bernhauer, K. et al.: Angew. Chem. (ANCEAD) **75**, 1145 (1963).

Formulation(s): tabl. 500 µg; vial 10 µg, 500 µg

Trade Name(s):

F:	Algobaz (Labaz)	J:	Calomide-Me (Yamanouchi)	Hitocobamin-M (Hishiyama)
	Lyométhyl (Bouchara)		Cobamain (Kyowa)	Vancomin (Dainippon)
	Méthylcobaz (Labaz)		Cobametin (Sankyo)	

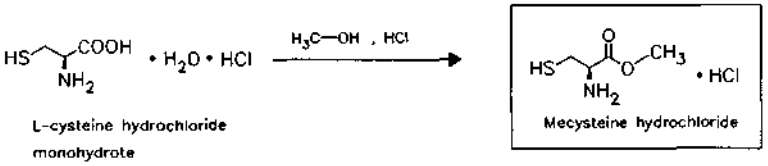
Mecysteine hydrochloride

Use: mucolytic agent

RN: 18598-63-5 MF: $C_4H_9NO_2S \cdot HCl$ MW: 171.65 EINECS: 227-208-6
LD_{50}: 2300 mg/kg (M, p.o.)
CN: L-cysteine methyl ester hydrochloride

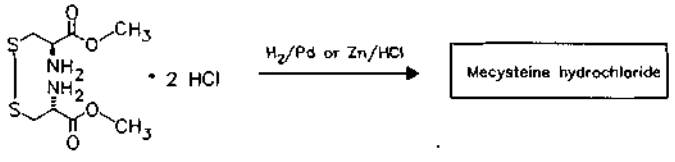
mecysteine
RN: 2485-62-3 MF: $C_4H_9NO_2S$ MW: 135.19 EINECS: 219-625-7

a



L-cysteine hydrochloride monohydrate

b



L-cystine dimethyl ester dihydrochloride

Reference(s):
a Bergmann, M.; Michalis, G.: Ber. Dtsch. Chem. Ges. (BDCGAS) **63**, 987 (1930).
b Zervas, L.; Theodoropoulos, D.M.: J. Am. Chem. Soc. (JACSAT) **78**, 1359 (1956).

Formulation(s): drg. 100 mg; suppos. 100 mg, 200 mg; tabl. 0.05 g, 0.1 g

Trade Name(s):

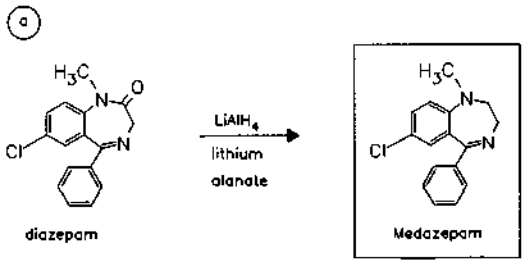
F:	Acthiol J. (Joullié); wfm	Ectazis (Nichiiko)	Fuszemin S (Taiyo)
GB:	Visclair (Sinclair)	Epecoal (Beppu)	Higlomin (Wakamoto)
I:	Actiol (SIT)	Epectan (Seiko)	Jeorgen (Sanwa)
	Donatiol (AGIPS)-comb.	Equverin (Nissin)	Moltanine (Toho K.-Tokyo Tanabe)
J:	Aslos-C (Nissin)	Fustant (Kanto)	

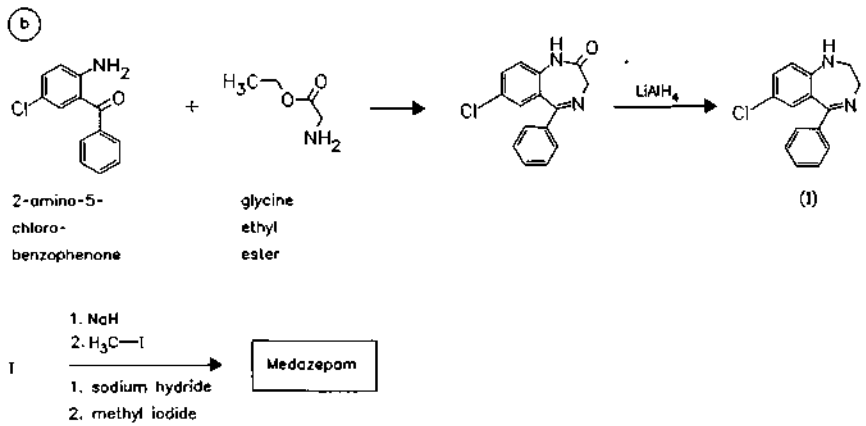
Pectite (Kissei) Radcol (Nippon Universal) Thibrin (Kyowa-Hoei)
Pelmain (Sawai) Sekinin (Tokyo Hosei) Zeotin (Toa Eiyo)

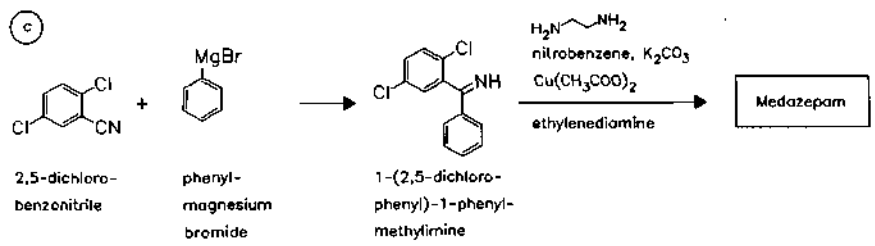
Medazepam

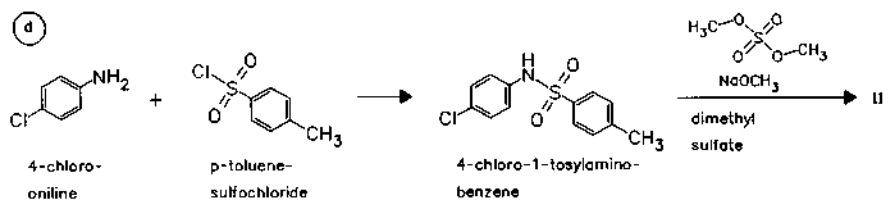
ATC: N05BA03
Use: tranquilizer, anxiolytic

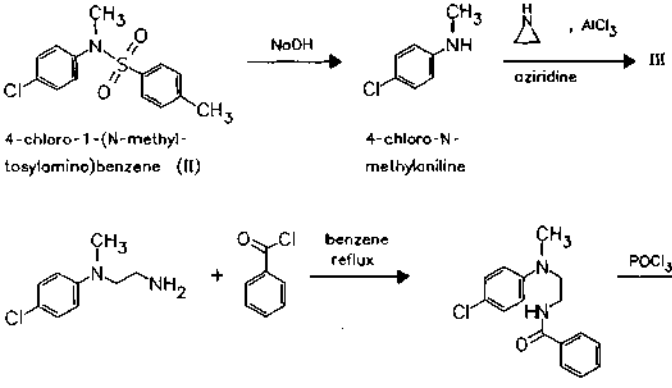
RN: 2898-12-6 MF: $C_{16}H_{15}ClN_2$ MW: 270.76 EINECS: 220-783-4
LD_{50}: 475 mg/kg (M, p.o.);
900 mg/kg (R, p.o.)
CN: 7-chloro-2,3-dihydro-1-methyl-5-phenyl-1*H*-1,4-benzodiazepine











Reference(s):

a,b US 3 109 843 (Roche; 5.11.1963; appl. 21.6.1962; prior. 28.7.1961).
US 3 131 178 (Roche; 28.4.1964; prior. 28.7.1961, 4.12.1961, 21.6.1962).
Sternbach, L.H. et al.: J. Org. Chem. (JOCEAH) **28**, 2456 (1963).

c DAS 1 934 385 (Sumitomo; appl. 7.7.1969).

d DAS 1 695 188 (Roche; appl. 23.5.1967; USA-prior. 3.6.1966).
DAS 1 795 811 (Roche; appl. 23.5.1967).

alternative syntheses:

US 3 141 890 (Roche; 21.7.1964; prior. 28.7.1961, 4.12.1961, 21.6.1962).
US 3 144 439 (Roche; 11.8.1964; prior. 28.7.1961, 4.12.1961, 21.6.1962).
DE 1 445 864 (Roche; appl. 27.7.1962; USA-prior. 28.7.1961).
DOS 2 204 484 (Sumitomo; appl. 31.1.1972; J-prior. 9.2.1971, 6.4.1971, 28.5.1971).
DOS 2 217 301 (Sumitomo; appl. 10.4.1972; J-prior. 12.4.1971).

1-demethyl-derivative from 5-chloro-2-(2,3-dioxopiperazino)benzophenone:
DAS 1 906 254 (Sumitomo; appl. 7.2.1969; J-prior. 2.4.1968).
DAS 1 965 980 (Sumitomo; appl. 7.2.1969; J-prior. 2.4.1968).

Formulation(s): cps. 5 mg, 10 mg; tabl. 2.5 mg, 5 mg, 10 mg

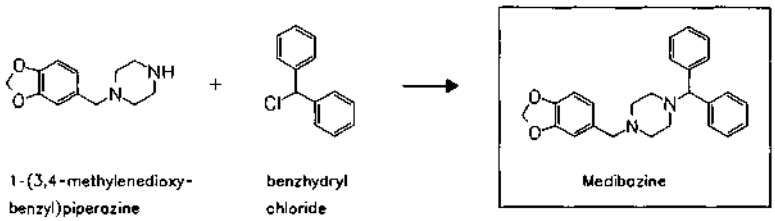
Trade Name(s):

D:	Medazepam AWD	I:	Debrum (Sigma-Tau)-comb.	Kobazepam (Nihon Iyakuhin)
	Tabletten (ASTA Medica AWD)		Nobrium (Roche)	Metonas (Kanto)
	Rudotel Tabletten (OPW)	J:	Azepamid (Taiyo)	Narsis (Sumitomo)
F:	Nobrium (Roche); wfm		Cerase (Torii)	Nobrium (Nippon Roche)
GB:	Nobrium (Roche); wfm			Resmit (Shionogi)

Medibazine

ATC: C01
Use: coronary vasodilator

RN: 53-31-6 MF: $C_{25}H_{26}N_2O_2$ MW: 386.50 EINECS: 200-168-7
LD_{50}: 41 mg/kg (M, i.v.)
CN: 1-(1,3-benzodioxol-5-ylmethyl)-4-(diphenylmethyl)piperazine



Reference(s):
US 3 119 826 (Science Union; 28.1.1964; F-prior. 12.4.1961).

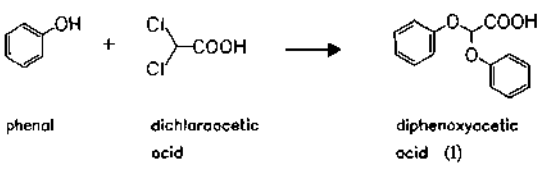
Trade Name(s):
F: Vialibran (Servier); wfm

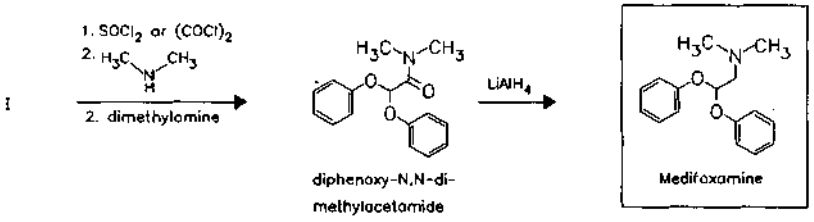
Medifoxamine

ATC: N06A
Use: antidepressant

RN: 32359-34-5 MF: $C_{16}H_{19}NO_2$ MW: 257.33 EINECS: 251-011-4
LD_{50}: 750 mg/kg (M, p.o.)
CN: *N,N*-dimethyl-2,2-diphenoxyethanamine

fumarate (1:1)
RN: 16604-45-8 MF: $C_{16}H_{19}NO_2 \cdot C_4H_4O_4$ MW: 373.41 EINECS: 240-657-2





Reference(s):
FR 5 498 (Lab. Gerda; appl. 1966).
Brunet, M.A. et al.: Bull. Soc. Chim. Fr. (BSCFAS), 2000 (1967).

additional synthesis:
FR 2 645 147 (Lab. Rolland; appl. 3.4.1989).
FR 2 601 004 (Lab. Rolland; appl. 7.7.1986).
FR 2 588 553 (Lab. Rolland; appl. 16.10.1985).
EP 226 475 (Lab. Rolland; appl. 22.7.1985).

synthesis of diphenoxyacetic acid:
Alphen, J. van: Recl. Trav. Chim. Pays-Bas (RTCPA3) **46**, 144 (1927).
Scheibler, H.; Depner, M.: J. Prakt. Chem. (JPCEAO) **7**, 60 (1958).
DE 561 281 (Chem. Fabrik von Heyden; appl. 1930),
also EP 226 475, FR 2 601 004.

medical use for treatment of cerebral hypoxia and senility:
FR 2 589 357 (Lab. Rolland; appl. 5.11.1985).
FR 2 583 639 (Lab. Rolland; appl. 24.6.1985).

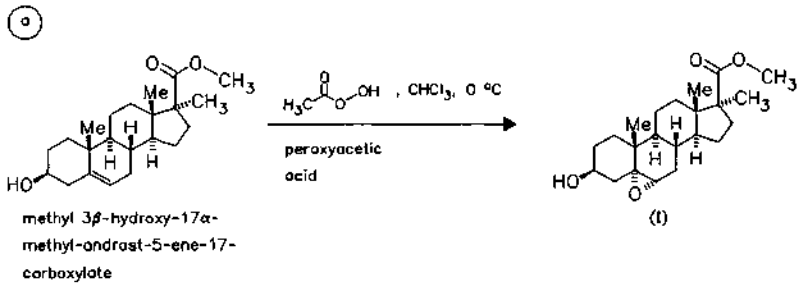
Formulation(s): tabl. 50 mg

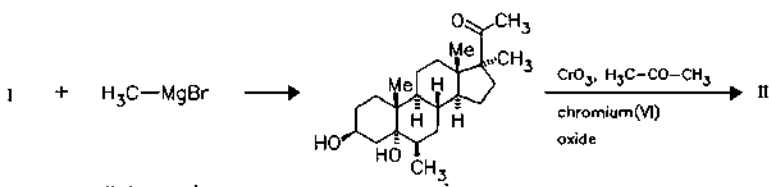
Trade Name(s):
F: Clédial (Lipha Santé)

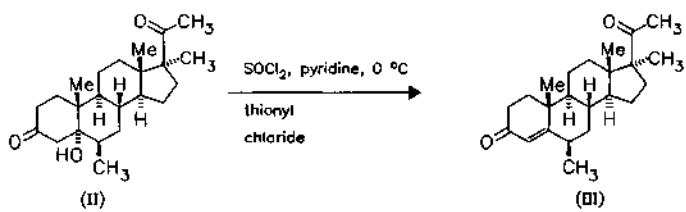
Medrogestone

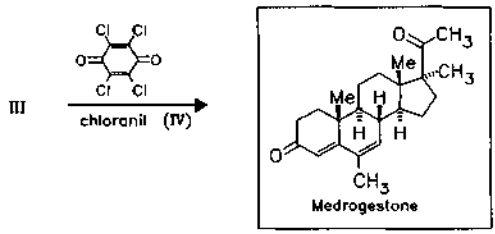
ATC: G03DB03
Use: progestogen

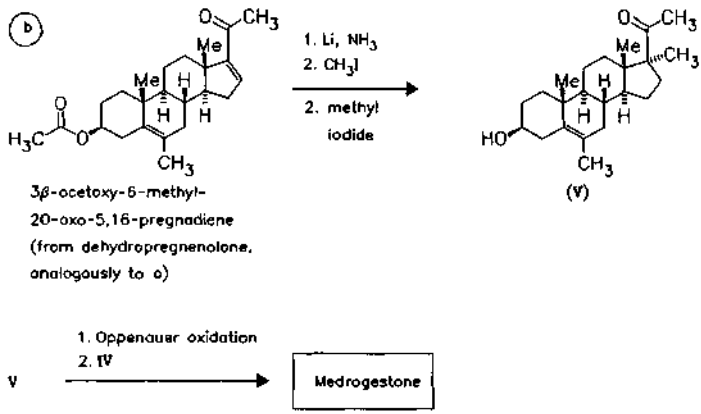
RN: 977-79-7 MF: $C_{23}H_{32}O_2$ MW: 340.51 EINECS: 213-555-0
LD_{50}: 850 mg/kg (g.p., p.o.)
CN: 6,17-dimethylpregna-4,6-diene-3,20-dione











Reference(s):

a US 3 133 913 (American Home Products, 19.5.1964; appl. 11.9.1961).
Deghenghi, R.; Gaudry, R.: J. Am. Chem. Soc. (JACSAT) **83**, 4668 (1961).
starting material:
Plattner, P.A. et al.: Helv. Chim. Acta (HCACAV) **31**, 603 (1948).

b Deghenghi, R. et al.: J. Med. Chem. (JMCMAR) **6**, 301 (1963).
starting material:
Burn, D. et al.: J. Chem. Soc. (JCSOA9) **1957**, 4092.

alternative syntheses:
US 3 170 936 (American Home Products; 23.2.1965; appl. 7.8.1963).
US 3 210 387 (American Home Products; 5.10.1965; appl. 6.5.1963; CDN-prior. 28.11.1962).

Formulation(s): tabl. 5 mg, 25 mg

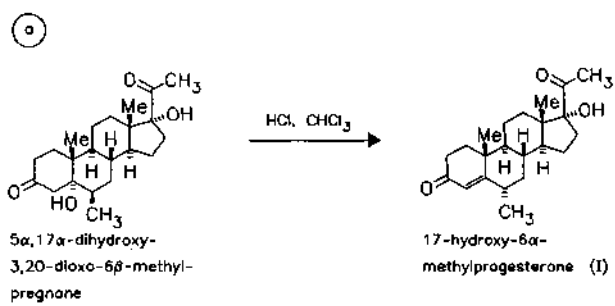
Trade Name(s):

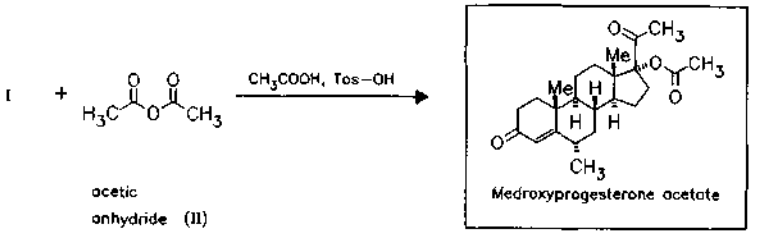
D:	Presomen (Solvay Arzneimittel)-comb.		Prothil (Solvay Arzneimittel)	I:	Colprone (Wyeth)
		F:	Colprone (Wyeth-Lederle)	USA:	Colprone (Ayerst); wfm

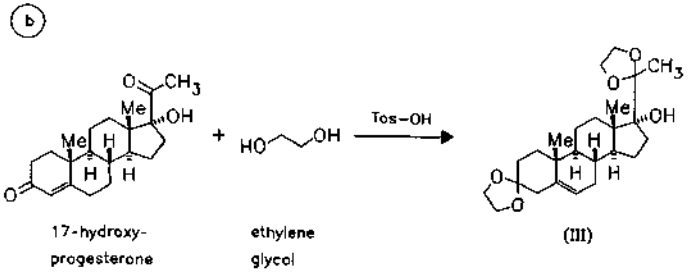
Medroxyprogesterone acetate

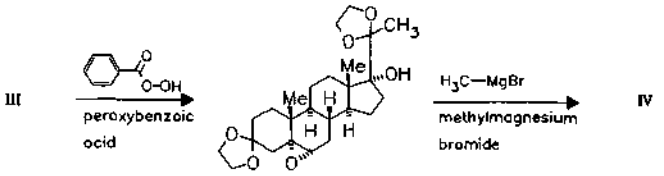
ATC: G02B; G03D
Use: antineoplastic, progestogen

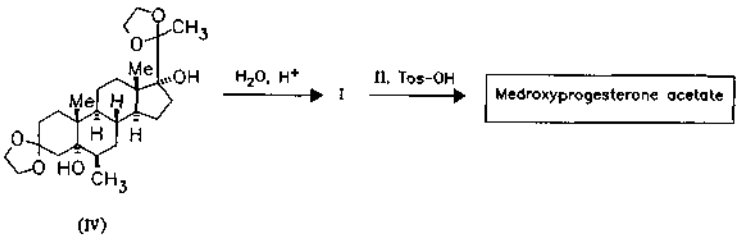
RN: 71-58-9 MF: $C_{24}H_{34}O_4$ MW: 386.53 EINECS: 200-757-9
LD_{50}: >16 g/kg (M, p.o.);
>6.4 g/kg (R, p.o.);
>5 g/kg (dog, p.o.)
CN: (6α)-17-(acetyloxy)-6-methylpregn-4-ene-3,20-dione











Reference(s):

a US 3 147 290 (Upjohn; 1.9.1964; appl. 17.5.1961; prior. 23.11.1956).
Ellis, B. et al.: J. Chem. Soc. (JCSOA9) **1957**, 4092.
starting material: cf. literature cited under **a**

b US 3 061 616 (Societa Farmaceutici Italia; 30.10.1962; appl. 17.9.1958; GB-prior. 24.4.1958).
DE 1 097 986 (Syntex; appl. 29.8.1957; MEX-prior. 8.9.1956).
Babcock, J.C. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 2904 (1958).
starting material:
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 5674 (1954).
The Merck Index, 4756 (Rahway 1976).

alternative syntheses:

DE 1 081 456 (British Drug Houses; appl. 21.8.1958; GB-prior. 13.8.1957).
DE 1 101 415 (Searle; appl. 24.9.1958; USA-prior. 27.9.1957).
US 3 043 832 (Ormonoterapia Richter; 10.7.1962; appl. 28.4.1961; I-prior. 27.2.1961).

review:

Ehrhardt, Ruschig **III**, 352.

Formulation(s): amp. 500 mg, 1 g; susp. 500 mg; susp. 150 mg/ml, 500 mg/ml; tabl. 2.5 mg, 5 mg, 10 mg, 100 mg, 200 mg, 250 mg, 400 mg, 500 mg

Trade Name(s):

D: Clinofem (Pharmacia & Upjohn)-comb.
Clinovir (Pharmacia & Upjohn)
Depo-Clinovir (Pharmacia & Upjohn)
Farlutal (Pharmacia & Upjohn)
MPA (Hexal)-comb.

F: Depo-Prodasone (Pharmacia & Upjohn)-comb.
Depo-Provera (Pharmacia & Upjohn)
Divina (Innothéra)-comb.
Farlutal (Pharmacia & Upjohn)
Gestoral (Novartis)
Prodasone (Pharmacia & Upjohn)

GB: Depo-Provera (Pharmacia & Upjohn)
Farlutal (Pharmacia & Upjohn)
Provera (Pharmacia & Upjohn)
combination preparations

I: Depo-Provera (Upjohn)
Farlutal (Farmitalia)
Lutoral (Midy)
Provera (Upjohn)

J: Hysron (Kyowa)
Provera (Upjohn)

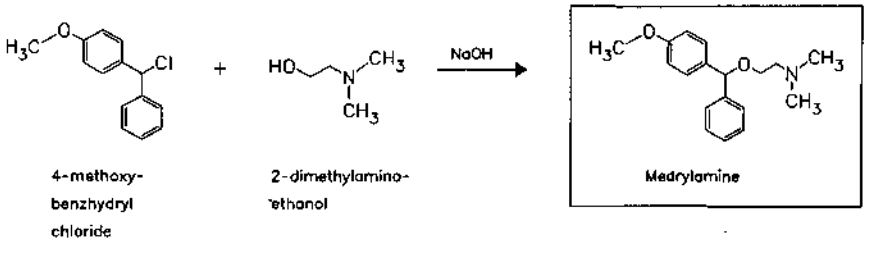
USA: Amen (Carnrick)
Depo-Provera (Pharmacia & Upjohn)
Premphase (Wyeth-Ayerst)
Prempro (Wyeth-Ayerst)
Provera (Pharmacia & Upjohn)

Medrylamine

ATC: R06
Use: topical antihistaminic

RN: 524-99-2 MF: $C_{18}H_{23}NO_2$ MW: 285.39 EINECS: 208-368-6
CN: 2-[(4-methoxyphenyl)phenylmethoxy]-*N*,*N*-dimethylethanamine

hydrochloride
RN: 6027-00-5 MF: $C_{18}H_{23}NO_2 \cdot HCl$ MW: 321.85 EINECS: 227-888-4
LD_{50}: 148 mg/kg (M, i.p.)



Reference(s):
US 2 668 856 (UCB; 1954; appl. 1948).

Formulation(s): ointment 20 mg/g (2 %) (as hydrochloride)

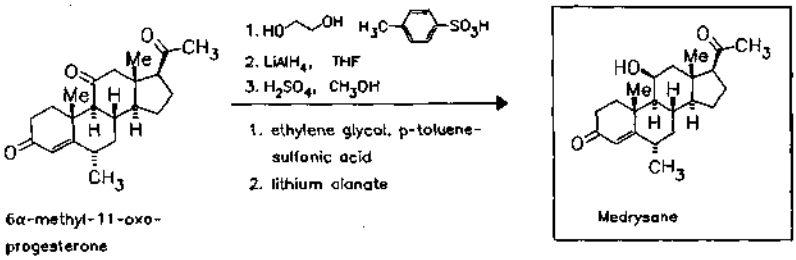
Trade Name(s):

D: Corti-Postafen (UCB)-comb.; wfm
Postafen Salbe (UCB); wfm

Medrysone

ATC: S01BA08
Use: glucocorticoid

RN: 2668-66-8 MF: $C_{22}H_{32}O_3$ MW: 344.50 EINECS: 220-208-7
LD_{50}: 338 mg/kg (R, i.p.)
CN: (6α,11β)-11-hydroxy-6-methylpregn-4-ene-3,20-dione



Reference(s):
US 2 864 837 (Upjohn; 1958; prior. 1958).
US 2 968 655 (Upjohn; 1961; prior. 1956).

starting material:
Spero, G.B. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 6213 (1956).
US 2 968 655 (Upjohn; 1961; prior. 1956).

Formulation(s): eye drops 10 mg; eye ointment 10 mg

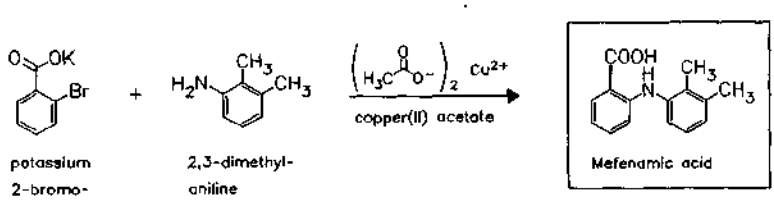
Trade Name(s):

D:	Ophtocortin (Winzer)		generic	Medrocort (Upjohn); wfm
	Spectramedryn (Pharm-Allergan)	I:	Medramil (Farmigea)-comb.	
F:	Medryson Faure (CIBA Vision)	USA:	HMS Liquifilm (Allergan); wfm	

Mefenamic acid

ATC: M01AG01
Use: anti-inflammatory, antirheumatic, analgesic

RN: 61-68-7 MF: $C_{15}H_{15}NO_2$ MW: 241.29 EINECS: 200-513-1
LD_{50}: 96 mg/kg (M, i.v.); 525 mg/kg (M, p.o.); 112 mg/kg (R, i.v.); 740 mg/kg (R, p.o.)
CN: 2-[(2,3-dimethylphenyl)amino]benzoic acid



Reference(s):
US 3 138 636 (Parke Davis; 23.6.1964; appl. 23.6.1960).
DE 1 163 846 (Parke Davis; appl. 22.6.1961; USA-prior. 23.6.1960).

alternative syntheses:
DAS 1 186 073 (Parke Davis; appl. 30.4.1963; CDN-prior. 18.9.1962).
DAS 1 186 074 (Parke Davis; appl. 30.4.1963; CDN-prior. 18.9.1962).
DAS 1 186 870 (Parke Davis; appl. 30.4.1963; CDN-prior. 18.9.1962).
DAS 1 186 871 (Parke Davis; appl. 30.4.1963; CDN-prior. 18.9.1962).

Formulation(s): cps. 250 mg; powder 500 mg, 1 g; suppos. 125 mg, 500 mg; susp. 50 mg

Trade Name(s):

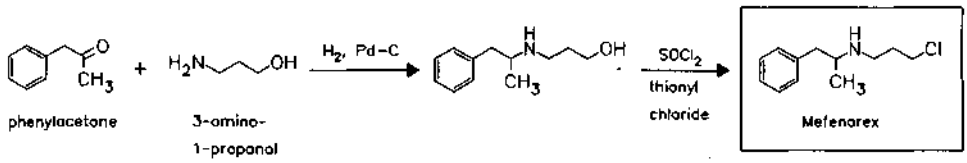
D:	Parkemed (Parke Davis)	J:	Baphameritin M (Hishiyama)	USA:	Ponstan (Parke Davis); wfm
	Ponalar (Parke Davis)		Bonabol (Sawai)		Ponstel (Parke Davis)
F:	Ponstyl (Parke Davis)		Pontal (Parke Davis-Sankyo)		
GB:	Meflam (Trinity)		Spantac (Uji)		
	Ponstan (Elan)				
I:	Lysalgo (SIT)				

Mefenorex

ATC: A08AA09
Use: appetite depressant

RN: 17243-57-1 MF: $C_{12}H_{18}ClN$ MW: 211.74 EINECS: 241-279-0
CN: *N*-(3-chloropropyl)-α-methylbenzeneethanamine

hydrochloride
RN: 5586-87-8 MF: $C_{12}H_{18}ClN \cdot HCl$ MW: 248.20 EINECS: 226-985-9
LD_{50}: 49 mg/kg (M, i.v.); 230 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 410 mg/kg (R, p.o.)



Reference(s):
DE 1 210 873 (Hoffmann-La Roche; appl. 18.3.1959).

Formulation(s): drg. 40 mg (as hydrochloride)

Trade Name(s):

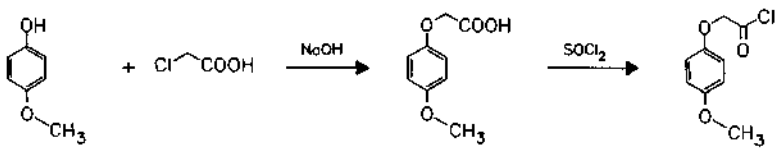
D:	Rondimen (ASTA Medica AWD; as hydrochloride)	F:	Incital (Pierre Fabre Santé)
		USA:	Anexate (Roche); wfm

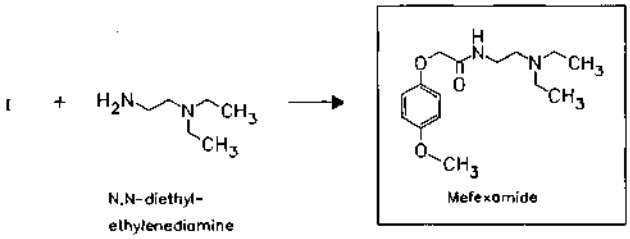
Mefexamide

ATC: N05C
Use: psychoanaleptic, CNS stimulant

RN: 1227-61-8 MF: $C_{15}H_{24}N_2O_3$ MW: 280.37 EINECS: 214-963-1
LD_{50}: 168 mg/kg (M, i.v.); 1500 µg/kg (M, p.o.)
CN: *N*-[2-(diethylamino)ethyl]-2-(4-methoxyphenoxy)acetamide

monohydrochloride
RN: 3413-64-7 MF: $C_{15}H_{24}N_2O_3 \cdot HCl$ MW: 316.83 EINECS: 222-304-4





Reference(s):
Thuillier, G.; Rumpf, P.: Bull. Soc. Chim. Fr. (BSCFAS) **1960**, 1786.

Formulation(s): amp. 150 mg; tabl. 150 mg

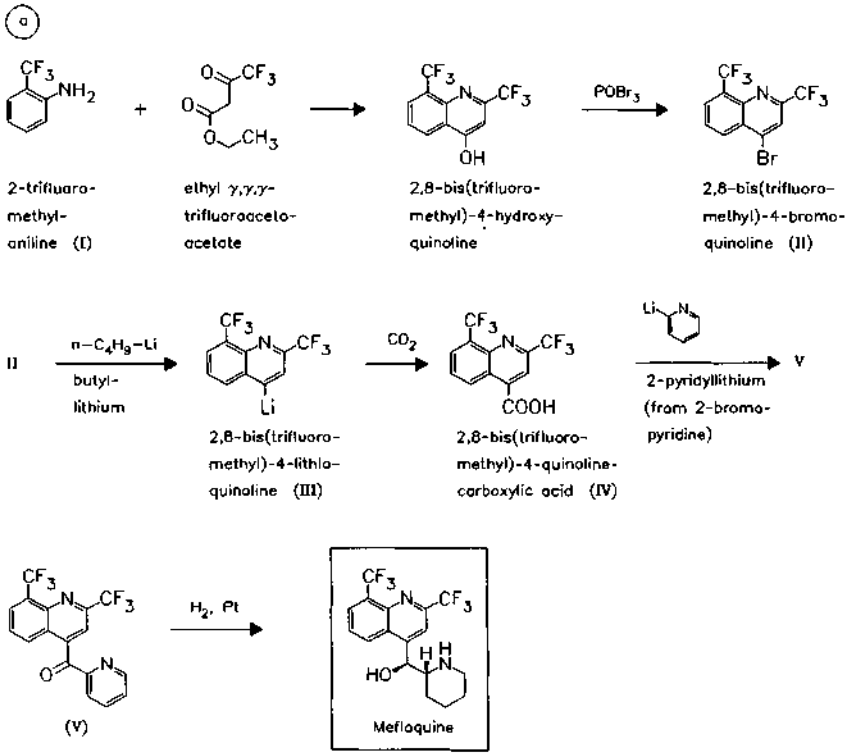
Trade Name(s):
F: Méféxadyne (Anphar); wfm
Timodyne (Anphar); wfm
I: Perneuron (Crinos); wfm

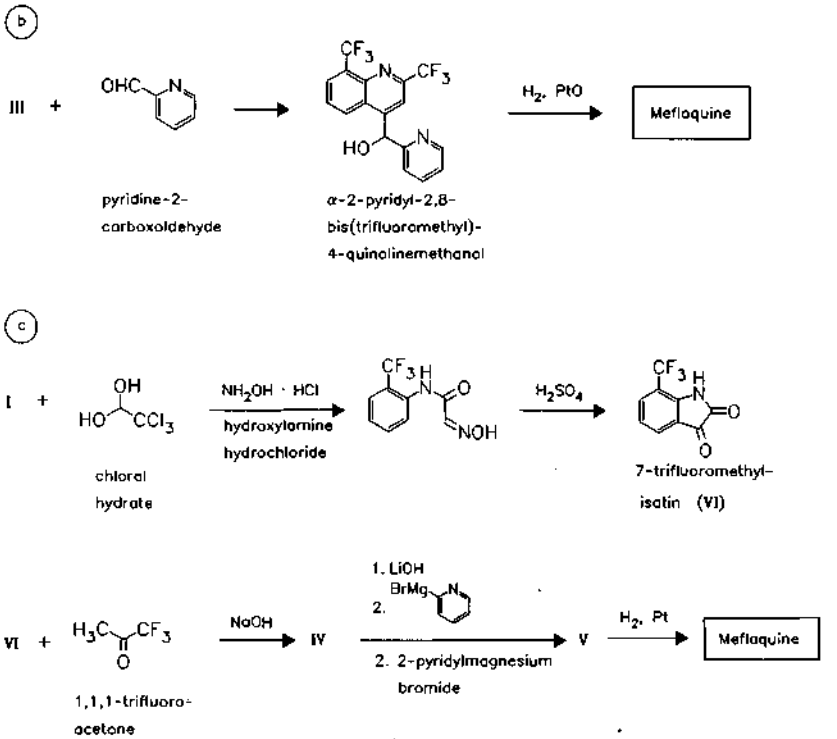
Mefloquine

ATC: P01BA05
Use: antimalarial

RN: 53230-10-7 MF: $C_{17}H_{16}F_6N_2O$ MW: 378.32
CN: (*R**,*S**)-(±)-α-2-piperidinyl-2,8-bis(trifluoromethyl)-4-quinolinemethanol

monohydrochloride
RN: 51773-92-3 MF: $C_{17}H_{16}F_6N_2O \cdot HCl$ MW: 414.78 EINECS: 257-412-0
LD_{50}: 880 mg/kg (R, p.o.)





Reference(s):

a Ohnmacht, C.J. et al.: J. Med. Chem. (JMCMAR) **14**, 926 (1971).
b DOS 2 806 909 (Roche; appl. 17.2.1978; USA-prior. 17.2.1977).
c DOS 2 940 443 (BASF; appl. 5.10.1979).

alternative synthesis:
EP 103 259 (Roche; appl. 6.9.1983; CH-prior. 10.9.1982).

preparation of pure mefloquine hydrochloride:
US 4 507 482 (Roche; 26.3.1985; CH-prior. 14.4.1982).
EP 92 185 (Roche; appl. 14.4.1983; CH-prior. 14.4.1982).

hydrochloride modification E:
EP 137 375 (Roche; appl. 20.9.1984; CH-prior. 7.10.1983).

Formulation(s): tabl. 250 mg (as hydrochloride)

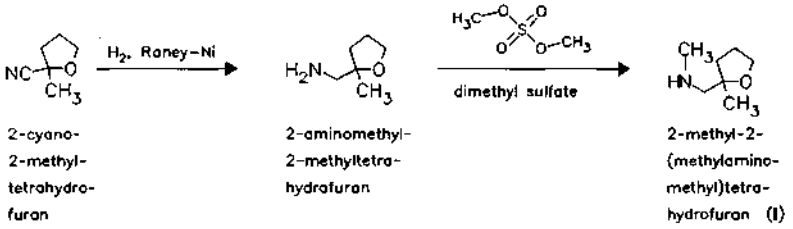
Trade Name(s):

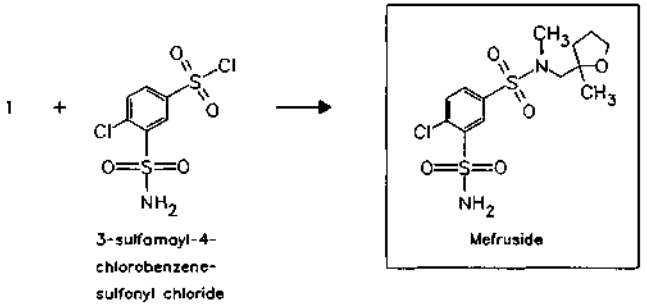
D:	Lariam (Roche)	GB:	Lariam (Roche)
F:	Lariam (Roche; as hydrochloride)	I:	Lariam (Roche)
		USA:	Lariam (Roche)

Mefruside

ATC: C03BA05
Use: diuretic

RN: 7195-27-9 MF: $C_{13}H_{19}ClN_2O_5S_2$ MW: 382.89 EINECS: 230-562-4
LD_{50}: 500 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
500 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>5 g/kg (dog, p.o.)
CN: 4-chloro-N^1-methyl-N^1-[(tetrahydro-2-methyl-2-furanyl)methyl]-1,3-benzenedisulfonamide





Reference(s):
GB 1 031 916 (Bayer; appl. 30.11.1964; D-prior. 30.11.1963).

Formulation(s): tabl. 25 mg

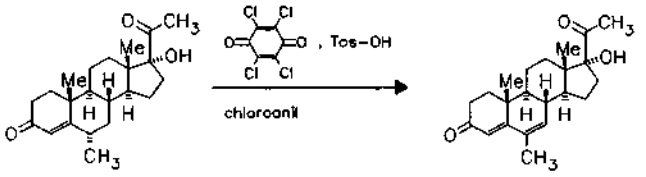
Trade Name(s):

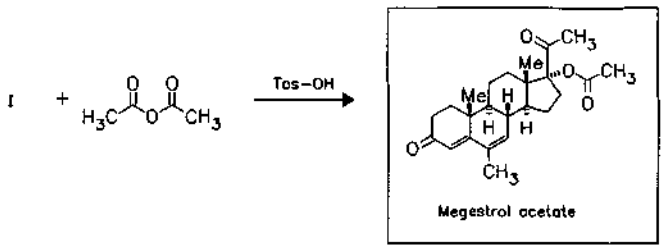
D:	Baycaron (Bayer Vital)		Sali-Prent (Bayer Vital)-comb.	I:	Baycaron (Bayer); wfm
	Bendigon (Bayer Vital)-comb.		Sali-Presinol (Bayer)-comb.		Mefrusal (Bayropharm); wfm
	Caprinol (Bayer)-comb.; wfm		Thomaeamin (Thomae)-comb.; wfm		Rexitene Plus (LPB)-comb.; wfm
	Duranifin Sali (durachemie)-comb.	F:	Tensid (Bayer Pharma)-comb.; wfm	J:	Baycaron (Yoshitomi)
	Sali-Adalat (Bayer Vital)-comb.	GB:	Baycaron (Bayer)		

Megestrol acetate

ATC: G03D
Use: progestogen (palliative treatment of breast and endometrial carcinoma)

RN: 595-33-5 MF: $C_{24}H_{32}O_4$ MW: 384.52 EINECS: 209-864-5
LD_{50}: 56 mg/kg (M, i.v.)
CN: 17-(acetyloxy)-6-methylpregna-4,6-diene-3,20-dione





Reference(s):
US 2 891 079 (Searle; 16.6.1959; prior. 23.1.1959).
Ringold, H.J. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 3712 (1959).

Formulation(s): oral susp. 40 mg/ml; tabl. 20 mg, 40 mg, 160 mg

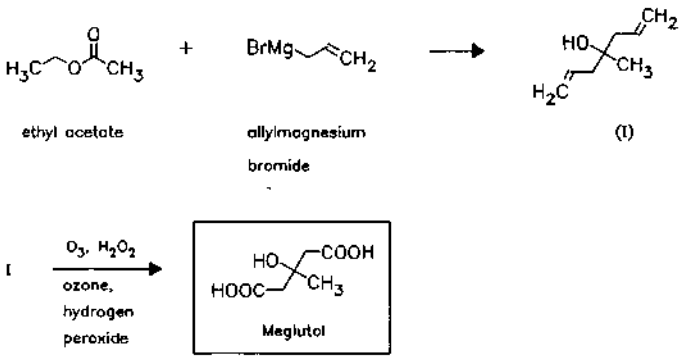
Trade Name(s):

D:	Megestat (Bristol-Myers Squibb)	GB:	Megace (Bristol-Myers Squibb)		Megestil (Boehringer Mannh.)
	Niagestin (Novo); wfm	I:	Megace (Bristol-Myers Squibb)	USA:	Megace (Bristol-Myers Squibb)
F:	Megace (Bristol-Myers Squibb)				generics

Meglutol

ATC: C10AX05
Use: antihyperlipidemic

RN: 503-49-1 MF: $C_6H_{10}O_5$ MW: 162.14 EINECS: 207-971-1
LD_{50}: 7330 mg/kg (M, p.o.)
CN: 3-hydroxy-3-methylpentanedioic acid



Reference(s):
Rabinowitz, J.L. et al.: Biochem. Prep. (BIPRAP) **6**, 25 (1958).

medical use:
US 3 629 449 (Aligarh Muslim University; 21.12.1971; prior. 22.4.1968).

Formulation(s): cps. 500 mg; tabl. 1 g

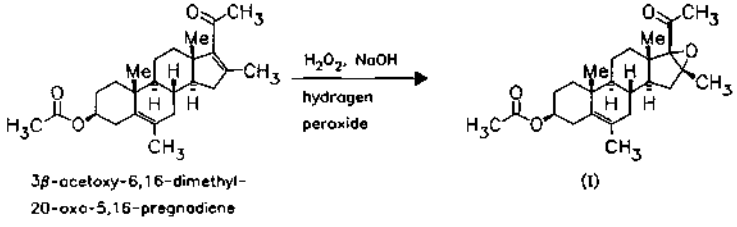
Trade Name(s):
I: Mevalon (Guidotti)

Melengestrol acetate

ATC: G03D
Use: progestogen, antineoplastic

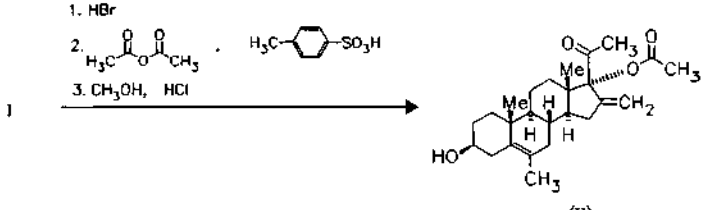
RN: 2919-66-6 MF: $C_{25}H_{32}O_4$ MW: 396.53 EINECS: 220-859-7
CN: 17-(acetyloxy)-6-methyl-16-methylenepregna-4.6-diene-3.20-dione

melengestrol
RN: 5633-18-1 MF: $C_{23}H_{30}O_3$ MW: 354.49 EINECS: 227-073-3

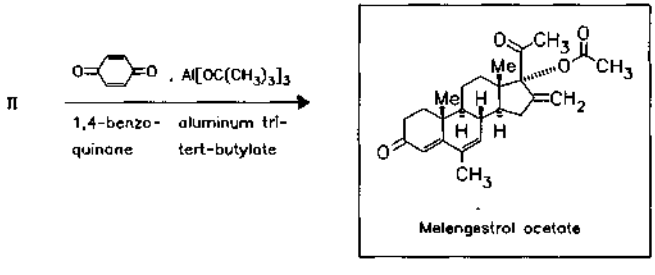


3β-acetoxy-6,16-dimethyl-20-oxo-5,16-pregnadiene

(I)



(II)



Reference(s):
GB 886 619 (British Drug Houses; valid from 14.6.1960; prior. 28.12.1959).

starting material:
GB 850 423 (British Drug Houses; valid from 26.6.1959; prior. 9.7.1958).
GB 870 286 (British Drug Houses; valid from 19.10.1959; prior. 4.11.1958).
Kirk, D.N. et al.: J. Chem. Soc. (JCSOA9) **1961**, 2821.

alternative synthesis:
US 3 117 966 (British Drug Houses; 14.1.1964; prior. 27.9.1961).

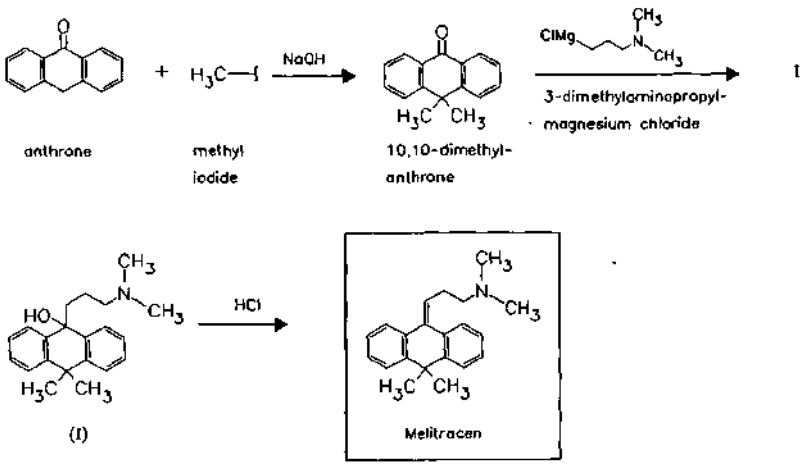
Trade Name(s):
USA: MGA (Upjohn); wfm

Melitracen

ATC: N06AA14
Use: antidepressant

RN: 5118-29-6 MF: $C_{21}H_{25}N$ MW: 291.44 EINECS: 225-858-5
LD_{50}: 52 mg/kg (M, i.v.); 315 mg/kg (M, p.o.);
170 mg/kg (R, p.o.)
CN: 3-(10,10-dimethyl-9(10*H*)-anthracenylidene)-*N*,*N*-dimethyl-1-propanamine

hydrochloride
RN: 10563-70-9 MF: $C_{21}H_{25}N \cdot HCl$ MW: 327.90 EINECS: 234-150-5
LD_{50}: 52 mg/kg (M, i.v.); 315 mg/kg (M, p.o.);
170 mg/kg (R, p.o.)



Reference(s):
US 3 177 209 (Kefalas; 6.4.1965; GB-prior. 16.9.1960, 17.2.1961).
US 3 190 893 (Kefalas; 22.6.1965; GB-prior. 17.2.1961).
DE 1 177 633 (Kefalas; appl. 14.2.1962; GB-prior. 17.2.1961).
DE 1 294 375 (Kefalas; appl. 7.9.1961; GB-prior. 16.9.1960).
Holm, T.: Acta Chem. Scand. (ACHSE7) **17**, 2437 (1963).

Formulation(s): amp. 20 mg/2 ml; drg. 10 mg, 25 mg

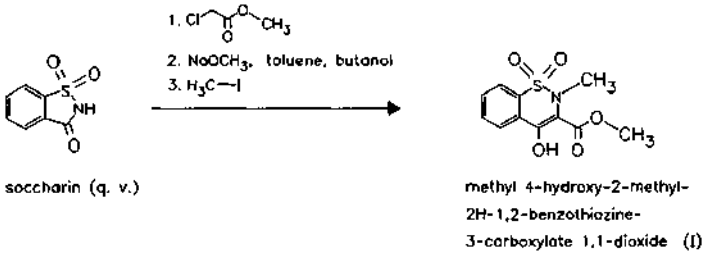
Trade Name(s):

D:	Trausabun (Byk Gulden); wfm	I:	Deanxit (Lusofarmaco)-comb.
	Trausabun (Promonta); wfm		Melixeran (Lusofarmaco)
		J:	Thymeol (Takeda)

Meloxicam
(UH-AC 62XX)

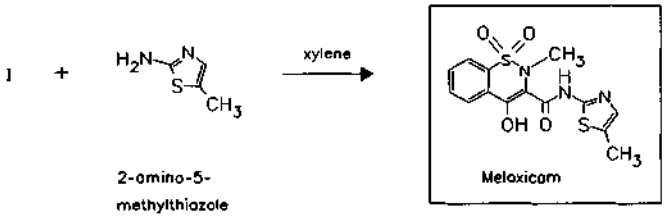
ATC: M01AC06
Use: anti-inflammatory, cyclooxygenase-2 inhibitor

RN: 71125-38-7 MF: $C_{14}H_{13}N_3O_4S_2$ MW: 351.41
CN: 4-hydroxy-2-methyl-*N*-(5-methyl-2-thiazolyl)-2*H*-1,2-benzothiazine-3-carboxamide 1,1-dioxide



saccharin (q. v.)

methyl 4-hydroxy-2-methyl-2H-1,2-benzothiazine-3-carboxylate 1,1-dioxide (I)



2-amino-5-methylthiazole

Meloxicam

Reference(s):
DE 2 756 113 (Thomae GmbH; 21.6.1979; D-prior. 16.12.1977).

ophthalmic solutions:
WO 9 301 814 (Lab. Europhta; appl. 17.7.1992; F-prior. 18.7.1991).

plaster for high-bioavailability:
JP 04 321 624 (Hisamitsu Pharm.; appl. 19.4.1991; J-prior. 19.4.1991).

combination with 5-lipoxygenase inhibitors:
WO 9 641 626 (Searle & Co.; appl. 11.6.1996; USA-prior. 12.6.1995).

combination with leukotriene A hydrolase inhibitor:
WO 9 641 625 (Searle & Co.; appl. 11.6.1996; USA-prior. 12.6.1995).

combination with leukotriene inhibitors:
WO 9 641 645 (Searle & Co.; appl. 11.6.1996; USA-prior. 12.6.1995).

medical use:
WO 9 703 667 (Merck & Co.; appl. 15.7.1996; USA-prior. 19.7.1995).

Formulation(s): cps. 7.5 mg; supp. 7.5 mg, 15 mg; tabl. 7.5 mg

Trade Name(s):
D: Mobec (Boehringer Ing.) F: Mobic (Boehringer Ing.) GB: Mobic (Boehringer Ing.)

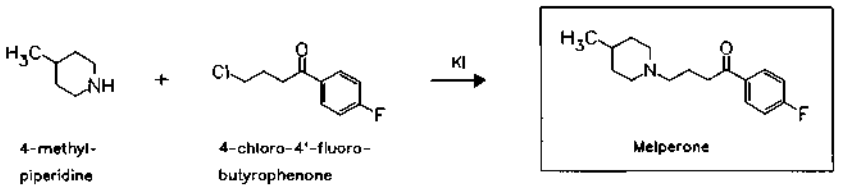
Melperone

(Methylperone; Metylperon)

ATC: N05AD03
Use: neuroleptic

RN: 3575-80-2 MF: $C_{16}H_{22}FNO$ MW: 263.36
CN: 1-(4-fluorophenyl)-4-(4-methyl-1-piperidinyl)-1-butanone

hydrochloride
RN: 1622-79-3 MF: $C_{16}H_{22}FNO \cdot HCl$ MW: 299.82 EINECS: 216-599-9
LD_{50}: 35 mg/kg (M, i.v.); 230 mg/kg (M, p.o.);
40 mg/kg (R, i.v.); 330 mg/kg (R, p.o.)



Reference(s):
US 3 816 433 (Ferrosan; 11.6.1974; prior. 24.7.1964, 22.3.1966, 29.4.1968, 5.10.1970).
DE 1 268 146 (Ferrosan; appl. 28.7.1964; GB-prior. 29.7.1963).

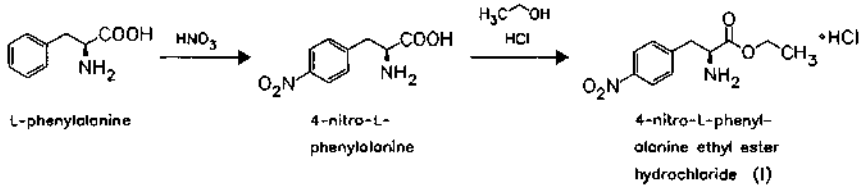
Formulation(s): amp. 50 mg/2 ml; drg. 10 mg, 25 mg, 50 mg, 100 mg; sol. 25 mg/5 ml (as hydrochloride)

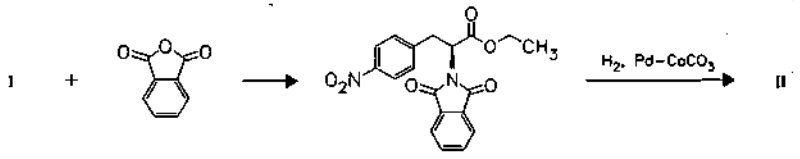
Trade Name(s):
D: Eunerpan (Knoll)

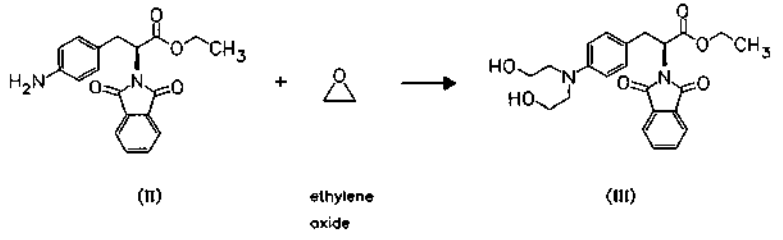
Melphalan

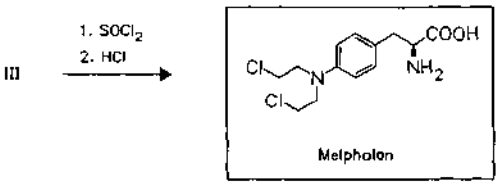
ATC: L01AA03
Use: antineoplastic

RN: 148-82-3 MF: $C_{13}H_{18}Cl_2N_2O_2$ MW: 305.21 EINECS: 205-726-3
LD_{50}: 20.8 mg/kg (M, i.v.);
4.1 mg/kg (R, i.v.); 11.2 mg/kg (R, p.o.)
CN: 4-[bis(2-chloroethyl)amino]-L-phenylalanine









Reference(s):
US 3 032 584 (Nat. Res. Dev. Corp.; 1.5.1962; GB-prior. 17.3.1953).
US 3 032 585 (Nat. Res. Dev. Corp.; 1.5.1962; GB-prior. 3.12.1954).

synthesis of intermediate II:
EP 233 733 (Kureha; appl. 5.2.1987; J-prior. 19.2.1986).

Formulation(s): amp. 50 mg/10 ml; tabl. 2 mg, 5 mg

Trade Name(s):

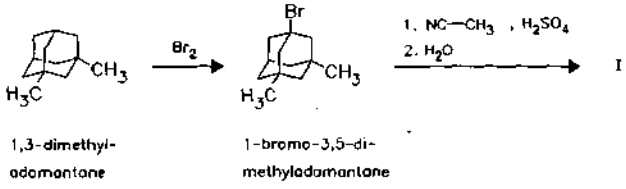
D:	Alkeran (Glaxo Wellcome)	GB:	Alkeran (Glaxo Wellcome)	I:	Alkeran (Glaxo Wellcome)
F:	Alkéran (Glaxo Wellcome)		Alkeran (Calmic)	USA:	Alkeran (Glaxo Wellcome)

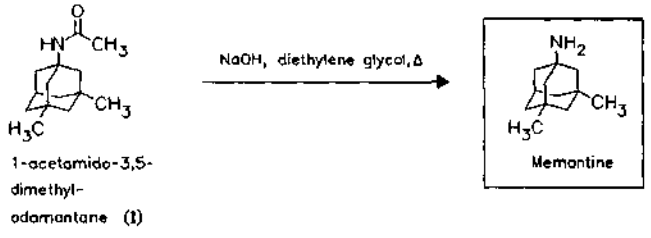
Memantine

ATC: N06DX01
Use: antispasmodic, myotonolytic, antiparkinsonian, muscle relaxant

RN: 19982-08-2 MF: $C_{12}H_{21}N$ MW: 179.31
CN: 3,5-dimethyltricyclo[3.3.1.1^{3,7}]decan-1-amine

hydrochloride
RN: 41100-52-1 MF: $C_{12}H_{21}N \cdot HCl$ MW: 215.77





Reference(s):
US 3 391 142 (Eli Lilly; 2.7.1968; appl. 9.2.1966).
Gerzon, K. et al.: J. Med. Chem. (JMCMAR) **6**, 760 (1963).

1,3-dimethyl-adamantane:
Schleyer, P. v. R.; Nicholas, R.D.: Tetrahedron Lett. (TELEAY) **9**, 305 (1961).

Formulation(s): amp. 10 mg; drops 10 mg/g; f. c. tabl. 10 mg (as hydrochloride)

Trade Name(s):
D: Akatinol (Merz)

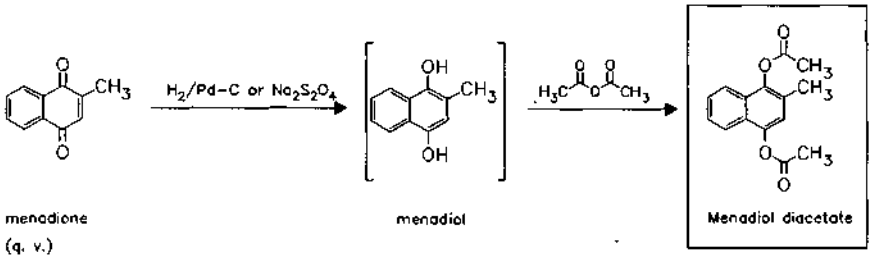
Menadiol diacetate

(Acetomenadione; Acetomenaftone)

ATC: A11
Use: antihemorrhagic, vitamin K-derivative (prothrombogenic)

RN: 573-20-6 MF: $C_{15}H_{14}O_4$ MW: 258.27 EINECS: 209-352-1
CN: 2-methyl-1,4-naphthalenediol diacetate

diphosphate dicalcium salt
RN: 74347-27-6 MF: $C_{11}H_8Ca_2O_8P_2$ MW: 410.28



Reference(s):
Horii et al.: Pharm. Bull. (PHBUA9) **5**, 82 (1957).

Formulation(s): amp. 8.86 mg/ml (as diphosphate dicalcium salt)

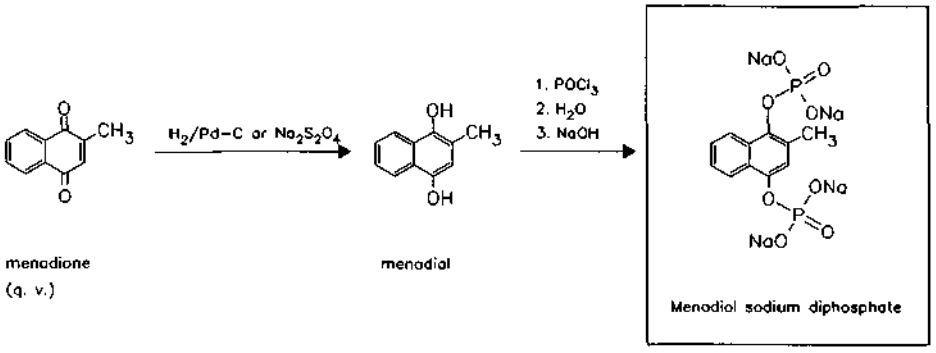
Trade Name(s):
D: Pertix-Solo-Hommel (Hommel)
GB: Ketovite (Paines & Byrne)-comb.
J: Kativ (Takeda)

Menadiol sodium diphosphate

ATC: A11
Use: antihemorrhagic vitamin

RN: 131-13-5 MF: $C_{11}H_4Na_4O_8P_2$ MW: 418.05 EINECS: 205-012-1
LD_{50}: 350 mg/kg (M, s.c.);
231 mg/kg (R, i.p.)
CN: 2-methyl-1,4-naphthalenediol bis(dihydrogen phosphate) tetrasodium salt

hexahydrate
RN: 6700-42-1 MF: $C_{11}H_8Na_4O_8P_2 \cdot 6H_2O$ MW: 530.18
menadiol diphosphate
RN: 84-98-0 MF: $C_{11}H_{12}O_8P_2$ MW: 334.16



Reference(s):
Fieser, L.F. et al.: J. Am. Chem. Soc. (JACSAT) **62**, 228 (1940).
US 2 380 621 (Roche; 1945; CH-prior. 1942).
US 2 345 690 (Roche; 1944; appl. 1941).
US 2 354 132 (Roche; 1944; appl. 1940).

synthesis of intermediate II:
EP 233 733 (Kureha; appl. 5.2.1987; J-prior. 19.2.1986).

Formulation(s): amp. 10 mg/1 ml, tabl. 10 mg

Trade Name(s):

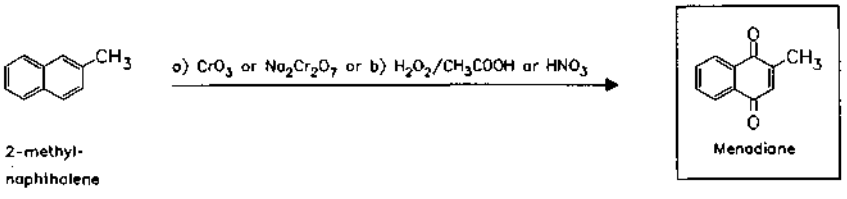
D:	Styptobion (Merck)-comb.; wfm	GB:	Synkavit (Roche); wfm	USA:	Synkavite (Roche; as hexahydrate); wfm
		J:	Kativ (Takeda)		

Menadione

(Menaphthone; Menaquinone; Vitamin K_3)

ATC: B02BA02
Use: antihemorrhagic vitamin (prothrombogenic)

RN: 58-27-5 MF: $C_{11}H_8O_2$ MW: 172.18 EINECS: 200-372-6
LD_{50}: 500 mg/kg (M, p.o.)
CN: 2-methyl-1,4-naphthalenedione



Reference(s):
a *oxidation with* chromic acid *and derivatives:*
Fieser, L.F. et al.: J. Am. Chem. Soc. (JACSAT) **61**, 2559, 3216 (1939).
US 2 402 226 (Velsicol; 1946; appl. 1943).
b *oxidation with* hydrogen peroxide:
Arnold; Larson: J. Org. Chem. (JOCEAH) **5**, 250 (1940).
US 2 373 003 (Univ. of Minnesota; 1945; appl. 1941).
Adam, W. et al.: Angew. Chem. (ANCEAD) **106**, 2545 (1994).

Formulation(s): tabl. 2 mg

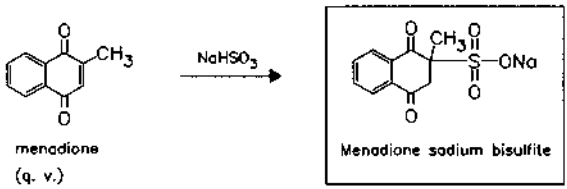
Trade Name(s):
F: Bilkaby (Lehning) J: generic

Menadione sodium bisulfite

(Menaphthone sodium bisulfite)

ATC: A11
Use: antihemorrhagic vitamin

RN: 130-37-0 MF: $C_{11}H_9NaO_5S$ MW: 276.24 EINECS: 204-987-0
CN: 1,2,3,4-tetrahydro-2-methyl-1,4-dioxo-2-naphthalenesulfonic acid sodium salt



Reference(s):
Moore, M.B.: J. Am. Chem. Soc. (JACSAT) **63**, 2049 (1941).
Baker, B.R. et al.: J. Am. Chem. Soc. (JACSAT) **64**, 1096 (1942).
Menotti, A.R.: J. Am. Chem. Soc. (JACSAT) **65**, 1209 (1943).
US 2 367 302 (Abbott; 1945; appl. 1940).

Formulation(s): amp. 1 mg, 2 mg, 3 mg, 10 mg, 50 mg

Trade Name(s):

D: Chloramsaar (Chephasaar)-comb.; wfm
Geriatrie-Mulsin (Mucos)-comb.; wfm
Lentinorm (Kanoldt)-comb.; wfm
Poly-Vitamin-Saar (Chephasaar)-comb.; wfm
Prenatal (Cyanamid)-comb.; wfm
Tetracycletten (Voigt); wfm

F: Arhémapectine vitaminée (Gallier)-comb.; wfm
Cépévit K (UCB)-comb.; wfm

I: Vitamina K Salf (Salf)

J: Menadione Inj. (Nord)

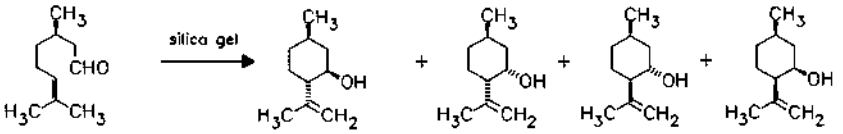
(–)-Menthol

Use: anesthetic (combination ingredient in antitussives and expectorants)

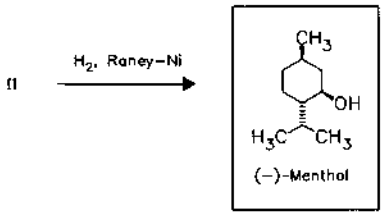
RN: 2216-51-5 MF: $C_{10}H_{20}O$ MW: 156.27 EINECS: 218-690-9
LD_{50}: 3400 mg/kg (M, p.o.);
3300 mg/kg (R, p.o.)
CN: [1*R*-(1α,2β,5)]-5-methyl-2-(1-methylethyl)cyclohexanol

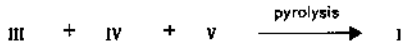
(a) isolation from peppermint oils, containing 70-80 % free menthol, by freezing and recrystallization

(b) from (+)-citronellol (containing at 80 % in citronellol)

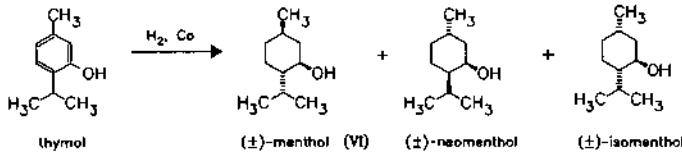


(+)-citronellal (I) (–)-isopulegol (II) (+)-neoiso-pulegol (III) (+)-isoiso-pulegol (IV) (+)-neoisoiso-pulegol (V)



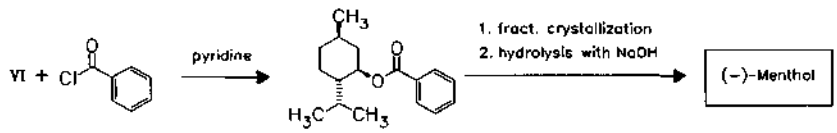


(c)



thymol (±)-menthol (VI) (±)-neomenthol (±)-isomenthol

recycling: epimerization to the ratio (±)-menthol : (±)-neomenthol : (±)-isomenthol = 6 : 3 : 1 under hydrogenation conditions; separation of (±)-menthol by distillation



(±)-menthyl benzoate

(+)-Menthol can be racemized under thymol hydrogenation conditions (also with Raney-Ni).

Reference(s):
review:
Ullmanns Encykl. Tech Chem., 4. Aufl., Vol. **20**, 220.
b DAS 1 197 081 (A. Boake Roberts & Co.; appl. 31.10.1963).
c *racemate resolution of* (±)-menthyl benzoate:
DOS 2 109 456 (Haarmann & Reimer; appl. 27.2.1971).

Formulation(s): cream 0.042-1 %; drg. 1 mg; ointment, sol. in numerous concentrations; powder 1 %

Trade Name(s):

D:	numerous combination preparations	I:	numerous combination preparations		Thera-Gesic (Mission)-comb.
F:	numerous combination preparations	USA:	Listerine (Warner-Lambert)-comb.		numerous combination preparations
GB:	numerous combination preparations		Panalgesic Gold (ECR)-comb.		

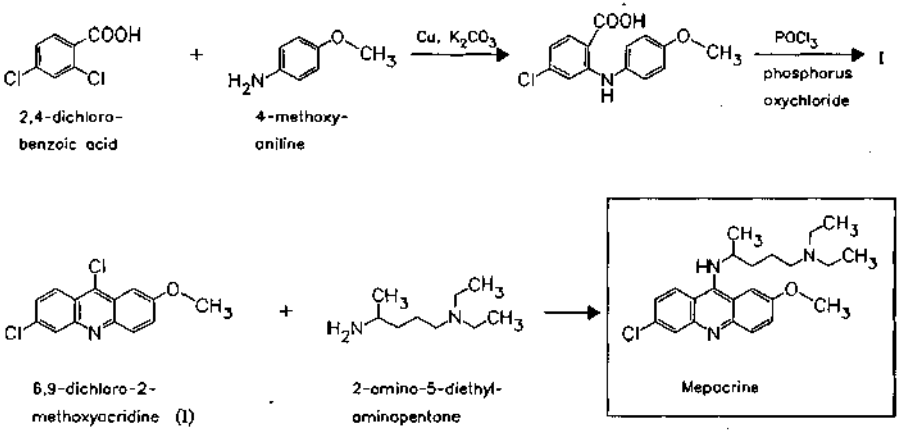
Mepacrine

(Quinacrine; Atebrin)

ATC: P01AX05
Use: antimalarial

RN: 83-89-6 MF: $C_{23}H_{30}ClN_3O$ MW: 399.97 EINECS: 201-508-7
LD_{50}: 50 mg/kg (M, i.v.); 1320 mg/kg (M, p.o.)
CN: N^4-(6-chloro-2-methoxy-9-acridinyl)-N^1,N^1-diethyl-1,4-pentanediamine

dihydrochloride
RN: 69-05-6 MF: $C_{23}H_{30}ClN_3O \cdot 2HCl$ MW: 472.89 EINECS: 200-700-8
LD_{50}: 38 mg/kg (M, i.v.); 557 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 660 mg/kg (R, p.o.)



Reference(s):
DRP 553 072 (I. G. Farben; appl. 1930).
Wingler, A.: Angew. Chem. (ANCEAD) **61**, 49 (1949).

Formulation(s): tabl. 100 mg

Trade Name(s):

F:	Collagénan (Sobio)-comb.; wfm		Tenicridine (Norgan); wfm
		USA:	Atabrine (Winthrop); wfm

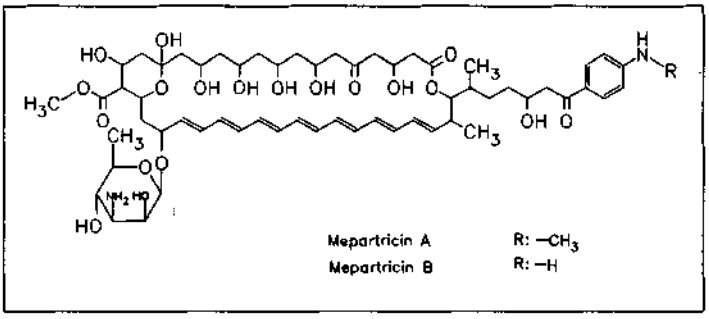
Mepartricin

(Methylpartricin)

ATC: A01AB16; D01AA06; G01AA09
Use: polyene antibiotic (for treatment of candidal and trichomonal gynaecological infections, treatment of benign prostatic hypertrophy)

RN: 11121-32-7 MF: $C_{60}H_{88}N_2O_{19}$ MW: 1141.36
LD_{50}: 11.1 mg/kg (M, i.p.); 4300 µg/kg (M, i.v.); >2 g/kg (M, p.o.)
CN: partricin methyl ester

1. fermentation of Streptomyces aureofaciens
2. methylation with excess of diazomethane



Reference(s):
DE 2 154 436 (Spa; appl. 2.11.1971; GB-prior. 3.11.1970).
GB 1 359 473 (Spa; appl. 3.11.1970).
GB 1 406 774 (Spa; appl. 15.2.1973).
GB 1 462 442 (Spa; appl. 29.8.1974).
DE 2 406 628 (Spa; appl. 12.2.1974; GB-prior. 15.2.1973).
US 3 773 925 (Spa; 20.11.1973; appl. 3.11.1971; GB-prior. 3.11.1970).
Bruzzese, T. et al.: Experientia (EXPEAM) **28**, 1515 (1972).
Pandey, R.C. et al.: J. Antibiot. (JANTAJ) **30**, 158 (1973).
Tweit, R.C. et al.: J. Antibiot. (JANTAJ) **35**, 997 (1982).

water soluble formulation:
GB 1 413 256 (Spa; appl. 14.5.1973).
GB 1 463 348 (Spa; appl. 3.9.1974).

medical use for treatment of benign prostatic hypertrophy:
US 4 237 117 (Spa; 2.12.1980; prior. 6.11.1978, 5.10.1979).

liposomal formulation:
WO 89 103 677 (Board of Regents; Univ. of Texas Syst.; appl. 27.10.1988; USA-prior. 27.10.1987).

structure of partricin:
Tweit, R.C. et al.: J. Antibiot. (JANTAJ) **35**, 997 (1982).

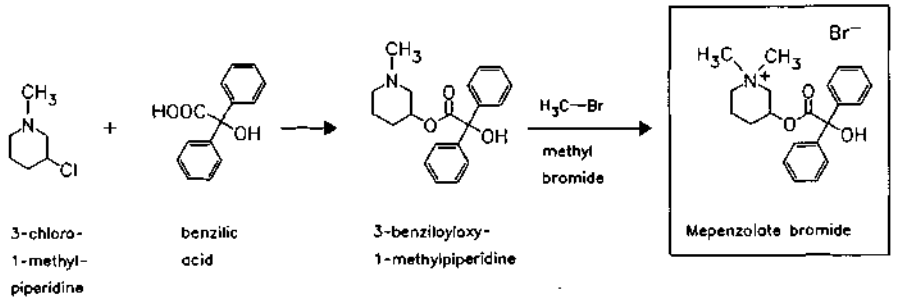
Formulation(s): tabl. 50000 iu/g, 40 mg; vaginal cream 5000 iu/g; vaginal tabl. 25000 iu.

Trade Name(s):
I: Ipertrofan (SPA; 1986) Montricin (SPA; 1988 as sodium lauryl sulfate) Tricandil (SPA; 1975)

Mepenzolate bromide

ATC: A03AB12
Use: anticholinergic

RN: 76-90-4 MF: $C_{21}H_{26}BrNO_3$ MW: 420.35 EINECS: 200-992-7
LD_{50}: 9800 µg/kg (M, i.v.); 900 mg/kg (M, p.o.);
22 mg/kg (R, i.v.); 742 mg/kg (R, p.o.)
CN: 3-[(hydroxydiphenylacetyl)oxy]-1,1-dimethylpiperidinium bromide



Reference(s):
US 2 918 408 (Lakeside Labs.; 1959; prior. 1950).

Formulation(s): tabl. 7.5 mg, 15 mg, 25 mg

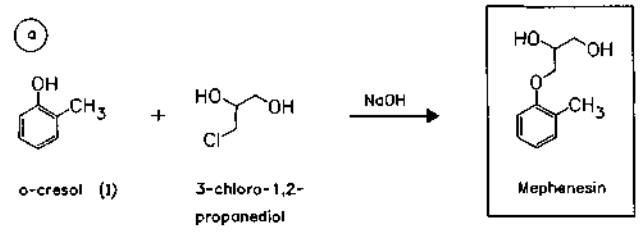
Trade Name(s):

F:	Cantil (Roger Bellon); wfm	Colum (Jamco)	J:	Eftoron (Maruko Seiyaku)
GB:	Cantil (M.C.P. Pharmaceuticals); wfm	Enterocantril (RBS Pharma)-comb.		Sachicoron (Zensei)
I:	Cantril Lakeside (Roger Bellon)	Enterocantril (Roger Bellon)-comb.		Tendalin (Nihon Yakuhin)
	Colibantil (Tosi-Novara)	Gastropidil (Fabo)		Trancolon (Fujisawa)
			USA:	Cantil (Hoechst Marion Roussel)

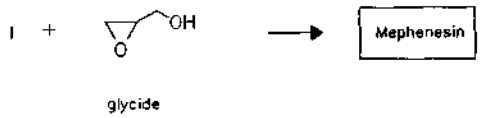
Mephenesin

ATC: M03BX06
Use: muscle relaxant

RN: 59-47-2 MF: $C_{10}H_{14}O_3$ MW: 182.22 EINECS: 200-427-4
LD_{50}: 175 mg/kg (M, i.v.); 720 mg/kg (M, p.o.);
133 mg/kg (R, i.v.); 625 mg/kg (R, p.o.)
CN: 3-(2-methylphenoxy)-1,2-propanediol



(b)



Reference(s):

a Marie, E.R.: J. Chem. Soc. (JCSOA9) **101**, 310 (1912).
b GB 628 497 (British Drug Houses; appl. 1948).

Formulation(s): drg. 250 mg; tabl. 500 mg

Trade Name(s):

D: Dolo Visano (Kade)
F: Algipan Baume (Darcy)-comb.
Décontractyl (Synthelabo)-comb.
Traumalgyl (Pharmadéveloppement)-comb.
GB: Myanesin (Duncan, Flockhart); wfm
I: Mefenesina (Tariff. Integrativo)
Relaxar (Bouty)
Relaxar Linimento (Bouty)-comb.
J: Curaresin (Kyoto)
Myanol (Chugai)
Myoserol (Sankyo)
USA: Tolserol (Squibb); wfm

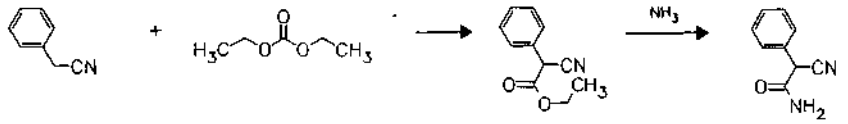
Mephenytoin

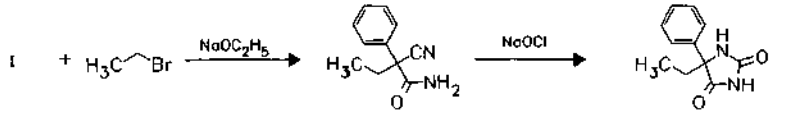
(Methoin)

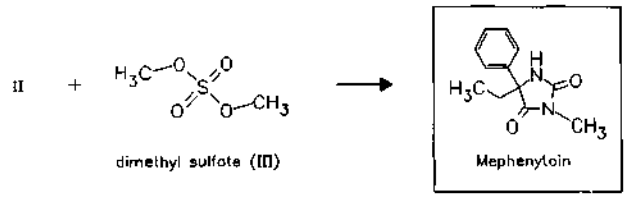
ATC: N03AB04
Use: antiepileptic, anticonvulsant

RN: 50-12-4 MF: $C_{12}H_{14}N_2O_2$ MW: 218.26 EINECS: 200-012-8
LD_{50}: 440 mg/kg (M, p.o.);
850 mg/kg (R, p.o.)
CN: 5-ethyl-3-methyl-5-phenyl-2,4-imidazolidinedione

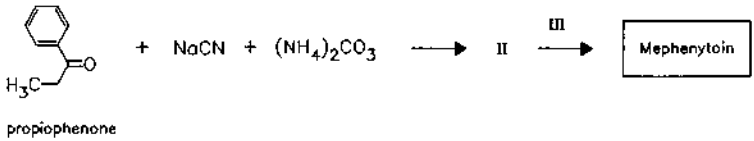
(a)







(b)



propiophenone

Reference(s):
Ehrhart-Ruschig, Vol. **1**, 196.
DRP 309 508 (Chem. Fabrik von Heyden; appl. 1914).
FR 769 667 (Sandoz; 1934).

Formulation(s): tabl. 100 mg

Trade Name(s):

D:	Mesantoin (Sandoz); wfm	GB:	Mesantoin (Sandoz); wfm	USA:	Mesantoin (Sandoz); wfm
F:	Sédantoinal (Sandoz); wfm	I:	Mesantoina (Sandoz); wfm		

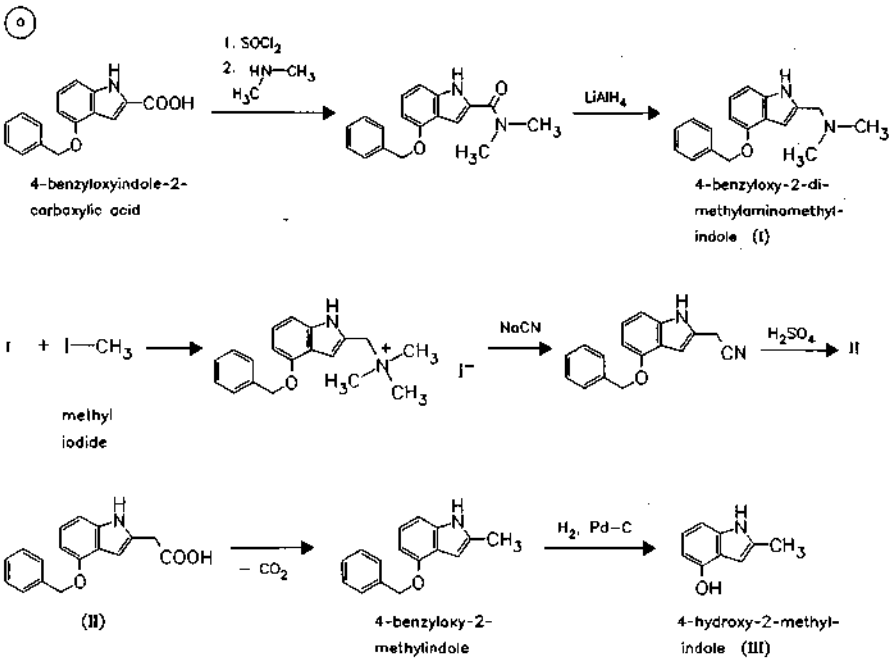
Mepindolol

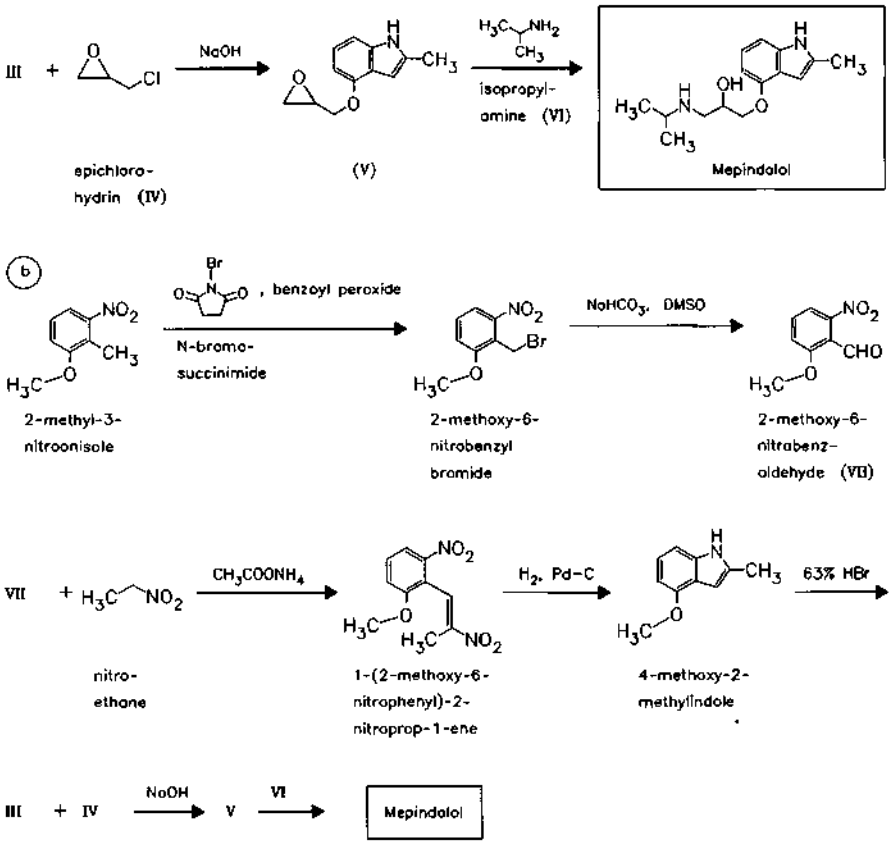
ATC: C07AA14
Use: beta blocking agent, antianginal

RN: 23694-81-7 MF: $C_{15}H_{22}N_2O_2$ MW: 262.35 EINECS: 245-831-1
CN: 1-[(1-methylethyl)amino]-3-[(2-methyl-1*H*-indol-4-yl)oxy]-2-propanol

sulfate
RN: 56396-94-2 MF: $C_{30}H_{44}N_4O_4 \cdot H_2SO_4$ MW: 622.78

(o)





Reference(s):

a GB 1 260 907 (Sandoz; appl. 23.5.1969; BR-prior. 7.6.1968).
Seemann, F. et al.: Helv. Chim. Acta (HCACAV) **54**, 2411 (1971).

b DOS 2 905 054 (Schering AG; appl. 8.2.1979).

combination with hydrochlorothiazide:
DOS 3 027 392 (Schering AG; appl. 17.7.1980).

Formulation(s): f. c. tabl. 2.5 mg, 5 mg (as sulfate)

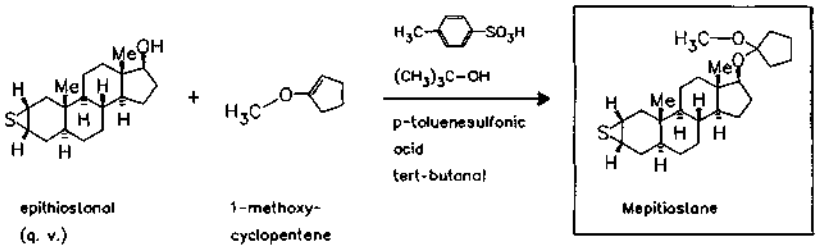
Trade Name(s):

D:	Corindocomb (Schering)-comb. with hydrochlorothiazide	Corindolan (Schering; as sulfate)	I:	Betagon (Schering; as sulfate) Mepicor (Corvi; as sulfate)

Mepitiostane

ATC: L02BA
Use: antiestrogen, antineoplastic

RN: 21362-69-6 MF: $C_{25}H_{40}O_2S$ MW: 404.66
CN: (2α,3α,5α,17β)-2,3-epithio-17-[(1-methoxycyclopentyl)oxy]androstane



Reference(s):
DE 1 668 659 (Shionogi; appl. 27.1.1968; J-prior. 28.1.1967).
US 3 567 713 (Shionogi; 2.3.1971; appl. 26.1.1968; J-prior. 28.1.1967).

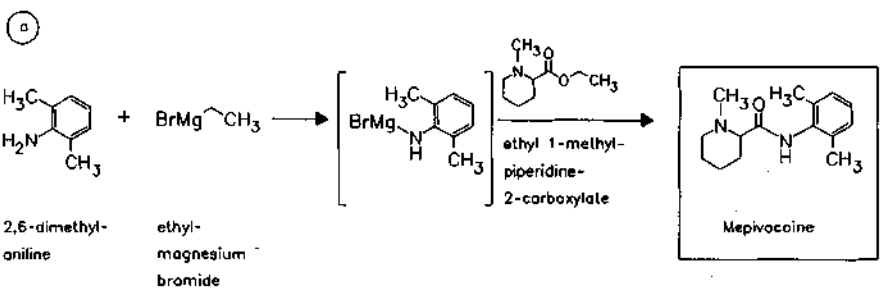
Trade Name(s):
J: Thioderon (Shionogi; 1979)

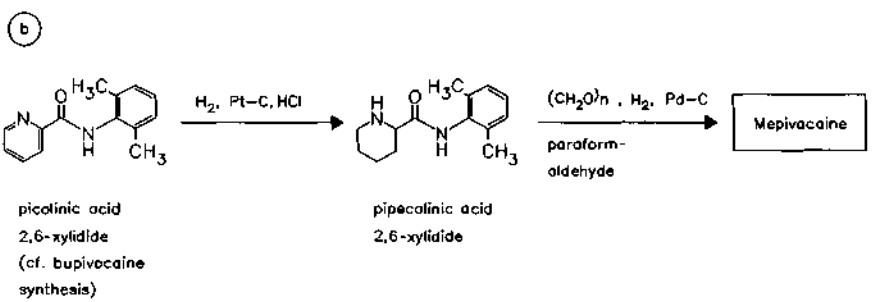
Mepivacaine

ATC: N01BB03
Use: local anesthetic

RN: 22801-44-1 MF: $C_{15}H_{22}N_2O$ MW: 246.35
CN: (±)-*N*-(2,6-dimethylphenyl)-1-methyl-2-piperidinecarboxamide

monohydrochloride
RN: 1722-62-9 MF: $C_{15}H_{22}N_2O \cdot HCl$ MW: 282.82 EINECS: 217-023-9
LD_{50}: 32 mg/kg (M, i.v.)





Reference(s):

a US 2 799 679 (AB Bofors; 1957; S-prior. 1955).
Ekenstam, B. af et al.: Acta Chem. Scand. (ACHSE7) **11**, 1183 (1957).
Rinderknecht, H.: Helv. Chim. Acta (HCACAV) **42**, 1324 (1959).

b DOS 2 726 200 (Bofors; appl. 10.6.1977; S-prior. 22.6.1976).
US 4 110 331 (Bofors; 29.8.1978; S-prior. 22.6.1976).

analogous method with methylation before hydrogenation of pyridine nucleus:
GB 826 668 (Crookes Labs.; appl. 1955).

D-(–)-mepivacaine:
DOS 2 259 517 (Bofors; appl. 5.12.1972; USA-prior. 6.12.1971).

Formulation(s): amp. 0.5 %, 1 %, 2 %, 3 %, 4 % (as hydrochloride)

Trade Name(s):

D: Meaverin (Rhône-Poulenc Rorer)
Mecain (curasan)
Mepivastesin (Espe)
Scandicain (Astra)
numerous combination preparations

F: Carbocaine (Astra; as hydrochloride)

GB: Estradurin (Lundbeck)-comb.; wfm

I: Carbocaina (Astra-Simes)
Carbocaina adrenalina (Pierrel)-comb.
Mepident (Parke Davis)
Mepiforan (Bieffe Medital)
Mepimynol (Molteni)
Optocain (Bayer)

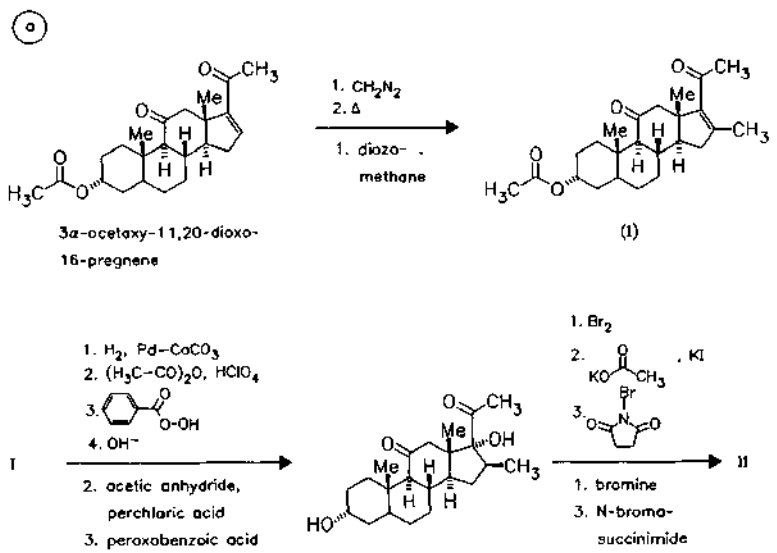
J: Carbocain (Yoshitomi)

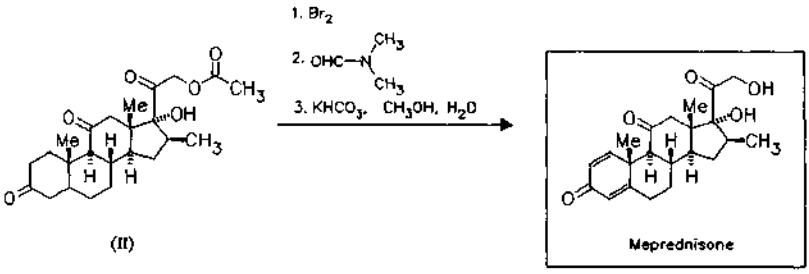
USA: Polocaine (Astra; as hydrochloride)

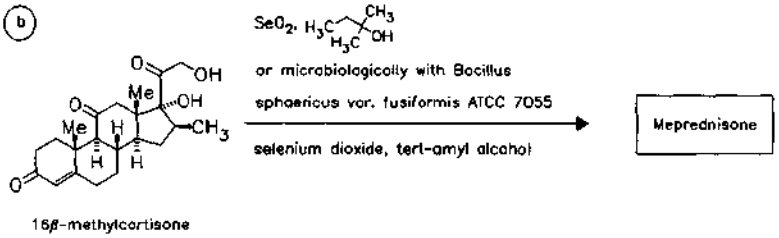
Meprednisone

ATC: H02AB15
Use: glucocorticoid

RN: 1247-42-3 MF: $C_{22}H_{28}O_5$ MW: 372.46 EINECS: 214-996-1
CN: (16β)-17,21-dihydroxy-16-methylpregna-1,4-diene-3,11,20-trione







Reference(s):
US 3 164 618 (Schering Corp., 5.1.1965; prior. 23.7.1957, 8.5.1958).
Taub, D. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 4012 (1960); **80**, 4435 (1958).
Oliveto, E.P. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 4428 (1958).

starting material for **a**:
Slates, H.L.; Wandler, N.L.: J. Org. Chem. (JOCEAH) **22**, 498 (1957).
US 2 671 794 (Glidden; 1954; prior. 1950, 1949).

alternative syntheses:
from hecogenin:
Nathansohn, G.B. et al.: Experientia (EXPEAM) **17**, 448 (1961).

from sitosterin:
US 4 041 055 (Upjohn; 9.8.1977; prior. 17.11.1975).

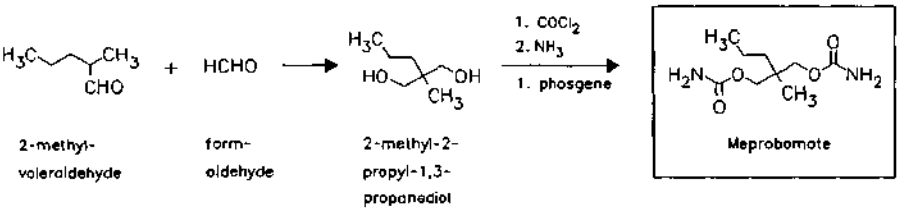
Trade Name(s):

F:	Betalone (Lepetit); wfm	Policort (Lepetit)-comb.; wfm	USA:	Betapar (Parke Davis); wfm
I:	Corti-Bi (Sidus); wfm			Betapred (Schering); wfm

Meprobamate

ATC: N05BC01
Use: tranquilizer

RN: 57-53-4 MF: $C_9H_{18}N_2O_4$ MW: 218.25 EINECS: 200-337-5
LD_{50}: 230 mg/kg (M, i.v.); 750 mg/kg (M, p.o.);
350 mg/kg (R, i.v.); 794 mg/kg (R, p.o.)
CN: 2-methyl-2-propyl-1,3-propanediol dicarbamate



Reference(s):
US 2 724 720 (Carter Products; 1955; prior. 1953).
Ludwig, B.J.; Piech, E.C.: J. Am. Chem. Soc. (JACSAT) **73**, 5779 (1951).

Formulation(s): f. c. tabl. 200 mg, 400 mg

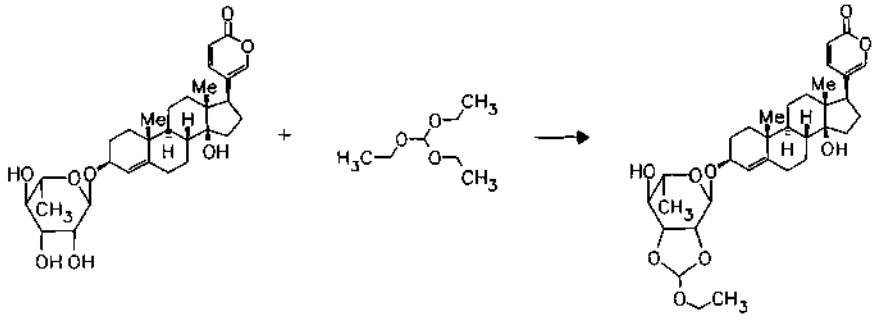
Trade Name(s):

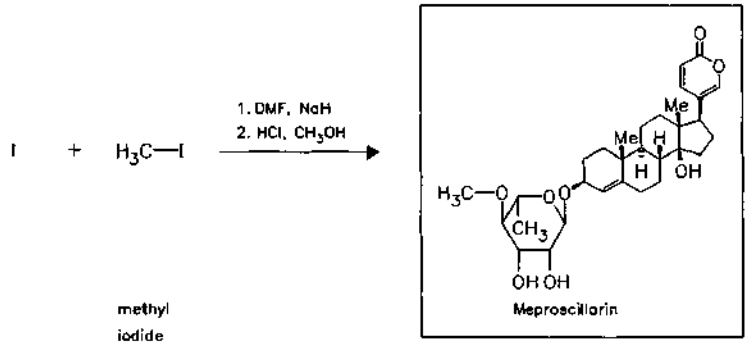
D:	Meprobamat Saar (Philopharm)		generics and numerous combination preparations		Harmonin (Yoshitomi)
	Visano (Kade)	GB:	Equagesic (Wyeth)-comb.		Mepron (Choseido)
F:	Equanil (Sanofi Winthrop)	I:	Meprob (Tariff. Nazionale)		Mepron (Kanto)
	Meprobamate Richard (Richard)		Quanil (Wyeth)		Xalogen (Ono)
		J:	Atraxin (Daiichi)	USA:	Equagesic (Wyeth-Ayerst)
	Novalm (LDM Santé)		Erina (Sumitomo)		Equanil (Wyeth-Ayerst)
					Miltown (Wallace)

Meproscillarin
(Rambufaside; Meproscillaridin)

ATC: C01AB
Use: cardiac glycoside

RN: 33396-37-1 MF: $C_{31}H_{44}O_8$ MW: 544.69 EINECS: 251-493-6
LD_{50}: 2800 µg/kg (M, i.v.); 12.5 mg/kg (M, p.o.);
5800 µg/kg (R, i.v.); 79 mg/kg (R, p.o.)
CN: (3β)-3-[(6-deoxy-4-*O*-methyl-α-L-mannopyranosyl)oxy]-14-hydroxybufa-4,20,22-trienolide





Reference(s):
DOS 2 301 382 (Knoll; appl. 12.1.1973).
DOS 2 427 976 (Knoll; appl. 10.6.1974).
Kubinyi, H.: Arzneim.-Forsch. (ARZNAD) **28** (I), 491 (1978).

alternative syntheses:
DE 1 910 207 (Knoll; appl. 28.2.1969).
Kubinyi, H.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **304**, 531 (1971).

combination with verapamil:
DOS 2 746 881 (BASF; appl. 19.10.1977).

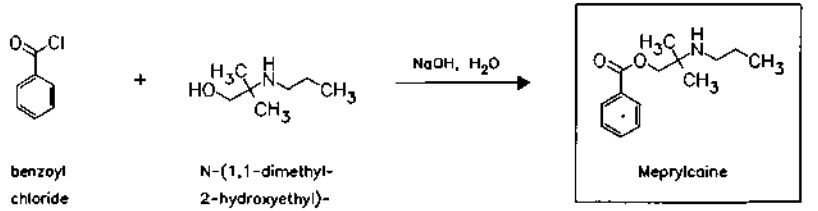
Formulation(s): tabl. 0.25 mg

Trade Name(s):
D: Clift (Knoll); wfm

Meprylcaine

ATC: N01B
Use: local anesthetic

RN: 495-70-5 MF: $C_{14}H_{21}NO_2$ MW: 235.33
CN: 2-methyl-2-(propylamino)-1-propanol benzoate (ester)



Reference(s):
US 2 421 129 (Oradent Chem.; 1947; prior. 1944).

local anesthetic effective injection solution:
US 2 767 207 (Mizzy Inc.; 1956; prior. 1953).

Formulation(s): cream, gel, sol.

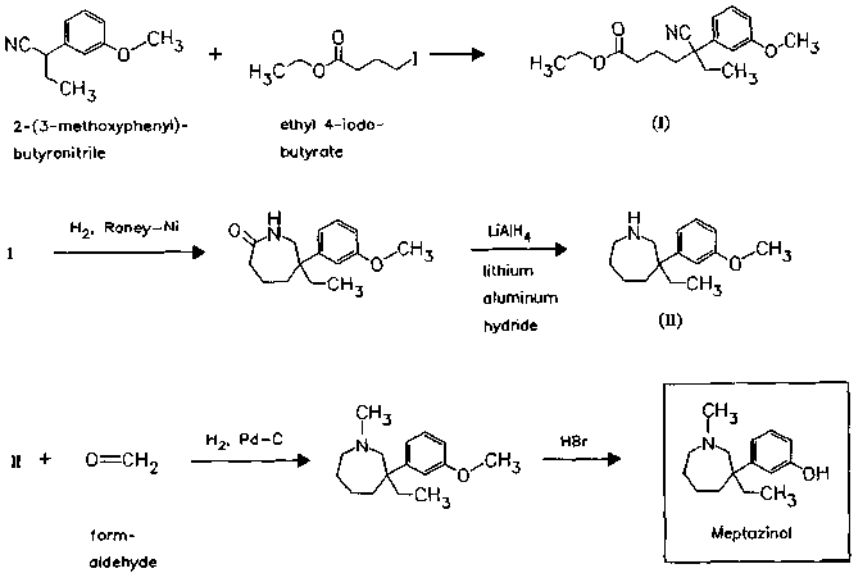
Trade Name(s):
J: Epirocain (Eisai); wfm USA: Oracaine (Mizzy); wfm

Meptazinol

ATC: N02AX
Use: narcotic, analgesic

RN: 54340-58-8 MF: $C_{15}H_{23}NO$ MW: 233.36 EINECS: 259-109-9
CN: 3-(3-ethylhexahydro-1-methyl-1*H*-azepin-3-yl)phenol

hydrochloride
RN: 59263-76-2 MF: $C_{15}H_{23}NO \cdot HCl$ MW: 269.82 EINECS: 261-683-0
LD_{50}: 282 mg/kg (M, p.o.);
1260 mg/kg (R, p.o.)



Reference(s):
DOS 1 941 534 (Wyeth; appl. 14.8.1969; GB-prior. 16.8.1968, 4.9.1968, 28.1.1969).
GB 1 285 025 (Wyeth; Complete Specification 12.8.1969; prior. 16.8.1968, 4.9.1968, 28.1.1969).

alternative syntheses:
Bradley, G. et al.: Eur. J. Med. Chem. (EJMCA5) **15**, 375 (1980).

synthesis of enantiomers:
DOS 2 105 463 (Wyeth; appl. 5.2.1971; GB-prior. 6.2.1970).

combination with ibuprofen:
EP 99 186 (Wyeth; appl. 18.6.1983; GB-prior. 8.7.1982).

Formulation(s): amp. 100 mg/ml; tabl. 200 mg (as hydrochloride)

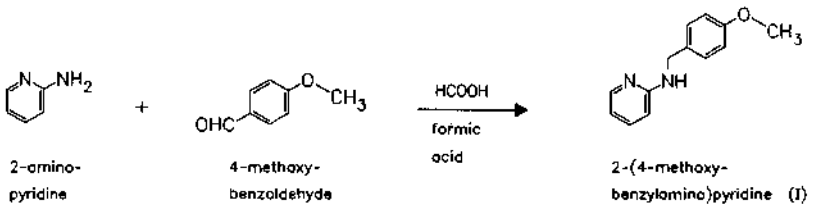
Trade Name(s):
D: Meptid (Wyeth; as hydrochloride)
GB: Meptid (Monmouth)

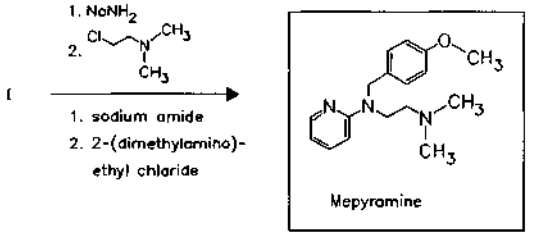
Mepyramine
(Pyrilamine)

ATC: D04AA02; R06AC01
Use: antihistaminic

RN: 91-84-9 MF: $C_{17}H_{23}N_3O$ MW: 285.39 EINECS: 202-102-2
LD_{50}: 23 mg/kg (M, i.v.); 220 mg/kg (M, p.o.);
950 mg/kg (R, p.o.)
CN: *N*-[(4-methoxyphenyl)methyl]-*N'*,*N'*-dimethyl-*N*-2-pyridinyl-1,2-ethanediamine

monohydrochloride
RN: 6036-95-9 MF: $C_{17}H_{23}N_3O \cdot HCl$ MW: 321.85 EINECS: 227-920-7
LD_{50}: 25 mg/kg (M, i.v.); 325 mg/kg (M, p.o.)
maleate (1:1)
RN: 59-33-6 MF: $C_{17}H_{23}N_3O \cdot C_4H_4O_4$ MW: 401.46 EINECS: 200-422-7
LD_{50}: 23 mg/kg (M, i.v.); 220 mg/kg (M, p.o.);
513 mg/kg (R, p.o.)





Reference(s):
US 2 502 151 (Rhône-Poulenc; 1950; F-prior. 1943).

Formulation(s): amp. 15 mg, 25 mg; cream 2 %; tabl. 25 mg, 100 mg

Trade Name(s):

D: Praecinal (Pfleger)-comb.; wfm
Snup (Karlspharma)-comb.; wfm
Triaminic (Wander)-comb.; wfm
Vistosan A (Pharm-Allergen)-comb.; wfm

F: Nortussine (Norgine Pharma)-comb.
Triaminic (Novartis)-comb.

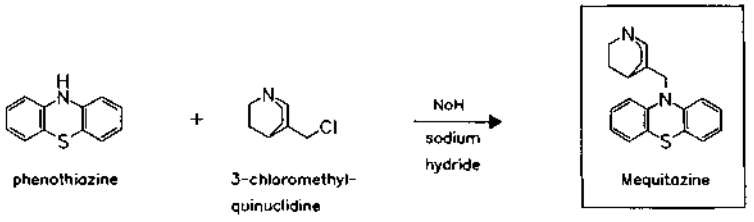
GB: Anthisan (May & Baker); wfm

USA: Atrohist (Medeva; as tannate)-comb.; wfm
Poly-Histine-D (Sanofi; as maleate)-comb.; wfm
Rynatan (Wallace; as tannate)-comb.; wfm
Triaminic (Novartis Consumer)-comb.; wfm
Triotann (Duramed; as tannate)-comb.; wfm

Mequitazine

ATC: R06AD07
Use: antihistaminic, sedative

RN: 29216-28-2 MF: $C_{20}H_{22}N_2S$ MW: 322.48 EINECS: 249-521-7
LD_{50}: 210 mg/kg (M, p.o.);
245 mg/kg (R, p.o.)
CN: 10-(1-azabicyclo[2.2.2]oct-3-ylmethyl)-10*H*-phenothiazine



Reference(s):
DOS 2 009 555 (Sogeras; appl. 28.2.1970; GB-prior. 3.3.1969).
US 3 987 042 (Auclaire; M. et al.; 19.10.1976; prior. 17.8.1973).

Formulation(s): syrup 1.25 mg/ml, 2.5 mg/ml; tabl. 5 mg

Trade Name(s):

D:	Metaplexan (Rhône-Poulenc Rorer; 1977)	GB:	Primalan (Rhône-Poulenc Rorer; 1976)	J:	Nipdazin (Nippon Shoji; 1983)
F:	Butix (Pierre Fabre) Primalan (Inava; 1976)	I:	Primalan (Rhône-Poulenc Rorer; 1985)		

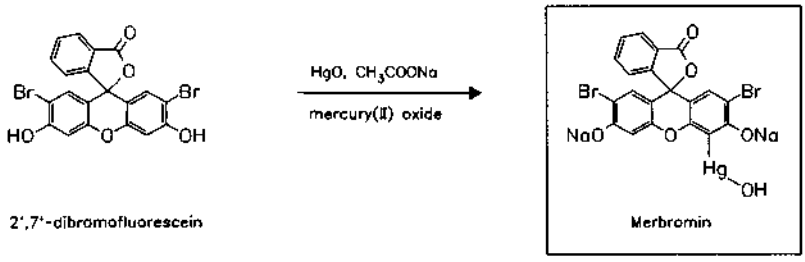
Merbromin

(Mercurochrome)

ATC: D08
Use: antiseptic

RN: 55728-51-3 MF: $C_{20}H_{10}Br_2HgO_6$ MW: 706.69 EINECS: 259-779-2
CN: (2',7'-dibromo-3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3*H*),9'-[9*H*]xanthen]-4'-yl)hydroxymercury

disodium salt
RN: 129-16-8 MF: $C_{20}H_8Br_2HgNa_2O_6$ MW: 750.66 EINECS: 204-933-6
LD_{50}: 50 mg/kg (M, i.v.)



Reference(s):
US 1 535 003 (E. C. White; 1925; prior. 1921).

Formulation(s): sol. 2 %

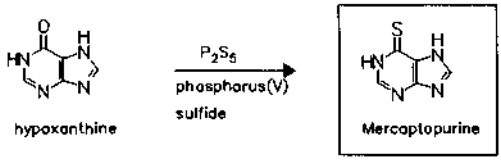
Trade Name(s):

D:	Mercurochrom-Lösung (Krewel Meuselbach)	F:	Pharmadose (Gilbert)
		I:	Mercurocromo (SIT)

Mercaptopurine

ATC: L01BB02
Use: antineoplastic

RN: 50-44-2 MF: $C_5H_4N_4S$ MW: 152.18 EINECS: 200-037-4
LD_{50}: 80 mg/kg (M, i.v.); 260 mg/kg (M, p.o.); 250 mg/kg (R, i.v.)
CN: 1,7-dihydro-6*H*-purine-6-thione



Reference(s):
GB 713 286 (Wellcome Found.; appl. 1951).

alternative syntheses:
US 2 721 866 (Burroughs Wellcome; 1955; appl. 1954).
US 2 724 711 (Burroughs Wellcome; 1955; appl. 1954).
US 2 933 498 (Burroughs Wellcome; 1960; appl. 1954).

Formulation(s): tabl. 50 mg

Trade Name(s):

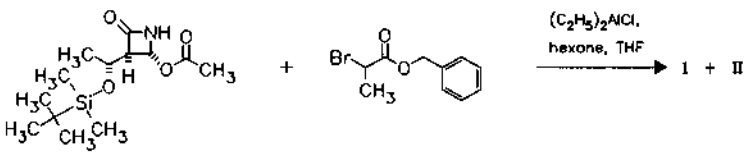
D:	NERCAP (medac)	GB:	Puri-Nethol (Glaxo Wellcome)		Mern (Tanabe)
	Puri-Nethol (Glaxo Wellcome)	I:	Ismipur (Nuovo ISM)		6-MP (Dojin)
F:	Purinéthol (Glaxo Wellcome)		Purinethol (Wellcome)		Thioinosie (Morishita)
		J:	Classen (Nippon Shoji)	USA:	Purinethol (Glaxo Wellcome)
			Leukerin (Takeda)		

Meropenem
(SM-7338; ICI-194660)

ATC: J01DH02
Use: carbapenem, antibiotic

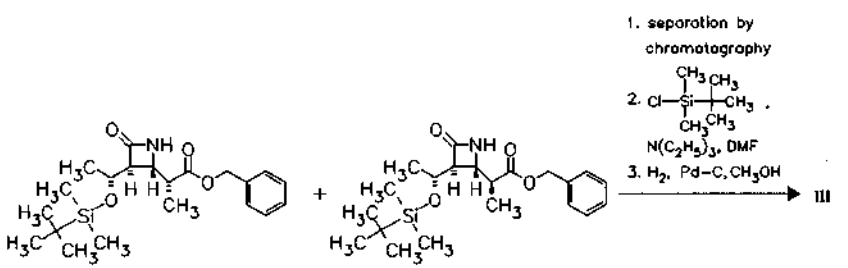
RN: 96036-03-2 MF: $C_{17}H_{25}N_3O_5S$ MW: 383.47
LD_{50}: 2650 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
2850 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: [4*R*-[3(3*S**,5*S**),4α,5β,6β(*R**)]]-3-[[5-[(dimethylamino)carbonyl]-3-pyrrolidinyl]thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid

trihydrate
RN: 119478-56-7 MF: $C_{17}H_{25}N_3O_5S \cdot 3H_2O$ MW: 437.51

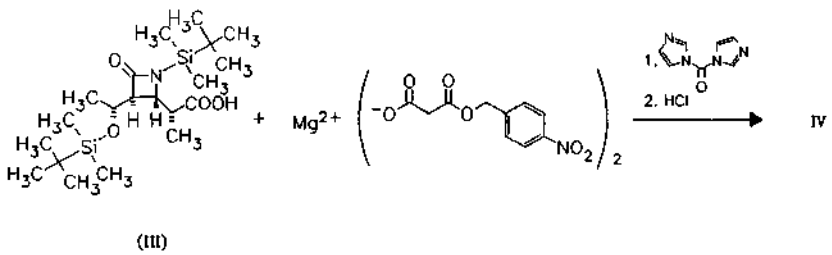


4(R)-acetoxy-3(R)-[1(R)-(tert-butyldimethylsilyloxy)ethyl]-ozetidin-2-one

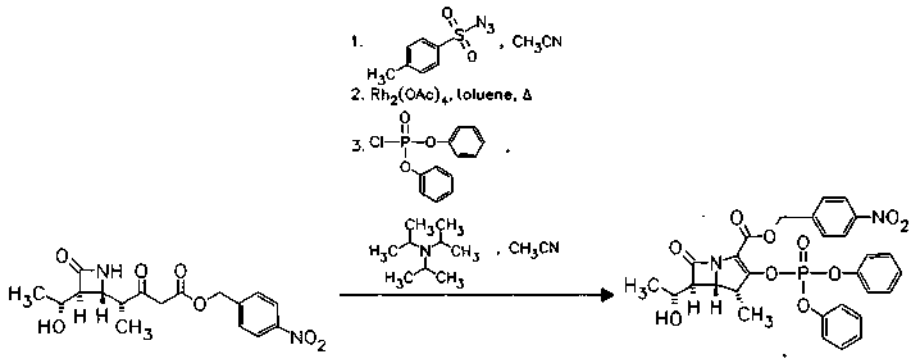
benzyl 2-bromopropionate



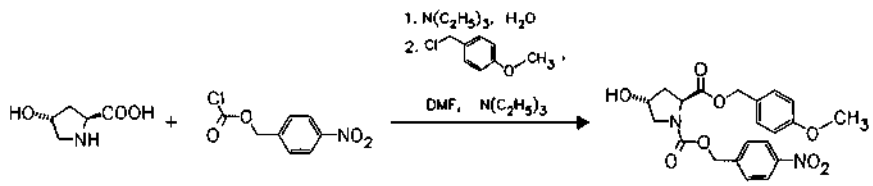
(I) (II)



(III) IV

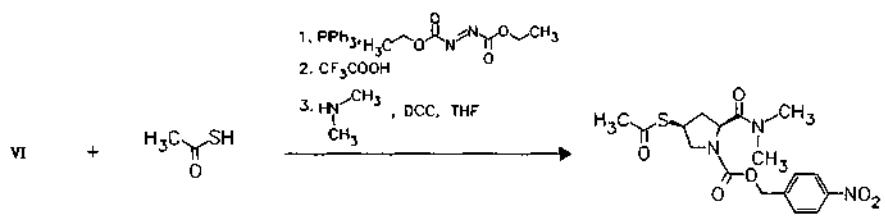


(IV) (V)

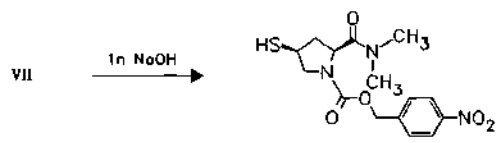


trans-4-hydroxy-L-proline

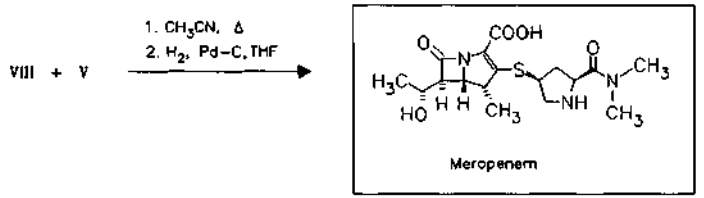
trans-1-(p-nitrobenzyloxycarbonyl)-4-hydroxy-L-proline p-methoxybenzyl ester (VI)



(VII)



cis-4-mercapto-N,N-dimethyl-1-(p-nitrobenzyloxycarbonyl)-L-prolinamide (VIII)



Reference(s):
EP 126 587 (Sumitomo Chemical Co. Ltd; appl. 28.11.1984; J-prior. 9.5.1983, 15.6.1983, 12.7.1983, 3.9.1983, 11.11.1983, 10.2.1984).

synthesis of 4(*R*)-acetoxy-3(*R*)-[1(*R*)-(*tert*-butyldimethylsilyloxy)ethyl]azetidin-2-one:
Reider, P.J. et al.: Tetrahedron Lett. (TELEAY) 2293 (1982).
Kobayashi, Y. et al.: Tetrahedron (TETRAB) **48**, 55 (1992).
EP 256 377 (Sumitomo Pharmaceuticals Co., Ltd; appl. 24.2.1988; J-prior. 30.7.1986, 26.6.1987) (trihydrate).

preparation of β-*lactams:*
JP 01 075 488 (Sumitomo Pharmaceuticals Co., Ltd; appl. 22.3.1989; J-prior. 17.9.1982).
JP 60 233 076 (Sumitomo Chemical Co., Ltd; appl. 19.11.1985; CA-prior. 3.5.1984).

stable ophthalmic oily suspensions containing β-*lactams:*
JP 06 340 529 (Sumitomo Pharma; Santen Pharma Co. Ltd; J-prior. 1.6.1993, 13.12.1994).

stable topical film preparations:
JP 06 001 718 (Sumitomo Pharma; appl. 11.1.1994; J-prior. 17.6.1992).

in combination with penicillin, cephalosporin, penem and carbapenem antibiotics:
EP 640 607 (Hoffmann-La Roche; appl. 1.3.1995; CH-prior. 24.8.1993; 31.5.1994).

synergistic antimicrobial pharmaceutical compositions containing carbapenem *and cephalosporins or penicillins:*
EP 384 410 (Banya Pharmaceuticals Co., Ltd; appl. 29.8.1990; J-prior. 21.2.1989, 14.4.1989).

synergistic effects with human monoclonal antibody:
EP 441 395 (Sumitomo Pharmaceuticals Co., Ltd; appl. 14.8.1991; J-prior. 8.2.1990).

manufacture of sterilized dried sodium carbonate *for pharmaceutical compounds:*
JP 04 198 137 (Sumitomo Pharmaceuticals Co., Ltd; appl. 17.7.1992; J-prior. 28.1.1990).

Formulation(s): amp. 500 mg, 1 g; vial 250 mg, 500 mg, 1000 mg meropenem trihydrate equivalent

Trade Name(s):

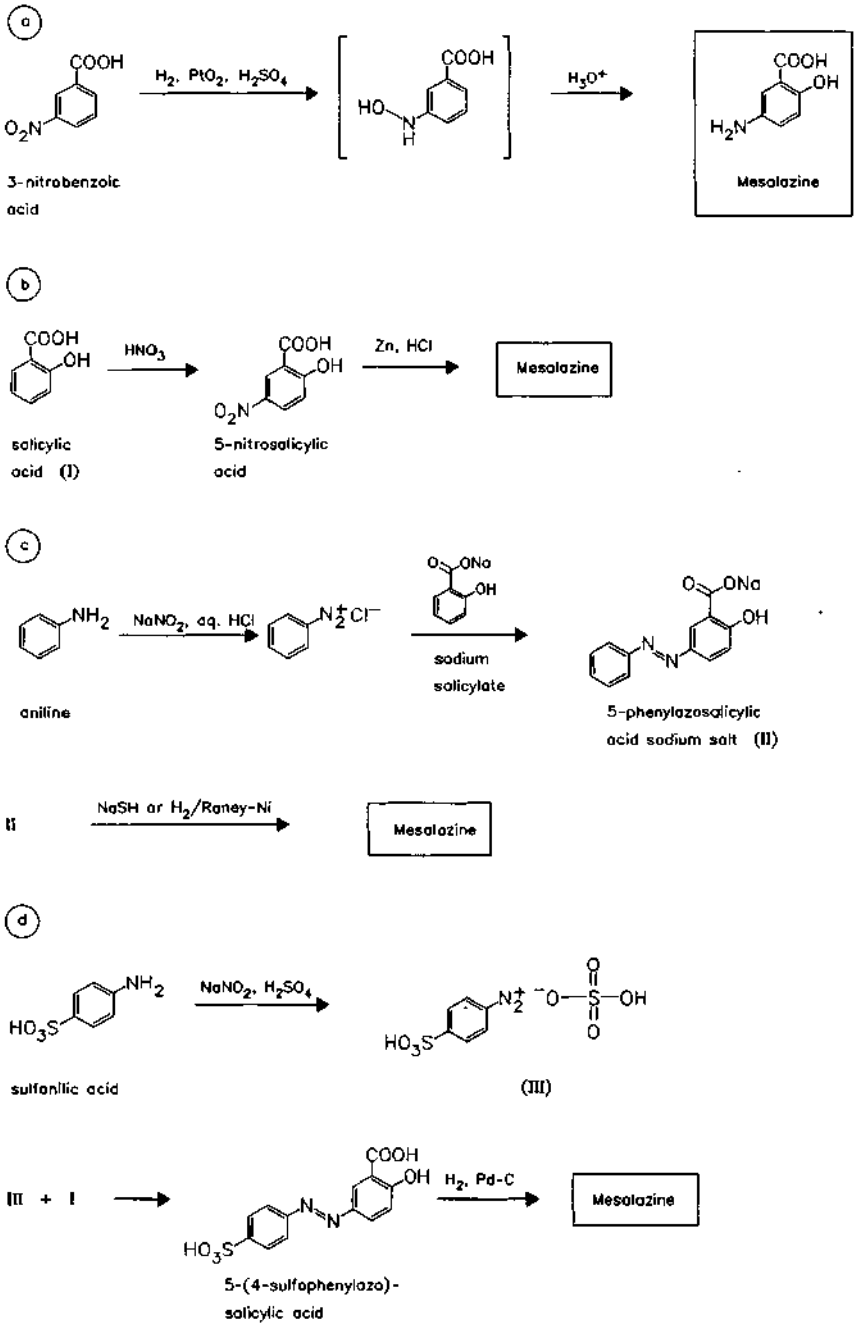
D:	Meronem (Grünenthal; Zeneca)	GB:	Meronem (Zeneca)	J:	Meropen (Sumitomo)
		I:	Merrem (Zeneca); wfm	USA:	Merrem (Zeneca)

Mesalazine

(5-ASA; Fisalamine; Mesalamine)

ATC: A07EC02
Use: treatment of gastrointestinal disorders (ulcerative colitis, Crohn's disease)

RN: 89-57-6 MF: $C_7H_7NO_3$ MW: 153.14 EINECS: 201-919-1
LD_{50}: 681 mg/kg (M, i.p.); 5 g/kg (M, p.o.);
132 mg/kg (R, i.p.); 2800 mg/kg (R, p.o.)
CN: 5-amino-2-hydroxybenzoic acid



Active metabolite of sulfasalazine.

Reference(s):

a US 2 198 249 (Du Pont; 1940; appl. 1938).

b Weil, H. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **55**, 2664 (1922).

c DOS 3 638 364 (Bayer; appl. 11.11.1986).
DD 255 941 (VEB Chem. Pharm. Oranienburg; appl. 24.12.1986).

d EP 253 788 (Nobelkemi; appl. 17.6.1987; S-prior. 7.7.1986).

review:

The Merck Index, 11th Ed., 5806 (Rahway 1989).

medical use for treatment of dermatological disorders:
EP 352 826 (Gist-Brocades; appl. 1.5.1989; N-prior. 5.5.1988).

medical use for treatment of psoriasis:
EP 291 159 (Dak-Lab.; appl. 31.3.1988; GB-prior. 1.4.1987).

medical use for treatment of colitis ulcerosa and Crohn's disease:
WO 8 102 671 (Ferring; appl. 20.3.1980).

medical use for treatment of coronary circulation diseases:
WO 8 903 216 (Ferring; appl. 13.10.1988; DK-prior. 14.10.1987).

soluble pharmaceutical formulations:
DOS 3 151 196 (K. H. Bauer; appl. 23.12.1981).
US 4 664 256 (Ferring; 12.5.1987; prior. 6.9.1983).

controlled-release formulation:
EP 131 485 (Rowell Lab.; appl. 6.6.1984; USA-prior. 7.7.1983).

Formulation(s): rectal susp. 2g/30 ml, 4 g/60 ml; suppos. 250 mg, 500 mg; tabl. 250 mg, 400 mg, 500 mg

Trade Name(s):

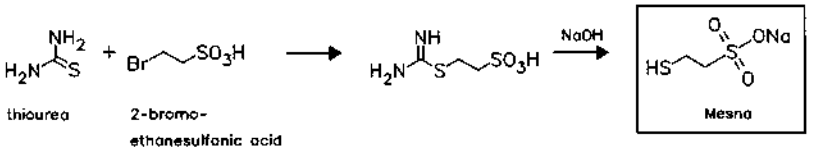
D:	Asacolitin (Henning Berlin) Claversal (Merckle; SmithKline Beecham) Pentasa (Ferring) Salofalk (Falk)	GB:	Asacol (SmithKline Beecham) Pentasa (Yamanouchi) Salofalk (Thames)		Salofalk (Interfalk)
F:	Pentasa (Ferring) Rowasa (Solvay Pharma)	I:	Asacol (Giuliani) Claversal (Smith Kline & French) Pentasa (Brocades)	J: USA:	Pentasa (Kyorin; Nisshin Kyorin) Asacol (Procter & Gamble) Pentasa (Hoechst Marion Roussel) Rowasa (Solvay)

Mesna

ATC: R05CB05; V03AF01
Use: detoxificant, mucolytic agent

RN: 19767-45-4 MF: $C_2H_5NaO_3S_2$ MW: 164.18 EINECS: 243-285-9
LD_{50}: 1720 mg/kg (M, i.v.); 6102 mg/kg (M, p.o.);
1510 mg/kg (R, i.v.); 4440 mg/kg (R, p.o.)
CN: 2-mercaptoethanesulfonic acid

free acid
RN: 3375-50-6 MF: $C_2H_6O_3S_2$ MW: 142.20 EINECS: 222-167-0



Reference(s):
US 2 695 310 (Lever Brothers; 1954; appl. 1951).
Schramm, C.H. et al.; J. Am. Chem. Soc. (JACSAT) **77**, 6231 (1955).
US 3 567 835 (UCB; 2.3.1971; GB-prior. 7.5.1965) - only medical use.

from ethylenesulfide *and* sodium hydrogen sulfite:
Reppe, W.: Justus Liebigs Ann. Chem. (JLACBF) **601**, 127 (1956).

from 2-chloroethanesulfonic acid *and* NaSH:
DRP 619 299 (Henkel; appl. 1933).

detoxicant for therapy with cyclophosphamide *and* ifosfamide:
DAS 2 756 018 (ASTA-Werke; appl. 14.12.1977).

salts with amines (mucolytics):
DAS 1 620 629 (UCB; appl. 5.5.1966; GB-prior. 7.5.1965).

Formulation(s): amp. 100 mg/ml, 600 mg; tabl. 400 mg, 600 mg

Trade Name(s):

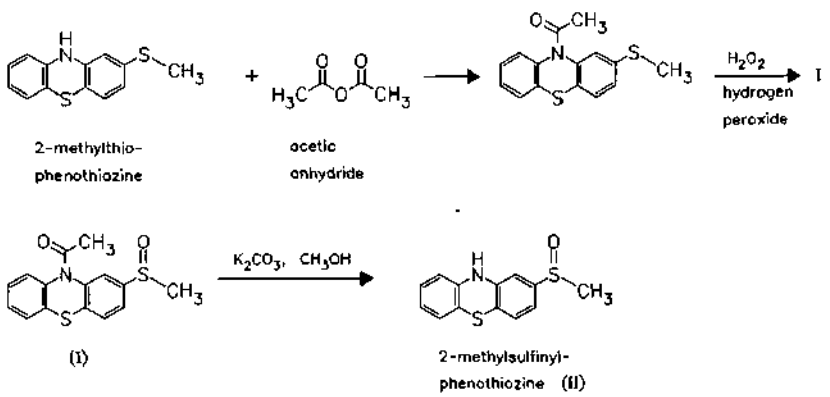
D:	Mistabronco (UCB) Uromitexan (ASTA Medica AWD)	GB:	Urimitexan (ASTA Medica)		Uromitexan (ASTA Medica)
F:	Mucofluid (UCB) Uromitexan 400 (ASTA Medica)	I:	Ausobronc (Biotekfarma) Mucofluid (UCB) Mucolene (Formenti)	J: USA:	Uromitexan (Shionogi) Mesnex (Bristol-Myers Squibb)

Mesoridazine

ATC: N05AC03
Use: psychosedative, antipsychotic

RN: 5588-33-0 MF: $C_{21}H_{26}N_2OS_2$ MW: 386.58
LD_{50}: 26 mg/kg (M, i.v.); 560 mg/kg (M, p.o.);
644 mg/kg (R, p.o.)
CN: 10-[2-(1-methyl-2-piperidinyl)ethyl]-2-(methylsulfinyl)-10*H*-phenothiazine

monobenzenesulfonate
RN: 32672-69-8 MF: $C_{21}H_{26}N_2OS_2 \cdot C_6H_6O_3S$ MW: 544.76



Reference(s):
US 3 084 161 (Sandoz; 2.4.1963; CH-prior. 10.3.1960).

Formulation(s): amp. 25 mg; drg. 5 mg; tabl. 10 mg, 25 mg, 100 mg (as monobenzenesulfonate)

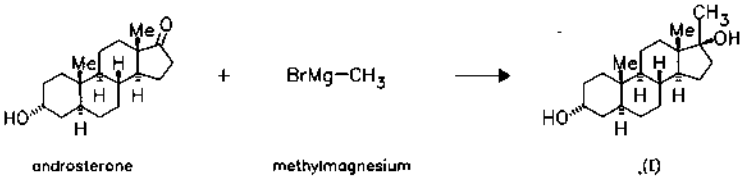
Trade Name(s):
F: Lidanil (Salvoxyl-Wander); USA: Serentil (Boehringer Ing.)
wfm

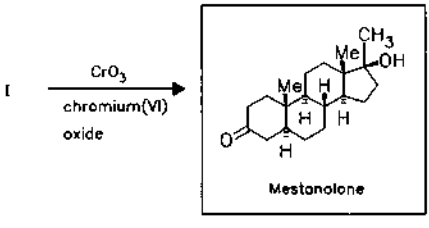
Mestanolone

ATC: A14
Use: anabolic, androgen

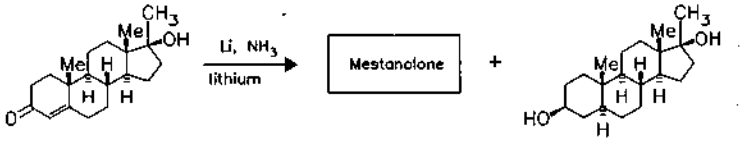
RN: 521-11-9 MF: $C_{20}H_{32}O_2$ MW: 304.47 EINECS: 208-302-6
LD_{50}: >3 g/kg (M, p.o.);
>3 g/kg (R, p.o.)
CN: (5α,17β)-17-hydroxy-17-methylandrostan-3-one

a





b



Reference(s):
a GB 464 396 (Schering AG; appl. 1935).
Ruzicka, L. et al.: Helv. Chim. Acta (HCACAV) **18**, 994, 1487 (1935).
starting material:
The Merck Index, 676 (Rahway 1976).
b US 2 763 670 (Syntex; 1956, MEX-prior. 1954).

Formulation(s): 10 - 30 mg/day

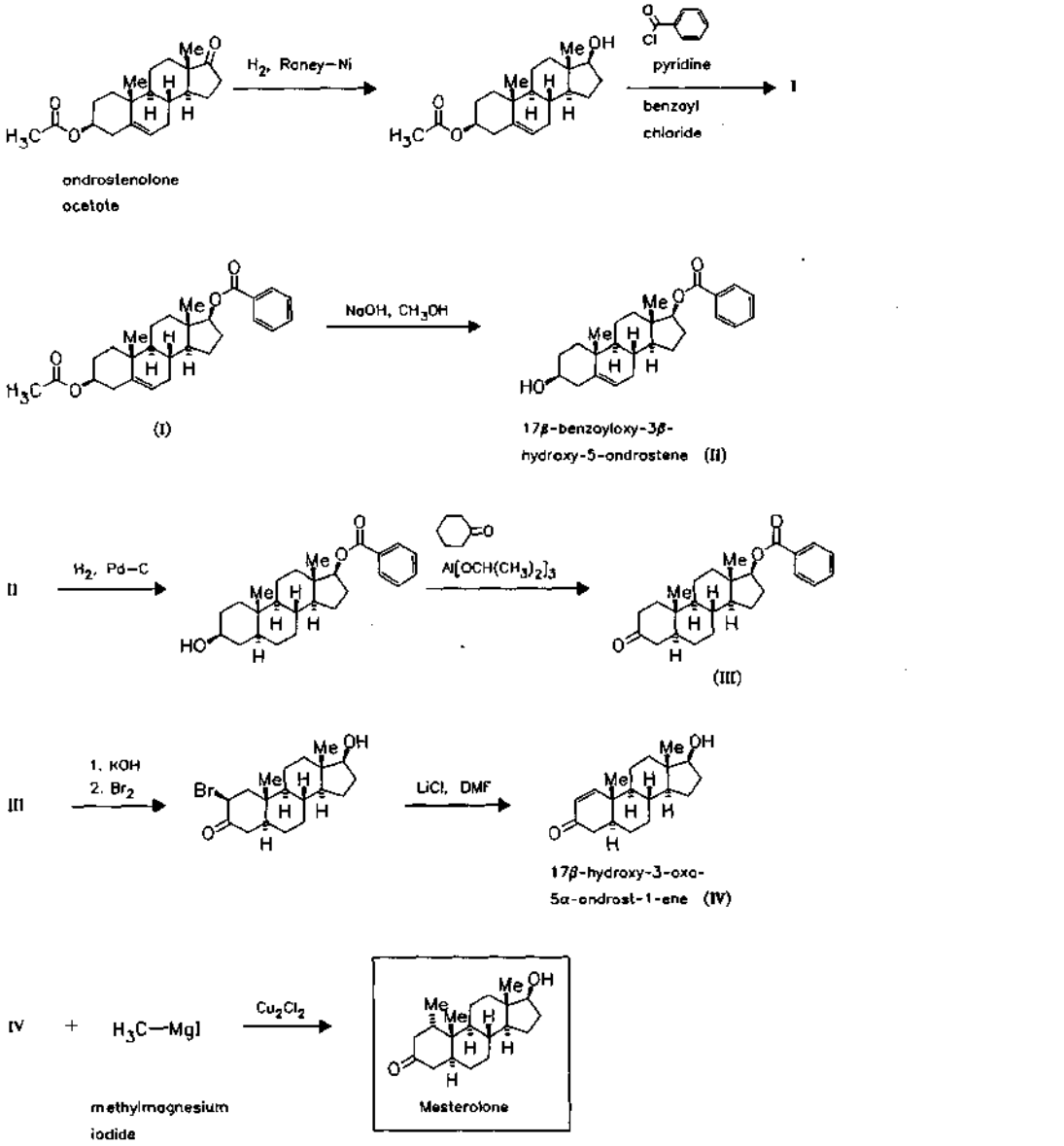
Trade Name(s):

GB:	Androstalone (Roussel); wfm	Methyantalon (Sanwa)	Tantarone (Mohan)
J:	Andoron (Sawai)	Prohormo (Toyo Pharmar)	Yonchlon Syr. (Santen-Yamanouchi)
	Mestalone (Hokuriku)	Protenolon (Showa)	
		Restore (Tokyo Tanabe)	

Mesterolone

ATC: G03BB01
Use: androgen

RN: 1424-00-6 MF: $C_{20}H_{32}O_2$ MW: 304.47 EINECS: 215-836-3
CN: (1α,5α,17β)-17-hydroxy-1-methylandrostan-3-one



Reference(s):

DE 1 152 100 (Schering AG; appl. 23.12.1960).

alternative syntheses:
DE 1 122 944 (Schering AG; appl. 6.4.1960).
DE 1 131 667 (Schering AG; appl. 21.7.1960).

Formulation(s): tabl. 25 mg, 50 mg

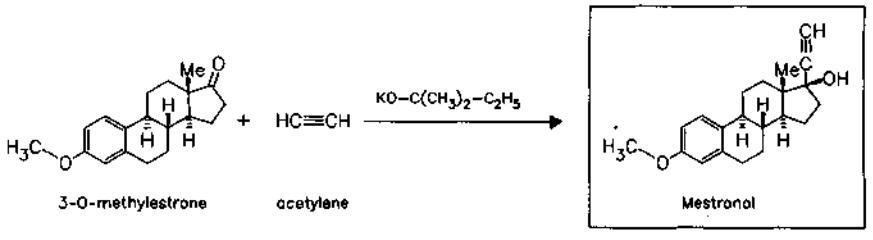
Trade Name(s):

D:	Proviron (Schering) Vistimon (Jenapharm)	F:	Proviron (Schering)	I:	Pro-Viron (Schering)
		GB:	Proviron (Schering)		

Mestranol

ATC: G03
Use: estrogen (in combination with progestogen as oral contraceptiva)

RN: 72-33-3 MF: $C_{21}H_{26}O_2$ MW: 310.44 EINECS: 200-777-8
LD_{50}: >10 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: (17α)-3-methoxy-19-norpregna-1,3,5(10)-trien-20-yn-17-ol



Reference(s):
US 2 666 769 (Searle; 1954; appl. 1952).
Colton, F.B. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 1123 (1957).
DE 1 096 354 (Schering AG; appl. 1.8.1959).

Formulation(s): drg. 0.05 mg, 0.08 mg; tabl. 0.05 mg

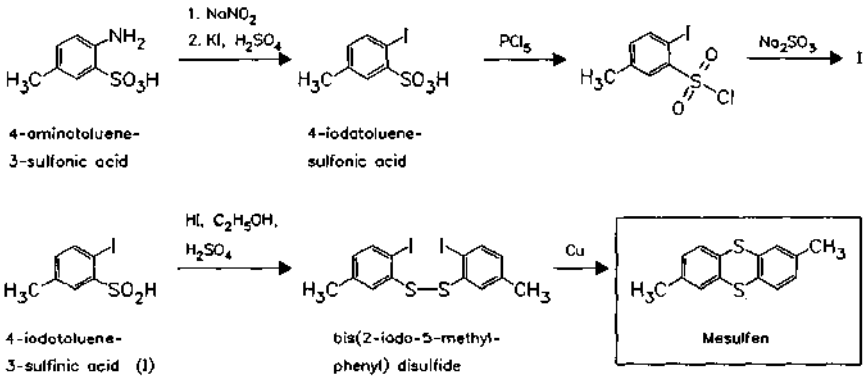
Trade Name(s):

D:	Gestamestrol (Hermal-Chemie)-comb. Ortho-Novum (Janssen-Cilag)-comb. Ovosiston (Jenapharm)	I:	Norinyl-1 (Searle)-comb. Ortho-Novin 1/50 (Janssen-Cilag)-comb. Elan (Valeas)-comb.; wfm Franovul (Francia Farm.)-comb.; wfm Luteolas (Serono)-comb.; wfm Lyndiol (Ravasini Organon)-comb.; wfm Metrulen (SPA)-comb.; wfm Ortho-Novum (Cilag-Chemie)-comb.; wfm Ovaras (Serono)-comb.; wfm	J:	Regovar (Recordati); wfm Devocin (Shionogi) Enavid (Dainippon)-comb. Lutedione (Teikoku Zoki)-comb. Lyndiol (Organon-Sankyo)-comb. Norluten D (Shionogi)-comb.
F:	Métrulène (Searle)-comb.; wfm Métrulène-test (Searle)-comb.; wfm Noracycline (Ciba-Geigy)-comb.; wfm Orgaluton (Organon)-comb.; wfm O.V. 28 (Biosedra)-comb.; wfm			USA:	Nelova (Warner Chilcott)-comb. Norethin (Roberts)-comb. Norinyl (Searle)-comb. Ortho-Novum (Ortho-McNeil)-comb.
GB:	Menophase (Searle)				

Mesulfen
(Thianthol)

ATC: D10AB05; P03AA03
Use: topical scabicide, antipruritic

RN: 135-58-0 MF: $C_{14}H_{12}S_2$ MW: 244.38 EINECS: 205-202-4
CN: 2,7-dimeththianthrene



Reference(s):
Barber, H.J.; Smiles, S.: J. Chem. Soc. (JCSOA9) **1928**, 1141.

alternative synthesis (from toluene, sulfur *and* $AlCl_3$*):*
DE 365 169 (Bayer; appl. 1919).

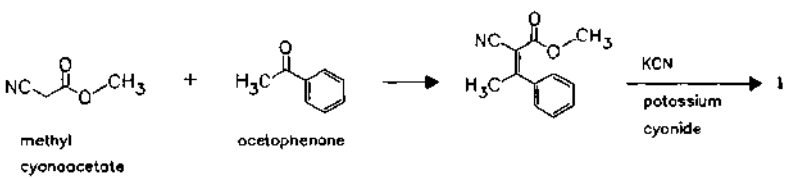
Formulation(s): ointment 5-25 %

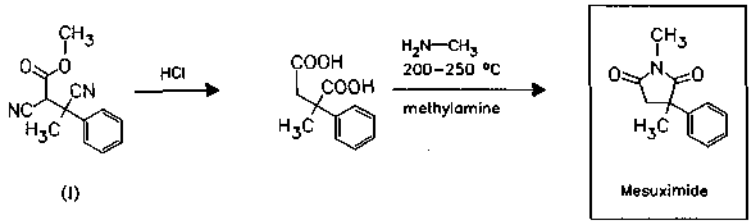
Trade Name(s):
D: Citemul (Medopharm) I: Mitigal (Bayropharm); wfm Mitigal (Sigurtà); wfm
J: Scabol (Daiichi)

Mesuximide
(Methsuximide)

ATC: N03AD03
Use: antiepileptic

RN: 77-41-8 MF: $C_{12}H_{13}NO_2$ MW: 203.24 EINECS: 201-026-7
LD_{50}: 900 mg/kg (M, p.o.)
CN: 1,3-dimethyl-3-phenyl-2,5-pyrrolidinedione





(I)

Reference(s):
US 2 643 257 (Parke Davis; 1953; prior. 1950).
Miller, C.A.; Long, L.M.: J. Am. Chem. Soc. (JACSAT) **73**, 4895 (1951); **75**, 373 (1953).

Formulation(s): cps. 150 mg, 300 mg

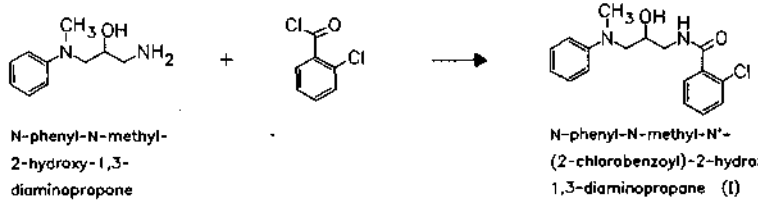
Trade Name(s):
D: Petinutin (Parke Davis) GB: Celontin (Parke Davis); wfm USA: Celontin (Parke Davis)

Metaclazepam
(Brometazepam; Metuclazepam)

ATC: N05BA
Use: anxiolytic, benzodiazepine derivative

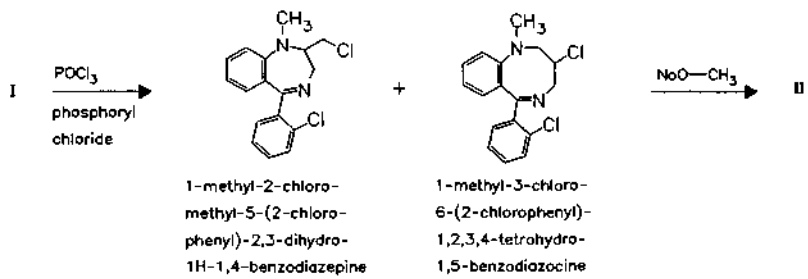
RN: 84031-17-4 MF: $C_{18}H_{18}BrClN_2O$ MW: 393.71
LD_{50}: 1578 mg/kg (M, p.o.)
CN: 7-bromo-5-(2-chlorophenyl)-2,3-dihydro-2-(methoxymethyl)-1-methyl-1*H*-1,4-benzodiazepine

monohydrochloride
RN: 61802-93-5 MF: $C_{18}H_{18}BrClN_2O \cdot HCl$ MW: 430.17 EINECS: 263-234-4
LD_{50}: 1578 mg/kg (M, p.o.)



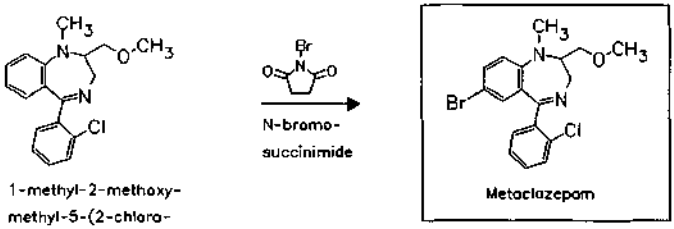
N-phenyl-N-methyl-2-hydroxy-1,3-diaminopropone

N-phenyl-N-methyl-N'-(2-chlorobenzoyl)-2-hydroxy-1,3-diaminopropane (I)



1-methyl-2-chloro-methyl-5-(2-chloro-phenyl)-2,3-dihydro-1H-1,4-benzodiazepine

1-methyl-3-chloro-6-(2-chlorophenyl)-1,2,3,4-tetrahydro-1,5-benzodiazocine



1-methyl-2-methoxy-methyl-5-(2-chloro-phenyl)-2,3-dihydro-1H-1,4-benzodiazepine (II)

Reference(s):
BE 799 001 (Kali-Chemie; appl. 2.5.1973; D-prior. 3.5.1972).
DOS 2 520 937 (Kali-Chemie; appl. 10.5.1975).
US 4 098 786 (Kali-Chemie; 4.7.1978; appl. 23.9.1976; D-prior. 3.5.1972).
US 4 244 869 (Kali-Chemie; 13.1.1981; D-prior. 3.5.1972, 10.5.1975).
Liepmann, H. et al.: Eur. J. Med. Chem. (EJMCA5) **11**, 501 (1976).

medical use as analgesic:
EP 96 320 (Kali-Chemie; appl. 28.5.1983; D-prior. 5.6.1982).

Formulation(s): drops 10 mg/ml; tabl. 5 mg, 10 mg (as hydrochloride)

Trade Name(s):
D: Talis (Kali-Chemie; 1990);
wfm

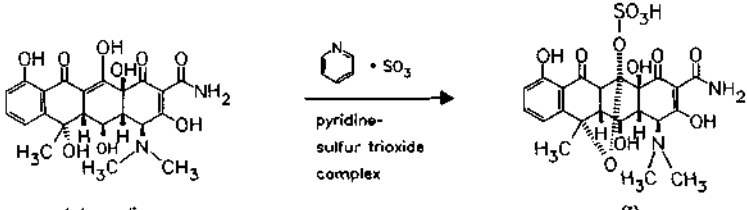
Metacycline

(Methacycline; Méthylènecycline)

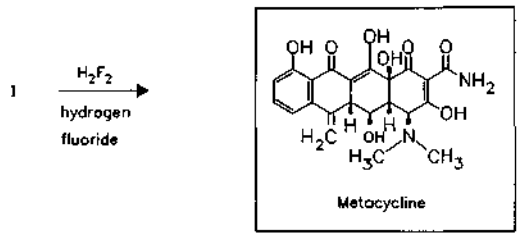
ATC: J01AA05
Use: antibiotic

RN: 914-00-1 MF: $C_{22}H_{22}N_2O_8$ MW: 442.42 EINECS: 213-017-5
LD_{50}: 660 mg/kg (R, i.p.)
CN: [4*S*-(4α,4aα,5α,5aα,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-6-methylene-1,11-dioxo-2-naphthacenecarboxamide

monohydrochloride
RN: 3963-95-9 MF: $C_{22}H_{22}N_2O_8 \cdot HCl$ MW: 478.89 EINECS: 223-568-3
LD_{50}: 193 mg/kg (M, i.v.); 3450 mg/kg (M, p.o.);
202 mg/kg (R, i.v.); >2 g/kg (R, p.o.)



oxytetracycline (I)



Reference(s):
US 2 984 686 (Pfizer; 16.5.1961; appl. 19.12.1960).
US 3 026 354 (Pfizer; 20.3.1962; prior. 15.12.1960).
cf. also doxycycline

Formulation(s): cps. 150 mg, 300 mg; drops 100 mg; susp. 100 mg (as hydrochloride)

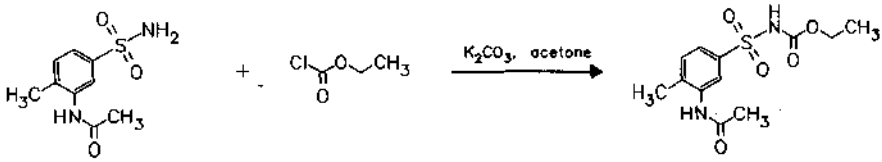
Trade Name(s):

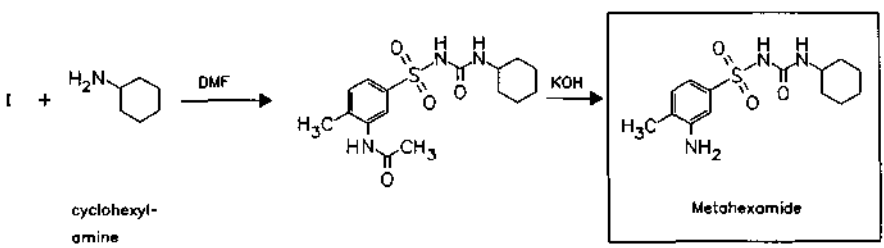
D:	Rondo-Bron (Mack)-comb.; wfm	GB:	Physiomycine (Laphal)	J:	Adramycin (Sanko)
	Rondo-Bron (Mack)-comb. with guaiphenesin; wfm		Rondomycin (Pfizer); wfm		Rondomycin (Taito Pfizer)
	Rondomycin (Mack); wfm	I:	Esarondil (Terapeutico M.R.)	USA:	Rondomycin (Wallace); wfm
F:	Lysocline (Parke Davis)		Rotilen (Terapeutico Mil.)		
			Stafilon (AGIPS)		

Metahexamide

ATC: A10BB10
Use: antidiabetic

RN: 565-33-3 MF: $C_{14}H_{21}N_3O_3S$ MW: 311.41 EINECS: 209-276-9
CN: 3-amino-*N*-[(cyclohexylamino)carbonyl]-4-methylbenzenesulfonamide





Reference(s):
GB 831 043 (Boehringer Mannh.; appl. 1958; D-prior. 1957).

Formulation(s): tabl. 100 mg

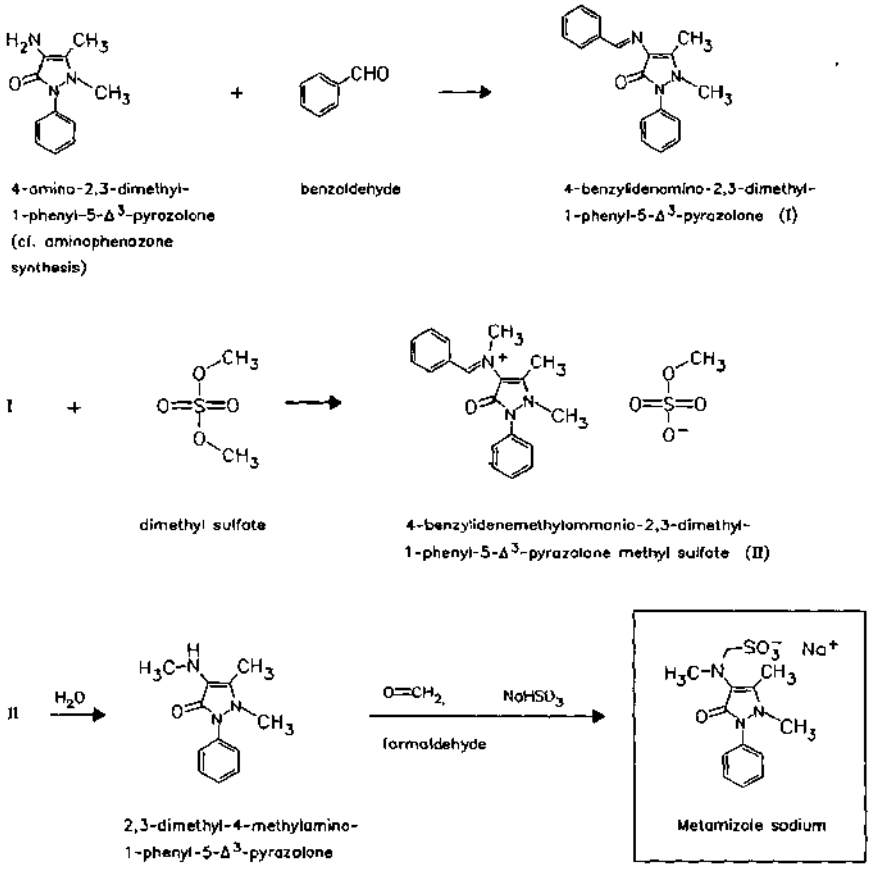
Trade Name(s):
F: Isodiane (Servier); wfm

Metamizole sodium

ATC: N02BB02
Use: analgesic, antipyretic, anti-inflammatory

RN: 68-89-3 MF: $C_{13}H_{16}N_3NaO_4S$ MW: 333.34 EINECS: 200-694-7
LD_{50}: 2197 mg/kg (M, i.v.); 2891 mg/kg (M, p.o.);
2182 mg/kg (R, i.v.); 3 g/kg (R, p.o.)
CN: [(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1*H*-pyrazol-4-yl)methylamino]methanesulfonic acid sodium salt

monohydrate
RN: 5907-38-0 MF: $C_{13}H_{16}N_3NaO_4S \cdot H_2O$ MW: 351.36
metamizole
RN: 50567-35-6 MF: $C_{13}H_{17}N_3O_4S$ MW: 311.36 EINECS: 256-627-7



Reference(s):
Ehrhart, Ruschig, I, 171.
DRP 476 663 (I.G. Farben; 1922).
DRP 421 505 (I.G. Farben; appl. 1920).
DRP 467 627 (I.G. Farben; appl. 1921).
DRP 476 643 (I.G. Farben; appl. 1921).

Formulation(s): amp. 1 g, 2.5 g, 5 g; drops 500 mg; f. c. tabl. 500 mg; suppos. 300 mg, 750 mg, 1 g; syrup 250 mg

Trade Name(s):

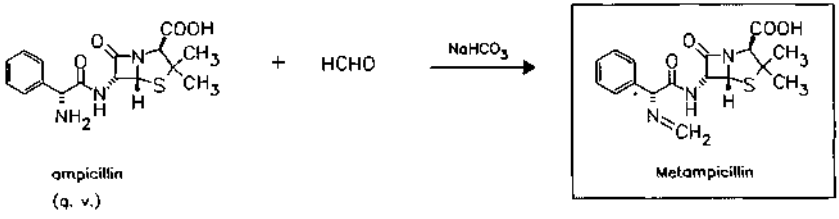
D:	Novalgin (Hoechst) Novaminsulfon (Braun Melsungen; Lichtenstein; ratiopharm) Novaminsulfon-ratiopharm (ratiopharm)	F:	Novalgine (Hoechst) Pyréthane (Gerda) combination preparations	J:	Sulpylon (Hokuriku) Sulpyna (Kanto)
		I:	Novalgina (Hoechst-I)-comb. Trisalgina (Molteni)-comb.	USA:	Novaldin (Winthrop); wfm

Metampicillin

ATC: J01CA14
Use: antibiotic

RN: 6489-97-0 MF: $C_{17}H_{19}N_3O_4S$ MW: 361.42 EINECS: 229-365-6
CN: [2*S*-[2α,5α,6β(*S**)]]-3,3-dimethyl-6-[[(methyleneamino)phenylacetyl]amino]-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 6489-61-8 MF: $C_{17}H_{18}N_3NaO_4S$ MW: 383.40



Reference(s):
GB 1 081 093 (Soc. d'Etudes de Recherche et d'Applicat. Scientifiques et Medicals; appl. 17.3.1964; valid from 12.3.1965).

Formulation(s): amp. 250 mg, 500 mg, 1 g; cps. 250 mg, 500 mg (as sodium salt)

Trade Name(s):

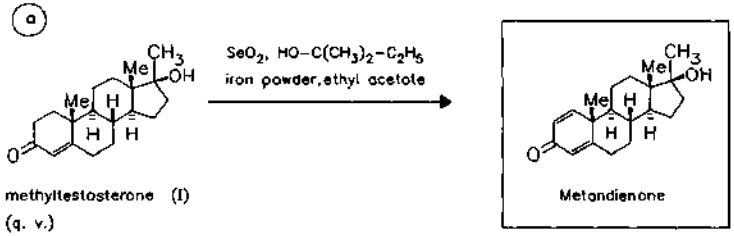
F:	Magnipen (Clin-Midy); wfm		Suvipen (Sarbach); wfm
		I:	Magnipen (Midy); wfm

Metandienone

(Methandienone; Methandrostenolone)

ATC: A14AA03; D11AE01
Use: anabolic, androgen

RN: 72-63-9 MF: $C_{20}H_{28}O_2$ MW: 300.44 EINECS: 200-787-2
LD_{50}: >1 g/kg (R, p.o.)
CN: (17β)-17-hydroxy-17-methylandrosta-1,4-dien-3-one



Reference(s):

a US 2 900 398 (Ciba; 1959; CH-prior. 1956).
Meystre, Ch. et al.: Helv. Chim. Acta (HCACAV) **39**, 734 (1956).

b Vischer, F. et al.: Helv. Chim. Acta (HCACAV) **38**, 1502 (1955).

Formulation(s): ointment 10 mg/g; tabl. 2 mg, 5 mg

Trade Name(s):

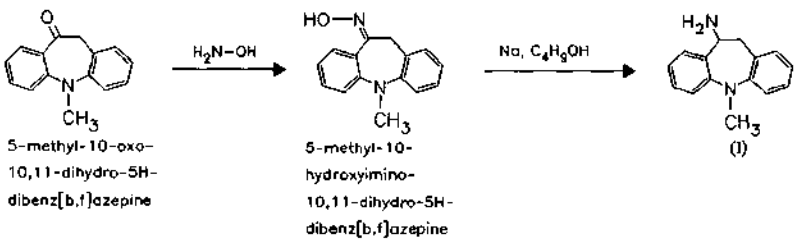
D:	Dianabol (Ciba); wfm	GB:	Dianabol (Ciba); wfm		Perholin (Ion); wfm
F:	Dianabol (Ciba-Geigy); wfm	I:	Dianabol (Ciba); wfm	J:	Abirol (Takeda)
	Dianavit (Ciba-Geigy)-comb.; wfm		Metabolina (Guidi); wfm		Anoredan (Kodama)
			Metastenol (Farber-Ref); wfm	USA:	Dianabol (Ciba); wfm

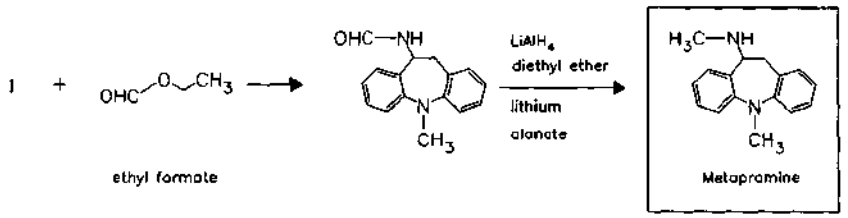
Metapramine

ATC: N06A
Use: antidepressant

RN: 21730-16-5 MF: $C_{16}H_{18}N_2$ MW: 238.33
CN: 10,11-dihydro-*N*,5-dimethyl-5*H*-dibenz[*b*,*f*]azepin-10-amine

fumarate
RN: 93841-84-0 MF: $C_{16}H_{18}N_2 \cdot C_4H_4O_4$ MW: 354.41
hydrochloride
RN: 21737-55-3 MF: $C_{16}H_{18}N_2 \cdot xHCl$ MW: unspecified EINECS: 244-555-9





Reference(s):
FR-M 6 616 (Rhône-Poulenc; appl. 14.4.1967).
ZA 6 800 345 (Rhône-Poulenc; appl. 19.6.1968; F-prior. 18.1.1967, 9.11.1967).

alternative synthesis:
DOS 2 159 678 (Rhône-Poulenc; appl. 1.12.1971; F-prior. 1.12.1970).

Formulation(s): tabl. 50 mg (as fumarate)

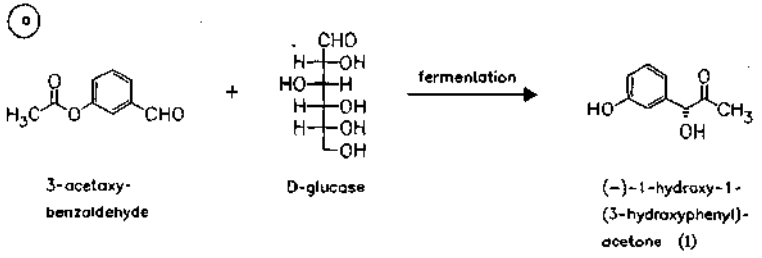
Trade Name(s):
F: Rodostene (Rhône-Poulenc); wfm
Timaxel (Specia); wfm

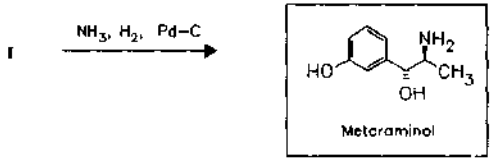
Metaraminol

ATC: C01CA09
Use: sympathomimetic

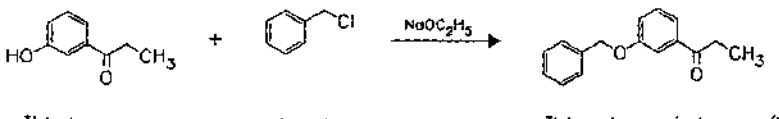
RN: 54-49-9 MF: $C_9H_{13}NO_2$ MW: 167.21
LD_{50}: 51 mg/kg (M, i.v.); 99 mg/kg (M, p.o.);
240 mg/kg (R, p.o.)
CN: [*R*-(*R**,*S**)]-α-(1-aminoethyl)-3-hydroxybenzenemethanol

hydrogen tartrate (1:1)
RN: 33402-03-8 MF: $C_9H_{13}NO_2 \cdot C_4H_6O_6$ MW: 317.29 EINECS: 251-502-3
LD_{50}: 39 mg/kg (M, i.v.); 99 mg/kg (M, p.o.);
3427 µg/kg (R, i.v.); 240 mg/kg (R, p.o.)

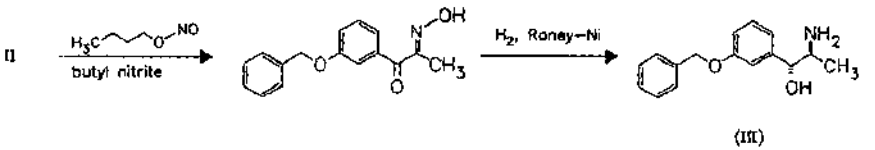




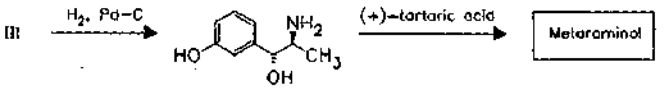
(b)



3'-hydroxy-propiophenone | benzyl chloride | 3'-benzyloxypropiophenone (II)



(III)



(±)-metaraminol

Reference(s):

a DRP 555 404 (I. G. Farben; appl. 1930).
US 1 951 302 (Winthrop; 1934; D-prior. 1930).

b DRP 571 229 (I. G. Farben; appl. 1930).
US 1 948 162 (Winthrop; 1934; D-prior. 1930).
US 1 995 709 (Sharp & Dohme; 1935; appl. 1931).
GB 396 951 (I. G. Farben; appl. 1932; D-prior. 1931).

Formulation(s): amp. 10 mg/ml (as hydrogen tartrate)

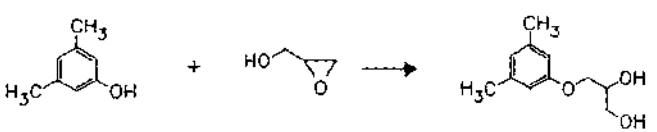
Trade Name(s):

D:	Araminum (Sharp & Dohme); wfm	GB:	Aramine (Merck Sharp & Dohme)	USA:	Aramine (Merck Sharp & Dohme; as bitartrate)
F:	Aramine (Merck Sharp & Dohme); wfm	I:	Levicor (Bioindustria)		
		J:	Araminon (Merck-Banyu)		

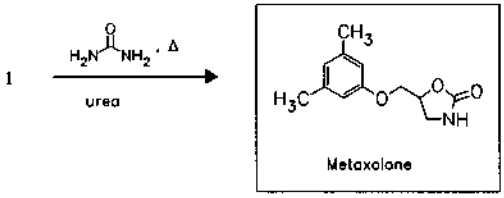
Metaxalone

ATC: M03
Use: muscle relaxant

RN: 1665-48-1 MF: $C_{12}H_{15}NO_3$ MW: 221.26 EINECS: 216-777-6
LD_{50}: 1690 mg/kg (M, p.o.);
775 mg/kg (R, p.o.)
CN: 5-[(3,5-dimethylphenoxy)methyl]-2-oxazolidinone



3,5-dimethyl-phenol | glycide | 3-(3,5-dimethyl-phenoxy)propane-1,2-diol (I)



Reference(s):
US 3 062 827 (A. H. Robins; 6.11.1962; prior. 19.6.1959).

Formulation(s): tabl. 400 mg

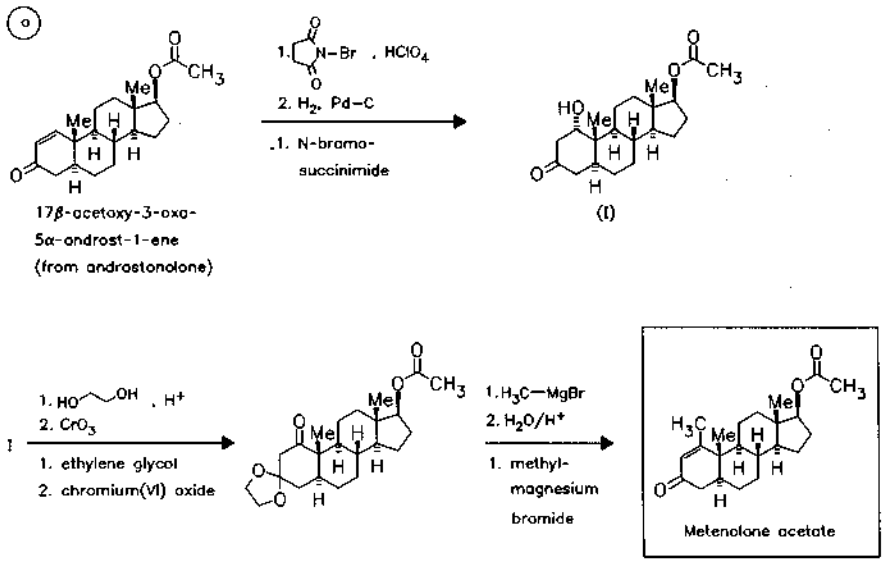
Trade Name(s):
USA: Skelaxin (Carnrick)

Metenolone acetate
(Methenolone acetate)

ATC: A14AA04
Use: anabolic

RN: 434-05-9 MF: $C_{22}H_{32}O_3$ MW: 344.50 EINECS: 207-097-0
LD_{50}: 4 g/kg (M, p.o.);
4 g/kg (R, p.o.)
CN: (5α,17β)-17-(acetyloxy)-1-methylandrost-1-en-3-one

metenolone
RN: 153-00-4 MF: $C_{20}H_{30}O_2$ MW: 302.46 EINECS: 205-812-0
metenolone enanthate
RN: 303-42-4 MF: $C_{27}H_{42}O_3$ MW: 414.63 EINECS: 206-141-6



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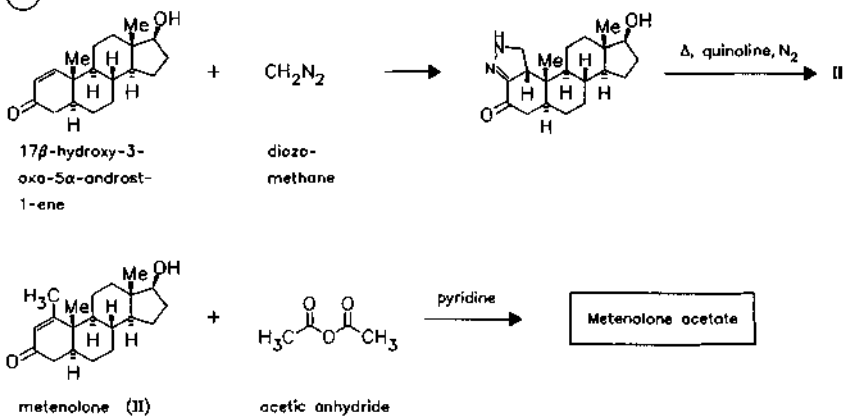


Reference(s):

a DE 1 152 100 (Schering AG; appl. 23.11.1960).
DE 1 154 467 (Schering AG; appl. 22.7.1961).

b DE 1 023 764 (Schering AG; appl. 6.2.1957).
DE 1 072 991 (Schering AG; appl. 25.10.1958).
DE 1 096 353 (Schering AG; appl. 11.7.1961).
DE 1 117 113 (Schering AG; appl. 5.12.1959).
DE 1 135 900 (Schering AG; appl. 27.8.1960).

starting material:

Butenandt, A.; Dannenberg, H.: Chem. Ber. (CHBEAM) **71**, 1681 (1938).

alternative syntheses:

GB 977 082 (Schering AG; valid from 17.3.1961; D-prior. 6.4.1960, 21.7.1960, 23.12.1960).
GB 977 083 (Schering AG; valid from 17.3.1961; D-prior. 6.4.1960).

review:

Wiechert, R.: Z. Naturforsch., B: Anorg. Chem., Org. Chem., Biochem., Biophys., Biol. (ZENBAX) **196**, 944 (1964).

Formulation(s): amp. 20 mg/ml, 50 mg/ml, 100 mg/ml; tabl. 5 mg, 25 mg

Trade Name(s):

D:	Primobolan Depot (Schering; as enanthate) numerous generics as acetate	GB:	Primobolan Depot (Schering Chemicals); wfm		Primobolan Inj. (Nihon Schering)
		I:	Primobolan Depot (Schering)	USA:	Primobolan Depot (Schering); wfm
F:	Primobolan (SEPPS); wfm Primobolan-Depot (SEPPS; as enanthate); wfm	J:	Primobolan Depot (Nihon Schering; as enanthate)		Primobolan Depot (Schering; as enanthate); wfm

Metformin

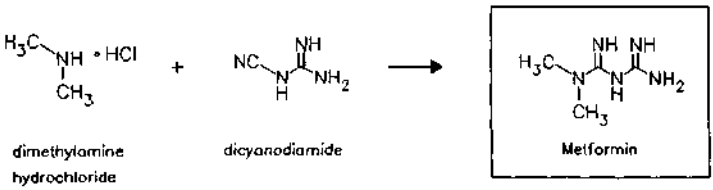
(Dimethylbiguanide)

ATC: A10BA02
Use: antidiabetic

RN: 657-24-9 MF: $C_4H_{11}N_5$ MW: 129.17 EINECS: 211-517-8
LD_{50}: 247 mg/kg (M, i.p.); 230 mg/kg (M, s.c.)
CN: *N,N*-dimethylimidodicarbonimidic diamide

monohydrochloride

RN: 1115-70-4 MF: $C_4H_{11}N_5 \cdot HCl$ MW: 165.63 EINECS: 214-230-6



Reference(s):
DE 1 023 757 (Heumann & Co.; appl. 1955) - only methods.
FR-appl. 2 322 860 (Aron S.A.R.L.; appl. 5.9.1975).

Formulation(s): f. c. tabl. 500 mg, 850 mg; s. r. tabl. 850 mg; tabl. 500 mg, 850 mg (as hydrochloride)

Trade Name(s):

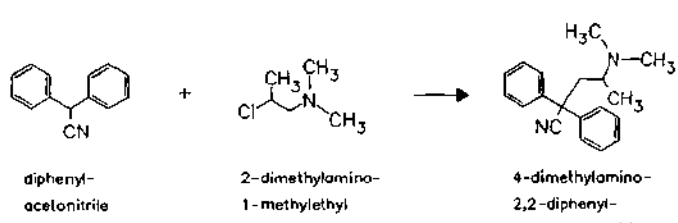
D:	Diabetase (Azupharma)	I:	Diabetosan (Brocchieri)		Glycoran (Nippon Shinyaku)
	Glucophage (Lipha)		Glibomet (Guidotti)-comb.		Insuloid M (Ono)
	Mediabet (Medice)		Glucamide (Lipha)-comb.		Langer-K (Kanto)
	Mescorit (Boehringer Mannh.)		Glucophage (Lipha)		Melbin (Sumitomo)
			Glucosulfa (Lipha)-comb.		Metolmin (Kodama)
F:	Glucinan (Lipha Santé)		Metforal (Guidotti)		
	Glucophage (Lipha Santé)		Pleiamide (Guidotti)-comb.	USA:	Glucophage (Bristol-Myers Squibb)
	Stagid (Merck-Clévenot)	J:	Diabetose B (Nichiiko)		
GB:	Glucophage (Lipha)				

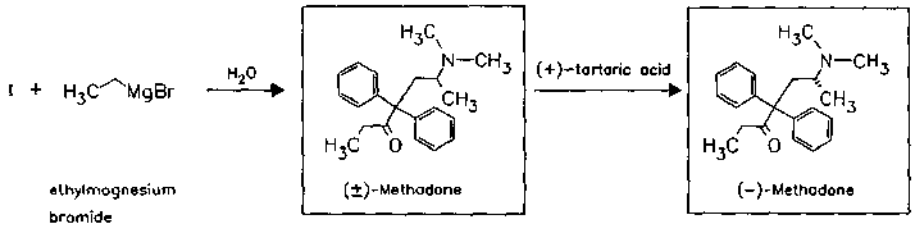
Methadone

ATC: N02AC02
Use: analgesic, narcotic (heroin substitution therapy)

RN: 76-99-3 MF: $C_{21}H_{27}NO$ MW: 309.45 EINECS: 200-996-9
CN: 6-(dimethylamino)-4,4-diphenyl-3-heptanone

hydrochloride
RN: 1095-90-5 MF: $C_{21}H_{27}NO \cdot HCl$ MW: 345.91 EINECS: 214-140-7
LD_{50}: 16 mg/kg (M, i.v.); 124 mg/kg (M, p.o.);
9200 µg/kg (R, i.v.); 30 mg/kg (R, p.o.)
(±)-methadone
RN: 297-88-1 MF: $C_{21}H_{27}NO$ MW: 309.45
(±)-hydrochloride
RN: 125-56-4 MF: $C_{21}H_{27}NO \cdot HCl$ MW: 345.91
(–)-methadone
RN: 125-58-6 MF: $C_{21}H_{27}NO$ MW: 309.45
(–)-hydrochloride
RN: 5967-73-7 MF: $C_{21}H_{27}NO \cdot HCl$ MW: 345.91 EINECS: 227-756-6





Reference(s):
DE 865 314 (Farbw. Hoechst; appl. 1941).
DE 870 700 (Farbw. Hoechst; appl. 1942).
DE 890 506 (Farbw. Hoechst; appl. 1944).
Ehrhart, G.; Bockmühl, M.: Justus Liebigs Ann. Chem. (JLACBF) **561**, 52 (1948).

alternative procedure for racemate resolution:
US 2 644 010 (Merck & Co.; 1953; appl. 1947).
US 2 983 757 (Abbott; 1961; appl. 1959).

Formulation(s): amp. 5 mg/ml, 10 mg/ml; drops 5 mg; tabl. 5 mg, 10 mg, 20 mg, 40 mg

Trade Name(s):

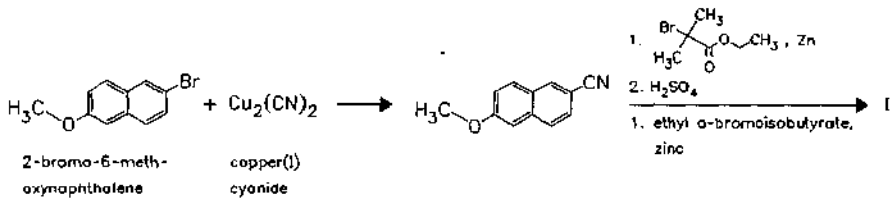
D:	L-Polamidon (Hoechst)	GB:	Physeptone (Glaxo Wellcome)		Metadone (Molteni)
F:	Méthadone AP (Mayoly-Spindler)	I:	Eptadone (Zambon Italia)	USA:	Dolophine Hydrochloride (Roxane)
			Metado (Formulario Naz.)		generic

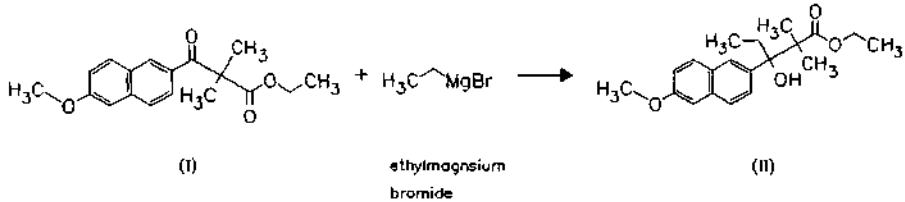
Methallenestril

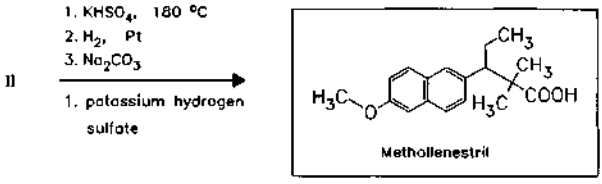
(Methallenoestril; Methallenoestrol)

ATC: G03CB03; G03CC03
Use: estrogen

RN: 517-18-0 MF: $C_{18}H_{22}O_3$ MW: 286.37 EINECS: 208-232-6
CN: β-ethyl-6-methoxy-α,α-dimethyl-2-naphthalenepropanoic acid







Reference(s):
US 2 547 123 (A. Horeau; 1951; F-prior. 1947).
Horeau, A. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **224**, 862 (1947).
Horeau, A. et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1948**, 711; 1955, 955.

starting material:
DOS 2 619 614 (Hoechst; appl. 4.5.1976).

Formulation(s): tabl. 3 mg

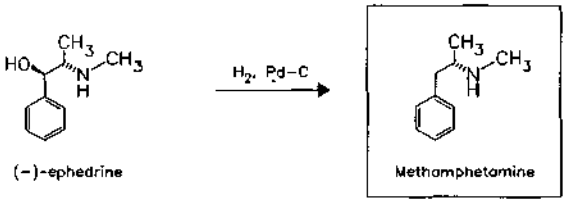
Trade Name(s):
GB: Vallestril (Searle); wfm
J: Ercostrol (Green Cross)
USA: Vallestril (Dainippon)
Vallestril (Searle); wfm

Methamphetamine
(Desoxyephedrine)

ATC: N06BA03
Use: sympathomimetic, psychostimulant, appetite depressant

RN: 537-46-2 MF: $C_{10}H_{15}N$ MW: 149.24 EINECS: 208-668-7
CN: (*S*)-*N*,α-dimethylbenzeneethanamine

hydrochloride
RN: 51-57-0 MF: $C_{10}H_{15}N \cdot HCl$ MW: 185.70 EINECS: 200-106-9



Reference(s):
Emde, H.: Helv. Chim. Acta (HCACAV) **12**, 365 (1929).

Formulation(s): tabl. 5 mg, 10 mg, 15 mg (as hydrochloride)

Trade Name(s):
D: Pervitin (Temmler); wfm
J: Philopon (Dainippon)
USA: Desoxyn (Abbott; as hydrochloride)

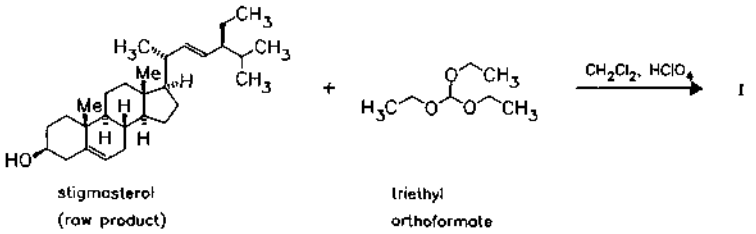
Methandriol

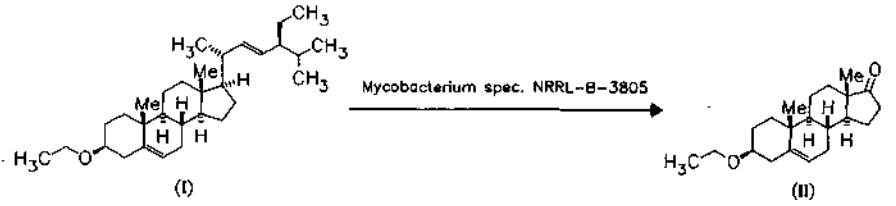
ATC: A14
Use: anabolic, androgen

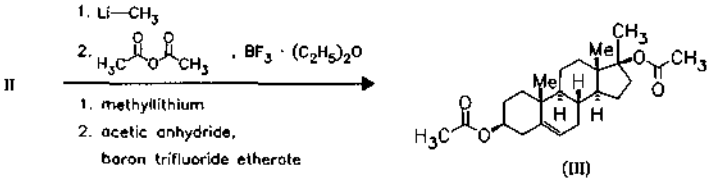
RN: 521-10-8 MF: $C_{20}H_{32}O_2$ MW: 304.47 EINECS: 208-301-0
CN: (3β,17β)-17-methylandrost-5-ene-3,17-diol

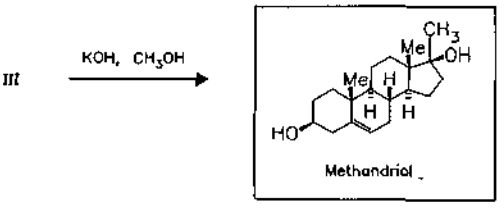
dipropionate

RN: 3593-85-9 MF: $C_{26}H_{40}O_4$ MW: 416.60









Reference(s):

DOS 2 534 911 (Schering AG; appl. 1.8.1975).
Ruzicka, L. et al.: Helv. Chim. Acta (HCACAV) **18**, 1487 (1935).
Miescher, K.; Klarer, W.: Helv. Chim. Acta (HCACAV) **22**, 962 (1939).

Formulation(s): amp. 50 mg/ml (as dipropionate)

Trade Name(s):

1: Anacufen (Difa Coopervision)-comb.; wfm
Metilandrostendiolo Schering (Schering); wfm
Metildiolo (Orma)-comb.; wfm
Otormon F (Farmades).-comb.; wfm
Panfaco (Difa Coopervision)-comb.; wfm
Sinesex (Wells); wfm
Troformone (Biomedica Foscama); wfm

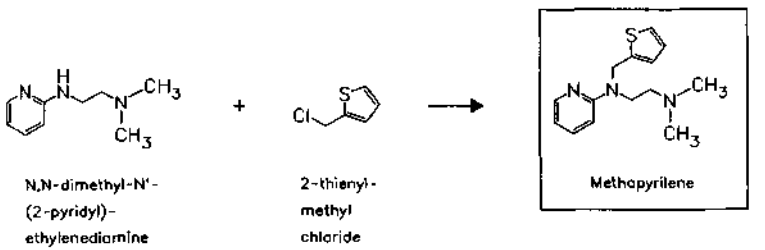
USA: Methostan (Schering); wfm
Stenediol (Organon); wfm

Methapyrilene
(Thenylpyramine)

ATC: R06AC05
Use: antihistaminic

RN: 91-80-5 MF: $C_{14}H_{19}N_3S$ MW: 261.39 EINECS: 202-099-8
LD_{50}: 20 mg/kg (M, i.v.); 182 mg/kg (M, p.o.)
CN: *N,N*-dimethyl-*N'*-2-pyridinyl-*N'*-(2-thienylmethyl)-1,2-ethanediamine

monohydrochloride
RN: 135-23-9 MF: $C_{14}H_{19}N_3S \cdot HCl$ MW: 297.85 EINECS: 205-184-8
LD_{50}: 17.5 mg/kg (M, i.v.); 182 mg/kg (M, p.o.);
200 mg/kg (R, p.o.)
fumarate (2:3)
RN: 33032-12-1 MF: $C_{14}H_{19}N_3S \cdot 3/2C_4H_4O_4$ MW: 871.00 EINECS: 251-351-3



Reference(s):
Weston, A.W.: J. Am. Chem. Soc. (JACSAT) **69**, 980 (1947).
US 2 581 868 (Monsanto; 1952; prior. 1946).

fumarate:
GB 694 805 (Monsanto; valid from 1950; USA-prior. 1949).

Formulation(s): cps. 50 mg, 100 mg (as hydrochloride)

Trade Name(s):
D: Contac Liquid (Vonora)-comb.; wfm
Copyronilum (Lilly)-comb.; wfm
Sedanoct (Woelm)-comb.; wfm
tiffaforte (Tiffapharm; as fumarate); wfm
I: Co-Pyronil (Lilly); wfm
USA: Allerest (Pharmacraft; as fumarate)-comb.; wfm
Brexin (Savage)-comb.; wfm
Citra (Boyle)-comb.; wfm
Co-Pyronil (Dista)-comb.; wfm
Ephed-Organidin (Wallace); wfm
Excedrin P.M. (Bristol-Myers; as fumarate)-comb.; wfm
Hista-Clopane (Lilly)-comb.; wfm
Histadyl E.C. (Lilly; as fumarate)-comb.; wfm
Histadyl Fum. (Lilly; as fumarate); wfm
Histadyl Pulvules (Lilly); wfm

Methaqualone

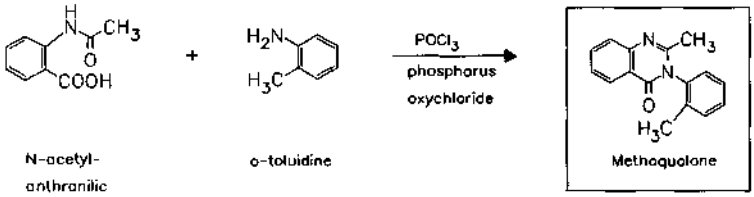
ATC: N05CM01
Use: hypnotic

RN: 72-44-6 MF: $C_{16}H_{14}N_2O$ MW: 250.30 EINECS: 200-780-4
LD_{50}: 420 mg/kg (M, p.o.);
185 mg/kg (R, p.o.)
CN: 2-methyl-3-(2-methylphenyl)-4(3*H*)-quinazolinone

monohydrochloride

RN: 340-56-7 MF: $C_{16}H_{14}N_2O \cdot HCl$ MW: 286.76 EINECS: 206-431-2

LD_{50}: 120 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
120 mg/kg (R, i.v.); 410 mg/kg (R, p.o.)



Reference(s):

GB 843 073 (Labs. Toraude; appl. 22.8.1958; USA-prior. 9.5.1958).

Klosa, J.: J. Prakt. Chem. (JPCEAO) [4], **20**, 283 (1963).

Formulation(s): tabl. 200 mg

Trade Name(s):

D: Normi-Nox (Herbrand); wfm
Optinoxan (Robisch); wfm
Revonal (Cascan); wfm

F: Divinoctal (I.S.H.)-comb.; wfm
Isonox (Ucépha)-comb.; wfm
Mandrax (Houdé)-comb.; wfm

GB: Revonal (Merck); wfm

J: Hyminal (Eisai)
Meroctan (Sanwa)
Nene (Sankyo)
Normorest (Doitsu-Aoi)
Orzolon (Kobayashi)

USA: Parest (Parke Davis); wfm
Quaalude (Rorer); wfm
Somnafac (Cooper); wfm
Sopor (Arnar-Stone); wfm

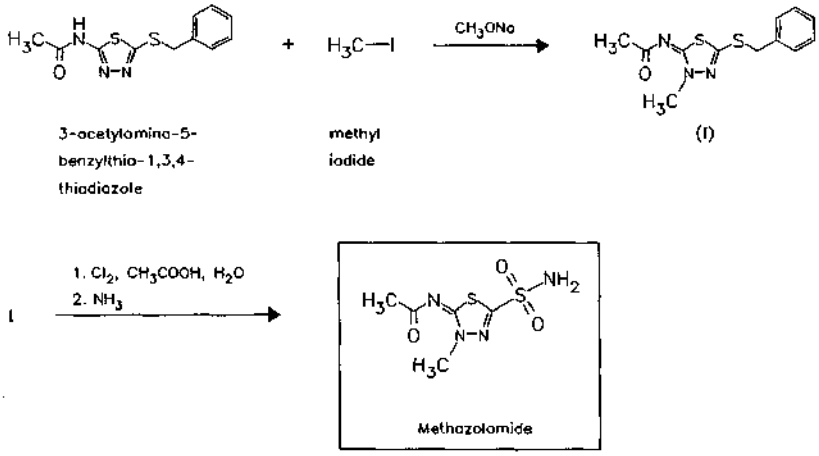
Methazolamide

ATC: C03; S01EC
Use: diuretic (carboanhydrase inhibitor)

RN: 554-57-4 MF: $C_5H_8N_4O_3S_2$ MW: 236.28 EINECS: 209-066-7

LD_{50}: >1 g/kg (M, i.v.)

CN: *N*-[5-(aminosulfonyl)-3-methyl-1,3,4-thiadiazol-2(3*H*)-ylidene]acetamide



Reference(s):
US 2 783 241 (American Cyanamid; 1957; prior. 1955).

Formulation(s): tabl. 50 mg

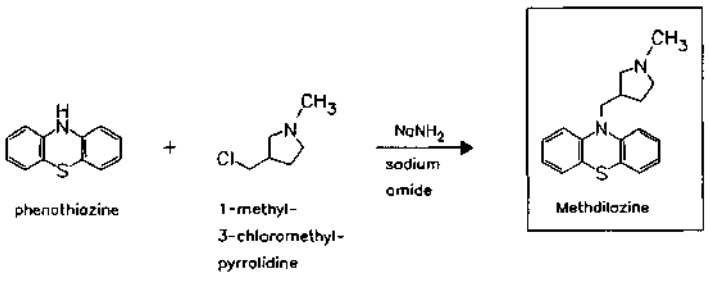
Trade Name(s):
F: Neptazane (Théraplix); wfm
J: Neptazane (Lederle)
USA: Neptazane (Lederle); wfm
generics

Methdilazine

ATC: R06AD04
Use: antiallergic, antihistaminic

RN: 1982-37-2 MF: $C_{18}H_{20}N_2S$ MW: 296.44 EINECS: 217-841-6
LD_{50}: 225 mg/kg (M, p.o.);
162 mg/kg (R, p.o.)
CN: 10-[(1-methyl-3-pyrrolidinyl)methyl]-10*H*-phenothiazine

monohydrochloride
RN: 1229-35-2 MF: $C_{18}H_{20}N_2S \cdot HCl$ MW: 332.90 EINECS: 214-967-3
LD_{50}: 190 mg/kg (M, p.o.);
260 mg/kg (R, p.o.)



Reference(s):
US 2 945 855 (Mead Johnson; 19.7.1960; prior. 21.10.1958).
DE 1 049 382 (Cilag; appl. 1956; CH-prior. 1955).

Formulation(s): tabl. 8 mg (as hydrochloride)

Trade Name(s):
GB: Dilosyn (Duncan, Flockhart); wfm
USA: Tacaryl (Westwood); wfm

Methenamine

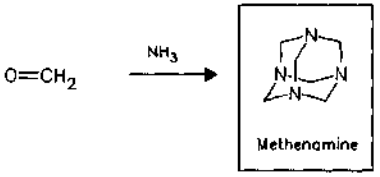
(Formamine; Hexamethylentetramine; HMT; HHMTA; Metenamine; Urotropin)

ATC: G04AA01
Use: antibacterial (urinary)

RN: 100-97-0 MF: $C_6H_{12}N_4$ MW: 140.19 EINECS: 202-905-8
CN: 1,3,5,7-tetraazatricyclo[3.3.1.1^{3,7}]decane

mandelate (1:1)
RN: 587-23-5 MF: $C_8H_8O_3 \cdot C_6H_{12}N_4$ MW: 292.34 EINECS: 209-597-4

hippurate (1:1)
RN: 5714-73-8 MF: $C_9H_9NO_3 \cdot C_6H_{12}N_4$ MW: 319.37 EINECS: 227-206-5
LD_{50}: 1500 mg/kg (M, i.p.); 2870 mg/kg (M, s.c.)



Reference(s):
US 2 762 799 (J. Meissner; 1956; D-prior. 1952).
US 2 762 800 (J. Meissner; 1956; D-prior. 1951).

Formulation(s): f. c. tabl. 250 mg, 500 mg, 1000 mg; cream 13 g/100g; drg. 500 mg, 1000 mg

Trade Name(s):

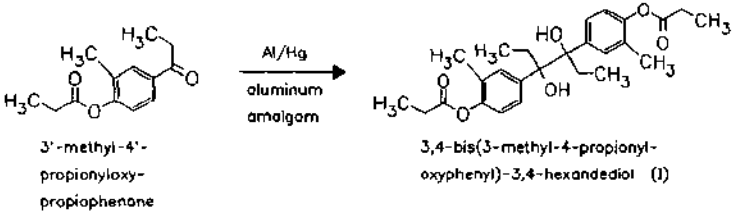
D: Antihydral (Robugen)
Mandelamine (Parke Davis)
Urotractan (Klinge)
F: Aromalgyl (Plantes et Medecines)-comb.
Mictasol (J. P. Martin)-comb.
Uromil (Iprad)-comb.
GB: Hiprex (3M Health Care)
I: Cinarbile cpr. (Benvegna)-comb.; wfm
Elmitolo (Bayer); wfm
Esamet (Tariff. Integrativo)
Esation vitaminico (Lafare); wfm
Etiliodina B1 (Ceccarelli)-comb.; wfm
Jodoibs (Benvegna); wfm
Mictasol (Zoja)-comb.; wfm
Tionamil (Ogna)-comb.; wfm
J: Hexamine(Mohan; Nisshin-Yamagata)
USA: Urex (3M; as hippurate)
Uro-Phosphate (ECR)
Mandelamine (Warner Chilcott Professional Products; as mandelate)
Urised (PolyMedica)-comb.
Uroqid-Acid (Beach; as mandelate)

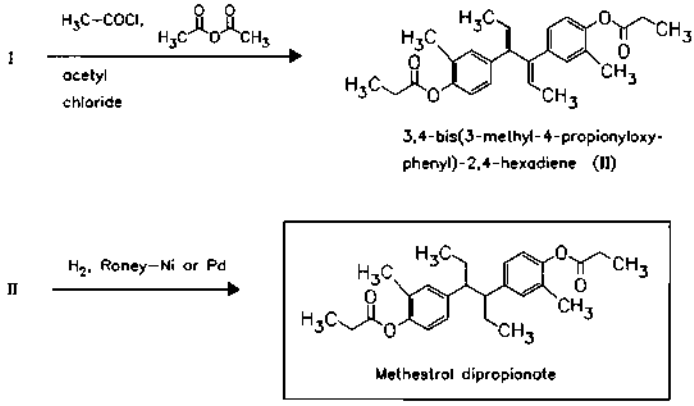
Methestrol dipropionate

ATC: G03C
Use: estrogen

RN: 84-13-9 MF: $C_{26}H_{34}O_4$ MW: 410.55
CN: 4,4'-(1,2-diethyl-1,2-ethanediyl)bis[2-methylphenol] dipropanoate

methestrol
RN: 130-73-4 MF: $C_{20}H_{26}O_2$ MW: 298.43





Reference(s):
Niederl, V. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 508 (1948).

alternative syntheses:
Marson, L.M.: Bull. Chim. Farm. (BCFAAI) **102**, 317 (1963).
Burckhalter, J.H.; Sejwald, R.J.: J. Org. Chem. (JOCEAH) **24**, 445 (1959).

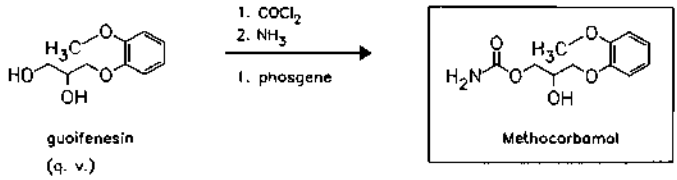
Formulation(s): 4 x 1 mg/day (oral)

Trade Name(s):
USA: Meprane (Reed & Carnrick); wfm

Methocarbamol

ATC: M03BA03
Use: muscle relaxant

RN: 532-03-6 MF: $C_{11}H_{15}NO_5$ MW: 241.24 EINECS: 208-524-3
LD_{50}: 774 mg/kg (M, i.v.); 812 mg/kg (M, p.o.);
1320 mg/kg (R, p.o.);
2 g/kg (dog, p.o.)
CN: 3-(2-methoxyphenoxy)-1,2-propanediol 1-carbamate



Reference(s):
US 2 770 649 (Robins; 1956; prior. 1955).
Yale, H.L. et al.: J. Am. Chem. Soc. (JACSAT) **72**, 3710 (1950).

Formulation(s): amp. 100 mg/ml; tabl. 250 mg, 500 mg, 750 mg; tabl. (USA) 325 mg, 400 mg in comb. with aspirin

Trade Name(s):
D: Ortoton (Bastian-Werk)
F: Lumirelax (Jumer Sa)-comb.
GB: Robaxin (Shire)
I: Miowas (IFI); wfm
Miowas (Wassermann); wfm
J: Carbametin (Uji)

Carxin (Kanto)		Nichirakishin S (Nichiiko)	Robaxisal (Robins)-comb.
Methocabal (Zeria)		Ohlaxin (Ohta)	generics
Methocal (Daiko)	USA:	Robaxin (Robins)	

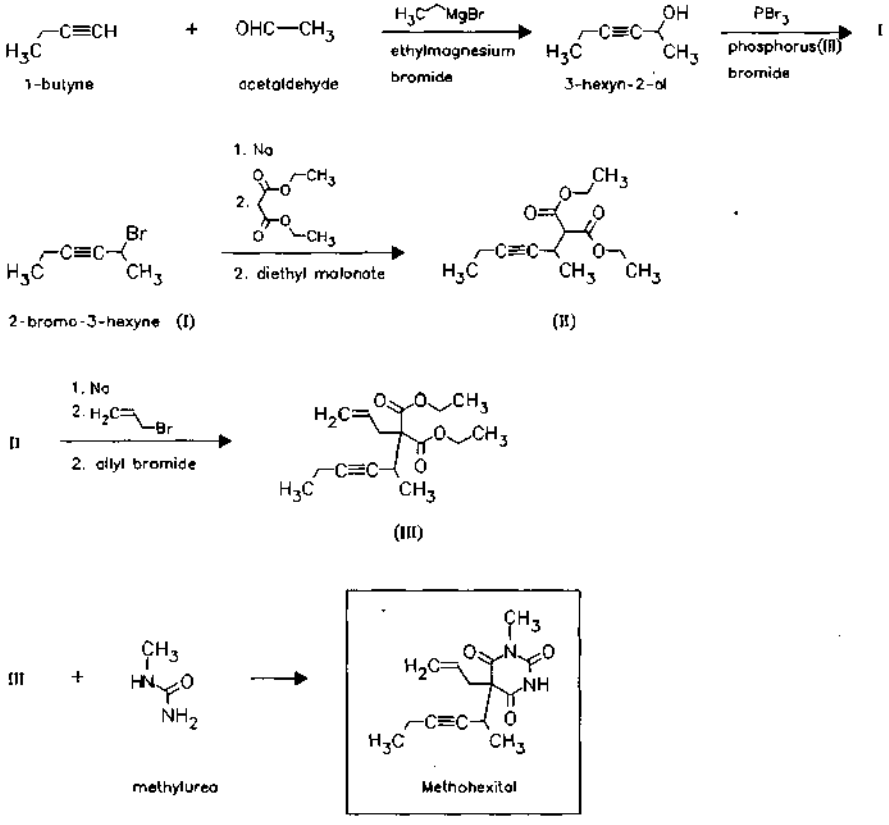
Methohexital

(Methohexitone)

ATC: N01AF01; N05CA15
Use: narcotic

RN: 18652-93-2 MF: $C_{14}H_{18}N_2O_3$ MW: 262.31
CN: (±)-1-methyl-5-(1-methyl-2-pentynyl)-5-(2-propenyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

sodium salt
RN: 60634-69-7 MF: $C_{14}H_{17}N_2NaO_3$ MW: 284.29



Reference(s):
US 2 872 448 (Eli Lilly; 3.2.1959; prior. 4.4.1956).

Formulation(s): vial 100 mg, 500 mg (as sodium salt)

Trade Name(s):

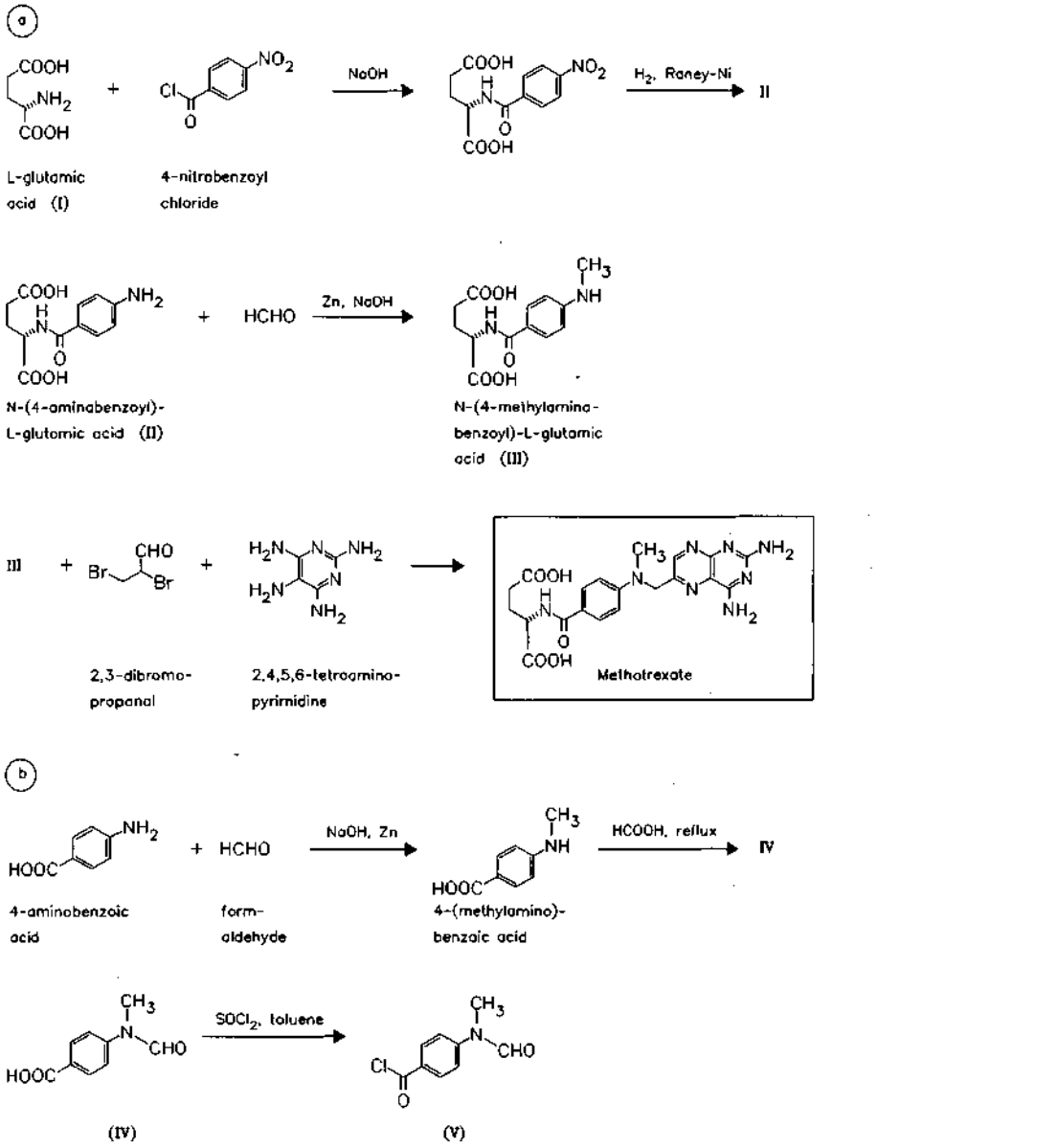
D:	Brevimytal-Natrium (Lilly)	GB:	Brietal Sodium (Lilly)	USA:	Brevital Sodium (Jones Medical Industries)
F:	Briétal (Lilly); wfm				

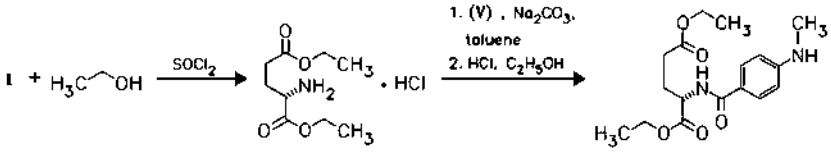
Methotrexate

(Amethopterin)

ATC: N01AF01; N05CA15
Use: antineoplastic (folic acid antagonist)

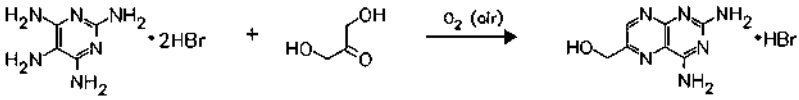
RN: 59-05-2 MF: $C_{20}H_{22}N_8O_5$ MW: 454.45 EINECS: 200-413-8
LD_{50}: 65 mg/kg (M, i.v.); 146 mg/kg (M, p.o.);
14 mg/kg (R, i.v.); 135 mg/kg (R, p.o.)
CN: *N*-[4-[[(2,4-diamino-6-pteridinyl)methyl]methylamino]benzoyl]-L-glutamic acid





diethyl L-glutamate hydrochloride

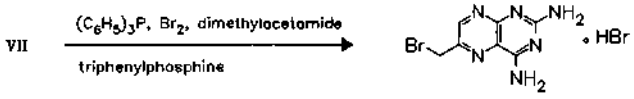
diethyl N-(4-methylamino)benzoyl-L-glutamate (VI)



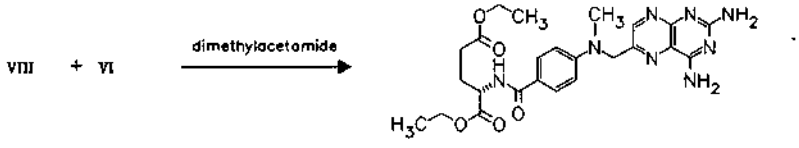
2,4,5,6-tetraamino-pyrimidine dihydrobromide

1,3-dihydroxy-acetone

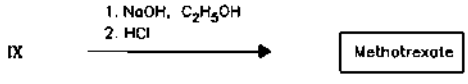
2,4-diamino-6-(hydroxymethyl)pteridine hydrobromide (VII)



(VIII)



"methotrexate ester" (IX)



Reference(s):
Seeger, D.R. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 1753 (1949).

alternative syntheses:
Piper, J.R.; Montgomery, J.A.: J. Heterocycl. Chem. (JHTCAD) **11**, 279 (1974).
Chaykowsky, M. et al.: J. Med. Chem. (JMCMAR) **17**, 1212 (1974).
DOS 2 741 270 (US-Secr. of Commerce Nat. Techn. Inform. Service; appl. 14.9.1977; USA-prior. 17.11.1976).
US 4 057 548 (J. Wiecko; 8.11.1977; prior. 11.11.1975, 30.3.1976).
US 4 067 867 (J. Wiecko; 10.1.1978; prior. 11.11.1975, 30.3.1976, 8.10.1976).
US 4 080 325 (US-Secr. of Health; 21.3.1978; appl. 17.11.1976).
DOS 2 741 383 (Lonza; appl. 14.9.1977; CH-prior. 12.8.1977).

various syntheses of N-(4-methylaminobenzoyl)-L-glutamic acid:
DOS 2 824 011 (Lonza; appl. 1.6.1978; CH-prior. 12.8.1977).
US 3 892 801 (American Cyanamid; 1.7.1975; appl. 11.9.1974).
US 4 136 101 (American Cyanamid; 23.1.1979; prior. 3.2.1978).

Formulation(s): amp. 5 mg, 25 mg, 50 mg, 200 mg, 500 mg, 100 mg, 5000 mg; tabl. 2.5 g, 7.5 g, 10 g (as disodium salt)

Trade Name(s):

D:	Farmitrexat (Pharmacia & Upjohn)	Lantarel (Lederle)		F:	generic
		Metex (medac)			Ledertrexate (Lederle)

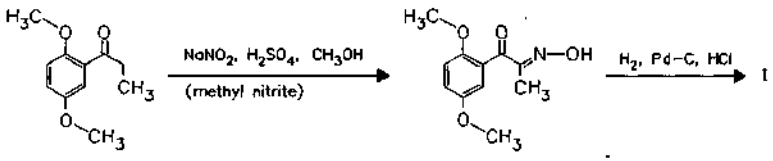
Méthothrexate Roger Bellon (Rhône-Poulenc Rorer Bellon) generic	GB:	Maxtrex (Pharmacia & Upjohn) Methotrexate (Wyeth) generic	I:	generic
			J:	generic
			USA:	Rheumatrex (Lederle) generic

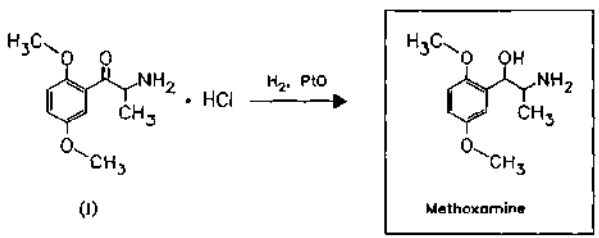
Methoxamine

ATC: C01CA10
Use: sympathomimetic, vasoconstrictor

RN: 390-28-3 MF: $C_{11}H_{17}NO_3$ MW: 211.26 EINECS: 206-867-3
LD_{50}: 30 mg/kg (M, p.o.)
CN: α-(1-aminoethyl)-2,5-dimethoxybenzenemethanol

hydrochloride
RN: 61-16-5 MF: $C_{11}H_{17}NO_3 \cdot HCl$ MW: 247.72 EINECS: 200-499-7
LD_{50}: 5030 µg/kg (M, i.v.)





Reference(s):
US 2 359 707 (Burroughs Wellcome; 1944; prior. 1942).

Formulation(s): amp. 20 mg/ml (as hydrochloride)

Trade Name(s):

D:	Rolinex (Röhm Pharma)-comb.; wfm	GB:	Vasoxine (Glaxo Wellcome)	J:	Mexan (Nippon Shinyaku)
		I:	Vasoxine (Wellcome); wfm	USA:	Vasoxyl (Glaxo Wellcome)

Methoxsalen

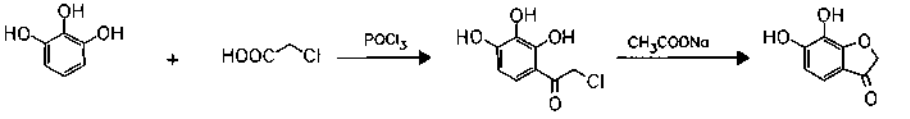
(Ammoidin; Methoxypsoralen; Methoxysalen; Xanthotoxin)

ATC: D05AD02; D05BA02
Use: radioprotector

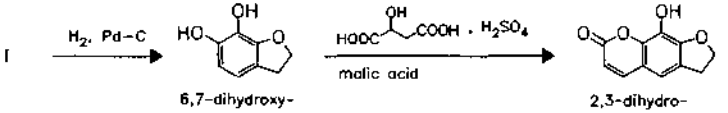
RN: 298-81-7 MF: $C_{12}H_8O_4$ MW: 216.19 EINECS: 206-066-9
CN: 9-methoxy-7*H*-furo[3,2-*g*][1]benzopyran-7-one

(a) from plant material:
8-geranyloxypsoralen is obtained by extraction from Ammi majus with n-hexane, which is dealkylated with CH_3COOH/H_2SO_4 to 8-hydroxypsoralen and then is methylated with dimethyl sulfate

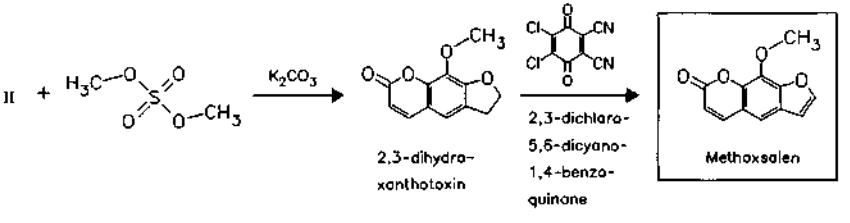
(b)



pyrogallol | chloroacetic acid | 2-chloro-2',3',4'-trihydroxy-acetophenone | 6,7-dihydroxy-coumaranone (I)



6,7-dihydroxy-2,3-dihydro-benzofuran | 2,3-dihydro-xanthotoxol (II)



2,3-dihydro-xanthotoxin

Reference(s):

a US 2 889 337 (US-Secret. of Agriculture; 1959; appl. 1956).

b US 4 129 576 (T. C. Elder; 12.12.1978; prior. 12.4.1976, 24.6.1976).
US 4 129 575 (T. C. Elder; 12.12.1978; prior. 12.4.1976).

alternative syntheses:

US 4 150 042 (Roche; 17.4.1979; prior. 29.7.1977, 8.3.1978).
US 4 107 182 (Roche; 15.8.1978; appl. 29.7.1977).
US 4 147 703 (Roche; 3.4.1979; appl. 29.7.1977).
DOS 2 820 263 (Thomae; appl. 10.5.1978).
US 4 169 840 (Oy Star; 2.10.1979; SF-prior. 3.10.1977).

Formulation(s): sol. 0.1 g/100 ml, 0.75 g/100 ml, 1.5 mg; tabl. 10 mg

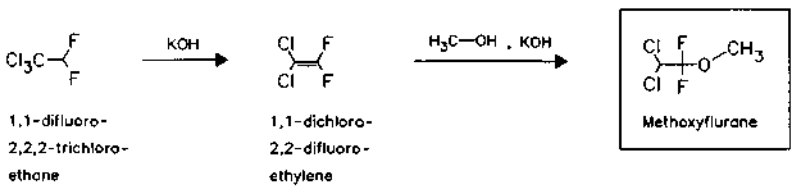
Trade Name(s):

D:	Meladinine (Galderma)	J:	Meladinine (Nippon Shoji)	Oxsoralen (ICN)
F:	Méladinine (Promedica)		Oxsoralen (Taisho)	
	Psoraderm-S (Sunlife)	USA:	8-MOP (ICN)	

Methoxyflurane

ATC: N01AB03
Use: inhalation anesthetic

RN: 76-38-0 MF: $C_3H_4Cl_2F_2O$ MW: 164.97 EINECS: 200-956-0
LD_{50}: 150 mg/kg (M, i.v.);
3600 mg/kg (R, p.o.)
CN: 2,2-dichloro-1,1-difluoro-1-methoxyethane



Reference(s):
GB 928 786 (Dow; appl. 9.2.1960; USA-prior. 3.4.1959, 20.7.1959).

Formulation(s): sol. 125 mg/125 ml

Trade Name(s):

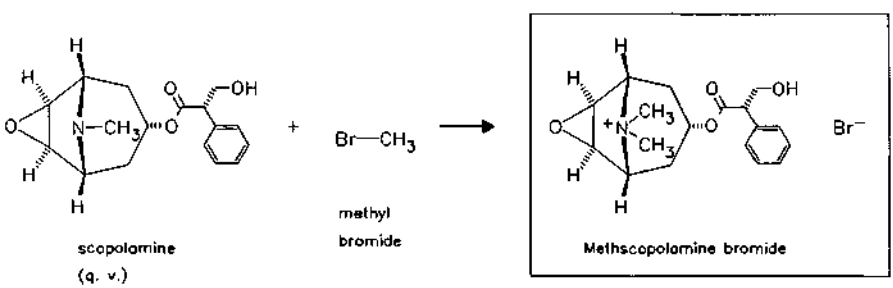
D:	Penthrane (Abbott); wfm	I:	Pentrane (Abbott); wfm	USA:	Penthrane (Abbott); wfm
GB:	Penthrane (Abbott); wfm	J:	Penthrane (Abbott)		

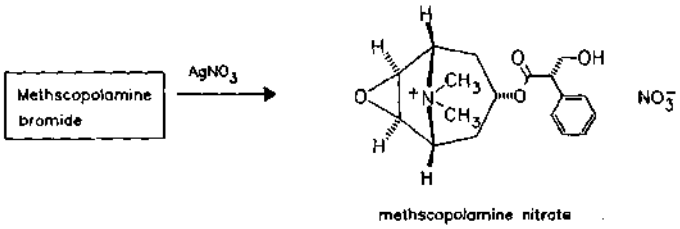
Methscopolamine bromide
(Hyoscine methobromide)

ATC: A03
Use: parasympatholytic, antispasmodic

RN: 155-41-9 MF: $C_{18}H_{24}BrNO_4$ MW: 398.30 EINECS: 205-844-5
LD_{50}: 26.806 mg/kg (M, i.v.); 619 mg/kg (M, p.o.);
42.5 mg/kg (R, i.v.); 3400 mg/kg (R, p.o.)
CN: [7(*S*)-(1α,2β,4β,5α,7β)]-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9,9-dimethyl-3-oxa-9-azoniatricyclo[3.3.1.$0^{2,4}$]nonane bromide

nitrate
RN: 6106-46-3 MF: $C_{18}H_{24}N_2O_7$ MW: 380.40 EINECS: 228-065-2
methylsulfate
RN: 18067-13-5 MF: $C_{18}H_{24}NO_4 \cdot CH_3SO_4$ MW: 429.49 EINECS: 241-975-4





Reference(s):
DE 145 996 (E. Merck AG; appl. 1902).
US 2 753 288 (Upjohn; 1956; prior. 1952).

Formulation(s): cps. 2.5 mg; syrup 1.25 mg/5 ml; tabl. 1.25 mg

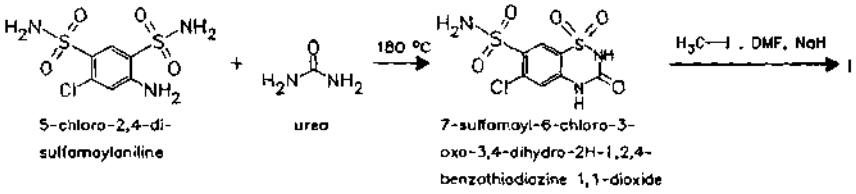
Trade Name(s):

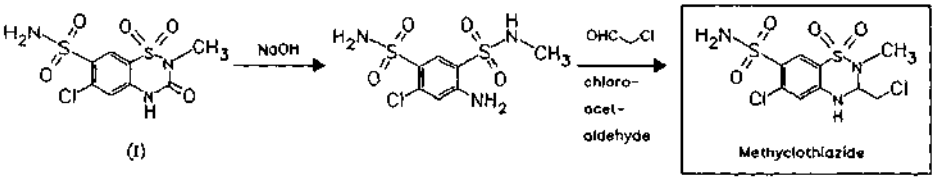
D:	Holopon (Byk Gulden); wfm	Oragallin S (Hormon-Chemie)-comb.; wfm	GB:	Pamine (Upjohn); wfm	
	Ichtho-Spasmin (Ichthyol)-comb.; wfm	Skopyl (Pharmacia; as nitrate); wfm		Skopyl (Farillon); wfm	
	Methyscopolamin (Upjohn); wfm	Spasmo-Bilicura (Mueller Göppingen)-comb.; wfm	J:	Ace (Ono)	
				Meporamin (Taiyo)	
			USA:	Pamine (Upjohn); wfm	

Methyclothiazide

ATC: C03AA08
Use: diuretic

RN: 135-07-9 MF: $C_9H_{11}Cl_2N_3O_4S_2$ MW: 360.24 EINECS: 205-172-2
LD_{50}: 400 mg/kg (M, i.v.); >10 g/kg (M, p.o.); >4 g/kg (R, p.o.)
CN: 6-chloro-3-(chloromethyl)-3,4-dihydro-2-methyl-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide





Reference(s):
Close, W.J. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 1132 (1960).

Formulation(s): tabl. 2.5 mg, 5 mg

Trade Name(s):

F:	Isobar (Jacques Logeais)-comb.		Enduronyl (Abbott)-comb.; wfm	J:	D.A.II-Tablets (Dura)
GB:	Enduron (Abbott); wfm	I:	Enduronil (Abbott)-comb.		Dallergy (Laser)
					Dura-Vent (Dura)

Enduron (Dainippon)
Extendryl (Fleming)
Mescolor (Horizon)

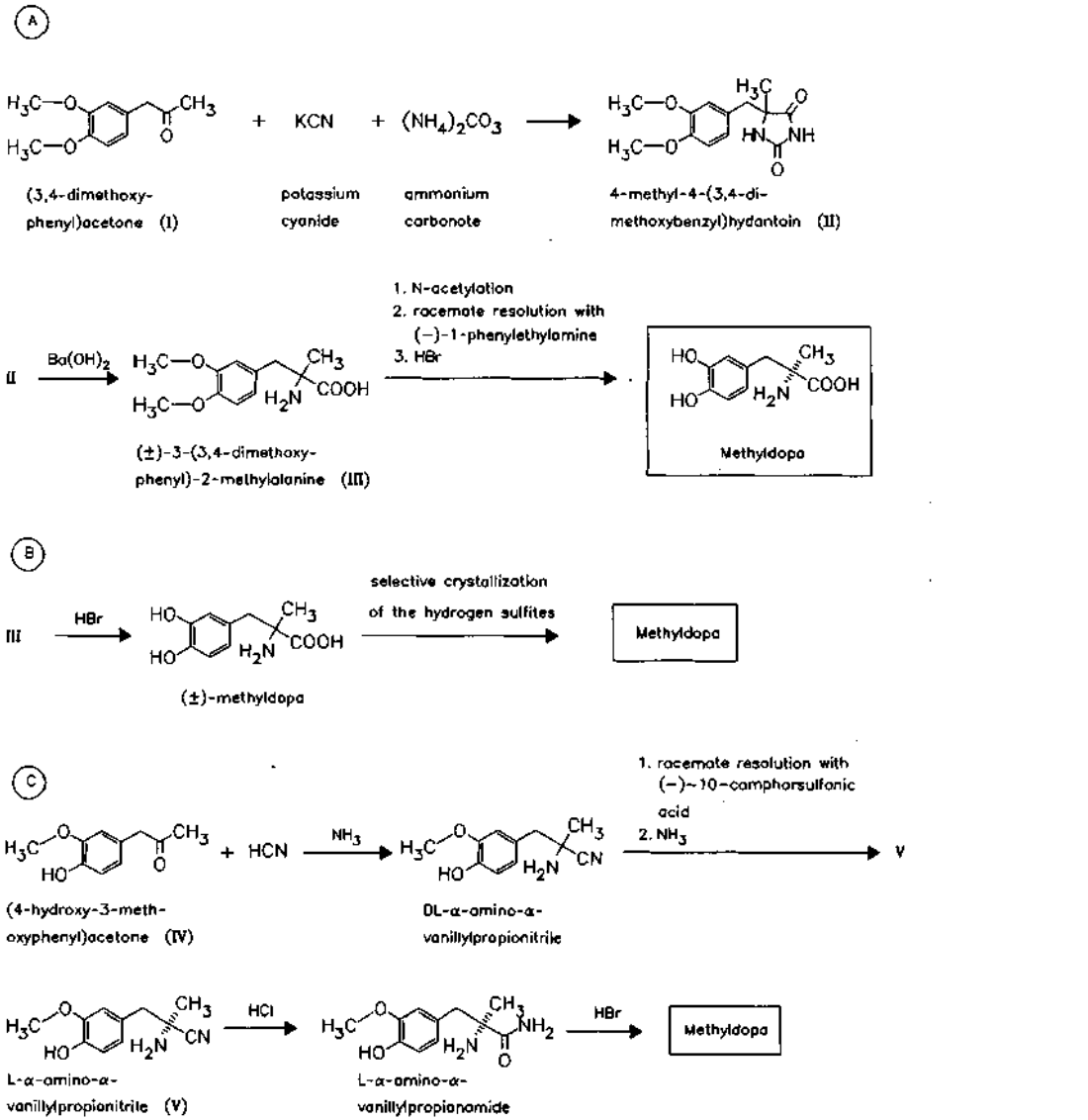
USA: Omnihist (We)
Aquatensen (Wallace)
Diutensen-R (Wallace)

Enduron (Abbott)

Methyldopa

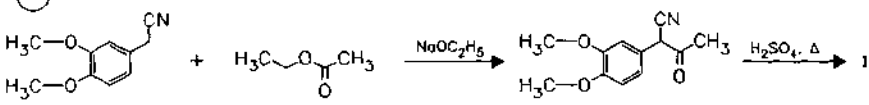
ATC: C02AB01
Use: antihypertensive

RN: 555-30-6 MF: $C_{10}H_{13}NO_4$ MW: 211.22 EINECS: 209-089-2
CN: 3-hydroxy-α-methyl-L-tyrosine



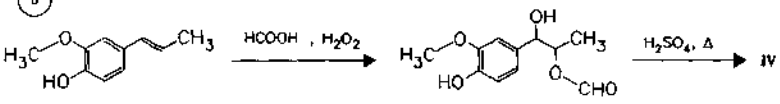
(D) Starting products:

(a)



homoveratronitrile

(b)



isoeugenol

Reference(s):

A US 2 868 818 (Merck & Co.; 13.1.1959; prior. 15.12.1953).
GB 936 074 (Merck & Co.; appl. 18.10.1960; USA-prior. 8.4.1960, 24.8.1960).
DE 1 171 931 (Merck & Co.; prior. 6.10.1960).
Tristram, E.W. et al.: J. Org. Chem. (JOCEAH) **29**, 2053 (1964).

B Stein, G.A. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 700 (1955).
Chem. Eng. from 8.11.1965; p. 247.
US 3 158 648 (Merck & Co.; 24.11.1964; prior. 11.7.1961, 9.4.1962).

C Reinhold, D.F. et al.: J. Org. Chem. (JOCEAH) **33**, 1209 (1968).
FR 1 492 765 (Merck & Co.; appl. 10.10.1963; USA-prior. 11.10.1962, 19.9.1963).
similar method via L-α-acetylamino-α-vanillylpropionitrile:
GB 1 142 595 (Merck & Co.; appl. 23.5.1967, 12.2.1969).
alternative syntheses:
US 3 366 679 (Merck & Co.; 30.1.1968; prior. 11.10.1962, 19.9.1963).
DOS 2 302 937 (Tanabe; appl. 22.1.1973; J-prior. 22.1.1972).
US 3 517 057 (Merck & Co.; 23.6.1970; appl. 21.9.1967).
DE 1 235 946 (Boehringer Mannh.; appl. 8.8.1964).
DE 1 235 947 (Bayer; appl. 16.1.1963).
DE 1 258 416 (Knoll; appl. 9.10.1964).
DE 1 269 622 (Knoll; appl. 22.12.1966).
DOS 2 406 898 (BASF; appl. 14.2.1974).
AT 250 936 (Egyesült; appl. 3.11.1964; HU-prior. 18.11.1963).
FR 1 502 972 (Merck & Co.; appl. 21.10.1966; USA-prior. 22.10.1965).
FR 1 531 877 (Sankyo; appl. 18.7.1967; J-prior. 11.8.1966, 21.2.1967).
GB 1 321 802 (D.D.S.A.; appl. 5.2.1971).

Da Steinetal, G.A.: J. Am. Chem. Soc. (JACSAT) **77**, 700 (1955).

Db GB 2 059 955 (Merck & Co.; appl. 9.9.1980; USA-prior. 13.9.1979, 28.9.1979).

medical use:
US 3 344 023 (Merck & Co.; 12.4.1983; prior. 8.4.1960, 24.8.1960, 1.2.1963; reexamination request 21.12.1981).

Formulation(s): drg. 250 mg, 500 mg; f. c. tabl. 125 mg, 250 mg, 500 mg; tabl. 250 mg, 500 mg

Trade Name(s):

D:
Caprinol (Bayer Vital)-comb.
Dopegyt (Thiemann)
Presinol (Bayer Vital; 1963)
Sali-Presinol (Bayer)-comb.
Sembrina (Boehringer Mannh.)

F:
Aldomet (Merck Sharp & Dohme-Chibret; 1964)

GB:
Aldomet (Merck Sharp & Dohme; 1962); wfm
Dopamet (Berk); wfm
Hydromet (Merck Sharp & Dohme)-comb.; wfm
Medomet (DDSA); wfm

I:
Aldomet (Merck Sharp & Dohme)
Medopren (Malesci)
Medozide (Malesci)-comb.

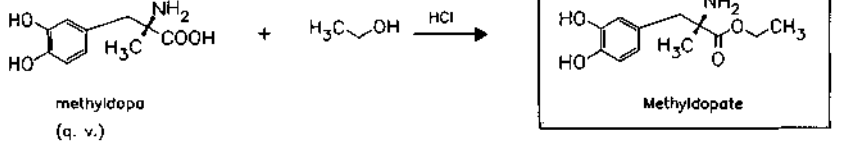
	Saludopin (SIT)-comb.	Medopa (Kaigai-Nippon		Sankaira (Hotta)
J:	Aldomet (Merck-Banyu)	Kayaku)	USA:	Aldoclor (Merck Sharp &
	Becanat (Kissei)	Meprin (Kyorin)		Dohme)
	Eldopane (Takata-Shionogi)	Metholes (Taisho)		Aldomet (Merck Sharp & Dohme; 1963)
	Ledopan (Mochida)	Methoplain (Kowa Yakuhin)		Aldoril (Merck Sharp & Dohme) and generics
		Polinal (Yamanouchi)		

Methyldopate

ATC: C02AB01
Use: antihypertensive

RN: 2544-09-4 MF: $C_{12}H_{17}NO_4$ MW: 239.27 EINECS: 219-821-2
CN: 3-hydroxy-α-methyl-L-tyrosine ethyl ester

hydrochloride
RN: 2508-79-4 MF: $C_{12}H_{17}NO_4 \cdot HCl$ MW: 275.73 EINECS: 219-720-3



Reference(s):
US 2 868 818 (Merck & Co.; 13.1.1959; prior. 15.12.1953).

medical use (for injection):
US 3 230 143 (Merck & Co.; 18.1.1966; appl. 22.6.1961, 28.12.1962, 14.5.1965).
FR-M 2 153 (Merck & Co.; appl. 20.9.1962; USA-prior. 22.6.1961).

Formulation(s): amp. 250 mg/ml, 500 mg/ml; f. c. tabl. 125 mg, 250 mg, 500 mg

Trade Name(s):

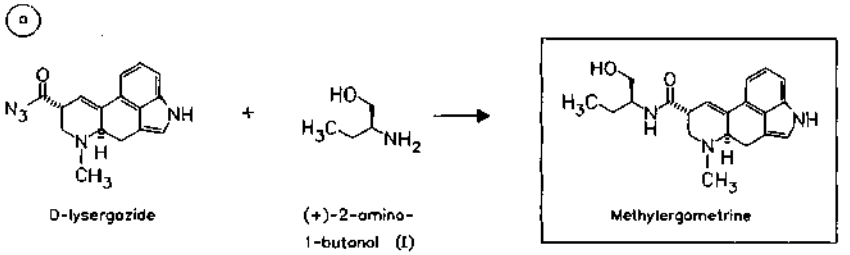
D:	Presinol pro inj. (Bayer Vital)		Methyldopa (Merck Sharp & Dohme-Chibret)	USA:	Aldomet Ester HCl Inj. (Merck Sharp & Dohme)
F:	Aldomet (Merck Sharp & Dohme-Chibret)	GB:	Aldomet Inj. (Merck Sharp & Dohme)		

Methylergometrine
(Methylergonovine)

ATC: G02AB01
Use: uterotonic, oxytocic

RN: 113-42-8 MF: $C_{20}H_{25}N_3O_2$ MW: 339.44 EINECS: 204-027-0
LD_{50}: 85 mg/kg (M, i.v.); 187 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 93 mg/kg (R, p.o.)
CN: [8β(*S*)]-9,10-didehydro-*N*-[1-(hydroxymethyl)propyl]-6-methylergoline-8-carboxamide

maleate (1:1)
RN: 57432-61-8 MF: $C_{20}H_{25}N_3O_2 \cdot C_4H_4O_4$ MW: 455.51 EINECS: 260-734-4
LD_{50}: 85 mg/kg (M, i.v.); 187 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 93 mg/kg (R, p.o.)
tartrate (2:1)
RN: 6209-37-6 MF: $C_{20}H_{25}N_3O_2 \cdot 1/2C_4H_6O_6$ MW: 828.96



Reference(s):
US 2 265 207 (Sandoz; 1941; CH-prior. 1939).

Formulation(s): amp. 0.2 mg/ml; drg. 0.125 mg; drops 0.25 mg/ml; sol. 0.24 mg/100 ml; tabl. 0.125 mg (as maleate)

Trade Name(s):

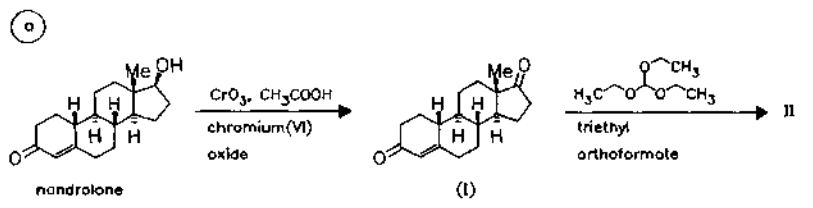
D:	Methergin (Novartis Pharma)	I:	Methergin (Novartis)		Ryegonovin (Morishita)
	Syntometrin (Novartis Pharma)-comb.	J:	Levospan (Isei)	USA:	Ergotrate Maleate (Lilly); wfm
F:	Methergin (Novartis)		Metenarin (Teikoku Zoki)		Methergine (Sandoz); wfm
			Methergin (Sandoz-Sankyo)		

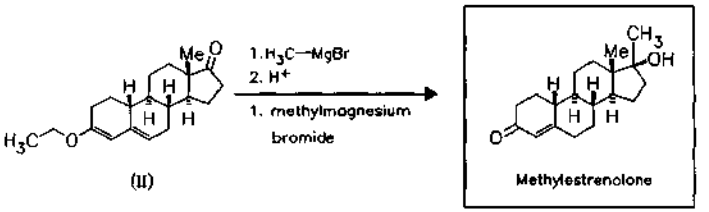
Methylestrenolone

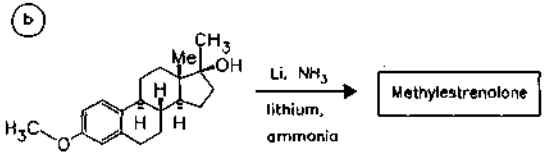
(Normethandrone; Normethandrolone)

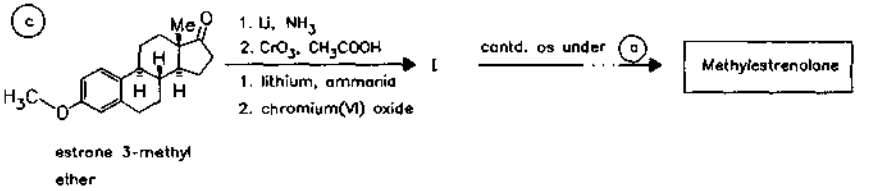
ATC: G03DC31
Use: progestogen

RN: 514-61-4 MF: $C_{19}H_{28}O_2$ MW: 288.43 EINECS: 208-183-0
CN: (17β)-17-hydroxy-17-methylestr-4-en-3-one









Reference(s):

a,b Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 4092 (1954).

c US 2 744 122 (Syntex; 1956; MEX-prior. 1951).
US 2 774 777 (Syntex; 1956; prior. 1952).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 4092 (1954).

alternative synthesis:

US 2 849 461 (P. de Ruggieri; 1958; appl. 1957).

Trade Name(s):

D: Gynäkosid (Boehringer Mannh.)-comb.; wfm

F: Orgastéron (Organon); wfm

USA: Methalutin (Parke Davis); wfm

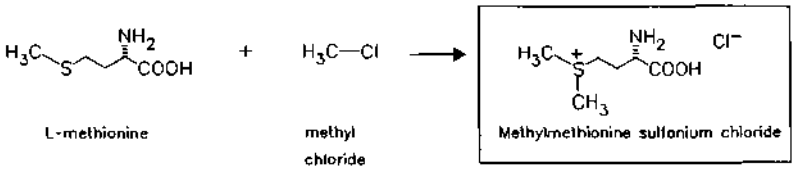
Methylmethionine sulfonium chloride

(Methiosulfonii chloridum; MMS; Vitamin U)

ATC: A02
Use: peptic ulcer therapeutic, antidote

RN: 1115-84-0 MF: $C_6H_{14}ClNO_2S$ MW: 199.70 EINECS: 214-231-1
LD_{50}: 259 mg/kg (M, i.v.); >6 g/kg (M, p.o.);
432 mg/kg (R, i.v.); >6 g/kg (R, p.o.)
CN: (*S*)-(3-amino-3-carboxypropyl)dimethylsulfonium chloride

bromide
RN: 33515-11-6 MF: $C_6H_{14}BrNO_2S$ MW: 244.15
iodide
RN: 3493-11-6 MF: $C_6H_{14}INO_2S$ MW: 291.15



Reference(s):
DE 1 239 697 (Degussa; appl. 20.2.1963).

therapy of renal diseases:
US 4 122 189 (Kaken; 24.10.1978; J-prior. 31.3.1976).
GB 1 538 000 (Kaken; appl. 30.3.1977; J-prior. 31.3.1976).
DOS 2 714 391 (Kaken; appl. 31.3.1977; J-prior. 31.3.1976).

hyperlipidemic effect:
Seri, K. et al.: Arzneim.-Forsch. (ARZNAD) **28**, 1711 (1978).

Formulation(s): drg. 12.5 mg; sol. 0.4 g/100 g

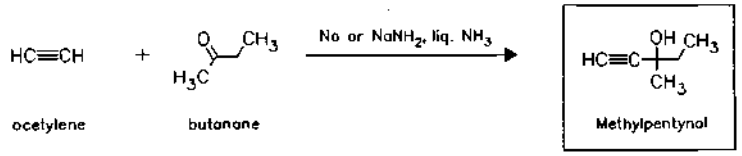
Trade Name(s):

D:	Medosalgon (Loges)-comb.; wfm	I:	Quamon (Neopharmed; as methylsulfate); wfm		New Edion-U (SS Seiyaku)
	Stacho-Zym (Kattwiga)-comb.; wfm	J:	Cabagin (Kowa)		Nichigreen U (Nichiiko)
F:	Ardesyl (Beytout); wfm		Gaston U (Tokyo Hosei)		Showa U (Showa)
	Lobarthrose (Opodex); wfm		Kizankohl (Sanko)		U-vit. (Hamari)
			Kizankohl U (Sanko)		Vitas U (Kaken)
			New U-TIV (Zeria)-comb.		Yucron (Daigo Eiyo)
					combination preparations

Methylpentynol
(Meparfynol)

ATC: N05CM15
Use: sedative

RN: 77-75-8 MF: $C_6H_{10}O$ MW: 98.15 EINECS: 201-055-5
LD_{50}: 525 mg/kg (M, p.o.)
CN: 3-methyl-1-pentyn-3-ol



Reference(s):
DRP 285 770 (Bayer; 1913).
DRP 289 800 (Bayer; 1913).
DRP 291 185 (Bayer; 1914).

Formulation(s): cps. 250 mg

Trade Name(s):

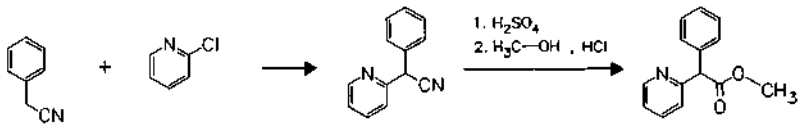
D:	Allotropal (Heyl); wfm		N-Oblivon (Latéma; as methylpentynol carbamate); wfm		Oblivon (British Schering); wfm
	Melval (Kattwiga)-comb.; wfm			USA:	Dormison (Schering); wfm
F:	N-Oblivon (Latema); wfm	GB:	Insomnol (Medo); wfm		

Methylphenidate

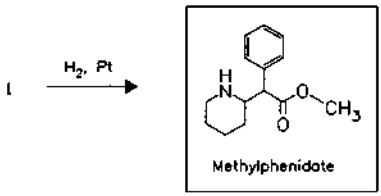
ATC: N06BA04
Use: psychotonic

RN: 113-45-1 MF: $C_{14}H_{19}NO_2$ MW: 233.31 EINECS: 204-028-6
LD_{50}: 41 mg/kg (M, i.v.); 150 mg/kg (M, p.o.);
48 mg/kg (R, i.v.); 367 mg/kg (R, p.o.)
CN: α-phenyl-2-piperidineacetic acid methyl ester

hydrochloride
RN: 298-59-9 MF: $C_{14}H_{19}NO_2 \cdot HCl$ MW: 269.77 EINECS: 206-065-3
LD_{50}: 40 mg/kg (M, i.v.); 60 mg/kg (M, p.o.);
50 mg/kg (R, i.v.); 350 mg/kg (R, p.o.)



benzyl cyanide | 2-chloro-pyridine | phenyl-(2-pyridyl)-acetonitrile | methyl phenyl-(2-pyridiyl)-acetate (I)



Reference(s):
US 2 507 631 (Ciba; 1950; CH-prior. 1944).

separation of diastereomers:
US 2 957 880 (Ciba; 1960; CH-prior. 1953).
Panizzon, L.: Helv. Chim. Acta (HCACAV) **27**, 1748 (1948).

Formulation(s): amp. 20 mg; tabl. 5 mg, 10 mg, 20 mg (as hydrochloride)

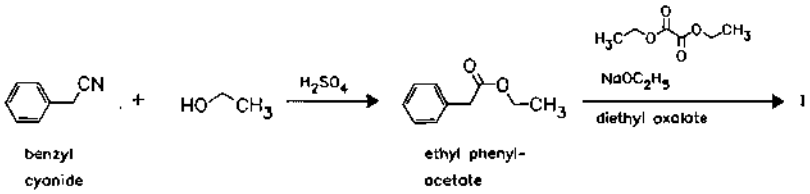
Trade Name(s):

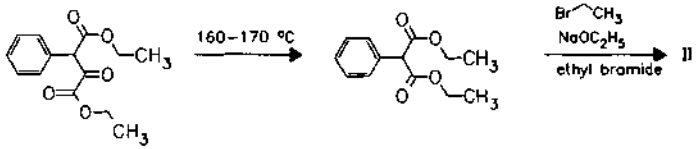
D:	Ritalin (Novartis Pharma)	I:	Ritalin (Ciba); wfm	USA:	Ritalin (Novartis)
F:	Ritaline (Novartis)	J:	Ritalin (Ciba-Geigy-Takeda)		
GB:	Ritalin (Novartis)				

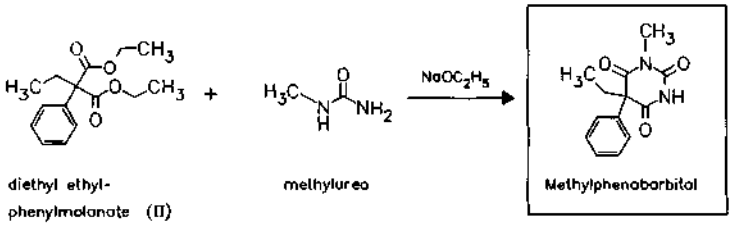
Methylphenobarbital
(Mephobarbital; Methylphenobarbitone)

ATC: N03AA01
Use: anticonvulsant, sedative

RN: 115-38-8 MF: $C_{13}H_{14}N_2O_3$ MW: 246.27 EINECS: 204-085-7
LD_{50}: 300 mg/kg (M, p.o.)
CN: 5-ethyl-1-methyl-5-phenyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione







Reference(s):
DRP 537 366 (I. G. Farben; 1929).
DRP 590 175 (I. G. Farben; 1932).

Formulation(s): tabl. 30 mg, 60 mg, 200 mg

Trade Name(s):

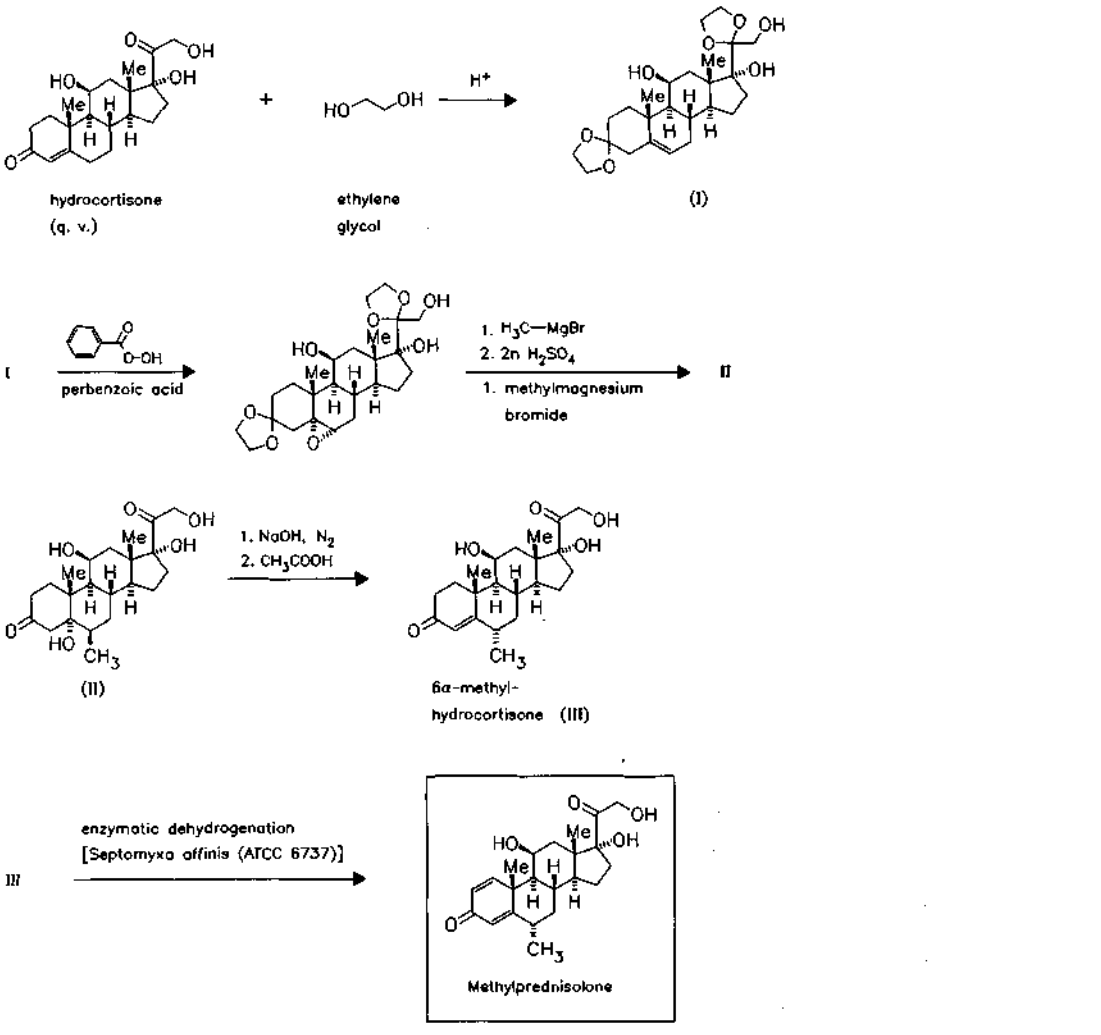
D:	Prominal (Bayer); wfm		Prominalette (Bracco); wfm	USA:	Mebaral (Sanofi)
GB:	Prominal (Sanofi Winthrop)				
I:	Prominal (Bracco); wfm	J:	Prominal (Bayer)		

Methylprednisolone

ATC: D07AA01; D10AA02; H02AB04
Use: glucocorticoid

RN: 83-43-2 MF: $C_{22}H_{30}O_5$ MW: 374.48 EINECS: 201-476-4
LD_{50}: >4 g/kg (R, p.o.)
CN: (6α,11β)-11,17,21-trihydroxy-6-methylpregna-1,4-diene-3,20-dione

acetate
RN: 53-36-1 MF: $C_{24}H_{32}O_6$ MW: 416.51 EINECS: 200-171-3
LD_{50}: >10 g/kg (R, p.o.)
succinate
RN: 2921-57-5 MF: $C_{26}H_{34}O_8$ MW: 474.55 EINECS: 220-863-9
succinate sodium salt
RN: 2375-03-3 MF: $C_{26}H_{33}NaO_8$ MW: 496.53 EINECS: 219-156-8
LD_{50}: 750 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
640 mg/kg (R, i.v.); >5 g/kg (R, p.o.)



Reference(s):
US 2 897 218 (Upjohn; 28.7.1959; appl. 23.11.1956; prior. 23.4.1956).
Speero, G.G. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 6213 (1956); **79**, 1515 (1957).

alternative syntheses:
US 3 053 832 (Schering Corp.; 11.9.1962; prior. 29.4.1957).
Fried, J.H. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1235 (1959).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

Formulation(s): amp. 20 mg, 30 mg, 40 mg, 60 mg, 80 mg; cream 0.1 %; ointment 0.1 %; tabl. 6 mg, 24 mg, 60 mg

Trade Name(s):

D: Advantan (Schering)
Depo-Medrate (Pharmacia & Upjohn)
Medrate (Pharmacia & Upjohn)
Metypred (Orion Pharma)
Urbason (Hoechst)

F: Dépo-Medrol (Pharmacia & Upjohn)
Médrol (Pharmacia & Upjohn)
Solu-Médrol (Pharmacia & Upjohn)

GB: Medrone (Pharmacia & Upjohn)

I: Advantan (Schering)
Asmacortone (Nuovo Cons. Sanit. Naz.)
Avancort (Farmades)
Depo Medrol (Upjohn)
Emmetip (Zanoni)
Esametone (Lisapharma)
Firmacort (Firma)

Medrol (Upjohn)
Medrol Loz. Antiance (Upjohn)-comb.
Metilpre (Formulario Naz.)
Neomedrol Veriderm (Upjohn)-comb.
Solu-medrol (Upjohn)
Urbason (Hoechst)

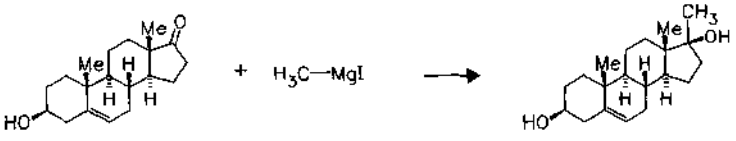
J: Urbason Retard (Hoechst)
USA: Medrol (Upjohn)
A-methaPred (Abbott); wfm
Depo-Medrol (Upjohn; as acetate); wfm
Depo-Predate (Legere); wfm

Dura-Meth (Foy); wfm
Medrol (Upjohn); wfm
Neo-Medrol (Upjohn)-comb.; wfm
Solu-Medrol (Upjohn; as 21-hemisuccinate); wfm
generic

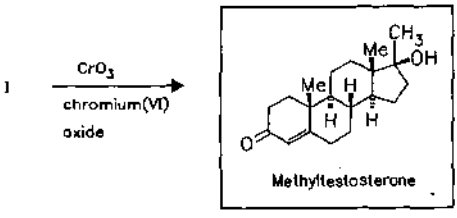
Methyltestosterone

ATC: G03BA02; G03EK01
Use: androgen

RN: 58-18-4 MF: $C_{20}H_{30}O_2$ MW: 302.46 EINECS: 200-366-3
LD_{50}: 1860 mg/kg (M, p.o.);
2500 mg/kg (R, p.o.)
CN: (17β)-17-hydroxy-17-methylandrost-4-en-3-one



androstenolone — methyl-magnesium iodide — (I)



Reference(s):
US 2 143 453 (Ciba; 1939; CH-prior. 1935).
US 2 374 369 (Ciba; 1945; CH-prior. 1939).
US 2 374 370 (Ciba; 1945; CH-prior. 1939).
Ruzicka, L.: Helv. Chim. Acta (HCACAV) **18**, 1487 (1935).

starting material:
The Merck Index, 2846 (Rahway 1976).

alternative syntheses:
US 2 384 335 (Alien Property Custodian; 1945; NL-prior. 1936).
US 2 386 331 (Ciba; 1945; CH-prior. 1938).
US 2 435 013 (Ciba; 1948; CH-prior. 1941).
Bharucha, K.R.: Experientia (EXPEAM) **14**, 5 (1958).

Formulation(s): cps. 5 mg, 10 mg, 25 mg

Trade Name(s):

D: Femoviron Dragees (Albert-Roussel)-comb.; wfm
Gerobion (Merck)-comb.; wfm
Gevrabon (Cedra)-comb.; wfm
Hormocornut B (AGM)-comb.; wfm
Hormo-Gerobion (Merck)-comb.; wfm

Hormovitastan (ASTA)-comb.; wfm
Klimax Taeschner (Taeschner); wfm
Lipogeron 300 (Nattermann)-comb.; wfm
Medigeron (Medice)-comb.; wfm
Pasuma (Cascan)-comb.; wfm
Primodian (Schering)-comb.; wfm
Primogeron (Schering)-comb.; wfm
Reginol (Merz)-comb.; wfm

F: Testifortan (Promonta)-comb.; wfm
Tropodil (Tropon)-comb.; wfm
Viracton plus (Promonta)-comb.; wfm
Climatérine (Lucien)-comb.; wfm
Glosso-Stérandryl (Roussel); wfm
Triphosadénine
Methyltestostérone
Composé (Débat)-comb.; wfm

Veinotrope
Méthyltestostéron (Lobica); wfm

GB: Mepilin (Duncan, Flockhart)-comb.; wfm
Perandren (Ciba); wfm

I: Testovis (SIT)

J: Enarmon Tab. (Teikoku Zoki)
Primodian (Nihon Schering)-comb.
Sanstron (Sankyo)

USA: Android (ICN)
Estratest (Solvay)
Testred (ICN)
Virilon (Star)

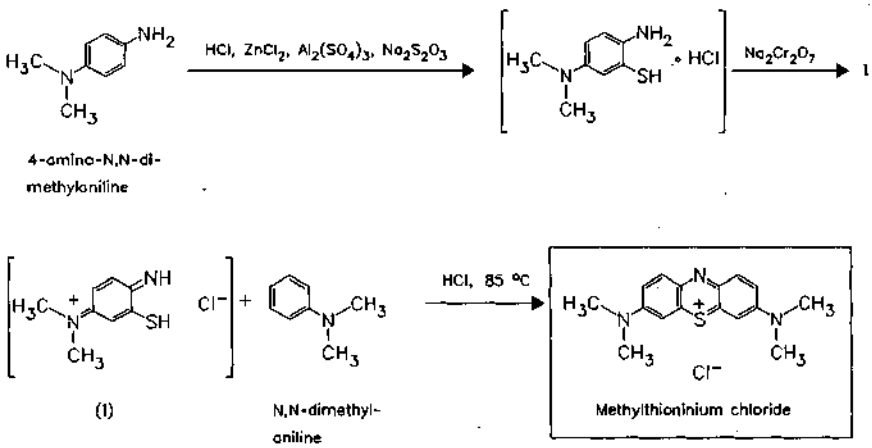
Methylthioninium chloride

(Methylenblau; Methylene blue)

ATC: V03AB17; V04CG05
Use: diagnostic (for gastric function test), antidote (cyanide poisonings)

RN: 61-73-4 MF: $C_{16}H_{18}ClN_3S$ MW: 319.86 EINECS: 200-515-2
LD_{50}: 77 mg/kg (M, i.v.); 3500 mg/kg (M, p.o.); 1250 mg/kg (R, i.v.); 1180 mg/kg (R, p.o.)
CN: 3,7-bis(dimethylamino)phenothiazin-5-ium chloride

trihydrate
RN: 7220-79-3 MF: $C_{16}H_{18}ClN_3S \cdot 3H_2O$ MW: 373.91



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. 8, 237.

Formulation(s): amp. 10 mg/ml, 50 mg/ml, 100 mg/ml; tabl. 65 mg

Trade Name(s):

D: Methylenblau Vitis (Neopharma)

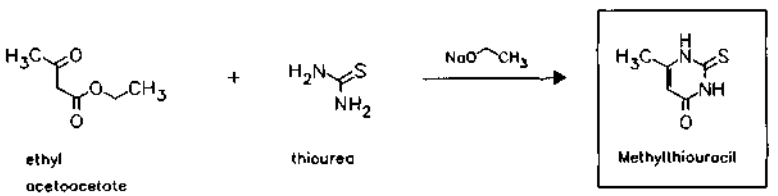
F: Antiseptique-Calmante(Chauvin)-comb.
Collyre Bleu Laiter (Leurquin)-comb.
Mictasol Bleu (Martin-Johnson & Johnson-MSD)-comb.

Pastilles Monléon (Toulade)-comb. Stilla (Phygiène)-comb.
I: Blu Di Meti (Scfm)
Blu Meti (Formulario Naz.)
USA: Urised (PolyMedica)-comb.
Urolene Blue (Star Pharmaceut.)

Methylthiouracil

ATC: H03BA01
Use: thyroid therapeutic

RN: 56-04-2 MF: $C_5H_6N_2OS$ MW: 142.18 EINECS: 200-252-3
LD_{50}: 1500 mg/kg (R, p.o.)
CN: 2,3-dihydro-6-methyl-2-thioxo-4(1*H*)-pyrimidinone



ethyl acetoacetate + thiourea

Reference(s):
List, R.: Justus Liebigs Ann. Chem. (JLACBF) **236**, 1 (1886).
Anderson, G.W. et al.: J. Am. Chem. Soc. (JACSAT) **67**, 2197 (1945).

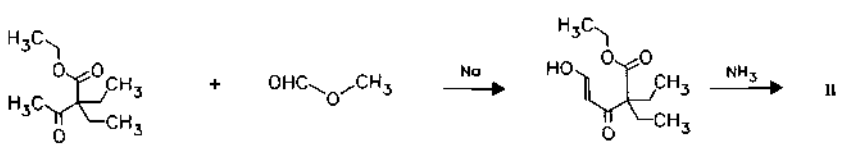
Formulation(s): tabl. 0.025 g, 0.1 g

Trade Name(s):
D: Pitufren comp. (Brunnengräber)-comb.; wfm
F: Frenantol Comp. (Laroche Navarron); wfm
J: Methiocil (Chugai)
USA: Muracin (Organon); wfm

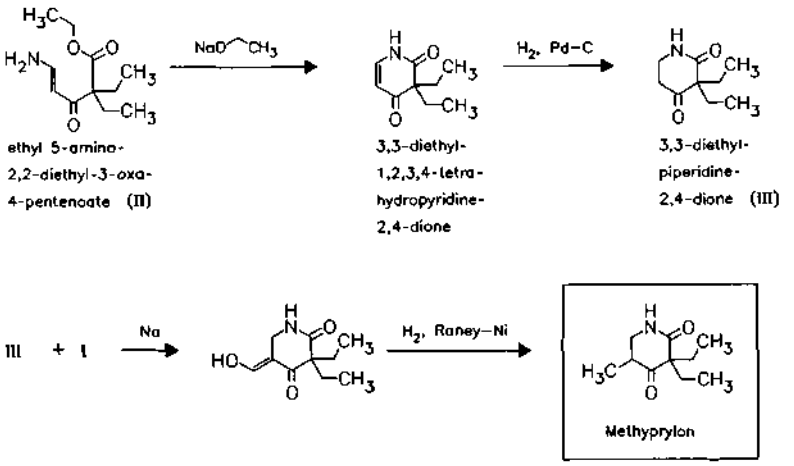
Methyprylon

ATC: N05CE02
Use: hypnotic

RN: 125-64-4 MF: $C_{10}H_{17}NO_2$ MW: 183.25 EINECS: 204-745-4
LD_{50}: 275 mg/kg (M, i.v.); 890 mg/kg (M, p.o.);
380 mg/kg (R, i.v.); 860 mg/kg (R, p.o.);
300 mg/kg (dog, p.o.)
CN: 3,3-diethyl-5-methyl-2,4-piperidinedione



ethyl 2,2-diethylacetoacetate + methyl formate (I) → ethyl 2,2-diethyl-4-(hydroxymethylene)-acetoacetate → II



Reference(s):
US 2 680 116 (Hoffmann-La Roche; 1954; CH-prior. 1951).
DRP 634 284 (Hoffmann-La Roche; 1935).
US 2 151 047 (Hoffmann-La Roche; prior. 1938).

Formulation(s): tabl. 200 mg

Trade Name(s):

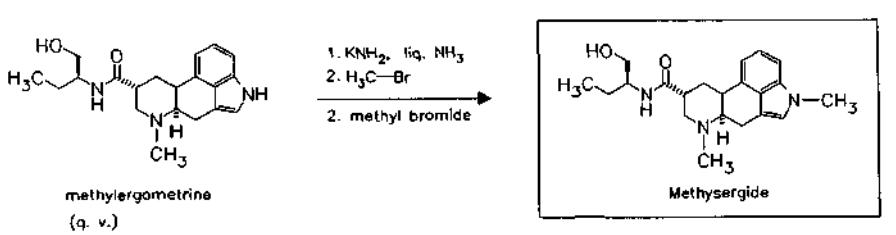
D:	Noludar (Roche); wfm	J:	Noctan (Yamanouchi)
GB:	Noludar (Roche)	USA:	Noludar (Roche); wfm

Methysergide

ATC: N02CA04
Use: serotonin antagonist, antimigraine agent

RN: 361-37-5 MF: $C_{21}H_{27}N_3O_2$ MW: 353.47 EINECS: 206-644-0
LD_{50}: 185 mg/kg (M, i.v.); 440 mg/kg (M, p.o.)
CN: [8β(*S*)]-9,10-didehydro-*N*-[1-(hydroxymethyl)propyl]-1,6-dimethylergoline-8-carboxamide

hydrogen maleate (1:1)
RN: 129-49-7 MF: $C_{21}H_{27}N_3O_2 \cdot C_4H_4O_4$ MW: 469.54 EINECS: 204-950-9



Reference(s):
US 3 113 133 (Sandoz; 3.12.1963; CH-prior. 18.5.1956).
US 3 218 324 (Sandoz; 16.11.1965; CH-prior. 18.5.1956, 20.3.1957, 16.4.1957, 7.3.1958, 11.3.1960).
DE 1 076 137 (Sandoz; appl. 7.5.1957; CH-prior. 18.5.1956, 20.3.1957).

Formulation(s): s. r. tabl. 3 mg; tabl. 1 mg, 2 mg (as hydrogen maleate)

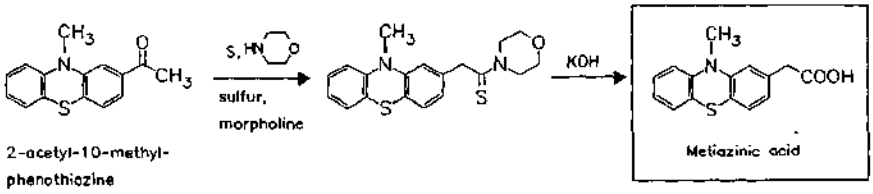
Trade Name(s):

D:	Deseril-retard (Novartis Pharma)	GB:	Deseril (Novartis)		Sansert (Sandoz; as maleate); wfm
		I:	Deserril (Sandoz)		
F:	Désernil Sandoz (Novartis)	USA:	Sansert (Sandoz); wfm		

Metiazinic acid
(Acide métiazinique)

ATC: M01; N02
Use: anti-inflammatory

RN: 13993-65-2 MF: $C_{15}H_{13}NO_2S$ MW: 271.34 EINECS: 237-795-0
LD_{50}: 350 mg/kg (M, i.v.); 800 mg/kg (M, p.o.);
495 mg/kg (R, p.o.);
2 g/kg (dog, p.o.)
CN: 10-methyl-10*H*-phenothiazine-2-acetic acid



Reference(s):
GB 1 048 680 (Rhône-Poulenc; appl. 27.10.1965; F-prior. 29.10.1964, 30.10.1964, 28.12.1964, 24.9.1965).

ester derivatives:
US 3 424 748 (Rhône-Poulenc; 28.1.1969; F-prior. 22.10.1965, 25.8.1966).

Formulation(s): cps. 125 mg, 250 mg

Trade Name(s):

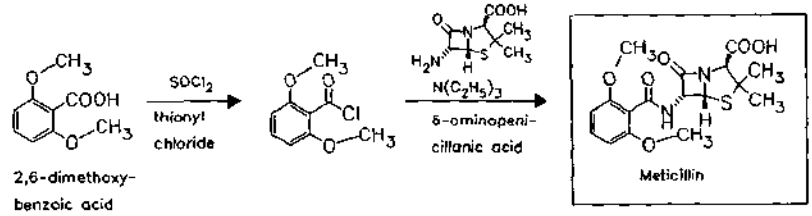
F:	Soridermal (Specia); wfm	I:	Soripal (Carlo Erba); wfm	J:	Roimal (Nippon Rhodia)
	Soripal (Specia); wfm		Soripal (Farmitalia); wfm		Soripal (Torii)

Meticillin
(Methicillin)

ATC: J01CF03
Use: antibiotic

RN: 61-32-5 MF: $C_{17}H_{20}N_2O_6S$ MW: 380.42 EINECS: 200-505-8
LD_{50}: 3720 mg/kg (M, i.v.)
CN: [2*S*-(2α,5α,6β)]-6-[(2,6-dimethoxybenzoyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

sodium salt monohydrate
RN: 7246-14-2 MF: $C_{17}H_{19}N_2NaO_6S \cdot H_2O$ MW: 420.42



Reference(s):
US 2 951 839 (Beecham; 6.9.1960; GB-prior. 15.7.1959).

Formulation(s): amp. 0.5 g, 1 g/ml, 4 g, 6 g (as sodium salt)

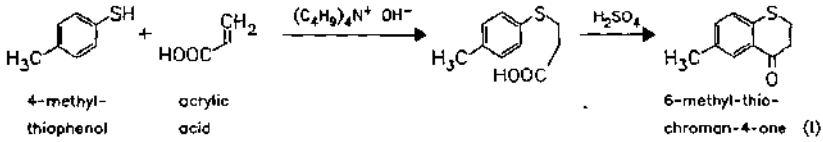
Trade Name(s):

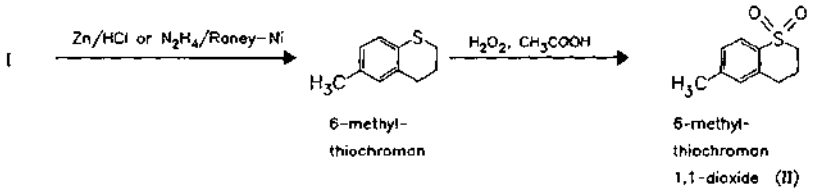
D:	Cinopenil (Hoechst); wfm		Pénistaph (Bristol); wfm		Celbenin (Beecham-Massengill); wfm
F:	Chibro-Flabelline (Merck Sharp & Dohme-Chibret)-comb.; wfm	GB:	Celbenin (Beecham; 1960)		Staphcillin (Bristol); wfm
	Flabelline (Delagrange); wfm	I:	Staficyn (Firma)		
		J:	Methocillin (Meiji)		
			Staphcillin (Banyu)		
		USA:	Azapen (Pfizer); wfm		

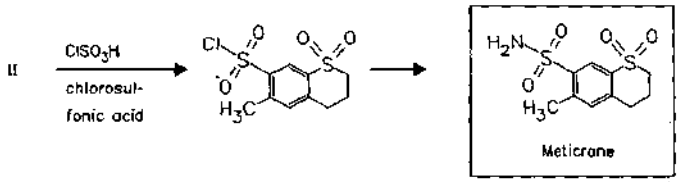
Meticrane

ATC: C03BA09
Use: diuretic

RN: 1084-65-7 MF: $C_{10}H_{13}NO_4S_2$ MW: 275.35 EINECS: 214-112-4
LD_{50}: 325 mg/kg (M, i.v.); >20 g/kg (M, p.o.);
445 mg/kg (R, i.v.); >16 g/kg (R, p.o.)
CN: 3,4-dihydro-6-methyl-2*H*-1-benzothiopyran-7-sulfonamide 1,1-dioxide







Reference(s):
FR 1 365 504 (S.I.F.A; appl. 24.5.1963).

Formulation(s): tabl. 150 mg

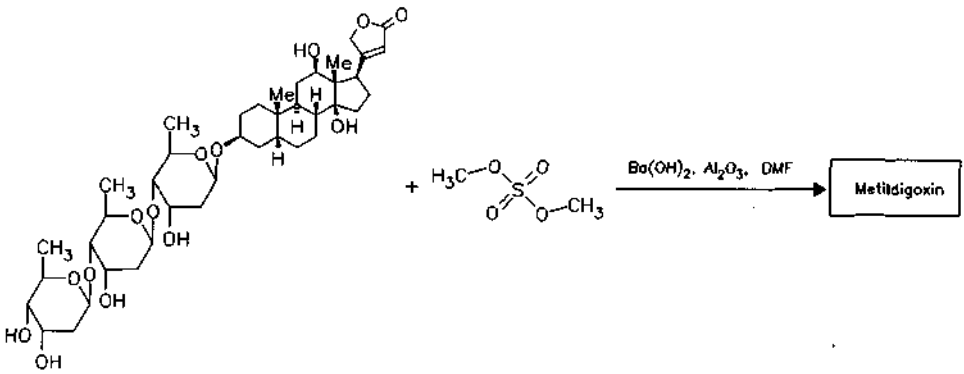
Trade Name(s):
F: Fontilix (Diamant); wfm J: Aresten (Nippon Shinyaku)

Metildigoxin

(Medigoxin; β-Methyldigoxin)

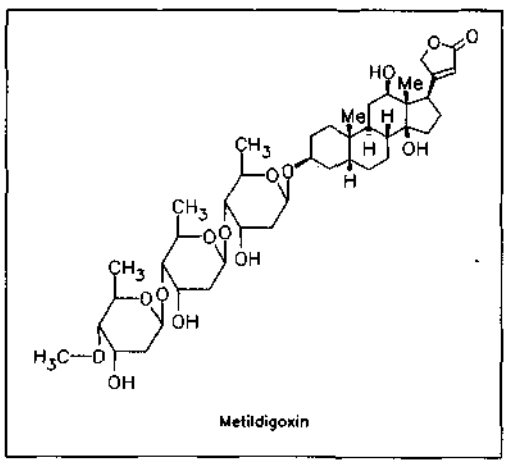
ATC: C01AA08
Use: cardiac glycoside

RN: 30685-43-9 MF: $C_{42}H_{66}O_{14}$ MW: 794.98 EINECS: 250-292-0
CN: (3β,5β,12β)-3-[(*O*-2,6-dideoxy-4-*O*-methyl-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-12,14-dihydroxycard-20(22)-enolid



digoxin (q. v.)

dimethyl sulfate



Reference(s):
DE 1 643 665 (Boehringer Mannh.; appl. 20.9.1967).
DOS 1 961 034 (Boehringer Mannh.; appl. 5.12.1969).
US 3 538 078 (Boehringer Mannh.; 3.11.1970; D-prior. 7.5.1968).

methylation with methyl mesylate:
DOS 2 734 401 (LEK; appl. 29.7.1977; YU-prior. 20.8.1976).
US 4 145 528 (LEK; 20.3.1979; YU-prior. 20.8.1976).

Formulation(s): amp. 0.2 mg; tabl. 0.1 mg, 0.15 mg

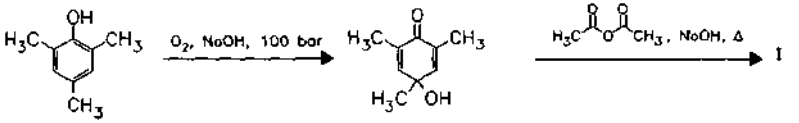
Trade Name(s):

D:	Lanitop (Boehringer Mannh.; 1971)	I:	Cardiolan (Tosi-Novara)		Miopat (Polifarma)
F:	Lanitop (Roussel); wfm		Lanitop (Boehringer Mannh.; 1973)	J:	Lanirapid (Yamanouchi; 1979)

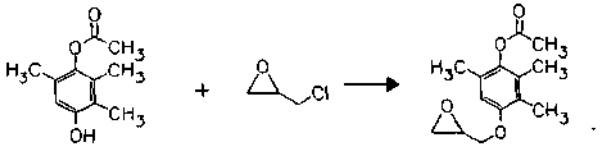
Metipranolol

ATC: S01ED04
Use: beta blocking agent

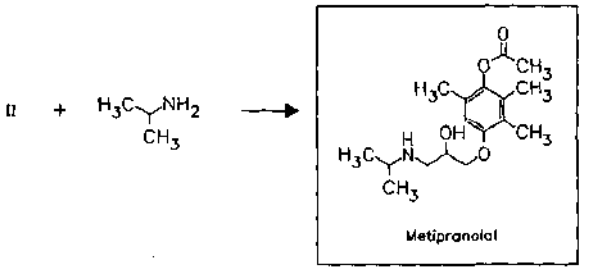
RN: 22664-55-7 MF: $C_{17}H_{27}NO_4$ MW: 309.41 EINECS: 245-151-5
CN: 4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-2,3,6-trimethylphenol 1-acetate



2,4,6-trimethyl-phenol



4-acetoxy-2,3,5-trimethylphenol (I) | epichloro-hydrin | (II)



Reference(s):
DOS 1 668 964 (Spofa; appl. 1968; P-prior. 1967).
CS 1 150 020 (L. Blaha; appl. 26.11.1970).

synthesis of 4-acetoxy-2,3,5-trimethylphenol:
DOS 2 314 600 (Teijin; appl. 23.3.1973; J-prior. 25.3.1972).

Formulation(s): drg. 20 mg; eye drops 1 mg/ml, 3 mg/ml, 6 mg/ml (0.1 %, 0.3 %, 0.6 %); tabl. 20 mg

Trade Name(s):

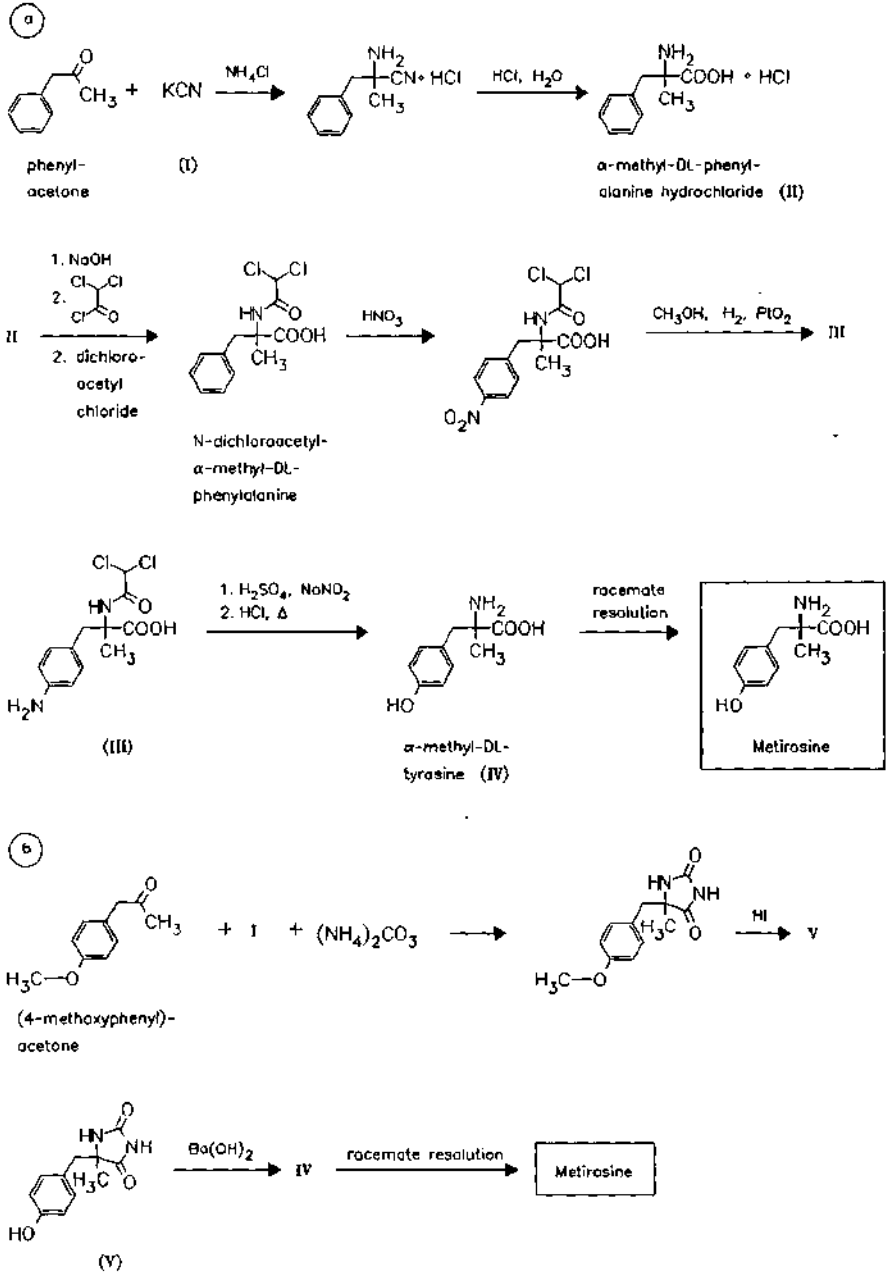
D:	Betamann (Mann)		Torrat (Boehringer Mannh.)	F:	Bétanol (Europhta)
	Normoglaucon (Mann)-comb.		Tri-Torrat (Boehringer Mannh.)	I:	Turoptin (CIBA Vision)-comb.

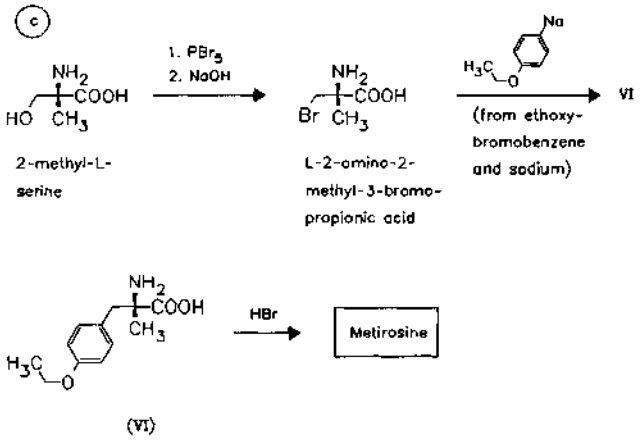
Metirosine

(Metyrosine; α-Methyltyrosine)

ATC: C02KB01
Use: antihypertensive (at pheochromocytoma)

RN: 672-87-7 MF: $C_{10}H_{13}NO_3$ MW: 195.22 EINECS: 211-599-5
CN: α-methyl-L-tyrosine





Reference(s):

a Stein, G.A. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 700 (1955).
US 2 868 818 (Merck & Co.; 13.1.1959; appl. 15.12.1953).

b Potts, K.T.: J. Chem. Soc. (JCSOA9) **1955**, 1632.

c DOS 1 543 763 (Merck & Co.; appl. 25.5.1966; USA-prior. 3.6.1965).
GB 1 105 103 (Merck & Co.; appl. 1.6.1966; USA-prior. 3.6.1965).

alternative syntheses:
enantioselective synthesis from L-tyrosine *via the reaction product from N,O*-bis(carbobenzoxy)-L-tyrosine *with* benzaldehyde *and its methylation:*
US 4 508 921 (Merck & Co.; 2.4.1985; appl. 28.6.1984).

DL-metirosine *by reaction of N,N*-dimethyl-4-hydroxybenzylamine *with* ethyl 2-nitropropionate:
Saari, W.S.: J. Org. Chem. (JOCEAH) **32**, 4074 (1967).

combination with carbidopa:
US 4 389 415 (Merck & Co.; 21.6.1983; USA-prior. 24.1.1978, 5.10.1979, 20.7.1981).
EP 3 353 (Merck & Co.; appl. 24.1.1979; USA-prior. 24.1.1978).

Formulation(s): cps. 250 mg

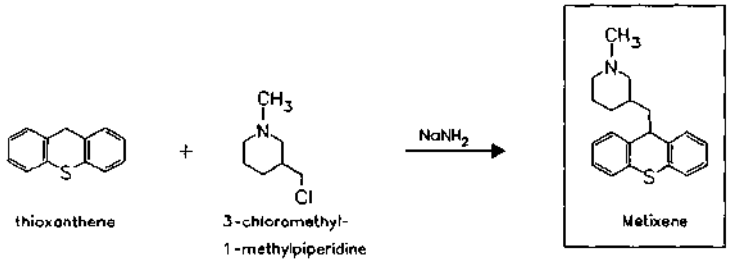
Trade Name(s):
GB: Demser (Merck Sharp & Dohme); wfm
USA: Demser (Merck Sharp & Dohme)

Metixene
(Methixene)

ATC: N04AA03
Use: antiparkinsonian, antispasmodic

RN: 4969-02-2 MF: $C_{20}H_{23}NS$ MW: 309.48 EINECS: 225-610-6
LD_{50}: 18 mg/kg (M, i.v.); 430 mg/kg (M, p.o.)
CN: 1-methyl-3-(9*H*-thioxanthen-9-ylmethyl)piperidine

hydrochloride
RN: 1553-34-0 MF: $C_{20}H_{23}NS \cdot HCl$ MW: 345.94 EINECS: 216-300-1
LD_{50}: 18 mg/kg (M, i.v.); 346 mg/kg (M, p.o.);
24 mg/kg (R, i.v.); 1460 mg/kg (R, p.o.)
hydrochloride monohydrate
RN: 7081-40-5 MF: $C_{20}H_{23}NS \cdot HCl \cdot H_2O$ MW: 363.95



Reference(s):
US 2 905 590 (The Wander Comp.; 22.9.1959; prior. 7.5.1958).

Formulation(s): tabl. 2.5 mg, 5 mg, 15 mg (as hydrochloride)

Trade Name(s):

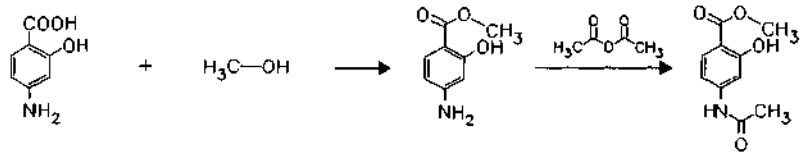
D:	Tremarit (Novartis Pharma)		Tremonil (Sandoz; as hydrochloride hydrate); wfm		Dalpan (Grelan)
F:	Spasmenzyme (Salvoxyl-Wander)-comb.; wfm				Methyloxan (Nippon Shoji-Kodama)
GB:	Tremonil (Wander); wfm	I:	Tremaril (Sandoz)		Thioperkin (Hokuriku)
		J:	Atosil (Teisan)	USA:	Trest (Dorsey); wfm
			Cholinfall (Tokyo Tanabe)		

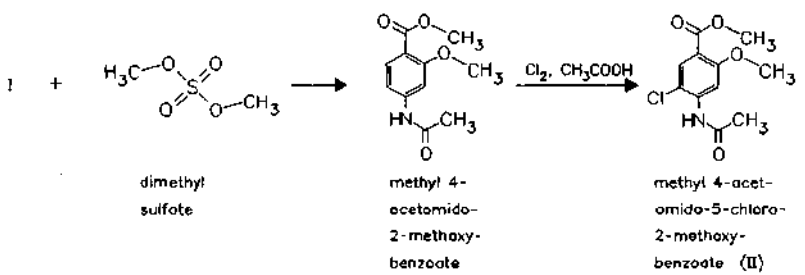
Metoclopramide

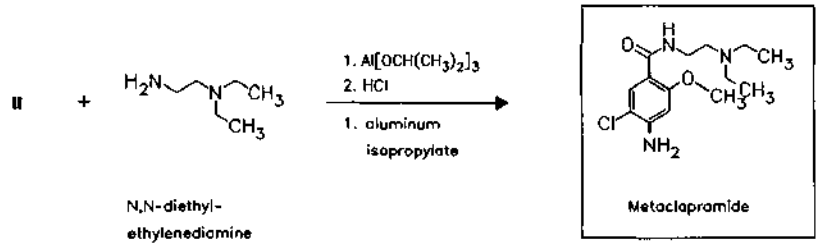
ATC: A03FA01
Use: anti-emetic, gastric therapeutic

RN: 364-62-5 MF: $C_{14}H_{22}ClN_3O_2$ MW: 299.80 EINECS: 206-662-9
CN: 4-amino-5-chloro-*N*-[2-(diethylamino)ethyl]-2-methoxybenzamide

monohydrochloride
RN: 7232-21-5 MF: $C_{14}H_{22}ClN_3O_2 \cdot HCl$ MW: 336.26 EINECS: 230-634-5
monohydrochloride monohydrate
RN: 54143-57-6 MF: $C_{14}H_{22}ClN_3O_2 \cdot HCl \cdot H_2O$ MW: 354.28







Reference(s):
DE 1 233 877 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; appl. 14.7.1962; F-prior. 25.7.1961).
FR 1 313 758 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; appl. 25.7.1961).
US 3 177 252 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; 6.4.1965; F-prior. 25.7.1961).
US 3 219 528 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; 23.11.1965; F-prior. 25.7.1961, 5.8.1961, 4.11.1961).
US 3 357 978 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; 12.12.1967; F-prior. 5.3.1963).

alternative syntheses:
DOS 1 932 512 (Huhtamaki; appl. 26.6.1969; SF-prior. 28.6.1968).
DAS 1 960 130 (Yamanouchi; appl. 29.11.1969; J-prior. 2.12.1968, 9.12.1968, 4.4.1969).
DAS 1 966 453 (Yamanouchi; appl. 29.11.1969; J-prior. 9.12.1968).
DAS 2 102 848 (Delmar; appl. 21.1.1971; USA-prior. 21.1.1970).
DAS 2 119 724 (Teikoku Hormone Mfg.; appl. 22.4.1971; J-prior. 24.4.1970).
DAS 2 162 917 (Soc. d'Etudes Scientifiques et Industrielles de l'Ile-de-France; appl. 17.12.1971; J-prior. 21.12.1970).
DAS 2 166 117 (Teikoku Hormone Mfg.; appl. 22.4.1971; J-prior. 24.4.1970).
DAS 2 166 118 (Teikoku Hormone Mfg.; appl. 22.4.1971; J-prior. 24.4.1970).
DAS 2 342 934 (Delmar; appl. 24.8.1973; GB-prior. 25.8.1972, 13.12.1972, 16.4.1973).
DAS 2 365 988 (Heumann & Co.; appl. 12.7.1973).

starting material:
DAS 2 335 439 (Heumann & Co.; appl. 12.7.1973).

Formulation(s): amp. 10 mg/2 ml, 50 mg/10 ml; cps. 10 mg, 30 mg; drops 4 mg, 5 mg; liquid 4 mg; s. r. cps. 30 mg; sol. 1 mg/ml, 15 mg/15 ml, 5 mg/5 ml; suppos. 10 mg, 20 mg; tabl. 10 mg (as hydrochloride hydrate)

Trade Name(s):

D:
Cerucal (ASTA Medica AWD)
Gastronerton (Dolorgiet)
Gastrosil (Heumann)
Gastro-Timelets (Temmler)
Paspertase (Solvay Arzneimittel)-comb.
Paspertin (Solvay Arzneimittel; 1965)
generics

F:
Anausin Metoclopramide (ASTA Medica)
Céphalgan (UPSA)-comb.
Metoclopramide GNR (GNR-pharma)
Primpéran (Thera France; 1964)

GB:
Gastrobid Continus (Napp)
Maxolon (Monmouth; 1967)
Paramax (Lorex)-comb.

I:
Citroplus (Irbi)
Clopan (Firma)
Cronauzan (ASTA Medica)
Ede (Teofarma)-comb.
Eugastran (Piam)-comb.
Geffer (Boehringer Mannh.)
Plasil (Lepetit; 1967)
Plasil enzimatico (Lepetit)-comb.
Randum (Roussel)
Viscal (Zoja)

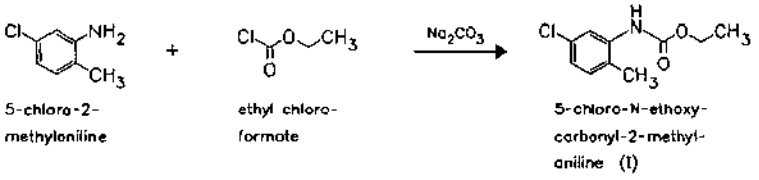
J:
Donopon-GP (Sana)
Peraprin (Taiyo Yakuko Takayama)
Primperan (Fujisawa; 1970)
Putoprin (Mohan)
Terperan (Teikoku Zoki)

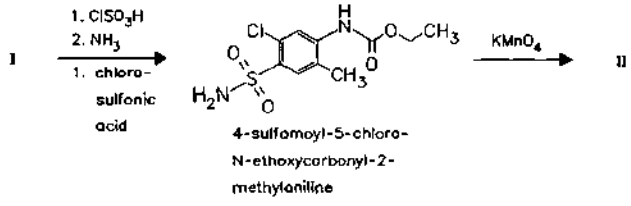
USA:
Reglan (Robins; 1979)

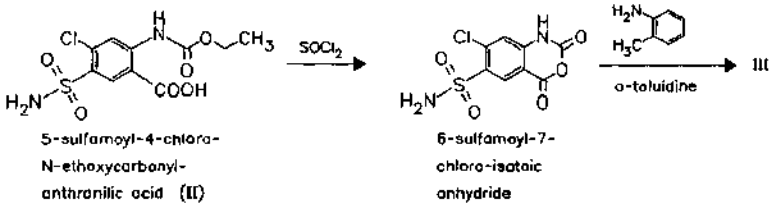
Metolazone

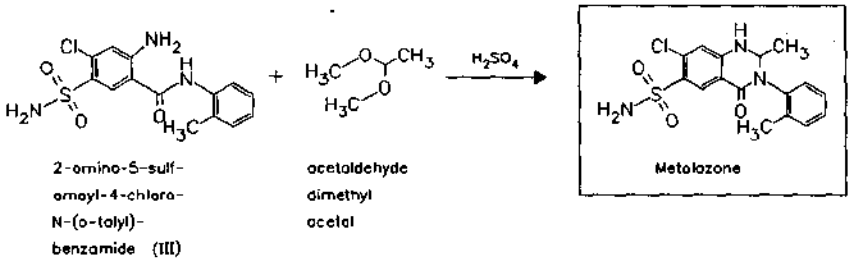
ATC: C03BA08
Use: diuretic, antihypertensive

RN: 17560-51-9 MF: $C_{16}H_{16}ClN_3O_3S$ MW: 365.84 EINECS: 241-539-3
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 7-chloro-1,2,3,4-tetrahydro-2-methyl-3-(2-methylphenyl)-4-oxo-6-quinazolinesulfonamide









Reference(s):
DAS 1 620 740 (Pennwalt; appl. 24.12.1966; USA-prior. 3.1.1966).
DOS 2 131 622 (Pennwalt; appl. 25.6.1971; USA-prior. 29.6.1970).
US 3 360 518 (Wallace & Tiernan; 26.12.1967; prior. 3.1.1966).
US 3 557 111 (Wallace & Tiernan; 19.1.1971; prior. 29.3.1968).
US 3 761 480 (Pennwalt; 25.9.1973; prior. 10.7.1968, 7.11.1969, 15.3.1972).
DOS 2 035 657 (Sumitomo; appl. 17.7.1970; J-prior. 22.7.1969, 25.2.1970, 27.3.1970).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg

Trade Name(s):

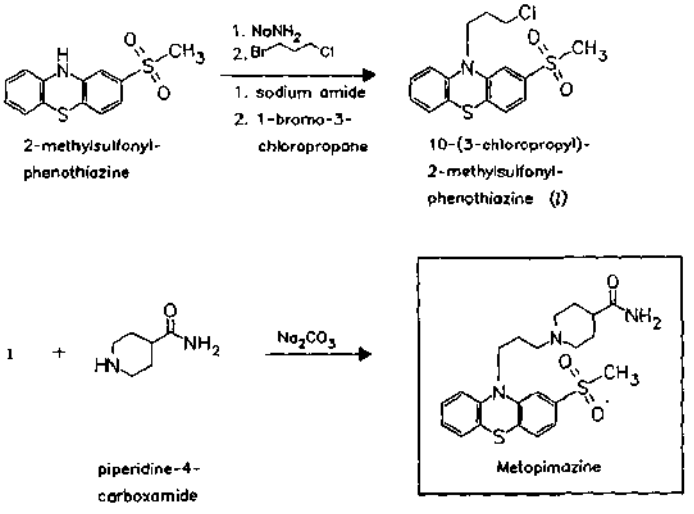
D:	Zaroxolyn (Heumann)	I:	Zaroxolyn (SmithKline Beecham)	J:	Normelan (Sandoz-Sankyo)
GB:	Metenix (Hoechst)				

USA: Mykrox (Medeva)
Zaroxolyn (Medeva)

Metopimazine

ATC: A04AD05
Use: anti-emetic

RN: 14008-44-7 MF: $C_{22}H_{27}N_3O_3S_2$ MW: 445.61 EINECS: 237-818-4
CN: 1-[3-[2-(methylsulfonyl)-10*H*-phenothiazin-10-yl]propyl]-4-piperidinecarboxamide



Reference(s):
DE 1 092 476 (Rhône-Poulenc; appl. 14.4.1959; F-prior. 24.4.1958).

Formulation(s): amp. 10 mg/1 ml; cps. 15 mg; drg. 2.5 mg; sol. 4 mg/ml, 5 mg/5 ml; suppos. 5 mg; tabl. 2.5 mg

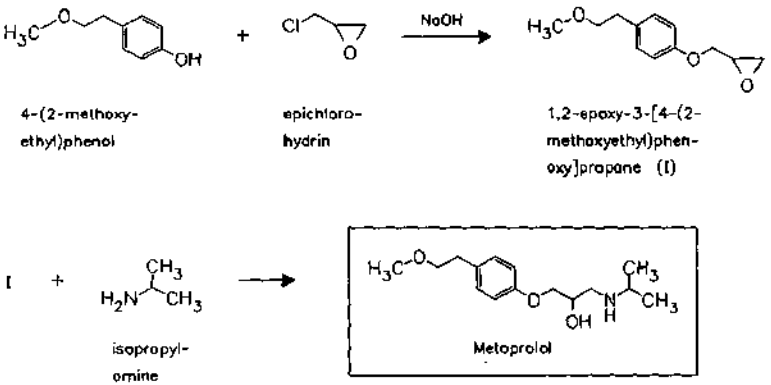
Trade Name(s):
F: Vogalène (Schwarz)

Metoprolol

ATC: C07AB02
Use: beta blocking agent

RN: 51384-51-1 MF: $C_{15}H_{25}NO_3$ MW: 267.37 EINECS: 253-483-7
LD_{50}: 62 mg/kg (M, i.v.); 1050 mg/kg (M, p.o.);
71.9 mg/kg (R, i.v.); 3470 mg/kg (R, p.o.);
60 mg/kg (dog, i.v.)
CN: 1-[4-(2-methoxyethyl)phenoxy]-3-[(1-methylethyl)amino]-2-propanol

tartrate (2:1)
RN: 56392-17-7 MF: $C_{15}H_{25}NO_3 \cdot 1/2C_4H_6O_6$ MW: 684.82 EINECS: 260-148-9



Reference(s):
DAS 2 106 209 (AB Hässle; appl. 10.2.1971; S-prior. 18.2.1970).
US 3 873 600 (AB Hässle; 25.3.1975; S-prior. 18.2.1970).
US 3 998 790 (AB Hässle; 21.12.1976; appl. 15.1.1974; prior. 19.3.1973).

(S)-enantiomer:
US 5 034 535 (Astra; 23.7.1991; S-prior. 22.4.1988).
US 5 362 757 (Sepracor; 8.11.1994; appl. 16.11.1992; prior. 18.3.1991).

Formulation(s): amp. 5 mg/5 ml; s. r. f. c. tabl. 200 mg; s. r. tabl. 200 mg; tabl. 50 mg, 100 mg (as tartrate)

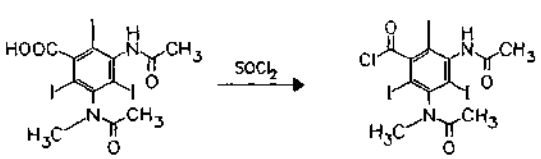
Trade Name(s):

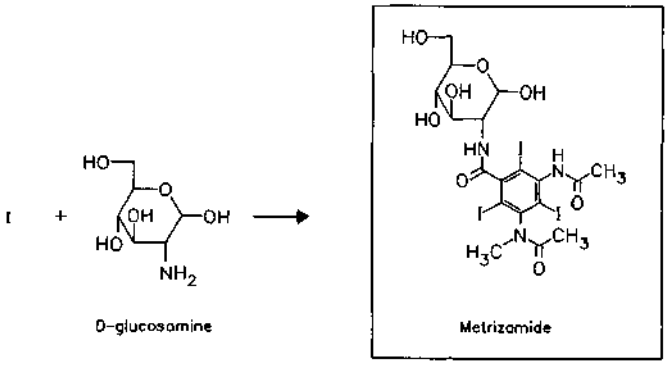
D:	Azumetop (Azupharma)	F:	Logimax (Astra)-comb.	I:	Igroton Lopresor (Novartis)-comb.
	Beloc (Astra; 1976)		Logroton (Novartis Pharma SA)-comb.		Lopresor (Novartis; 1978)
	Lopresor (Novartis Pharma; 1976)		Lopressor (Novartis Pharma SA; 1980)		Seloken (Astra; 1978)
	Prelis (Novartis Pharma; 1982)		Seloken (Astra; 1980)		Selozide (Astra)-comb.
	Sigaprolol (Kytta-Siegfried)	GB:	Betaloc (Astra; 1975)	J:	Lopresor (Ciba-Geigy)
	Treloc (Astra)-comb.		Co-betaloc (Astra; as tartrate)-comb.		Seloken (Fujisawa; 1983)
	generics		Lopresor (Novartis; 1975)	USA:	Lopressor (Novartis; 1978)

Metrizamide

ATC: V08AB01
Use: X-ray contrast medium

RN: 55134-11-7 MF: $C_{18}H_{22}I_3N_3O_8$ MW: 789.10
CN: 2-[[3-(acetylamino)-5-(acetylmethylamino)-2,4,6-triiodobenzoyl]amino]-2-deoxy-D-glucopyranose





Reference(s):
US 3 701 771 (Nyegaard; 31.10.1972; GB-prior. 27.6.1969, 9.2.1970).
DOS 2 031 724 (Nyegaard; appl. 26.6.1970; GB-prior. 27.6.1969, 9.2.1970).

Formulation(s): amp. 3.75 g, 6.75 g (12.5 %, 13.5 %, 18.75 %)

Trade Name(s):

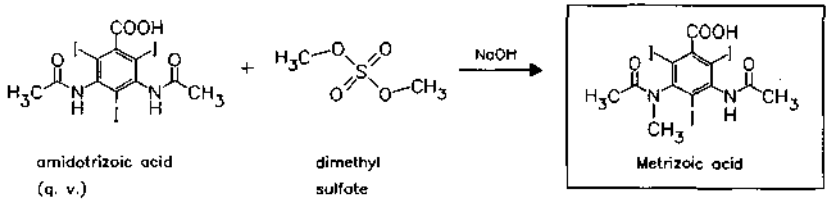
D:	Amipaque (Schering; 1977); wfm Arnipaque (Schering); wfm	F:	Amipaque (Sterling Winthrop; 1980); wfm	USA:	Amipaque (Winthrop-Breon; 1975); wfm
		J:	Amipaque (Schering; 1981)		

Metrizoic acid

ATC: V08AA02
Use: X-ray contrast medium

RN: 1949-45-7 MF: $C_{12}H_{11}I_3N_2O_4$ MW: 627.94 EINECS: 217-761-1
LD_{50}: 10 g/kg (M, i.v.); >46.8 mg/kg (M, p.o.);
14.3 g/kg (R, i.v.); 38.1 mg/kg (R, p.o.)
CN: 3-(acetylamino)-5-(acetylmethylamino)-2,4,6-triiodobenzoic acid

monosodium salt
RN: 7225-61-8 MF: $C_{12}H_{10}I_3N_2NaO_4$ MW: 649.92 EINECS: 230-624-0



Reference(s):
GB 973 881 (Nyegaard; appl. 5.12.1960; N-prior. 8.12.1959).
GB 987 796 (Nyegaard; appl. 26.2.1962; N-prior. 28.2.1961).
US 3 178 473 (Nyegaard; 13.4.1965; appl. 2.3.1962).

Formulation(s): amp. 100 mg, 150 mg, 260 mg, 350 mg, 370 mg, 440 mg (as Ca-, Mg-, Na- and meglumine salt)

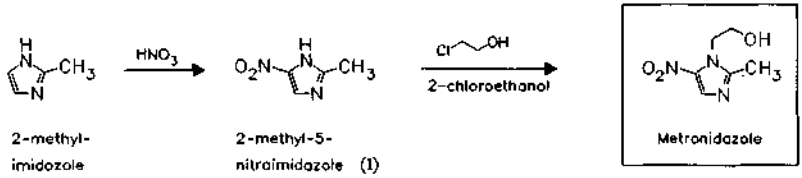
Trade Name(s):

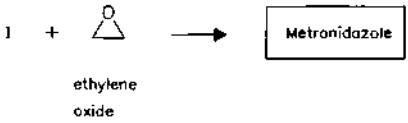
D:	Ronpacon (Cilag-Chemie); wfm	F:	Isopaque (Winthrop); wfm	USA:	Isopaque (Winthrop); wfm
		J:	Isopaque (Torii); wfm		

Metronidazole

ATC: A01AB17; D06BX01; G01AF01; J01XD01; P01AB01
Use: chemotherapeutic (trichomonas)

RN: 443-48-1 MF: $C_6H_9N_3O_3$ MW: 171.16 EINECS: 207-136-1
LD_{50}: 3800 mg/kg (M, p.o.);
3 g/kg (R, p.o.)
CN: 2-methyl-5-nitro-1*H*-imidazole-1-ethanol





Reference(s):
US 2 944 061 (Rhône-Poulenc; 5.7.1960; F-prior. 20.9.1975).

Formulation(s): cps. 250 mg, 375 mg; f. c. tabl. 250 mg, 400 mg; suppos. 100 mg (vaginal); tabl. 250 mg, 400 mg; vaginal tabl. 100 mg; vial 5 g/1000 ml

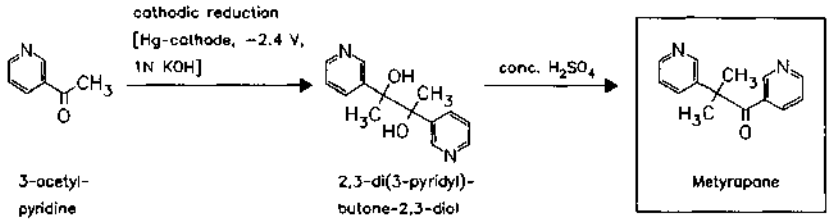
Trade Name(s):

D:	Arilin (Wolff)	GB:	Anabact (ASTA Medica AWD)		Vagilen (Farmigea)
	Canesten-Clont-Kombipack. (Bayer)		Elyzol (Dumex)	J:	Asuzol (Fuji)
	Clont (Bayer Vital)		Flagyl (Rhône-Poulenc Rorer)		Flagyl (Shionogi)
	Flagyl (Rhône-Poulenc Rorer)		Metrogel (Novartis)		Nida (Toyo Pharmar)
	Fossyol (Merckle)		Rozex (Stafford-Miller)		Takimetol (Nakataki)
	generics		Zidoval (3M)		Trichocide (Green Cross)
F:	Flagyl (Specia)	I:	Deflamon (SPA)		Wagitran (Nakataki)
	Rodogyl (Specia)-comb.		Flagyl (Farmitalia)	USA:	Flagyl (SCS)
	Rozagel (Biorga)		Metronid (Formulario Naz.)		Flagyl (SCS; as hydrochloride)
	Tergynan (Bouchara)-comb.		Metronidazolo Same (Savoma)		Flagyl (Searle)
					Helidac (Procter & Gamble)

Metyrapone

ATC: V04CD01
Use: adrenocorticostatic

RN: 54-36-4 MF: $C_{14}H_{14}N_2O$ MW: 226.28 EINECS: 200-206-2
CN: 2-methyl-1,2-di-3-pyridinyl-1-propanone



Reference(s):
Chart, J.J. et al.: Experientia (EXPEAM) **14**, 151 (1958).
Allen, M.J.: J. Org. Chem. (JOCEAH) **15**, 435 (1950). - (pinacol-synthesis)
Bencze, W.L.; Allen, M.J.: J. Am. Chem. Soc. (JACSAT) **81**, 4015 (1959).

as intermediate mentioned in:
US 2 923 710 (Ciba; 2.2.1960, prior. 14.7.1958).
US 2 966 493 (Ciba; 27.12.1960; appl. 10.3.1958).

Formulation(s): cps. 250 mg

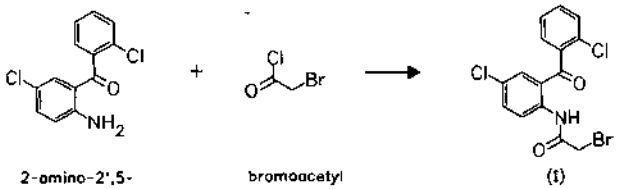
Trade Name(s):

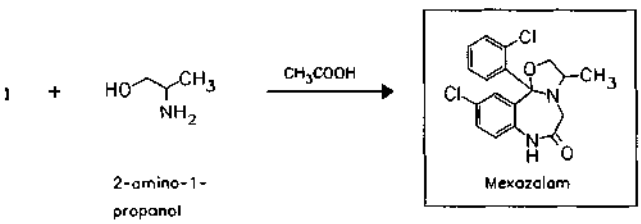
D:	Metopiron (Ciba); wfm	GB:	Metopirone (Novartis)	J:	Metopiron (Ciba-Geigy-Takeda)
F:	Metopirone (Novartis Pharma SA)	I:	Metopiron (Ciba); wfm	USA:	Metopirone (Ciba); wfm

Mexazolam

ATC: N05
Use: tranquilizer, anxiolytic

RN: 31868-18-5 MF: $C_{18}H_{16}Cl_2N_2O_2$ MW: 363.24
LD_{50}: 4571 mg/kg (M, p.o.);
4500 mg/kg (R, p.o.)
CN: 10-chloro-11b-(*o*-chlorophenyl)-2,3,7,11b-tetrahydro-3-methyloxazolo[3,2-*d*][1,4]benzodiazepin-6(5*H*)-one





Reference(s):
Migadera, T. et al.: J. Med. Chem. (JMCMAR) **14**, 520 (1971).
JP 4 941 439 (Sankyo; appl. 21.12.1970).

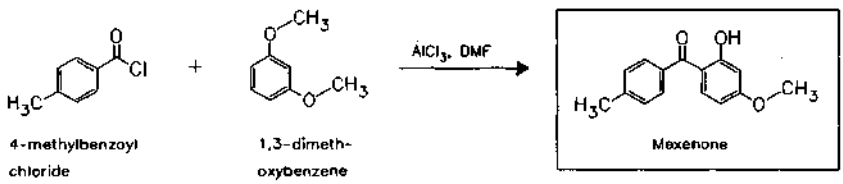
Formulation(s): 0.5 mg, 1 mg

Trade Name(s):
J: Melex (Sankyo)

Mexenone

ATC: D02B
Use: ultraviolet screen

RN: 1641-17-4 MF: $C_{15}H_{14}O_3$ MW: 242.27 EINECS: 216-691-9
CN: (2-hydroxy-4-methoxyphenyl)(4-methylphenyl)methanone



Reference(s):
US 2 773 903 (American Cyanamid; 1956; prior. 1955).

Formulation(s): cream 4 %

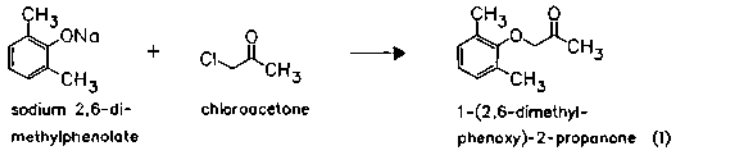
Trade Name(s):
GB: Uvistat (WB Pharmaceuticals); wfm

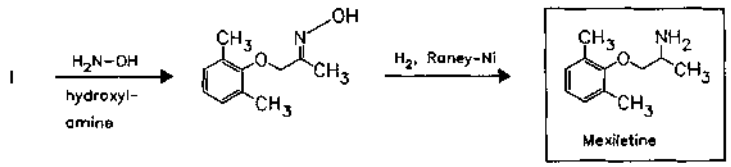
Mexiletine

ATC: C01BB02
Use: anticonvulsant, antiarrhythmic

RN: 31828-71-4 MF: $C_{11}H_{17}NO$ MW: 179.26 EINECS: 250-825-7
LD_{50}: 23 mg/kg (M, i.v.); 320 mg/kg (M, p.o.);
41 mg/kg (R, i.v.)
CN: 1-(2,6-dimethylphenoxy)-2-propanamine

hydrochloride
RN: 5370-01-4 MF: $C_{11}H_{17}NO \cdot HCl$ MW: 215.72 EINECS: 226-362-1
LD_{50}: 21 mg/kg (M, i.v.); 272 mg/kg (M, p.o.);
27 mg/kg (R, i.v.); 330 mg/kg (R, p.o.);
19 mg/kg (dog, i.v.); 356 mg/kg (dog, p.o.)





Reference(s):
US 3 954 872 (Boehringer Ing.; 4.5.1976; D-prior. 16.9.1966, 17.8.1967).
DE 1 543 369 (Boehringer Ing.; prior. 16.9.1966).

composition and use:
US 4 031 244 (Boehringer Ing.; 21.6.1977; D-prior. 17.8.1967).

Formulation(s): amp. 25 mg/ml, 250 mg/10 ml; cps. 100 mg, 150 mg, 200 mg; s. r. cps. 360 mg (as hydrochloride)

Trade Name(s):

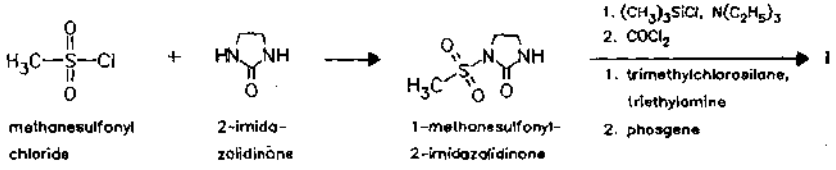
D:	Mexitil (Boehringer Ing.; 1979)	GB:	Mexitil (Boehringer Ing.; 1976)	J:	Mexitil (Boehringer Ing.; 1985)
F:	Mexitil (Boehringer Ing.; 1980)	I:	Mexitil (Boehringer Ing.; 1982)	USA:	Mexitil (Boehringer Ing.; 1986) generics

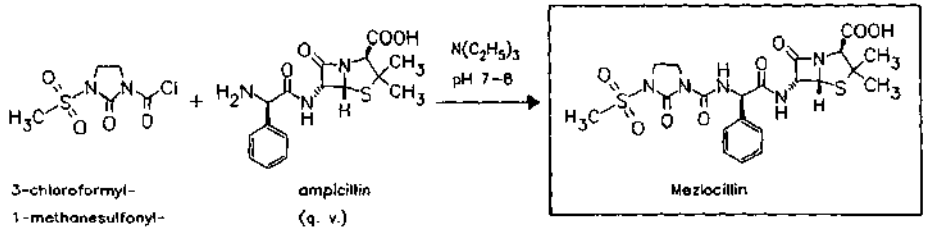
Mezlocillin

ATC: J01CA10
Use: antibiotic

RN: 51481-65-3 MF: $C_{21}H_{25}N_5O_8S_2$ MW: 539.59 EINECS: 257-233-8
CN: [2*S*-[2α,5α,6β(*S**)]]-3,3-dimethyl-6-[[[[[3-(methylsulfonyl)-2-oxo-1-imidazolidinyl]carbonyl]amino]phenylacetyl]amino]-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 42057-22-7 MF: $C_{21}H_{24}N_5NaO_8S_2$ MW: 561.57 EINECS: 255-640-5
LD_{50}: 6329 mg/kg (M, i.v.); >16 g/kg (M, p.o.);
2636 mg/kg (R, i.v.); >20 g/kg (R, p.o.)





Reference(s):
DOS 2 152 967 (Bayer; appl. 23.10.1971).
DOS 2 152 968 (Bayer; appl. 23.10.1971).
DOS 2 318 955 (Bayer; appl. 14.4.1973).
US 3 974 142 (Bayer; 10.8.1976; appl. 3.9.1974; D-prior. 23.10.1971).

combination with e. g. oxacillin:
DOS 2 737 673 (Bayer; appl. 20.8.1977).

Formulation(s): vial 0.5g/5 ml, 1 g/10 ml, 2 g/20 ml, 5 g/50 ml

Trade Name(s):

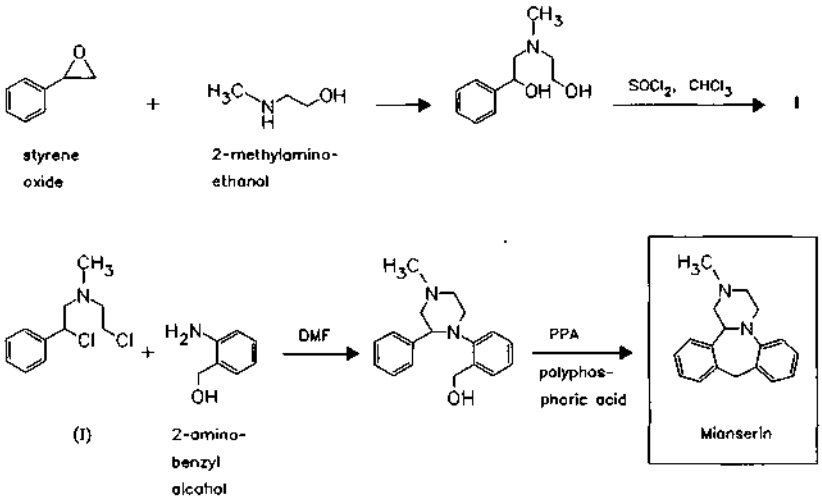
D:	Baypen (Bayer Vital; 1977) Melocin (curasan) Optocillin (Bayer Vital; 1979)-comb. with oxacillin	F:	Baypen (Bayer-Pharma)	J:	Baypen (Yoshitomi; 1982)
		GB:	Baypen (Bayer; 1980); wfm	USA:	Mezlin (Bayer; 1981)
		I:	Baypen (Bayer; 1983)		

Mianserin

ATC: N06AX03
Use: antidepressant

RN: 24219-97-4 MF: $C_{18}H_{20}N_2$ MW: 264.37 EINECS: 246-088-6
LD_{50}: 365 mg/kg (M, p.o.)
CN: 1,2,3,4,10,14b-hexahydro-2-methyldibenzo[*c*,*f*]pyrazino[1,2-*a*]azepine

monohydrochloride
RN: 21535-47-7 MF: $C_{18}H_{20}N_2 \cdot HCl$ MW: 300.83 EINECS: 244-426-7
LD_{50}: 31 mg/kg (M, i.v.); 224 mg/kg (M, p.o.);
31.85 mg/kg (R, i.v.); 780 mg/kg (R, p.o.)



Reference(s):
DOS 2 505 239 (Akzo; appl. 7.2.1975; NL-prior. 9.2.1974).

medical use:
US 4 128 641 (HZJ Research Center; 5.12.1978; prior. 31.7.1975, 28.2.1977).

older methods:
DOS 1 695 556 (Organon; appl. 9.3.1967; NL-prior. 12.3.1966).
US 3 534 041 (Organon; 13.10.1970; NL-prior. 12.3.1966).
Burg, W.J. Van der et al.: J. Med. Chem. (JMCMAR) **13**, 35 (1970).

Formulation(s): f. c. tabl. 10 mg, 30 mg, 60 mg (as hydrochloride)

Trade Name(s):

D:	Tolvin (Organon; 1975) generics	F:	Athymil (Organon; 1979)	GB:	Bolvidon (Organon; 1976); wfm

Norval (Bencard; 1976); wfm I: Lantanon (Organon Italia; 1979) J: Tetramide (Sankyo; 1983)

Mibefradil hydrochloride

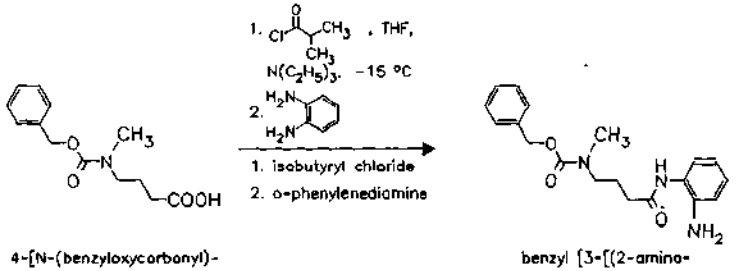
(Ro-40-5967; Ro-40-5967/001)

ATC: C08CX01
Use: antihypertensive, calcium channel blocker

RN: 116666-63-8 MF: $C_{29}H_{38}FN_3O_3 \cdot 2HCl$ MW: 568.56
CN: (1*S*-*cis*)-methoxyacetic acid 2-[2-[[3-(1H-benzimidazol-2-yl)propyl]methylamino]ethyl]-6-fluoro-1,2,3,4-tetrahydro-1-(1-methylethyl)-2-naphthalenyl ester dihydrochloride

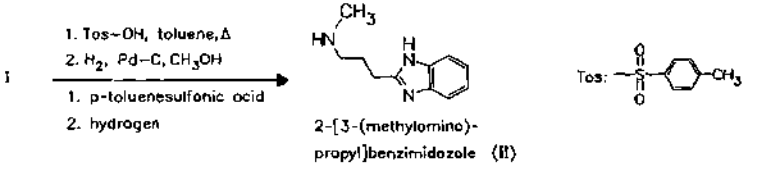
base
RN: 116644-53-2 MF: $C_{29}H_{38}FN_3O_3$ MW: 495.64

synthesis of intermediate II:



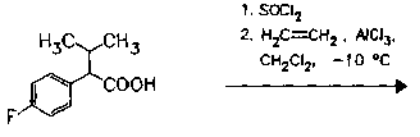
4-[N-(benzyloxycarbonyl)-methylamino]butyric acid

benzyl [3-[(2-amino-phenyl)carbamoyl]propyl]-methylcarbamate (I)



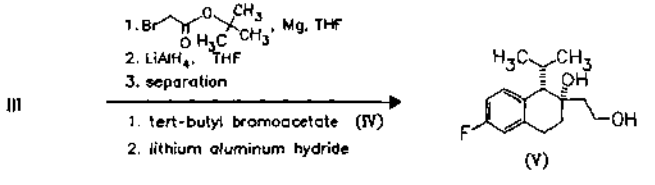
2-[3-(methylamino)-propyl]benzimidazole (II)

synthesis of intermediate VII:

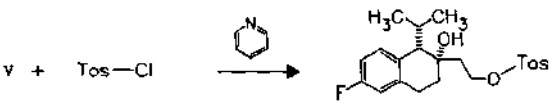


(RS)-2-(p-fluorophenyl)-3-methylbutyric acid

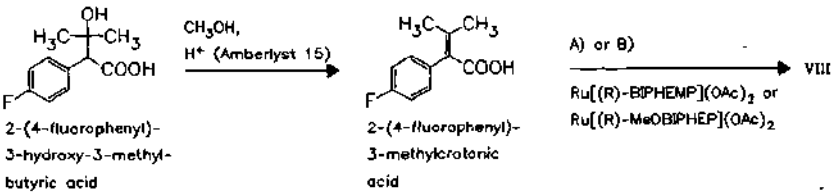
(RS)-6-fluoro-3,4-dihydro-1-isopropyl-2(1H)-naphthalenone (III)

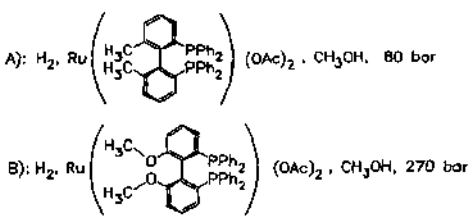


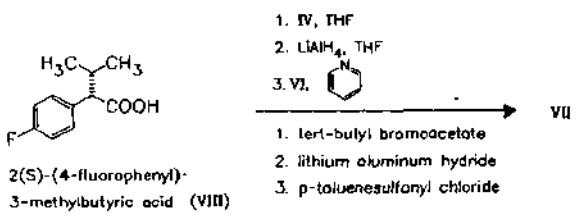
(V)



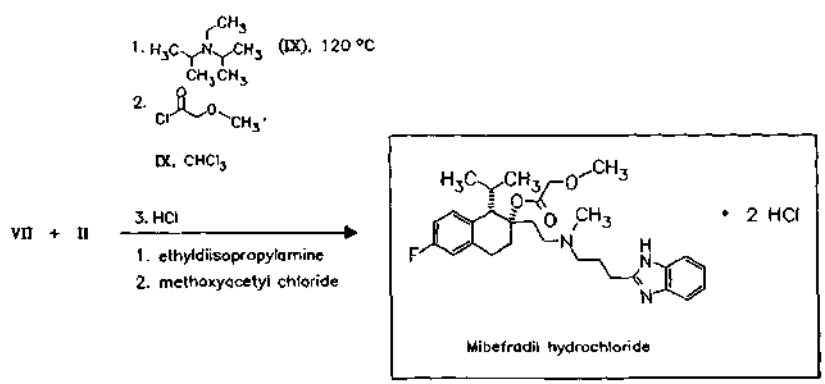
asymmetric synthesis of intermediate VII:







synthesis of the final product:



Reference(s):

EP 268 148 (Hoffmann-La Roche AG; appl. 25.5.1988; CH-prior. 14.11.1986).

synthesis of intermediate VII:
EP 177 960 (Hoffmann-La Roche AG; appl. 16.4.1986; CH-prior. 11.10.1984).

asymmetric synthesis of 2(*S*)-(4-fluorophenyl)-3-methylbutyric acid:
Crameri, Y. et al.: Chimia (CHIMAD) **51** (6), 303 (1997).

synthesis of 2-(4-fluorophenyl)-3-methylcrotonic acid:
Noyori, R. et al.: J. Org. Chem. (JOCEAH) **52**, 3176 (1987).
Takaya, H. et al.: J. Org. Chem. (JOCEAH) **61**, 5510 (1996).
Schmid, R. et al.: Helv. Chim. Acta (HCACAV) **71**, 897 (1988).
Heiser, B. et al.: Tetrahedron: Asymmetry (TASYE3) **2**, 51 (1991).
EP 787 711 (Hoffmann-La Roche AG; appl. 6.8.1997; CH-prior. 31.1.1996).

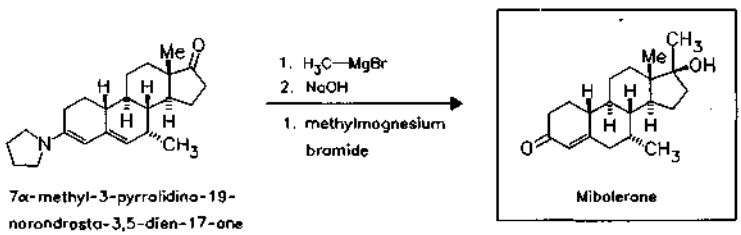
Formulation(s): f. c. tabl. 50 mg, 100 mg

Trade Name(s):
D: Cerate (ASTA Medica AWD); wfm
Posicor (Roche); wfm
USA: Posicor (Roche); wfm

Mibolerone

ATC: G03
Use: oral contraceptive, anabolic

RN: 3704-09-4 MF: $C_{20}H_{30}O_2$ MW: 302.46 EINECS: 223-046-5
CN: (7α,17β)-17-hydroxy-7,17-dimethylestr-4-en-3-one



7α-methyl-3-pyrrolidino-19-norandrosta-3,5-dien-17-one (from 3,17-dioxo-7α-methyl-19-nor-4-androstene)

Reference(s):
US 3 341 557 (Upjohn; 12.9.1967; prior. 5.6.1961, 6.11.1960, 6.6.1958).
FR-M 4 521 (Upjohn; appl. 4.6.1962; USA-prior. 5.6.1961).

alternative synthesis:
Campbell, J.A.; Babcock, J.C.: J. Am. Chem. Soc. (JACSAT) **81**, 4069 (1959).

separation of the 7α-, 7β-isomers:
NL 6 601 855 (Ciba; appl. 14.2.1966; CH-prior. 15.2.1965).

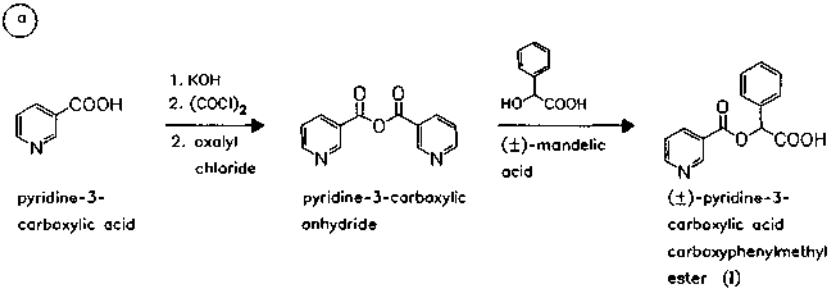
Trade Name(s):
GB: Matenon (Upjohn); wfm
USA: Cheque (Upjohn); wfm

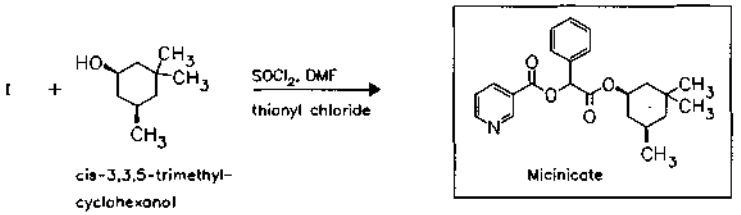
Micinicate
(Nicotinyl cyclandelate)

ATC: C04A
Use: vasodilator (for treatment of chromic obliterating peripheral arteriopathy and microcirculary disorders)

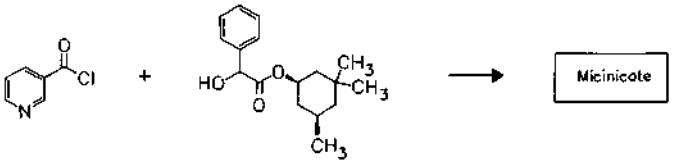
RN: 39537-99-0 MF: $C_{23}H_{27}NO_4$ MW: 381.47
CN: (±)-*cis*-3-pyridinecarboxylic acid 2-oxo-1-phenyl-2-[(3,3,5-trimethylcyclohexyl)oxy]ethyl ester

(a)





(b)



Reference(s):

a EP 157 151 (Ravizza; appl. 22.2.1985; I-prior. 3.7.1984).

b JP 47 034 365 (Mitsui; appl. 12.4.1971).
DOS 2 461 909 (Gaver; appl. 31.12.1974; CH-prior. 3.1.1974).

synthesis of cis-3,3,5-trimethylcyclohexyl mandelate:
Funcke, A.B.H. et al.: Arzneim.-Forsch. (ARZNAD) **3**, 505 (1953).

Formulation(s): tabl. 400 mg

Trade Name(s):

I: Micivas (Ravizza; IT); wfm

Miconazole

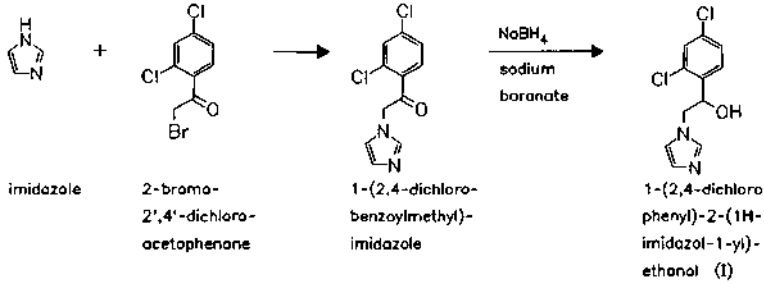
ATC: A01AB09; A07AC01; D01AC02; G01AF04; J02AB01; S02AA13
Use: topical antifungal

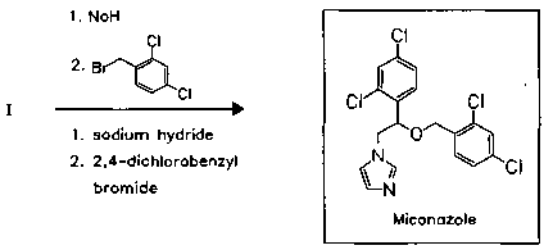
RN: 22916-47-8 MF: $C_{18}H_{14}Cl_4N_2O$ MW: 416.14 EINECS: 245-324-5
LD_{50}: 90.57 mg/kg (M, i.v.); 872 mg/kg (M, p.o.);
105 mg/kg (R, i.v.); >3 g/kg (R, p.o.);
60 mg/kg (dog, i.v.)
CN: 1-[2-(2,4-dichlorophenyl)-2-[(2,4-dichlorophenyl)methoxy]ethyl]-1*H*-imidazole

mononitrate

RN: 22832-87-7 MF: $C_{18}H_{14}Cl_4N_2O \cdot HNO_3$ MW: 479.15 EINECS: 245-256-6

LD_{50}: 28 mg/kg (M, i.v.); 578 mg/kg (M, p.o.);
14.7 mg/kg (R, i.v.); 920 mg/kg (R, p.o.);
>160 mg/kg (dog, p.o.)





Reference(s):
DAS 1 940 388 (Janssen; appl. 8.8.1969; USA-prior. 19.8.1968, 23.7.1969).
US 3 717 655 (Janssen; 20.2.1973; appl. 19.8.1968).
US 3 839 574 (Janssen; 1.10.1974; prior. 23.7.1969).

Formulation(s): cream 2 g/100 g, 20 mg/g; vaginal cream 20 mg/g; powder 2 g/100 g, 20 mg/g (as mononitrate); sol. 20 mg/ml; tabl. 250 mg (as free base)

Trade Name(s):

D: Acnidazil (Janssen-Cilag)-comb.
Daktar (Janssen-Cilag; 1974)
Epi-Monistat (Janssen-Cilag; 1974)
Gyno-Daktar (Janssen-Cilag; 1974)
Gyno-Monistat (Janssen-Cilag; 1974)

F: Britane (M. Johnson & Johnson-MSD)
Daktarin (Janssen-Cilag SA; 1974)
Gyno-Daktarin (Janssen-Cilag SA)
Miconazole GNR (GNR-pharma)

GB: Acnidazil (Janssen-Cilag)-comb.
Daktacort (Janssen-Cilag)-comb.
Daktarin (Janssen-Cilag; 1974)
Gyno-Daktarin (Janssen-Cilag)

I: Andergin (Pierrel)
Daktacort (Janssen)-comb.
Daktarin (Janssen; 1975)
Micoderm (Kemyos)
Miconal (Ecobi)
Micotef (LPB)
Prilagin (Gambar)

J: Florid (Mochida; 1980)

USA: Monistat (Ortho)

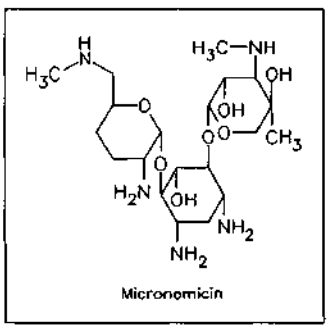
Micronomicin

ATC: S01AA22
Use: antibiotic, antibacterial

RN: 52093-21-7 MF: $C_{20}H_{41}N_5O_7$ MW: 463.58

CN: *O*-2-amino-2,3,4,6-tetradeoxy-6-(methylamino)-α-D-*erythro*-hexopyranosyl-(1→4)-*O*-[3-deoxy-4-*C*-methyl-3-(methylamino)-β-L-arabinopyranosyl(1→6)]-2-deoxy-D-streptamine

sulfate
RN: 66803-19-8 MF: $C_{20}H_{41}N_5O_7 \cdot xH_2SO_4$ MW: unspecified



Preparation by fermentation of *Micromonospora sagamiensis var. nonreductans nov. sp.* MK-65, ATCC 21826.

Reference(s):
Okachi, R. et al.: J. Antibiot. (JANTAJ) **27**, 793 (1974).
Nara, T. et al.: J. Antibiot. (JANTAJ) **28**, 21 (1975).
DOS 2 326 781 (Kyowa Ferm.; appl. 25.5.1973; J-prior. 27.5.1972).
US 4 045 298 (Kyowa Ferm.; 30.8.1977; J-prior. 27.5.1972).

structure:
Egan, R.S. et al.: J. Antibiot. (JANTAJ) **28**, 29 (1975).

total synthesis from gentamicin $C_{1\alpha}$:
JP 50 126 639 (appl. 25.3.1974).
JP 50 149 647 (appl. 28.5.1974).
JP 50 149 646 (appl. 28.5.1974).
JP 50 131 949 (appl. 9.4.1974).
JP 50 123 640 (appl. 15.5.1974).
JP 50 129 531 (appl. 29.3.1974).

Formulation(s): amp. 60 mg, 120 mg; eye drops 0.3 %; ointment 0.3 % (as sulfate)

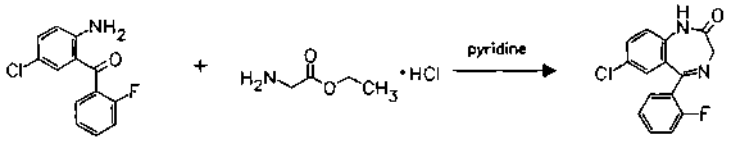
Trade Name(s):
F: Microphta (Europhta) J: Sagamicin (Kyowa Hakko) Santemycin (Santen)

Midazolam

ATC: N05CD08
Use: hypnotic

RN: 59467-70-8 MF: $C_{18}H_{13}ClFN_3$ MW: 325.77 EINECS: 261-774-5
LD_{50}: 50 mg/kg (M, i.v.);
75 mg/kg (R, i.v.); 215 mg/kg (R, p.o.)
CN: 8-chloro-6-(2-fluorophenyl)-1-methyl-4*H*-imidazo[1,5-*a*][1,4]benzodiazepine

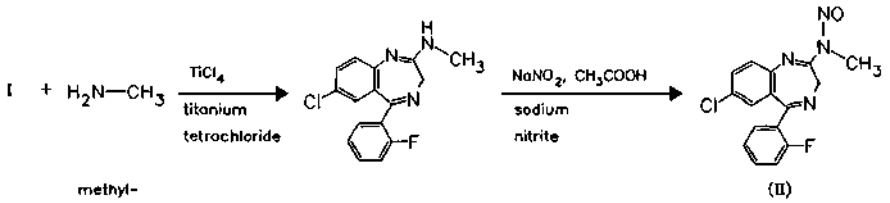
monohydrochloride
RN: 59467-96-8 MF: $C_{18}H_{13}ClFN_3 \cdot HCl$ MW: 362.24 EINECS: 261-776-6
maleate (1:1)
RN: 59467-94-6 MF: $C_{18}H_{13}ClFN_3 \cdot C_4H_4O_4$ MW: 441.85 EINECS: 261-775-0



2-amino-5-chloro-2'-fluorobenzophenone (cf. flunitrazepam synthesis)

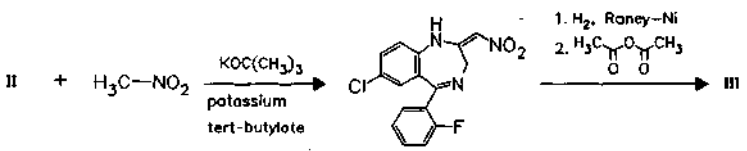
glycine ethyl ester hydrochloride

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one (I)



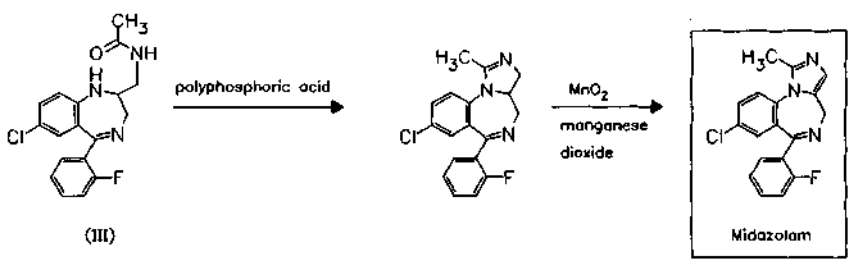
methylamine

(II)



nitromethane

III



(III)

Reference(s):
DOS 2 540 522 (Hoffmann-La Roche; appl. 11.9.1975; USA-prior. 11.9.1974).
US 4 280 957 (Hoffmann-La Roche; 28.7.1981; prior. 8.2.1977).

Formulation(s): amp. 5 mg/5 ml, 15mg/3 ml; f. c. tabl. 7.5 mg (as hydrochloride)

Trade Name(s):

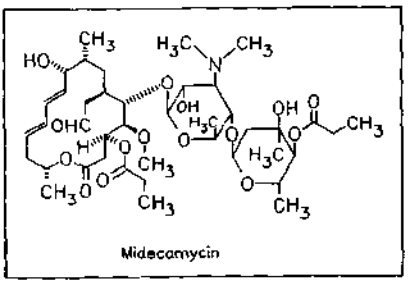
D:	Dormicum (Roche; 1984)	GB:	Hypnovel (Hoffmann-La Roche; 1983)	J:	Dormicum (Roche)
F:	Hypnovel (Produits Roche)			USA:	Versed (Roche; 1986)

Midecamycin

(Espinomycin; Midecamicin; Mydecamycin)

ATC: J01FA03
Use: macrolide antibiotic

RN: 35457-80-8 MF: $C_{41}H_{67}NO_{15}$ MW: 813.98 EINECS: 252-578-0
LD_{50}: 1 g/kg (M, i.v.); 5800 mg/kg (M, p.o.); 9600 mg/kg (R, p.o.)
CN: leucomycin V $3,4^{B}$-dipropanoate



Macrolide antibiotic from cultures of *Streptomyces mycarofaciens*. Midecamycin A_2, A_3 and A_4 exist also in small amounts in the complex beside main component Midecamycin.

Reference(s):
US 3 761 588 (Meiji Seika; 25.9.1973; J-prior. 6.2.1969, 25.9.1969).
Niida, T. et al.: J. Antibiot. (JANTAJ) **24**, 319 (1971).
Tsuruoka, T. et al.: J. Antibiot. (JANTAJ) **24**, 452 (1971).
Inouye, S. et al.: J. Antibiot. (JANTAJ) **24**, 460 (1917).

Formulation(s): cps. 50 mg, 100 mg, 200 mg; tabl. 400 mg

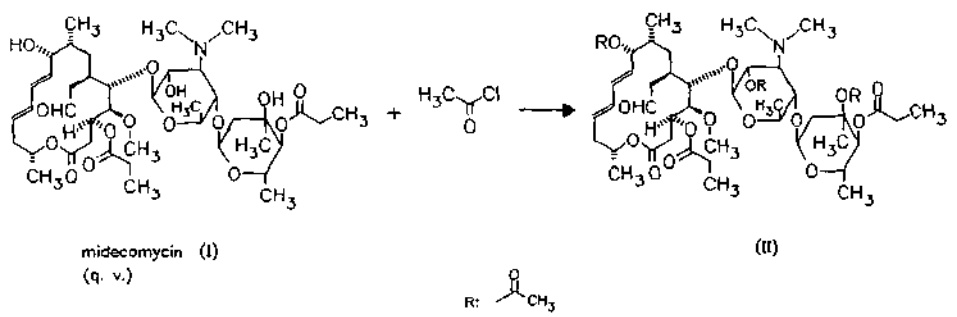
Trade Name(s):

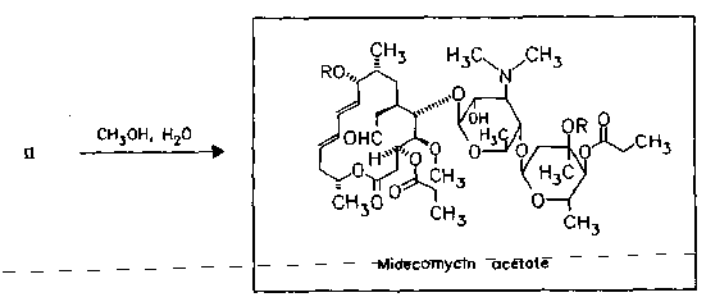
F:	Midécacine (Clin-Midy); wfm	I:	Midecin (Farmaka)	Rubimycin (Nikken)
		J:	Medemycin (Meiji)	

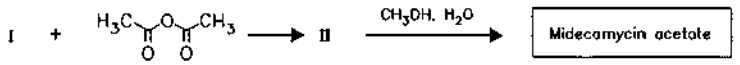
Midecamycin acetate
(Miokamycin)

ATC: J01FA03
Use: antibiotic

RN: 55881-07-7 MF: $C_{45}H_{71}NO_{17}$ MW: 898.05 EINECS: 259-879-6
LD_{50}: >5 g/kg (M, p.o.)
CN: leucomycin V 3^B,9-diacetate $3,4^B$-dipropanoate







Reference(s):
DE 2 004 686 (Meiji; prior. 3.2.1970).
US 3 761 588 (Meiji; 25.9.1973; J-prior. 25.9.1969).
DOS 2 835 547 (Meiji; appl. 14.8.1978; J-prior. 15.8.1977).
DOS 2 537 375 (Meiji; appl. 22.8.1975; J-prior. 27.8.1974).
US 4 017 607 (Meiji; 12.4.1977; J-prior. 27.8.1974).
US 4 188 480 (Meiji; 12.2.1980; J-prior. 15.8.1977).
Omoto, S. et al.: J. Antibiot. (JANTAJ) **24**, 536 (1976).
Nakamura, K. et al.: Chem. Lett. (CMLTAG) **1978**, 1293.

Formulation(s): tabl. 400 mg

Trade Name(s):

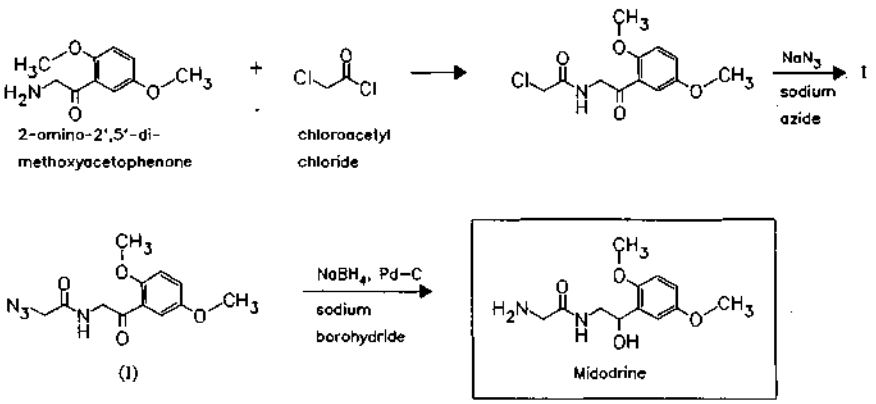
F:	Mosil (Menarini)	Miocamen (Menarini; 1985)	J:	Miocamycin (Meiji Seika; 1985)	
I:	Macroral (Malesci; 1985)	Miokacin (Firma; 1986)			

Midodrine

ATC: C01CA17
Use: antihypotensive, α-adrenergic, vasoconstrictor

RN: 97476-58-9 MF: $C_{12}H_{18}N_2O_4$ MW: 254.29
CN: (±)-2-amino-*N*-[2-(2,5-dimethoxyphenyl)-2-hydroxyethyl]acetamide

monohydrochloride
RN: 3092-17-9 MF: $C_{12}H_{18}N_2O_4 \cdot HCl$ MW: 290.75
LD_{50}: 56.2 mg/kg (M, i.v.); 246 mg/kg (M, p.o.);
18.2 mg/kg (R, i.v.); 68.8 mg/kg (R, p.o.);
150 mg/kg (dog, p.o.)



Reference(s):
DAS 2 523 735 (Lentia; appl. 28.5.1975; A-prior. 24.7.1974).

alternative syntheses:
AT 241 435 (Österr. Stickstoffwerke Linz; appl. 11.6.1963; valid from 15.12.1964).
DOS 2 506 110 (Lentia; appl. 13.2.1975).
BE 838 512 (Chemie Linz AG; appl. 12.8.1976; D-prior. 13.2.1975).

Formulation(s): amp. 5 mg; drops 10 mg/ml; tabl. 2.5 mg, 5 mg (as hydrochloride)

Trade Name(s):

D:	Gutron (Nycomed)	I:	Gutron (Guidotti)	USA:	ProAmatine (Roberts)
F:	Gutron (Nycomed SA)	J:	Metligine (Taisho)		

Midoriamin

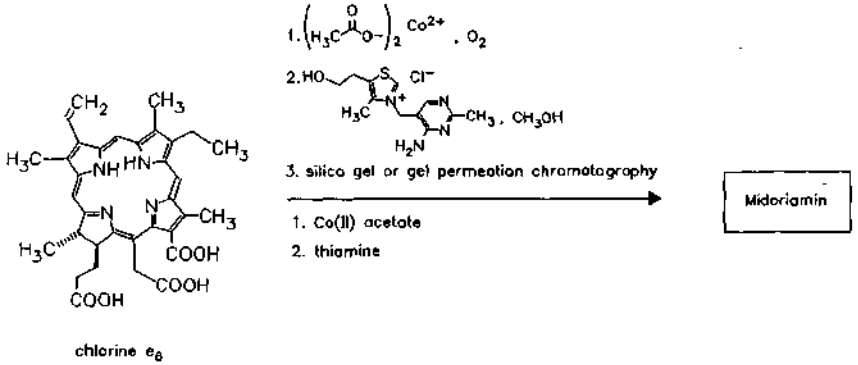
(Thiamine cobaltichlorophylline complex)

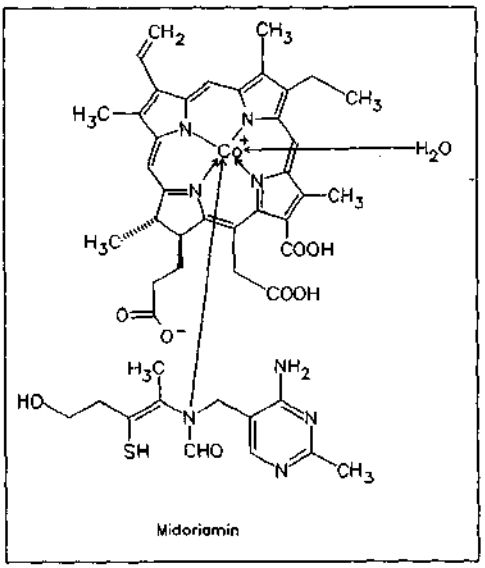
ATC: A02B
Use: ulcer therapeutic

RN: 87211-44-7 MF: $C_{46}H_{53}CoN_8O_9S$ MW: 952.98

LD_{50}: 209 mg/kg (M, i.p.); 3066 mg/kg (M, p.o.); 406 mg/kg (M, s.c.); 82 mg/kg (R, i.p.); 3590 mg/kg (R, p.o.); 201 mg/kg (R, s.c.)

CN: [*OC*-6-24-(2*S*-*trans*)]-[*N*-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-*N*-(4-hydroxy-2-mercapto-1-methyl-1-butenyl)formamide]aqua[18-carboxy-20-(carboxymethyl)-8-ethenyl-13-ethyl-2,3-dihydro-3,7,12,17-tetramethyl-21*H*,23*H*-porphine-2-propanoato(5-)-N^{21},N^{22},N^{23},N^{24}]dihydrogencobaltate(2-)





Reference(s):
JP 1 052 779 (Green Cross; appl. 15.7.1988).
JP 63 264 483 (Green Cross; appl. 11.3.1988).
JP 63 264 420 (Green Cross; appl. 11.3.1988).
JP 57 062 281 (Green Cross; Nisshin Flour Mill; appl. 1.10.1980).
JP 58 041 885 (Green Cross, Nisshin Flour Mill; appl. 1.4.1982).

medical use for treatment of gastritis:
JP 2 149 522 (Green Cross; appl. 30.11.1988).

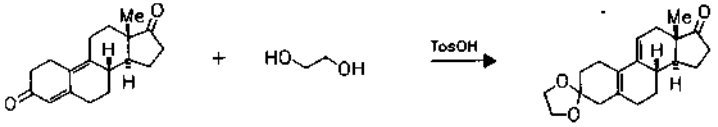
Formulation(s): tabl. 5 mg

Trade Name(s):
J: Midoriamin (Green Cross; Nisshin Flour; 1988)

Mifepristone
(RU-486)

ATC: G03A; G03D; G03XB01
Use: abortifacient, orally active progesterone and glucocorticoid receptor antagonist, contraceptive

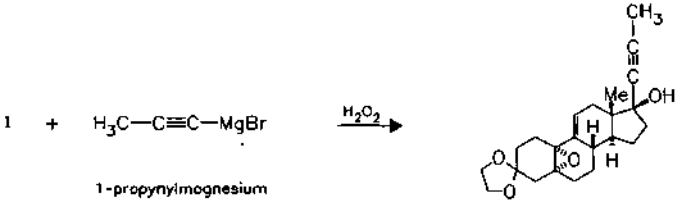
RN: 84371-65-3 MF: $C_{29}H_{35}NO_2$ MW: 429.60
CN: (11β,17β)-11-[4-(dimethylamino)phenyl]-17-hydroxy-17-(1-propynyl)estra-4,9-dien-3-one



estro-4,9-diene-3,17-dione

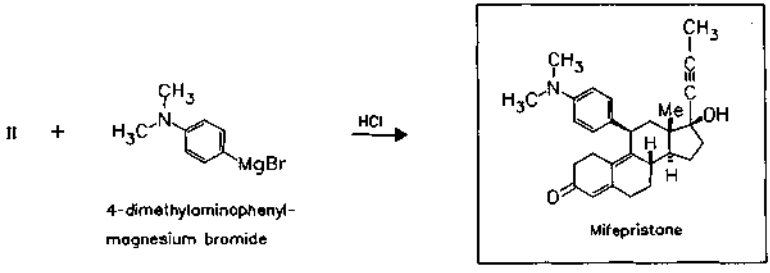
ethylene glycol

3,3-(ethylenedioxy)-estro-5(10),9(11)-dien-17-one (I)



1-propynylmagnesium bromide

3,3-(ethylenedioxy)-17α-(1-propynyl)-5α,10α-epoxy-estr-9(11)-en-17β-ol (II)



4-dimethylaminophenyl-magnesium bromide

Reference(s):
EP 57 115 (Roussel-Uclaf; appl. 8.1.1982; F-prior. 9.1.1981).
US 4 386 085 (Roussel-Uclaf; 31.5.1983; appl. 10.6.1982; F-prior. 9.1.1981).
US 4 447 424 (Roussel-Uclaf; 8.5.1984; appl. 10.6.1982; F-prior. 9.1.1981).
US 4 519 946 (Roussel-Uclaf; 28.5.1985; appl. 25.5.1984; prior. 9.1.1982, 10.6.1982, 30.3.1984; F-prior. 9.1.1981).
US 4 634 695 (Roussel-Uclaf; 6.1.1987; appl. 22.1.1985; prior. 9.1.1982, 25.5.1984, 10.6.1982, 30.3.1984; F-prior. 9.1.1981).

synthesis of 3,3-(ethylenedioxy)estra-5(10),9(11)-dien-17-one:
BE 651 813 (Merck & Co.; appl. 1964).

alternative synthesis:
FR 1 336 083 (Roussel-Uclaf; appl. 1962).
NL 6 406 712 (Roussel-Uclaf; appl. 1964; F-prior. 1963).
BE 651 812 (Merck & Co.; appl. 1964).

Formulation(s): tabl. 200 mg

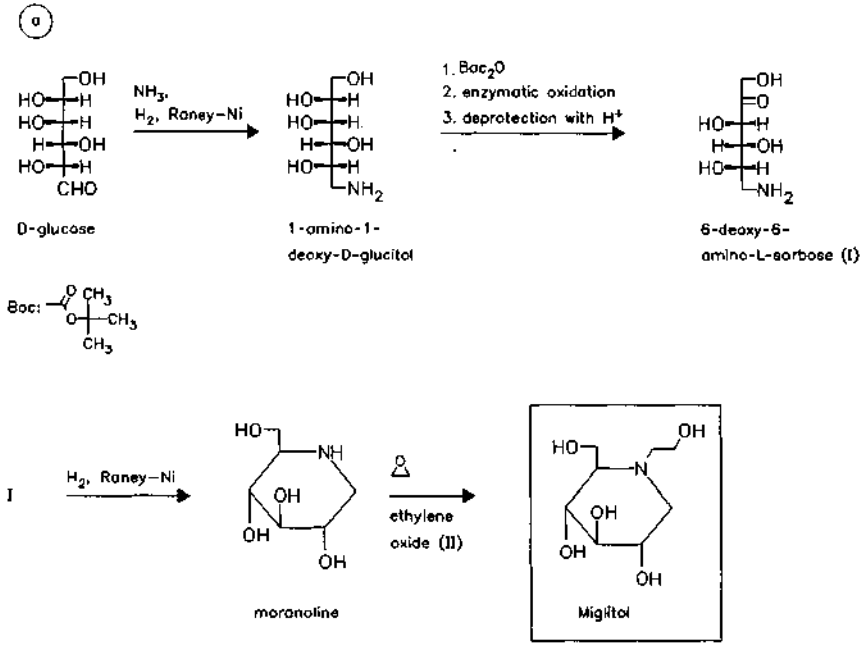
Trade Name(s):
F: Mifégyne (Exelgyn) GB: Mifegyne (Exelgyn)

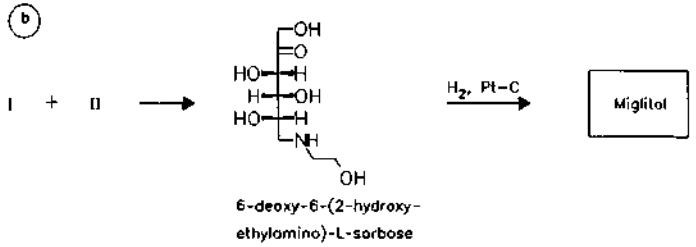
Miglitol

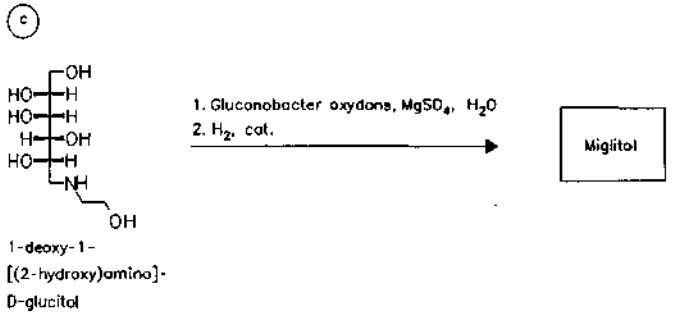
(Bay-m-1099)

ATC: A10BF02
Use: antidiabetic, α-glucosidase inhibitor

RN: 72432-03-2 MF: $C_8H_{17}NO_5$ MW: 207.23 EINECS: 276-661-6
CN: [2*R*-(2α,3β,4α,5β)]-1-(2-Hydroxyethyl)-2-(hydroxymethyl)-3,4,5-piperidinetriol







Reference(s):

preparation of moranoline *via N*-formyl-6-amino-6-deoxy-L-sorbose:
DE 3 611 841 (Bayer; appl. 9.4.1986; D-prior. 9.4.1986).

a DE 2 758 025 (Bayer AG; 12.7.1979; appl. 24.12.1977; D-prior. 27.8.1977).
EP 49 858 (Bayer AG; appl. 7.10.1981; D-prior. 15.10.1981).
JP 54 106 477 (Nippon Shinyaku; appl. 3.2.1978).

b DE 3 024 901 (Bayer AG; appl. 1.7.1980).

c EP 477 160 (Monsanto Co.; 25.3.1992; appl. 19.9.1991; USA-prior. 20.9.1990).

Formulation(s): tabl. 25 mg, 50 mg, 100 mg

Trade Name(s):

D:	Diastabol (Sanofi-Synthelabo; 1998)	USA:	Glyset (Pharmacia & Upjohn; 1999)

Milnacipran hydrochloride

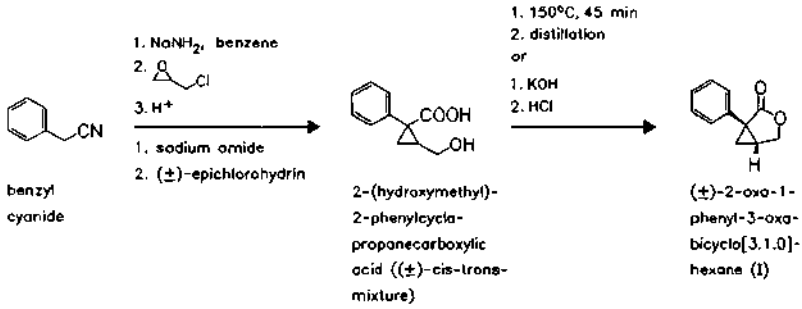
(Midalcipran hydrochloride)

ATC: N06AX17
Use: antidepressant, 5-HT and norepinephrine reuptake-inhibitor

RN: 101152-94-7 MF: $C_{15}H_{22}N_2O \cdot HCl$ MW: 282.82
CN: (±)-*cis*-2-(Aminomethyl)-*N*,*N*-diethyl-1-phenylcyclopropanecarboxamide monohydrochloride

(±)-*cis*-base
RN: 92623-85-3 MF: $C_{15}H_{22}N_2O$ MW: 246.35

synthesis of intermediate I: 2-oxo-1-phenyl-3-oxabicyclo[3.1.0]hexone



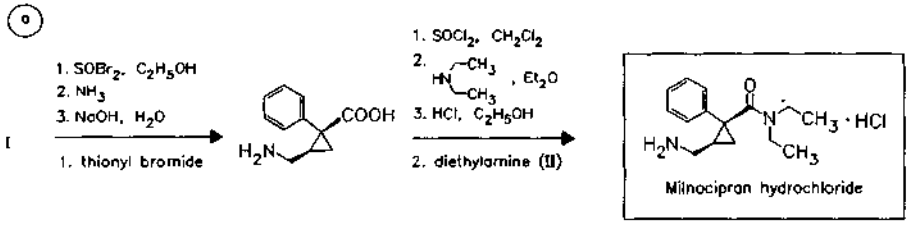
benzyl cyanide

2-(hydroxymethyl)-2-phenylcyclopropanecarboxylic acid ((±)-cis-trans-mixture)

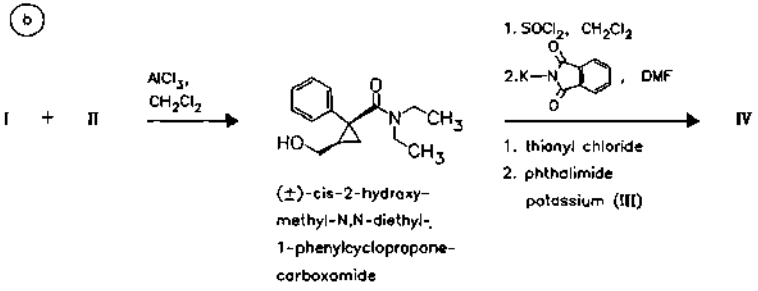
(±)-2-oxo-1-phenyl-3-oxabicyclo[3.1.0]-hexane (I)

finalisation of Milnacipran hydrochloride

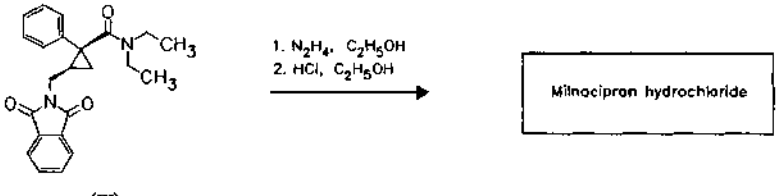
a



b

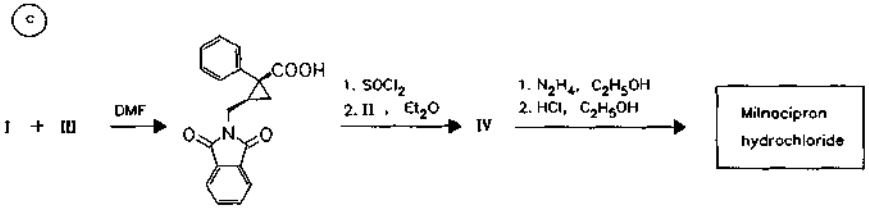


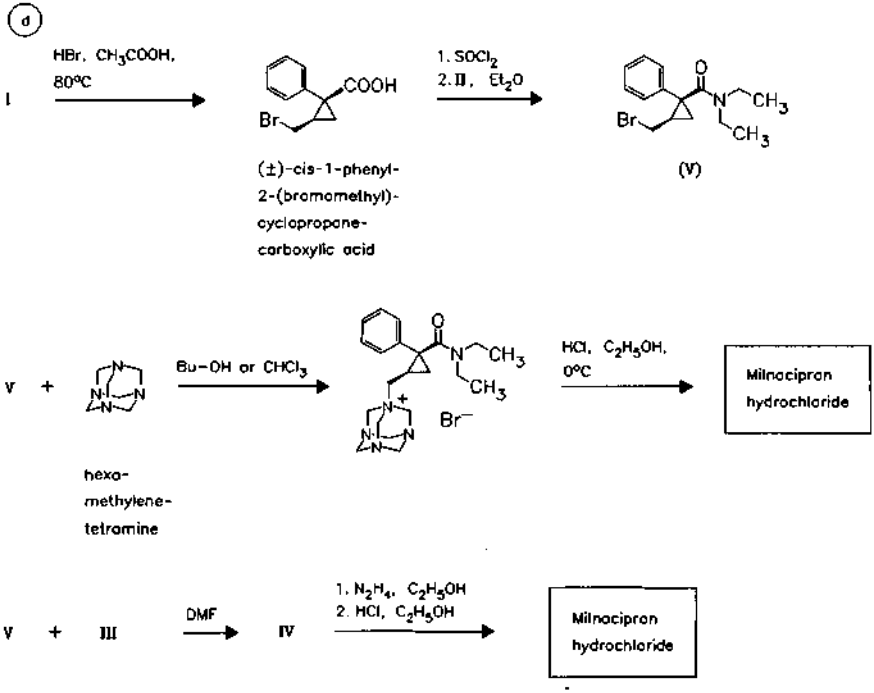
(±)-cis-2-hydroxymethyl-N,N-diethyl-1-phenylcyclopropanecarboxamide



(IV)

c





Reference(s):
synthesis of intermediate I:
Mouzi, G.; Cousse, H.; Bonnaud, B: Synthesis (SYNTBF) **1978** (4), 304.
a EP 068 999 (Pierre Fabre S. A.; appl. 21.6.1982; F-prior. 23.6.1981).
b EP 377 381 (Pierre Fabre S. A.; appl. 27.12.1987; F-prior. 28.12.1988).
c EP 200 638 (Pierre Fabre S. A.; appl. 22.4.1986; F-prior. 25.4.1985).
d FR 2 581 060 (Pierre Fabre Medicament; appl. 31.10.1986; F-prior. 25.4.1985).

synthesis of 1-aryl-2-(aminomethyl)cyclopropanecarboxylic acid derivatives:
Bonnaud, B. et al.: J. Med. Chem. (JMCMAR) **30**, 318 (1987)

alternative syntheses:
Shuto, S. et al.: J. Org. Chem. (JOCEAH) **61**, 915 (1996)
Shuto, S. et al.: J. Med. Chem. (JMCMAR) **38**, 2964 (1995)

prolonged-release pharmaceuticals containing milnacipran:
WO 9 808 495 (Pierre Fabre S. A.; appl. 26.8.1997; F-prior. 28.8.1996)

compositions containing milnacipran *and* idazoxan:
WO 9 735 574 (Pierre Fabre S. A.; appl. 25.3.1997; F-prior. 25.3.1996)

Formulation(s): cps. 50 mg (as hydrochloride)

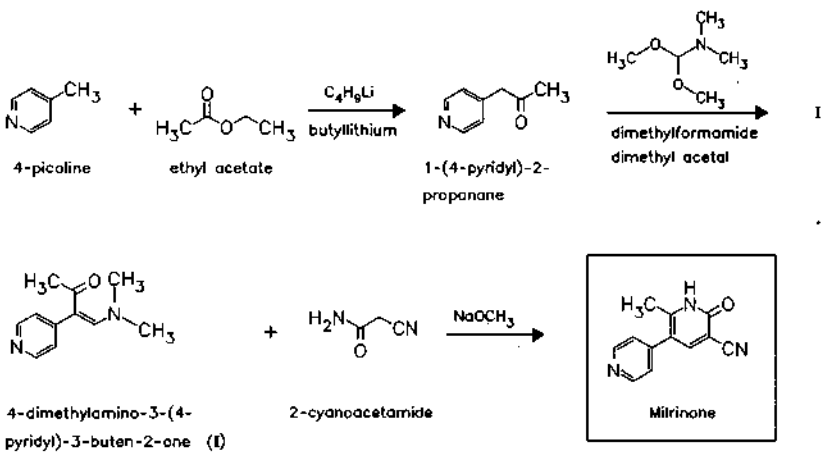
Trade Name(s):
F: Ixel (Pierre Fabre; 1997)

Milrinone

ATC: C01CE02
Use: cardiotonic, phosphodiesterase III-inhibitor

RN: 78415-72-2 MF: $C_{12}H_9N_3O$ MW: 211.22 EINECS: 278-903-6
LD_{50}: 79 mg/kg (M, i.v.); 137 mg/kg (M, p.o.);
73 mg/kg (R, i.v.); 91 mg/kg (R, p.o.)
CN: 1,6-dihydro-2-methyl-6-oxo[3,4'-bipyridine]-5-carbonitrile

lactate
RN: 100286-97-3 MF: $C_{12}H_9N_3O \cdot xC_3H_6O_3$ MW: unspecified



Reference(s):
DOS 3 044 568 (Sterling Drug; appl. 26.11.1980; USA-prior. 26.11.1979, 20.10.1980, 28.3.1980, 6.11.1980).
US 4 312 875 (Sterling Drug; 26.1.1982; prior. 26.11.1979, 20.10.1980, 28.3.1980, 6.11.1980).
US 4 313 951 (Sterling Drug; 2.2.1982; prior. 26.11.1979, 20.10.1980, 28.3.1980, 6.11.1980).
Singh, B.: Heterocycles (HTCYAM) **23**, 1479 (1985).

alternative synthesis:
ES 544 504 (Inke; appl. 25.6.1985).
DD 274 620 (Arzneimittelwerk Dresden; appl. 2.8.1988).
DD 256 131 (Akademie der Wissenschaften; appl. 4.7.1986).

sustained release pharmaceutical composition:
EP 164 959 (Sterling Drug; appl. 30.5.1985; GB-prior. 4.6.1984, 30.5.1985).

Formulation(s): amp. 10 mg/10 ml (as free base); USA: bag 100 ml, 200 ml (200 µg/ml); vial 10 ml, 20 ml (1 mg/ml) (as lactate)

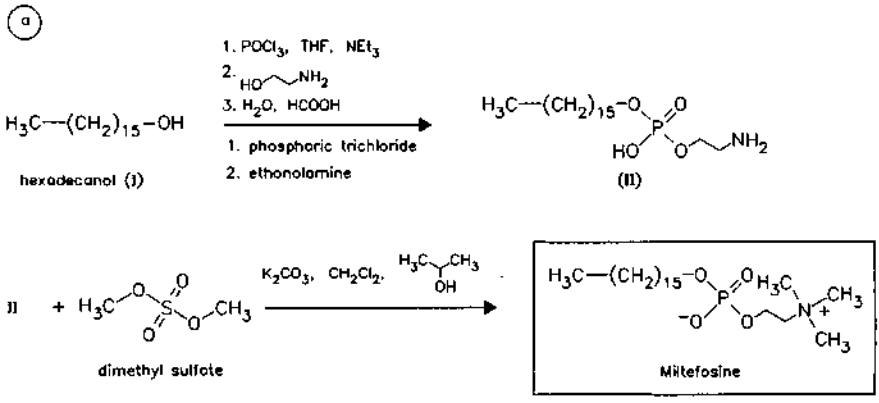
Trade Name(s):
D: Corotrop (Sanofi Winthrop)
F: Corotrope (Sanofi Winthrop; as lactate)
J: Milrila (Yamanouchi)
USA: Primcor (Sanofi)

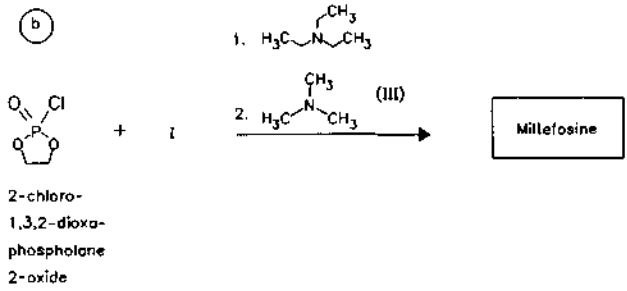
Miltefosine

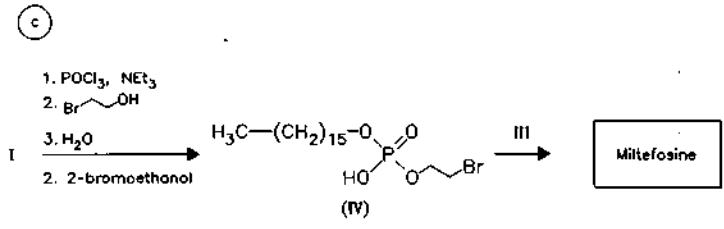
(D 18506; Hexadecylphosphocholine)

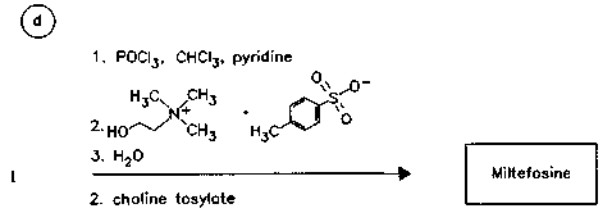
ATC: L01XX09
Use: antitumor (topical treatment)

RN: 58066-85-6 MF: $C_{21}H_{46}NO_4P$ MW: 407.58
LD_{50}: 246 mg/kg (R, p.o);
680 mg/kg (Mm, p.o);
603 mg/kg (Mf, p.o).
CN: 2-[[(Hexadecyloxy)hydroxyphosphinyl]oxy]-*N*,*N*,*N*-trimethylethanaminium inner salt









Reference(s):
a Kaatze, U. et al.: Chem. Phys. Lipids (CPLIA4) **27** (3), 263-280 (1980).
EP 225 608 (Max-Planck-Ges.; appl. 4.12.1986; D-prior. 4.12.1985).

preparation of quaternized ethanamine phosphate esters for oral or topical treatment of leishmaniasis:
EP 534 445 (Max-Planck-Ges.; appl. 24.9.1992; D-prior. 27.9.1991).
b Eibl, H.; Engel, J.: Prog. Exp. Tumor Res. (EXPTAR) **34**, 1 (1992).
Kametani, F. et al.: Nippon Kagaku Kaishi (NKAKB8) **9**, 1452-1458 (1984).
c Nuhn, P. et al.: Pharmazie (PHARAT) **37** (10), 706-708 (1982).
d EP 521 297 (ASTA Medica; appl. 26.6.1992; D-prior. 4.7.1991).

synergistic antitumor pharmaceuticals containing them and allylglycerins:
AT 393 505 (Max-Planck-Gesellschaft; appl. 27.4.1987).

Formulation(s): sol. 60 mg/ml (10 ml bottles)

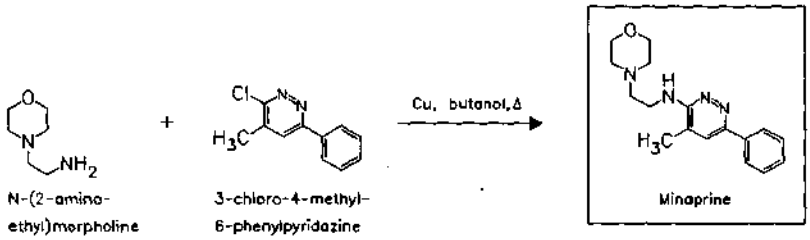
Trade Name(s):
D: Miltex (ASTA Medica AWD)

Minaprine

ATC: N06AX07
Use: antidepressant

RN: 25905-77-5 MF: $C_{17}H_{22}N_4O$ MW: 298.39 EINECS: 247-329-8
CN: *N*-(4-methyl-6-phenyl-3-pyridazinyl)-4-morpholineethanamine

dihydrochloride
RN: 25953-17-7 MF: $C_{17}H_{22}N_4O \cdot 2HCl$ MW: 371.31
LD_{50}: 63 mg/kg (M, i.p.)



Reference(s):
DOS 2 229 215 (CEPBEPE; appl. 15.6.1972; GB-prior. 18.6.1971).
GB 1 345 880 (CEPBEPE; valid from 16.6.1972; prior. 18.6.1971).
ZA 730 671 (CEPBEPE; appl. 3.1.1973).

medical use:
US 4 169 158 (Laborit Henri; 25.9.1979, GB-prior. 18.6.1971).

Formulation(s): drops 5 %; tabl. 50 mg, 100 mg (as dihydrochloride)

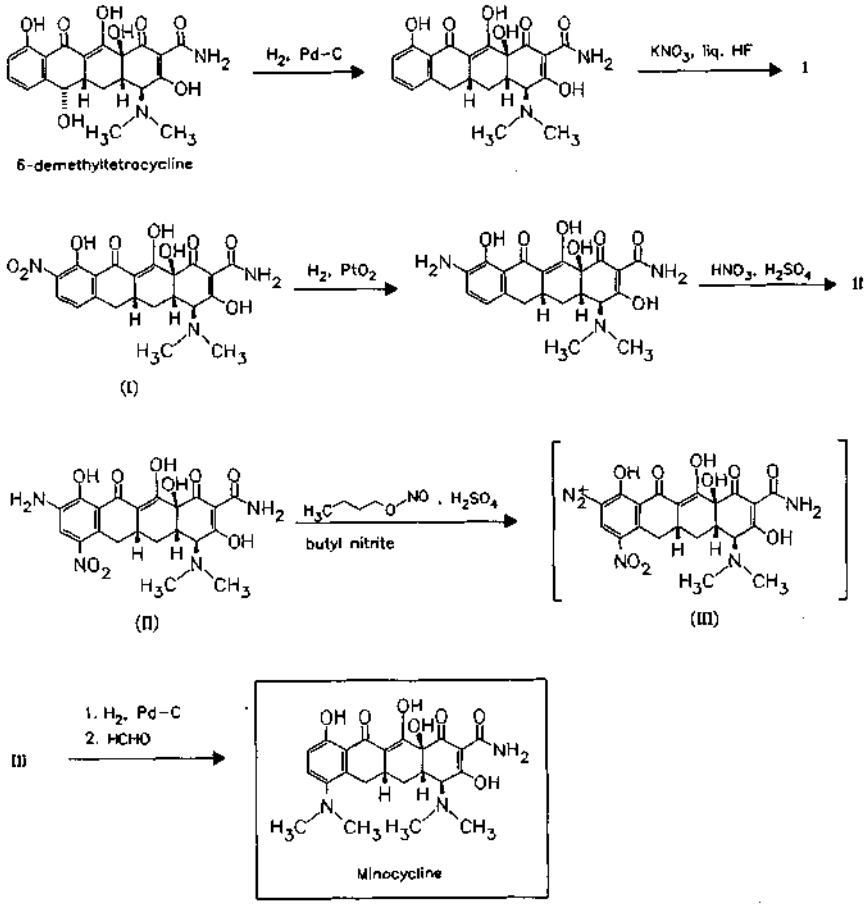
Trade Name(s):
F: Cantor (Clin-Comar-Byla; 1980); wfm
I: Cantor (Clin-Midy); wfm
J: Alcas (Taisho)
Cantor (Midy; 1984)

Minocycline

ATC: J01AA08
Use: antibiotic

RN: 10118-90-8 MF: $C_{23}H_{27}N_3O_7$ MW: 457.48
LD_{50}: 140 mg/kg (M, i.v.); 3100 mg/kg (M, p.o.)
CN: [4*S*-(4α,4aα,5aα,12aα)]-4,7-bis(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide

monohydrochloride
RN: 13614-98-7 MF: $C_{23}H_{27}N_3O_7 \cdot HCl$ MW: 493.94 EINECS: 237-099-7
LD_{50}: 154 mg/kg (M, i.v.); 3600 mg/kg (M, p.o.);
164 mg/kg (R, i.v.); 2380 mg/kg (R, p.o.)



Reference(s):
US 3 148 212 (American Cyanamid; 8.9.1964; appl. 22.12.1961).
US 3 226 436 (American Cyanamid; 28.12.1965; prior. 24.10.1961, 22.12.1961, 17.5.1963).
US 3 345 410 (American Cyanamid; 3.10.1967; prior. 14.3.1966, 1.12.1966).
Church, R.F.R. et al.: J. Org. Chem. (JOCEAH) **36**, 723 (1971).
DE 1 245 942 (American Cyanamid; appl. 15.5.1962; USA-prior. 21.10.1961, 22.12.1961, 7.2.1962).
DE 1 643 767 (American Cyanamid, prior. 16.1.1968).

intermediates:
US 3 403 179 (American Cyanamid; 24.9.1968; prior. 10.1.1967).
US 3 483 251 (American Cyanamid; 9.12.1969; prior. 3.3.1967).

purification:
DOS 2 309 582 (American Cyanamid; appl. 26.2.1973; USA-prior. 11.5.1972).

Formulation(s): cps. 50 mg, 100 mg; f. c. tabl. 50 mg, 100 mg; susp. 50 mg/60 ml (oral); vial 100 mg (as hydrochloride)

Trade Name(s):

D: Aknin (Sanofi Winthrop)
Klinomycin (Lederle; 1972)
generics

F: Acneline (Wyeth-Lederle)
Minolis (Noviderm)
Mynocine (Wyeth-Lederle; 1973)
Zacnan (Lipha Santé)

GB: Aknemin (Merck Sharp & Dohme)-comb.
Dentomycin (Wyeth)
Minocin (Wyeth; 1973)-comb.

I: Minocin (Cyanamid; 1972)

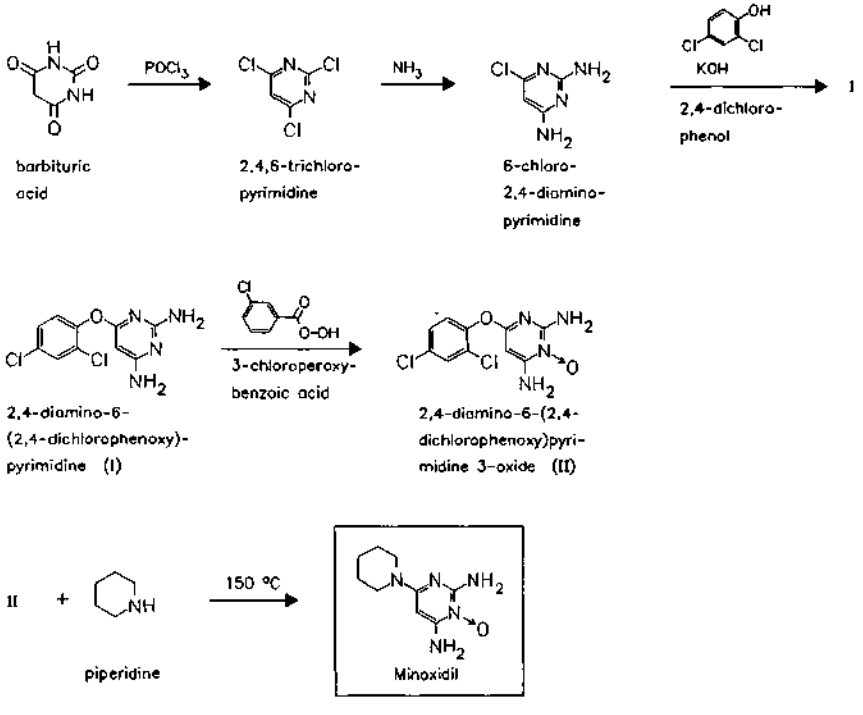
J: Minomycin (Lederle-Takeda)

USA: Dynacin (Medicis)
Minocin (Lederle; 1971)
Vectrin (Warner Chilcott; 1973)

Minoxidil

ATC: C02DC01; D11AX01
Use: antihypertensive

RN: 38304-91-5 MF: $C_9H_{15}N_5O$ MW: 209.25 EINECS: 253-874-2
LD_{50}: 51 mg/kg (M, i.v.); >1 g/kg (M, p.o.);
49 mg/kg (R, i.v.); 1321 mg/kg (R, p.o.)
CN: 6-(1-piperidinyl)-2,4-pyrimidinediamine 3-oxide



Reference(s):
DE 1 620 649 (Upjohn; prior. 28.10.1966).
US 3 382 247 (Upjohn; 7.5.1968; appl. 1.11.1965).
US 3 461 461 (Upjohn; 12.8.1969; appl. 1.1.1965).
US 3 644 364 (Upjohn; 22.2.1972; appl. 31.3.1970).
DAS 2 114 887 (Upjohn; appl. 27.3.1971; USA-prior. 31.3.1970).
DOS 2 114 887 (Upjohn; appl. 27.3.1971; USA-prior. 31.3.1970).

topical composition and use for hair growth:
US 4 139 619 (Upjohn; 13.2.1979; prior. 24.5.1976).

Formulation(s): topical gel 2 %; topical sol. 2 %; tabl. 2.5 mg, 10 mg

Trade Name(s):

D: Lonolox (Pharmacia & Upjohn; 1982)
F: Alostil (Sanofi Winthrop)
Lonoten (Pharmacia & Upjohn; 1984)
Néoxidil (Galderma)
Regaine (Pharmacia & Upjohn; 1987)

GB: Loniten (Pharmacia & Upjohn; 1980)
Regaine (Pharmacia & Upjohn; 1988)
I: Aloxidil (IDI)
Loniten (Pharmacia & Upjohn; 1983)
Minovital (Terapeutico)
Minoximen (Menarini)
Normoxidil (Medosan)
Regaine (Pharmacia & Upjohn)
Tricoxidil (Bioindustria)

USA: Loniten (Upjohn; 1979); wfm
Rogaine (Pharmacia & Upjohn); wfm
generics

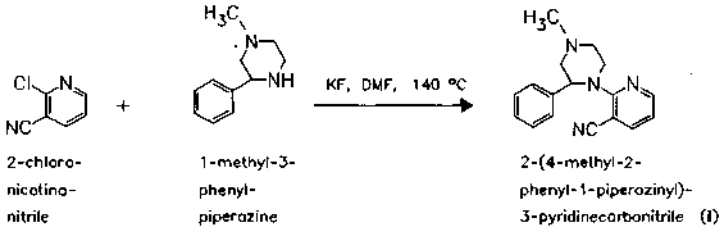
Mirtazapine

(6-Azamianserin; Mepirzepine; Org-3770)

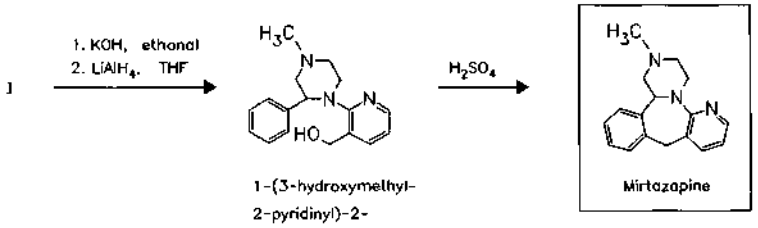
ATC: N06AX11
Use: antidepressant, 5-$HT_{2/3}$-antagonist

RN: 61337-67-5 MF: $C_{17}H_{19}N_3$ MW: 265.36
CN: 1,2,3,4,10,14b-hexahydro-2-methylpyrazino[2,1-*a*]pyrido[2,3-*c*][2]benzazepine

racemate
RN: 85650-52-8 MF: $C_{17}H_{19}N_3$ MW: 265.36 EINECS: 288-060-6
(*R*)-enantiomer
RN: 61364-37-2 MF: $C_{17}H_{19}N_3$ MW: 265.36 EINECS: 262-735-5
(*S*)-enantiomer
RN: 61337-87-9 MF: $C_{17}H_{19}N_3$ MW: 265.36 EINECS: 262-714-0



2-chloro-nicotino-nitrile + 1-methyl-3-phenyl-piperazine → 2-(4-methyl-2-phenyl-1-piperazinyl)-3-pyridinecarbonitrile (I)



I → 1-(3-hydroxymethyl-2-pyridinyl)-2-phenyl-4-methyl-piperazine → Mirtazapine

Reference(s):
DE 2 614 406 (AKZO; appl. 2.4.1976; NL-prior. 5.4.1975).

separation of enantiomers:
WO 9 407 814 (AKZO; appl. 1.10.1993; NL-prior. 7.10.1992).

oral formulations:
EP 436 252 (AKZO; appl. 19.12.1990; NL-prior. 30.12.1989).

combination with L-amino acid decarboxylase inhibitors:
WO 8 901 774 (British Technology Group; appl. 1.9.1988; GB-prior. 2.9.1987, 1.9.1988).

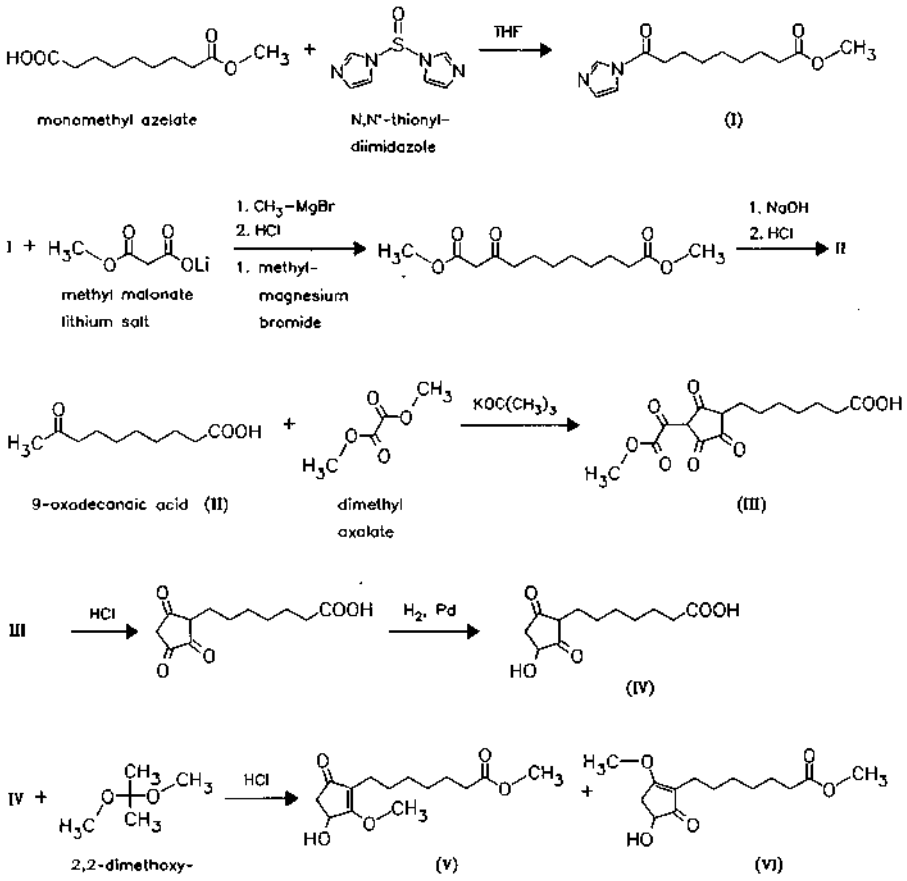
Formulation(s): tabl. 15 mg, 30 mg

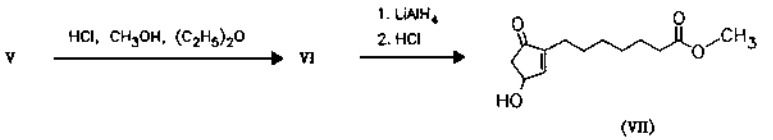
Trade Name(s):
I: Remeron (Organon Italia) USA: Remeron (Organon)

Misoprostol

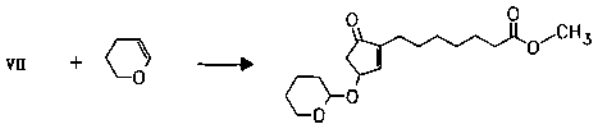
ATC: A02BB01
Use: peptic ulcer therapeutic

RN: 59122-46-2 MF: $C_{22}H_{38}O_5$ MW: 382.54
CN: (11α,13*E*)-11,16-dihydroxy-16-methyl-9-oxoprost-13-en-1-oic acid methyl ester



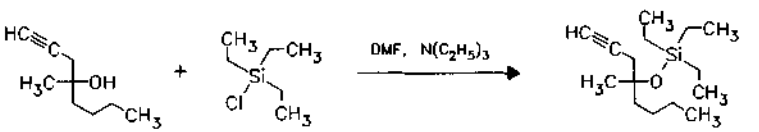


(VII)



dihydropyran

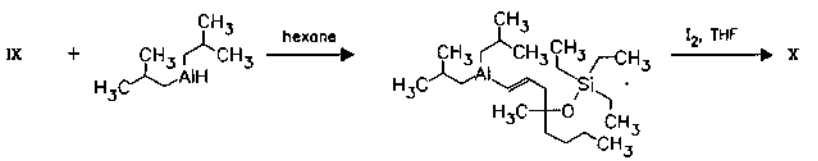
methyl 7-[3(RS)-tetrahydropyran-2-yloxy-5-oxacyclopent-1-en-1-yl]heptanoate (VIII)



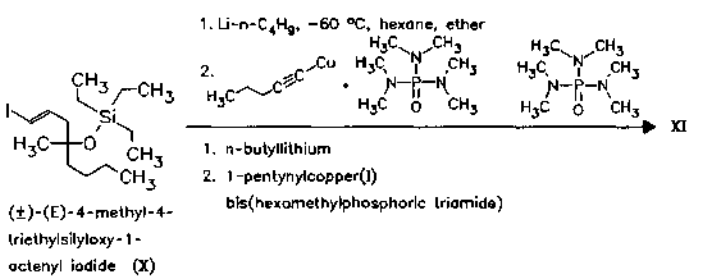
(±)-4-methyl-1-octyn-4-ol

triethylsilyl chloride

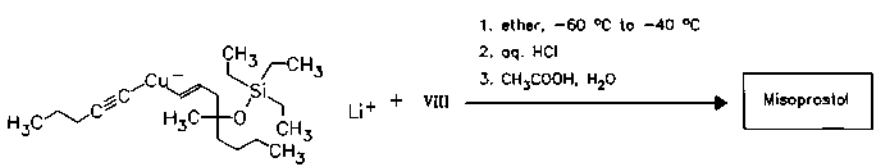
(IX)



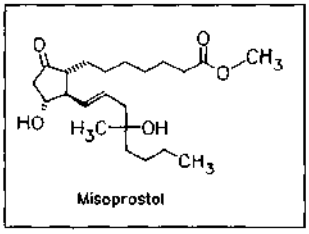
diisobutylaluminum hydride



(±)-(E)-4-methyl-4-triethylsilyloxy-1-octenyl iodide (X)



(XI)



Reference(s):
Collins, P.W. et al.: J. Med. Chem. (JMCMAR) **20**, 1152 (1977).
DOS 2 513 212 (Searle; appl. 25.3.1975; USA-prior. 26.3.1974).
US 3 965 143 (Searle; 22.6.1976; appl. 26.3.1974).
US 4 060 691 (Searle; 29.11.1977; prior. 26.3.1974).
FR 2 274 289 (Searle; appl. 26.3.1975; USA-prior. 26.3.1974).
GB 1 492 426 (Searle; appl. 25.3.1975; USA-prior. 26.3.1974).

Formulation(s): f. c. 0.2 mg (comb. with diclofenac sodium); tabl. 0.1 mg, 0.2 mg

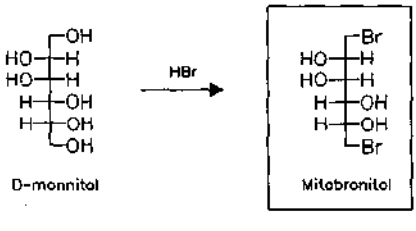
Trade Name(s):

D:	Cytotec (Heumann; 1986)		Napratec (Searle)-comb.	J:	Cytotec (Nippon Monsanto-Kaken)
F:	Artotec (Monsanto)-comb.	I:	Artrotec (Monsanto)-comb.		
	Cytotec (Monsanto; 1987)		Cytotec (Monsanto)	USA:	Cytotec (Searle)
GB:	Arthrotec (Searle)-comb.		Misofenac (Sefarm)-comb.		
	Cytotec (Searle)		Symbol (Sefarm)		

Mitobronitol

ATC: L01AX01
Use: antineoplastic

RN: 488-41-5 MF: $C_6H_{12}Br_2O_4$ MW: 307.97 EINECS: 207-676-8
LD_{50}: 2200 mg/kg (M, i.v.); 1380 mg/kg (M, p.o.);
1370 mg/kg (R, i.v.); 1500 mg/kg (R, p.o.)
CN: 1,6-dibromo-1,6-dideoxy-D-mannitol



Reference(s):
GB 959 407 (Chinoin; appl. 15.9.1961; H-prior. 15.9.1960, 6.9.1961).

Formulation(s): cps. 50 mg; tabl. 125 mg, 250 mg

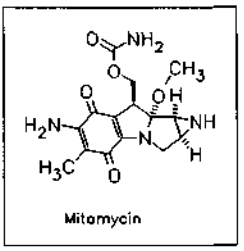
Trade Name(s):

D:	Myelobromol (Hormon-Chemie); wfm	GB:	Myelobromol (Berk); wfm
		J:	Myebrol (Kyorin)

Mitomycin

ATC: L01DC03
Use: antineoplastic

RN: 50-07-7 MF: $C_{15}H_{18}N_4O_5$ MW: 334.33 EINECS: 200-008-6
LD_{50}: 4 mg/kg (M, i.v.); 23 mg/kg (M, p.o.);
3 mg/kg (R, i.v.); 30 mg/kg (R, p.o.);
720 µg/kg (dog, i.v.)
CN: [1a*R*-(1aα,8β,8aα,8bα)]-6-amino-8-[[(aminocarbonyl)oxy]methyl]-1,1a,2,8,8a,8b-hexahydro-8a-methoxy-5-methylazirino[2',3':3,4]pyrrolo[1,2-*a*]indole-4,7-dione



From culture of *Streptomyces caespitosus*; column chromatographic purification.

Reference(s):
GB 830 874 (Kyowa Hakko; appl. 8.4.1958; J-prior. 6.4.1957).
US 3 042 582 (Bristol-Myers; 3.7.1962; prior. 11.12.1958).

Formulation(s): vial 2 mg, 5 mg, 20 mg, 40 mg

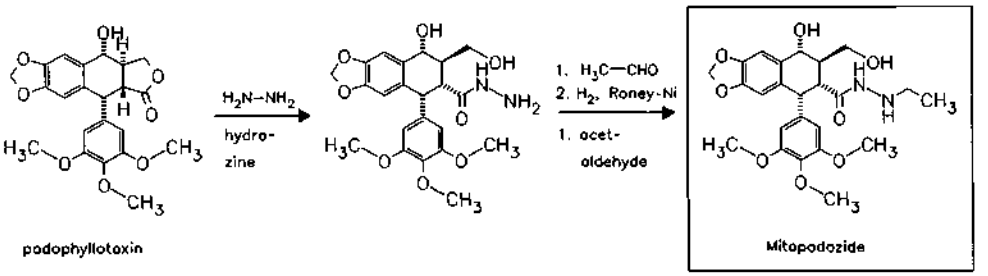
Trade Name(s):

D:	Mito-medac (medac) Mitomycin (medac)	F:	Amétycine (Sanofi Winthrop)	J:	Mitomycin (Kyowa Hakko)
		I:	Mitomycin-C (Kyowa)	USA:	Mutamycin (Bristol-Myers Squibb)

Mitopodozide

ATC: L01
Use: antineoplastic

RN: 1508-45-8 MF: $C_{24}H_{30}N_2O_8$ MW: 474.51 EINECS: 216-138-1
LD_{50}: 140 mg/kg (R, i.v.)
CN: [5*R*-(5α,6α,7β,8α)]-5,6,7,8-tetrahydro-8-hydroxy-7-(hydroxymethyl)-5-(3,4,5-trimethoxyphenyl)naphtho[2,3-*d*]-1,3-dioxole-6-carboxylic acid 2-ethylhydrazide



Reference(s):
US 3 054 802 (Sandoz; 18.9.1962; CH-prior. 7.10.1960).

hydrazinolysis of podophyllotoxin:
Rutschmann, J.; Renz, J.: Helv. Chim. Acta (HCACAV) **42**, 890 (1959).

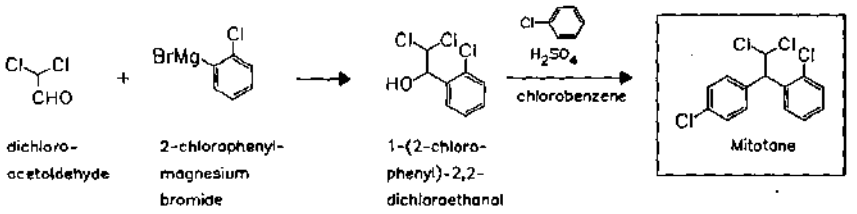
Formulation(s): amp. 200 mg/ml, 1000 mg/5 ml

Trade Name(s):
D: Proresid (Sandoz); wfm

Mitotane

ATC: H02CA
Use: antineoplastic

RN: 53-19-0 MF: $C_{14}H_{10}Cl_4$ MW: 320.05 EINECS: 200-166-6
LD_{50}: >4 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 1-chloro-2-[2,2-dichloro-1-(4-chlorophenyl)ethyl]benzene



Reference(s):
Hailer, B.L. et al.: J. Am. Chem. Soc. (JACSAT) **67**, 1591 (1945).

Formulation(s): tabl. 500 mg

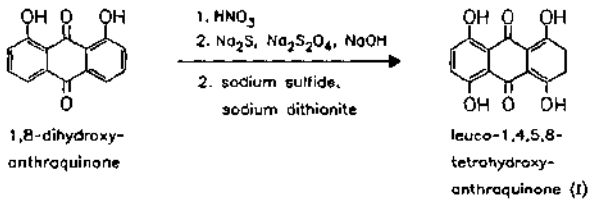
Trade Name(s):
USA: Lysodren (Bristol-Myers Squibb)

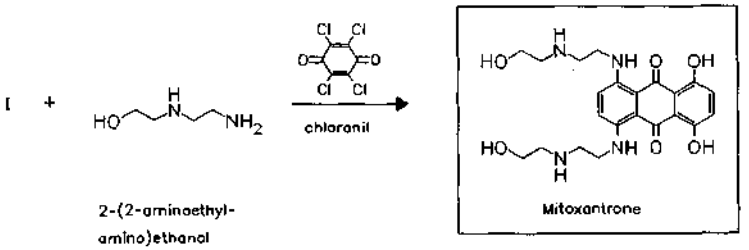
Mitoxantrone

ATC: L01DB07
Use: antineoplastic

RN: 65271-80-9 MF: $C_{22}H_{28}N_4O_6$ MW: 444.49
CN: 1,4-dihydroxy-5,8-bis[[2-[(2-hydroxyethyl)amino]ethyl]amino]-9,10-anthracenedione

dihydrochloride
RN: 70476-82-3 MF: $C_{22}H_{28}N_4O_6 \cdot 2HCl$ MW: 517.41 EINECS: 274-619-1
LD_{50}: 11300 µg/kg (M, i.v.); 502 mg/kg (M, p.o.);
4800 µg/kg (R, i.v.); 682 mg/kg (R, p.o.);
375 µg/kg (dog, i.v.)





Reference(s):
DE 2 835 661 (American Cyanamid; prior. 14.8.1978).
US 4 197 249 (American Cyanamid; 8.4.1980; prior. 15.8.1977).
US 4 278 689 (American Cyanamid; 14.7.1981; prior. 11.7.1978).
Zee-Cheng, R.K.Y.; Cheng, C.C.: J. Med. Chem. (JMCMAR) **21**, 291 (1978).
Murdock, K.C. et al.: J. Med. Chem. (JMCMAR) **22**, 1024 (1979).

synthesis of 1,4,5,8-tetrahydroxyanthraquinone:
SU 230 188 (I. D. Belkin et al.; appl. 3.8.1967).
SU 266 777 (I. D. Belkin et al.; appl. 5.5.1968).

Formulation(s): amp. 2 mg/ml, 10 mg/5 ml, 20 mg/10 ml, 25 mg/12.5 ml, 30 mg/15 ml (as dihydrochloride)

Trade Name(s):

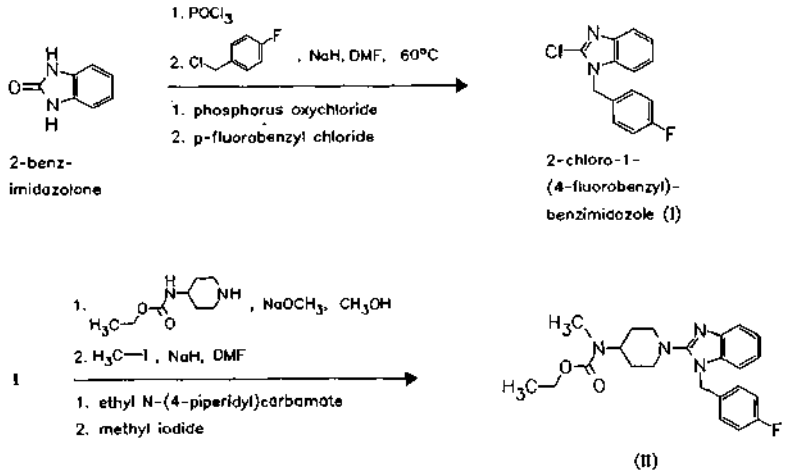
D:	Novantron (Lederle; 1985) Onkotrone (ASTA Medica AWD)	F:	Novantrone (Wyeth-Lederle; 1986)	I:	Novantrone (Wyeth-Lederle; 1987)
		GB:	Novantrone (Wyeth; 1984)	J:	Novantron (Lederle; 1987)
				USA:	Novantrone (Immunex)

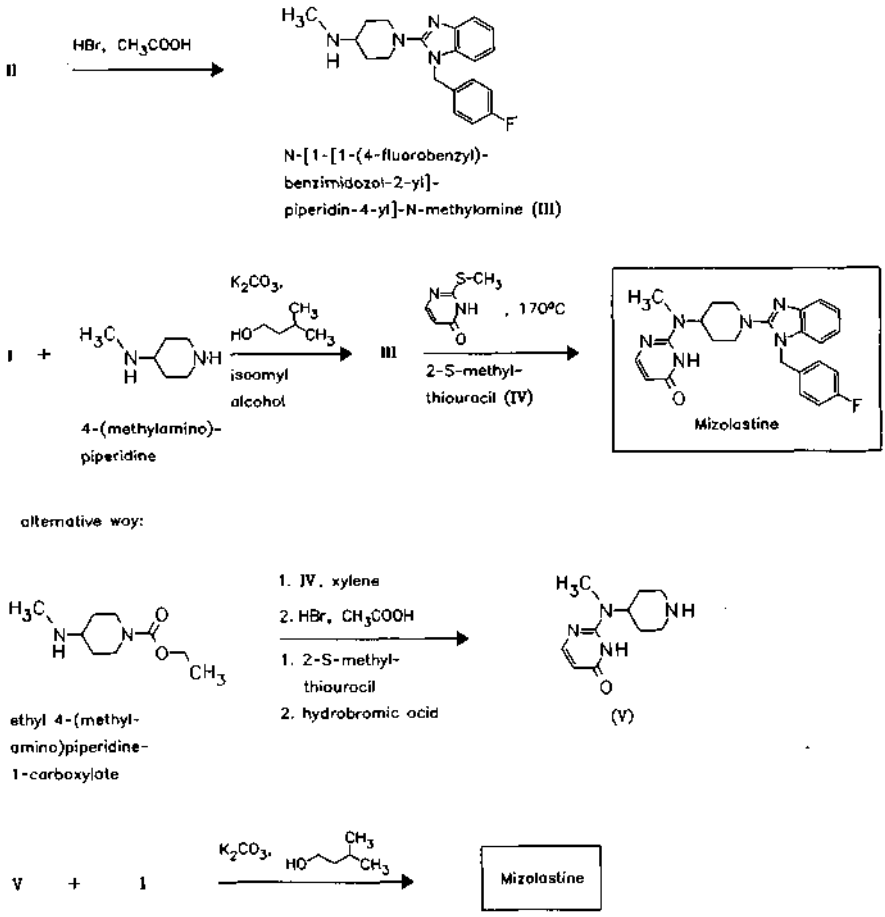
Mizolastine

(SL-85.0324; MKC-431)

ATC: R06AX25
Use: antihistamine, histamine H_1-receptor antagonist

RN: 108612-45-9 MF: $C_{24}H_{25}FN_6O$ MW: 432.50
CN: 2-[[1-[1-[(4-Fluorophenyl)methyl]-1*H*-benzimidazol-2-yl]-4-piperidinyl]methylamino]-4(3*H*)-pyrimidinone





Reference(s):
synthesis of 2-chloro-1-(4-fluorobenzyl)benzimidazole:
Parrodi, C.; Quintero-Cortes, L.; Sandoval-Ramirez: Synth. Commun. (SYNCAV) **26**, 17 (1996).

synthesis:
EP 217 700 (Synthélabo; appl. 2.9.1986; F-prior. 11.9.1985).

formulation:
WO 9 732 584 (Synthélabo; appl. 28.2.1997; F-prior. 4.3.1996).

Formulation(s): f. c. tabl. 10 mg; tabl. 10 mg

Trade Name(s):

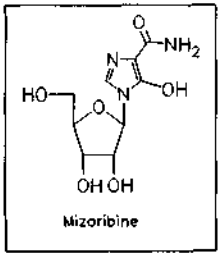
D:	Mizollen (Synthélabo; 1998)	GB:	Mizollen (Lorex Synthélabo)	Zollistam (Vita)
	Zolim (Schwarz Pharma)	I:	Mizollen (Synthelabo)	

Mizoribine

ATC: L04
Use: immunosuppressive

RN: 50924-49-7 MF: $C_9H_{13}N_3O_6$ MW: 259.22
LD_{50}: 500 mg/kg (M, i.v.); >4.883 g/kg (M, p.o.);
1500 mg/kg (R, i.v.); 2.847 g/kg (R, p.o.)
CN: 5-hydroxy-1-β-D-ribofuranosyl-1*H*-imidazole-4-carboxamide

Isolation from cultures of *Eupenicillium brefeldianum* NRRL 5734.
a Amberlite IRA-411/pH 10.
b Chromatography on DEAE-Sephadex A-25.



Mizoribine

Reference(s):
BE 799 805 (Toyo Jozo; appl. 31.11.1973; J-prior. 21.5.1973).
DOS 2 326 916 (Toyo Jozo; appl. 23.5.1973; J-prior. 21.5.1973).
Mizuno, K. et al.: J. Antibiot. (JANTAJ) **27**, 775 (1974).

controlled-release formulation:
JP 59 227 817 (Toyo Jozo; appl. 7.6.1983).

Formulation(s): f. c. tabl. 10 mg; tabl. 10 mg

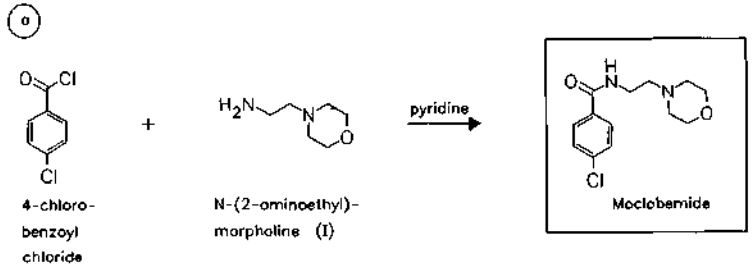
Trade Name(s):
J: Bredinin (Toyo Jozo)

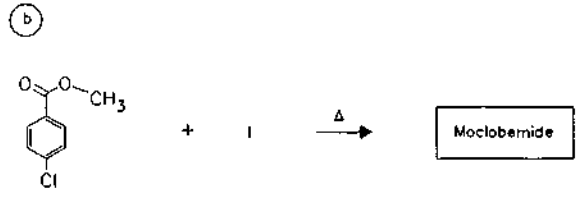
Moclobemide

(Ro-11-1163)

ATC: N06AG02
Use: antidepressant, reversible nonhydrazide MAO-A-inhibitor, antiparkinsonian

RN: 71320-77-9 MF: $C_{13}H_{17}ClN_2O_2$ MW: 268.74
LD_{50}: 707 mg/kg (R, p.o.)
CN: 4-chloro-*N*-[2-(4-morpholinyl)ethyl]benzamide





Reference(s):
DE 2 706 179 (Hoffmann-La Roche; appl. 14.2.1977; A-prior. 16.2.1976).
GB 1 512 194 (Hoffmann-La Roche; appl. 24.5.1978; A-prior. 16.2.1976, 2.9.1976, 20.4.1977, 17.2.1976, 4.6.1976).

medical use for treatment of cognitive disorders:
US 4 906 626 (Hoffmann-La Roche; 6.3.1990; appl. 13.1.1989; CH-prior. 8.12.1988).

Formulation(s): f. c. tabl. 150 mg, 300 mg; tabl. 100 mg, 150 mg, 300 mg

Trade Name(s):

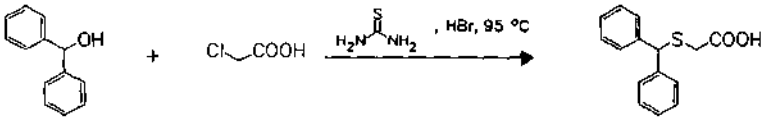
D:	Aurorix (Roche)	F:	Moclamine (Produits Roche)	GB:	Manerix (Roche)
				I:	Aurorix (Roche)

Modafinil
(CRL-40476)

ATC: N06BA07
Use: psychostimulant, α_1-adrenoceptor agonist (treatment of narcolepsy and idiopathic hypersomnia)

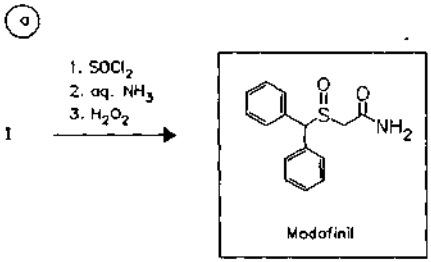
RN: 68693-11-8 MF: $C_{15}H_{15}NO_2S$ MW: 273.36
CN: 2-[(diphenylmethyl)sulfinyl]acetamide

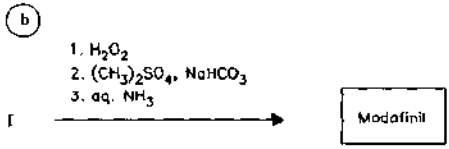
racemate
RN: 112111-49-6 MF: $C_{15}H_{15}NO_2S$ MW: 273.36
(+)-form
RN: 112111-47-4 MF: $C_{15}H_{15}NO_2S$ MW: 273.36
(–)-form
RN: 112111-43-0 MF: $C_{15}H_{15}NO_2S$ MW: 273.36



benzhydrol

2-(diphenylmethyl-thio)acetic acid (I)





Reference(s):
DE 2 809 625 (Laboratoire L. Lafon; appl. 5.10.1978; GB-prior. 31.3.1977).
EP 233 106 (Laboratoire L. Lafon; appl. 19.8.1987; F-prior. 31.1.1986).

use of modafinil *as neuroprotective agent:*
EP 462 004 (Laboratoire L. Lafon; appl. 18.12.1991; F-prior. 14.6.1990).

use for treatment of urinary and fecal incontinence:
EP 594 507 (Laboratoire L. Lafon; appl. 27.4.1994; F-prior. 23.1.1992).

use as a brain anti-ischemia:
EP 547 952 (Laboratoire L. Lafon; appl. 23.6.1993; F-prior. 13.12.1991).

use for treatment of sleep apnea and ventilation problems of central origin:
WO 9 500 132 (Laboratoire L. Lafon; appl. 5.1.1995; F-prior. 22.6.1993).

use for modifying feeding behavior:
WO 9 501 171 (Laboratoire L. Lafon; appl. 12.1.1995; 30.6.1993).

Formulation(s): tabl. 100 mg

Trade Name(s):
F: Modiodal (Lafon)

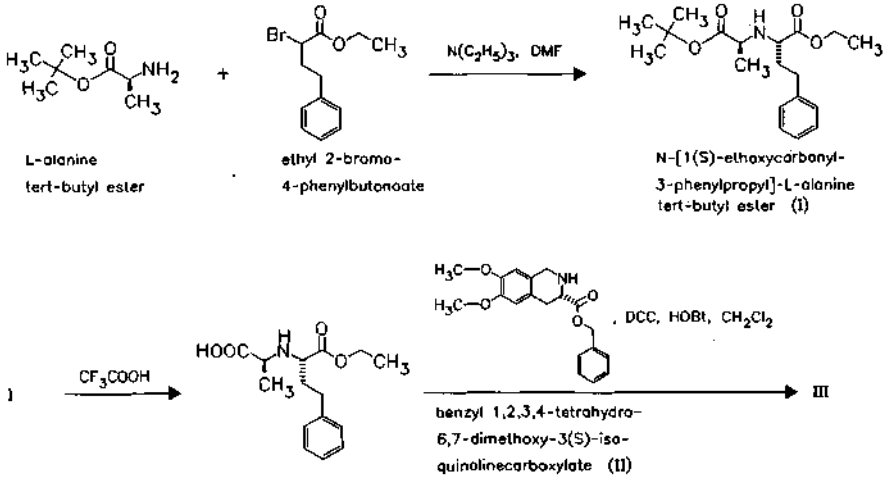
Moexipril

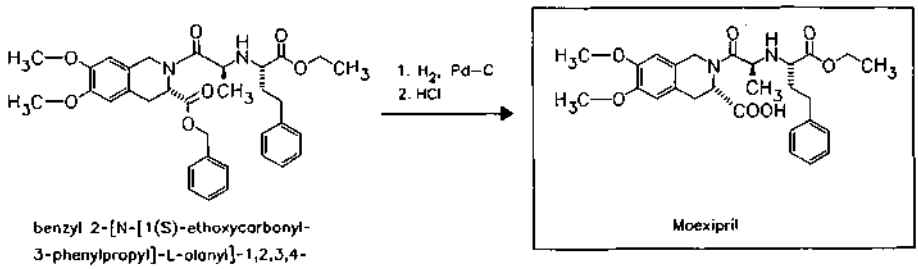
(CI-925; RS-10085 (base); RS-10085-197; SPM-925)

ATC: C09AA13; C09AB13
Use: antihypertensive (ACE inhibitor)

RN: 103775-10-6 MF: $C_{27}H_{34}N_2O_7$ MW: 498.58
CN: [3*S*-[2[*R**(*R**)],3*R**]]-2-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-3-isoquinolinecarboxylic acid

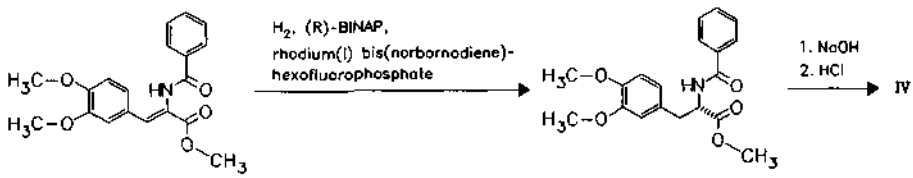
monohydrochloride
RN: 82586-52-5 MF: $C_{27}H_{34}N_2O_7 \cdot HCl$ MW: 535.04



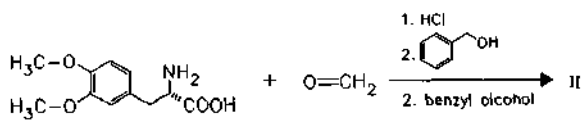


benzyl 2-[N-[1(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-3(S)-isoquinolinecarboxylate (III)

synthesis of the starting material II:



methyl N-benzoyl-3,4-dimethoxy-L-phenylalanine.



(S)-2-amino-3-(3,4-dimethoxyphenyl)-proponoic acid (IV)

Reference(s):
EP 96 157 (Warner-Lambert; appl. 1.10.1981; USA-prior. 3.10.1980, 20.2.1981).
EP 49 605 (Warner-Lambert; appl. 1.10.1981; USA-prior. 3.10.1980).
O'Reilly, N.J. et al.: Synthesis (SYNTBF) 7, 550-556 (1990).
US 4 912 221 (Occidental Chemical Corp., appl. 27.10.1988).

formulation stabilized with ascorbic acid:
EP 264 887 (Warner-Lambert Co.; appl. 19.10.1987; USA-prior. 20.10.1986).

Formulation(s): f. c. tabl. 7.5 mg, 15 mg; USA: f. c. tabl. 7.5 mg, 12.5 mg, 15 mg, 25 mg (in comb. with hydrochlorothiazide) (as hydrochloride)

Trade Name(s):

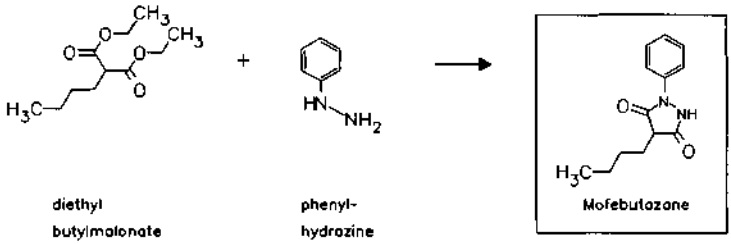
D:	Fempres (Isis Pharma)	I:	Femipres (Schwarz)	USA:	Uniretic (Schwarz)
GB:	Perdix (Schwarz)		Primoxil (Bayer Italia)		Univasc (Schwarz)

Mofebutazone

ATC: M01AA02; M02AA02
Use: antirheumatic, anti-inflammatory, analgesic

RN: 2210-63-1 MF: $C_{13}H_{16}N_2O_2$ MW: 232.28 EINECS: 218-641-1
LD_{50}: 600 mg/kg (M, i.v.);
1750 mg/kg (R, p.o.)
CN: 4-butyl-1-phenyl-3,5-pyrazolidinedione

sodium salt
RN: 41468-34-2 MF: $C_{13}H_{15}N_2NaO_2$ MW: 254.27



Reference(s):
GB 839 057 (Comm. Farmaceutica Milanese; appl. 27.11.1957; I-prior. 28.11.1956).
Büchi, J. et al.: Helv. Chim. Acta (HCACAV) **36**, 75 (1953).

Formulation(s): amp. 650 mg/3 ml (as sodium salt); cps. 200 mg; drg. 150 mg-comb.; f. c. tabl. 300 mg

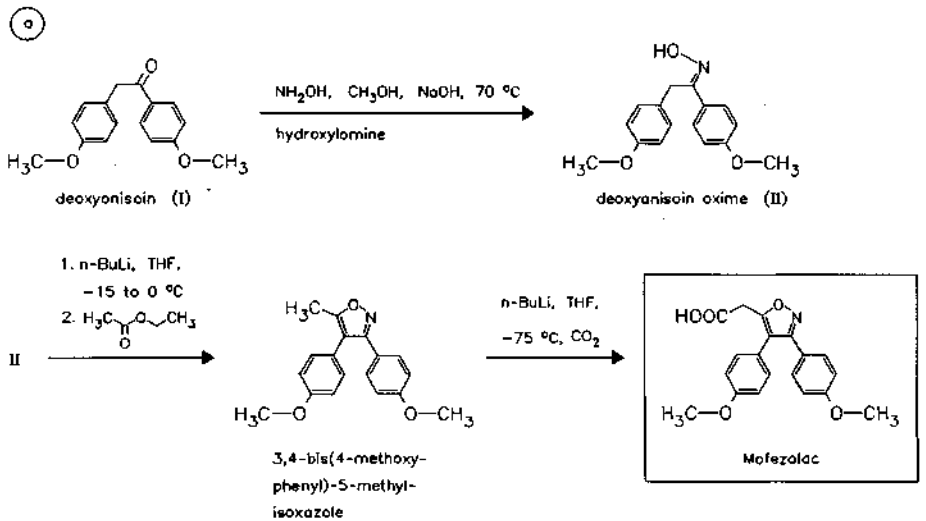
Trade Name(s):

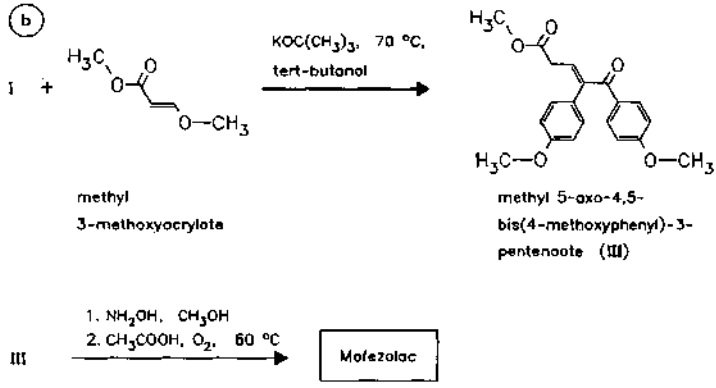
D:	Diadin (Diadin)	F:	Arcobutina (Silbert et Ripert)-comb.; wfm		Monbutina (Lafare); wfm
	Mofesal (Medice)				Reumatox (Medosan); wfm
	Vasotonin (Merz)-comb.	I:	Chemiartrol (Gazzoni); wfm		

Mofezolac
(N-22)

ATC: M01; N02
Use: anti-inflammatory, analgesic

RN: 78967-07-4 MF: $C_{19}H_{17}NO_5$ MW: 339.35
LD_{50}: 1528 mg/kg (M, p.o.);
887 mg/kg (R, p.o.);
800 mg/kg (dog, p.o.)
CN: 3,4-bis(4-methoxyphenyl)-5-isoxazoleacetic acid





Reference(s):
EP 26 928 (CDC Life Sciences Inc.; appl. 3.10.1980; CA-prior. 5.10.1979).

synthesis with $ClCO_2Et$ *instead* CO_2:
JP 02 223 568 (Taiho Pharmaceuticals; appl. 20.11.1989; J-prior. 2.11.1988).
EP 454 871 (Taiho Pharmaceuticals; appl. 19.11.1990; J-prior. 21.11.1989).
JP 03 220 180 (Taiho Pharmaceuticals; appl. 24.1.1990; J-prior. 24.1.1990).
EP 464 218 (Taiho Pharmaceuticals; appl. 22.1.1991; J-prior. 24.1.1990).

transdermal formulations:
JP 05 017 354 (Nichiban KK; appl. 3.7.1991; J-prior. 3.7.1991).

stable injection solution:
AT 391 415 (Kwizda; appl. 26.7.1989; A-prior. 26.7.1989).

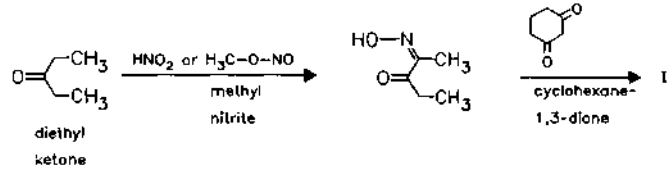
Trade Name(s):
J: Disopain (Taiho-Yoshitomi)

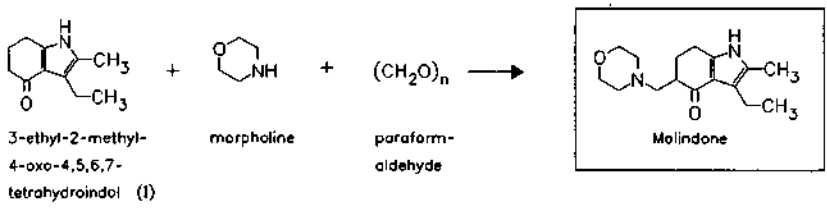
Molindone

ATC: N05AE02
Use: tranquilizer, sedative

RN: 7416-34-4 MF: $C_{16}H_{24}N_2O_2$ MW: 276.38
CN: 3-ethyl-1,5,6,7-tetrahydro-2-methyl-5-(4-morpholinylmethyl)-4*H*-indol-4-one

monohydrochloride
RN: 15622-65-8 MF: $C_{16}H_{24}N_2O_2 \cdot HCl$ MW: 312.84
LD_{50}: 670 mg/kg (M, p.o.);
261 mg/kg (R, p.o.)





Reference(s):
DAS 1 545 774 (Endo Labs.; appl. 16.10.1965).
US 3 491 093 (Endo Labs.; 20.1.1970; prior. 2.3.1964, 3.4.1964, 11.5.1966, 29.11.1967).

combination with amantadine *(antidepressant):*
US 4 148 896 (Du Pont; 10.4.1979; appl. 22.2.1978).

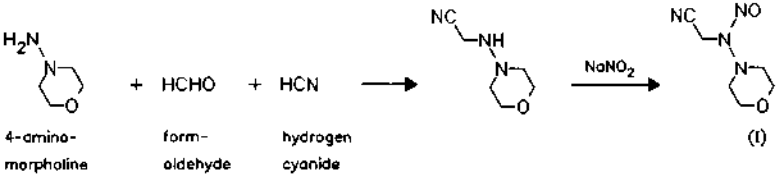
Formulation(s): sol. 20 mg/ml; tabl. 5 mg, 10 mg, 25 mg, 50 mg, 100 mg

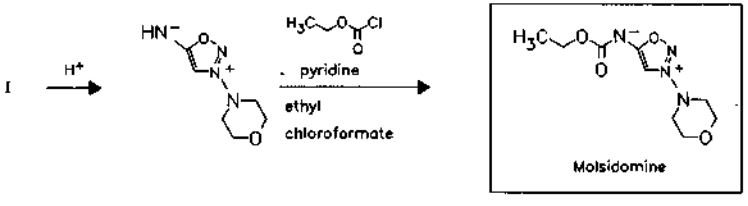
Trade Name(s):
USA: Moban (Gate)

Molsidomine

ATC: C01DX12
Use: coronary vasodilator

RN: 25717-80-0 MF: $C_9H_{14}N_4O_4$ MW: 242.24 EINECS: 247-207-4
LD_{50}: 800 mg/kg (M, i.v.); 830 mg/kg (M, p.o.);
760 mg/kg (R, i.v.); 1050 mg/kg (R, p.o.)
CN: 5-[(ethoxycarbonyl)amino]-3-(4-morpholinyl)-1,2,3-oxadiazolium inner salt





Reference(s):
DAS 1 695 897 (Takeda; appl. 1.7.1967; J-prior. 4.7.1966).

synthesis of 4-aminomorpholine:
DAS 2 532 124 (Cassella; appl. 18.7.1975).
US 3 769 283 (Takeda, 30.10.1973; J-prior. 4.7.1966).

Formulation(s): amp. 2 mg; s. r. tabl. 8 mg; tabl. 1 mg, 2 mg, 4 mg

Trade Name(s):

D: Corvaton (Hoechst; 1977)
duracoron (durachemie)
Molsicor (betapharm)
Molsidomine (Heumann; ct-Arzneimittel; ratiopharm)
Molsihexal (Hexal)

F: Corvasal (Hoechst; 1983)

I: Molsidolat (Hoechst; 1980); wfm
Molsiton (Edmond); wfm

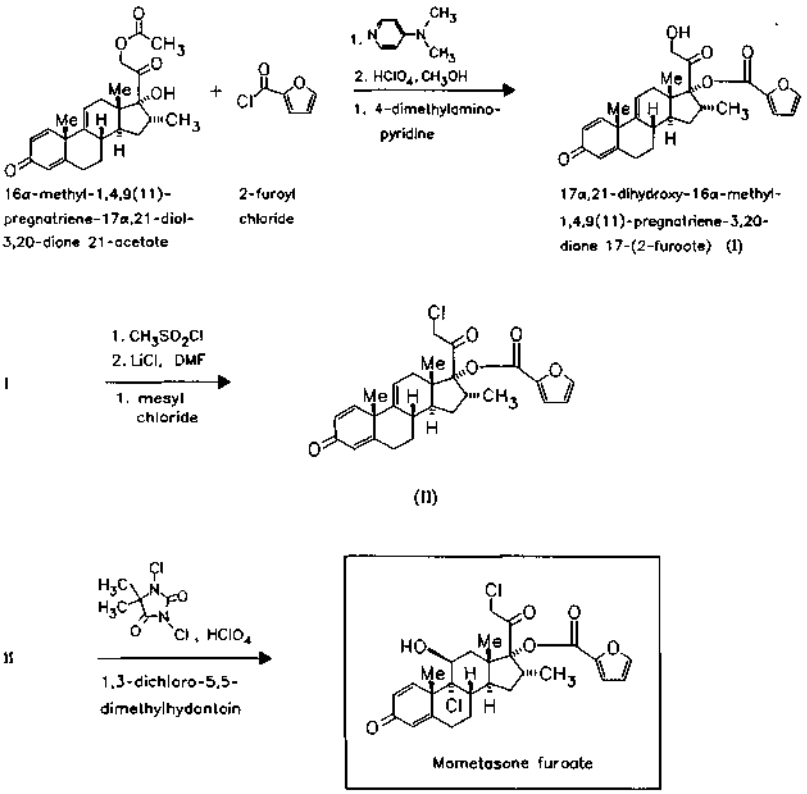
J: Morial (Takeda; 1972)

Mometasone furoate

ATC: D07AB
Use: topical glucocorticoid, anti-inflammatory

RN: 83919-23-7 MF: $C_{27}H_{30}Cl_2O_6$ MW: 521.44
LD_{50}: 300 mg/kg (R, s.c.)
CN: (11β,16α)-9,21-dichloro-17-[(2-furanylcarbonyl)oxy]-11-hydroxy-16-methylpregna-1,4-diene-3,20-dione

mometasone
RN: 105102-22-5 MF: $C_{22}H_{28}Cl_2O_4$ MW: 427.37



Reference(s):
EP 57 401 (Schering Corp.; appl. 25.1.1982; USA-prior. 2.2.1981).
US 4 472 393 (Schering Corp.; 18.9.1984; appl. 29.7.1982; prior. 2.2.1981).
Shapiro, E.L. et al.: J. Med. Chem. (JMCMAR) **30**, 1581 (1987).

cream:
EP 262 681 (Schering Corp.; appl. 1.10.1987; USA-prior. 2.10.1986).

lotion:
US 4 775 529 (Schering Corp.; 4.10.1988; appl. 21.5.1987).

Formulation(s): cream 0.1 %; lotion 0.1 %; ointment 0.1 % (1 mg/g)

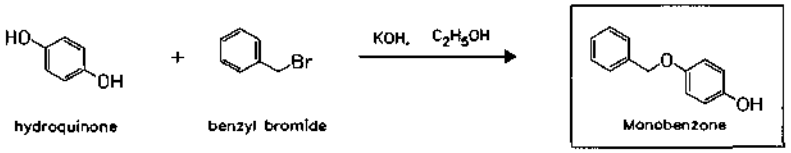
Trade Name(s):

D:	Ecural (Essex Pharma)	I:	Altosone (Essex Italia)	USA:	Elocon (Schering-Plough; 1988)
GB:	Elocon (Schering-Plough)		Elocon (Schering-Plough)		
	Nasorex (Schering-Plough)-comb.	J:	Ecotone (Schering-Plough)		
			Flumeta (Shionogi)		

Monobenzone

Use: depigmentant (melanin inhibitor against hyperpigmentation of skin)

RN: 103-16-2 MF: $C_{13}H_{12}O_2$ MW: 200.24 EINECS: 203-083-3
LD_{50}: >600 mg/kg (M, i.p.); 4500 mg/kg (R, i.p.)
CN: 4-(phenylmethoxy)phenol



hydroquinone + benzyl bromide → Monobenzone

Reference(s):
Schiff, H.; Pellizzari, G.: Justus Liebigs Ann. Chem. (JLACBF) **221**, 365 (1883).

Formulation(s): cream 20 %

Trade Name(s):

D:	Depigman (Hermal); wfm	I:	Dermochinona (Chinoin); wfm	USA:	Benoquin (Elder)

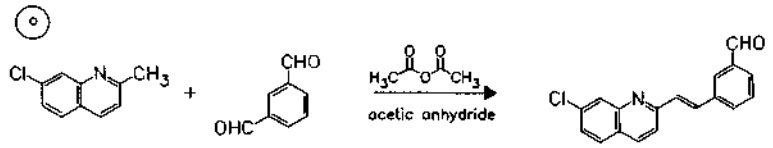
Montelukast sodium

(MK-476; MK-0476; L-706631)

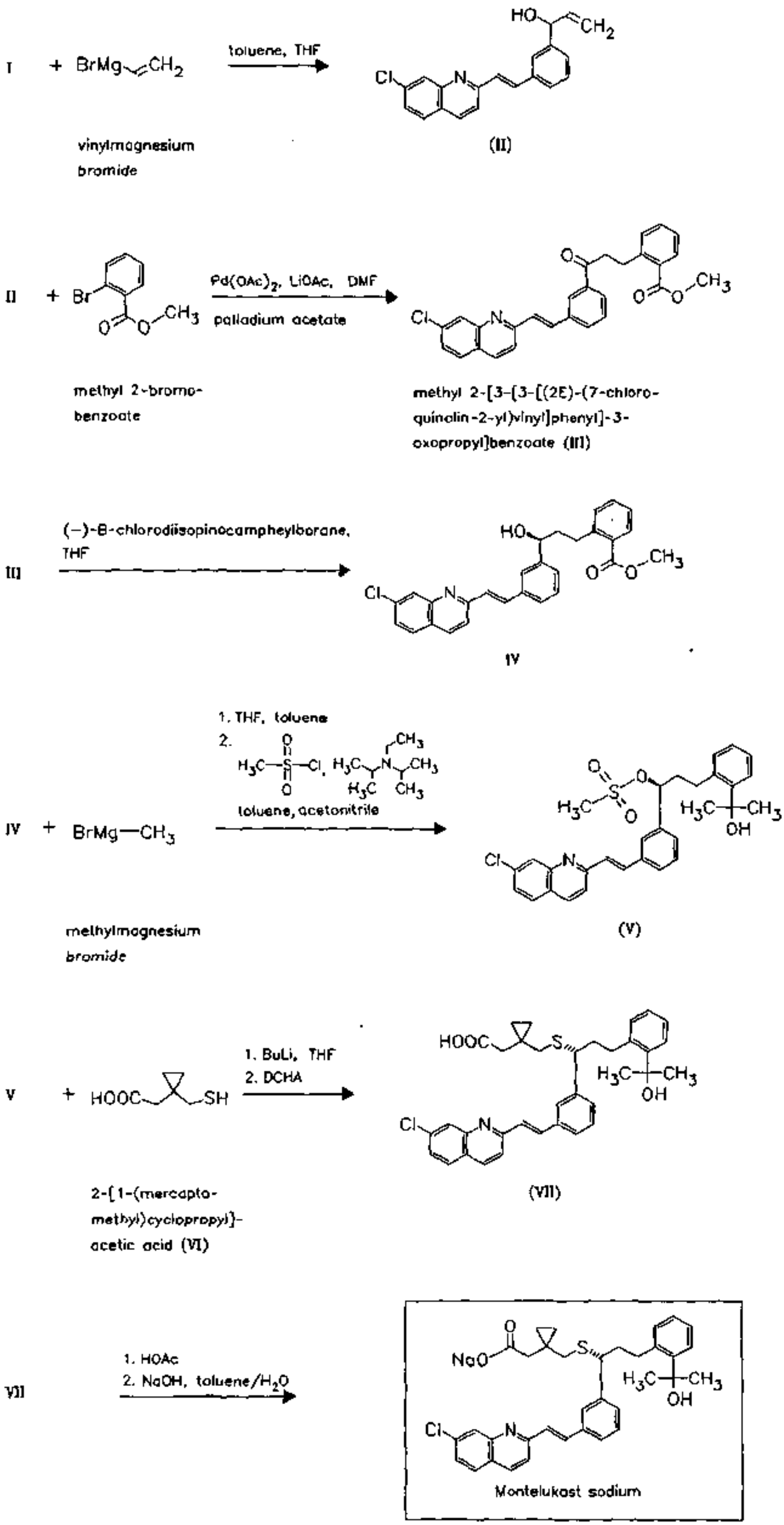
ATC: R03DC03
Use: antiallergic, antiasthmatic, leukotriene antagonist

RN: 151767-02-1 MF: $C_{35}H_{35}ClNNaO_3S$ MW: 608.18
CN: 1-[[[(1*R*)-1-[3-[(1*E*)-2-(7-Chloro-2-quinolinyl)ethenyl]phenyl]-3-[2-(1-hydroxy-1-methylethyl)phenyl]propyl]thio]methyl]cyclopropaneacetic acid sodium salt

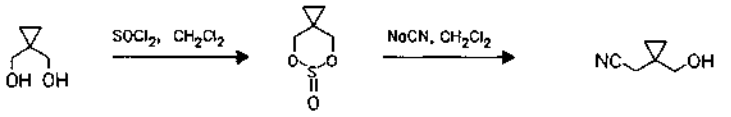
acid
RN: 158966-92-8 MF: $C_{35}H_{36}ClNO_3S$ MW: 586.20



7-chloroquinoldine + isophthalaldehyde → 3-[2(E)-(7-chloroquinolin-2-yl)vinyl]benzaldehyde (I)

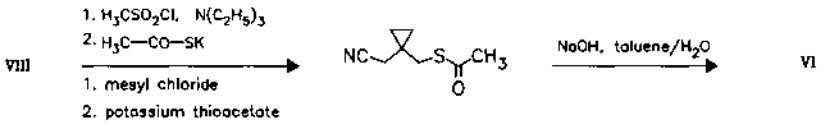

I + BrMg–CH=CH2
toluene, THF
(II)
vinylmagnesium bromide
II + Br
Pd(OAc)2, LiOAc, DMF
palladium acetate
methyl 2-bromobenzoate
methyl 2-[3-(3-[(2E)-(7-chloroquinolin-2-yl)vinyl]phenyl]-3-oxopropyl]benzoate (III)
III
(–)-B-chlorodiisopinocampheylborane, THF
IV
IV + BrMg—CH3
1. THF, toluene
2. toluene, acetonitrile
methylmagnesium bromide
(V)
V + HOOC SH
1. BuLi, THF
2. DCHA
2-[1-(mercaptomethyl)cyclopropyl]-acetic acid (VI)
(VII)
VII
1. HOAc
2. NaOH, toluene/H2O
Montelukast sodium

(aa) synthesis of intermediate VI



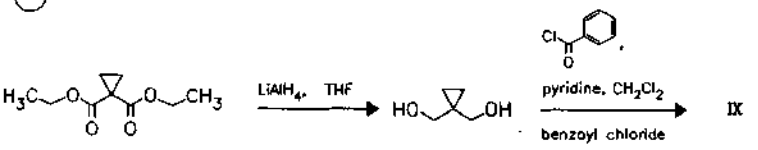
1,1-cyclopropanedimethanol

2-[1-(hydroxymethyl)-cyclopropyl]-acetonitrile (VIII)

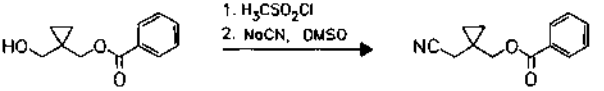


2-[1-(acetylthio)-cyclopropyl]acetonitrile

(b)

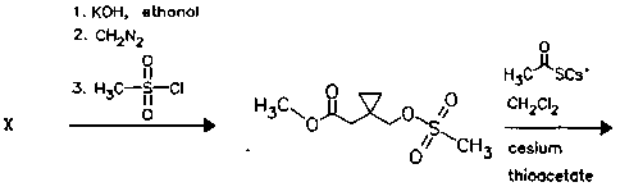


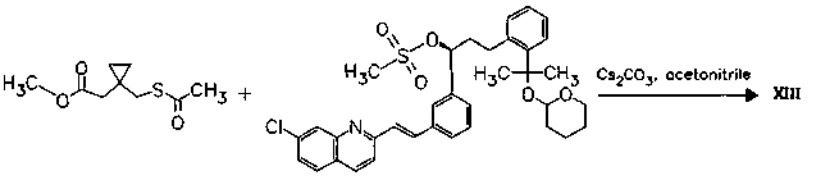
diethyl cyclopropane-1,1-dicarboxylate



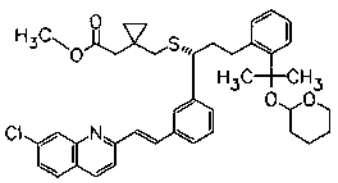
(IX)

2-[1-(benzoyloxymethyl)cyclopropyl]-acetonitrile (X)





methyl 2-[1-(acetylthiomethyl)cyclopropyl]-acetate (XI)

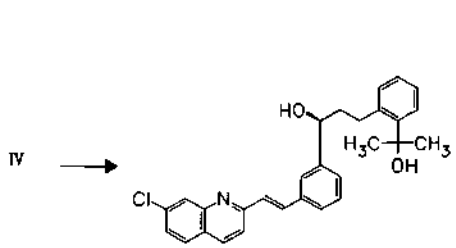


XIII

1. Tos–OH, pyridine
2. NaOH

Montelukast sodium

(ba) intermediate XII can be sythesized from

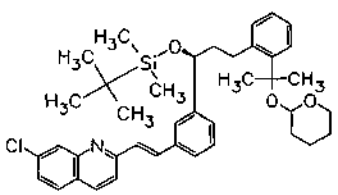


IV

1. $Cl-Si(CH_3)_2-C(CH_3)_3$, DMAP, CH_2Cl_2
2. 

1. tert-butyldimethylsilyl chloride
2. 3,4-dihydro-2H-pyran

XIV



(XIV)

1. $Bu_4N^+F^-$, THF
2. $H_3C-S(=O)_2-Cl$

XII

Reference(s):

a EP 480 717 (Merck Frosst; appl. 10.10.1991; USA-prior. 12.10.1990, 8.8.1991).
aa US 5 523 477 (Merck + Co.; 4.6.1996; USA-prior. 23.1.1995).
b WO 9 518 107 (Merck + Co.; appl. 22.12.1994; USA-prior. 28.12.1993, 9.12.1994).
Labelle, M. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **5**, 283 (1995).

synthesis of starting material I:
US 4 851 409 (Merck Frosst Can.; 25.7.1987; USA-prior. 14.2.1986).

pharmaceutical composition with loratidine:
WO 9 728 797 (Merck + Co.; appl. 4.2.1997; USA-prior. 8.2.1996).

Formulation(s): chewable tabl. 5 mg, 50 mg; f. c. tabl. 10 mg; tabl. 5 mg, 10 mg (as sodium salt)

Trade Name(s):

D:	Singulair (MSD Dieckmann)	I:	Lukasm (Sigmatau) Montegen (Gentili)	USA:	Singulair (Merck Sharp & Dohme; 1998)
GB:	Singulair (Merck Sharp & Dohme)		Singulair (Merck Sharp & Dohme)		

Moperone

(Methylperidol; Mopiperone)

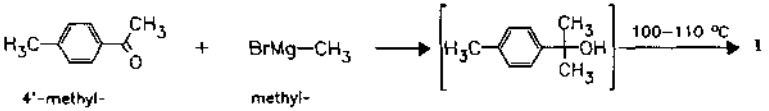
ATC: N05AD04
Use: neuroleptic, antipsychotic

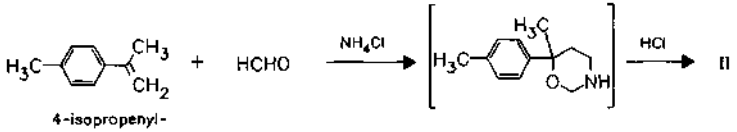
RN: 1050-79-9 MF: $C_{22}H_{26}FNO_2$ MW: 355.45 EINECS: 213-887-6
CN: 1-(4-fluorophenyl)-4-[4-hydroxy-4-(4-methylphenyl)-1-piperidinyl]-1-butanone

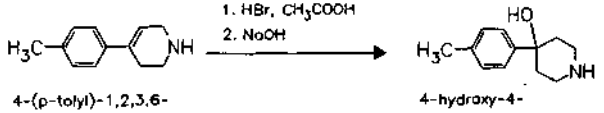
hydrochloride

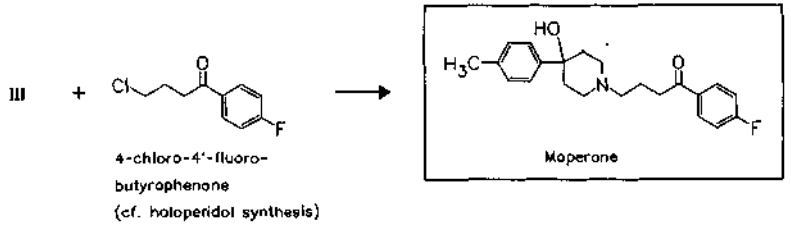
RN: 3871-82-7 MF: $C_{22}H_{26}FNO_2 \cdot HCl$ MW: 391.91 EINECS: 223-392-7

LD_{50}: 15.5 mg/kg (M, i.v.); 218 mg/kg (M, p.o.);
12.1 mg/kg (R, i.v.); 152 mg/kg (R, p.o.)









Reference(s):
GB 881 893 (P. A. J. Janssen; appl. 22.4.1958; valid from 14.4.1959).

Formulation(s): amp. 5 mg/1 ml; tabl. 5 mg, 20 mg (as hydrochloride)

Trade Name(s):

D:	Luvatrena (Cilag-Chemie); wfm	F:	Sedalium (Fournier Frères)-comb.; wfm	I:	Luvatren (Cilag-Chemie); wfm
				J:	Luvatren (Yamanouchi)

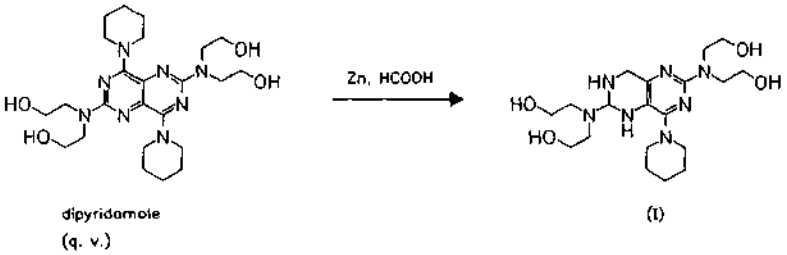
Mopidamol

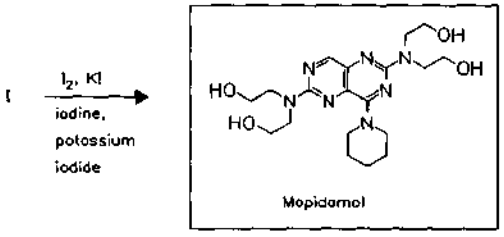
ATC: B01AC
Use: thrombosis and metastasis prophylactic, antineoplastic

RN: 13665-88-8 MF: $C_{19}H_{31}N_7O_4$ MW: 421.50 EINECS: 237-145-6

LD_{50}: 148 mg/kg (M, i.v.); 465 mg/kg (M, p.o.);
3 g/kg (R, p.o.)

CN: 2,2',2'',2'''-[[4-(1-piperidinyl)pyrimido[5,4-*d*]pyrimidine-2,6-diyl]dinitrilo]tetrakis[ethanol]





Reference(s):
DAS 1 470 341 (Thomae; appl. 9.3.1963).

starting material:
DE 1 116 676 (Thomae; appl. 14.3.1955).
US 3 031 450 (Thomae; 24.4.1962; D-prior. 30.4.1959).

Formulation(s): amp. 150 mg/3 ml; cps. 250 mg

Trade Name(s):
D: Rapenton (Thomae); wfm

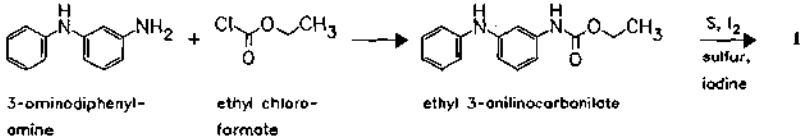
Moracizine

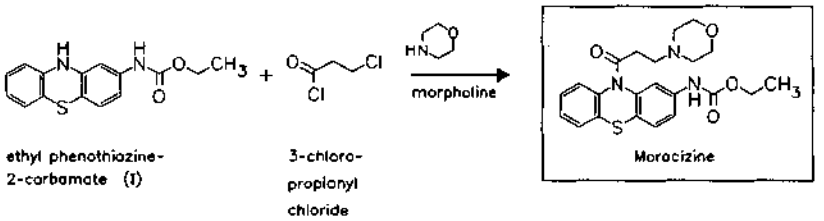
(Ethmosine; Etmosin; Moricizine)

ATC: C01BG01
Use: class I antiarrhythmic

RN: 31883-05-3 MF: $C_{22}H_{25}N_3O_4S$ MW: 427.53 EINECS: 250-854-5
LD_{50}: 131 mg/kg (M, i.p.); 36 mg/kg (M, i.v.);
105 mg/kg (R, i.p.); 11 mg/kg (R, i.v.)
CN: [10-[3-(4-morpholinyl)-1-oxopropyl]-10*H*-phenothiazin-2-yl]carbamic acid ethyl ester

monohydrochloride
RN: 29560-58-5 MF: $C_{22}H_{25}N_3O_4S \cdot HCl$ MW: 463.99
LD_{50}: 36 mg/kg (M, i.v.);
11 mg/kg (R, i.v.); 1 g/kg (R, p.o.)





Reference(s):
DE 2 014 201 (Academy of Medical Sci. USSR; appl. 24.3.1970).
US 3 740 395 (Academy of Medical Sci. USSR; prior. 16.8.1971; 14.10.1969).
US 3 864 487 (A.N. Gritsenko et al.; 4.2.1975; prior. 16.8.1971, 10.10.1969).
GB 1 269 969 (Academy of Medical Sci. USSR; appl. 25.9.1969).
Gritsenko, A.N. et al.: Khim. Farm. Zh. (KHFZAN) **6**, 17 (1972).
SU 332 835 (Academy of Medical Sci. USSR; appl. 15.1.1965).
SU 329 891 (Academy of Medical Sci. USSR; appl. 19.7.1965).

Formulation(s): tabl. 200 mg, 250 mg, 300 mg (as hydrochloride)

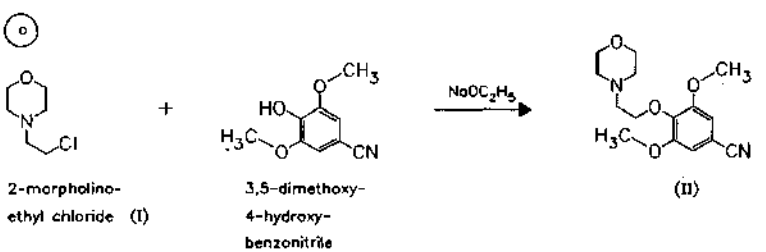
Trade Name(s):
USA: Ethmozine (Roberts)

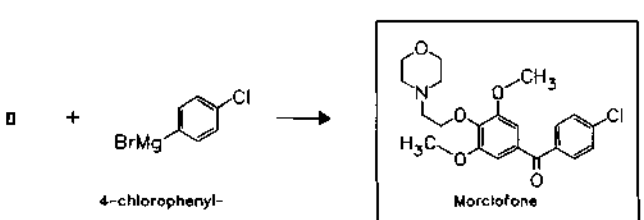
Morclofone

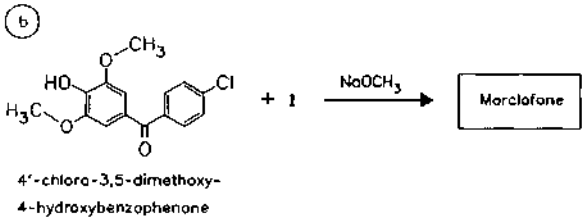
ATC: R05DB25
Use: antitussive

RN: 31848-01-8 MF: $C_{21}H_{24}ClNO_5$ MW: 405.88 EINECS: 250-838-8
LD_{50}: 552 mg/kg (M, p.o.)
CN: (4-chlorophenyl)[3,5-dimethoxy-4-[2-(4-morpholinyl)ethoxy]phenyl]methanone

hydrochloride
RN: 31848-02-9 MF: $C_{21}H_{24}ClNO_5 \cdot HCl$ MW: 442.34 EINECS: 250-839-3
LD_{50}: 609 mg/kg (M, p.o.);
1290 mg/kg (R, p.o.)







Reference(s):
DOS 2 016 707 (Carlo Erba; appl. 8.4.1970; I-prior. 15.4.1969).

Formulation(s): syrup 50 mg (1 %) (as hydrochloride)

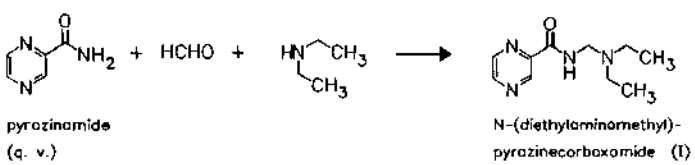
Trade Name(s):
I: Plausitin (Carlo Erba)

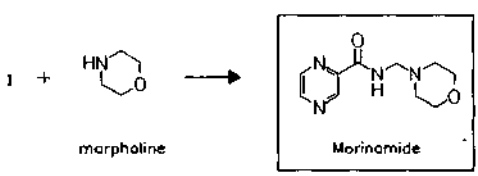
Morinamide
(Morfazinamida)

ATC: J04AK04
Use: tuberculostatic

RN: 952-54-5 MF: $C_{10}H_{14}N_4O_2$ MW: 222.25 EINECS: 213-460-4
LD_{50}: 2750 mg/kg (M, i.p.)
CN: *N*-(4-morpholinylmethyl)pyrazinecarboxamide

monohydrochloride
RN: 1473-73-0 MF: $C_{10}H_{14}N_4O_2 \cdot HCl$ MW: 258.71 EINECS: 216-013-1





Reference(s):
DE 1 129 492 (Bracco; appl. 23.6.1960; CH-prior. 31.7.1959).

Formulation(s): amp. 1 g; tabl. 500 mg (as hydrochloride)

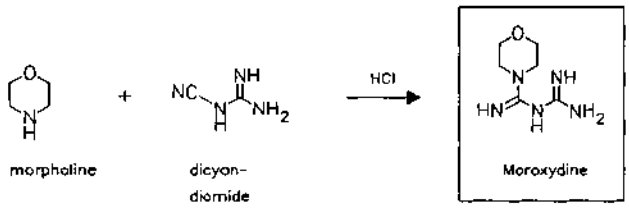
Trade Name(s):
F: Piazoline (Beytout); wfm I: Piazofolina (Bracco)

Moroxydine

ATC: J05AX01
Use: antiviral, influenza therapeutic

RN: 3731-59-7 MF: $C_6H_{13}N_5O$ MW: 171.20 EINECS: 223-093-1
CN: *N*-(aminoiminomethyl)-4-morpholinecarboximidamide

monohydrochloride
RN: 3160-91-6 MF: $C_6H_{13}N_5O \cdot HCl$ MW: 207.67 EINECS: 221-612-6
LD_{50}: 325 mg/kg (M, i.v.); >6.25 g/kg (M, p.o.)



Reference(s):
GB 776 176 (A. B. Kabi; appl. 1954; S-prior. 1953).

Formulation(s): tabl. 100 mg, 400 mg (as hydrochloride)

Trade Name(s):

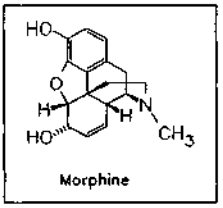
D:	Flumidin (Kabi); wfm	Anrus (Towa S.-Aoi)	Pathin (Tokyo Tanabe)
F:	Assur (Delagrange)-comb.; wfm	Enless (Zeria)	Sanflumin (Sanwa)
	Virustat (Delagrange); wfm	Flue (Kobayashi Kako)	Tamaxin (Sawai)
J:	ABOB (Nichiiko; Sankyo)	Infermine (Hokuriku)	Vilusron (Kanto)
	Aboryl (Taisho)	Nicefull (Kyorin)	Virusmin (Sumitomo)
		Pansil (Iwaki)	Virusmohin (Mohan)

Morphine
(Morphium)

ATC: N02AA01
Use: analgesic, sedative

RN: 57-27-2 MF: $C_{17}H_{19}NO_3$ MW: 285.34 EINECS: 200-320-2
LD_{50}: 135 mg/kg (M, i.v.); 524 mg/kg (M, p.o.);
140 mg/kg (R, i.v.); 335 mg/kg (R, p.o.);
133 mg/kg (dog, i.v.)
CN: (5α,6α)-7,8-didehydro-4,5-epoxy-17-methylmorphinan-3,6-diol

hydrochloride
RN: 52-26-6 MF: $C_{17}H_{19}NO_3 \cdot HCl$ MW: 321.80 EINECS: 200-136-2
LD_{50}: 180 mg/kg (M, i.v.); 745 mg/kg (M, p.o.);
265 mg/kg (R, i.v.); 335 mg/kg (R, p.o.);
175 mg/kg (dog, i.v.)
sulfate (2:1)
RN: 64-31-3 MF: $C_{17}H_{19}NO_3 \cdot 1/2H_2SO_4$ MW: 668.76 EINECS: 200-582-8
LD_{50}: 156 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
70 mg/kg (R, i.v.); 461 mg/kg (R, p.o.);
316 mg/kg (dog, i.v.)



By extraction of poppy-heads or opium with water, precipitation with aqueous Na_2CO_3-solution, washing of the precipitate with ethanol and dissolving in diluted acetic acid.

Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **3**, 233.

newer methods:
DOS 2 726 925 (Knoll; appl. 15.6.1977).

isolation on ion-exchanger:
DOS 2 905 468 (Kutnowskie Zaklady Farmaceut. Polfa; appl. 13.2.1979; PL-prior. 15.2.1978).

Formulation(s): amp. 10 mg, 20 mg, 100 mg; s. r. cps. 10 mg, 20 mg, 30 mg, 50 mg, 60 mg, 100 mg; suppos. 10 mg, 20 mg, 30 mg (as sulfate)

Trade Name(s):

D: Capros (Rhône-Poulenc Rorer; medac)
Kapanol (Glaxo Wellcome)
MST Mundipharma (Mundipharma)
generic

F: Colchimax (Hoechst Houdé)-comb.
Lamaline (Solvay Pharma)-comb.
Morphine Meram sans conservateur (RPR Cooper)
Moscontin (ASTA Medica)

GB: Cyclimorph (Glaxo Wellcome)-comb.
MST Continus (Napp)
MXL (Napp; as sulfate)
Oramorph (Boehringer Ing.; as sulfate)
Rapiject (Evans; as sulfate)
Sevredol (Napp; as sulfate)
Zomorph (Link; as sulfate)

I: Cardiostenol (Molteni)-comb.
MS Contin (ASTA Medica; as sulfate)
Skenan (Ethypharm)
numerous generics as hydrochloride

J: Morphine Hydrochloride (Dainippon; Sankyo; Takeda; Tanabe)
MS Contin (Shionogi; as sulfate)

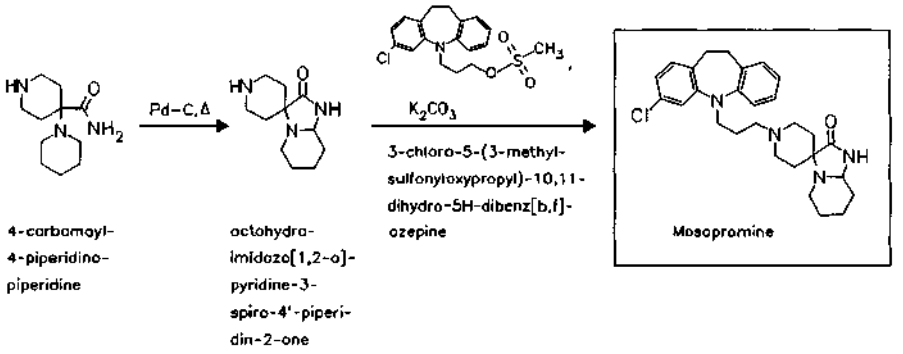
USA: Duramorph (Elkins-Sinn; as sulfate)
Kadian (Zeneca; as sulfate)
MS Contin (Purdue Frederick; as sulfate)
MSIR (Purdue Frederick; as sulfate)
OMS (Upsher-Smith; as sulfate)
RMS Suppos. (Upsher-Smith; as sulfate)
Roxanol (Roxane; as sulfate)
generics

Mosapramine

ATC: N05AX10
Use: neuroleptic, metabolite of clocapramine, combined 5-HT/dopamine receptor antagonist

RN: 89419-40-9 MF: $C_{28}H_{35}ClN_4O$ MW: 479.07
LD_{50}: 74 mg/kg (M, i.p.); 1008 mg/kg (M, p.o.); 1147 mg/kg (M, s.c.); 201 mg/kg (R, i.p.); 4912 mg/kg (R, p.o.)
CN: (±)-1'-[3-(3-chloro-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepin-5-yl)propyl]hexahydrospiro[imidazo[1,2-*a*]pyridine-3(2*H*),4'-piperidin]-2-one

dihydrochloride
RN: 98043-60-8 MF: $C_{28}H_{35}ClN_4O \cdot 2HCl$ MW: 551.99
LD_{50}: 1008 mg/kg (M, p.o.); 4912 mg/kg (R, p.o.)



Reference(s):
EP 73 845 (Yoshitomi; appl. 3.9.1981).
US 4 337 260 (Yoshitomi; 29.6.1982; appl. 10.9.1981).
DE 3 170 724 (Yoshitomi; appl. 3.9.1981).
Tashiro, Ch. et al.: Yakugaku Zasshi (YKKZAJ) **109**, 93 (1989); C.A. (CHABA8) **112**, 35749j (1989).

sustained-release microsphere:
WO 9 410 982 (Yoshitomi Pharm.; appl. 15.11.1993; J-prior. 17.11.1992).

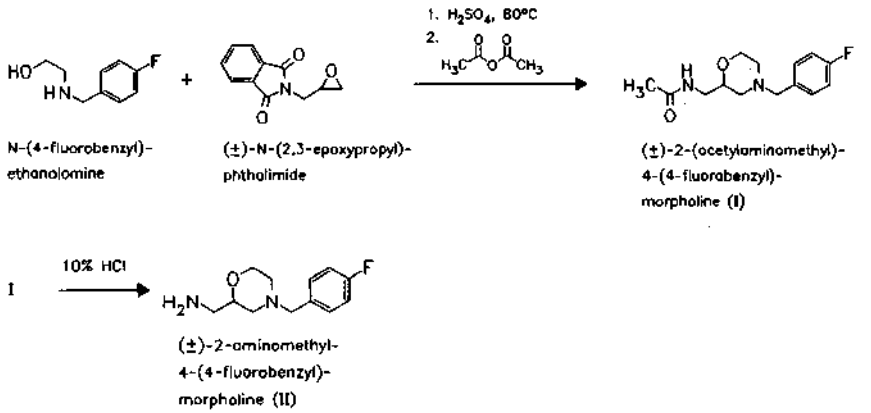
Formulation(s): tabl. 10 mg, 15 mg, 25 mg (as dihydrochloride)

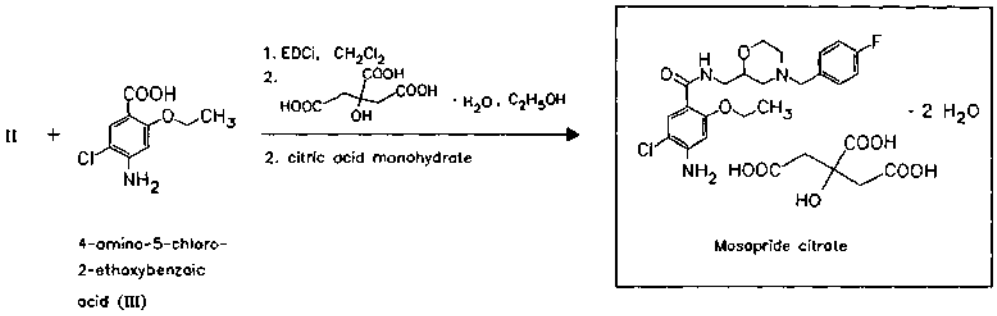
Trade Name(s):
J: Cremin (Yoshitomi; 1989)

Mosapride citrate
(AS-4370)

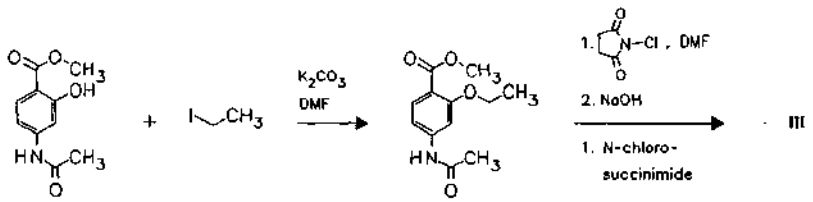
Use: 5-HT_4-agonist, promotility agent, treatment of gastroesophageal reflux disease

RN: 112885-42-4 MF: $C_{21}H_{25}ClFN_3O_3$ MW: 421.90
CN: 4-Amino-5-chloro-2-ethoxy-*N*-[[4-[(4-fluorophenyl)methyl]-2-morpholinyl]methyl]benzamide citrate





intermediate III can be prepared from



Reference(s):
EP 243 959 (Dainippon Pharm.; appl. 29.4.1987; J-prior. 30.4.1986).
Kato, S. et al.: J. Med. Chem. (JMCMAR) **34** (2), 616 (1991).
Kato, S. et al.: Chem. Pharm. Bull. (CPBTAL) **40** (6), 1470 (1992).

optical isomers:
Morie, T. et al.: Chem. Pharm. Bull. (CPBTAL) **42** (4), 877 (1994).
Morie, T. et al.: Heterocycles (HTCYAM) **38** (5), 1033 (1994).

oral dosage form with a proton pump inhibitor:
WO 9 725 065 (Astra; 17.7.1997; appl. 20.12.1996; S-prior. 8.1.1996)

Formulation(s): powder 10 mg/g (as citrate); tabl. 2.5 mg, 5 mg

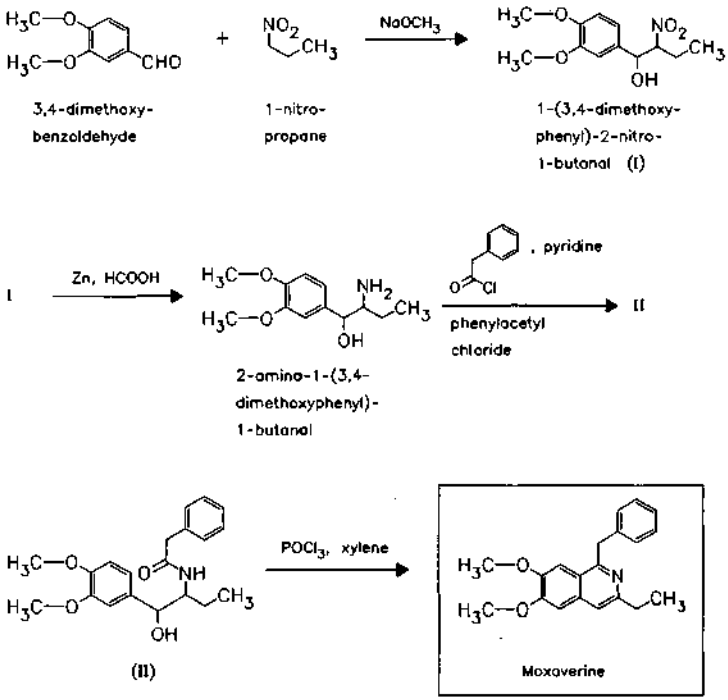
Trade Name(s):
J: Gasmotin (Dainippon; 1998)

Moxaverine

ATC: G04BE
Use: antispasmodic

RN: 10539-19-2 MF: $C_{20}H_{21}NO_2$ MW: 307.39 EINECS: 234-117-5
CN: 3-ethyl-6,7-dimethoxy-1-(phenylmethyl)isoquinoline

hydrochloride
RN: 1163-37-7 MF: $C_{20}H_{21}NO_2 \cdot HCl$ MW: 343.85 EINECS: 214-607-5



Reference(s):
GB 1 030 022 (Orgamol; appl. 7.5.1963; CH-prior. 16.6.1962).

Formulation(s): amp. 150 mg/5 ml; drg. 100 mg, 150 mg (as hydrochloride)

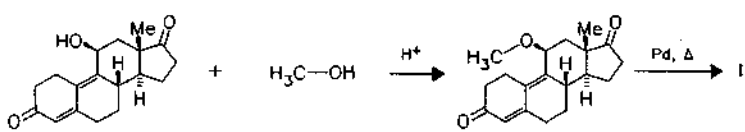
Trade Name(s):

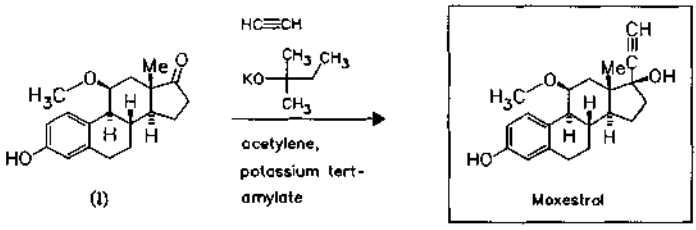
D:	Certonal (Sertürner)	Kallaterol (Ursapharm)-comb.	I:	Kollateral (Ursapharm) Eupaverina (Bracco); wfm

Moxestrol

ATC: G03CB04
Use: estrogen

RN: 34816-55-2 MF: $C_{21}H_{26}O_3$ MW: 326.44
CN: (11β,17α)-11-methoxy-19-norpregna-1,3,5(10)-trien-20-yne-3,17-diol





Reference(s):
US 3 579 545 (Roussel-Uclaf; 18.5.1971; F-prior. 7.9.1966, 9.12.1966, 28.2.1967, 9.3.1967).
FR-M 6 182 (Roussel-Uclaf; appl. 28.2.1967).
Azadian-Boulanger, G.; Bertin, D.: Chim. Ther. (CHTPBA) **8**, 451 (1973).

starting material:
Joly, R. et al.: C. R. Seances Acad. Sci., Ser. C (CHDCAQ) **258**, 5669 (1964) (total synthesis).

Formulation(s): tabl. 50 µg

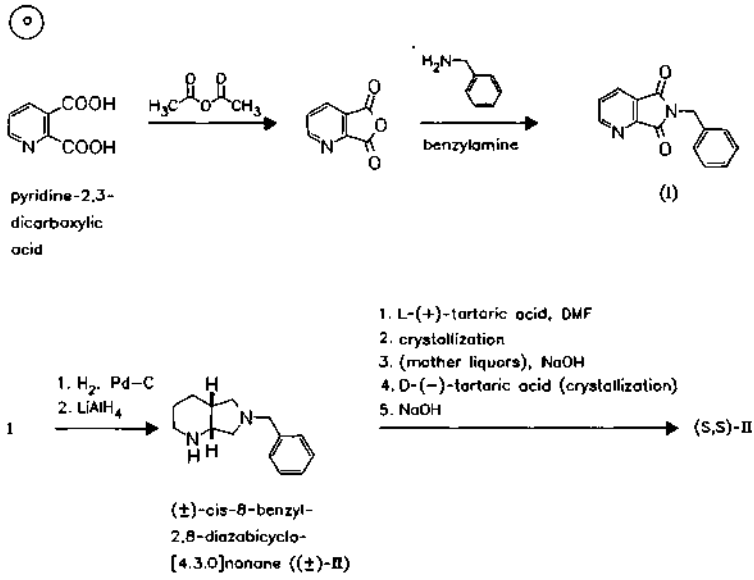
Trade Name(s):
F: Surestryl (Roussel-Uclaf)

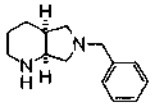
Moxifloxacin hydrochloride
(Bay-12-8039)

ATC: J01MA14
Use: fluoroquinolone antibacterial

RN: 186826-86-8 MF: $C_{21}H_{24}FN_3O_4 \cdot HCl$ MW: 437.90
CN: 1-Cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4a*S*,7a*S*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl]-4-oxo-3-quinolinecarboxylic acid

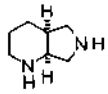
base
RN: 151096-09-2 MF: $C_{21}H_{24}FN_3O_4$ MW: 401.44



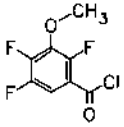


((S,S)-II)

H_2, Pd–C

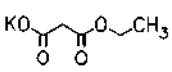


(S,S)-2,8-diaza-bicyclo[4.3.0]nonone (III)



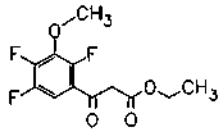
2,4,5-trifluoro-3-methoxybenzoyl chloride (IV)

+



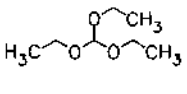
potassium monoethyl malonate

$N(C_2H_5)_3$



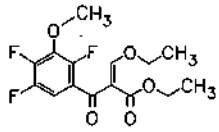
ethyl 2-(2,4,5-trifluoro-3-methoxybenzoyl)acetate (V)

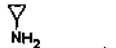
V +



triethyl orthoformate

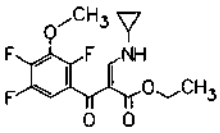
Ac_2O





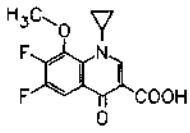
cyclopropyl-amine

VI



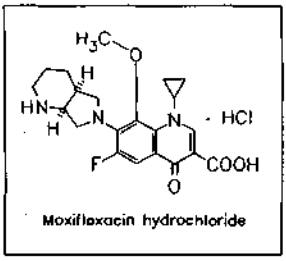
(VI)

1. NaF, DMF
2. H^+



1-cyclopropyl-6,7-difluoro-8-methoxy-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid

1. III, base
2. HCl

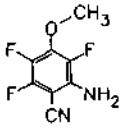


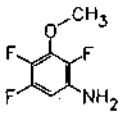
Moxifloxacin hydrochloride

(aa) synthesis of IV

pentafluoro-benzonitrile

1. H_3C—ONa, CH_3OH
2. NH_3





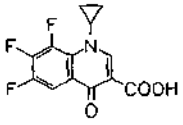
(VII)

1. CH_3COOH, H_2SO_4, KCN
2. H_2SO_4
3. $SOCl_2$, benzene

VII

IV

(b)

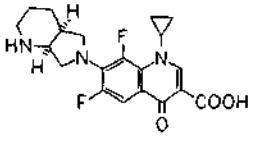


1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxo-3-quinoline-carboxylic acid

\+ III

DMF, acetonitrile, diazabicyclo[2.2.2]octane →

VIII



VIII

1. H_3C—OH, THF, KO-$C(CH_3)_3$
2. HCl, H_2O →

Moxifloxacin hydrochloride

Reference(s):

a DE 4 208 792 (Bayer AG; appl. 19.3.1992; D-prior. 19.3.1992).
aa EP 241 206 (Sankyo; appl. 31.3.1987; J-prior. 31.3.1986).
b EP 550 903 (Bayer AG, appl. 28.12.1992; D-prior. 19.3.1992).
DE 19 751 948 (Bayer AG; appl. 24.11.1997; D-prior. 24.11.1997).

formulation with controlled release of moxifloxacin:
DE 19 546 249 (Bayer AG; appl. 12.12.1995; D-prior. 12.12.1995).

Formulation(s): f. c. tabl. 400 mg

Trade Name(s):
D: Avalox (Bayer) Avelox (Bayer; 1999) Tovan (Bayer AG)

Moxisylyte

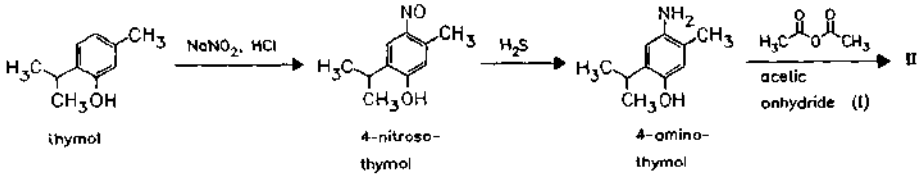
(Thymoxamine)

ATC: C04AX10
Use: vasodilator (peripheral)

RN: 54-32-0 MF: $C_{16}H_{25}NO_3$ MW: 279.38 EINECS: 200-204-1
LD_{50}: 225 mg/kg (M, p.o.)
CN: 4-[2-(dimethylamino)ethoxy]-2-methyl-5-(1-methylethyl)phenol acetate (ester)

hydrochloride

RN: 964-52-3 MF: $C_{16}H_{25}NO_3 \cdot HCl$ MW: 315.84 EINECS: 213-519-4
LD_{50}: 28 mg/kg (M, i.v.); 225 mg/kg (M, p.o.);
32 mg/kg (R, i.v.); 740 mg/kg (R, p.o.);
54.5 mg/kg (dog, i.v.)



thymol

$NaNO_2$, HCl →

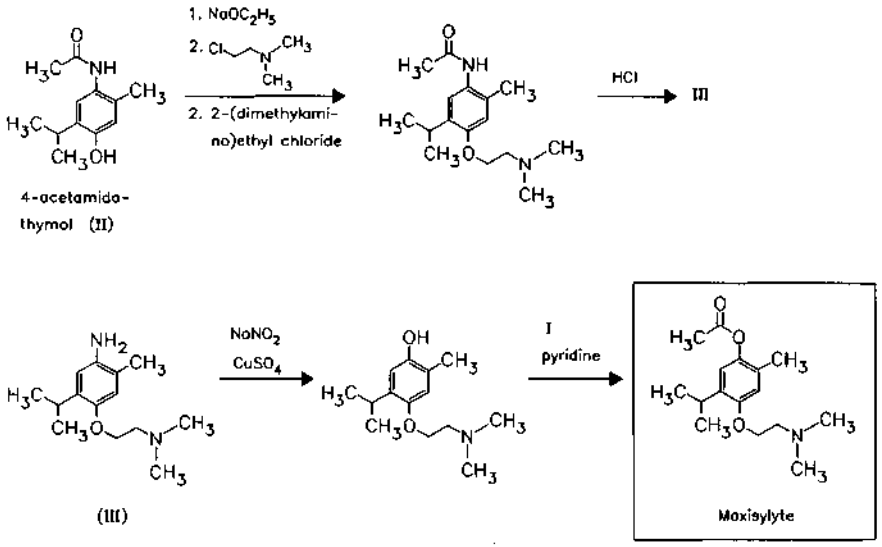
4-nitroso-thymol

H_2S →

4-amino-thymol

acetic anhydride (I) →

II



Reference(s):
DE 905 738 (Diwag; appl. 1943).

combination with steroids (e. g. for treatment of asthma):
GB 1 535 531 (W. R. Warner & Co.; appl. 17.10.1975; valid from 15.10.1976).

Formulation(s): drg. 30 mg; tabl. 30 mg, 40 mg, 60 mg, 120 mg (as hydrochloride)

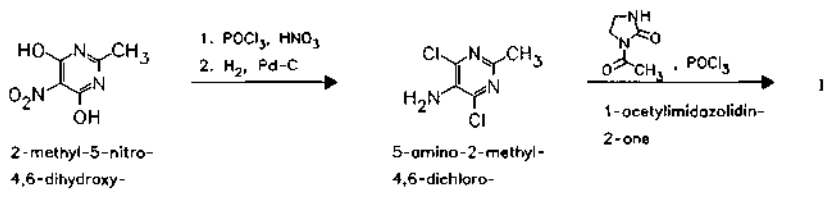
Trade Name(s):

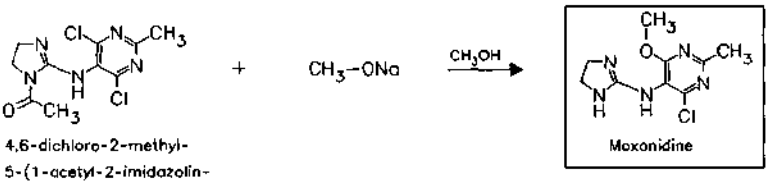
D:	Vasoklin (Gödecke); wfm		Icavex (ASTA Medica)	J:	Moxyl (Fujirebio)
F:	Carlytène (ASTA Medica)	GB:	Erecnos (Fournier)		Thimozil (Kohjin)
	Erecnos (Débat)	I:	Arlitene (ASTA Medica)		

Moxonidine
(BDF-5895)

ATC: C02AC05
Use: antihypertensive, presynaptic α_2-adrenoceptor agonist

RN: 75438-57-2 MF: $C_9H_{12}ClN_5O$ MW: 241.68
LD_{50}: 320 mg/kg (M, p.o.);
115 mg/kg (Rf, p.o.); 143 mg/kg (Rm, p.o.)
CN: 4-chloro-*N*-(4,5-dihydro-1*H*-imidazol-2-yl)-6-methoxy-2-methyl-5-pyrimidinamine





4,6-dichloro-2-methyl-5-(1-acetyl-2-imidazolin-2-ylamino)pyrimidine (I)

Moxonidine

Reference(s):
DOS 2 849 537 (Beiersdorf; appl. 15.11.1978).
DOS 2 937 023 (Beiersdorf; appl. 13.9.1979).

synthesis of 5 amino-2-methyl-4,6-dichloropyrimidine:
Huber, W.; Hölscher, H.A.: Chem. Ber. (CHBEAM) **71B**, 87 (1938).
Ochiai, E.; Kashida, Y.: Yakugaku Zasshi (YKKZAJ) **62**, 97 (1942).

medical use for promoting growth:
DOS 3 904 795 (Beiersdorf; appl. 17.2.1989).

combination with hydrochlorothiazide, triamterene:
EP 317 855 (Beiersdorf; appl. 12.11.1988; D-prior. 24.11.1987).

Formulation(s): f. c. tabl. 0.2 mg, 0.3 mg, 0.4 mg

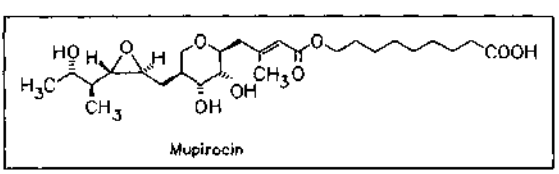
Trade Name(s):

D:	Cynt (Beiersdorf-Lilly/ Lilly; 1991)	Physiotens (Solvay Arzneimittel; 1991)	F:	Physiotens (Solvay Pharma)	
			GB:	Physiotens (Solvay)	

Mupirocin
(Pseudomonic acid)

ATC: D06AX09; R01AX06
Use: antibiotic

RN: 12650-69-0 MF: $C_{26}H_{44}O_9$ MW: 500.63
LD_{50}: 1638 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
1310 mg/kg (R, i.v.); 5 g/kg (R, p.o.)
CN: [2*S*-[2α(*E*),3β,4β,5α[2*R**,3*R**(1*R**,2*R**)]]]-9-[[3-methyl-1-oxo-4-[tetrahydro-3,4-dihydroxy-5-[[3-(2-hydroxy-1-methylpropyl)oxiranyl]methyl]-2*H*-pyran-2-yl]-2-butenyl]oxy]nonanoic acid



Mupirocin

Fermentation of *Pseudomonas fluorescens* NCIB 10586.

Reference(s):
DE 2 227 739 (Beecham; GB-prior. 12.6.1971).
US 3 977 943 (Beecham; 31.8.1976; appl. 7.7.1975; prior. 27.3.1974).
US 4 071 536 (Beecham; 31.1.1978; prior. 12.6.1971).
Fuller, A.T. et al.: Nature (London) (NATUAS) **234**, 416 (1971).

structure:
Chain, E.B. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **20**, 847 (1974).

Formulation(s): cream 20 mg/g; ointment 2 % (as calcium salt)

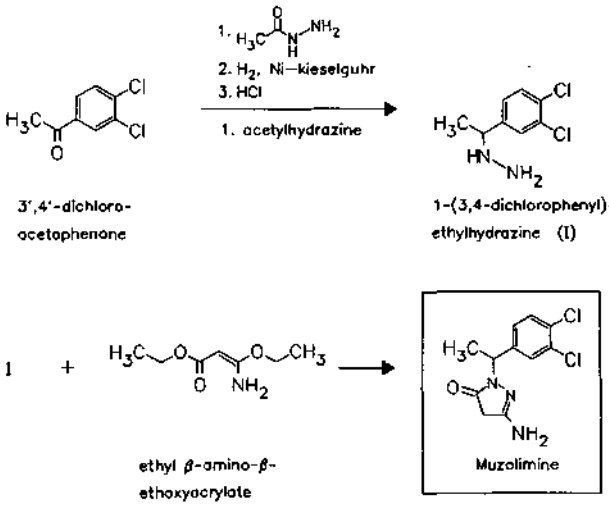
Trade Name(s):

D:	Turixin (SmithKline Beecham)	GB:	Bactroban (SmithKline Beecham)	J:	Bactroban (SmithKline Beecham)
F:	Bactroban (SmithKline Beecham; 1985)	I:	Bactroban (SmithKline Beecham)	USA:	Bactroban (SmithKline Beecham; 1988)

Muzolimine

ATC: C03CD01
Use: diuretic

RN: 55294-15-0 MF: $C_{11}H_{11}Cl_2N_3O$ MW: 272.14 EINECS: 259-573-2
CN: 5-amino-2-[1-(3,4-dichlorophenyl)ethyl]-2,4-dihydro-3*H*-pyrazol-3-one



Reference(s):
DE 2 366 559 (Bayer; prior. 17.4.1973).
DOS 2 363 139 (Bayer; appl. 19.12.1973).
Möller, E.; Horstmann, H.; Meng, K.: Pharmatherapeutica (PHARDW) **1**, 540 (1977).
US 3 957 814 (Bayer; 18.5.1976; appl. 15.4.1974; D-prior. 17.3.1973).

synthesis of 1-(3,4-dichlorophenyl)ethylhydrazine:
DE 1 003 215 (Hoechst; appl. 1954).
Houben-Weyl **10/2**, 47.

Formulation(s): tabl. 20.7 mg, 31 mg, 248 mg

Trade Name(s):

D:	Edrul (Zyma/Bayer; 1985); wfm	I:	Edrul (Bayropharm; 1983); wfm

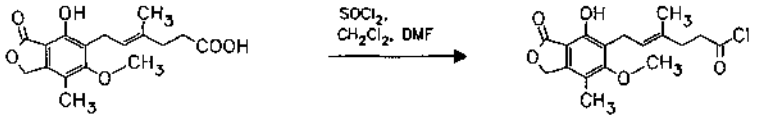
Mycophenolate mofetil

(ME-MPA; RS-61443)

ATC: L04AA06
Use: anti-inflammatory, immunosuppressive

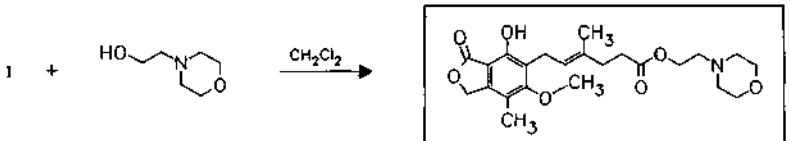
RN: 128794-94-5 MF: $C_{23}H_{31}NO_7$ MW: 433.50
CN: (*E*)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-4-hexenoic acid 2-(4-morpholinyl)ethyl ester

hydrochloride
RN: 116680-01-4 MF: $C_{23}H_{31}NO_7 \cdot HCl$ MW: 469.96



(E)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-4-hexenoic acid

mycophenolic acid chloride (I)



2-morpholino-ethanol (II)

Mycophenolate mofetil

Reference(s):
US 4 727 069 (Syntex; appl. 30.1.1987; USA-prior. 30.1.1987).

preparation by azeotropic water removal from I and II:
US 5 247 083 (Syntex; appl. 10.7.1992; USA-prior. 10.7.1992).

treatment of allograft rejection:
US 4 786 637 (Syntex; appl. 22.1.1988; USA-prior. 30.1.1987, 4.9.1987, 22.1.1988, 17.8.1988).

immunoassays for mycophenolic acid derivatives:
WO 9 602 004 (Behringwerke AG; appl. 29.6.1995; USA-prior. 7.7.1994).

high dose oral suspension:
WO 9 509 626 (Syntex; appl. 27.9.1994; USA-prior. 1.10.1993).

high-dosage unit formulation obtained by hot-melt filling:
WO 9 426 266 (Syntex; appl. 10.5.1994; USA-prior. 13.5.1993).

intravenous formulation using crystalline anhydrous mycophenolate mofetil:
WO 9 507 902 (Syntex; appl. 12.9.1994; USA-prior. 15.9.1993).

combination of immunosuppressives:
WO 9 202 229 (SmithKline Beecham Corp.; appl. 7.8.1991; USA-prior. 10.8.1990, 5.12.1990).
WO 9 119 498 (Du Pont Merck; appl. 5.6.1991; USA-prior. 6.11.1990).

Formulation(s): cps. 250 mg; tabl. 500 mg

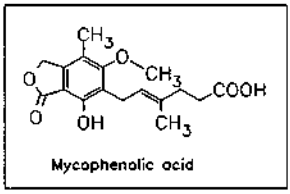
Trade Name(s):

D:	CellCept (Roche)	GB:	CellCept (Roche)	USA:	CellCept (Roche)
F:	CellCept (Produits Roche)	I:	CellCept (Roche)		

Mycophenolic acid

ATC: L04AA06
Use: antibiotic, antineoplastic, antiviral

RN: 24280-93-1 MF: $C_{17}H_{20}O_6$ MW: 320.34 EINECS: 246-119-3
LD_{50}: 1 g/kg (M, p.o.);
450 mg/kg (R, i.v.); 352 mg/kg (R, p.o.)
CN: (*E*)-6-(1,3-dihydro-4-hydroxy-6-methoxy-7-methyl-3-oxo-5-isobenzofuranyl)-4-methyl-4-hexenoic acid



Mycophenolic acid

By fermentation of *Penicillium stoloniferum.*

Reference(s):
BE 862 618 (Lilly; appl. 4.1.1978; USA-prior. 21.3.1977).
GB 1 157 099 (ICI; valid from 31.7.1967; prior. 27.9.1966, 13.6.1967).

structure:
Logan, W.R.; Newbold, G.T.: J. Chem. Soc. (JCSOA9) **1957**, 1946.

use as immunosuppressive and anticancer agent:
Williams, R.H.: J. Antibiot. (JANTAJ) **21**, 463 (1968).
Suzuki, S. et al.: J. Antibiot. (JANTAJ) **22**, 297 (1969).
GB 1 157 100 (ICI; valid from 2.8.1967; prior. 27.9.1966).

antiviral activity:
Ando, K. et al.: J. Antibiot. (JANTAJ) **21**, 649 (1968).

total synthesis:
Birch, A.J.: J. Chem. Soc., Chem. Commun. (JCCCAT) **1969**, 788.
Canonica, L. et al.: Tetrahedron Lett. (TELEAY) **1971**, 2691.

review:
Carter, S.B. et al.: Nature (London) (NATUAS) **223**, 848 (1969).

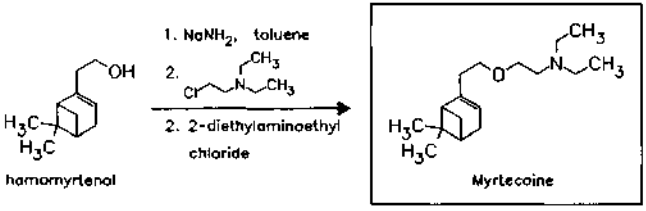
Trade Name(s):
USA: Melbex (Lilly); wfm

Myrtecaine
(Nopoxamine)

ATC: N01B
Use: local anesthetic, antispasmodic

RN: 7712-50-7 MF: $C_{17}H_{31}NO$ MW: 265.44 EINECS: 231-735-7
LD_{50}: 48 mg/kg (M, i.v.)
CN: 2-[2-(6,6-dimethylbicyclo[3.1.1]hept-2-en-2-yl)ethoxy]-*N*,*N*-diethylethanamine

lauryl sulfate
RN: 76157-55-6 MF: $C_{17}H_{31}NO \cdot C_{12}H_{26}O_4S$ MW: 531.84



Reference(s):
GB 861 900 (O. P. Gaudin; appl. 1959).

Formulation(s): chewing tabl. 2.5 mg (as lauryl sulfate); cream 1 g/100 g, 10 g/100 g; tabl. 2.5 mg

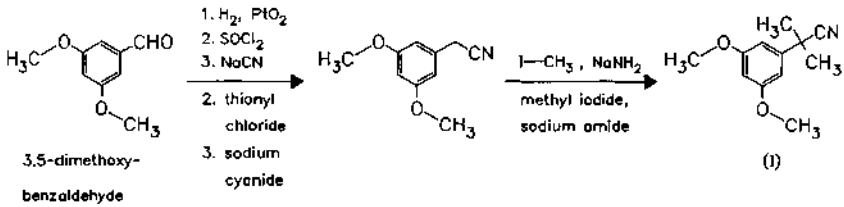
Trade Name(s):

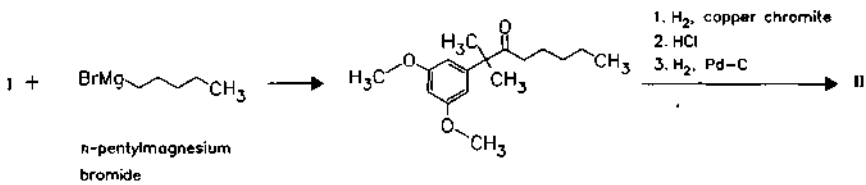
D:	Acidrine (Solvay Pharma)-comb.		Algesalona (Solvay Pharma)-comb.		Algésal Suractivé (Solvay Pharma)-comb.
	Algesal (Solvay Pharma)-comb.	F:	Acidrine (Solvay Pharma)-comb.	I:	Acidrine (Solvay Pharma)-comb.

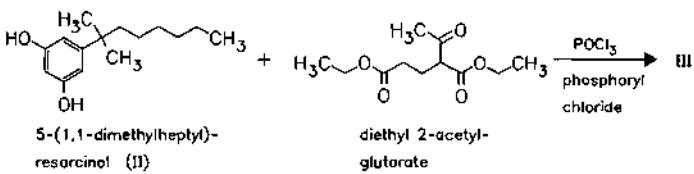
Nabilone

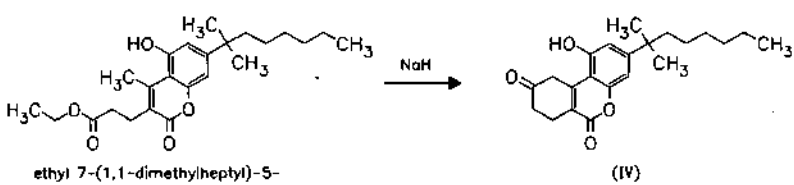
ATC: A04
Use: anti-emetic, controlled substance

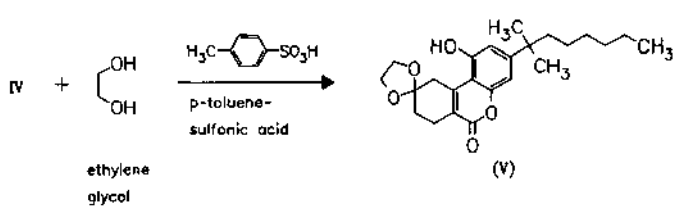
RN: 51022-71-0 MF: $C_{24}H_{36}O_3$ MW: 372.55
LD_{50}: >1000 mg/kg (M, p.o.);
>1000 mg/kg (R, p.o.);
>1 mg/kg (dog, i.v.); >5 mg/kg (dog, p.o.)
CN: *trans*-(±)-3-(1,1-dimethylheptyl)-6,6a,7,8,10,10a-hexahydro-1-hydroxy-6,6-dimethyl-9*H*-dibenzo[*b*,*d*]pyran-9-one

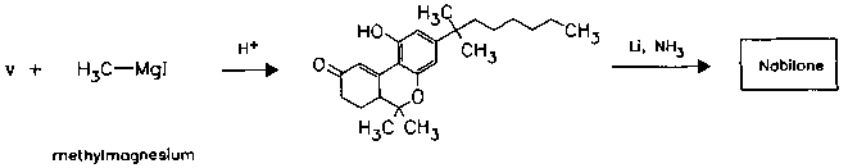




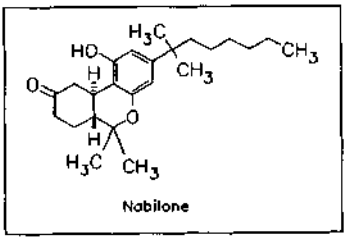








methylmagnesium iodide



Reference(s):
DOS 2 451 934 (Eli Lilly; appl. 31.10.1974; USA-prior. 5.11.1973).
DOS 2 451 932 (Eli Lilly; appl. 31.10.1974; USA-prior. 5.11.1973).
US 3 944 673 (Eli Lilly; 16.3.1976; prior. 23.5.1975, 5.11.1973).
US 3 928 598 (Eli Lilly; 23.12.1975; prior. 5.11.1973).
US 3 953 603 (Eli Lilly; 27.4.976; prior. 5.11.1973, 1.5.1974).

synthesis of 5-(1,1-dimethylheptyl)resorcinol:
Adams, R. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 664 (1948).

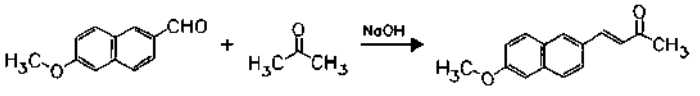
Formulation(s): cps. 1 mg

Trade Name(s):
GB: Cesamet (Lilly); wfm

Nabumetone

ATC: M01AX01
Use: analgesic, anti-inflammatory (NSAI)

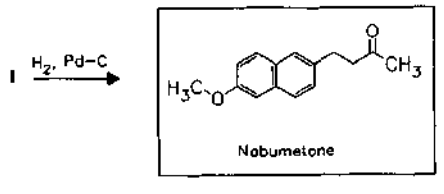
RN: 42924-53-8 MF: $C_{15}H_{16}O_2$ MW: 228.29
LD_{50}: 2380 mg/kg (M, i.p.); 4290 mg/kg (M, p.o.);
1520 mg/kg (R, i.p.); 3880 mg/kg (R, p.o.)
CN: 4-(6-methoxy-2-naphthalenyl)-2-butanone



6-methoxy-2-naphthaldehyde

acetone

4-(6-methoxy-2-naphthyl)-3-buten-2-one (I)



Reference(s):
DE 2 463 219 (Beecham; appl. 4.9.1974; GB-prior. 11.9.1973).
DE 2 442 305 (Beecham; appl. 4.9.1974; GB-prior. 11.9.1973).
US 4 061 779 (Beecham; 6.12.1977; GB-prior. 11.9.1973).
US 4 420 639 (Beecham; 13.12.1983; GB-prior. 11.9.1973).

alternative syntheses:
EP 376 516 (Hoechst Celanese; appl. 7.12.1989; USA-prior. 8.12.1988).
JP 2 101 038 (Beecham; appl. 19.12.1988; GB-prior. 10.7.1988, 19.12.1987).
ES 8 507 452 (Bioiberica; appl. 28.9.1984).
Govdic, A.C. et al.: J. Med. Chem. (JMCMAR) **21**, 1260 (1978).
Prabhakar, C. et al.: Org. Process Res. Dev. (OPRDFK) **3**, 121 (1999).

topical formulation:
EP 167 062 (Beecham; appl. 19.6.1985; GB-prior. 29.6.1984).

Formulation(s): susp. 500 mg/5 ml; f. c. tabl. 500 mg, 750 mg

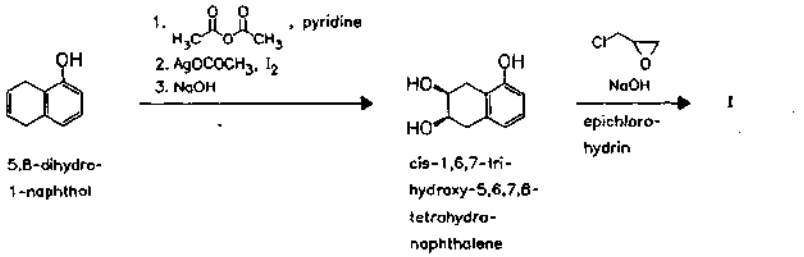
Trade Name(s):

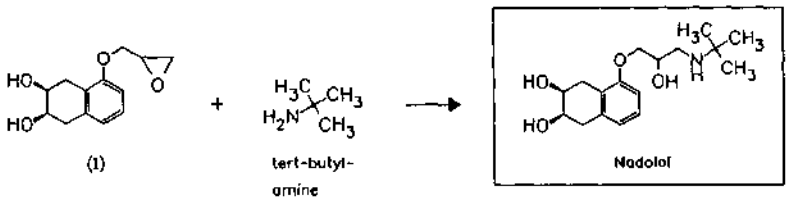
D:	Arthaxan (SmithKline Beecham; 1987); wfm	I:	Artaxan (SmithKline Beecham) Nabuser (Procter & Gamble)	J:	Relifen (Fujisawa; 1990)
GB:	Relifex (Bencard; 1987)			USA:	Relafen (SmithKline Beecham)

Nadolol

ATC: C07AA12; C07BA
Use: beta blocking agent, antihypertensive

RN: 42200-33-9 MF: $C_{17}H_{27}NO_4$ MW: 309.41 EINECS: 255-706-3
LD_{50}: 47.1 mg/kg (M, i.v.); 3800 mg/kg (M, p.o.);
59.2 mg/kg (R, i.v.); 5300 mg/kg (R, p.o.);
>500 mg/kg (dog, p.o.)
CN: *cis*-5-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-1,2,3,4-tetrahydro-2,3-naphthalenediol





Reference(s):
Condon, M.E. et al.: J. Med. Chem. (JMCMAR) **21**, 913 (1978).
US 3 935 267 (Squibb; 27.1.1976; prior. 22.6.1970, 1.12.1971).
US 3 982 021 (Squibb; 21.9.1976; prior. 22.6.1970, 1.12.1971, 9.10.1975).
US 4 156 789 (Squibb; 29.5.1979; prior. 22.6.1970, 1.12.1971, 9.10.1975).
DOS 2 258 995 (Squibb; appl. 1.12.1972; USA-prior. 1.12.1971).
DAS 2 130 393 (Squibb; appl. 18.6.1971; USA-prior. 22.6.1970).
DOS 2 421 549 (Squibb; appl. 3.5.1974; USA-prior. 3.5.1973).

starting material:
Gutsche, C.D. et al.: Org. Synth. (ORSYAT), Coll. Vol. IV, 887.

Formulation(s): tabl. 20 mg, 40 mg, 60 mg, 80 mg, 120 mg

Trade Name(s):

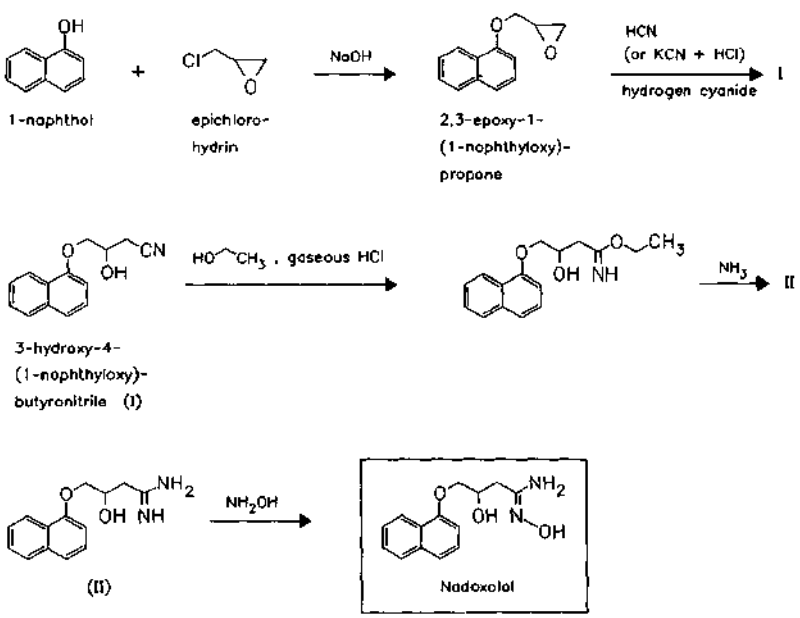
D:	Solgol (Bristol-Myers Squibb; 1978)		Corgaretic (Sanofi Winthrop)-comb.	USA:	Corgard (Bristol-Myers Squibb; 1979); wfm
F:	Corgard (Sanofi Winthrop; 1982)	I:	Corgard (Bristol-Myers Squibb)		Corgard (Squibb); wfm
GB:	Corgard (Sanofi Winthrop; 1979)	J:	Nadic (Dainippon)		Corzide (Squibb); wfm
			Nadolol (Squibb)		Nadolol (Mydal)
					generic

Nadoxolol

ATC: C01B
Use: antiarrhythmic

RN: 54063-51-3 MF: $C_{14}H_{16}N_2O_3$ MW: 260.29
CN: *N*,3-dihydroxy-4-(1-naphthalenyloxy)butanimidamide

monohydrochloride
RN: 35991-93-6 MF: $C_{14}H_{16}N_2O_3 \cdot HCl$ MW: 296.75 EINECS: 252-825-2
LD_{50}: 180 mg/kg (M, i.v.); 1 g/kg (M, p.o.)



Reference(s):
DOS 2 166 869 (Orsymonde; appl. 28.6.1971; F-prior. 29.6.1970, 1.4.1971).

Formulation(s): tabl. 250 mg (as hydrochloride)

Trade Name(s):
F: Bradyl 250 (Lafon)

Nafamostat

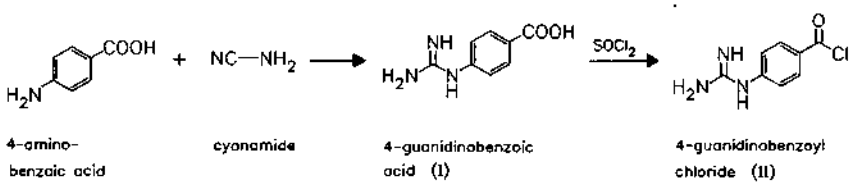
(FUT-175; Nafamstat)

ATC: V03A
Use: protease inhibitor, treatment of acute pancreatitis

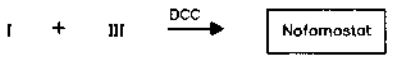
RN: 81525-10-2 MF: $C_{19}H_{17}N_5O_2$ MW: 347.38
CN: 4-[(aminoiminomethyl)amino]benzoic acid 6-(aminoiminoethyl)-2-naphthalenyl ester

dihydrochloride
RN: 80251-32-7 MF: $C_{19}H_{17}N_5O_2 \cdot 2HCl$ MW: 420.30
dimesylate
RN: 82956-11-4 MF: $C_{19}H_{17}N_5O_2 \cdot 2CH_4O_3S$ MW: 539.59
LD_{50}: 24.4 mg/kg (M, i.v.); 4600 mg/kg (M, p.o.);
162 mg/kg (R, i.p.); 16.4 mg/kg (R, i.v.); 3050 mg/kg (R, p.o.); 9200 mg/kg (R, s.c.)

a



b



Reference(s):
EP 48 433 (Torii; appl. 15.9.1981; J-prior. 28.4.1981, 16.9.1980).
US 4 454 338 (Torii; 12.6.1984; appl. 9.9.1981; J-prior. 28.4.1981, 16.9.1980).
US 4 532 255 (Torii; 30.7.1985; appl. 20.1.1984; prior. 9.9.1981; J-prior. 28.4.1981, 16.9.1980).
Aoyama, T. et al.: Chem. Pharm. Bull. (CPBTAL) **33**, 1485 (1985).

synthesis of 4-guanidinobenzoic acid:
DE 950 552 (Hoechst; appl. 1956).

synthesis of 6-amidino-2-naphthol methanesulfonate:
JP 50 123 649 (Kyowa Hakko; appl. 15.3.1974).
Wagner, G. et al.: Pharmazie (PHARAT) **32**, 761 (1977).

Formulation(s): vial 10 mg, 50 mg (as dimesylate)

Trade Name(s):
J: Futhan (Torii; Banyu; 1986)

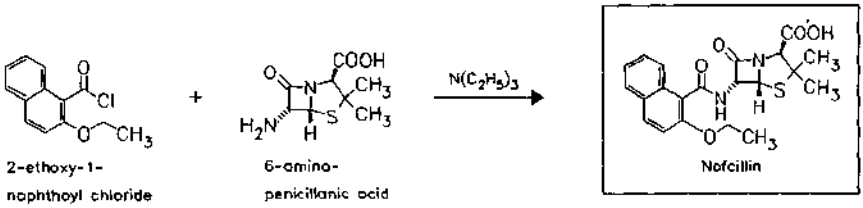
Nafcillin

ATC: J01C
Use: antibiotic

RN: 147-52-4 MF: $C_{21}H_{22}N_2O_5S$ MW: 414.48 EINECS: 205-690-9
CN: [2*S*-(2α,5α,6β)]-6-[[(2-ethoxy-1-naphthalenyl)carbonyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt
RN: 985-16-0 MF: $C_{21}H_{21}N_2NaO_5S$ MW: 436.46 EINECS: 213-574-4
LD_{50}: 1 g/kg (M, i.v.); 633 mg/kg (dog, i.v.)

monosodium salt monohydrate
RN: 7177-50-6 MF: $C_{21}H_{21}N_2NaO_5S \cdot H_2O$ MW: 454.48



Reference(s):
US 3 157 639 (Beecham; 17.11.1964; GB-prior. 19.8.1959).
GB 880 400 (Beecham; appl. 15.7.1959; 19.8.1959; addition to GB 870 395 from 15.7.1958).
US 3 248 386 (American Home Products; 26.4.1966; appl. 30.12.1960).

Formulation(s): cps. 250 mg; vial 500 mg, 1 g, 2 g (as monosodium salt monohydrate)

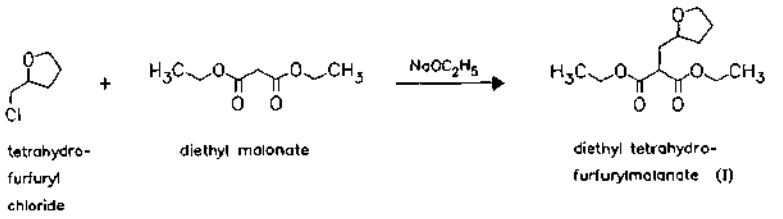
Trade Name(s):
USA: Unipen (Wyeth-Ayerst)

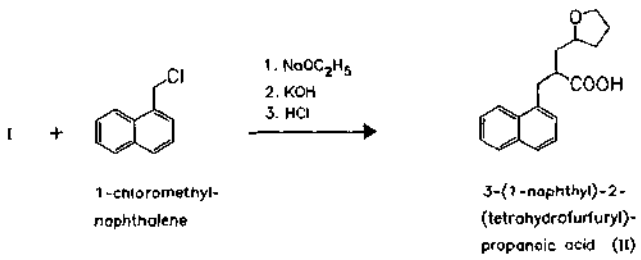
Naftidrofuryl
(Nafronyl)

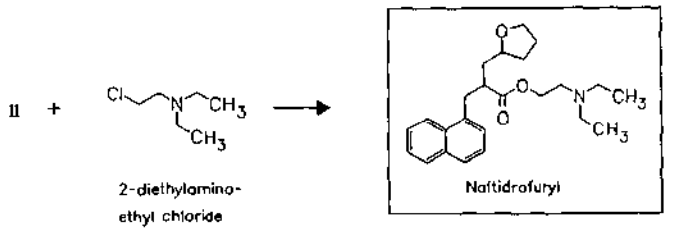
ATC: C04AX21
Use: vasodilator

RN: 31329-57-4 MF: $C_{24}H_{33}NO_3$ MW: 383.53 EINECS: 250-572-2
LD_{50}: 23 mg/kg (M, i.v.); 365 mg/kg (M, p.o.); 1890 mg/kg (R, p.o.)
CN: tetrahydro-α-(1-naphthalenylmethyl)-2-furanpropanoic acid 2-(diethylamino)ethyl ester

hydrogen oxalate
RN: 3200-06-4 MF: $C_{24}H_{33}NO_3 \cdot C_2H_2O_4$ MW: 473.57 EINECS: 221-703-0
LD_{50}: 18.4 mg/kg (M, i.v.); 567 mg/kg (M, p.o.); 11.08 mg/kg (R, i.v.); 711 mg/kg (R, p.o.)







Reference(s):
DAS 1 543 741 (Lipha; appl. 24.3.1964; F-prior. 28.3.1963).
FR 1 363 948 (Lipha; appl. 28.3.1963).
FR-M 3 843 (Lipha; appl. 17.3.1964).
US 3 334 096 (Lipha; 1.8.1967; F-prior. 28.3.1963).

pharmaceutical formulation for retard form:
DOS 2 800 654 (Lipha; appl. 7.1.1978; F-prior. 13.1.1977).

Formulation(s): amp. 200 mg; cps. 100 mg; f. c. tabl. 200 mg; s. r. drg. 100 mg

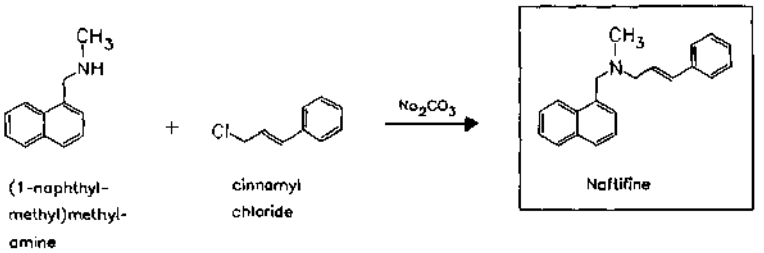
Trade Name(s):

D:	Artocoron (Knoll)		Nafti (Isis Puren; ratiopharm)		Praxiléne (Lipha Santé)
	Azunaftil (Azupharma)		Naftilong (Hexal)	GB:	Praxilene (Lipha; as oxalate)
	Dusodril (Lipha)	F:	Di-Actane (Menarini)	I:	Esdedril (Lipha)
	Luctor (Sanofi Winthrop)		Gévatran (Lipha Santé)		Praxilene (Formenti)
	nafti (ct-Arzneimittel)		Naftilux (Lucien)		

Naftifine
(Naftifungin)

ATC: D01AE22
Use: antifungal

RN: 65472-88-0 MF: $C_{21}H_{21}N$ MW: 287.41
CN: (*E*)-*N*-methyl-*N*-(3-phenyl-2-propenyl)-1-naphthalenemethanamine



Reference(s):
DOS 2 716 943 (Sandoz; appl. 16.4.1977; CH-prior. 28.4.1976).
DOS 2 809 211 (Sandoz; appl. 3.3.1978).
US 4 282 251 (Sandoz; CH-prior. 28.4.1976).

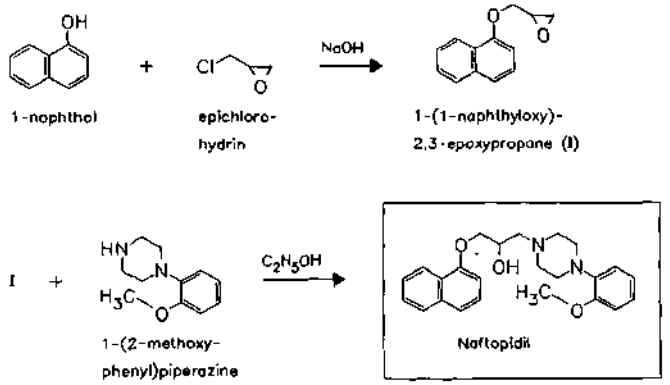
Formulation(s): cream 10 mg/g; gel 10 mg/g; sol. 10 mg/ml

Trade Name(s):
D: Exoderil (Rentschler; 1985) I: Suadian (Schering) USA: Naftin (Allergan)

Naftopidil
(BM-15275; KT-611)

Use: α_1-antagonist, treatment of dysuria/ BPH, antihypertensive

RN: 57149-07-2 MF: $C_{24}H_{28}N_2O_3$ MW: 392.50
CN: 4-(2-Methoxyphenyl)-α-[(1-naphthalenyloxy)methyl]-1-piperazineethanol



Reference(s):
DE 2 408 804 (Boehringer Mannheim; D-prior. 23.2.1974)

synthesis of potential metabolites:
Kutscher, B. et al.: Arch. Pharm. (Weinheim, Ger.) (ARPMAS) **326** (10), 803-806 (1993).

use for the treatment of dysuria caused by BPH:
EP 401 653 (Boehringer Mannheim; appl. 30.5.1990; D-prior. 7.6.1989).

combination for the treatment of impotence:
WO 9 930 697 (Pfizer; appl. 29.10.1998; USA-prior. 16.12.1997).

Formulation(s): tabl. 25 mg, 50 mg

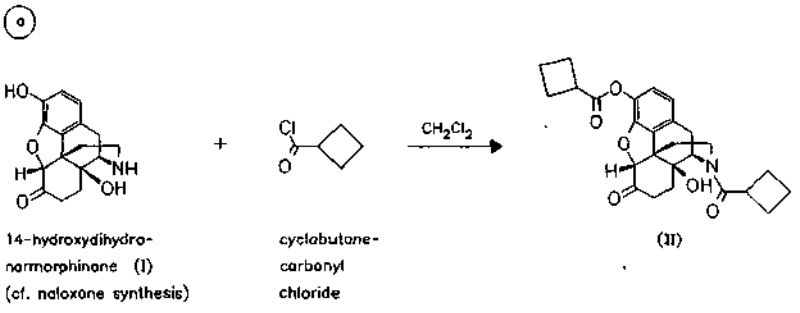
Trade Name(s):
J: Flivas (Asahi Chemical)

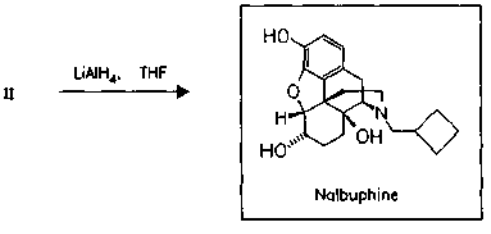
Nalbuphine

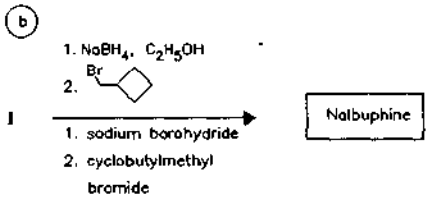
ATC: N02AF02
Use: morphine antagonist, analgesic

RN: 20594-83-6 MF: $C_{21}H_{27}NO_4$ MW: 357.45 EINECS: 243-901-6
CN: (5α,6α)-17-(cyclobutylmethyl)-4,5-epoxymorphinan-3,6,14-triol

hydrochloride
RN: 23277-43-2 MF: $C_{21}H_{27}NO_4 \cdot HCl$ MW: 393.91 EINECS: 245-549-9
LD_{50}: 140 mg/kg (dog, i.v.); 1100 mg/kg (dog, p.o.)







Reference(s):
GB 1 119 270 (Endo; valid from 16.12.1966; USA-prior. 19.1.1966).
US 3 332 950 (Endo; 25.7.1967; prior. 6.12.1966, 15.5.1963, 23.3.1963).

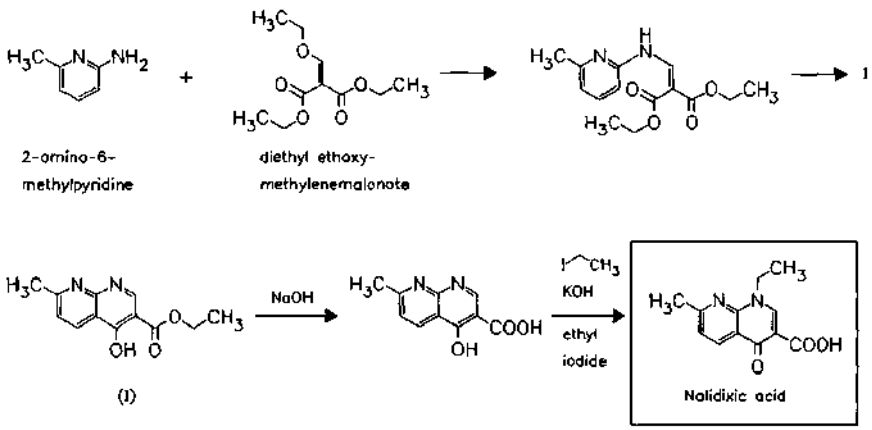
Formulation(s): amp. 10 mg/ml, 20 mg/2 ml (as hydrochloride)

Trade Name(s):
D: Nubain (Du Pont Pharma)
F: Nubain (Du Pont)
GB: Nubain (Du Pont)
USA: Nubain (Endo)

Nalidixic acid

ATC: G04AB01
Use: chemotherapeutic (urinary tract infections)

RN: 389-08-2 MF: $C_{12}H_{12}N_2O_3$ MW: 232.24 EINECS: 206-864-7
LD_{50}: 101 mg/kg (M, i.v.); 572 mg/kg (M, p.o.); 88.4 mg/kg (R, i.v.); 2040 mg/kg (R, p.o.)
CN: 1-ethyl-1,4-dihydro-7-methyl-4-oxo-1,8-naphthyridine-3-carboxylic acid



Reference(s):
US 3 149 104 (Sterling Drug; 15.9.1964; prior. 3.1.1961).
Lesher, G.Y. et al.: J. Med. Pharm. Chem. (JMPCAS) **5**, 1063 (1962).

alternative synthesis:
GB 1 338 023 (Koli Chem. Comp.; appl. 19.4.1971; J-prior. 20.2.1970).

Formulation(s): aq. susp. 60 ml/ml; gran. 660 mg; tabl. 250 mg, 500 mg, 1 g

Trade Name(s):

D: Nogacit (Winthrop); wfm
Nogram (Winthrop); wfm
F: Négram (Sanofi Winthrop)
GB: Mictral (Sanofi Winthrop)
Negram (Sanofi Winthrop)-comb.
Uriben (Rosemont)-comb.
I: Betaxina (Terapeutico M.R.)
Nalidixin (Nuovo Cons. Sanit. Naz.)
Naligram (Geymonat)
Nalissina (Rhône-Poulenc Rorer)
Neg-Gram (Sanofi Winthrop)
Uralgin (Ceccarelli)
Uri-Flor (AGIPS)
Urogram (Firma)
numerous generics
J: Entolon (Sawai)
Innoxalon (Sanko)
Kusnarin (Kodama)
Mirtolor (Zensei)
Mytacin (Fuji)
Nalidicron (San-a)
Narigix (Taiyo)
Oxoranil (Mohan)
Poleon (Sumitomo)
Restelon (Maruishi)
Uicelate (Toyo Jozo)
Urologin N (Takata)
Wintomylon (Daiichi)
Wintron (Tobishi)
generic
USA: NegGram (Sanofi Winthrop)

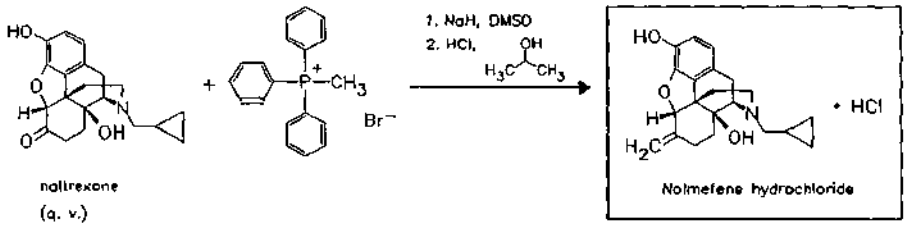
Nalmefene

(NIH-10365; Nalmetrene (base); JF-1; ORF-11676)

ATC: V03AB30
Use: cognition disorders therapeutic, antagonist to narcotics, neuronal injury inhibitor

RN: 55096-26-9 MF: $C_{21}H_{25}NO_3$ MW: 339.44
CN: (5α)-17-(cyclopropylmethyl)-4,5-epoxy-6-methylenemorphinan-3,14-diol

monohydrochloride
RN: 58895-64-0 MF: $C_{21}H_{25}NO_3 \cdot HCl$ MW: 375.90
(+)-base
RN: 131378-67-1 MF: $C_{21}H_{25}NO_3$ MW: 339.44
(+)-monohydrochloride
RN: 131712-55-5 MF: $C_{21}H_{25}NO_3 \cdot HCl$ MW: 375.90



Reference(s):
Hahn, F.E. et al.: J. Med. Chem. (JMCMAR) **18**, 259 (1975).

synthesis of nalmefene *from* naltrexone:
US 7 421 900 (Nat. Inst. of Health; appl. 28.8.1990; USA-prior. 26.10.1989).
US 4 322 426 (Du Pont de N.; appl. 30.3.1982; USA-prior. 28.4.1980).
US 4 751 307 (Mallinckrodt, Inc.; appl. 27.2.1987; USA-prior. 17.1.1985).
EP 140 367 (Key Pharm. Inc.; appl. 8.5.1985; USA-prior. 1.11.1983).

use of nalmefene:
US 4 880 813 (Baker Cummins Pharm.; appl. 14.11.1989; USA-prior. 22.7.1988).
WO 8 910 125 (Baker Cummins Pharm.; appl. 2.11.1989; USA-prior. 27.4.1988).
WO 8 702 586 (Key Pharmaceuticals; appl. 7.5.1987; 29.1.1985).
US 4 639 455 (Key Pharmaceuticals; appl. 27.1.1987; USA-prior. 2.1.1984).
US 4 863 928 (Baker Cummins Pharm.; appl. 5.9.1989; USA-prior. 4.1.1989).
US 4 877 791 (Baker Cummins Pharm.; appl. 31.10.1989; USA-prior. 1.11.1988).
US 4 923 875 (Baker Cummins Pharm.; appl. 8.5.1990; 10.7.1989).
WO 9 218 126 (Baker Cummins Pharm.; appl. 29.10.1992; USA-prior. 10.4.1991).
WO 9 118 605 (Finland; appl. 12.12.1991; USA-prior. 4.6.1990).

formulation:
US 4 511 570 (Key Pharmaceuticals; appl. 16.4.1985; 28.3.1983).

combination:
WO 9 531 985 (Italy; appl. 30.11.1995; I-prior. 24.5.1994).

Formulation(s): amp. 100 µg/ml, 2 mg/2 ml; syringe 2 mg/2 ml (as hydrochloride)

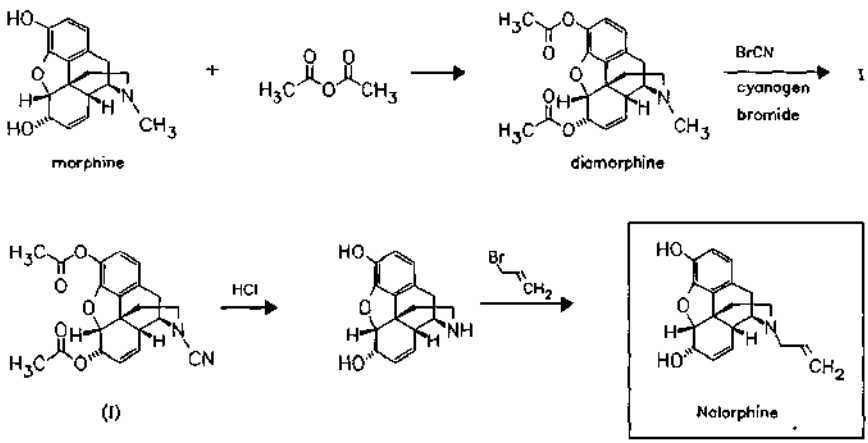
Trade Name(s):
USA: Revex (Ohmeda)

Nalorphine

ATC: V03AB02
Use: morphine antagonist

RN: 62-67-9 MF: $C_{19}H_{21}NO_3$ MW: 311.38 EINECS: 200-546-1
LD_{50}: 127 mg/kg (M, i.v.); 1140 mg/kg (M, p.o.);
226 mg/kg (R, i.v.)
CN: (5α,6α)-7,8-didehydro-4,5-epoxy-17-(2-propenyl)morphinan-3,6-diol

hydrochloride
RN: 57-29-4 MF: $C_{19}H_{21}NO_3 \cdot HCl$ MW: 347.84 EINECS: 200-321-8
LD_{50}: 63 mg/kg (M, i.v.);
1150 mg/kg (R, p.o.);
120 mg/kg (dog, i.v.)
hydrobromide
RN: 1041-90-3 MF: $C_{19}H_{21}NO_3 \cdot HBr$ MW: 392.29 EINECS: 213-868-2
LD_{50}: 260 mg/kg (M, i.p.); 921 mg/kg (M, s.c.)



Reference(s):
US 2 364 833 (Merck & Co.; 1944; prior. 1941).
US 2 891 954 (Merck & Co.; 1959; prior. 1951).

Formulation(s): amp. 1 mg, 5 mg, 10 mg (as hydrobromide)

Trade Name(s):

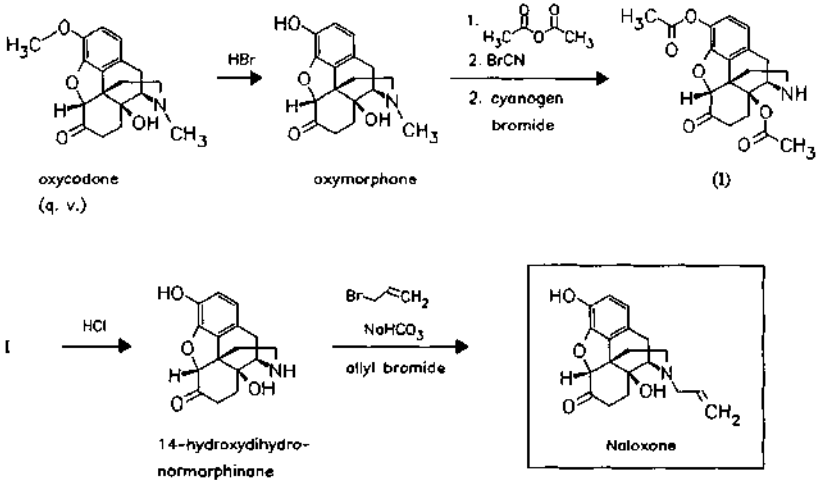
D:	Lethidrone (Wellcome); wfm	GB:	Lethidrone (Burroughs Wellcome); wfm	USA:	Nalline (Merck Sharp & Dohme); wfm
F:	Nalorphine Serb (L'Arguenon)	I:	Norfin (Lusofarmaco); wfm		

Naloxone

ATC: V03AB15
Use: narcotic antagonist

RN: 465-65-6 MF: $C_{19}H_{21}NO_4$ MW: 327.38 EINECS: 207-365-7
LD_{50}: 260 mg/kg (M, s.c.)
CN: (5α)-4,5-epoxy-3,14-dihydroxy-17-(2-propenyl)morphinan-6-one

hydrochloride
RN: 357-08-4 MF: $C_{19}H_{21}NO_4 \cdot HCl$ MW: 363.84 EINECS: 206-611-0
LD_{50}: 90 mg/kg (M, i.v.); >1 g/kg (M, p.o.);
107 mg/kg (R, i.v.); >1 g/kg (R, p.o.)



Reference(s):
US 3 254 088 (M. J. Lewenstein; 31.5.1966; appl. 14.3.1961).
DE 1 183 508 (M. J. Lewenstein; appl. 7.3.1962; USA-prior. 14.3.1961).
GB 929 287 (Sankyo; appl. 9.3.1962; J-prior. 14.3.1961).

Formulation(s): amp. 0.04 mg/2 ml, 0.4 mg/ml; cps. 4 mg in comb. with tilidine (as hydrochloride); tabl. 0.5 mg in comb. with pentazocine.HCl (as hydrochloride); vial 0.4 mg/ml, 1 mg/ml, 10 mg/ml

Trade Name(s):

D: Findol (Mundipharma)-comb. with tilidine
Gruntin (Grünenthal)-comb. with tilidine
Narcanti (Du Pont Pharma)
Tilador (Hexal)-comb. with tilidine
Tilidin (Isis Puren; Heumann; Stada; BASF; ratiopharm; Saar)-comb.
Valomerck (Merck)-comb. with tilidine
Valoron (Gödecke)-comb. with tilidine

F: Nalone (Serb)
Narcan (Du Pont)

GB: Narcan (Du Pont; as hydrochloride)

I: Narcan (Crinos)
Narcan neonatal (Crinos)

generics

J: Naloxone Hydrochloride (Sankyo)

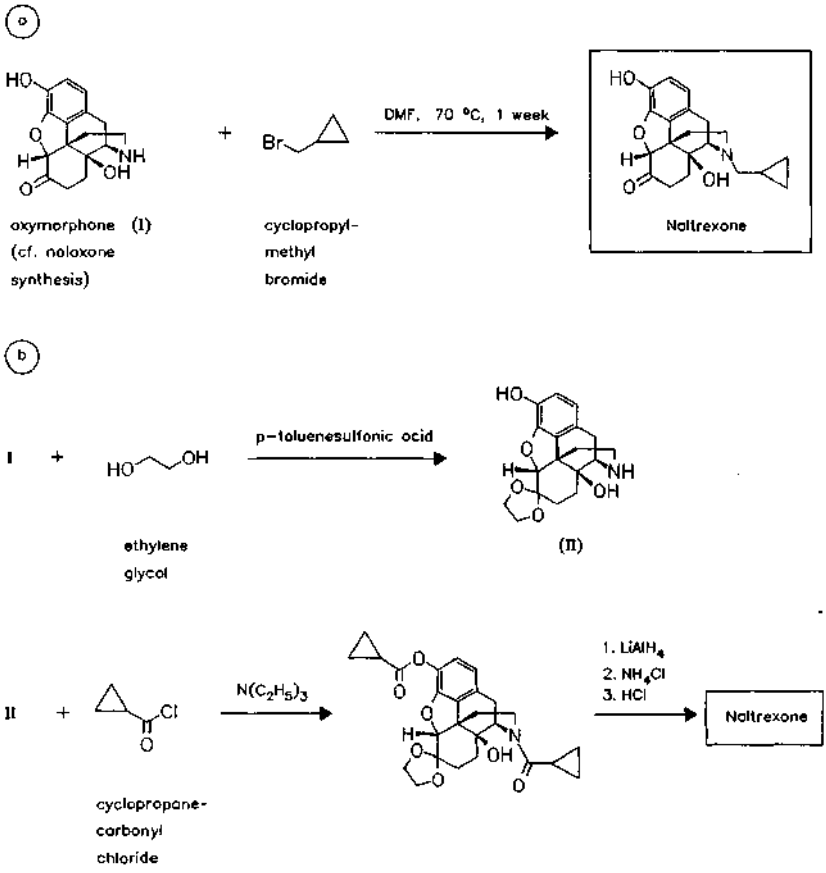
USA: Narcan (Endo; as hydrochloride)
Talwin Nx (Sanofi)
generics and combination preparations

Naltrexone

ATC: V03AB30
Use: narcotic antagonist

RN: 16590-41-3 MF: $C_{20}H_{23}NO_4$ MW: 341.41 EINECS: 240-649-9
LD_{50}: 551 mg/kg (M, s.c.)
CN: (5α)-17-(cyclopropylmethyl)-4,5-epoxy-3,14-dihydroxymorphinan-6-one

hydrochloride
RN: 16676-29-2 MF: $C_{20}H_{23}NO_4 \cdot HCl$ MW: 377.87 EINECS: 240-723-0
LD_{50}: 1100 mg/kg (M, p.o.);
1450 mg/kg (R, p.o.)



Reference(s):
DAS 1 795 707 (Endo; appl. 19.12.1966; USA-prior. 19.1.1966).
FR-M 6 358 (Endo; appl. 24.2.1967).
US 3 332 950 (Endo; 25.7.1967; prior. 23.3.1963, 15.5.1963, 6.12.1966).

Formulation(s): tabl. 50 mg (as hydrochloride)

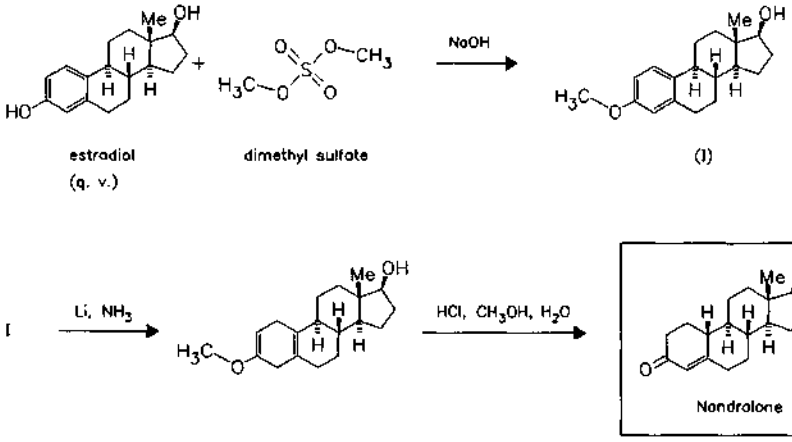
Trade Name(s):

D:	Nemexin (Du Pont Pharma)	GB:	Nalorex (Du Pont)		Narcoral (Crinos)
F:	Nalorex (Du Pont)	I:	Antaxone (Zambon Italia)	USA:	ReVia (Du Pont)
	Revia (Du Pont)		Nalorex (Du Pont Pharma)		

Nandrolone

ATC: A14AB01; S01XA11
Use: anabolic

RN: 434-22-0 MF: $C_{18}H_{26}O_2$ MW: 274.40 EINECS: 207-101-0
CN: (17β)-17-hydroxyestr-4-en-3-one



Reference(s):
US 2 698 855 (Organics; 1955; prior. 1953).
US 2 774 777 (Syntex; 1956; prior. 1952).
Wilds, A.L.; Nelson, N.A.: J. Am. Chem. Soc. (JACSAT) **75**, 5366 (1953).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 4092 (1954).

Formulation(s): eye drops 10 mg/ml (as monosodium sulfate)

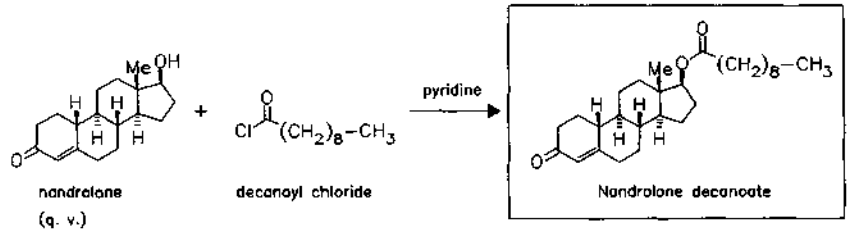
Trade Name(s):

D:	Keratyl (Chauvin ankerpharm)	I:	Dynabolon (Crinos); wfm	USA:	Nortestonate (Upjohn); wfm
F:	Keratyl (Chauvin)	J:	Andol (Tokyo Tanabe; as cyclohexylpropionate)		

Nandrolone decanoate

ATC: A14AB01
Use: anabolic

RN: 360-70-3 MF: $C_{28}H_{44}O_3$ MW: 428.66 EINECS: 206-639-3
LD_{50}: >566 mg/kg (M, i.p.)
CN: (17β)-17-[(1-oxodecyl)oxy]estr-4-en-3-one



Reference(s):
US 2 998 423 (Organon; 29.8.1961; appl. 2.2.1959; NL-prior. 25.2.1958).

Formulation(s): amp.. 25 mg/ml, 50 mg/ml

Trade Name(s):

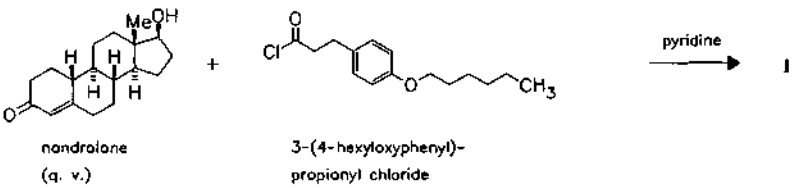
D:	Deca-Durabolin (Organon) Keratyl (Chauvin ankerpharm)	F:	Deca-Durabolin (Organon); wfm	I:	Deca-Durabolin (Organon Italia)
		GB:	Deca-Durabolin (Organon)		

J: Deca-Durabolin (Organon-Sankyo) USA: Deca-Durabolin (Organon)

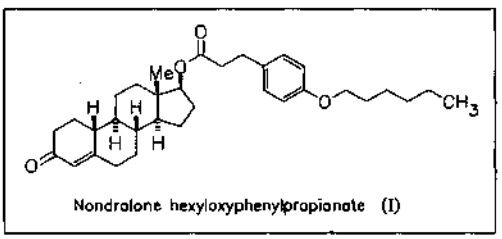
Nandrolone hexyloxyphenylpropionate

ATC: A14AB01
Use: anabolic

RN: 52279-57-9 MF: $C_{33}H_{46}O_4$ MW: 506.73 EINECS: 257-810-4
CN: (17β)-17-[3-[4-(hexyloxy)phenyl]-1-oxopropoxy]estr-4-en-3-one



nandrolone (q. v.) 3-(4-hexyloxyphenyl)-propionyl chloride



Nandrolone hexyloxyphenylpropionate (I)

Reference(s):
US 2 904 562 (Leo; 15.9.1959; appl. 20.1.1958).

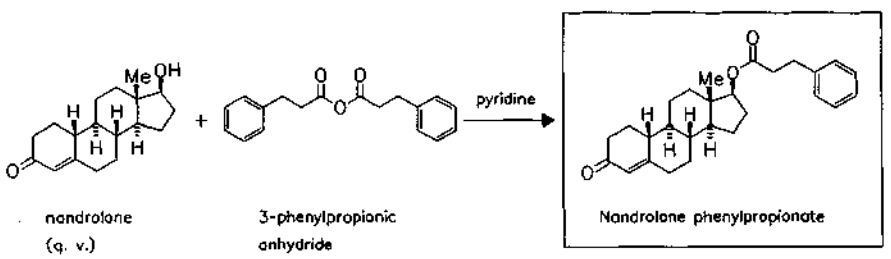
Formulation(s): amp. 50 mg; susp. 50 mg/2 ml

Trade Name(s):
D: Anadur (Bastian-Werk); wfm F: Anador (Logeais); wfm

Nandrolone phenylpropionate
(Nandrolone phenpropionate)

ATC: A14AB01
Use: anabolic

RN: 62-90-8 MF: $C_{27}H_{34}O_3$ MW: 406.57 EINECS: 200-551-9
LD_{50}: >1 g/kg (M, i.p.);
595 mg/kg (R, i.p.)
CN: (17β)-17-(1-oxo-3-phenylpropoxy)estr-4-en-3-one



nandrolone (q. v.) 3-phenylpropionic anhydride Nandrolone phenylpropionate

Reference(s):
GB 826 028 (Organon; appl. 1956; NL-prior. 1955).
US 2 868 809 (Upjohn; 1959; prior. 1953).

Formulation(s): amp. 25 mg

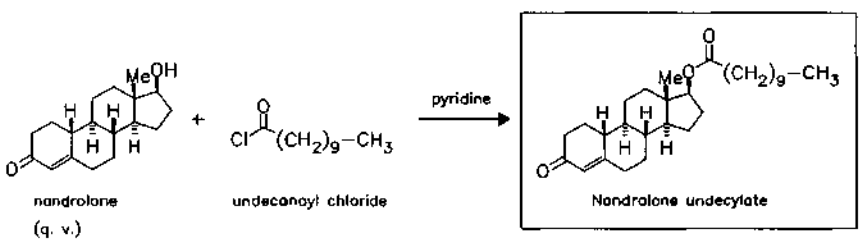
Trade Name(s):

D:	Docabolin (Nourypharma)-comb.; wfm	I:	Anticatabolin (Falorni); wfm		Stenabolin (AFI); wfm
	Durabolin (Organon); wfm		Anticatabolin (Nativelle); wfm		Strabolene (Isola-Ibi); wfm
	Hepa-Obaton (Nourypharma)-comb.; wfm		Durabolin (Ravasini); wfm		Superbolin (Labif); wfm
F:	Durabolin (Organon); wfm		Norandrol (Panther-Osfa Chemie); wfm	J:	Durabolin (Organon-Sankyo)
GB:	Durabolin (Organon); wfm		Norbalin (Bieffe); wfm	USA:	Durabolin (Organon); wfm
			Sintabolin (AFI); wfm		Nandrolin (Tutag); wfm
					generics; wfm

Nandrolone undecylate
(Nandrolone undecanoate)

ATC: A14AB01
Use: anabolic

RN: 862-89-5 MF: $C_{29}H_{46}O_3$ MW: 442.68 EINECS: 212-729-3
CN: (17β)-17-[(1-oxoundecyl)oxy]estr-4-en-3-one



nandrolone (q. v.) | undecanoyl chloride | Nandrolone undecylate

Reference(s):
BE 659 440 (N. Gueritee; appl. 9.2.1965; GB-prior. 21.2.1964).

use as anabolic in combination with estradiol esters:
FR-M 3 424 (N. Gueritee; appl. 27.1.1964).

alternative synthesis and combination with mineral corticoids:
DOS 2 638 507 (Akzo; appl. 26.8.1976; NL-prior. 27.8.1975).

use in combination with vitamin E:
FR-M 7 284 (J. M. Gastand; appl. 7.3.1968).

Formulation(s): inj. sol. 80.5 mg/1 ml

Trade Name(s):

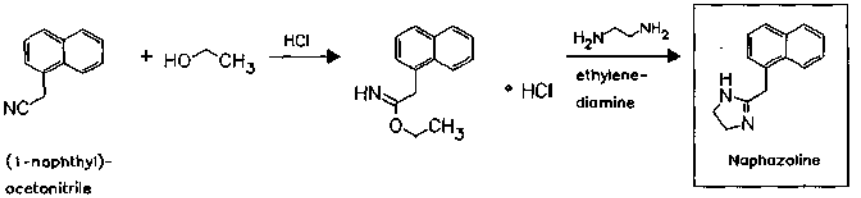
F:	Dynabolon (Théramex); wfm		Trophoboléne (Théramex)-comb.; wfm	I:	Dynabolon (Fournier Pierrel)

Naphazoline

ATC: R01AA08; R01AB02; S01GA01
Use: vasoconstrictor, rhinological therapeutic

RN: 835-31-4 MF: $C_{14}H_{14}N_2$ MW: 210.28 EINECS: 212-641-5
LD_{50}: 170 mg/kg (M, i.v.); 270 mg/kg (M, p.o.)
CN: 4,5-dihydro-2-(1-naphthalenylmethyl)-1*H*-imidazole

monohydrochloride
RN: 550-99-2 MF: $C_{14}H_{14}N_2 \cdot HCl$ MW: 246.74 EINECS: 208-989-2
mononitrate
RN: 5144-52-5 MF: $C_{14}H_{14}N_2 \cdot HNO_3$ MW: 273.29 EINECS: 225-915-4
LD_{50}: 13.2 mg/kg (M, i.v.); 265 mg/kg (M, p.o.);
1260 mg/kg (R, p.o.)



(1-naphthyl)-
acetonitrile

Reference(s):
US 2 161 938 (Ciba; 1939; D-prior. 1934).

Formulation(s): eye drops 0.3 mg/ml, 1 mg/ml; nasal spray

Trade Name(s):

D: Antistin-Privin (CIBA Vision)-comb.
Piniol (Spitzner; as hydrochloride)
Privin (Novartis Pharma; as nitrate)
Proculin (Chauvin ankerpharm)
Rhinex (Pharma Wernigerode)
Vistalbalon (Pharm-Allergan)
numerous generics and combination preparations

F: Collyres bleus Laiter (Leurquin)-comb.
Dérinox (Thérabel Lucien pharma)-comb.
Frazoline (Bouchara)-comb.
Soframycyne (Roussel)-comb.
Xylocaïne naphthazoline (Astra)-comb.

GB: Antistin-Privine (Ciba)-comb.; wfm
Murine (Abbott); wfm
Nomaze (Fisons); wfm
Vasocon A (Cooper Vision)-comb.; wfm
Vasocon A (Knox)-comb.; wfm

I: Citroftalmina (SIFI)-comb.
Collirio Alfa (Bracco)
Deltarinolo (Hoechst Marion Roussel)-comb.
Desamin Same (Savoma)
Imidazyl (Recordati)
Imizol (Farmigea)
Naftazolina (Bruschettini)
Pupilla (Alfa Wassermann)
Rinazina (Maggioni)
Rinazina Senza Sulfamide (Maggioni)
Virginiana Gocce Verdi (Kelemata)
numerous combination preparations

J: Privina (Ciba-Geigy-Takeda; as nitrate)

USA: Naphcon (Alcon; as hydrochloride)

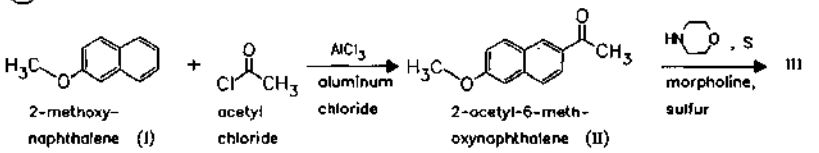
Naproxen

ATC: G02CC02; M01AE02; M02AA12
Use: anti-inflammatory, antirheumatic, analgesic

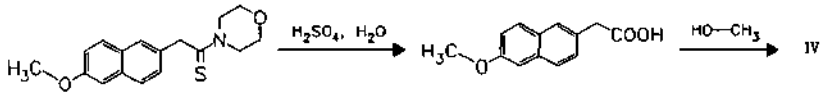
RN: 22204-53-1 MF: $C_{14}H_{14}O_3$ MW: 230.26 EINECS: 244-838-7
LD_{50}: 435 mg/kg (M, i.v.); 360 mg/kg (M, p.o.);
248 mg/kg (R, p.o.);
>1 g/kg (dog, p.o.)
CN: (*S*)-6-methoxy-α-methyl-2-naphthaleneacetic acid

sodium salt
RN: 26159-34-2 MF: $C_{14}H_{13}NaO_3$ MW: 252.25

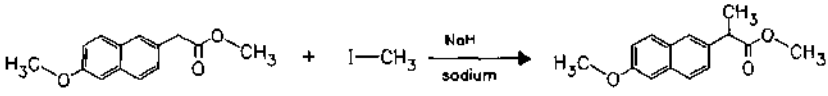
(a) Original process:



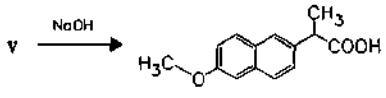
2-methoxy-naphthalene (I) — acetyl chloride — 2-acetyl-6-methoxynaphthalene (II)



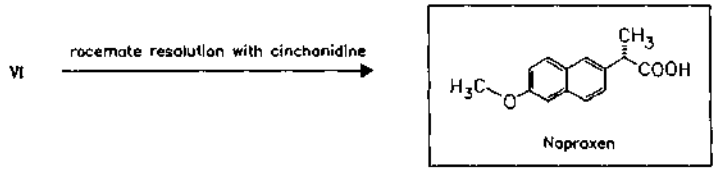
(III) — 6-methoxy-2-naphthylacetic acid



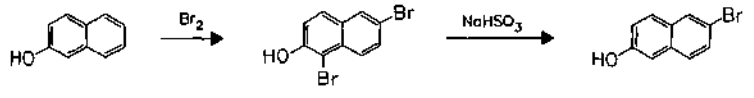
methyl 6-methoxy-2-naphthylacetate (IV) — methyl iodide — methyl DL-2-(6-methoxy-1-naphthyl)propionate (V)



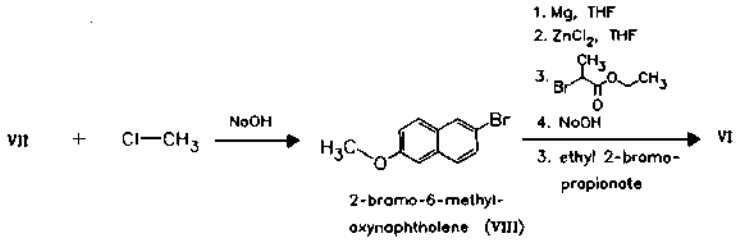
DL-2-(6-methoxy-2-naphthyl)propionic acid (VI)

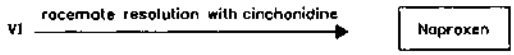


(b) First large-scale manufacturing process of Syntex:

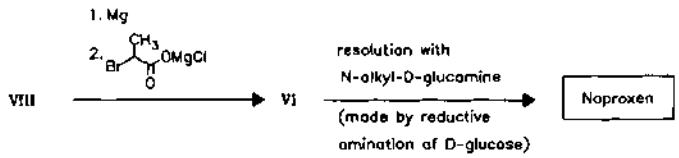


2-naphthol — 1,6-dibromo-2-naphthol — 6-bromo-2-naphthol (VII)

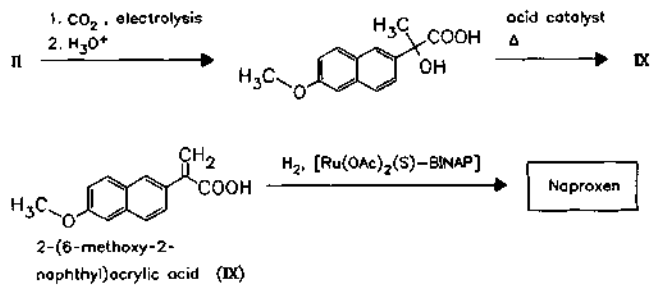




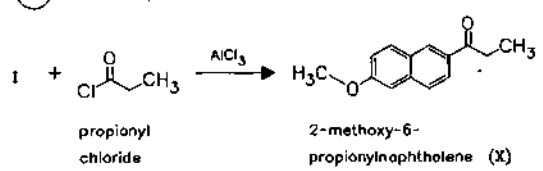
(c) Second large-scale manufacturing process of Syntex:

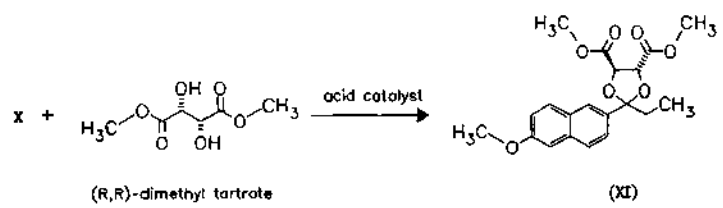


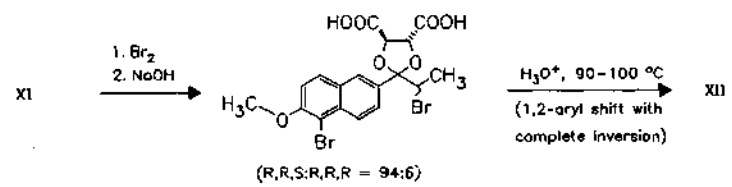
(d) Asymmetric hydrogenation:

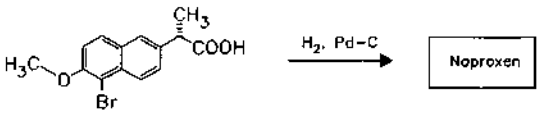


(e) Zambon process:



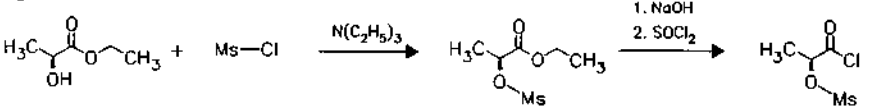






(S)-2-(5-bromo-6-methoxy-2-naphthyl)-propanoic acid (XII)

(f) Stereospecific Syntex process:

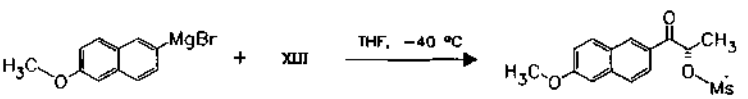


ethyl (S)-lactate

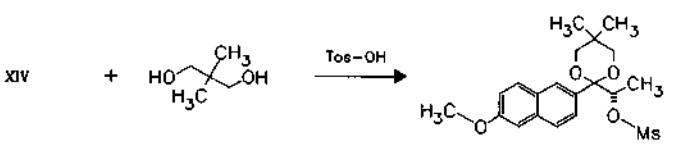
mesyl chloride

(XIII)

Ms: $-\overset{\overset{O}{\|}}{\underset{\underset{O}{\|}}{S}}-CH_3$

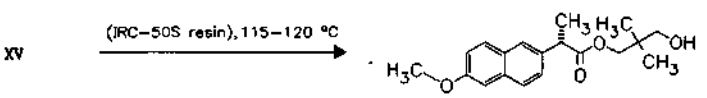


(XIV)

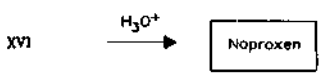


2,2-dimethyl-1,3-propanediol

(XV)



(XVI)



Reference(s):

process review:

Harrington, P.J.; Lodewijk, E.: Organic Process Res. & Dev. **1**, 72 (1997).

Harrison, J.T. et al.: J. Med. Chem. (JMCMAR) **13**, 203 (1970).

a US 3 896 157 (Syntex; 22.7.1975; prior. 13.1.1967, 7.12.1967, 4.11.1971).
US 3 904 682 (Syntex; 9.9.1975; prior. 13.1.1967, 7.12.1967, 24.3.1969, 31.8.1971, 21.6.1973).
US 3 978 116 (Syntex; 31.8.1976; prior. 13.1.1967, 7.12.1967, 4.11.1971).
US 3 978 124 (Syntex; 31.8.1976; prior. 13.1.1967, 7.12.1967, 4.11.1971).
US 3 998 966 (Syntex; prior. 4.11.1971).
US 4 001 301 (Syntex; 4.1.1977; prior. 4.11.1971).
US 4 009 197 (Syntex; 22.2.1977; prior. 13.1.1967).
DAS 1 668 654 (Syntex; appl. 8.1.1968; USA-prior. 13.1.1967; 7.12.1967).
improved racemate resolution with cinchonidine:
DAS 2 319 245 (Syntex; appl. 16.4.1973; USA-prior. 21.4.1972, 11.4.1973).

racemization:
DAS 2 008 272 (Syntex; appl. 23.2.1970; USA-prior. 24.3.1969).

b US 3 663 584 (Syntex; 16.5.1972; appl. 4.12.1970).
similar process with Cu-compound:
US 3 658 863 (Syntex; 25.4.1972; appl. 30.9.1969).
similar process with Cd-compound:
US 3 694 476 (Syntex; 26.9.1972; appl. 4.12.1970).

c DOS 2 805 488 (Syntex; appl. 9.2.1978; USA-prior. 16.2.1977, 19.12.1977).
resolution with N-alkyl-D-glucamines:
US 4 515 811 (Syntex; 7.5.1985; USA-prior. 6.7.1979, 26.11.1979).
EP 7 116 (Syntex; appl. 17.7.1979; CH-prior. 19.7.1978).
DOS 3 025 448 (Syntex; appl. 4.7.1980; USA-prior. 6.7.1979, 26.11.1979).

d Ohta, T. et al.: J. Org. Chem. (JOCEAH) **52**, 3174 (1987).
DOS 2 919 919 (Montedison; appl. 17.5.1979; I-prior. 22.5.1978).
US 4 239 914 (Montedison; 16.12.1980; I-prior. 22.5.1978).
electrocarboxylation of 2-acetyl-6-methoxynaphthalene:
Chan, A.S. et al.: J. Org. Chem. (JOCEAH) **60**, 742 (1995).
naphthacrylic acid via corresponding cyanohydrins:
US 3 637 767 (Syntex; 25.1.1972; appl. 30.7.1968).

e Giordano, C. et al.: Tetrahedron (TETRAB) **45**, 4243 (1989).
US 4 579 968 (Zambon; 1.4.1986; I-prior. 24.2.1984).
US 4 697 036 (Zambon; 29.9.1987; I-prior. 6.8.1984).
US 4 810 819 (Zambon; 7.3.1989; appl. 5.8.1987; USA-prior. 5.4.1985).
US 4 855 464 (Zambon; 29.9.1987; I-prior. 6.8.1984).
US 4 888 433 (Zambon; 29.9.1987; I-prior. 6.8.1984).
preparation of 2-propionylnaphthalene:
EP 176 142 (Blaschim; appl. 16.9.1985; I-prior. 24.9.1984, 17.5.1985).
EP 301 311 (Zambon; appl. 12.7.1988; I-prior. 28.7.1987).

f US 4 605 758 (Syntex; 12.8.1986; prior. 11.12.1981, 23.4.1984).
US 4 749 804 (Syntex; 7.6.1988; prior. 11.12.1981, 23.4.1984, 10.6.1986).
US 4 912 254 (Syntex; 27.3.1990; prior. 11.12.1981, 23.4.1984, 10.6.1986, 6.5.1988).

alternative syntheses:
DAS 1 934 460 (Syntex; appl. 8.7.1969; USA-prior. 30.7.1968).
US 3 637 767 (Syntex; 25.1.1972; appl. 30.7.1968).
DAS 1 793 825 (Syntex; appl. 8.1.1968; USA-prior. 13.1.1967, 7.12.1967).

resolution with dehydroabietylamine:
DAS 2 339 765 (Syntex; appl. 6.8.1973; USA-prior. 10.8.1972).

resolution with (*S*)-α-phenylethylamine:
US 4 546 201 (Blaschim; 8.10.1985; I-prior. 27.7.1983).

enzymatic cleavage of esters of racemic naproxen:
US 4 857 462 (Boehringer Mannh.; 15.8.1989; D-prior. 16.12.1983).
EP 195 717 (Montedison; appl. 17.3.1986; I-prior. 22.3.1985).
EP 233 656 (Gist-Brocades; appl. 6.1.1987; GB-prior. 7.1.1986).
EP 330 217 (Ist. Guido Donegani; appl. 24.2.1989; I-prior. 25.2.1988, 29.7.1988).

Formulation(s): f. c. tabl. 250 mg, 500 mg, 1000 mg; suppos. 250 mg, 500 mg; susp. 125 mg/5 ml, 250 mg/5 ml; tabl. 250 mg, 375 mg, 500 mg, 750 mg (as acid); f. c. tabl. 550 mg; tabl. 275 mg, 550 mg, 375 mg, 500 mg (as sodium salt)

Trade Name(s):

D: Apranax (Roche; Syntex)
Dysmenalgit (Krewel Meuselbach)
Proxen (Roche; Syntex; 1975)

F: Apranax (Roche)
Naprosyne (Cipharm; 1975)

GB: Napratec (Searle)
Naprosyn (Roche; 1973)
Nycopren (Ardern)
Synflex (Roche)

I: Aperdan (ABC Farmaceutici)-comb.
Artroxen (Errekappa Euroter.)

Axer Alfa (Alfa Wassermann; as sodium salt)
Floginax (Teofarma)
Flogogin (Angelini; as sodium salt)
Floxalin (Salus Research; as sodium salt)
Gibinap (Metafarm)
Gibixen (Metafarm)
Laser (Tosi-Novara)
Leniartril (Sancarlo)
Naprius (Aesculapius-Bs)
Naprorex (Lampugnani)
Naprosyn (Recordati)
Neoblimon (Guidotti)
Nitens (Pulitzer)-comb.
Numidan (Therabel Pharma)-comb.
Piproxen (Nuovo ISM)-comb.
Prexan (Lafare)
Primeral (Master Pharma; as sodium salt)
Proxine (Del Saz & Filippini)
Synalgo (Geymonat)
Synflex (Recordati; as sodium salt)
Ticoflex (Farma Uno)
Xenar (Alfa Wassermann)
J: Naixan (Tanabe)
USA: Anaprox (Roche)
Naprosyn (Roche; 1976)
generics

Naratriptan

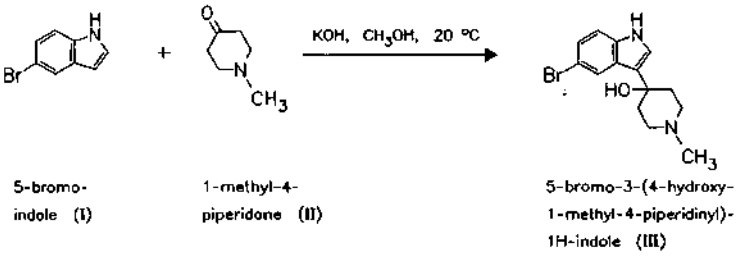
(GR-85548)

ATC: N02CC02
Use: antimigraine agent, 5-HT_1-agonist

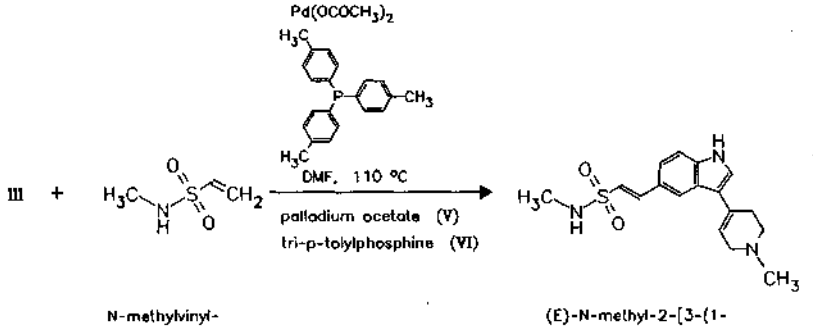
RN: 121679-13-8 MF: $C_{17}H_{25}N_3O_2S$ MW: 335.47
CN: *N*-methyl-3-(1-methyl-4-piperidinyl)-1*H*-indole-5-ethanesulfonamide

hydrochloride
RN: 121679-19-4 MF: $C_{17}H_{25}N_3O_2S \cdot xHCl$ MW: unspecified
monohydrochloride
RN: 143388-64-1 MF: $C_{17}H_{25}N_3O_2S \cdot HCl$ MW: 371.93

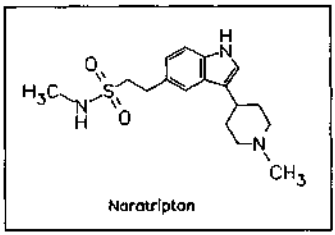
a



5-bromo-indole (I)
1-methyl-4-piperidone (II)
5-bromo-3-(4-hydroxy-1-methyl-4-piperidinyl)-1H-indole (III)

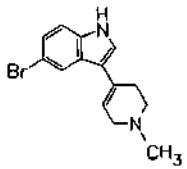


III +
palladium acetate (V)
tri-p-tolylphosphine (VI)
N-methylvinylsulfonamide (IV)
(E)-N-methyl-2-[3-(1-methyl-1,2,3,6-tetrahydro-4-pyridinyl)-1H-indol-5-yl]vinylsulfonamide (VII)

VII $\xrightarrow{H_2,\ Pd\text{-}C,\ DMF,\ H_2O}$ 

Naratriptan

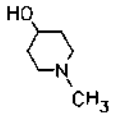
(b)

1 + II $\xrightarrow{KOH,\ CH_3OH,\ \Delta}$ 

5-bromo-3-(1-methyl-1,2,3,6-tetrahydro-4-pyridinyl)-1H-indole (VIII)

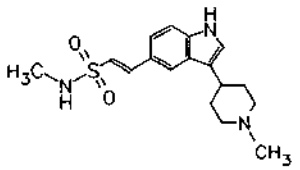
$\xrightarrow{\text{1. IV, V, VI; DMF, 110 °C; 2. } H_2,\ Pd\text{-}C,\ DMF,\ H_2O}$ Naratriptan

or:

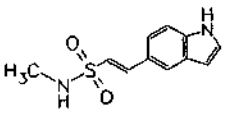
I +  $\xrightarrow{KOH,\ CH_3OH,\ \Delta}$ VIII $\dashrightarrow$ Naratriptan

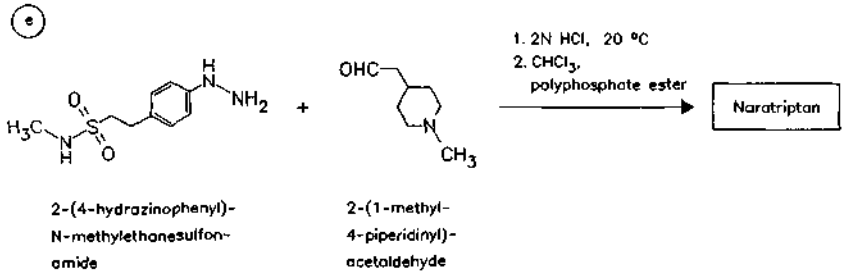
4-hydroxy-1-methyl-piperidine

(c)

VIII $\xrightarrow{\text{1. } H_2,\ PtO_2;\ \text{2. IV, V, VI}}$  $\xrightarrow{H_2,\ Pd\text{-}C}$ Naratriptan

(d)

I $\xrightarrow{IV,\ V,\ VI}$  $\xrightarrow[\text{1. potassium hydroxide; 2. hydrogen}]{\text{1. II , KOH, } \Delta;\ \text{2. } H_2,\ Pd\text{-}C}$ Naratriptan



Reference(s):
WO 9 509 166 (Glaxo; appl. 6.4.1995; GB-prior. 29.9.1993).
EP 303 507 (Glaxo; appl. 15.2.1989; GB-prior. 13.8.1987, 14.6.1988, 17.6.1988).

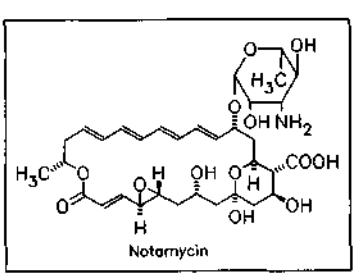
Formulation(s): tabl. 2.5 mg (as monohydrochloride)

Trade Name(s):
D: Naramig (Glaxo Wellcome/ Cascan)

Natamycin
(Pimaricin)

ATC: A01AB10; A07AA03; D01AA02; G01AA02; S01AA10
Use: fungicidal antibiotic

RN: 7681-93-8 MF: $C_{33}H_{47}NO_{13}$ MW: 665.73 EINECS: 231-683-5
LD_{50}: >5 g/kg (M, i.v.); 1500 mg/kg (M, p.o.); 36 mg/kg (R, i.v.); 2730 mg/kg (R, p.o.); 18 mg/kg (dog, i.v.); >300 mg/kg (dog, p.o.)
CN: [1*R*-(1*R**,3*S**,5*R**,7*R**,8*E*,12*R**,14*E*,16*E*,18*E*,20*E*,22*R**,24*S**,25*R**,26*S**)]-22-[(3-amino-3,6-dideoxy-β-D-mannopyranosyl)oxy]-1,3,26-trihydroxy-12-methyl-10-oxo-6,11,28-trioxatricyclo[22.3.1.$0^{5,7}$]octacosa-8,14,16,18,20-pentaene-25-carboxylic acid



From fermentation solutions of *Streptomyces natalensis.*

Reference(s):
GB 844 289 (Königl. Niederl. Gist- & Spiritusfabr.; appl. 1957; NL-prior. 1956).
GB 846 933 (American Cyanamid; appl. 1957; USA-prior. 1956).

Formulation(s): cream 2 g/100 g; drg. 100 mg; ointment 10 mg/g; tabl. 10 mg

Trade Name(s):
D: Deronga (Galderma)
Pima Biciron (S & K Pharma)
Pimafucin (Galderma)
Pimafucort (Yamanouchi)-comb.
F: Pimafucine (Beytout); wfm
Pimafucine (Duphar); wfm
Pimafucort (Beytout); wfm

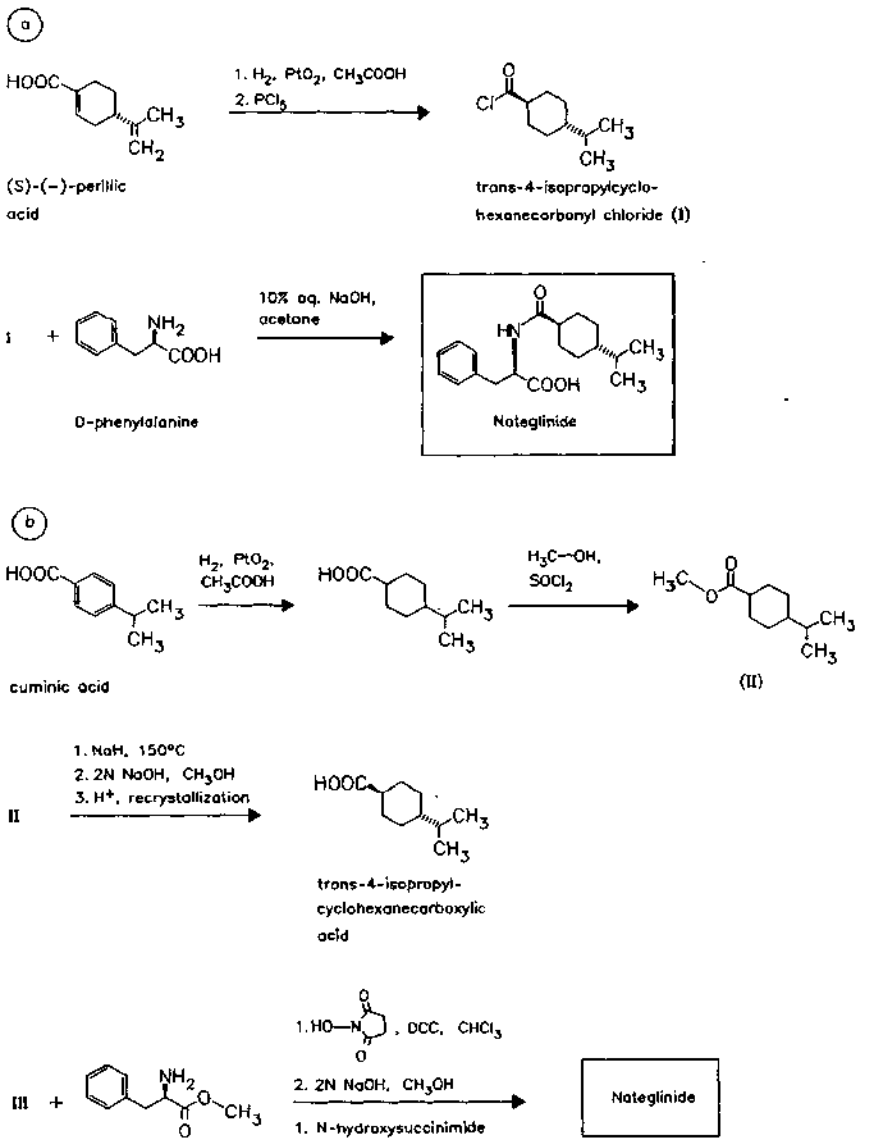
GB: Pimafucin (Brocades); wfm I: Natafucin (Yamanouchi Pharma) J: Pimafucin (Torii)-comb.
USA: Myprozine (Lederle); wfm

Nateglinide

Use: hypoglycemic agent

(A 4166; Ay 416; SDT-DJN 608)

RN: 105816-04-4 MF: $C_{19}H_{27}NO_3$ MW: 317.43
CN: trans-*N*-[[4-(1-Methylethyl)cyclohexyl]-carbonyl]-D-phenylalanine



Reference(s):
EP 196 222 (Ajinomoto; appl. 26.3.1986; J-prior. 27.3.1985).

preparation of I:
JP 7 017 899 (Ajinomoto; appl. 1.7.1993).

stable crystals:
US 5 463 116 (Ajinomoto; 31.10.1999; J-prior. 30.7.1991).

tablet formulation:
WO 9 822 105 (Ajinomoto; appl. 14.11.1997; J-prior. 15.11.1996).

Formulation(s): tabl. 30 mg

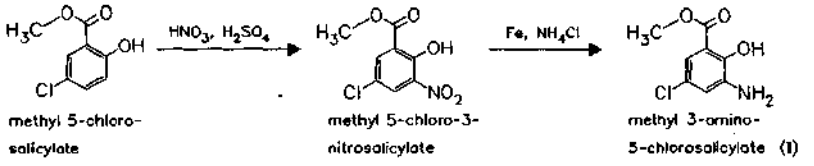
Trade Name(s):
J: Starlix (Ajinomoto, 1999)

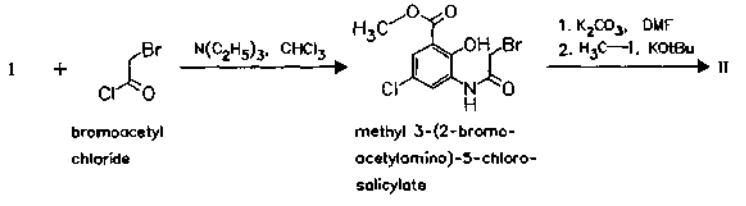
Nazasetron
(Y-25130)

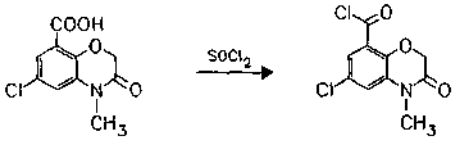
ATC: A04AA
Use: anti-emetic, 5-HT_3-antagonist

RN: 123040-69-7 MF: $C_{17}H_{20}ClN_3O_3$ MW: 349.82
CN: (±)-*N*-1-azabicyclo[2.2.2]oct-3-yl-6-chloro-3,4-dihydro-4-methyl-3-oxo-2*H*-1,4-benzoxazine-8-carboxamide

monohydrochloride
RN: 141922-90-9 MF: $C_{17}H_{20}ClN_3O_3 \cdot HCl$ MW: 386.28
(–)-enantiomer
RN: 123040-95-9 MF: $C_{17}H_{20}ClN_3O_3$ MW: 349.82
(–)-enantiomer monohydrochloride
RN: 123040-96-0 MF: $C_{17}H_{20}ClN_3O_3 \cdot HCl$ MW: 386.28
(+)-enantiomer
RN: 123040-93-7 MF: $C_{17}H_{20}ClN_3O_3$ MW: 349.82
(+)-enantiomer hydrochloride
RN: 123040-94-8 MF: $C_{17}H_{20}ClN_3O_3 \cdot HCl$ MW: 386.28

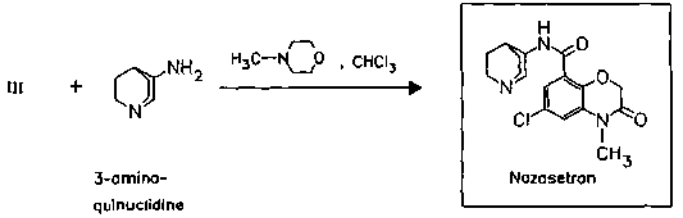






6-chloro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazine-8-carboxylic acid (II)

6-chloro-3,4-dihydro-4-methyl-3-oxo-2H-1,4-benzoxazine-8-carbonyl chloride (III)



3-amino-quinuclidine

Nazasetron

Reference(s):
EP 313 393 (Yoshitomi; appl. 21.10.1988; J-prior. 22.10.1987, 25.12.1987, 13.1.1988).

stable crystalline structure of (+)-enantiomer:
JP 07 070 120 (Yoshitomi; appl. 5.7.1994; J-prior. 5.7.1993).

medical use:
JP 08 027 001 (Yoshitomi; J-prior. 13.7.1994).
JP 08 027 000 (Yoshitomi; J-prior. 13.7.1994).
JP 08 026 999 (Yoshitomi; J-prior. 12.7.1994).
WO 9 601 630 (Yoshitomi; appl. 7.7.1995; J-prior. 12.7.1994).

suppository formulation:
JP 06 305 969 (Yoshitomi; appl. 27.4.1993; J-prior. 27.4.1993).

light-stabilized injection solution:
WO 9 425 032 (Yoshitomi; appl. 25.4.1995; J-prior. 28.4.1994).

Formulation(s): amp. for injection 10 mg/2 ml

Trade Name(s):
J: Serotone (Yoshitomi; Tobacco/Green Cross)

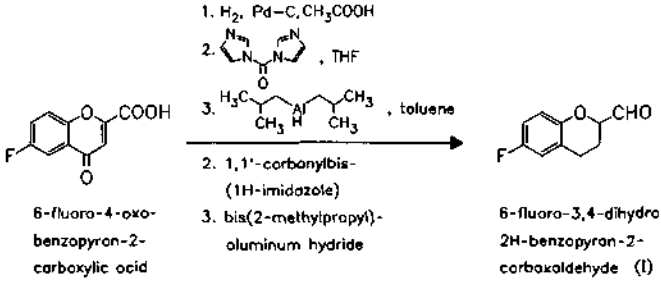
Nebivolol

(ME-3255; R-65824; R-67555 as hydrochloride)

ATC: C07AB12
Use: antihypertensive, β_1-adrenergic blocker

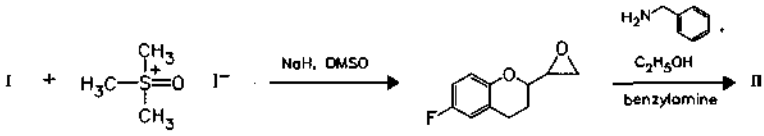
RN: 118457-14-0 MF: $C_{22}H_{25}F_2NO_4$ MW: 405.44
CN: [2*R**[*R**[*R**(*S**)]]]-α,α'-[iminobis(methylene)]bis[6-fluoro-3,4-dihydro-2*H*-1-benzopyran-2-methanol]

hydrochloride
RN: 152520-56-4 MF: $C_{22}H_{25}F_2NO_4 \cdot HCl$ MW: 441.90

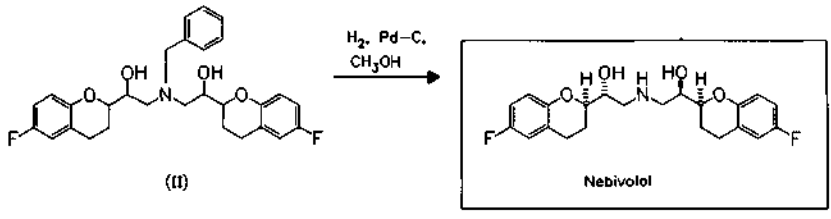


6-fluoro-4-oxo-benzopyran-2-carboxylic acid

6-fluoro-3,4-dihydro-2H-benzopyran-2-carboxaldehyde (I)



6-fluoro-3,4-dihydro-2-oxiranyl-2H-1-benzopyran



(II)

Reference(s):
EP 145 067 (Janssen Pharm.; appl. 22.11.1984; USA-prior. 5.12.1983).

Formulation(s): tabl. 5 mg (as hydrochloride)

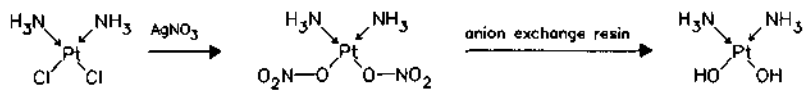
Trade Name(s):
D: Nebilet (Berlin-Chemie)

Nedaplatin

Use: antineoplastic platinum complex

(S 254)

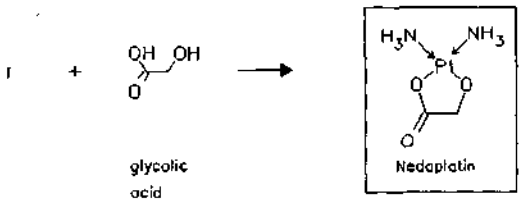
RN: 95734-82-0 MF: $C_2H_8N_2O_3Pt$ MW: 303.18
LD_{50}: 20 mg/kg (R, i. v.);
44.1 mg/kg (M, i. v.);
4 mg/kg (dog, i. v.)
CN: (*SP*-4-3)-Diammine[hydroxyacetato(2–)-O^1,O^2]platinum



cisplatin (q. v.)

diammineplatinum nitrate

(I)



Reference(s):
JP 59 222 497 (Shionogi & Co.; appl. 1.6.1983).
US 4 575 550 (Shionogi & Co.; J-prior. 11.3.1986; appl. 14.4.1983; J-prior. 23.10.1981; USA-prior. 6.1.1982).
Totani, T.; Aono, K.; Komura, M.; Adachi, Y.: Chem. Lett. (CMLTAG) **1986** (3), 429.

Formulation(s): powder 10 mg, 50 mg, 100 mg; vials 10 mg, 50 mg, 100 mg

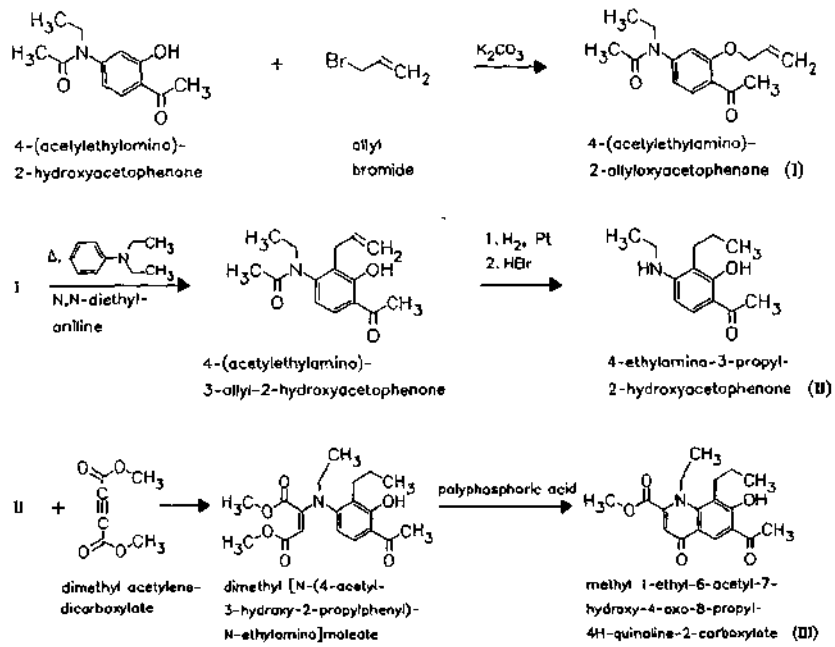
Trade Name(s):
J: Aqupla (Shionogi)

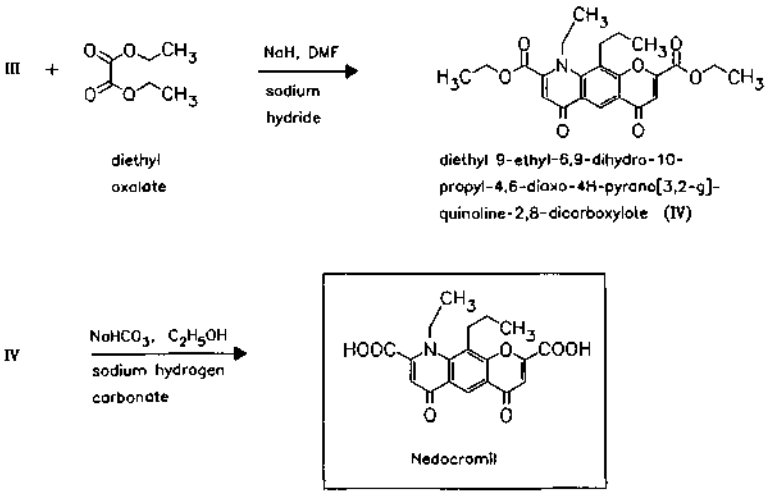
Nedocromil

ATC: R01AC07; R03BC03; S01GX04
Use: antiallergic, antiasthmatic

RN: 69049-73-6 MF: $C_{19}H_{17}NO_7$ MW: 371.35
CN: 9-ethyl-6,9-dihydro-4,6-dioxo-10-propyl-4*H*-pyrano[3,2-*g*]quinoline-2,8-dicarboxylic acid

disodium salt
RN: 69049-74-7 MF: $C_{19}H_{15}NNa_2O_7$ MW: 415.31
LD_{50}: 2000-4000 mg/kg (R, dog, i.v.); >5000 mg/kg (R, dog, p.o., s.c.)





Reference(s):
US 4 474 787 (Fisons, 2.10.1984; GB-prior. 4.11.1977).
DE 2 819 215 (Fisons; appl. 2.5.1978; GB-prior. 4.5.1977, 4.11.1977).
GB 2 022 078 (Fisons; appl. 6.6.1978; prior. 4.5.1977).
Cairns, H. et al.: J. Med. Chem. (JMCMAR) **28**, 1832 (1985).

synthesis of ^{2}H, ^{3}H, ^{14}C-labelled nedochromil sodium:
Wilkinson, D.J.; Lockley, W.J.S.: J. Labelled Compd. Radiopharm. (JLCRD4) **22**, 883 (1985).

combination with anticholinergics:
GB 2 204 790 (Fisons; appl. 23.5.1987).

Formulation(s): aerosol 2 mg/puff; eye drops 20 mg/ml (as sodium salt); spray 1.3 mg/0.13 ml

Trade Name(s):

D:	Halamid (ASTA Medica AWD)		Tilade Syncroner (Spécia)		Tilarin (Rhône-Poulenc Rorer)
	Irtan (Fisons; Rhône-Poulenc Rorer)		Tivalist (Spécia)	I:	Kovilen (Mediolanum)
	Tilade (Fisons; Rhône-Poulenc Rorer; 1988)	GB:	Rapitil (Rhône-Poulenc Rorer)		Tilade (Italchimici)
F:	Tilade (Spécia; 1988)		Tilade Syncroner (Rhône-Poulenc Rorer; 1986)	USA:	Tilade (Rhône-Poulenc Rorer)

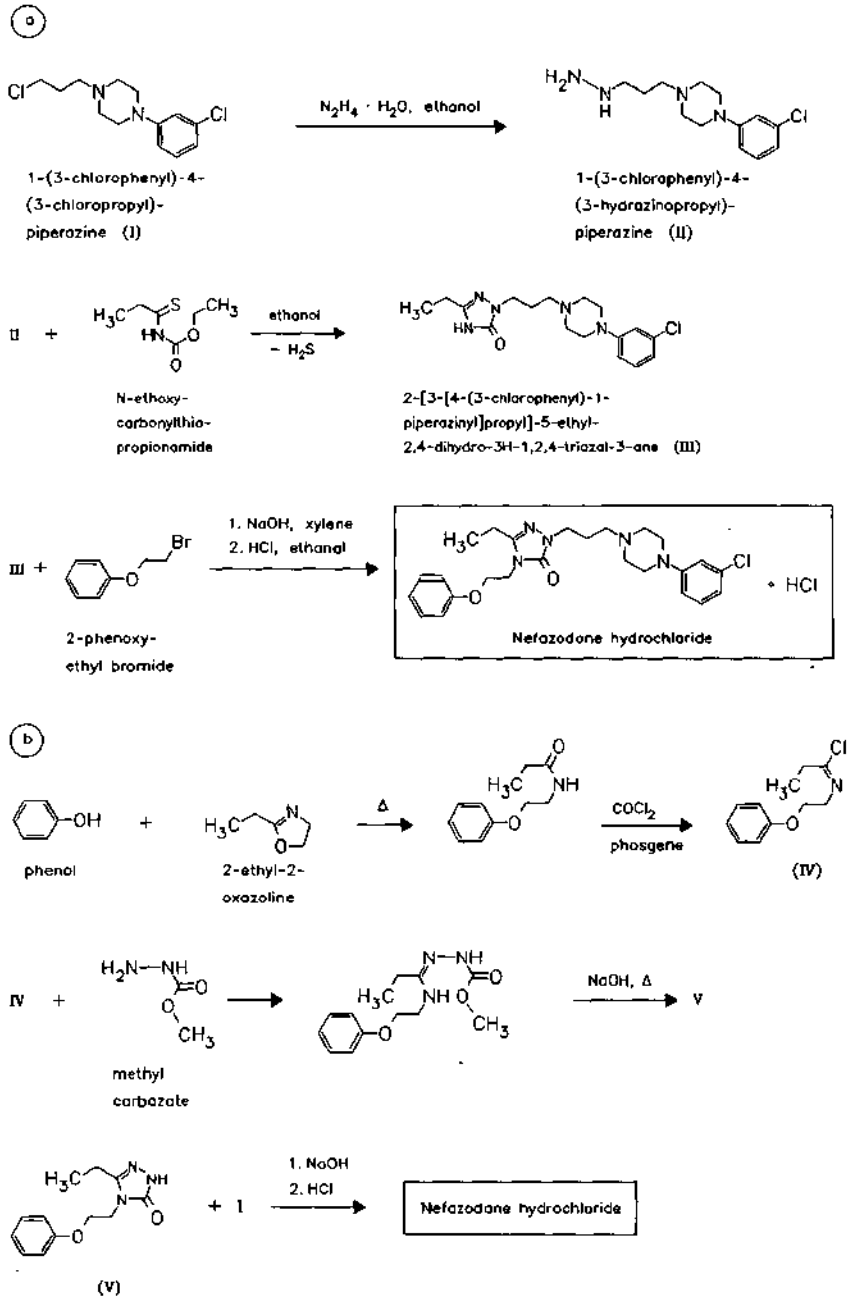
Nefazodone hydrochloride

(BMY-13754; MJ-13754-1)

ATC: N06AX06
Use: antidepressant, 5-HT_2A-antagonist

RN: 82752-99-6 MF: $C_{25}H_{32}ClN_5O_2 \cdot HCl$ MW: 506.48
CN: 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)-3*H*-1,2,4-triazol-3-one hydrochloride

base
RN: 83366-66-9 MF: $C_{25}H_{32}ClN_5O_2$ MW: 470.02



Reference(s):

a US 4 338 317 (Bristol-Myers Squibb; appl. 16.3.1981; USA-prior. 16.3.1981).

b Madding, G.D. et al.: J. Heterocycl. Chem. (JHTCAD) **22**, 1121 (1985).

use for treatment of sleep disorders:

US 5 116 852 (Bristol-Myers Squibb; appl. 3.12.1990; USA-prior. 3.12.1990).

improved administration:

EP 428 272 (Ellinwood; appl. 17.10.1990; USA-prior. 17.10.1989).

controlled release preparation:

US 5 169 638 (Squibb & Sous; appl. 23.10.1991; USA-prior. 23.10.1991).

Formulation(s): tabl. 100 mg, 150 mg, 200 mg, 250 mg, 300 mg (as hydrochloride)

Trade Name(s):

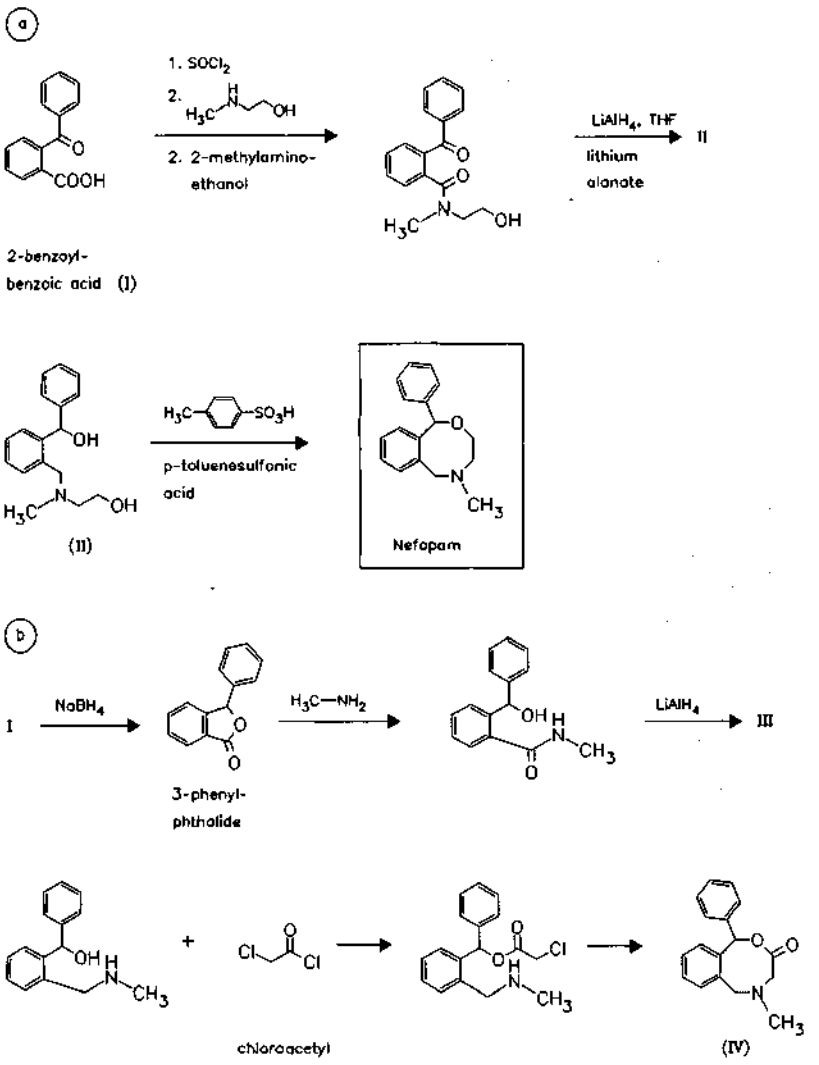
D:	Nefadar (Bristol-Myers Squibb)	GB:	Dutonin (Bristol-Myers Squibb)	USA:	Serzone (Bristol-Myers Squibb)

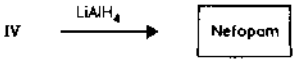
Nefopam

ATC: N02BG06
Use: analgesic, muscle relaxant

RN: 13669-70-0 MF: $C_{17}H_{19}NO$ MW: 253.35 EINECS: 237-148-2
LD_{50}: 180 mg/kg (M, p.o.)
CN: 3,4,5,6-tetrahydro-5-methyl-1-phenyl-1*H*-2,5-benzoxazocine

hydrochloride
RN: 23327-57-3 MF: $C_{17}H_{19}NO \cdot HCl$ MW: 289.81





Reference(s):

a US 3 487 153 (Rexall; 30.12.1969; appl. 19.1.1968).

b US 3 830 803 (Riker; 20.8.1974; appl. 10.5.1965).
DAS 1 620 198 (Riker; appl. 5.5.1966; USA-prior. 10.5.1965).

Formulation(s): amp. 20 mg; f. c. tabl. 30 mg; tabl. 30 mg (as hydrochloride)

Trade Name(s):

D:	Ajan (3M Medica)	GB:	Acupan (3M Health Care; as hydrochloride)		Oxadol (ISI)
	Silentan (Krewel Meuselbach)	I:	Nefadol (Zilliken)	USA:	Acupan (Riker); wfm
F:	Acupan (Biocodex)		Nefam (Farma-Biagini)		

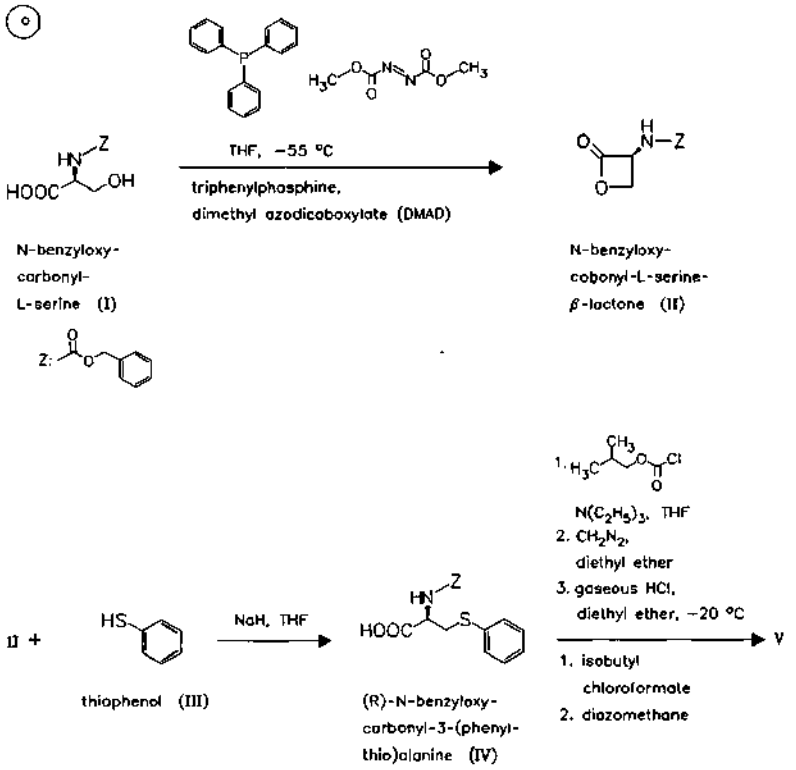
Nelfinavir mesylate

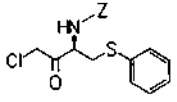
(AG-1343; LY-312857)

ATC: J05AE04
Use: antiviral, HIV-protease inhibitor

RN: 159989-65-8 MF: $C_{32}H_{45}N_3O_4S \cdot CH_4O_3S$ MW: 663.90

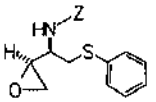
CN: [3*S*-[2(2*S**,3*S**),3α,4aβ,8aβ]]-*N*-(1,1-dimethylethyl)decahydro-2-[2-hydroxy-3-[(3-hydroxy-2-methylbenzoyl)amino]-4-(phenylthio)butyl]-3-isoquinolinecarboxamide monomethanesulfonate (salt)





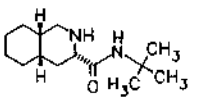
(R)-phenylmethyl [3-chloro-2-oxo-1-[(phenylthio)methyl]-propyl]carbamate (V)

1. $NaBH_4$, THF, H_2O
2. KOH, C_2H_5OH



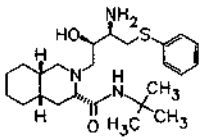
[S-(R*,S*)]-phenylmethyl [1-oxiranyl-2-(phenylthio)ethyl]-carbamate (VI)

VI +



[3S-(3α,4aβ,8aβ)]-N-(1,1-dimethylethyl)decahydro-3-isoquinolinecarboxamide (VII) (cf. saquinavir synthesis)

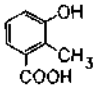
1. C_2H_5OH, Δ
2. HBr, CH_3COOH



[3S-[2(2S*,3S*),3α,4aβ,8aβ]]-2-[3-amino-2-hydroxy-4-(phenylthio)-butyl]-N-(1,1-dimethylethyl)deca-hydro-3-isoquinolinecarboxamide (VIII)

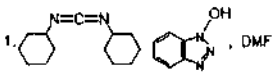
3-amino-2-methyl-benzoic acid

H_2SO_4, H_2O, $NaNO_2$, −10 °C
sodium nitrite

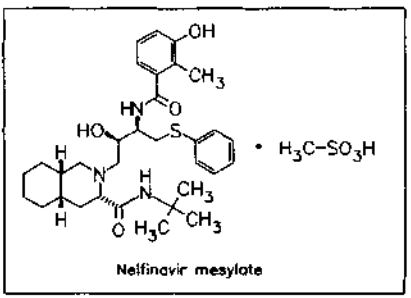


3-hydroxy-2-methyl-benzoic acid (IX)

VIII + IX

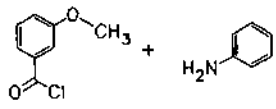
1. 
2. $H_3C—SO_3H$, CH_2Cl_2, 0 °C

1. DCC, HOBt
2. methonesulfonic acid



Nelfinavir mesylate

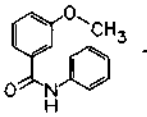
alternative synthesis of intermediate IX:



3-methoxy-benzoyl chloride

aniline

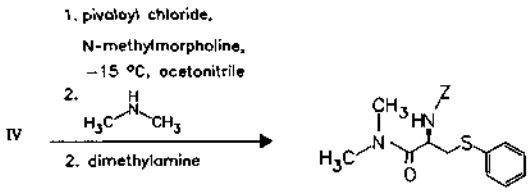
$N(C_2H_5)_3$

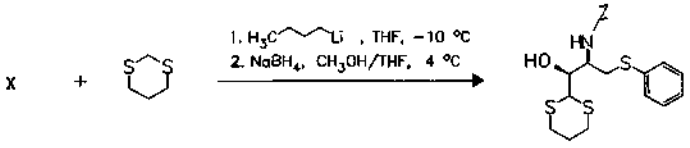


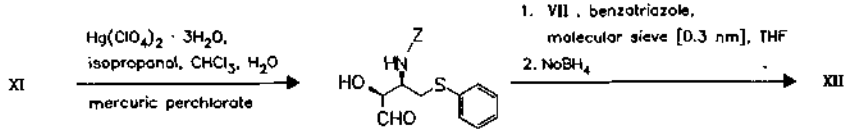
1. $H_3C—I$, H_3C(CH2)3Li
2. HCl, HBr, CH_3COOH

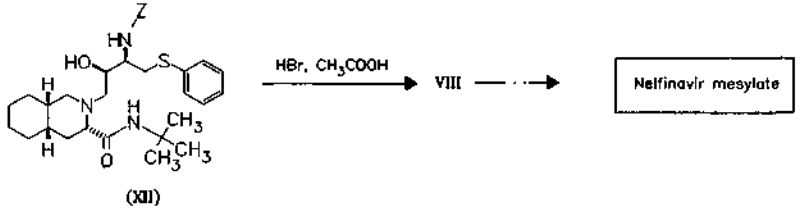
IX

(b)

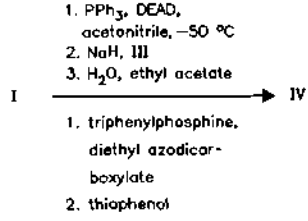


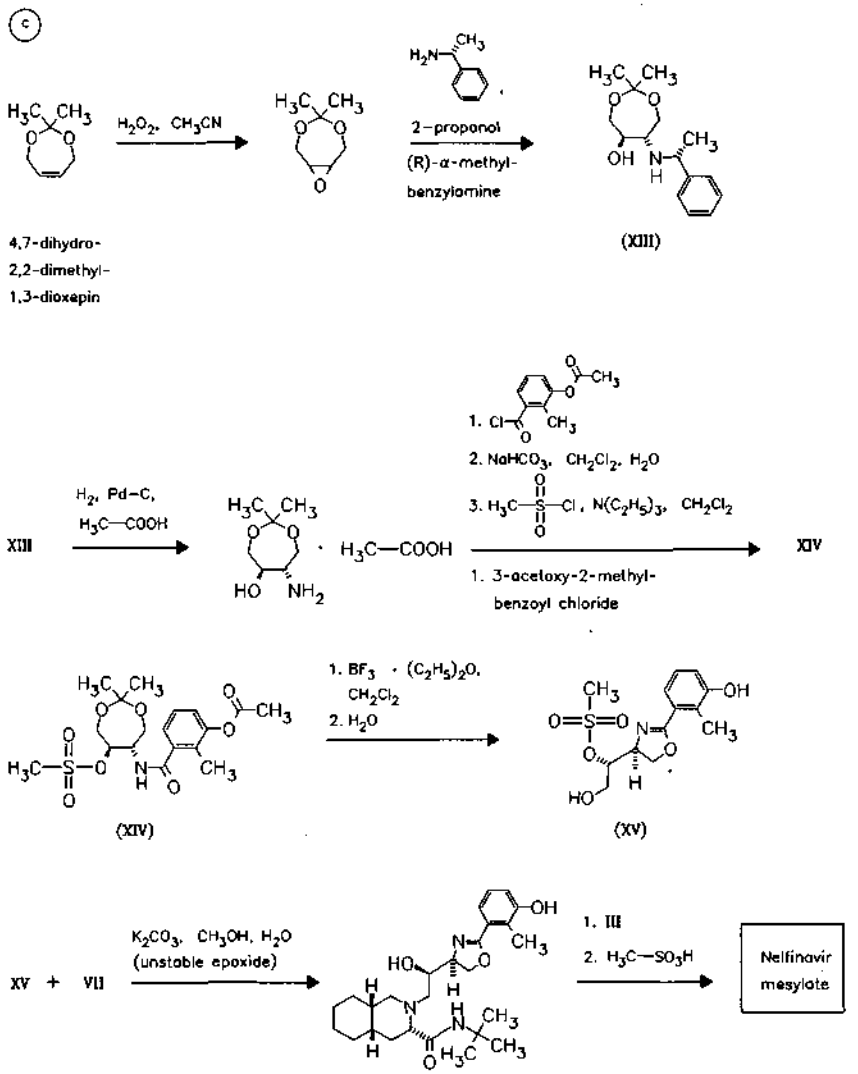






(ba) synthesis of the starting material IV:





Reference(s):

Kaldar, S.W. et al.: J. Med. Chem. (JMCMAR) **40**, 3979-3985 (1997).
WO 9 509 843 (Agouron Pharm.; appl. 7.10.1994; USA-prior. 7.10.1993, 2.2.1994).
WO 9 521 164 (Eli Lilly; prior. 2.2.1994).
Inaba, T. et al.: J. Org. Chem. (JOCEAH) **63**, 7582-7583 (1998).
b Rieger, D.L.: J. Org. Chem. (JOCEAH) **62**, 8546-8548 (1997).
ba Marzoni, G. et al.: Synth. Commun. (SYNCAV) **25**, 2475 (1995).
c Inaba, T. et al.: J. Org. Chem. (JOCEAH) **63**, 7582-7583 (1998).

alternative synthesis of VI:

Inaba, T. et al.: J.Org. Chem. (JOCEAH) **65**, 1623-1628 (2000).

Formulation(s): oral powder 50 mg/g; tabl. 250 mg

Trade Name(s):

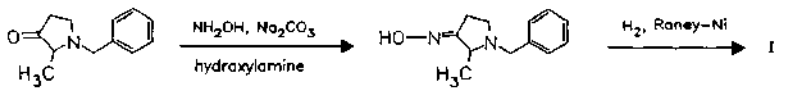
D: Viracept (Roche) GB: Viracept (Roche) USA: Viracept (Agouron)

Nemonapride

(Emonapride)

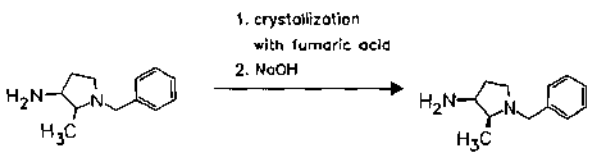
ATC: N05AH; N04B
Use: antipsychotic, selective D_2-antagonist

RN: 75272-39-8 MF: $C_{21}H_{26}ClN_3O_2$ MW: 387.91
LD_{50}: 24.5 mg/kg (M, i.v.); 604 mg/kg (M, p.o.); >320 mg/kg (M, s.c.); 17 mg/kg (R, i.v.); >367 mg/kg (R, p.o.); >320 mg/kg (R, s.c.) >200 mg/kg (dog, p.o.)
CN: *cis*-5-chloro-2-methoxy-4-(methylamino)-*N*-[2-methyl-1-(phenylmethyl)-3-pyrrolidinyl]benzamide



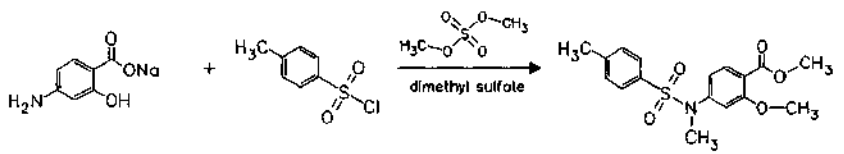
1-benzyl-2-methyl-3-pyrrolidinone

1-benzyl-3-hydroxyimino-2-methylpyrrolidine



3-amino-1-benzyl-2-methylpyrrolidine (I)

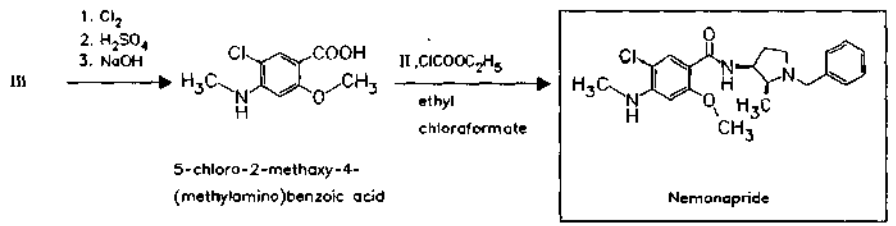
cis-3-amino-1-benzyl-2-methylpyrrolidine (II)



2-hydroxy-4-aminobenzoic acid sodium salt

tosyl chloride

methyl 2-methoxy-4-(N-methyl-N-tosylamino)benzoate (III)



5-chloro-2-methoxy-4-(methylamino)benzoic acid

Reference(s):
DE 2 855 853 (Yamanouchi; appl. 22.12.1978; J-prior. 1.7.1977).
US 4 210 660 (Yamanouchi; appl. 20.12.1978; J-prior. 1.7.1977).
Iwanami, S. et al.: J. Med. Chem. (JMCMAR) **24**, 1224 (1981).
JP 54 014 965 (Yamanouchi Pharm. Co. Ltd; J-prior. 1.7.1977, 30.6.1978).

Formulation(s): tabl. 3 mg, 10 mg

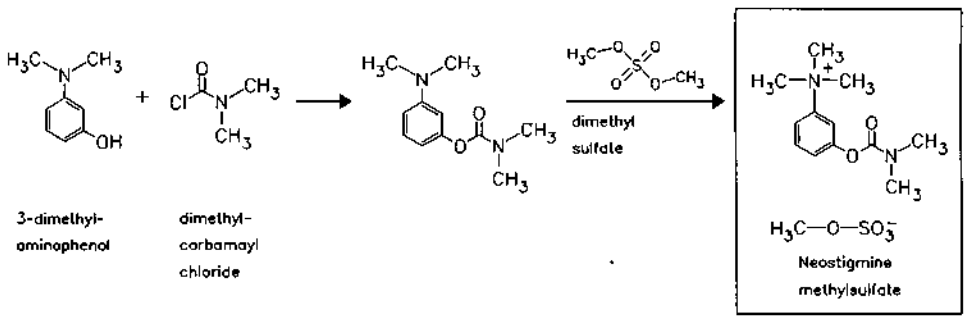
Trade Name(s):
J: Emirace (Yamanouchi; 1991)

Neostigmine methylsulfate

ATC: N07AA01; S01EB06
Use: parasympathomimetic, vagotonic, cholinesterase inhibitor

RN: 51-60-5 MF: $C_{12}H_{19}N_2O_2 \cdot CH_3O_4S$ MW: 334.39 EINECS: 200-109-5
LD_{50}: 160 µg/kg (M, i.v.); 7500 µg/kg (M, p.o.)
CN: 3-[[(dimethylamino)carbonyl]oxy]-*N,N,N*-trimethylbenzenaminium methyl sulfate

bromide
RN: 114-80-7 MF: $C_{12}H_{19}BrN_2O_2$ MW: 303.20 EINECS: 204-054-8



Reference(s):
US 1 905 990 (Roche; 1933; prior. 1931).

Formulation(s): amp. 0.5 mg/ml (as methyl sulfate); eye drops 30 mg/ml; ointment 10 mg/g; tabl. 15 mg (as bromide)

Trade Name(s):
D: Neostigmin-Stulln (Pharma Stulln)
Neostig-Reu (Reusch)
Syncarpin (Winzer)-comb.
F: Prostigmine (Roche)
GB: Prostigmin (Roche); wfm
Robinul Neostigmine (Anpharm)-comb.
I: Intrastigmina (Lusofarmaco)
Prostigmina (Roche)
J: Vagostigmin (Shionogi)
USA: Prostigmin (Roche); wfm generics; wfm

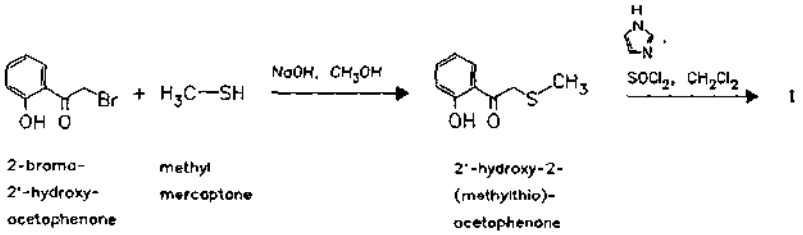
Neticonazole hydrochloride

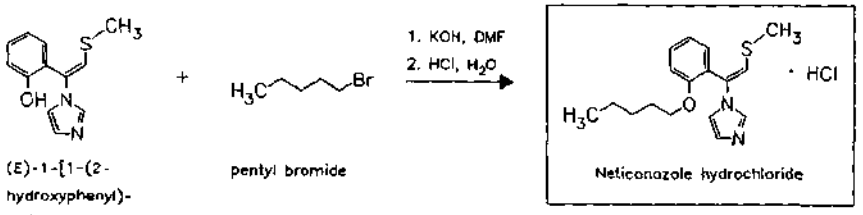
Use: antifungal

(SS-717)

RN: 130773-02-3 MF: $C_{17}H_{22}N_2OS \cdot HCl$ MW: 338.90
CN: (*E*)-1-[2-(Methylthio)-1-[2-(pentyloxy)phenyl]ethenyl]-1*H*-imidazole monohydrochloride

base
RN: 130726-68-0 MF: $C_{17}H_{22}N_2OS$ MW: 302.44
undefined isomer
RN: 111788-99-9 MF: $C_{17}H_{22}N_2OS$ MW: 302.44





Reference(s):
Ogawa, M. et al.: Chem. Pharm. Bull. (CPBTAL) **39** (9), 2301 (1991).
EP 227 011 (SS Pharm.; appl. 16.12.1986; J-prior. 23.12.1983).

Formulation(s): cream 1%, sol. 1% (as hydrochloride)

Trade Name(s):
J: Atolant (Green Cross; SS Pharm.)

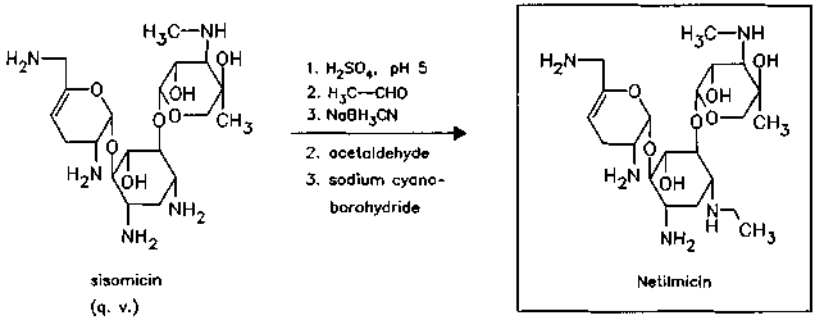
Netilmicin

ATC: J01GB07; S01AA23
Use: antibiotic

RN: 56391-56-1 MF: $C_{21}H_{41}N_5O_7$ MW: 475.59 EINECS: 260-146-8
LD_{50}: 40 mg/kg (M, i.v.);
25.2 mg/kg (R, i.v.)
CN: *O*-3-deoxy-4-*C*-methyl-3-(methylamino)-β-L-arabinopyranosyl-(1→6)-*O*-[2,6-diamino-2,3,4,6-tetradeoxy-α-D-*glycero*-hex-4-enopyranosyl-(1→4)]-2-deoxy-N^1-ethyl-D-streptamine

sulfate (2:5)
RN: 56391-57-2 MF: $C_{21}H_{41}N_5O_7 \cdot 5/2H_2SO_4$ MW: 1441.56 EINECS: 260-147-3
LD_{50}: 22 mg/kg (M, i.v.);
40.7 mg/kg (R, i.v.); >10 g/kg (R, p.o.)

(a)



(b) from 1-N-ethyl-α-deoxy-D-streptamin by fermentation with Micromonospora inyoensis 1550F-1G

Reference(s):
DOS 2 462 485 (Scherico; appl. 1.8.1974; USA-prior. 6.8.1973, 19.3.1974).
DOS 2 437 160 (Scherico; appl. 1.8.1974; USA-prior. 6.8.1973, 19.3.1974) - (also further methods).
US 4 002 742 (Scherico; 11.1.1977; prior. 19.3.1974).

Formulation(s): amp. 15 mg/1.5 ml, 50 mg /ml, 100 mg/ml, 150 mg/ml, 200 mg/2 ml (as sulfate)

Trade Name(s):

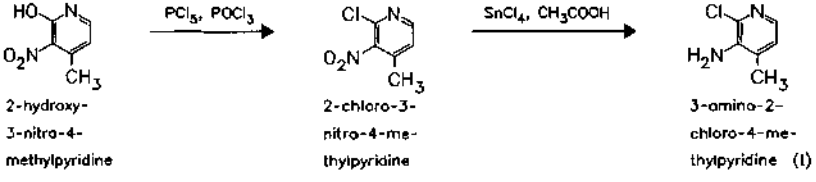
D:	Certomycin (Essex Pharma; 1980)	GB:	Netillin (Schering-Plough; 1981)	J:	Netilyn (Sankyo; 1985) Vectacin (Essex)
F:	Netromicine (Schering-Plough; 1983)	I:	Nettacin (Schering-Plough; 1982) Zetamicin (Menarini; 1982)	USA:	Netromycin (Schering; 1983)

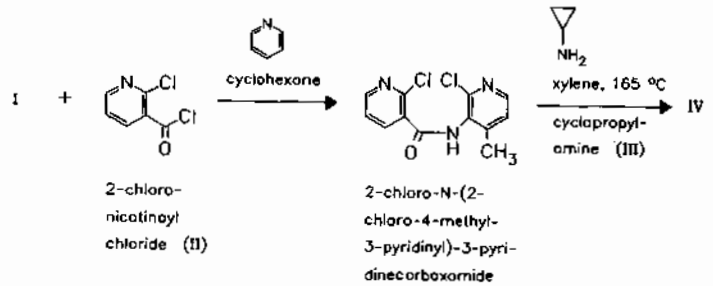
Nevirapine
(BI-RG-587)

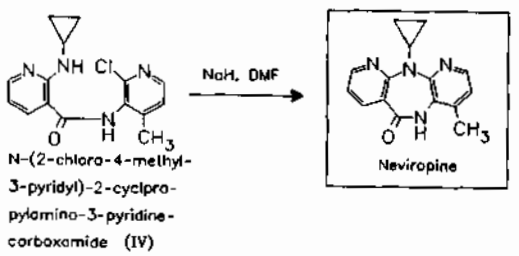
ATC: J05AG01
Use: antiviral, anti-AIDS therapeutic, reverse transcriptase inhibitor

RN: 129618-40-2 MF: $C_{15}H_{14}N_4O$ MW: 266.30
CN: 11-cyclopropyl-5,11-dihydro-4-methyl-6*H*-dipyrido[3,2-*b*:2',3'-*e*][1,4]diazepin-6-one

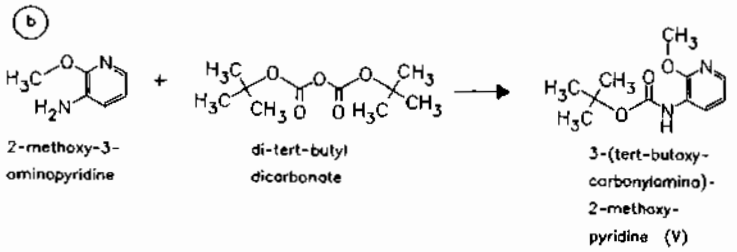
(a)

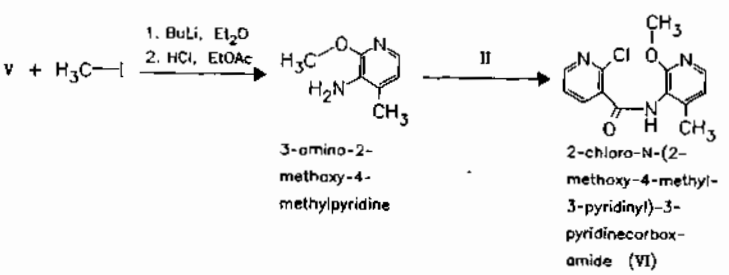


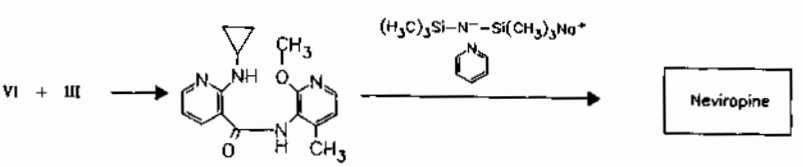

I
cyclohexane
xylene, 165 °C
cyclopropyl-
amine (III)
IV
2-chloro-
nicotinoyl
chloride (II)
2-chloro-N-(2-
chloro-4-methyl-
3-pyridinyl)-3-pyri-
dinecarboxamide


NaH, DMF
N-(2-chloro-4-methyl-
3-pyridyl)-2-cyclpro-
pylamino-3-pyridine-
carboxamide (IV)
Nevirapine

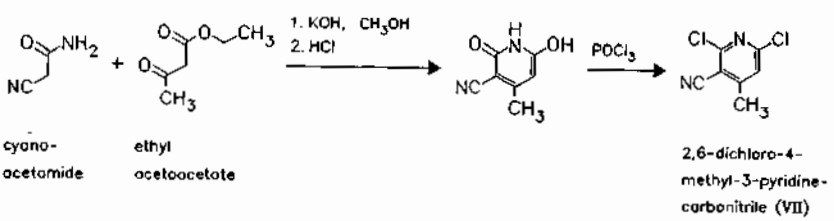
(b)

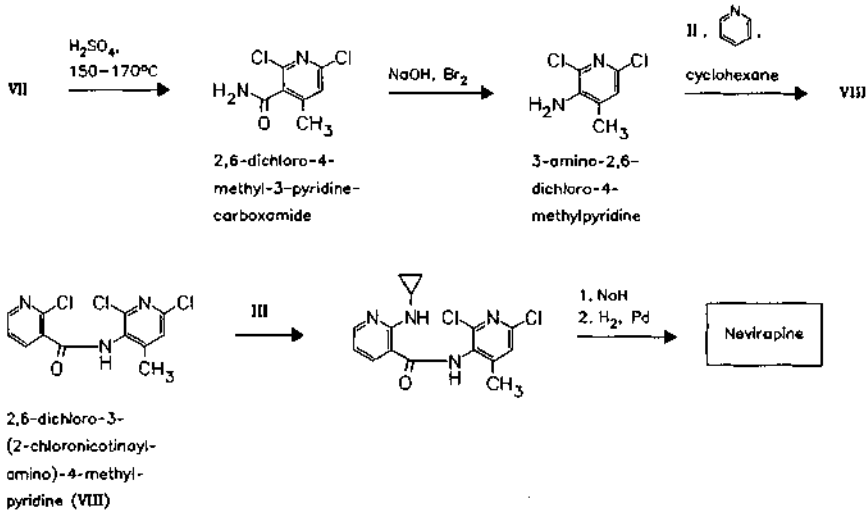

2-methoxy-3-
aminopyridine
di-tert-butyl
dicarbonate
3-(tert-butoxy-
carbonylamino)-
2-methoxy-
pyridine (V)


V
1. BuLi, Et2O
2. HCl, EtOAc
3-amino-2-
methoxy-4-
methylpyridine
II
2-chloro-N-(2-
methoxy-4-methyl-
3-pyridinyl)-3-
pyridinecarbox-
amide (VI)


VI + III
Nevirapine

(c)


1. KOH, CH3OH
2. HCl
POCl3
cyano-
acetamide
ethyl
acetoacetate
2,6-dichloro-4-
methyl-3-pyridine-
carbonitrile (VII)



Reference(s):
review:
Pedersen, O.S.; Pedersen, E.B.: Synthesis (SYNTBF) ,(4), 479 (2000).
a EP 429 987 (Boehringer Ing.; USA-prior. 19.10.1990).
b US 5 532 358 (Boehringer Ing.; 2.7.1996; USA-prior. 12.10.1994).
c EP 482 481 (Boehringer Ing.; appl. 16.10.1991; USA-prior. 19.10.1990).

process for IV avoiding excess of cyclopropylamine:
DE 4 403 311 (Boehringer Ing.; D-prior. 3.2.1994).

combination with HIV-protease inhibitors:
WO 9 600 068 (Merck & Co.; appl. 23.6.1995; USA-prior. 27.6.1994).

osmotic dosage forms:
US 5 358 721 (Alza Corp.; appl. 4.12.1992; USA-prior. 4.12.1994).

Formulation(s): tabl. 200 mg

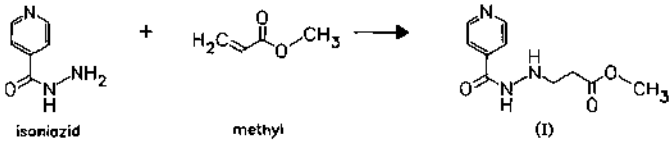
Trade Name(s):

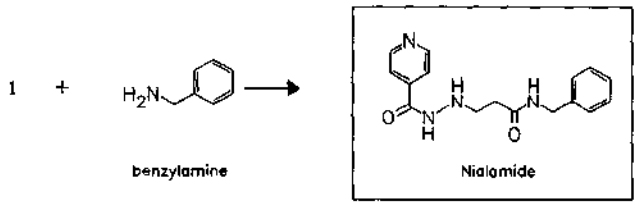
D:	Viramune (Boehringer Ingelh.; 1998)	GB:	Viramune (Boehringer Ingelh.; 1998)	USA:	Viramune (Roxane; 1998)

Nialamide

ATC: N06AF02
Use: psychoanaleptic, antidepressant

RN: 51-12-7 MF: $C_{16}H_{18}N_4O_2$ MW: 298.35 EINECS: 200-079-3
LD_{50}: 120 mg/kg (M, i.v.); 590 mg/kg (M, p.o.); 1700 mg/kg (R, p.o.)
CN: 4-pyridinecarboxylic acid 2-[3-oxo-3-[(phenylmethyl)amino]propyl]hydrazide





Reference(s):
US 2 894 972 (Pfizer; 14.7.1959; prior. 31.12.1958).
US 3 040 061 (Pfizer; 19.6.1962; prior. 27.4.1959, 1.6.1961).

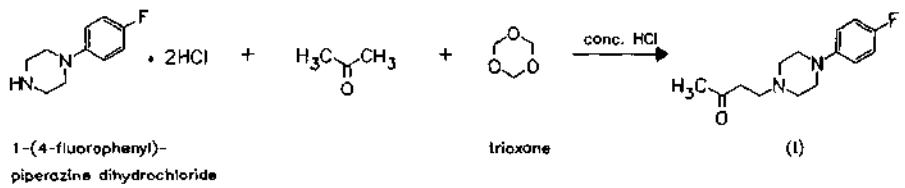
Formulation(s): cps. 25 mg, 100 mg

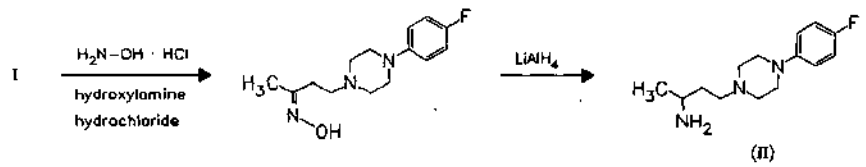
Trade Name(s):
F: Niamide (Pfizer); wfm GB: Niamid (Pfizer); wfm J: Niamid (Taito Pfizer)

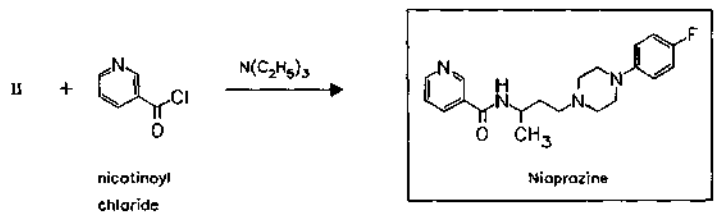
Niaprazine

ATC: N05CM16
Use: antiallergic, bronchodilator, sedative

RN: 27367-90-4 MF: $C_{20}H_{25}FN_4O$ MW: 356.45 EINECS: 248-431-5
LD_{50}: 145 mg/kg (M, i.v.); 890 mg/kg (M, p.o.)
CN: *N*-[3-[4-(4-fluorophenyl)-1-piperazinyl]-1-methylpropyl]-3-pyridinecarboxamide







Reference(s):
DOS 1 957 371 (Mauvernay; appl. 14.11.1969; F-prior. 14.11.1968).
US 3 712 893 (Mauvernay; 23.1.1973; F-prior. 14.11.1968).

Formulation(s): syrup 0.3 g/100 ml

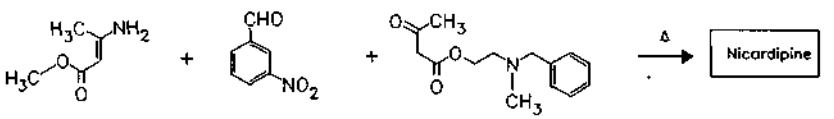
Trade Name(s):
F: Nopron (Synthélabo) I: Nopron (Sanofi Winthrop)

Nicardipine

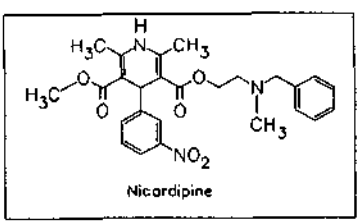
ATC: C08CA04
Use: calcium antagonist

RN: 55985-32-5 MF: $C_{26}H_{29}N_3O_6$ MW: 479.53 EINECS: 259-932-3
LD_{50}: 9.7 mg/kg (M, i.v.); 480 mg/kg (M, p.o.);
320 mg/kg (R, p.o.)
CN: 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid methyl 2-[methyl(phenylmethyl)amino]ethyl ester

monohydrochloride
RN: 54527-84-3 MF: $C_{26}H_{29}N_3O_6 \cdot HCl$ MW: 515.99 EINECS: 259-198-4
LD_{50}: 19.9 mg/kg (M, i.v.); 322 mg/kg (M, p.o.);
15.5 mg/kg (R, i.v.); 184 mg/kg (R, p.o.);
5 mg/kg (dog, i.v.)



methyl 3-amino-crotonate + 3-nitro-benzaldehyde + 2-(methylbenzylamino)-ethyl acetoacetate → Nicardipine



Reference(s):
US 3 985 758 (Yamanouchi; 12.10.1976; J-prior. 20.2.1973).
DOS 2 407 115 (Yamanouchi; appl. 15.2.1974; J-prior. 20.2.1973, 3.3.1973, 20.4.1973, 11.5.1973, 17.5.1973, 24.7.1973, 29.11.1973).
Iwanami, M. et al.: Chem. Pharm. Bull. (CPBTAL) **27**, 1426 (1979).
(alternative syntheses are described).

synthesis of enantiomers:
Shibanuma, T. et al.: Chem. Pharm. Bull. (CPBTAL) **28**, 2809 (1980).

Formulation(s): cps. 20 mg, 30 mg; s. r. cps. 30 mg, 45 mg, 60 mg (as hydrochloride)

Trade Name(s):
D: Antagonil (Novartis Pharma)
F: Loxen (Novartis; 1986)
GB: Cardene (Yamanouchi; 1986)
I: Bionicard (Bioindustria)
Cardioten (OFF)
Cardip (Francia Farm.)
Cardipinen (Farmaceutica Pr.)
Lisanirc (Lisapharma)
Neucor (CT)
Nicapress (Benedetti)
Nicardal (Italfarmaco)
Nicarpin (Sancarlo)
Nimicor (Formenti)
Niven (Pulitzer)
Perdipina (Novartis Farma)
Ranvil (Gentili)
Vasodin (Teofarma)
Vasonorm (NCSN)
J: Nicodel (Mitsui; 1981)
Perdipine (Yamanouchi; 1981)

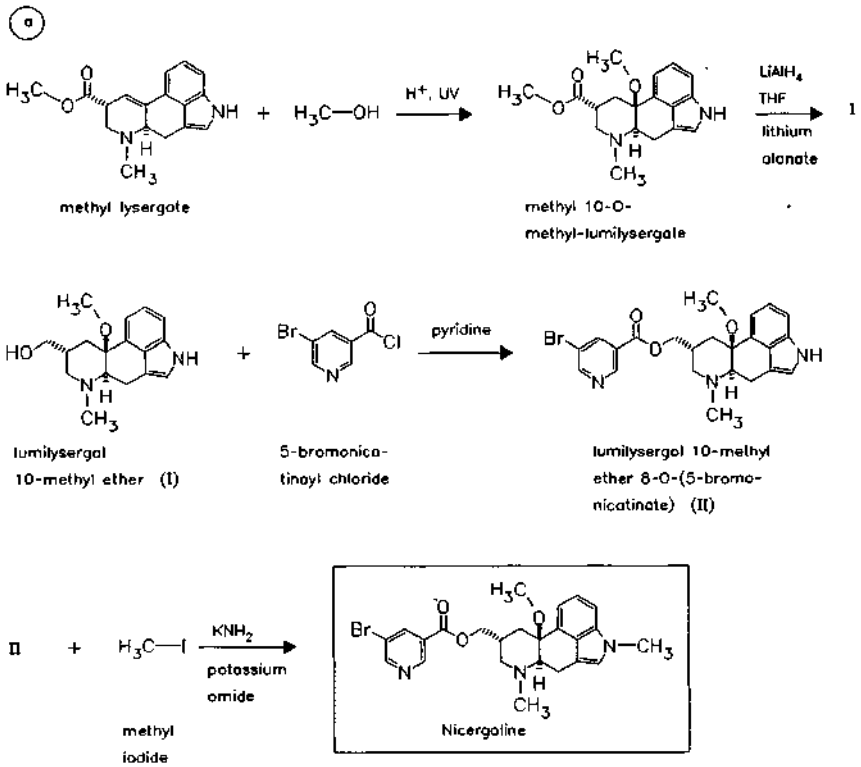
USA: Cardene (Roche; Wyeth-Ayerst)

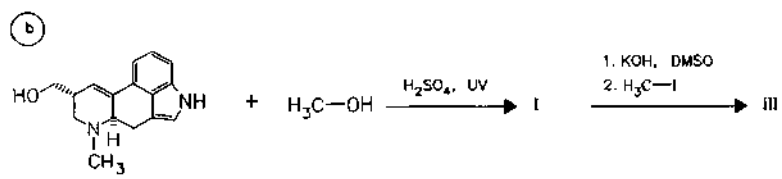
Nicergoline

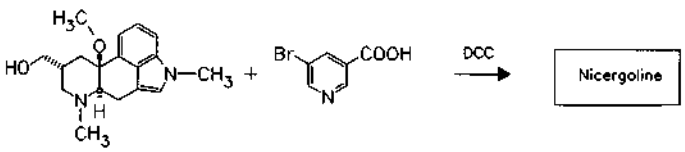
ATC: C04AE02
Use: vasodilator

RN: 27848-84-6 MF: $C_{24}H_{26}BrN_3O_3$ MW: 484.39 EINECS: 248-694-6
LD_{50}: 46 mg/kg (M, i.v.); 633 mg/kg (M, p.o.);
42 mg/kg (R, i.v.); 1193 mg/kg (R, p.o.);
20 mg/kg (dog, i.v.); 790 mg/kg (dog, p.o.)
CN: (8β)-10-methoxy-1,6-dimethylergoline-8-methanol 5-bromo-3-pyridinecarboxylate (ester)

tartrate
RN: 32222-75-6 MF: $C_{24}H_{26}BrN_3O_3 \cdot xC_4H_6O_6$ MW: unspecified EINECS: 250-964-3







1-methyl-10α-methoxylumilysergol (III)

5-bromonicotinic acid

Reference(s):

US 3 879 554 (Soc. Farmaceutici Italia; 22.4.1975; I-prior. 20.3.1970).

a US 3 228 943 (Soc. Farmaceutici Italia; 11.1.1966; I-prior. 11.6.1962).
DOS 2 022 926 (Soc. Farmaceutici Italia; appl. 11.5.1970; I-prior. 13.5.1969).
DOS 2 112 273 (Soc. Farmaceutici Italia; appl. 15.3.1971; I-prior. 20.3.1970).
Arcari, G. et al.: Experientia (EXPEAM) **28**, 819 (1972).
Bernardi, L.: Arzneim.-Forsch. (ARZNAD) **29** (II), 1204 (1979).
alternative method for esterification with 5-bromonicotinic acid *(imidazolide method):*
DOS 2 752 533 (LEK; appl. 24.11.1977; YU-prior. 22.12.1976).
GB 1 557 506 (LEK; appl. 6.12.1977; YU-prior. 22.12.1976).

b a) *isolation of* lysergol *from kaladana seeds:*
Abou-Chaar, C.I.; Digenis, G.A.: Nature (London) (NATUAS) **212**, 618 (1966).
DOS 2 240 266 (Simes; appl. 16.8.1972; B-prior. 17.8.1971, 14.1.1972).
DOS 2 834 703 (Simes; appl. 8.8.1978; CH-prior. 12.8.1977).

b b) *method:*
GB 2 018 245 (E. Corvi Mora; appl. 4.4.1979; I-prior. 5.4.1978).

Formulation(s): cps. 5 mg, 10 mg, 15 mg, 30 mg; f. c. tabl. 5 mg, 10 mg, 20 mg, 30 mg; drg. 5 mg, 10 mg; tabl. 10 mg, 20 mg; vial 4 mg/4 ml (as tartrate)

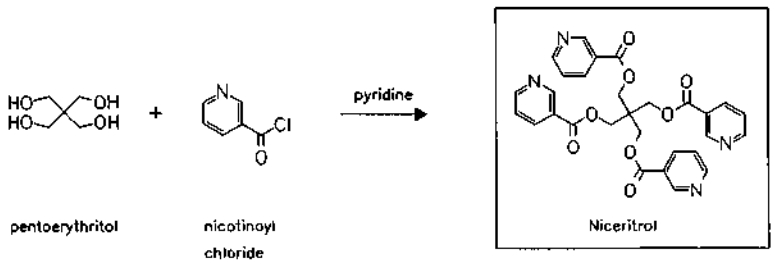
Trade Name(s):

D:	Circo-Maren (Krewel Meuselbach)	F:	Sermion (Specia; 1975)		Sermion (Pharmacia & Upjohn)
	ergobel (Hormosan)	I:	Cebran (Garant)	J:	Sermion (Farmitalia-Tanabe)
	Memoq (Parke Davis)		Ergolin (Boniscontro & Gazzone)		
	Nicerium (Neuro Hexal)		Nicer (Ist. Chim. Inter.)		
	Sermion (Pharmacia & Upjohn; 1978)		Sermidrina (Farmitalia)-comb.		

Niceritrol

ATC: C10AD01
Use: cholesterol depressant, antiarteriosclerotic

RN: 5868-05-3 MF: $C_{29}H_{24}N_4O_8$ MW: 556.53 EINECS: 227-519-7
CN: 3-pyridinecarboxylic acid 2,2-bis[[(3-pyridinylcarbonyl)oxy]methyl]-1,3-propanediyl ester



pentoerythritol

nicotinoyl chloride

Reference(s):
GB 1 022 880 (Bofors; appl. 18.11.1964; S-prior. 13.10.1964).

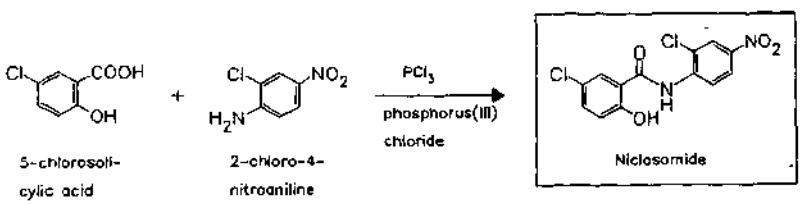
Formulation(s): tabl. 500 mg

Trade Name(s):
I: Perycit (Tosi); wfm J: Perycit (Sanwa Kagaku)

Niclosamide

ATC: P02DA01
Use: anthelmintic

RN: 50-65-7 MF: $C_{13}H_8Cl_2N_2O_4$ MW: 327.12 EINECS: 200-056-8
LD_{50}: 7500 µg/kg (M, i.v.); 1 g/kg (M, p.o.);
2500 mg/kg (R, p.o.)
CN: 5-chloro-*N*-(2-chloro-4-nitrophenyl)-2-hydroxybenzamide



Reference(s):
US 3 079 297 (Bayer; 26.2.1963; prior. 26.9.1956, 21.10.1959; 31.5.1960).

new formulations:
GB 1 527 638 (Bayer; appl. 14.12.1976; D-prior. 20.12.1975).

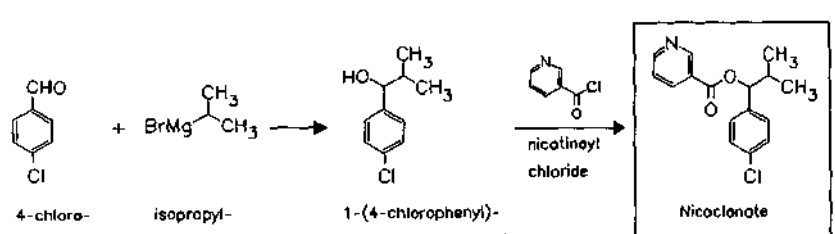
Formulation(s): tabl. 500 mg

Trade Name(s):
D: Yomesan (Bayer Vital) GB: Yomesan (Bayer) USA: Niclocide (Miles Pharm.);
F: Trédémine (Roger Bellon) I: Yomesan (Bayer Italia) wfm

Nicoclonate

ATC: C10AD
Use: antiarteriosclerotic, hyperlipidemic

RN: 10571-59-2 MF: $C_{16}H_{16}ClNO_2$ MW: 289.76 EINECS: 234-156-8
LD_{50}: 2.27 g/kg (M, i.p.)
CN: 3-pyridinecarboxylic acid 1-(4-chlorophenyl)-2-methylpropyl ester



Reference(s):
FR-M 3 454 (Établ. Kuhlmann; appl. 10.4.1964).
US 3 367 939 (Établ. Kuhlmann; 6.2.1968; F-prior. 10.4.1964).

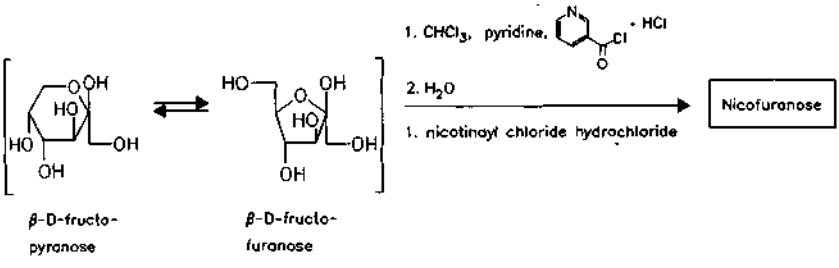
Formulation(s): cps. 250 mg

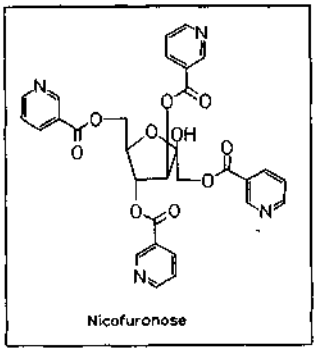
Trade Name(s):
F: Lipidium-Sedaph (Sedaph)-comb.; wfm
I: Lipidium (Rorer)

Nicofuranose
(Tetranicotinoylfructose)

ATC: C10AD03
Use: vasodilator

RN: 15351-13-0 MF: $C_{30}H_{24}N_4O_{10}$ MW: 600.54 EINECS: 239-385-7
CN: β-D-fructofuranose 1,3,4,6-tetra-3-pyridinecarboxylate





Reference(s):
CH 366 523 (Eprova; appl. 1958).

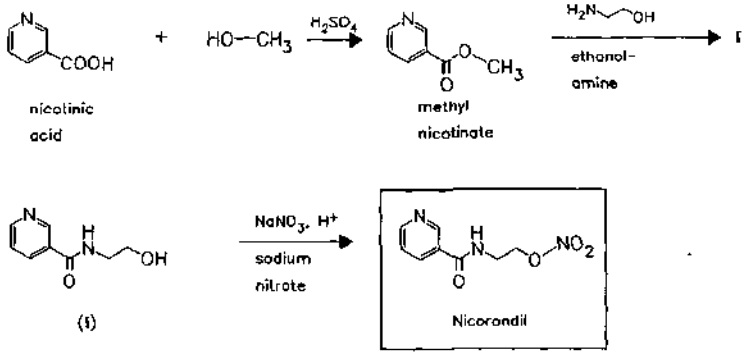
Formulation(s): drg. 250 mg

Trade Name(s):
D: Bradilan (Mundipharma); wfm
GB: Bradilan (Napp); wfm

Nicorandil

ATC: C01DX16
Use: coronary vasodilator

RN: 65141-46-0 MF: $C_8H_{10}N_2O_2$ MW: 166.18
LD_{50}: 626 mg/kg (M, p.o.);
502 mg/kg (R, i.v.); 1220 mg/kg (R, p.o.);
62.5 mg/kg (dog, p.o.)
CN: *N*-[2-(nitrooxy)ethyl]-3-pyridinecarboxamide



Reference(s):
Masayoshi, S.: Yakugaku Zasshi (YKKZAJ) **80**, 1706 (1960).
DE 2 714 713 (Chugai; prior. 1.4.1977).

medical use:
US 4 200 640 (Chugai; 29.4.1980; J-prior. 2.4.1976).
JP-appl. 58/85 819 (Chugai; appl. 17.11.1981).

transdermal application system:
JP-appl. 59/10 513 (Nitto; appl. 12.7.1982).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg, 20 mg

Trade Name(s):

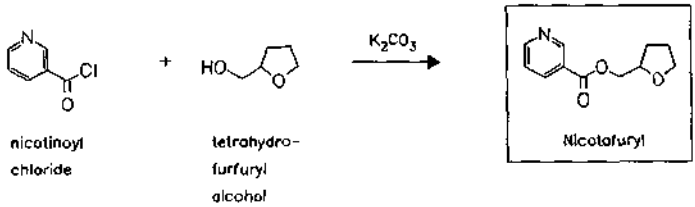
F:	Adancor (Lipha Santé) Ikorel (Bellon)	GB:	Ikorel (Rhône-Poulenc Rorer)	J:	Perisalol (Mitsubishi; 1984) Sigmart (Chugai; 1984)

Nicotafuryl

(Thurfyl nicotinate)

ATC: M01
Use: antirheumatic (extern), rubefacient

RN: 70-19-9 MF: $C_{11}H_{13}NO_3$ MW: 207.23 EINECS: 200-727-5
CN: 3-pyridinecarboxylic acid (tetrahydro-2-furanyl)methyl ester



Reference(s):
DE 839 036 (Ciba; appl. 1948; CH-prior. 1947).
US 2 485 152 (Ciba; 1949; CH-prior. 1947).

Formulation(s): tabl. 5 mg, 10 mg

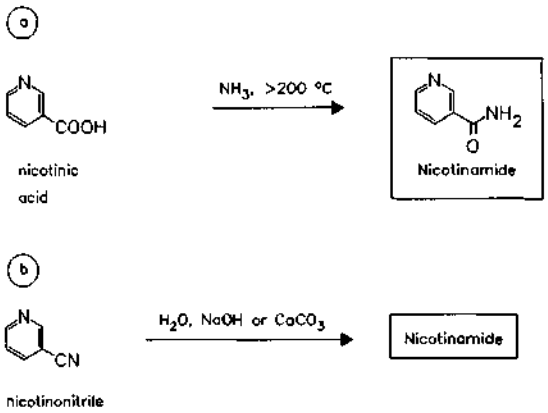
Trade Name(s):

F:	Trafuril (Ciba); wfm	I:	Balsamo Di Trasalen (Ciba)-comb.; wfm		Trafuril (Ciba); wfm

Nicotinamide
(Niacinamide)

ATC: A11HA01
Use: vitamin B_3, antipellagra agent

RN: 98-92-0 MF: $C_6H_6N_2O$ MW: 122.13 EINECS: 202-713-4
LD_{50}: 1.68 g/kg (R, s.c.)
CN: 3-pyridinecarboxamide



Reference(s):
a US 2 280 040 (SMA Corp.; 1942; appl. 1939).
US 2 314 843 (American Cyanamid; 1943; appl. 1941).
US 2 993 051 (Cowles Chem. Comp.; 18.7.1961; appl. 26.2.1958).
b US 2 904 552 (Distillers; 15.9.1959; appl. 21.7.1958).
DAS 2 539 435 (Showa Denko; appl. 4.9.1975; J-prior. 11.9.1974).

Formulation(s): amp. 100 mg; tabl. 200 mg

Trade Name(s):

D:	Nicobion (Merck) numerous combination preparations	I:	Eparolo (Bonomelli)-comb. Farmobion Pp (Farmochimica Ital.) Ietepar (Rottapharm)-comb. Nicospasmolo (Italfarmaco)-comb. Nicotinamide (Dynacren) Nicotinamide (IDI)		Vitabil composto (IBP)-comb. Vit. PP (Angelini) generic and polyvitaminous combination preparations
F:	Nicobion 500 (Astra) further combination preparations			J:	numerous generic and combination preparations
GB:	Papulex (Euroderma) generic and combination preparations			USA:	Mega-B (Arco)-comb.

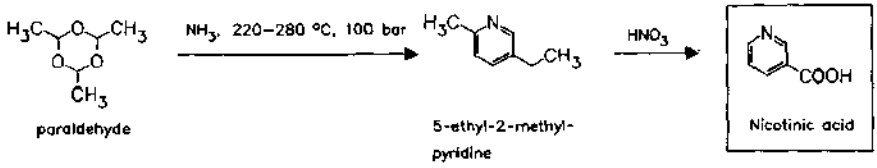
Nicotinic acid

(Acide nicotinique; Acidum nicotinicum; Niacin)

ATC: A11HA01
Use: vasodilator (peripheral), antipellagra effect, antihyperlipidemic

RN: 59-67-6 MF: $C_6H_5NO_2$ MW: 123.11 EINECS: 200-441-0
LD_{50}: 5 g/kg (M, i.v.); 3720 mg/kg (M, p.o.);
7 g/kg (R, p.o.)
CN: 3-pyridinecarboxylic acid

magnesium salt
RN: 7069-06-9 MF: $C_{12}H_8MgN_2O_4$ MW: 268.51 EINECS: 230-361-1
LD_{50}: 9 g/kg (M, p.o.)
sodium salt
RN: 54-86-4 MF: $C_6H_4NNaO_2$ MW: 145.09 EINECS: 200-215-1
LD_{50}: 2900 mg/kg (M, i.v.)



Reference(s):
DOS 2 046 556 (Lonza; appl. 22.9.1970; CH-prior. 24.9.1969).
US 2 905 688 (Abbott; 1959; appl. 1954).

continuous process:
DAS 2 256 508 (Nippon Soda; appl. 17.11.1972; J-prior. 17.11.1971).

5-ethyl-2-methylpyridine:
Nenz, A.; Pieroni, M.: Hydrocarbon Process. (HYPRAX) 47, (11), 139 (1968).

Formulation(s): s. r. tabl. 250 mg, 500 mg, 750 mg; tabl. 500 mg

Trade Name(s):

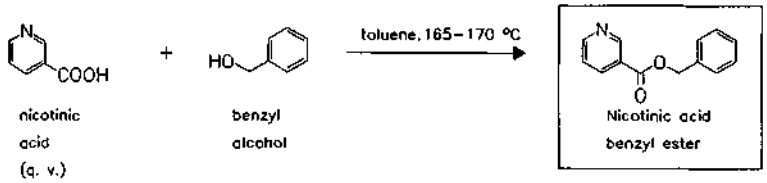
D: Antisklerosin (Medopharm)-comb.
Merz Spezial Dragees (Merz & Co.)-comb.
Niconacid (Wander); wfm
F: Algipan (Darcy)-comb.
Sedartryl (Oberlin)-comb.
numerous combination preparations
GB: Equivert (Pfizer)-comb.; wfm
Pernivit (Duncan, Flockhart)-comb.; wfm
Tonivitan (Medo)-comb.; wfm
I: Enzimina (Menarini); wfm
Enzycol (Ausonia); wfm
Otophon (SIT); wfm
J: generic
USA: Niacor (Upsher-Smith)
Nicolar (Rhône-Poulenc Rorer)

Nicotinic acid benzyl ester

(Benzyl nicotinate)

ATC: C05
Use: rubefacient (for external use)

RN: 94-44-0 MF: $C_{13}H_{11}NO_2$ MW: 213.24 EINECS: 202-332-3
LD_{50}: 100 mg/kg (M, i.v.); 2188 mg/kg (M, p.o.)
CN: 3-pyridinecarboxylic acid phenylmethyl ester



Reference(s):
GB 817 103 (Nordmark-Werke; appl. 1956; D-prior. 1955).

Formulation(s): gel 300 mg/100 g; ointment 40 mg/100 g

Trade Name(s):

D:	Lomazell (Lomapharm)-comb. Pernionin (Krewel Meuselbach)		Pykaryl (Rodleben) further combination preparations		Lumbalgine (RPR Cooper)
		F:	Bayoline (Bayer)-comb.		

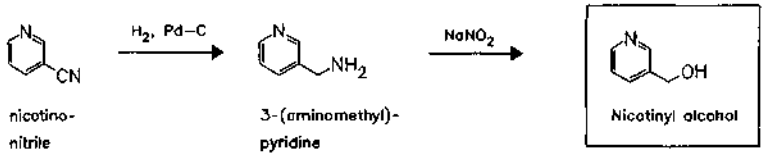
Nicotinyl alcohol

(Pyridylcarbinol; Pyridylmethanol)

ATC: C04AC02; C10AD05
Use: vasodilator (peripheral)

RN: 100-55-0 MF: C_6H_7NO MW: 109.13 EINECS: 202-864-6
LD_{50}: 1 g/kg (M, i.v.)
CN: 3-pyridinemethanol

tartrate (1:1)
RN: 6164-87-0 MF: $C_6H_7NO \cdot C_4H_6O_6$ MW: 259.21 EINECS: 228-199-1
LD_{50}: 1600 mg/kg (M, i.v.); 3300 mg/kg (M, p.o.);
1540 mg/kg (R, i.v.); 5790 mg/kg (R, p.o.)



Reference(s):
US 2 615 896 (Hoffmann-La Roche; 1952; prior. 1950).
US 2 547 048 (Hoffmann-La Roche; 1951; prior. 1946).

alternative syntheses:
US 2 509 171 (Ciba; 1950; CH-prior. 1946).
US 2 520 037 (Roche; 1950; GB-prior. 1947).

Formulation(s): amp. 100 mg/2 ml, 500 mg/10 ml; drg. 150 mg; tabl. 25 mg, 100 mg, 150 mg, 200 mg (as tartrate)

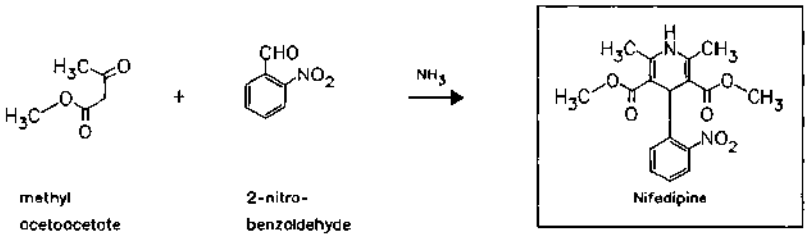
Trade Name(s):

D:	Radecol (ASTA Medica AWD)	GB:	Ronicol (Tillomed)	J:	Ronicol Timespan (Nippon Roche-Shionogi)
F:	Ronicol (Roche); wfm Ronicol-retard (Roche); wfm	I:	Ronicol retard (Roche); wfm Selcarbinol (Sella); wfm	USA:	Roniacol (Roche); wfm

Nifedipine

ATC: C08CA05
Use: coronary vasodilator, calcium antagonist

RN: 21829-25-4 MF: $C_{17}H_{18}N_2O_6$ MW: 346.34 EINECS: 244-598-3
CN: 1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-3,5-pyridinedicarboxylic acid dimethyl ester



Reference(s):
US 3 485 847 (Bayer; 23.12.1969; D-prior. 20.3.1967).
US 3 488 359 (Bayer; 13.4.1971; D-prior. 20.3.1967).
US 3 644 627 (Bayer; 22.2.1972; D-prior. 20.3.1967).
GB 1 173 862 (Bayer; appl. 20.3.1968; D-prior. 20.3.1967).

formulation for perlingual application:
US 3 784 684 (Bayer; 8.1.1974; D-prior. 24.8.1971, 29.2.1972).
DOS 2 209 526 (Bayer; appl. 29.2.1972).
DE 1 670 827 (Bayer; appl. 20.3.1967).

improved pharmaceutical formulation:
DOS 2 822 882 (Yamanouchi; appl. 26.5.1978; J-prior. 7.6.1977, 14.7.1977).

Formulation(s): cps. 5 mg, 10 mg, 20 mg; inf. sol. 5 mg/50 ml; s. r. tabl. 10 mg, 20 mg, 40 mg; sol. 1 mg/0.4 ml; syringe 0.2 mg; tabl. 10 mg, 20 mg, 30 mg, 60 mg

Trade Name(s):

D: Adalat (Bayer Vital; 1975)
Aprical (Rentschler)
Aprical long (Rentschler)-comb.
Cordicant (Mundipharma)
Corinfar (ASTA Medica AWD)
Corotrend (Kytta-Siegfried)
Dignokonstant (Sankyo)
Duranifin (durachemie)
Nifeclair (Hennig)
Nifedipat (Azuchemie)
numerous generics

F: Adalate (Bayer-Pharma; 1979)
Beta-Adalate (Bayer-Pharma)-comb.
Chronadalate (Bayer-Pharma)
Tenordate (Zeneca)

GB: Adalat (Bayer; 1977)
Beta-Adalat (Bayer)-comb.
numerous generics and combination preparations

I: Adalat (Bayer Italia; 1976)
Anifed (Farmac. Formenti)
Citilat (CT)
Coral (Drug Research)
Nifedicor (Monsanto)
Nifedin (Benedetti)

J: Adalat (Bayer; 1976)

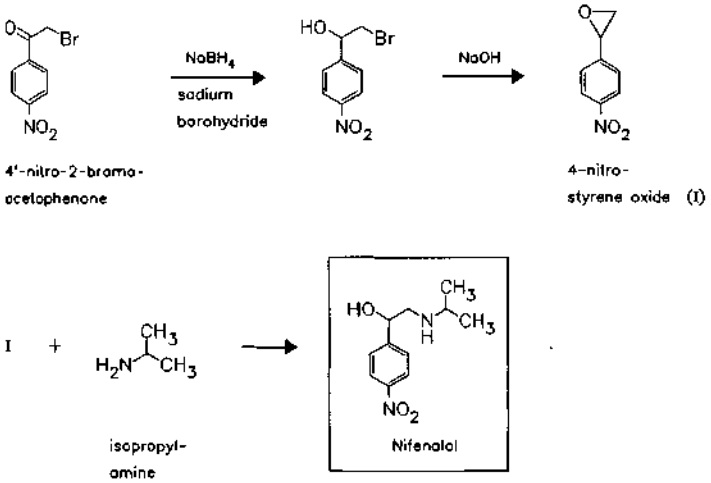
USA: Adalat (Bayer; 1986)
Procardia (Pfizer; 1982)
Procardia XL (Pfizer)
generic

Nifenalol
(INPEA)

ATC: C01B; C07A
Use: beta blocking agent, antianginal, antiarrhythmic

RN: 7413-36-7 MF: $C_{11}H_{16}N_2O_3$ MW: 224.26 EINECS: 231-023-6
CN: (±)-α-[[(1-methylethyl)amino]methyl]-4-nitrobenzenemethanol

monohydrochloride
RN: 5704-60-9 MF: $C_{11}H_{16}N_2O_3 \cdot HCl$ MW: 260.72 EINECS: 227-194-1
LD_{50}: 70 mg/kg (M, i.v.)



Reference(s):
GB 950 682 (Lab. Bioterapico Milanese; appl. 30.6.1961).

Formulation(s): cps. 100 mg (as hydrochloride) in comb.

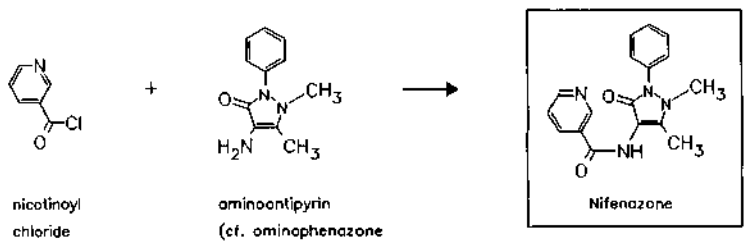
Trade Name(s):

D:	Beta-Intensain (Cassella-Riedel)-comb.; wfm	I:	Inpea (Selvi); wfm Inpea (Selvi/3M); wfm	Nifepam (Selvi/3M)-comb.; wfm

Nifenazone

ATC: N02BB05
Use: antirheumatic, analgesic, antipyretic

RN: 2139-47-1 MF: $C_{17}H_{16}N_4O_2$ MW: 308.34 EINECS: 218-387-1
LD_{50}: 7890 mg/kg (M, p.o.)
CN: *N*-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1*H*-pyrazol-4-yl)-3-pyridinecarboxamide



Reference(s):
DE 897 407 (Dr. W. Heid; appl. 1951).

method:
DE 1 046 058 (P. Stoltenberg Chem. Fabr.; appl. 8.2.1957).

Formulation(s): drg. 250 mg, ointment 5 %; suppos. 200 mg, 400 mg; tabl. 200 mg

Trade Name(s):

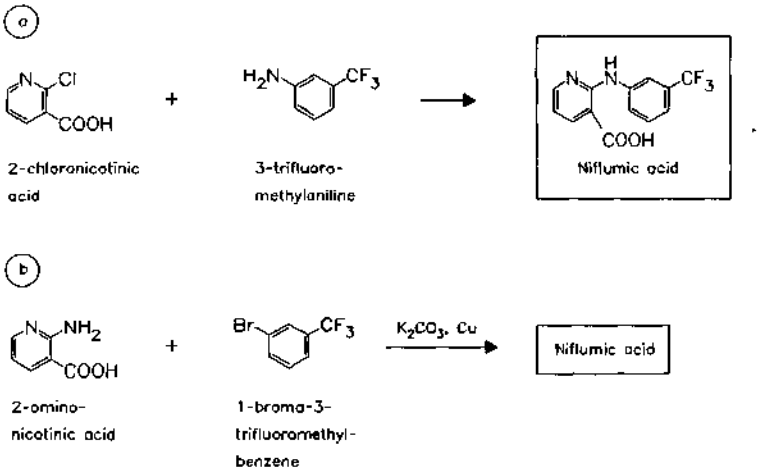
D:	Nicopyron (Trommsdorff); wfm	I:	Neopiran (Panthox & Burck)		Nicazolidin (Kissei)
F:	Pro-Dol (Meram)-comb.; wfm		Reumatosil (Saba)		Nicotinoyl (Nissin)
GB:	Thylin (Sinclair); wfm	J:	Bontoram (Sanwa)		Rhyumapirine N (Nichiiko)
			Chillos-N (Kotani)		Sausal (Tokyo Hosei)
			Niapyrine (Iwaki)		Seberin (Mohan)
					Tromrheuman (Maruko)

Niflumic acid

(Nifluril)

ATC: M01AX02; M02AA17
Use: anti-inflammatory, antirheumatic

RN: 4394-00-7 MF: $C_{13}H_9F_3N_2O_2$ MW: 282.22 EINECS: 224-516-2
LD_{50}: 152 mg/kg (M, i.v.); 350 mg/kg (M, p.o.); 250 mg/kg (R, p.o.)
CN: 2-[[3-(trifluoromethyl)phenyl]amino]-3-pyridinecarboxylic acid



Reference(s):

a DE 1 470 014 (Labs. U.P.S.A.; appl. 10.12.1964; GB-prior. 19.12.1963, 25.3.1964).
BE 657 266 (Labs. U.P.S.A.; Am. 19.12.1964; GB-prior. 19.12.1963; 25.3.1964).
US 3 415 834 (U.P.S.A.; 10.12.1968; GB-prior. 19.12.1963, 25.3.1964).

b US 3 337 570 (Schering Corp.; 22.8.1967; prior. 23.10.1965).

Formulation(s): cps. 250 mg

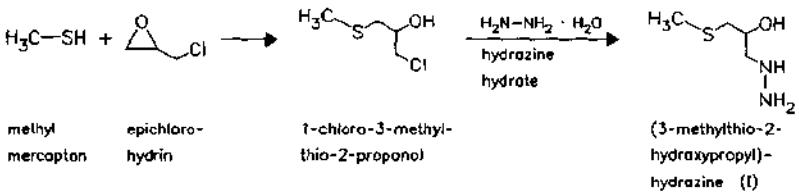
Trade Name(s):

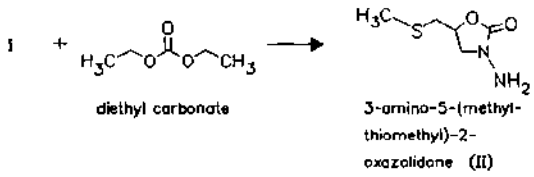
D:	Actol (Fournier Pharma)	Nifluril (UPSA)		I:	Niflam (Upsamedica)
F:	Flunir (Oberlin)	Nifluril gel gingival (UPSA)-comb.			
	Niflugel (UPSA)				

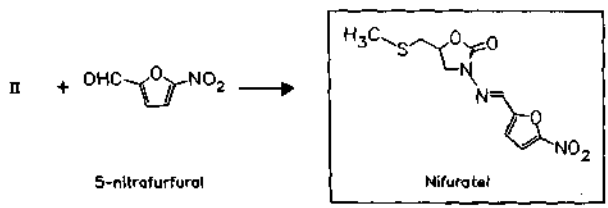
Nifuratel

ATC: G01AX05
Use: chemotherapeutic (trichomonas), antibacterial, antifungal, antiprotozoal

RN: 4936-47-4 MF: $C_{10}H_{11}N_3O_5S$ MW: 285.28 EINECS: 225-576-2
LD_{50}: >4.5 g/kg (M, p.o.)
CN: 5-[(methylthio)methyl]-3-[[(5-nitro-2-furanyl)methylene]amino]-2-oxazolidinone







Reference(s):
BE 635 608 (Polichimica SAP; appl. 30.7.1963; I-prior. 1.8.1962).
GB 969 126 (Polichimica; appl. 25.10.1962; I-prior. 1.8.1962).

Formulation(s): drg. 200 mg; ointment 100 mg/g; pessaries 250 mg

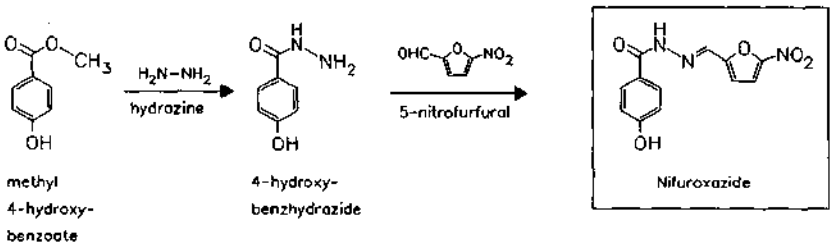
Trade Name(s):

D:	Inimur (Taurus Pharma)	GB:	Magmilor (Calmic); wfm	Macmiror Complex (Poli)-comb.
F:	Mycomnes (Fumouze)-comb.	I:	Emorril (Poli)-comb. Macmiror (Poli)	

Nifuroxazide

ATC: A07AX03
Use: chemotherapeutic, intestinal antiseptic

RN: 965-52-6 MF: $C_{12}H_9N_3O_5$ MW: 275.22 EINECS: 213-521-5
LD_{50}: 100 mg/kg (M, i.p.)
CN: 4-hydroxybenzoic acid [(5-nitro-2-furanyl)methylene]hydrazide



Reference(s):
FR I 327 840 (Lab. Robert et Carrière; appl. 10.4.1962).
FR-M 1 427 (Lab. Robert et Carrière; appl. 12.7.1961).

Formulation(s): cps. 200 mg; syrup 100 mg

Trade Name(s):

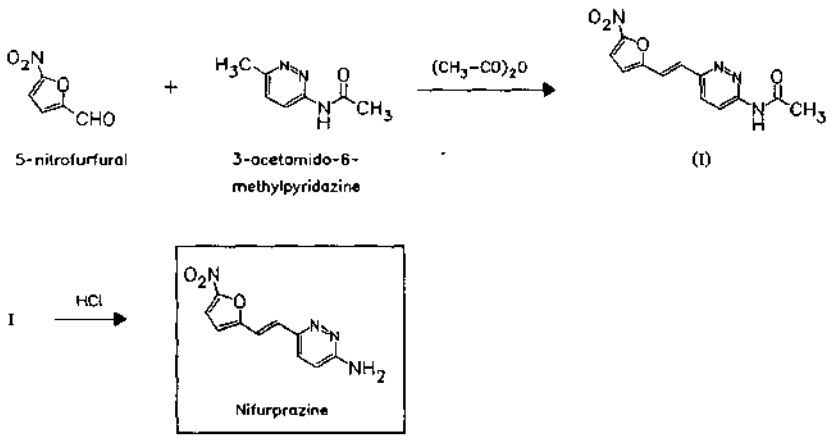
D:	Pentofuryl (Karlspharma); wfm	Antinal (Roques)	I:	Diarret (Geymonat)
F:	Ambatrol (SmithKline Beecham)	Ercéfuryl (Synthélabo)		Ercefuryl (Sanko Pharma)
		Lumifurex (Irex)		
		Panfurex (Bouchara)		

Nifurprazine

ATC: J01
Use: topical antibacterial

RN: 1614-20-6 MF: $C_{10}H_8N_4O_3$ MW: 232.20 EINECS: 216-563-2
CN: 6-[2-(5-nitro-2-furanyl)ethenyl]-3-pyridazinamine

monohydrochloride
RN: 50832-74-1 MF: $C_{10}H_8N_4O_3 \cdot HCl$ MW: 268.66



Reference(s):
DE I 273 535 (Boehringer Mannh.; appl. 28.3.1962).

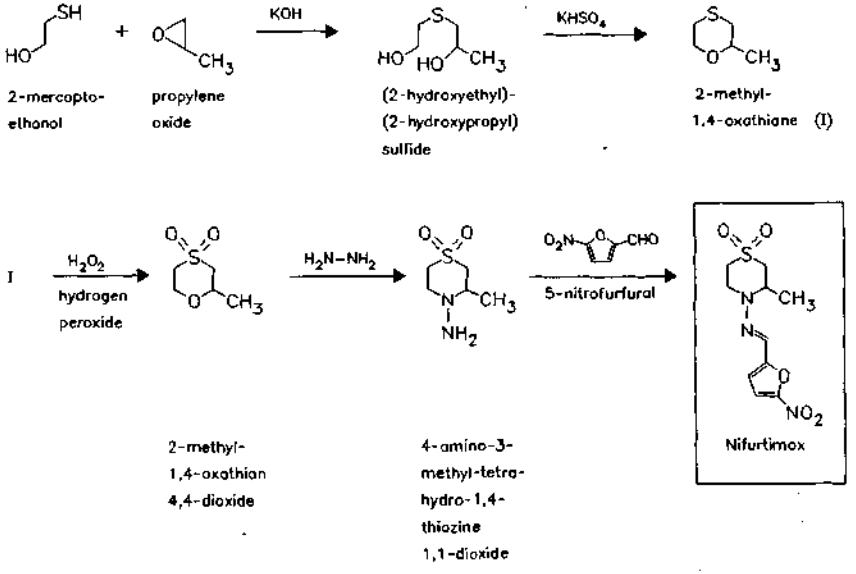
Formulation(s): ointment 0.1 % (as hydrochloride)

Trade Name(s):
D: Carofur (Boehringer Mannh.); wfm

Nifurtimox

ATC: P01CC01
Use: chemotherapeutic (trypanosomiasis), antiprotozoal

RN: 23256-30-6 MF: $C_{10}H_{13}N_3O_5S$ MW: 287.30 EINECS: 245-531-0
LD_{50}: 2291 mg/kg (M, p.o.);
4050 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 3-methyl-*N*-[(5-nitro-2-furanyl)methylene]-4-thiomorpholinamine 1,1-dioxide



Reference(s):
DE 1 170 957 (Bayer; appl. 23.11.1962).

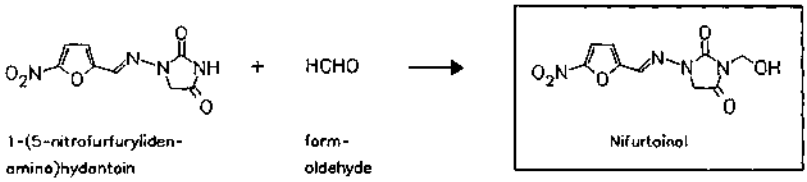
Formulation(s): tabl. 30 mg, 120 mg

Trade Name(s):
D: Lampit (Bayer); wfm

Nifurtoinol

ATC: G04AC02
Use: chemotherapeutic, antibacterial

RN: 1088-92-2 MF: $C_9H_8N_4O_6$ MW: 268.19 EINECS: 214-126-0
CN: 3-(hydroxymethyl)-1-[[(5-nitro-2-furanyl)methylene]amino]-2,4-imidazolidinedione



Reference(s):
GB 988 374 (Norwich; appl. 6.12.1961; USA-prior. 27.12.1960).

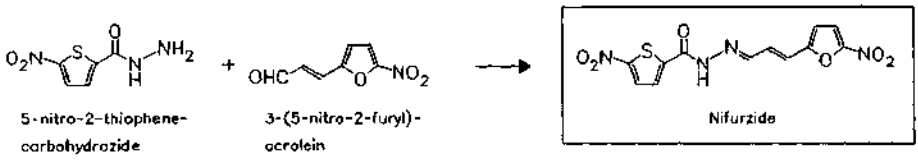
Formulation(s): tabl. 40 mg

Trade Name(s):
D: Urfadyne (Inpharzam); wfm
F: Urfadyn (Arsac); wfm
I: Fultrexin (Zambon Farm.)-comb.; wfm
Urfadyn (Zambon Farm.); wfm

Nifurzide

ATC: A07AX04
Use: chemotherapeutic, antiinfective

RN: 39978-42-2 MF: $C_{12}H_8N_4O_6S$ MW: 336.28 EINECS: 254-728-0
LD_{50}: 3200 mg/kg (M, p.o.)
CN: 5-nitro-2-thiophenecarboxylic acid [3-(5-nitro-2-furanyl)-2-propylidene]hydrazide



Reference(s):
DOS 2 200 375 (Lipha; appl. 5.1.1972; F-prior. 7.1.1971).
Szarvasi, E.; Fontaine, L.; Betbeder-Matibet, A.: J. Med. Chem. (JMCMAR) **16**, 281 (1973).

starting material:
Carrara, G.; Chiancone, F.M.; d'Amato, V.: Gazz. Chim. Ital. (GCITA9) **82**, 652 (1952).

Formulation(s): cps. 150 mg; susp. 40 mg/ml

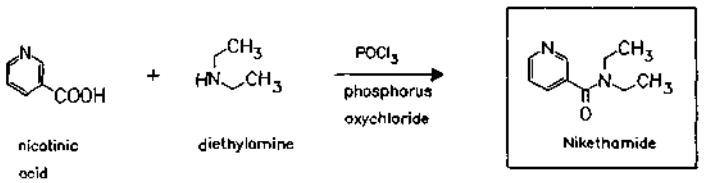
Trade Name(s):
F: Ricridène (Lipha Santé)
Ricridène (Lipha)

Nikethamide
(Nicethamide)

ATC: R07AB02
Use: respiratory analeptic

RN: 59-26-7 MF: $C_{10}H_{14}N_2O$ MW: 178.24 EINECS: 200-418-5
LD_{50}: 180 mg/kg (M, i.v.); 188 mg/kg (M, p.o.); 191 mg/kg (R, i.v.)
CN: *N,N*-diethyl-3-pyridinecarboxamide

calcium thiocyanate
RN: 179799-22-5 MF: $C_{22}H_{28}CaN_6O_2S_2$ MW: 512.72



Reference(s):
DRP 351 085 (Ciba; 1920).
DRP 441 707 (Ciba; 1924).

Formulation(s): drg. 50 mg in comb. (as calcium thiocyanate); powder 150 mg; sol. 250 mg/ml

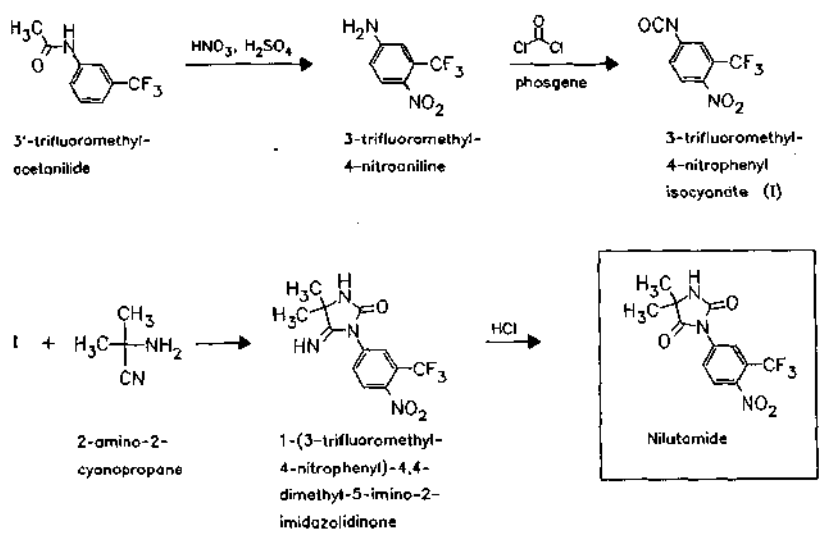
Trade Name(s):

D:	Felsol (Roland)-comb. Zellaforte Plus (Eurim Pharma)-comb.	GB:	Coramine (Ciba); wfm	J:	Coramin (Ciba-Geigy-Takeda)
		I:	Miocardina (Croce Bianca); wfm	USA:	Coramine (Ciba); wfm
F:	Coramine (Ciba); wfm				

Nilutamide
(RU-23908)

ATC: G03H; L02BB02
Use: non-steroidal antiandrogen (for treatment of prostatic carcinoma)

RN: 63612-50-0 MF: $C_{12}H_{10}F_3N_3O_4$ MW: 317.22
LD_{50}: 200 mg/kg (M, p.o.);
195 mg/kg (R, p.o.)
CN: 5,5-dimethyl-3-[4-nitro-3-(trifluoromethyl)phenyl]-2,4-imidazolidinedione



Reference(s):
DOS 2 649 925 (Roussel-Uclaf; appl. 29.10.1976; F-prior. 29.10.1975).
US 4 097 578 (Roussel-Uclaf; 28.6.1978; F-prior. 29.10.1975).

synthesis of 3-trifluoromethyl-4-nitrophenyl isocyanate:
Rouche, H.: Bull. Cl. Sci., Acad. R. Belg. (BCSAAF) **13**, 346 (1927).
JP 6 725 067 (Japan Bureau of Ind. Techn.; appl. 15.3.1966).

medical use for the treatment of hormone dependent cancer other than prostatic cancer:
WO 8 803 404 (Roussel-Uclaf; appl. 3.11.1987; I-prior. 4.11.1986).

Formulation(s): tabl. 50 mg

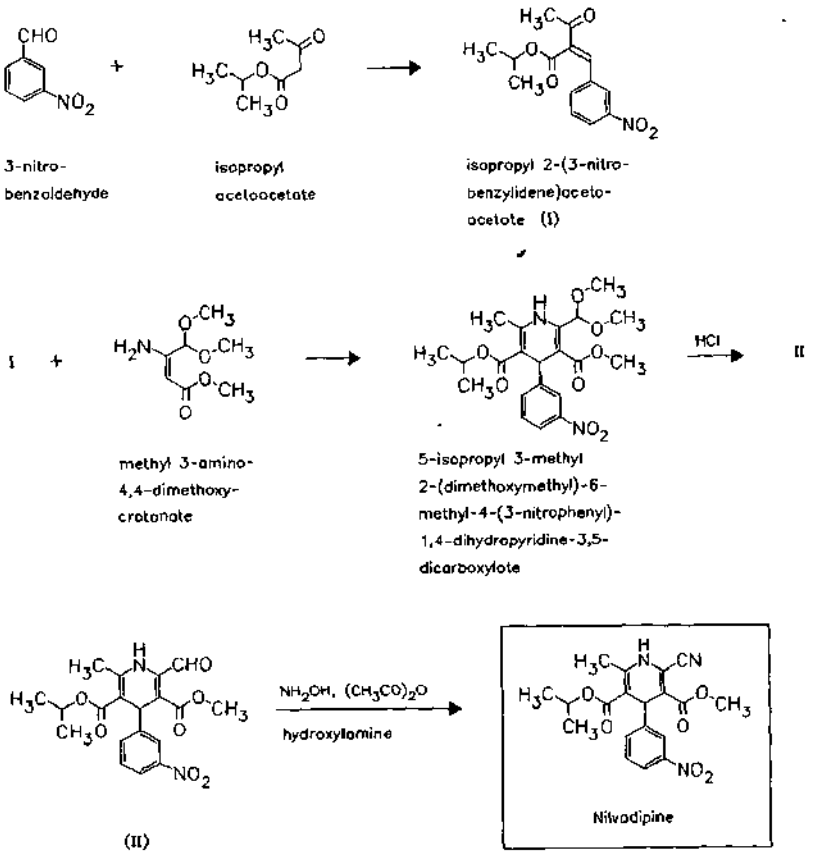
Trade Name(s):
F: Anandron (Cassenne) USA: Nilandron (Hoechst Marion Roussel)

Nilvadipine

(FR-34235; Niprodipine)

ATC: C08CA10
Use: calcium antagonist, antihypertensive, antianginal

RN: 75530-68-6 MF: $C_{19}H_{19}N_3O_6$ MW: 385.38
LD_{50}: 9150 µg/kg (M, i.v.); 1300 mg/kg (M, p.o.); 9650 µg/kg (R, i.v.); 1560 mg/kg (R, p.o.); 3850 µg/kg (dog, i.v.); 510 mg/kg (dog, p.o.)
CN: 2-cyano-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 3-methyl 5-(1-methylethyl) ester



Reference(s):
DE 2 940 833 (Fujisawa; appl. 9.10.1979; GB-prior. 10.10.1978).
US 4 338 322 (Fujisawa; 6.7.1982; GB-prior. 10.10.1978).
US 4 525 478 (Fujisawa; 25.6.1985; GB-prior. 10.10.1978).
Migamal, A. et al.: Chem. Pharm. Bull. (CPBTAL) **34**, 3071 (1986).

medical use for treatment of arteriosclerosis:
EP 185 283 (Fujisawa; appl. 7.12.1985; GB-prior. 10.12.1984).

medical use for treatment of cerebral dysfunction:
EP 253 173 (Fujisawa; appl. 26.6.1987; J-prior. 1.7.1986, 29.6.1987).

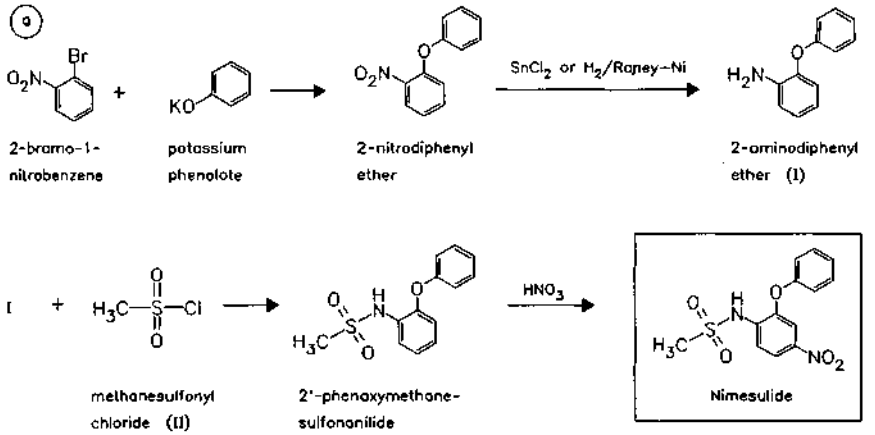
Formulation(s): s. r. cps. 8 mg, 16 mg

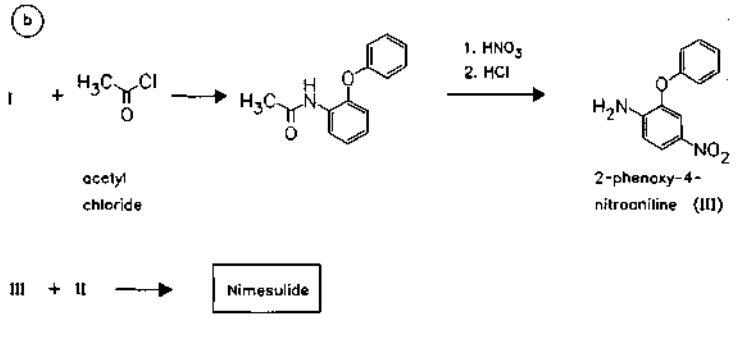
Trade Name(s):
D: Escor (Merck) Nivadil (Klinge) J: Nivadil (Fujisawa; 1989)

Nimesulide

ATC: M01AX17
Use: anti-inflammatory

RN: 51803-78-2 MF: $C_{13}H_{12}N_2O_5S$ MW: 308.31 EINECS: 257-431-4
LD_{50}: 392 mg/kg (M, p.o.);
200 mg/kg (R, p.o.)
CN: *N*-(4-nitro-2-phenoxyphenyl)methanesulfonamide





Reference(s):
US 3 840 597 (Riker; 8.10.1974; prior. 3.7.1972; 24.2.1971, 13.4.1970).
DOS 2 333 643 (Riker; appl. 2.7.1973; USA-prior. 3.7.1972).

synthesis of 2-phenoxy-4-nitroaniline:
DOS 2 842 186 (BASF; appl. 28.9.1978).
McCombie, H. et al.: J. Chem. Soc. (JCSOA9) **1931**, 529.

synthesis of 2-nitrodiphenyl ether:
Suter, C.M.: J. Am. Chem. Soc. (JACSAT) **51**, 2581 (1929).
Lock, G.: Monatsh. Chem. (MOCMB7) **55**, 167 (1930).

Formulation(s): gran. 100 mg; suppos. 200 mg; tabl. 100 mg, 200 mg

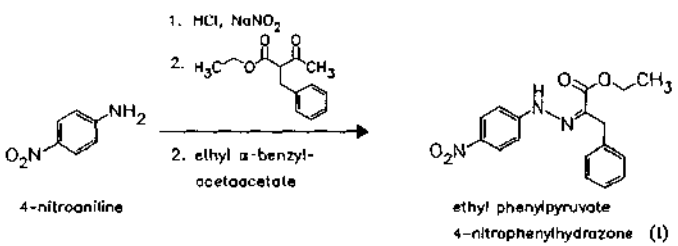
Trade Name(s):

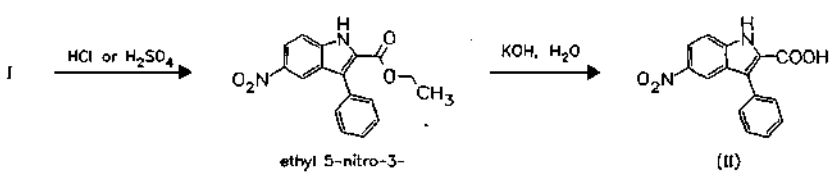
I: Algolide (Garant); Aulin (Boehringer Mannh.; 1985); Eudolene (Savio IBN); Fansidol (NCSN); Folid (CT); Laider (Esseti); Mesid (Janssen-Cilag); Mesulid (Novartis Farma; 1985); Nimesil (Lucofarmaco); Remov (Piam); generics

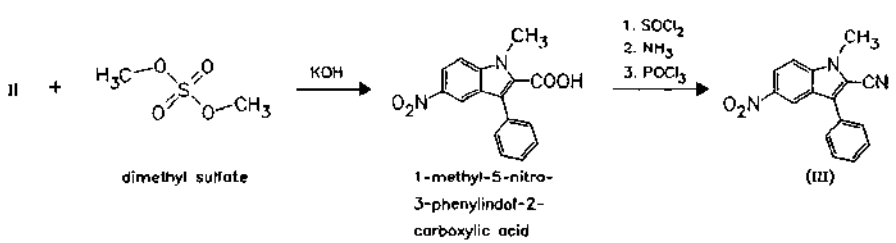
Nimetazepam

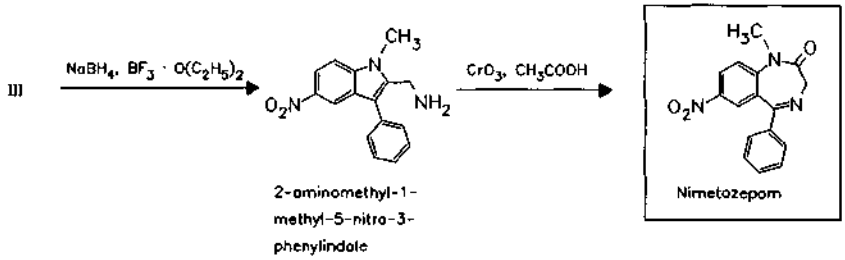
ATC: N05CD
Use: hypnotic, tranquilizer, anticonvulsant, skeletal muscle relaxant

RN: 2011-67-8 MF: $C_{16}H_{13}N_3O_3$ MW: 295.30 EINECS: 217-931-5
LD_{50}: 750 mg/kg (M, p.o.);
970 mg/kg (R, p.o.)
CN: 1,3-dihydro-1-methyl-7-nitro-5-phenyl-2*H*-1,4-benzodiazepin-2-one









Reference(s):
US 3 652 551 (Sumitomo; 28.3.1972; J-prior. 1.12.1967, 9.12.1967, 14.12.1967, 15.12.1967, 21.12.1967, 28.12.1967, 10.1.1968, 11.3.1968).
DOS 1 811 830 (Sumitomo; appl. 29.11.1968; J-prior. 1.12.1967, 9.12.1967, 14.12.1967, 15.12.1967, 21.12.1967, 28.12.1967, 10.1.1968, 11.3.1968).
DOS 1 816 046 (Sumitomo; appl. 20.12.1968; J-prior. 25.12.1967, 9.4.1968).
DOS 1 817 761 (Sumitomo; appl. 29.11.1968; J-prior. 1.12.1967, 9.12.1967, 14.12.1967, 15.12.1967, 21.12.1967, 28.12.1967, 10.1.1968, 11.3.1968).
DOS 1 817 794 (Sumitomo; appl. 20.12.1968; J-prior. 25.12.1967).
Ihizumi, K. et al.: J. Org. Chem. (JOCEAH) **37**, 4111 (1972).

indole precursor:
US 3 770 767 (Sumitomo; 6.11.1973; J-prior. 28.12.1967).

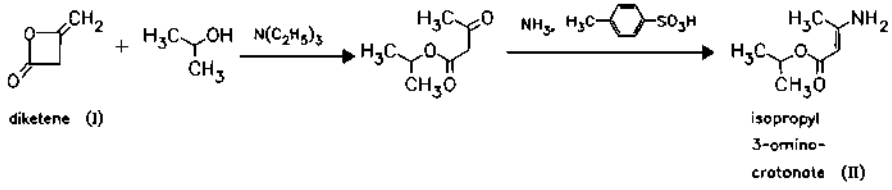
Formulation(s): tabl. 3 mg, 5 mg

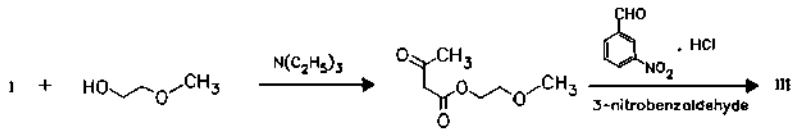
Trade Name(s):
J: Erimin (Sumitomo)

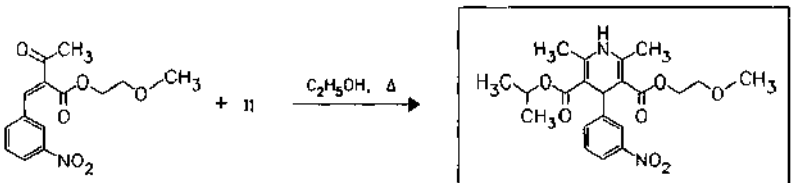
Nimodipine

ATC: C08CA06
Use: calcium antagonist, cerebral vasodilator

RN: 66085-59-4 MF: $C_{21}H_{26}N_2O_7$ MW: 418.45 EINECS: 266-127-0
LD_{50}: 26.2 mg/kg (M, i.v.); 940 mg/kg (M, p.o.);
5 mg/kg (R, i.v.); 2738 mg/kg (R, p.o.);
4 mg/kg (dog, i.v.); 1 g/kg (dog, p.o.)
CN: 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 2-methoxyethyl 1-methylethyl ester







2-methoxyethyl 2-(3-nitrobenzylidene)-acetoacetate (III)

Reference(s):
DOS 2 117 571 (Bayer; appl. 10.4.1971).
DE 2 117 573 (Bayer; prior. 10.4.1971).
US 3 799 934 (Bayer; 26.3.1974; D-prior. 10.4.1971).
US 3 932 645 (Bayer; 13.1.1976; D-prior. 10.4.1971).
Meyer, H. et al.: Arzneim.-Forsch. (ARZNAD) **31**, 407 (1981); **33**, 106 (1983).

Formulation(s): cps. 30 mg; f. c. tabl. 30 mg; vial 10 mg/50 ml

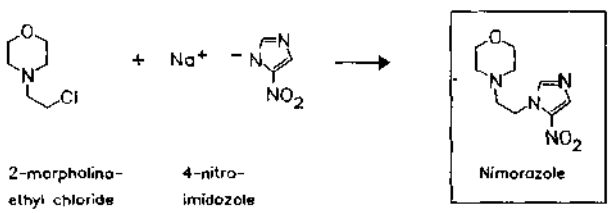
Trade Name(s):

D:	Nimotop (Bayer Vital; 1985)	GB:	Nimotop (Bayer; 1988)	USA:	Nimotop (Bayer)
F:	Nimotop (Bayer)	I:	Nimotop (Bayer Italia) Periplum (Italfarmaco)		

Nimorazole
(Nitrimidazine)

ATC: P01AB06
Use: chemotherapeutic (trichomonas), antiprotozoal

RN: 6506-37-2 MF: $C_9H_{14}N_4O_3$ MW: 226.24 EINECS: 229-394-4
LD_{50}: 1530 mg/kg (M, p.o.);
1540 mg/kg (R, p.o.)
CN: 4-[2-(5-nitro-1*H*-imidazol-1-yl)ethyl]morpholine



2-morpholinoethyl chloride

4-nitroimidazole sodium salt

Reference(s):
US 3 399 193 (Carlo Erba; 27.8.1968; prior. 4.8.1965).
US 3 458 528 (Merck & Co.; 29.7.1969; prior. 7.7.1965, 18.5.1966).
US 3 646 027 (Merck & Co.; 29.2.1972; prior. 7.7.1965, 18.5.1966).

Formulation(s): tabl. 500 mg

Trade Name(s):

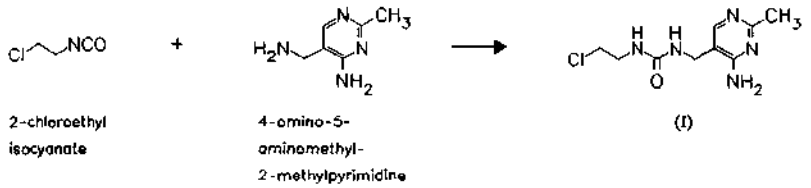
D:	Esclama (Pharmacia & Upjohn)	F:	Naxogyn (Pharmacia & Upjohn)	GB:	Naxogin (Carlo Erba); wfm Nulogyl (Bristol); wfm

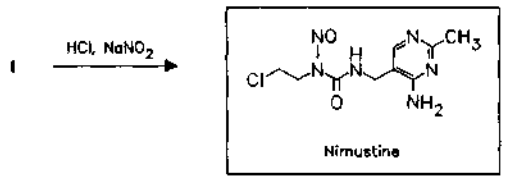
I: Naxogin (Erba) Sirledi (Cansyth) Sirledi (Inverni della Beffa)

Nimustine
(ACNU)

ATC: L01AD06
Use: antineoplastic

RN: 42471-28-3 MF: $C_9H_{13}ClN_6O_2$ MW: 272.70 EINECS: 255-838-1
CN: *N*-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-*N*-(2-chloroethyl)-*N*-nitrosourea





Reference(s):
DOS 2 257 360 (Sankyo; appl. 20.11.1972; J-prior. 20.11.1971, 4.12.1971, 26.7.1972).
US 4 003 901 (Sankyo; 18.1.1977; J-prior. 20.11.1971, 4.12.1971, 26.7.1972).

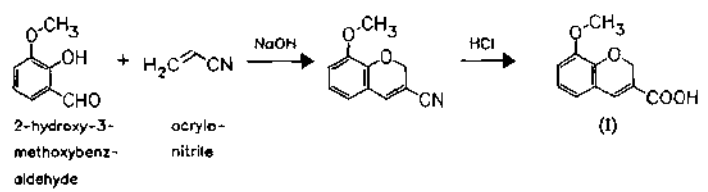
Formulation(s): vial 25 mg, 50 mg

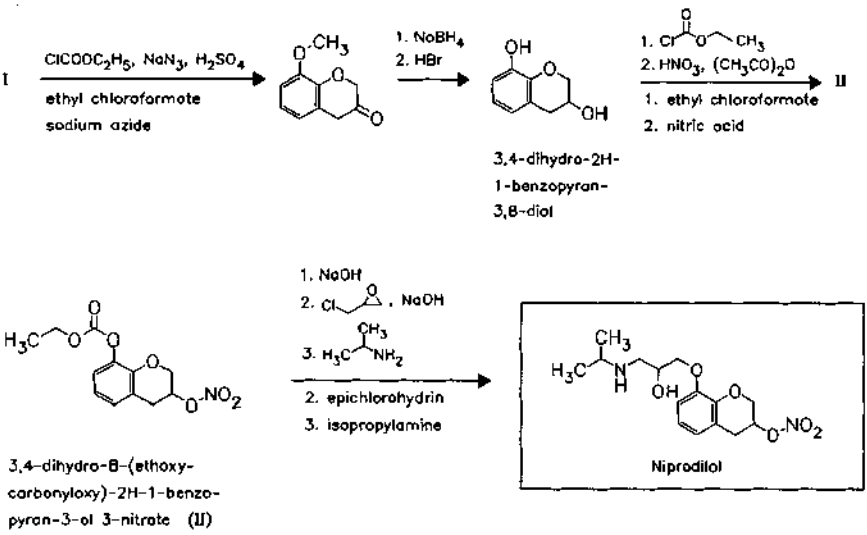
Trade Name(s):
D: ACNU 50 (ASTA Medica AWD)
J: Nidran (Sankyo)

Nipradilol
(Nipradolol)

ATC: C07A; C04A
Use: β-antagonist with vasodilating activity, antihypertensive, antianginal

RN: 81486-22-8 MF: $C_{15}H_{22}N_2O_6$ MW: 326.35
LD_{50}: 68 mg/kg (M, i.v.); 461 mg/kg (M, p.o.);
144 mg/kg (R, i.p.); 78 mg/kg (R, i.v.); 1040 mg/kg (R, p.o.); 850 mg/kg (R, s.c.);
20 mg/kg (dog, i.v.); >400 mg/kg (dog, p.o.)
CN: 3,4-dihydro-8-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]-2*H*-1-benzopyran-3-ol 3-nitrate





Reference(s):
EP 42 299 (Kowa; appl. 16.6.1981; J-prior. 25.12.1980, 17.6.1980).
US 4 394 382 (Kowa; 19.7.1983; appl. 9.6.1981; J-prior. 25.12.1980, 17.6.1980).
Shiratsuchi, M. et al.: Chem. Pharm. Bull. (CPBTAL) **35**, 632 (1987).

separation of diastereomeric racemates:
EP 154 511 (Kowa; appl. 27.2.1985; J-prior. 29.2.1984).
US 4 727 085 (Kowa; 23.2.1988; appl. 28.8.1985; J-prior. 29.2.1984).

synthesis of enantiomers:
Shiratsuchi, M. et al.: Chem. Pharm. Bull. (CPBTAL), **33**, 2735 (1985); **35**, 3691 (1987).

synthesis of 3,4-dihydro-2*H*-1-benzopyran-3,8-diol:
JP 59 029 681 (Kowa; appl. 12.8.1982).
Kawamura, K. et al.: Chem. Pharm. Bull. (CPBTAL) **38**, 2088 (1990).

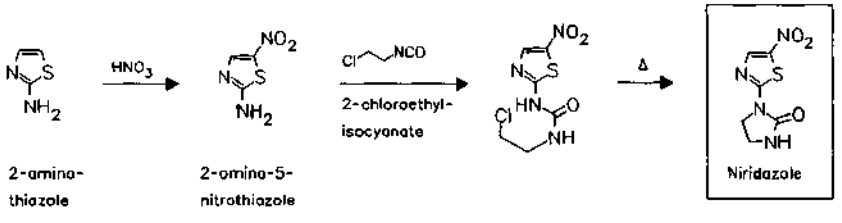
Formulation(s): tabl. 3 mg, 6 mg

Trade Name(s):
J: Hypadil (Kowa; 1988)

Niridazole

ATC: P02BX02
Use: chemotherapeutic (antischistosomal)

RN: 61-57-4 MF: $C_6H_6N_4O_3S$ MW: 214.21 EINECS: 200-512-6
LD_{50}: 2500 mg/kg (M, p.o.);
900 mg/kg (R, p.o.)
CN: 1-(5-nitro-2-thiazolyl)-2-imidazolidinone



Reference(s):
Lambert, C.R. et al.: Experientia (EXPEAM) **20**, 452 (1964).
GB 986 562 (Ciba; appl. 22.5.1963; CH-prior. 30.5.1962, 23.4.1963).

alternative syntheses:
DAS 2 033 611 (Egyt; appl. 7.7.1970; H-prior. 7.7.1969).
DAS 2 117 050 (Egyt; appl. 7.4.1971; H-prior. 16.4.1970).

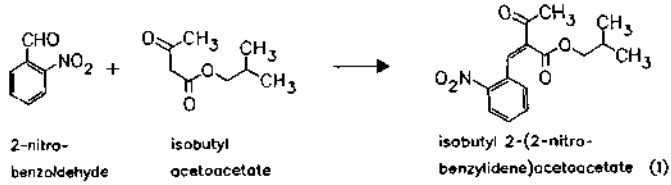
Formulation(s): tabl. 100 mg, 500 mg

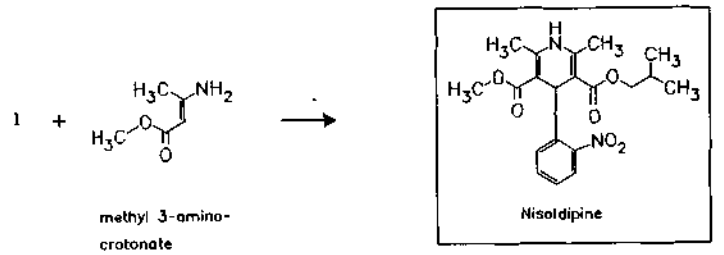
Trade Name(s):
F: Ambilhar (Ciba); wfm

Nisoldipine
(Bay-K 5552)

ATC: C02DE; C08CA07
Use: calcium antagonist, antihypertensive, antianginal

RN: 63675-72-9 MF: $C_{20}H_{24}N_2O_6$ MW: 388.42 EINECS: 264-407-7
LD_{50}: 360 µg/kg (M, i.v.); 411 mg/kg (M, p.o.); 384 mg/kg (M, s.c.); 1120 µg/kg (R, i.v.); 1257 mg/kg (R, p.o.); 654 mg/kg (R, s.c.); 2 mg/kg (dog, i.v.); 400 mg/kg (dog, p.o.)
CN: 1,4-dihydro-2,6-dimethyl-4-(2-nitrophenyl)-3,5-pyridinedicarboxylic acid methyl 2-methylpropyl ester





Reference(s):
DOS 2 549 568 (Bayer; appl. 5.11.1975).
US 4 154 839 (Bayer; 15.5.1979; appl. 8.5.1978; D-prior. 5.11.1975).

additional synthesis:
ES 539 113 (Ind. y Comercial Quimica; appl. 27.12.1984).
ES 549 302 (Mora Ruedas; appl. 26.11.1985).
ES 546 423 (Inke; appl. 31.7.1985).
ES 546 784 (Sune Coma; appl. 9.9.1985).
CS 243 591 (P. Cupka et al.; appl. 25.1.1985).

medical use for treatment of alcoholism:
DOS 3 806 277 (Tropon; appl. 27.2.1988).

medical use for inhibition of opioid tolerance:
JP 61 260 025 (Miles; appl. 12.5.1986; USA-prior. 13.5.1985).

medical use as saluretic:
DOS 3 212 736 (Bayer; appl. 6.4.1982).

medical use as antiarteriosclerotic:
DOS 3 222 367 (Bayer; appl. 15.6.1982).

Formulation(s): f. c. tabl. 5 mg, 10 mg, 20 mg, 30 mg, 40 mg

Trade Name(s):

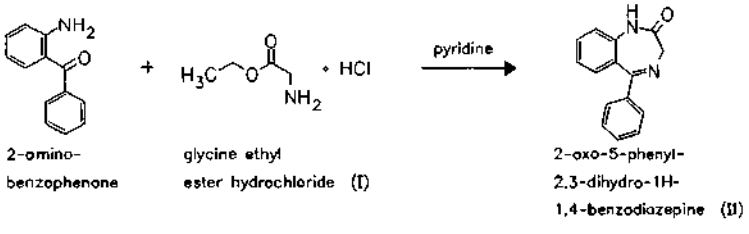
D:	Baymycard (Bayer Vital/ Zeneca; 1990)	I:	Syscor (Bayer Italia) Zadipina (SmithKline Beecham)	J:	Baymycard (Bayer; 1990)
GB:	Syscor MR (Bayer)			USA:	Sular (Zeneca)

Nitrazepam

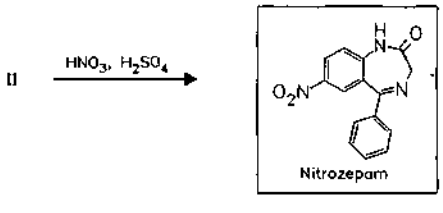
ATC: N05CD02
Use: hypnotic, anticonvulsant

RN: 146-22-5 MF: $C_{15}H_{11}N_3O_3$ MW: 281.27 EINECS: 205-665-2
LD_{50}: 130 mg/kg (M, i.v.); 550 mg/kg (M, p.o.); 825 mg/kg (R, p.o.)
CN: 1,3-dihydro-7-nitro-5-phenyl-2*H*-1,4-benzodiazepin-2-one

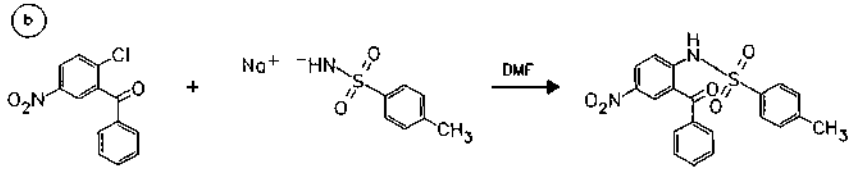
a



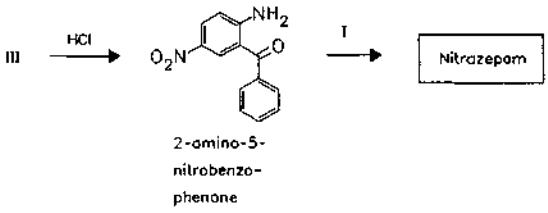
2-amino-benzophenone | glycine ethyl ester hydrochloride (I) | 2-oxo-5-phenyl-2,3-dihydro-1H-1,4-benzodiazepine (II)



b



2-chloro-5-nitrobenzophenone | p-toluene-sulfamide sodium salt | (III)



Reference(s):
US 3 109 843 (Hoffmann-La Roche; 5.11.1963; appl. 21.6.1962; prior. 28.7.1961, 4.12.1961).
US 3 116 203 (Hoffman-La Roche; 31.12.1963; prior. 14.3.1962).
US 3 123 529 (Hoffman-La Roche; 3.3.1964; prior. 9.3.1962).
DE 1 136 709 (Hoffman-La Roche; appl. 7.12.1960; USA-prior. 10.12.1959, 27.6.1960).
DE 1 145 626 (Hoffman-La Roche; appl. 7.12.1960; USA-prior. 10.12.1959, 15.1.1960, 26.4.1960, 27.6.1960).
Sternbach, L.H. et al.: J. Med. Chem. (JMCMAR) **6**, 261 (1963).
DAS 1 811 785 (Delmar Chemicals; appl. 29.11.1968; CDN-prior. 29.11.1967).

condensation of 2-aminobenzophenone *with* glycine *and* $POCl_3$/nitrobenzene *and following nitration with* KNO_3/H_2SO_4:
DOS 2 252 378 (Roche; appl. 25.10.1972; CH-prior. 18.11.1971).

Formulation(s): drops 0.5 g/100 g; tabl. 5 mg, 10 mg

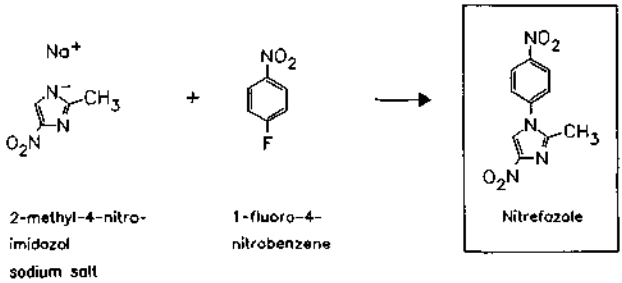
Trade Name(s):

D:	Dormalon (Pharma Wernigerode)		Novanox/-forte (Pfleger)		generics
			Radedorm (ASTA Medica AWD)	I:	Mogadon (Roche)
	Dormo-Puren (Isis Puren)			J:	Benzalin (Shionogi)
	Eatan N (Desitin)	F:	Mogadon (Roche)		Nelbon (Sankyo)
	Imeson (Desitin)		Rohypnol (Roche)	USA:	Mogadon (Roche); wfm
	Mogadan Roche (Roche)	GB:	Mogadon (Roche)		

Nitrefazole

ATC: V03AA
Use: alcohol deterrent

RN: 21721-92-6 MF: $C_{10}H_8N_4O_4$ MW: 248.20 EINECS: 244-542-8
LD_{50}: 5.501 g/kg (M, p.o.);
4.813 g/kg (R, p.o.);
>6.4 g/kg (dog, p.o.)
CN: 2-methyl-4-nitro-1-(4-nitrophenyl)-1*H*-imidazole



Reference(s):
DE 1 620 043 (Merck AG; appl. 15.10.1966).
DOS 2 145 651 (Merck AG; appl. 13.9.1971).

medical use:
DOS 2 645 709 (Merck AG; appl. 9.10.1976).

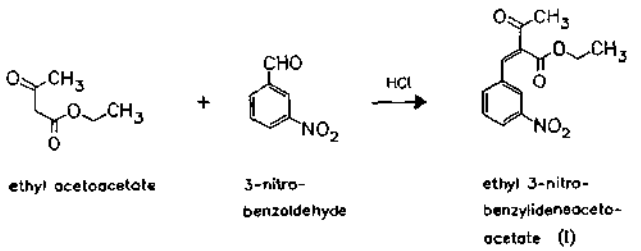
Formulation(s): cps. 0.2 g

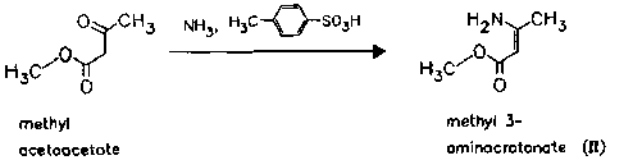
Trade Name(s):
D: Altimol (Merck); wfm

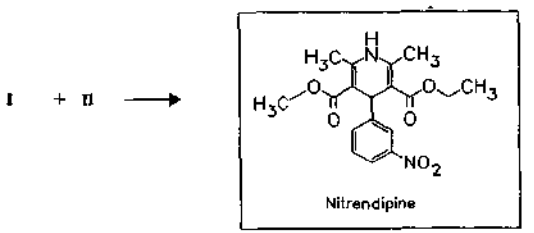
Nitrendipine

ATC: C08CA08
Use: calcium antagonist, antihypertensive

RN: 39562-70-4 MF: $C_{18}H_{20}N_2O_6$ MW: 360.37 EINECS: 254-513-1
LD_{50}: 34.5 mg/kg (M, i.v.); 2540 mg/kg (M, p.o.);
12.6 mg/kg (R, i.v.); 15.37 g/kg (R, p.o.);
>2.5 mg/kg (dog, i.v.); >100 mg/kg (dog, p.o.)
CN: 1,4-dihydro-2,6-dimethyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid ethyl methyl ester







Reference(s):
US 3 799 934 (Bayer; 26.3.1974; appl. 7.4.1972; D-prior. 10.4.1971).
US 3 932 645 (Bayer; 13.1.1976; D-prior. 10.4.1971).
DOS 2 117 571 (Bayer; appl. 10.4.1971).
Meyer, H. et al.: Arzneim.-Forsch. (ARZNAD) **31**, 407 (1981).

Formulation(s): sol. 5 mg/ml; tabl. 10 mg, 20 mg

Trade Name(s):

D: Bayotensin (Bayer Vital; 1985)
numerous generics

I: Baypress (Bayer Italia)
Deiten (ABC Farmaceutici)

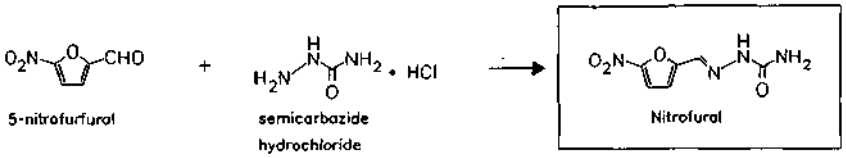
J: Baylotensin (Bayer-Yoshitomi)

Nitrofural

(Nitrofurazone)

ATC: B05CA03; D08AF01; D09AA03; P01CC02; S01AX04; S02AA02
Use: antiseptic, topical antibacterial

RN: 59-87-0 MF: $C_6H_6N_4O_4$ MW: 198.14 EINECS: 200-443-1
LD_{50}: 249 mg/kg (M, p.o.);
590 mg/kg (R, p.o.)
CN: 2-[(5-nitro-2-furanyl)methylene]hydrazinecarboxamide



Reference(s):
US 2 416 234 (Eaton Labs.; 1947; prior. 1945).
US 2 927 110 (Norwich Pharmacal; 1.3.1960; appl. 23.1.1958).

Formulation(s): cream 0.2 g/100 g; ointment 0.2 g/100 g; sol. 0.2 g/100 g

Trade Name(s):

D: Furacin (Procter & Gamble)
GB: Furacin (Eaton); wfm

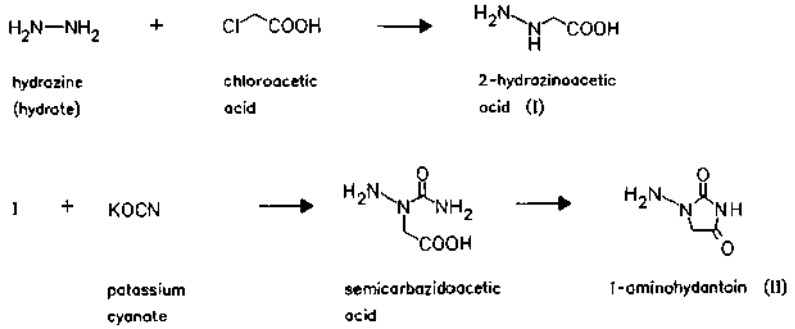
I: Furanvit (SIFI)-comb.
Furotricina (Biomedica Foscama)-comb.

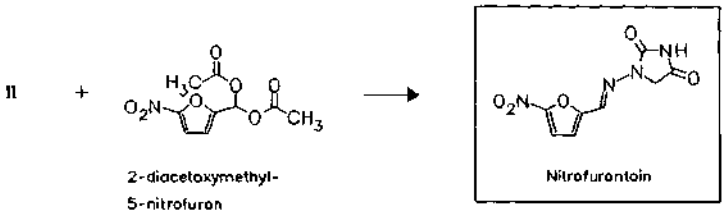
J: Monafuracin (Dainippon)
USA: Furacin (Eaton); wfm

Nitrofurantoin

ATC: G04AC01
Use: chemotherapeutic (urinary tract infections)

RN: 67-20-9 MF: $C_8H_6N_4O_5$ MW: 238.16 EINECS: 200-646-5
LD_{50}: 360 mg/kg (M, p.o.);
604 mg/kg (R, p.o.)
CN: 1-[[(5-nitro-2-furanyl)methylene]amino]-2,4-imidazolidinedione





Reference(s):
US 2 610 181 (Eaton Labs.; 1950; prior. 1950).
US 2 779 786 (Norwich; 29.1.1957; prior. 17.4.1953).
US 2 898 335 (Norwich; 4.8.1959; prior. 28.2.1958).
US 2 927 110 (Norwich; 1.3.1960; prior. 23.1.1958).

special pharmaceutical formulations:
US 3 401 221 (Norwich Pharmacal; 10.9.1968; prior. 25.8.1964).
US 4 122 157 (Richardson-Merrell; 24.10.1978; appl. 4.3.1977).

sustained release formulation:
DOS 2 749 745 (Chem. Fabrik von Heyden; appl. 7.11.1977; F-prior. 15.9.1977).

Formulation(s): cps. 25 mg, 50 mg, 100 mg, 150 mg; drg. 20 mg, 100 mg; s. r. cps. 100 mg; susp. 25 mg/5 ml; tabl. 50 mg

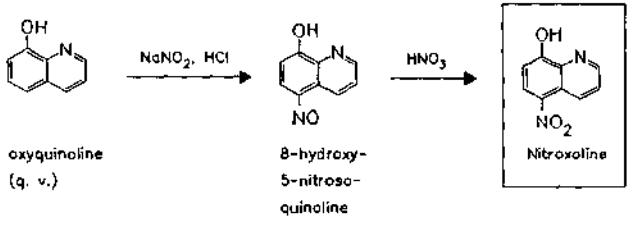
Trade Name(s):

D:	Cystit (Bristol-Myers Squibb) Furadantin/retard (Procter & Gamble) Uro-Tablinen (Sanorania) numerous generics and combination preparations	GB:	Furadantin (Procter & Gamble) Macrobid (Procter & Gamble) Macrodantin (Procter & Gamble)		Nitrofurin (IFI)
				J:	Furadantin (Yamanouchi) Parfuran (Parke Davis) Trantoin (McKesson) Uretoin (Azusa-Tokyo Tanabe)
		I:	Cistofuran (Crosara) Furadantin (Formenti) Furedan (Scharper) Furil (OFF)	USA:	Furadantin (Dura) Macrodantin (Procter & Gamble)
F:	Furadantine (Lipha Santé) Furadoïne (Lipha Santé) Microdoïne (Gomenol)				

Nitroxoline

ATC: G04AG06
Use: urinary antiseptic, antifungal

RN: 4008-48-4 MF: $C_9H_6N_2O_3$ MW: 190.16 EINECS: 223-662-4
LD_{50}: 8300 µg/kg (M, i.v.); 104 mg/kg (M, p.o.);
510 mg/kg (R, p.o.)
CN: 5-nitro-8-quinolinol



Reference(s):
Kostanecki, St. v.: Ber. Dtsch. Chem. Ges. (BDCGAS) **24**, 150 (1891).
Petrow, V.; Sturgeon, B.: J. Chem. Soc. (JCSOA9) **1954**, 570.

Formulation(s): cps. 50 mg, 80 mg, 150 mg, 250 mg; susp. 1 %

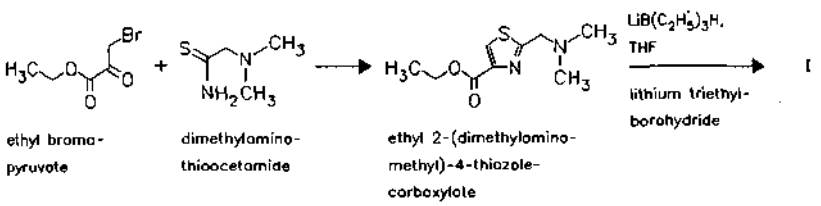
Trade Name(s):

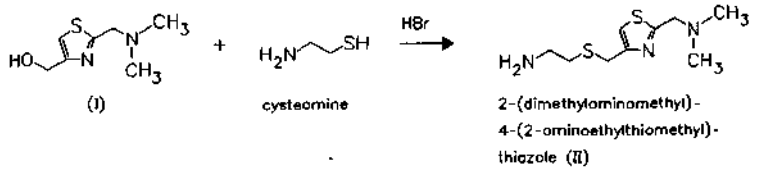
D:	Nitroxolin (Cephasaar)	I:	Urocoli (Roussel-Maestretti); wfm
F:	Nibiol (Débat)		

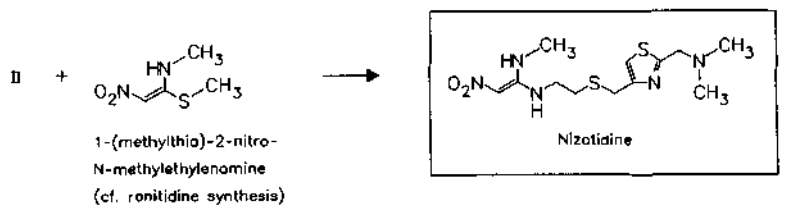
Nizatidine

ATC: A02BA04
Use: ulcer therapeutic, H_2-receptor antagonist

RN: 76963-41-2 MF: $C_{12}H_{21}N_5O_2S_2$ MW: 331.47
LD_{50}: 265 mg/kg (M, i.v.); 1685 mg/kg (M, p.o.);
301 mg/kg (R, i.v.); 1680 mg/kg (R, p.o.);
>75 mg/kg (dog, i.v.); >800 mg/kg (dog, p.o.)
CN: *N*-[2-[[[2-[(dimethylamino)methyl]-4-thiazolyl]methyl]thio]ethyl]-*N'*-methyl-2-nitro-1,1-ethenediamine







Reference(s):
EP 49 618 (Lilly; appl. 2.10.1981; USA-prior. 2.10.1980).
US 4 375 547 (Lilly; 1.3.1983; prior. 2.10.1980).
DE 3 171 819 (Lilly; appl. 14.4.1982; USA-prior. 2.10.1980).
US 4 382 090 (Lilly; 3.5.1983; prior. 2.10.1980).

preparation of ethyl 2-(dimethylaminomethyl)-4-thiazolecarboxylate *from* ethyl bromopyruvate *and* dimethylaminothioacetamide:
Trumm, K.A. et al.: Arzneim.-Forsch. (ARZNAD) **35** (3), 573 (1985).

alternative synthesis:
GB 2 134 521 (Lilly; appl. 6.2.1984; USA-prior. 7.2.1983).

Formulation(s): amp. 100 mg, 150 mg, 300 mg; cps. 150 mg, 300 mg

Trade Name(s):

D:	Gastrax (Asche; 1989)	F:	Nizaxid (Norgine Pharma)		Nizax (Lilly; 1988)
	Gastrax mite (Asche; 1989)	GB:	Axid (Lilly; 1987)		Zanizal (Italfarmaco; 1988)
	Nizax (Lilly; 1989)		Zinga (Ashbourne)	J:	Acinon (Zeria; Lilly; 1990)
	Nizax mite (Lilly; 1989)	I:	Cronizat (Farmitalia; 1988)	USA:	Axid (Lilly)

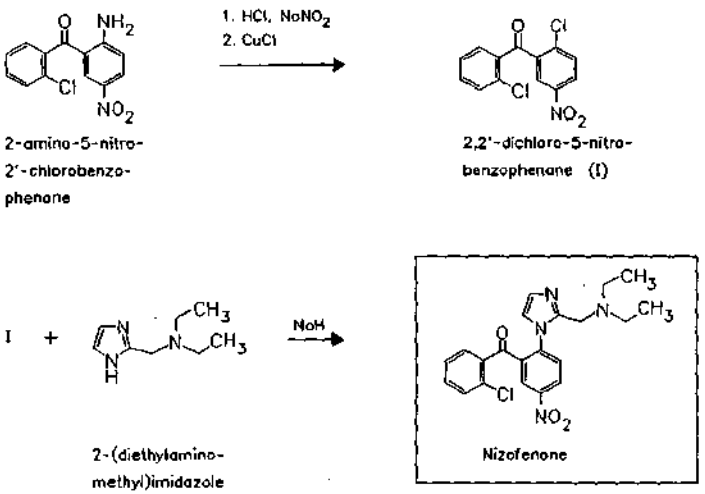
Nizofenone

(Y-9179)

ATC: N06BX10
Use: antianoxic, nootropic

RN: 54533-85-6 MF: $C_{21}H_{21}ClN_4O_3$ MW: 412.88
CN: (2-chlorophenyl)[2-[2-[(diethylamino)methyl]-1*H*-imidazol-1-yl]-5-nitrophenyl]methanone

fumarate (1:1)
RN: 54533-86-7 MF: $C_{21}H_{21}ClN_4O_3 \cdot C_4H_4O_4$ MW: 528.95
LD_{50}: 70 mg/kg (M, i.v.); 495 mg/kg (Mm, p.o.); 504 mg/kg (Mf, p.o.); 270 mg/kg (M, s.c.); 65 mg/kg (R, i.v.); 1711 mg/kg (Rm, p.o.); 1580 mg/kg (Rf, p.o.); 1830 mg/kg (R, s.c.)



Reference(s):
US 3 915 981 (Yoshitomi; 28.10.1975; J-prior. 16.3.1973, 20.3.1973).
DE 2 403 416 (Yoshitomi; appl. 24.1.1974; J-prior. 24.1.1973, 16.3.1973, 20.3.1973, 14.5.1973, 16.6.1973, 7.7.1973).

Formulation(s): amp. 5 mg/2 ml

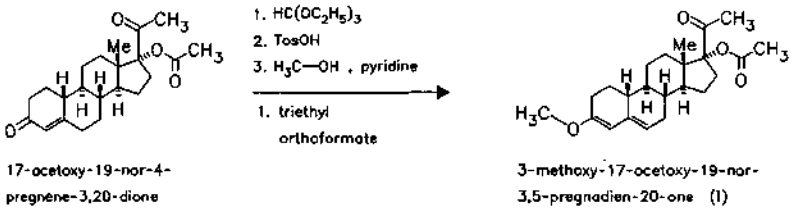
Trade Name(s):
J: Ekonal (Yoshitomi; 1989)

Nomegestrol acetate

ATC: G03DB04
Use: synthetic progestogen for treatment of gynecological disturbances

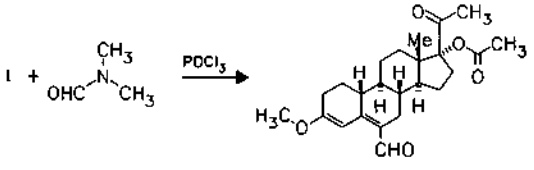
RN: 58652-20-3 MF: $C_{23}H_{30}O_4$ MW: 370.49 EINECS: 261-379-8
LD_{50}: >2 g/kg (M, p.o.);
>2 g/kg (R, p.o.)
CN: 17-(acetyloxy)-6-methyl-19-norpregna-4,6-diene-3,20-dione

nomegestrol
RN: 58691-88-6 MF: $C_{21}H_{28}O_3$ MW: 328.45

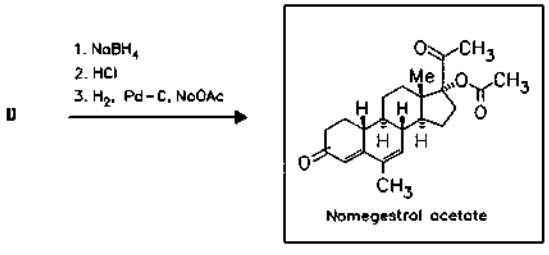


17-acetoxy-19-nor-4-pregnene-3,20-dione

3-methoxy-17-acetoxy-19-nor-3,5-pregnadien-20-one (I)



6-formyl-3-methoxy-17-acetoxy-19-nor-3,5-pregnadien-20-one (II)



Reference(s):
DOS 2 522 533 (J. M. Gastaud; 21.5.1975; GB-prior. 21.5.1974).

alternative synthesis:
EP 157 842 (Théramex; appl. 4.10.1984; F-prior. 4.10.1983).

medical use for i.m. treatment of luteal deficiency:
US 4 544 555 (J. M. Gastaud; 1.10.1985; appl. 27.9.1982; prior. 28.4.1980, 13.5.1975).

Formulation(s): tabl. 5 mg

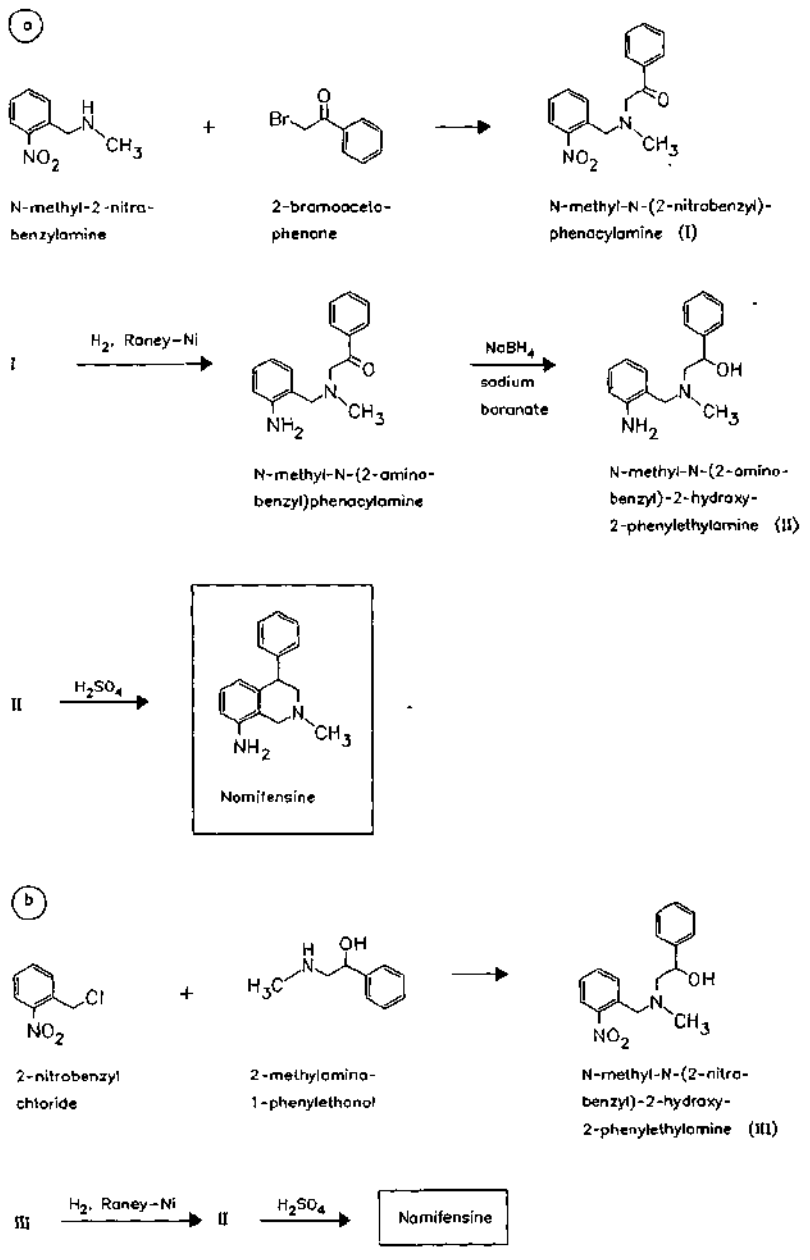
Trade Name(s):
F: Lutenyl (Théramex; 1985) I: Lutenyl (Schering)

Nomifensine

ATC: N06AX04
Use: antidepressant

RN: 24526-64-5 MF: $C_{16}H_{18}N_2$ MW: 238.33
LD_{50}: 260 mg/kg (M, p.o.)
CN: 1,2,3,4-tetrahydro-2-methyl-4-phenyl-8-isoquinolinamine

maleate (1:1)
RN: 32795-47-4 MF: $C_{16}H_{18}N_2 \cdot C_4H_4O_4$ MW: 354.41 EINECS: 251-223-7
LD_{50}: 68 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
66 mg/kg (R, i.v.); 430 mg/kg (R, p.o.)



Reference(s):
DE 1 670 694 (Hoechst; appl. 5.5.1966).
DAS 1 795 830 (Hoechst; appl. 12.8.1966).
US 3 577 424 (Hoechst; 4.5.1971; D-prior. 5.5.1966, 12.8.1966, 15.4.1967).
GB 1 164 192 (Hoechst; appl. 5.5.1967; D-prior. 5.5.1966, 12.8.1966, 14.4.1967).
Hoffmann, J. et al.: Arzneim.-Forsch. (ARZNAD) **21**, 1045 A (1971).

Formulation(s): cps. 25 mg, 50 mg (as hydrogen maleate)

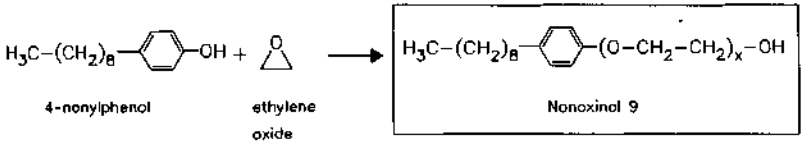
Trade Name(s):

D:	Alival (Hoechst); wfm	GB:	Merital 25 (Hoechst); wfm	I:	Psicronizer (Albert-Farma); wfm
F:	Alival (Hoechst); wfm				

Nonoxinol 9
(Nonoxynol 9)

ATC: G02BB
Use: spermatocide

RN: 26027-38-3 MF: $[C_2H_4O]xC_{15}H_{24}O$ MW: unspecified
CN: α-(4-nonylphenyl)-ω-hydroxypoly(oxy-1,2-ethanediyl)



Reference(s):
US 2 313 477 (GAF; 1940).

Formulation(s): foam 12.5 %; suppos. 0.075 g; vaginal gel 2 g/100 g

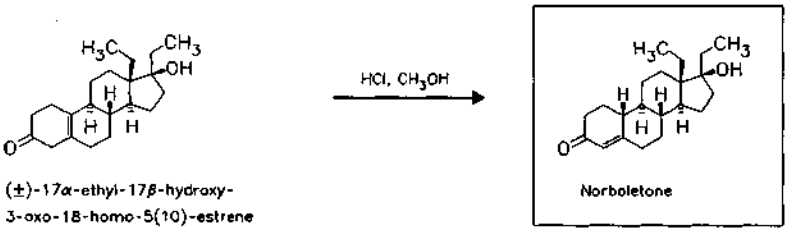
Trade Name(s):

D:	Ortho-Creme (Janssen-Cilag)		Double Check (Family Planning Sales)		Staycept (Syntex)
	Patentex Oral (Patentex)		Gynol II (Janssen-Cilag)	I:	Florigien (Schering)-comb.
F:	Patentex (Lab. C.C.D.)		Ortho-Creme (Janssen-Cilag)		Koromex (Sanico)
	Semicid (Théraplix)		Ortho-Forms (Janssen-Cilag)	USA:	Ramses (Schmid Prod.); wfm
GB:	Delfen (Janssen-Cilag)				Semicid (Whitehall); wfm

Norboletone
(Norbolethone)

ATC: A14AB
Use: anabolic

RN: 1235-15-0 MF: $C_{21}H_{32}O_2$ MW: 316.49
LD_{50}: >5010 mg/kg (M, p.o.)
CN: (17α)-(±)-13-ethyl-17-hydroxy-18,19-dinorpregn-4-en-3-one



(±)-17α-ethyl-17β-hydroxy-3-oxo-18-homo-5(10)-estrene

Reference(s):
GB 1 041 280 (G. A. Hughes, H. Smith; valid from 8.10.1962; prior. 19.10.1961).

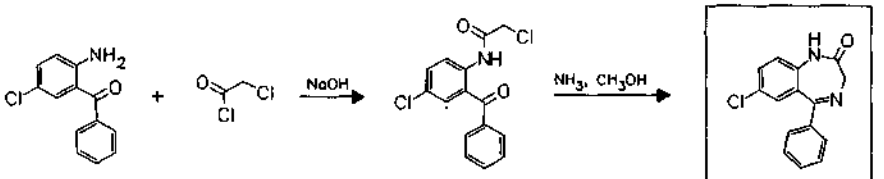
total synthesis and synthesis of enantiomers:
GB 1 096 761 (Roussel-Uclaf; valid from 17.12.1964; F-prior. 20.2.1964, 14.1.1964, 17.12.1963);
US 3 959 322 (H. Smith; 25.5.1976; prior. 15.1.1964, 4.10.1962, 16.5.1962, 15.5.1962, 12.9.1961, 24.2.1961, 23.9.1960).
US 3 850 911 (G. A. Hughes, H. Smith; 26.11.1974; GB-prior. 22.9.1960).
US 3 519 714 (G. A. Hughes; H. Smith; 7.7.1970; prior. 15.3.1966, 16.5.1962, 15.5.1962, 4.10.1962, 12.9.1961, 24.2.1961, 23.9.1960).
Smith, H. et al.: J. Chem. Soc. (JCSOA9) **1964**, 4472.

Trade Name(s):
USA: Genabol (Wyeth); wfm

Nordazepam
(Nordiazepam)

ATC: N05BA16
Use: anxiolytic, benzodiazepine

RN: 1088-11-5 MF: $C_{15}H_{11}ClN_2O$ MW: 270.72 EINECS: 214-123-4
LD_{50}: >400 mg/kg (M, i.p.); 670 mg/kg (M, p.o.);
>5200 mg/kg (R, p.o.)
CN: 7-chloro-1,3-dihydro-5-phenyl-2*H*-1,4-benzodiazepin-2-one



2-amino-5-chlorobenzophenone

chloroacetyl chloride

2-chloroacetamido-5-chlorobenzophenone

Reference(s):
DE 1 136 709 (Hoffmann-La Roche; appl. 1960).
Sternbach, L.H.; Reeder, E.: J. Org. Chem. (JOCEAH) **26**, 4936 (1961).
Bell, S.C. et al.: J. Org. Chem. (JOCEAH) **27**, 562 (1962).

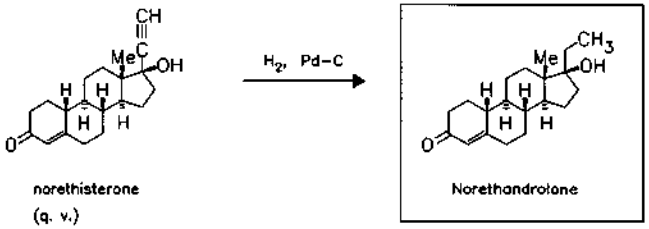
Formulation(s): drops 5 mg/g; tabl. 7.5 mg, 10 mg, 15 mg

Trade Name(s):
D: Tranxilium N (Sanofi Winthrop)
F: Nordaz (Bouchara)
I: Madar Notte (Ravizza)

Norethandrolone

ATC: A14AA09
Use: anabolic

RN: 52-78-8 MF: $C_{20}H_{30}O_2$ MW: 302.46 EINECS: 200-153-5
CN: (17α)-17-hydroxy-19-norpregn-4-en-3-one



Reference(s):
US 2 721 871 (Searle; 1955; appl. 1954).

alternative synthesis:
US 2 691 028 (Searle; 1954; prior. 1952).
Colton, F.B. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 1123 (1957).

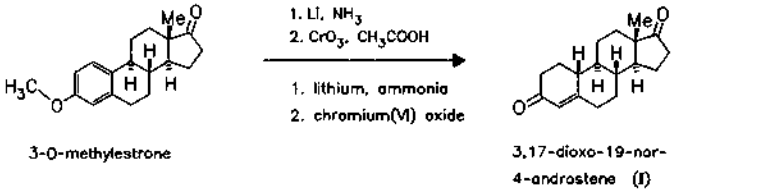
Formulation(s): tabl. 10 mg

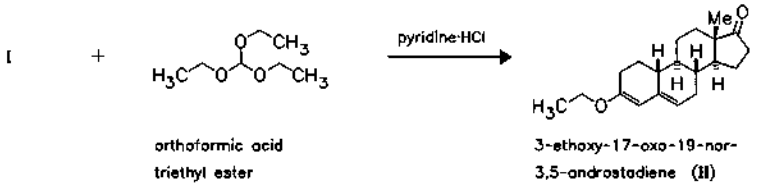
Trade Name(s):
F: Nilevar (Laphal) GB: Nilevar (Searle); wfm USA: Nilevar (Coastal); wfm

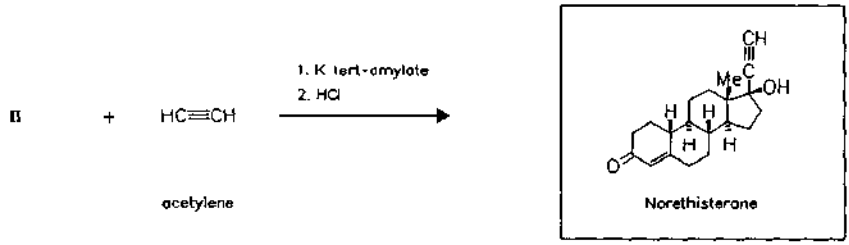
Norethisterone
(Norethindrone)

ATC: G03AC01; G03DC02
Use: progestogen

RN: 68-22-4 MF: $C_{20}H_{26}O_2$ MW: 298.43 EINECS: 200-681-6
LD_{50}: 6 g/kg (M, p.o.)
CN: (17α)-17-hydroxy-19-norpregn-4-en-20-yn-3-one







Reference(s):
US 2 774 122 (Syntex; 1956; MEX-prior. 1951).
US 2 774 777 (Syntex; 1956; prior. 1952).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 4092 (1954).

alternative syntheses:
US 2 849 462 (P. de Ruggieri; 1958; appl. 1957).
Ringold, H.J. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 2477 (1956).
Ringold, H.J. et al.: Ann. N. Y. Acad. Sci. (ANYAA9) **71**, 500 (1958).
Ueberwasser, H. et al.: Helv. Chim. Acta (HCACAV) **34**, 344 (1963).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 31.
Onken, D.; Meublein, D.: Pharmazie (PHARAT) **25**, 3 (1970).

total synthesis of 3,17-dioxo-19-nor-4-androstene:
DE 1 958 600 (Hoffmann-La Roche; appl. 21.11.1969; USA-prior. 22.11.1968).

Formulation(s): tabl. 0.35 mg, 0.5 mg (also in comb.)

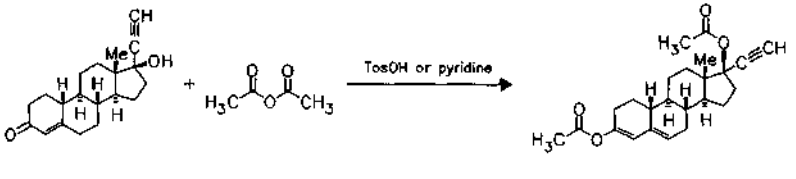
Trade Name(s):

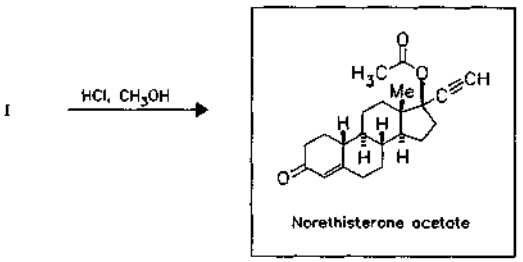
D:	Conceplan (Grünenthal)-comb. Micronovum (Janssen-Cilag) TriNovum (Janssen-Cilag)-comb. numerous generics	F:	Norluten (SmithKline Beecham) Ortho-Novum 1/35 (Janssen-Cilag)-comb. Triella (Cilag)-comb.	GB:	Micronor (Janssen-Cilag)
			Noriday (Searle) Primolut N (Schering) Utovlan (Searle) numerous combination preparations	I:	Trinovum (Janssen-Cilag)-comb.
		J:	Norluten D (Shionogi) Primosiston Tab. (Nihon Schering)-comb. Sophia-A, C (Teikoku Zoki)-comb.	USA:	Brevicon (Searle)-comb. Micronor (Ortho-McNeil) Modicon (Ortho-McNeil)-comb. Nelova (Warner Chilcott)-comb. Norinyl (Searle)-comb. Ortho-Novum (Ortho-McNeil)-comb. generic and combination preparations

Norethisterone acetate
(Norethindrone acetate)

ATC: G03D
Use: progestogen

RN: 51-98-9 MF: $C_{22}H_{28}O_3$ MW: 340.46 EINECS: 200-132-0
CN: (17α)-17-(acetyloxy)-19-norpregn-4-en-20-yn-3-one





Reference(s):
US 2 964 437 (Schering AG; 13.12.1960; appl. 11.6.1957; D-prior. 16.6.1956).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 436 (1959).
DE 1 017 166 (Schering AG; appl. 16.6.1956).

alternative synthesis:
DD 136 502 (VEB Jenapharm; appl. 11.5.1978).

Formulation(s): f. c. tabl. 1 mg; tabl. 1 mg, 5 mg, 10 mg

Trade Name(s):

D: Gestakadin (Kade)
Neorlest 21 (Parke Davis)-comb.
Norethisteron (Jenapharm)
Primolut-Nor (Schering)
Primosiston (Schering)-comb.
Prosiston (Schering)-comb.
Sinovula (Asche)-comb.
Sovel (Novartis Pharma)-comb.
Trisequens (Novo Nordisk; Rhône-Poulenc Rorer)-comb.

F: Kliogest (Specia)-comb.
Milli-Anovlar (Schering)-comb.
Milligynon (Schering)
Miniphase (Schering)-comb.
Primolut-Nor (Schering)-comb.

GB: Elleste Deret (Searle)-comb.
Estra combi (Novartis)-comb.
Evorel combi (Janssen-Cilag)-comb.
Klimofem (Novo Nordisk)-comb.
Loestrin (Parke Davis)-comb.
S.H. 420 (Schering Chemicals)
Trisequens (Novo Nordisk)-comb.

I: Primolut-Nor (Schering)

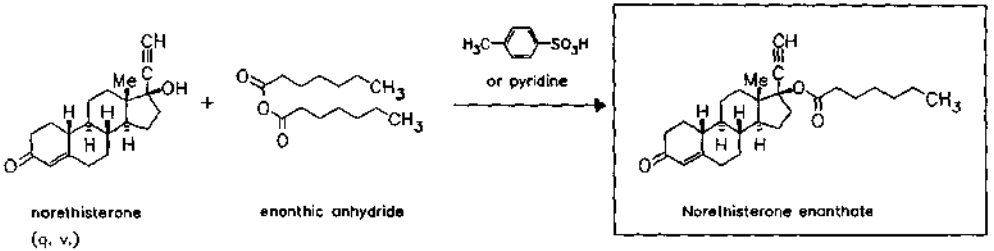
J: Anovlar (Nihon Schering)-comb.
Norluten A (Shionogi)

USA: Aygestin (ESI Lederle)
Estrostep (Parke Davis)-comb.

Norethisterone enanthate

ATC: G03DB
Use: progestogen

RN: 3836-23-5 MF: $C_{27}H_{38}O_3$ MW: 410.60 EINECS: 223-326-7
CN: (17α)-17-[(1-oxoheptyl)oxy]-19-norpregn-4-en-20-yn-3-one



Reference(s):
DE 1 017 166 (Schering AG; appl. 16.6.1956).

alternative synthesis:
FR 1 349 991 (Parke Davis; appl. 29.11.1962; GB-prior. 1.12.1961).

use as progestogen depot preparation:
DOS 2 548 413 (Schering AG; appl. 27.10.1975).

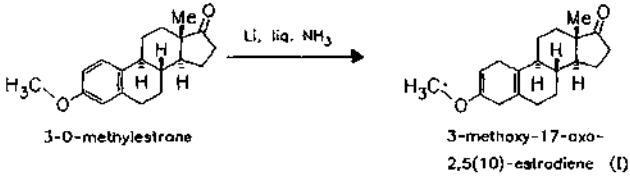
Formulation(s): amp. 200 mg/ml

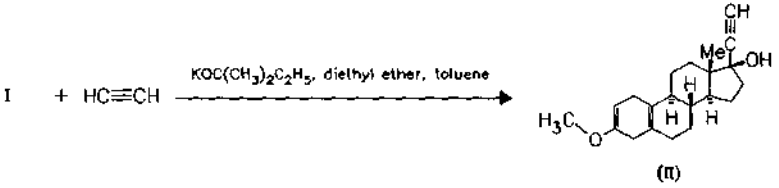
Trade Name(s):
D: Noristerat (Schering) F: Noristerat (Schering) GB: Noristerat (Schering)

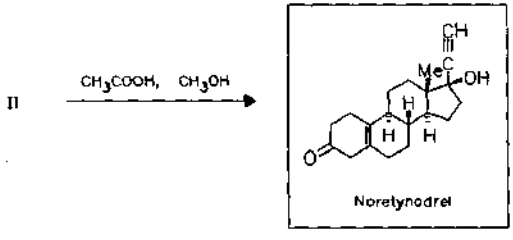
Noretynodrel
(Norethynodrel)

ATC: G03D
Use: progestogen

RN: 68-23-5 MF: $C_{20}H_{26}O_2$ MW: 298.43 EINECS: 200-682-1
CN: (17α)-17-hydroxy-19-norpregn-5(10)-en-20-yn-3-one







Reference(s):
US 2 691 028 (Searle; 1954; prior. 1953, 1952).
US 2 725 389 (Searle; 1955; prior. 1953).

starting material:
US 2 655 518 (Searle; 1953; appl. 1952).

alternative synthesis:
FR 1 421 476 (Roussel-Uclaf; appl. 2.11.1964).

Formulation(s): tabl. 2.5 mg, 5 mg, 9.85 mg in comb. with metranol

Trade Name(s):

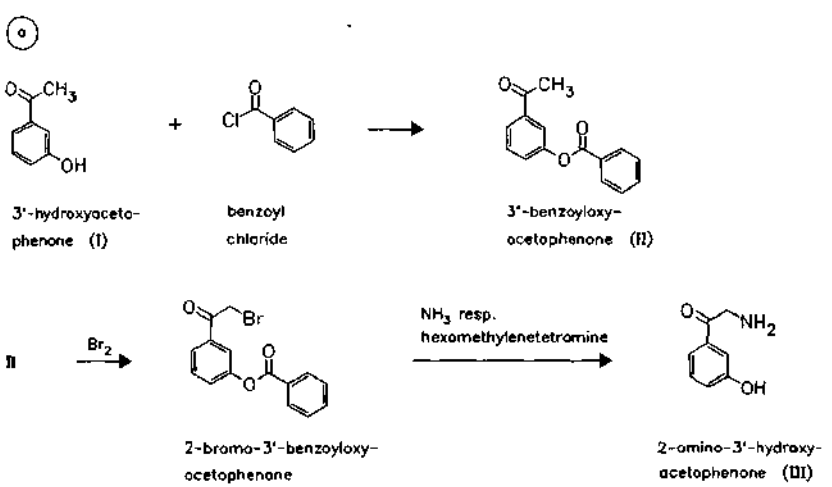
D:	Kontrazeptivum 63 (ratiopharm)-comb.; wfm Zyklustabletten IB 2 (Ce-Ka-Ce)-comb.; wfm	I:	Ebionel (Panther-Osfa Chemie)-comb.; wfm Elan (Valeas)-comb.; wfm Singestol (Caber)-comb.; wfm	USA:	Enovid (Searle)-comb.; wfm Enovid E (Searle)-comb.; wfm
GB:	Enavid (Searle)-comb.; wfm	J:	Enavid (Dainippon)-comb.		

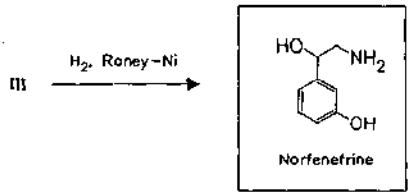
Norfenefrine

ATC: C01CA05
Use: sympathomimetic, circulatory analeptic, adrenergic

RN: 536-21-0 MF: $C_8H_{11}NO_2$ MW: 153.18 EINECS: 208-626-8
LD_{50}: 4.9 mg/kg (M, i.v.); 263 mg/kg (M, p.o.);
17.4 mg/kg (R, i.v.); 390 mg/kg (R, p.o.)
CN: α-(aminomethyl)-3-hydroxybenzenemethanol

hydrochloride
RN: 4779-94-6 MF: $C_8H_{11}NO_2 \cdot HCl$ MW: 189.64 EINECS: 225-323-6
LD_{50}: 113 mg/kg (M, i.v.); 3300 mg/kg (M, p.o.);
17.4 mg/kg (R, i.v.); 390 mg/kg (R, p.o.)





(b)

Reference(s):

a FR 851 296 (R. Sachs; 1938).
FR 866 569 (R. Sachs; 1939).

b DE 2 130 710 (Gödecke; appl. 21.6.1971).

Formulation(s): amp. 50 mg/5 ml; cps. 6 mg; drg. 15 mg, 45 mg; drops 6 mg/ml; sol. 6 mg/ml; s. r. tabl. 50 mg; tabl. 45 mg (as hydrochloride)

Trade Name(s):

D: Energona (Maurer)
Esbuphon (Schaper & Brümmer)
Norfenefrin retard forte-ratiopharm (ratiopharm)
Norfenefrin Ziethen (Ziethen)
Novadral (Gödecke)
generic and numerous combination preparations

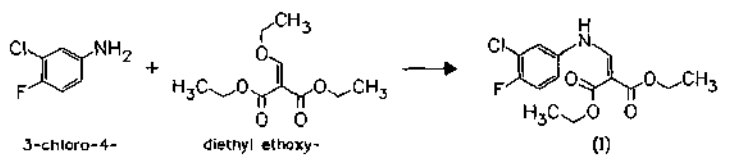
I: Euro-Cir (Virgiliano); wfm

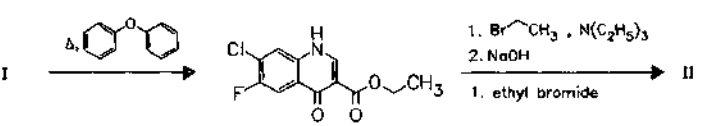
J: Normetolo (Selvi); wfm
Coritat (Green Cross)
Tonolift (Teisan)
Zondel (Grelan; as hydrochloride)

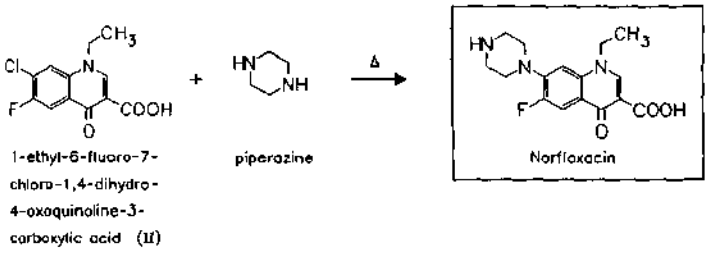
Norfloxacin

ATC: J01MA06; S01AX12
Use: antibiotic (gyrase inhibitor)

RN: 70458-96-7 MF: $C_{16}H_{18}FN_3O_3$ MW: 319.34 EINECS: 274-614-4
LD_{50}: 220 mg/kg (M, i.v.); 4 g/kg (M, p.o.);
245 mg/kg (R, i.v.); >4 g/kg (R, p.o.)
CN: 1-ethyl-6-fluoro-1,4-dihydro-4-oxo-7-(1-piperazinyl)-3-quinolinecarboxylic acid







Reference(s):
DE 2 804 097 (Kyorin; appl. 31.1.1978; J-prior. 16.5.1977).
US 4 146 719 (Kyorin; 27.3.1979; J-prior. 16.2.1977).

synthesis of 1-ethyl-6-fluoro-7-chloro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid:
Koga, H. et al.: J. Med. Chem. (JMCMAR) **23**, 1358 (1980).

Formulation(s): eye drops 3 mg/ml; f. c. tabl. 400 mg

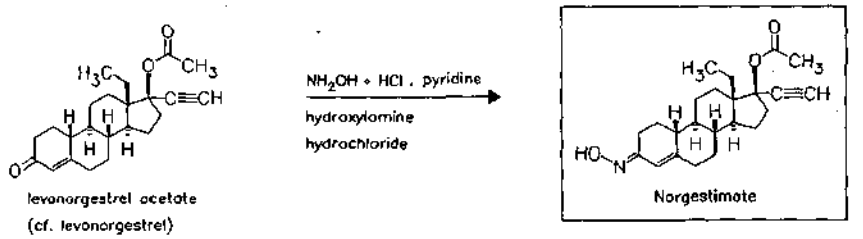
Trade Name(s):

D:	Barazan (Dieckmann; 1984)		Noroxine (MSD-Chibret; 1986)		Sebercim (ISF)
	Chibroxin (Chibret)	GB:	Utinor (Merck Sharp & Dohme)	J:	Baccidal (Kyorin)
F:	Chibroxine (Merck Sharp & Dohme-Chibret)	I:	Fulgram (ABC)	USA:	Chibroxin (Merck)
			Noroxin (MSD)		Noroxin (Merck; 1986)
					Noroxin (Roberts)

Norgestimate

ATC: G03AA
Use: progestogen, oral contraceptive

RN: 35189-28-7 MF: $C_{23}H_{31}NO_3$ MW: 369.51
CN: (17α)-17-(acetyloxy)-13-ethyl-18,19-dinorpregn-4-en-20-yn-3-one oxime



Reference(s):
GB 1 123 104 (Ortho; appl. 2.9.1966; USA-prior. 22.10.1965).
DE 1 620 102 (Ortho; appl. 9.9.1966; USA-prior. 22.10.1965).

medical use for suppression of fertility:
US 4 027 019 (Ortho; 31.5.1977; appl. 23.1.1976; prior. 24.7.1975).

Formulation(s): tabl. 0.25 mg in comb. with ethinylestradiole

Trade Name(s):

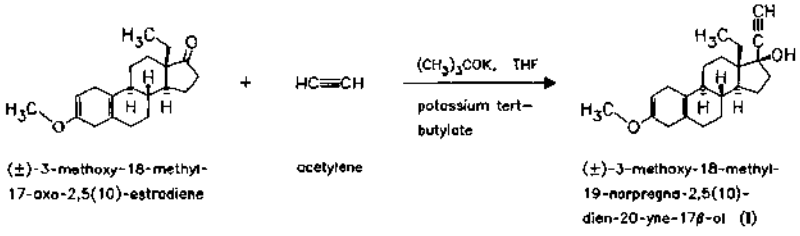
D:	Cilest (Janssen-Cilag)-comb.	F:	Cilest (Janssen-Cilag)-comb.	GB:	Cilest (Janssen-Cilag)-comb.
	Pramino (Janssen-Cilag)-comb.		Effiprev (Effik)-comb.	I:	Cilest (Cilag)-comb.

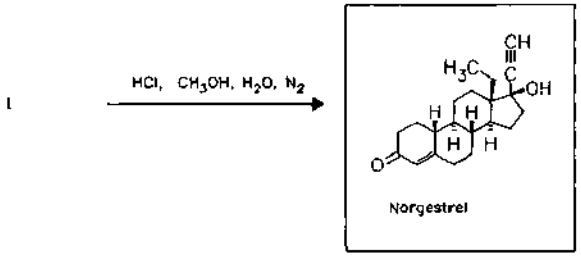
USA: Ortho-Cyclen (Ortho-McNeil) Ortho-Tri-Cyclen (Ortho-McNeil)

Norgestrel

ATC: G03AA06; G03FA10; G03FB01
Use: progestogen

RN: 6533-00-2 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 229-433-5
LD_{50}: 5010 mg/kg (M, p.o.);
5010 mg/kg (R, p.o.)
CN: (17α)-(±)-13-ethyl-17-hydroxy-18,19-dinorpregn-4-en-20-yn-3-one





Reference(s):
GB 1 041 279 (H. Smith; appl. 19.10.1961).
GB 1 041 280 (H. Smith; appl. 19.10.1961).
DOS 2 030 056 (Schering AG; appl. 13.6.1970).
Buzby, G.C. et al.: J. Med. Chem. (JMCMAR) **9**, 782 (1966).

starting material:
Smith, H. et al.: J. Chem. Soc. (JCSOA9) **1964**, 4472.

total synthesis:
NL-appl. 6 414 702 (Roussel-Uclaf; appl. 17.12.1964; F-prior. 17.12.1963).
Smith, H. et al.: Experientia (EXPEAM) **19**, 394 (1963).
Smith, H. et al.: J. Chem. Soc. (JCSOA9) **1963**, 5072.
Blickenstaff, R.T.; Ghosh, A.C.; Wolf, G.C.: Total Synthesis of Steroids (Organic Chemistry Vol. **30**) p. 270, Academic Press, New York, London 1974.

Formulation(s): drg. 0.5 mg in comb. with ethinylestradiole

Trade Name(s):

D: Cyclo-Progynova (Schering)-comb.
Stediril (Wyeth)-comb.

F: Adepal (Wyeth-Lederle)-comb.
Microval (Wyeth-Lederle)
Minidril (Wyeth-Lederle)-comb.
Stédiril (Wyeth-Lederle)-comb.
Trinordiol (Wyeth-Lederle)-comb.

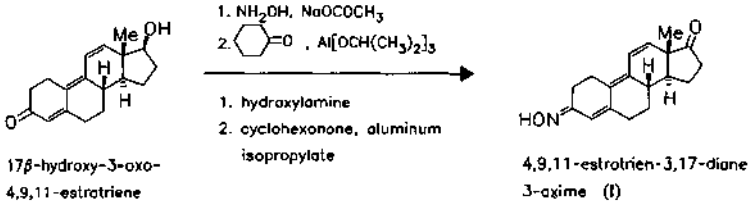
GB: Cyclo Progynova (ASTA Medica)-comb.
Eugynon (Schering)-comb.
Neogest (Schering)
Prempak C (Wyeth)
Schering PC4 (Schering)-comb.

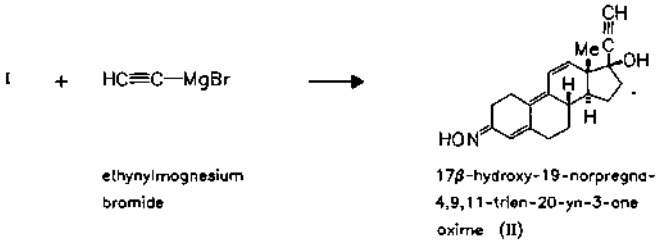
I:	Eugynon (Schering)-comb.	USA:	Lo/Ovral (Wyeth-Ayerst)-comb.	Ovral (Wyeth-Ayerst)-comb.
J:	Duoluton (Schering)			Ovrette (Wyeth-Ayerst)

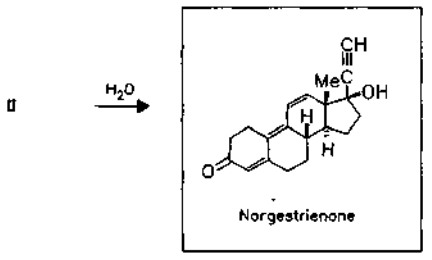
Norgestrienone

ATC: G03AC07
Use: progestogen

RN: 848-21-5 MF: $C_{20}H_{22}O_2$ MW: 294.39 EINECS: 212-698-6
CN: (17α)-17-hydroxy-19-norpregna-4,9,11-trien-20-yn-3-one







Reference(s):
US 3 257 278 (Roussel-Uclaf; 21.6.1966; F-prior. 5.7.1963, 4.10.1963, 15.5.1964, 14.8.1964, 1.6.1965).
FR-M 3 060 (Roussel-Uclaf; appl. 4.10.1963).
NL 6 401 555 (Roussel-Uclaf; appl. 20.2.1964; F-prior. 20.2.1963, 5.7.1963, 4.10.1963).
Nominé, G. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **260**, 4545 (1965).

starting material:
BE 631 298 (Roussel-Uclaf; appl. 19.4.1963; F-prior. 20.4.1962).
NL 6 414 702 (Roussel-Uclaf; appl. 17.12.1964; F-prior. 17.12.1963, 14.1.1964, 20.2.1964).
NL 6 517 141 (Roussel-Uclaf; appl. 30.12.1965; F-prior. 31.12.1964, 26.2.1965, 24.3.1965, 14.6.1965, 3.9.1965, 17.9.1965).
Velluz, L. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **257**, 569 (1963).

total synthesis:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 34.
Velluz, L. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **257**, 3086 (1963).

Formulation(s): tabl. 0.35 mg

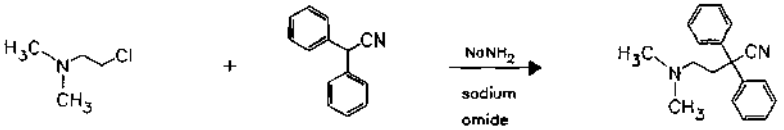
Trade Name(s):
F: Ogyline (Roussel) Planor (Roussel)-comb.

Normethadone

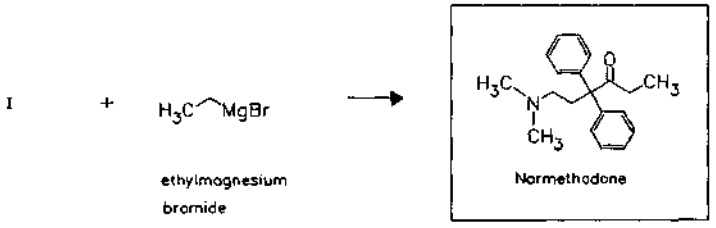
ATC: R05DA06
Use: antitussive, analgesic

RN: 467-85-6 MF: $C_{20}H_{25}NO$ MW: 295.43 EINECS: 207-401-1
LD_{50}: 31 mg/kg (M, i.v.)
CN: 6-(dimethylamino)-4,4-diphenyl-3-hexanone

hydrochloride
RN: 847-84-7 MF: $C_{20}H_{25}NO \cdot HCl$ MW: 331.89 EINECS: 212-694-4
LD_{50}: 45 mg/kg (M, i.v.)



2-(dimethylamino)ethyl chloride + diphenylacetonitrile → 4-dimethylamino-2,2-diphenylbutyronitrile (I)



Reference(s):
DE 865 314 (Hoechst; appl. 1941).
DE 870 700 (Hoechst; appl. 1942).
Bockmühl, M.; Ehrhart, G.: Justus Liebigs Ann. Chem. (JLACBF) **561**, 52 (1948).

Formulation(s): drops 10 mg/ml

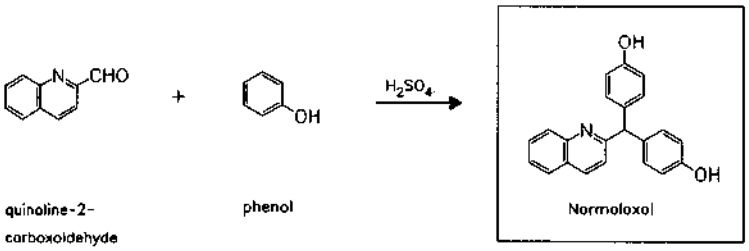
Trade Name(s):
D: Ticarda (Hoechst); wfm

Normolaxol

ATC: A06A
Use: laxative

RN: 18831-34-0 MF: $C_{22}H_{17}NO_2$ MW: 327.38
CN: 4,4'-(2-quinolinylmethylene)bis[phenol]

hydrochloride
RN: 19035-45-1 MF: $C_{22}H_{17}NO_2 \cdot HCl$ MW: 363.84



Reference(s):
US 2 753 351 (Dr. K. Thomae; 1956; D-prior. 1952).
US 3 627 893 (Boehringer Ing.; 14.12.1971; prior. 11.1.1967, 2.1.1970).

Trade Name(s):
D: Normolaxol (Boehringer Ing.); wfm

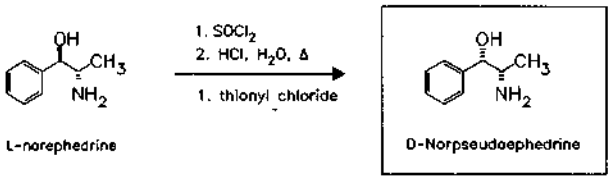
D-Norpseudoephedrine

(D-Pseudonorephedrine; Norisoephedrine)

ATC: N06B
Use: appetite depressant

RN: 492-39-7 MF: $C_9H_{13}NO$ MW: 151.21 EINECS: 207-754-1
LD_{50}: 275 mg/kg (M, s.c.)
CN: [*S*-(*R**,*R**)]-α-(1-aminoethyl)benzenemethanol

hydrochloride
RN: 2153-98-2 MF: $C_9H_{13}NO \cdot HCl$ MW: 187.67 EINECS: 218-446-1
LD_{50}: 161 mg/kg (M, i.p.)



Reference(s):
DD 13 785 (H. Pfanz, H. Wieduwilt; appl. 1956).

starting material:
DE 1 014 553 (Knoll; appl. 1954).

alternative syntheses:
DD 43 989 (H. Müller, H. Baborowski; appl. 30.1.1965).
Rebstock, M.C. et al.: J. Am. Chem. Soc. (JACSAT) **73**, 3668 (1951).
DOS 3 408 850 (Knoll; appl. 10.3.1984; D-prior. 12.3.1983).

review:
Heacock, R.A.; Forrest, J.E.: Can. J. Pharm. Sci. (JPMSAE) **9**, 64 (1974).
Pfanz, H.; Wieduwilt, H.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **288**, 563 (1955).

Formulation(s): cps. 20 mg (as base); drg. 15 mg; drops 4 g/100 ml; sol. 3.5 g/100 ml (as hydrochloride)

Trade Name(s):
D: Antiadipositum (Hänseler)
Fasupond (Eu Rho Arznei)
Mirapront N (Mack)-on ion-exchanger
Vita Schlanktropfen (Schuck)

USA:	Atrohist (Medeva)-comb.	Rondec (Dura)-comb.	numerous combination
	Codimal (Schwarz)-comb.	Tussend (Monarch)-comb.	preparations

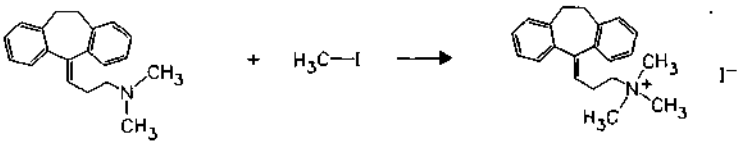
Nortriptyline
(Desitriptyline)

ATC: N06AA10
Use: antidepressant

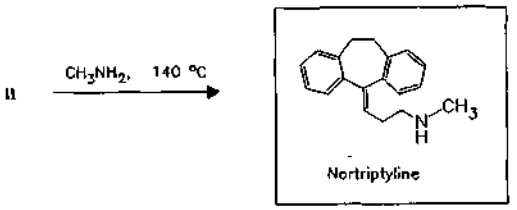
RN: 72-69-5 MF: $C_{19}H_{21}N$ MW: 263.38 EINECS: 200-788-8
LD_{50}: 17 mg/kg (M, i.v.); 370 mg/kg (M, p.o.);
22 mg/kg (R, i.v.); 502 mg/kg (R, p.o.)
CN: 3-(10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-ylidene)-*N*-methyl-1-propanamine

hydrochloride
RN: 894-71-3 MF: $C_{19}H_{21}N \cdot HCl$ MW: 299.85 EINECS: 212-973-0
LD_{50}: 18.7 mg/kg (M, i.v.); 260 mg/kg (M, p.o.);
13 mg/kg (R, i.v.); 405 mg/kg (R, p.o.)

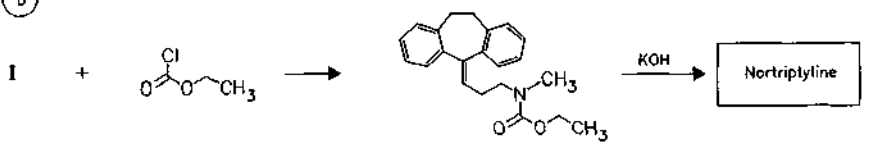
a)



amitriptyline (I) (q. v.) — methyl iodide — amitriptyline methiodide (II)

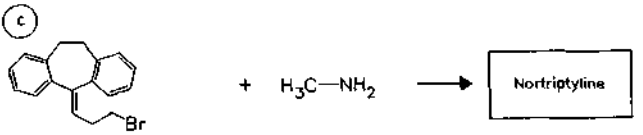


b)



chloroformic acid ethyl ester — ethyl nortriptyline-N-carboxylate

c)



5-(3-bromopropylidene)-10,11-dihydro-5H-dibenzo[a,d]cycloheptene — methylamine

Reference(s):

a FR 1 345 936 (Kefalas; appl. 21.1.1963; GB-prior. 26.1.1962).
b DE 1 288 599 (Geigy; appl. 13.3.1962; CH-prior. 14.3.1961, 30.3.1961).
c Hoffsommer, R.D. et al.: J. Org. Chem. (JOCEAH) **27**, 4134 (1962).

alternative syntheses:

DE 1 266 755 (Kefalas; appl. 6.10.1961).
BE 628 904 (Eli Lilly; appl. 26.2.1963; USA-prior. 26.2.1963).
DE 1 269 614 (Hoffman-La Roche; appl. 15.1.1962).
CH 407 990 (Hoffman-La Roche; appl. 1.2.1962).
CH 407 993 (Hoffman-La Roche; appl. 1.2.1962).
US 3 281 469 (Eli Lilly; appl. 10.8.1962; prior. 26.2.1962).
DAS 1 468 138 (Kefalas; appl. 12.3.1963; GB-prior. 23.3.1962, 9.11.1962).
DOS 1 918 739 (Egyesült; appl. 12.4.1969; H-prior. 12.4.1968).
US 3 215 739 (Kefalas; 2.11.1965; appl. 10.10.1961; DK-prior. 12.10.1960).
US 3 372 196 (Merck & Co.; 5.3.1968; prior. 25.7.1963, 25.11.1966).

Formulation(s): drg. 10 mg, 25 mg, 50 mg, 75 mg (as hydrochloride)

Trade Name(s):

D:	Nortrilen (Promonta Lundbeck)		Motipress (Sanofi Winthrop)-comb.		Noritren (Lundbeck)
					Vividyl (Lilly)
F:	Motival (Norgine Pharma)-comb.		Motival (Sanofi Winthrop)-comb.	J:	Noritren (Dainippon)
				USA:	Aventyl (Lilly)
GB:	Allegron (King; as hydrochloride)	I:	Dominans (Recordati)-comb.		Pamelor (Novartis)
					generics
			Nodal (Squibb)-comb.		

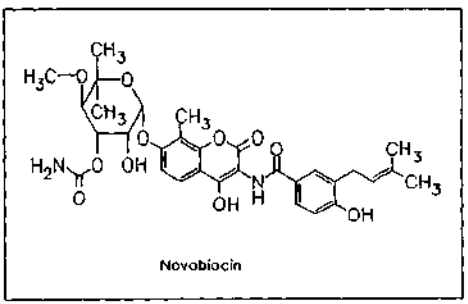
Novobiocin

ATC: J01
Use: antibiotic

RN: 303-81-1 MF: $C_{31}H_{36}N_2O_{11}$ MW: 612.63 EINECS: 206-146-3
LD_{50}: 407 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.)
CN: *N*-[7-[[3-*O*-(aminocarbonyl)-6-deoxy-5-*C*-methyl-4-*O*-methyl-β-L-*lyxo*-hexopyranosyl]oxy]-4-hydroxy-8-methyl-2-oxo-2*H*-1-benzopyran-3-yl]-4-hydroxy-3-(3-methyl-2-butenyl)benzamide

monosodium salt

RN: 1476-53-5 MF: $C_{31}H_{35}N_2NaO_{11}$ MW: 634.61 EINECS: 216-023-6
LD_{50}: 407 mg/kg (M, i.v.); 962 mg/kg (M, p.o.);
385 mg/kg (R, i.v.); 3500 mg/kg (R, p.o.)



Novobiocin

From cultures of *Streptomyces niveus* or *Streptomyces spheroides*.

Reference(s):
US 2 925 411 (C. H. Stammer; 16.2.1960; prior. 29.4.1958).
US 2 966 484 (Merck & Co.; 27.12.1960; appl. 26.12.1957; prior. 19.4.1956).
US 2 983 723 (Upjohn; 9.5.1961; prior. 17.7.1957).
US 3 000 873 (Merck & Co.; 19.9.1961; prior. 21.5.1957).
US 3 049 475 (Merck & Co.; 14.8.1962; prior. 19.4.1956).
US 3 049 476 (Merck & Co.; 14.8.1962; prior. 19.4.1956).
US 3 049 534 (Merck & Co.; 14.8.1962; appl. 7.3.1956; prior. 21.4.1955).
US 3 068 221 (Upjohn; 11.12.1962; prior. 18.3.1960).
Kaczka, E.A. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 6404 (1955).
Hoeksema, H. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 6711 (1955).

Formulation(s): cps. 250 mg (as sodium salt); vial 500 mg

Trade Name(s):

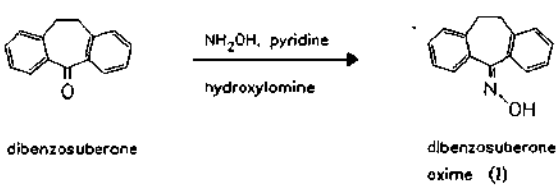
D:	Inamycin (Hoechst); wfm	GB:	Albamycin (Upjohn); wfm	J:	Albiocin (Upjohn)
F:	Albacycline (Upjohn)-comb.; wfm	I:	Robiocina (San Carlo); wfm		Cathomycin (Meiji)
	Cathomycine (Théraplix); wfm		Stilbiocina (Donatello); wfm	USA:	Albacycline (Upjohn)-comb.; wfm
					Albamycin (Upjohn); wfm

Noxiptiline

ATC: N06A
Use: antidepressant

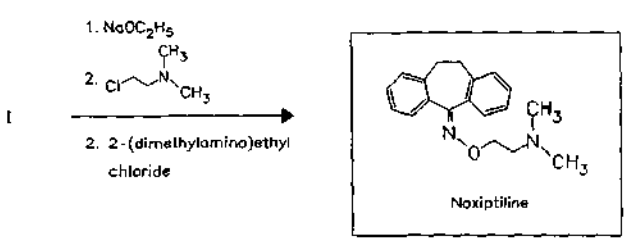
RN: 3362-45-6 MF: $C_{19}H_{22}N_2O$ MW: 294.40
CN: 10,11-dihydro-5*H*-dibenzo[*a*,*d*]cycloheptene-5-one *O*-[2-(dimethylamino)ethyl]oxime

monohydrochloride
RN: 4985-15-3 MF: $C_{19}H_{22}N_2O \cdot HCl$ MW: 330.86 EINECS: 225-638-9
LD_{50}: 21.3 mg/kg (M, i.v.); 275 mg/kg (M, p.o.);
12 mg/kg (R, i.v.); 607 mg/kg (R, p.o.);
800 mg/kg (dog, p.o.)



dibenzosuberone

dibenzosuberone oxime (I)



Noxiptiline

Reference(s):
DE 1 198 353 (H. Engelhard; appl. 29.7.1964).
DE 1 225 169 (Bayer; appl. 26.11.1964).
US 3 963 778 (Bayer; 15.6.1976; appl. 14.7.1969; D-prior. 10.11.1965).
GB 1 045 911 (Pfizer; appl. 22.2.1963; valid from 6.2.1964).

Formulation(s): tabl. 25 mg (as monohydrochloride)

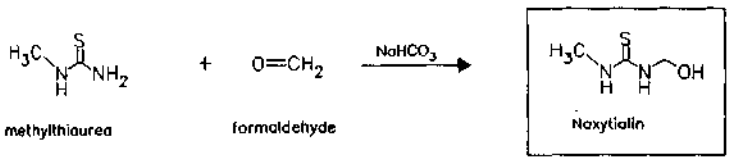
Trade Name(s):
D: Agedal (Bayer); wfm F: Nogédal (Théraplix); wfm I: Agedal (Bayer); wfm

Noxytiolin

(Noxitiolinum; Noxythiolin)

ATC: B05CA07
Use: bactericide (external)

RN: 15599-39-0 MF: $C_3H_8N_2OS$ MW: 120.18 EINECS: 239-679-5
LD_{50}: >3 g/kg (M, p.o.)
CN: *N*-(hydroxymethyl)-*N'*-methylthiourea



Reference(s):
GB 970 414 (Ed. Geistlich Söhne; appl. 12.1.1960; valid from 4.1.1961).

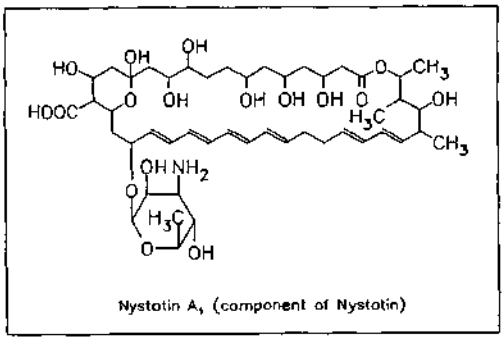
Formulation(s): powder 2.5 g

Trade Name(s):
F: Noxyflex (Innothéra) GB: Noxyflex (Geistlich)

Nystatin

ATC: A07AA02; D01AA01; G01AA01
Use: fungicidal antibiotic, antimycotic

RN: 1400-61-9 MF: unspecified MW: unspecified EINECS: 215-749-0
LD_{50}: 3 mg/kg (M, i.v.); 8 g/kg (M, p.o.);
10 g/kg (R, p.o.)
CN: nystatin



From fermentation solutions of *Streptomyces noursei*.

Reference(s):
US 2 786 781 (Olin Mathieson; 1957; prior. 1954).
US 2 797 183 (Research Corp. 1957; prior. 1952).
US 2 832 719 (Olin Mathieson; 1958; prior. 1956).
US 3 517 100 (American Cyanamid; 23.6.1970; appl. 2.7.1968).

preliposomal powder:
EP 567 582 (Argus Pharmac.; appl. 10.1.1992; USA-prior. 14.1.1991).

Formulation(s): cream 100000 iu/g; drg. 500000 iu; f. c. tabl. 500000 iu/g; gel 100000 iu/g; ointment 100000 iu; pessaries 100000 iu; susp. 100000 iu/ml

Trade Name(s):

D:
Adiclair (Ardeypharm)
Aureomycin (Lederle)-comb. with chlortetracycline
Biofanal (Pfleger)
Candio-Hermal (Hermal)
Candio-Hermal (Hermal)-comb. with chlortetracycline
Fungireduct (Azupharma)
Halog (Bristol-Myers Squibb)-comb.
Jellin (Grünenthal)-comb.
Lokalisation (Dermapharm)-comb.
Moronal (Bristol-Myers Squibb)
Moronal (Bristol-Myers Squibb)-comb.
Mykoderm (Engelhard)
Mykoproct (Bristol-Myers Squibb)-comb.
Mykundex (Biocur)-comb.
Nystaderm (Dermapharm)-comb.
Penanyst (Johnson & Johnson)-comb.
Polygynax (UCB)-comb.
Topsym (Grünenthal)-comb.
Volonimat (Bristol-Myers Squibb)-comb.
generic and numerous combination preparations

F:
Auricularum (Sérolam)-comb.
Mycolog (Bristol-Myers Squibb)-comb.
Mycomnès (Fumouze)-comb.
Mycostatine (Bristol-Myers Squibb)
Myco-ultralan (Schering)-comb.
Polygynax /-virgo (Innothéra)-comb.
Tergynan (Bouchara)-comb.

GB:
Dermovate (Glaxo Wellcome)-comb.
Flagyl Compak (Rhône-Poulenc Rorer)-comb.
Gregoderm (Unigreg)-comb.

I:
Fasigin (Pfizer)-comb.
Halciderm Combi (Squibb; as sulfate)-comb.
Macmiror Complex (Poli)-comb.
Mycocur (Schering)-comb.
Mycostatin (Bristol-Myers Squibb)

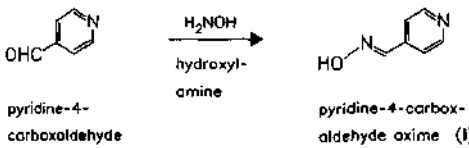
J:
Mycostatin (Squibb-Sankyo)

USA:
Mycostatin (Westwood-Squibb)
Myco-Triacet II (Teva)
Mytrex (Savage)
Nystop (Paddock)
Pedi-Dri (Pedinol)
generics

Obidoxime chloride

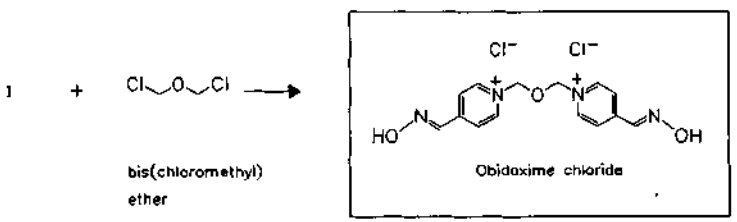
ATC: V03AB13
Use: antidote (poisoning with phosphoric acid esters (e. g. E 605))

RN: 114-90-9 MF: $C_{14}H_{16}Cl_2N_4O_3$ MW: 359.21 EINECS: 204-059-5
LD_{50}: 70 mg/kg (M, i.v.); >2.24 g/kg (M, p.o.);
133 mg/kg (R, i.v.); >4 g/kg (R, p.o.);
>70 mg/kg (dog, i.v.)
CN: 1,1'-[oxybis(methylene)]bis[4-[(hydroxyimino)methyl]pyridinium] dichloride



pyridine-4-carboxaldehyde

pyridine-4-carboxaldehyde oxime (I)



bis(chloromethyl) ether

Obidoxime chloride

Reference(s):
US 3 137 702 (E. Merck AG; 16.6.1964; D-prior. 13.8.1960).
Lüttringhaus, A.; Hagedorn, I.: Arzneim.-Forsch. (ARZNAD) **14**, 1 (1964).

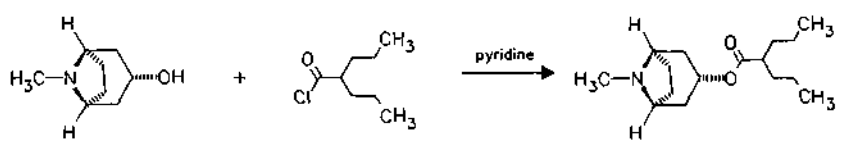
Formulation(s): amp. 250 mg/ml

Trade Name(s):
D: Toxogonin (Merck)

Octatropine methylbromide
(Anisotropine methylbromide)

ATC: A03AB
Use: anticholinergic, gastric and intestinal antispasmodic

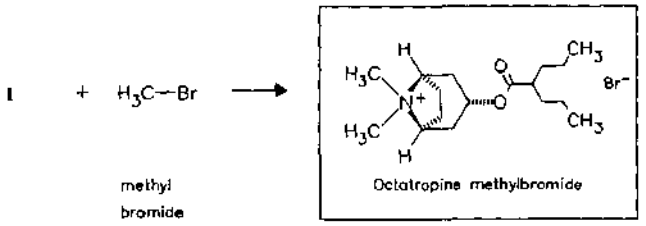
RN: 80-50-2 MF: $C_{17}H_{32}BrNO_2$ MW: 362.35 EINECS: 201-285-6
LD_{50}: 6300 µg/kg (M, i.v.); 850 mg/kg (M, p.o.);
705 mg/kg (R, p.o.)
CN: *endo*-8,8-dimethyl-3-[(1-oxo-2-propylpentyl)oxy]-8-azoniabicyclo[3.2.1]octane bromide



tropine

2-propylvaleryl chloride

tropine 2-propylvalerate (I)



Reference(s):
US 2 962 499 (Endo Labs.; 29.11.1960; prior. 3.7.1957).

Formulation(s): amp. 1 %; gran. 10 %; tabl. 10 mg

Trade Name(s):

I:	Valpinax (Crinos)-comb.	USA:	Valpin (Du Pont); wfm
J:	Valpin (Sankyo)		Valpin (Endo); wfm

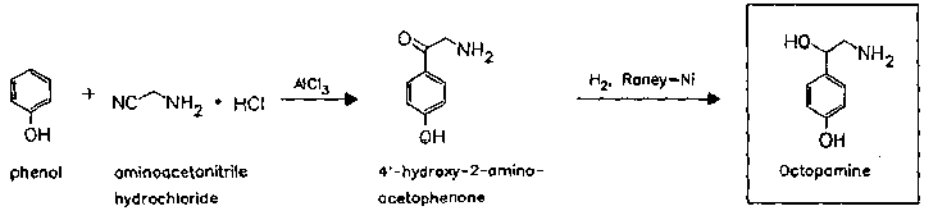
Octopamine

(*p*-Norsynephrine)

ATC: C01CA18
Use: sympathomimetic, circulatory stimulant

RN: 104-14-3 MF: $C_8H_{11}NO_2$ MW: 153.18 EINECS: 203-179-5
LD_{50}: 75 mg/kg (M, i.v.); 4200 mg/kg (M, p.o.); 1240 mg/kg (R, p.o.)
CN: α-(aminomethyl)-4-hydroxybenzenemethanol

hydrochloride
RN: 770-05-8 MF: $C_8H_{11}NO_2 \cdot HCl$ MW: 189.64 EINECS: 212-216-4



Reference(s):
US 2 585 988 (Hartford National Bank; 1952; NL-prior. 1948).

Formulation(s): cps. 60 mg (as hydrogen tartrate); drg. 150 mg (as hydrochloride); sol. 150 mg/ml (as hydrochloride)

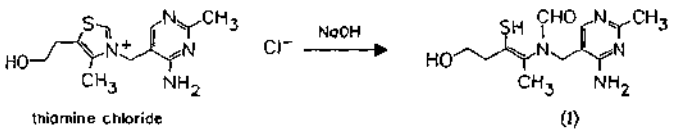
Trade Name(s):

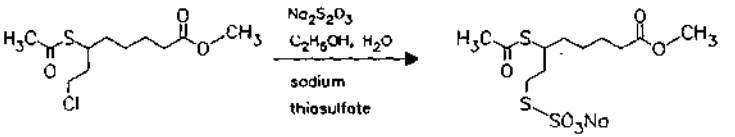
D:	Depot-Norphen (Byk Gulden); wfm	Norphen (Byk Gulden); wfm	I:	Norden (Byk Gulden); wfm
			J:	Norfen (Morishita)

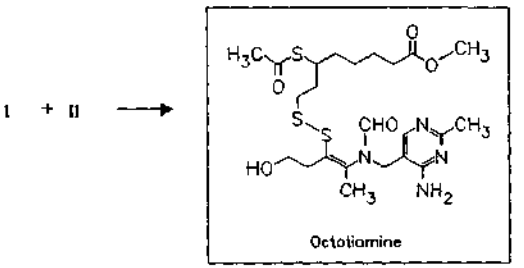
Octotiamine

ATC: A11
Use: neurotropic analgesic (thiamine derivative)

RN: 137-86-0 MF: $C_{23}H_{36}N_4O_5S_3$ MW: 544.76
LD_{50}: 399 mg/kg (M, i.v.); 2590 mg/kg (M, p.o.)
CN: 6-(acetylthio)-8-[[2-[[(4-amino-2-methyl-5-pyrimidinyl)methyl]formylamino]-1-(2-hydroxyethyl)-1-propenyl]dithio]octanoic acid methyl ester







Reference(s):
US 3 098 856 (Fujisawa; 1963; J-prior. 1960).

Formulation(s): tabl. 5 mg, 25 mg, 50 mg

Trade Name(s):

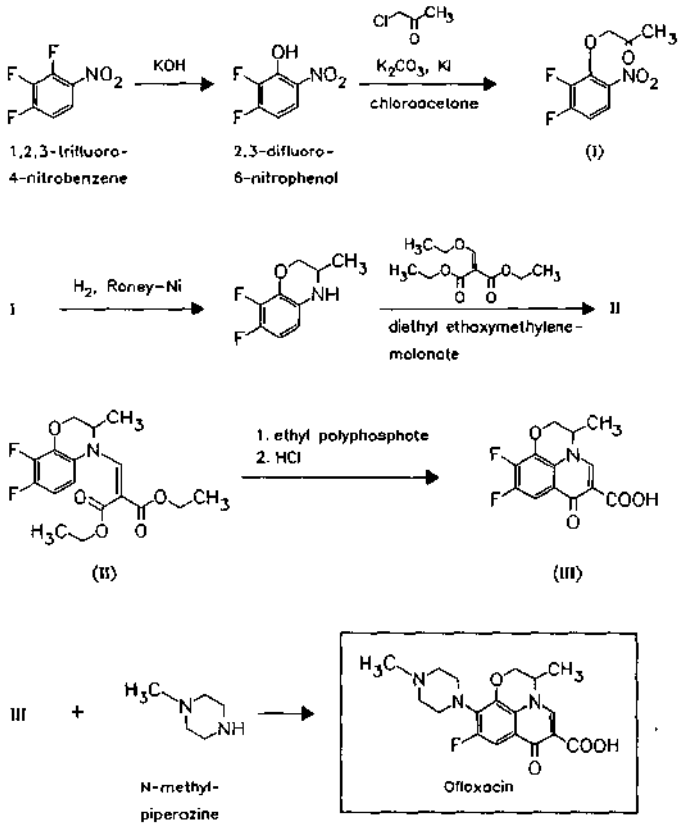
D:	Clinit-N (Hormosan)-comb.; wfm Jasivita (Bolder)-comb.; wfm	Neuro-Elmedal (Thiemann)-comb.; wfm Neuro-Europan (Hormosan)-comb.; wfm	J:	Neurovitan (Fujisawa)-comb. Neuvita (Fujisawa)

Ofloxacin

ATC: J01MA01; S01AX11
Use: antibiotic (gyrase inhibitor)

RN: 82419-36-1 MF: $C_{18}H_{20}FN_3O_4$ MW: 361.37
CN: (±)-9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzoxazine-6-carboxylic acid

hydrochloride
RN: 118120-51-7 MF: $C_{18}H_{20}FN_3O_4 \cdot HCl$ MW: 397.83



Reference(s):
EP 47 005 (Daiichi Seiyaku; appl. 28.8.1981; J-prior. 2.9.1980).
US 4 382 892 (Daiichi Seiyaku; 10.5.1983, appl. 2.9.1981; J-prior. 2.9.1980).

preparation of 1,2,3-trifluoro-4-nitrobenzene:
Finger et al.: J. Am. Chem. Soc. (JACSAT) **81**, 94, 99 (1959).
Yoshida, Y.; Kimura, Y.; Tomoi, M.: Tetrahedron Lett. (TELEAY) **30** (51), 7199 (1989).

Formulation(s): cream 3 mg/g; eye drops 3 mg/ml; f. c. tabl. 100 mg, 200 mg, 400 mg; tabl. 200 mg, 400 mg; vial 100 mg/50 ml, 200 mg/100 ml, 400 mg/200 ml (as hydrochloride)

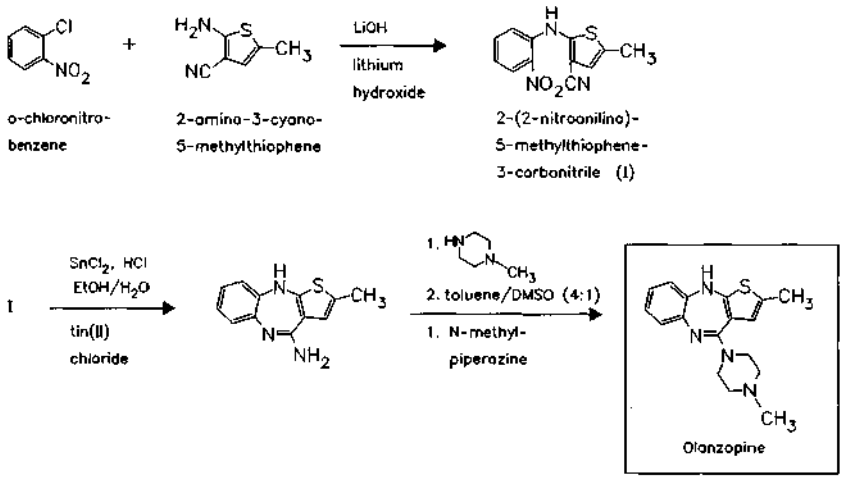
Trade Name(s):

D:	Floxal (Mann)		Oflocet (Roussel)		Oflocin (Glaxo Wellcome; 1987)
	Tarivid (Hoechst; 1985)	GB:	Exocin (Allergan)		
	Uro-Tarivid (Hoechst)		Tarivid (Hoechst)	J:	Tarivid (Daiichi Seiyaku)
F:	Exocine (Allergan)	I:	Exocin (Allergan)	USA:	Floxin (Ortho-McNeil)
	Monoflocet (Roussel)		Flobacin (Sigma-Tau)		Ocuflox (Allergan)

Olanzapine
(LY-170053)

ATC: N05AH03
Use: antipsychotic

RN: 132539-06-1 MF: $C_{17}H_{20}N_4S$ MW: 312.44
CN: 2-methyl-4-(4-methyl-1-piperazinyl)-10*H*-thieno[2,3-*b*][1,5]benzodiazepine



Reference(s):
EP 454 436 (Lilly; appl. 30.10.1991; GB-prior. 25.4.1990).
EP 733 634 (Lilly; appl. 25.9.1996; USA-prior. 24.3.1995).
US 5 229 382 (Lilly; 20.7.1993; GB-prior. 25.4.1990).
EP 733 367 (Lilly & Co.; appl. 25.9.1996).
Chakrabati, J.K. et al.: J. Med. Chem. (JMCMAR) **23**, 878, 884 (1980).
Hagopian, G.S.; Meyers, D.B.; Markham, J.K.: Teratology (TJADAB) **35**(2), Abst. P65 (1987).

intermediates and process for preparing olanzapine:
EP 831 098 (Eli Lilly; appl. 22.9.1997; USA-prior. 23.9.1996).

pharmaceutical compositions:
US 5 919 485 (Eli Lilly; 6.7.1999; appl. 20.9.1996; USA-prior. 24.3.1995).
EP 830 864 (Eli Lilly; appl. 22.9.1997; USA-prior. 23.9.1996).

crystalline olanzapine:
EP 733 635 (Eli Lilly; appl. 22.3.1996; USA-prior. 24.3.1995).

Formulation(s): f. c. tabl. 2.5 mg, 5 mg, 7.5 mg, 10 mg

Trade Name(s):

D:	ZYPREXA (Lilly)	I:	Zyprexa (Lilly)
GB:	Zyprexa (Lilly; 1996)	USA:	Zyprexa (Lilly)

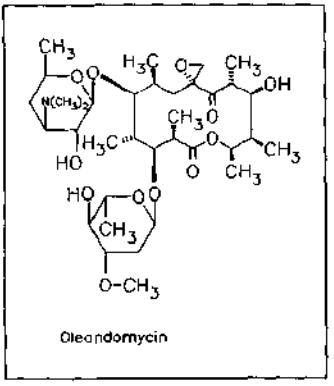
Oleandomycin

(Troleandomycin)

ATC: J01FA05
Use: antibiotic

RN: 3922-90-5 MF: $C_{35}H_{61}NO_{12}$ MW: 687.87 EINECS: 223-495-7
LD_{50}: 460 mg/kg (M, i.v.); 8200 mg/kg (M, p.o.);
440 mg/kg (R, i.v.); 6700 mg/kg (R, p.o.)
CN: [3*R*-(3*R**,5*R**,6*S**,7*R**,8*R**,11*R**,12*R**,13*R**,14*S**,15*S**)]-12-[(2,6-dideoxy-3-*O*-methyl-α-L-*arabino*-hexopyranosyl)oxy]-6-hydroxy-5,7,8,11,13,15-hexamethyl-14-[[3,4,6-trideoxy-3-(dimethylamino)-β-D-*xylo*-hexopyranosyl]oxy]-1,9-dioxaspiro[2.13]hexadecane-4,10-dione

phosphate (1:1)
RN: 7060-74-4 MF: $C_{35}H_{61}NO_{12} \cdot H_3PO_4$ MW: 785.86 EINECS: 230-351-7
LD_{50}: 400 mg/kg (M, i.v.); 4 g/kg (M, p.o.);
480 mg/kg (R, i.v.)



From fermentation solutions of *Streptomyces antibioticus*.

Reference(s):
US 2 757 123 (Pfizer; 31.7.1956; prior. 1.6.1953, 29.6.1955).
US 2 842 481 (Pfizer; 8.7.1958; prior. 12.3.1957).

Formulation(s): cps. 250 mg; tabl. 100 mg; vial 500 mg (as phosphate)

Trade Name(s):

D:	Oleandocyn (Pfizer); wfm	I:	Boramycina (Benvegna)-comb.; wfm	J:	Matromycin (Taito Pfizer)
F:	Sigmamycine (Rosa-Phytopharma)-comb.; wfm		Olmicina (Morgan); wfm		Sigmamycin (Pfizer)-comb.
	generic; wfm		Triolmicina (Ripari-Gero); wfm		Taocin-O (Sankyo)
				USA:	TAO (Pfizer)

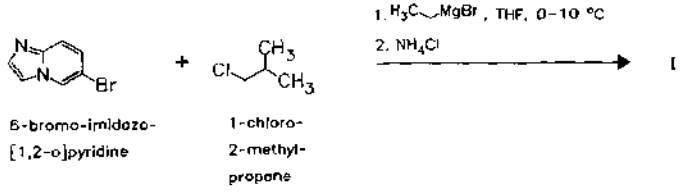
Olprinone hydrochloride

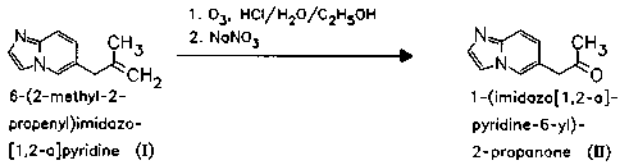
(E-1020; Loprinone)

ATC: C01D
Use: cardiotonic, vasodilator, PDE III-inhibitor

RN: 119615-63-3 MF: $C_{14}H_{10}N_4O \cdot HCl$ MW: 286.72
LD_{50}: 242 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
176 mg/kg (R, i.v.); 7804 mg/kg (R, p.o.);
>100 mg/kg (dog, i.v.)
CN: 1,2-dihydro-5-imidazo[1,2-*a*]pyridin-6-yl-6-methyl-2-oxo-3-pyridinecarbonitrile monohydrochloride

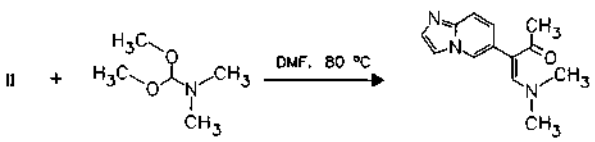
olprinone
RN: 106730-54-5 MF: $C_{14}H_{10}N_4O$ MW: 250.26





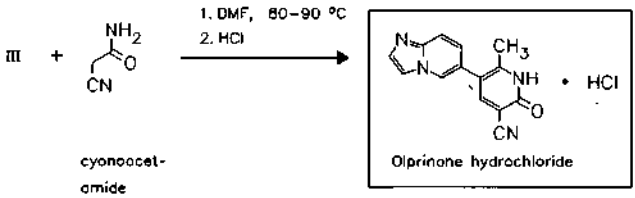
6-(2-methyl-2-propenyl)imidazo-[1,2-a]pyridine (I)

1-(imidazo[1,2-a]-pyridine-6-yl)-2-propanone (II)



dimethylform-amide dimethyl acetol

4-(dimethylamino)-3-(imidazo[1,2-a]-pyridin-6-yl)-3-buten-2-one (III)



cyanoacet-amide

Reference(s):

preparation of intermediate II:
JP 63 077 879 (Eisai; appl. 22.9.1986; J-prior. 22.9.1986).
Yamanaka, M. et al.: Chem. Pharm. Bull. (CPBTAL) **40** (6), 1486 (1992).

preparation of olprinone *from II:*
EP 199 127 (Eisai; appl. 25.3.1986; J-prior. 26.3.1985).
Yamanaka, M. et al.: Chem. Pharm. Bull. (CPBTAL) **39** (6), 1556 (1991).

Formulation(s): vial 5 mg/5 ml

Trade Name(s):
J: Coretec (Eisai)

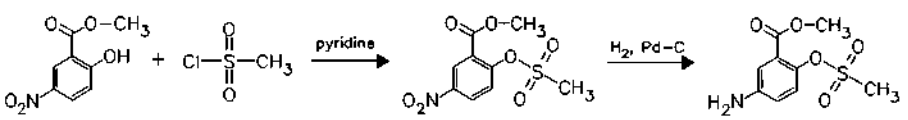
Olsalazine sodium

(Di-5-ASA)

ATC: A07EC03
Use: therapeutic (ulcerative colitis)

RN: 6054-98-4 MF: $C_{14}H_8N_2Na_2O_6$ MW: 346.21
CN: 3,3'-azobis[6-hydroxybenzoic acid] disodium salt

free acid
RN: 15722-48-2 MF: $C_{14}H_{10}N_2O_6$ MW: 302.24 EINECS: 227-975-7

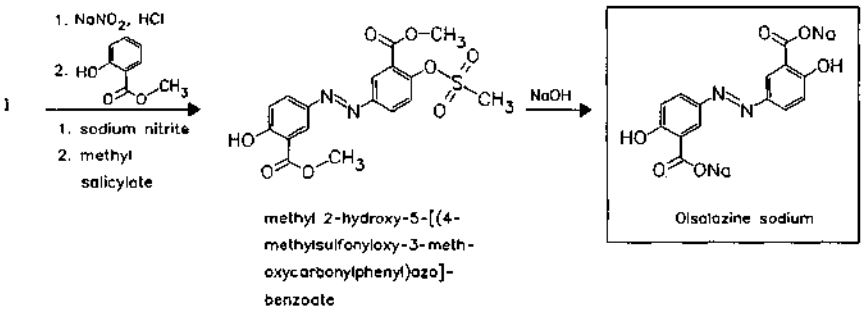


methyl 2-hydroxy-5-nitrobenzoate

methane-sulfonyl chloride

methyl 2-methyl-sulfonyloxy-5-nitrobenzoate

methyl 5-omino-2-methylsulfonyl-oxybenzoate (I)



Reference(s):
EP 36 636 (Pharmacia; appl. 19.3.1981; S-prior. 26.3.1980).
US 4 528 367 (Pharmacia; 9.7.1985; S-prior. 26.3.1980).

preparation of methyl 2-hydroxy-5-nitrobenzoate:
Kakigami, T.; Baba, K.; Usui, T.: Heterocycles (HTCYAM) **48** (12), 2611 (1998).
Baker et al.: J. Chem. Soc. (JCSOA9) **1950**, 170.
Barany; Pianka: J. Chem. Soc. (JCSOA9) **1946**, 965.

Formulation(s): cps. 250 mg; tabl. 500 mg

Trade Name(s):

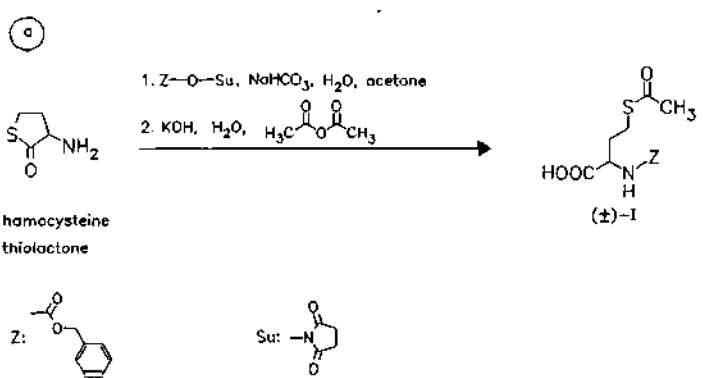
D:	Dipentum (Pharmacia & Upjohn; 1989)	GB:	Dipentum (Pharmacia & Upjohn; 1989)	USA:	Dipentum (Pharmacia & Upjohn)
F:	Dipentum (Pharmacia & Upjohn)	I:	Dipentum (Pharmacia & Upjohn; 1991)		

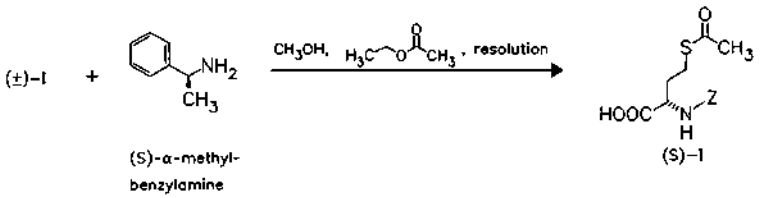
Omapatrilat

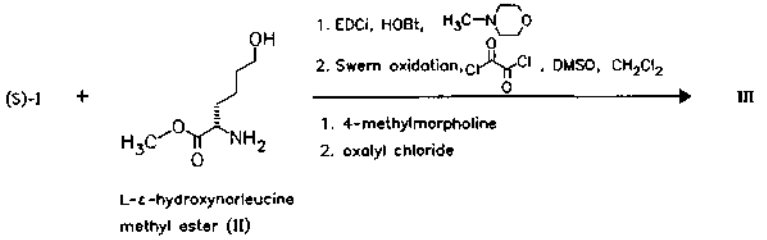
(BMS-186716)

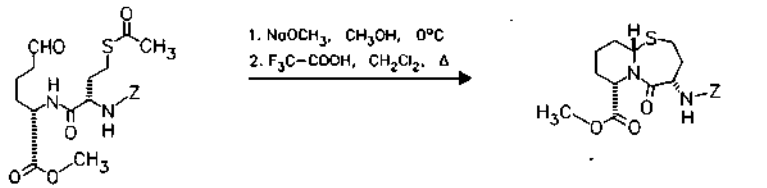
Use: antihypertensive, dual ACE and NEP (neutral endopeptidase) inhibitor

RN: 167305-00-2 MF: $C_{19}H_{24}N_2O_4S_2$ MW: 408.54
CN: (4*S*,7*S*,10a*S*)-Octahydro-4-[[(2*S*)-2-mercapto-1-oxo-3-phenylpropyl]amino]-5-oxo-7*H*-pyrido[2,1-*b*][1,3]thiazepine-7-carboxylic acid



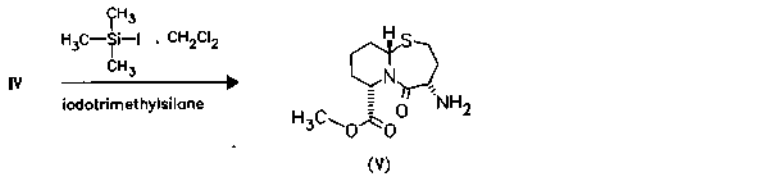


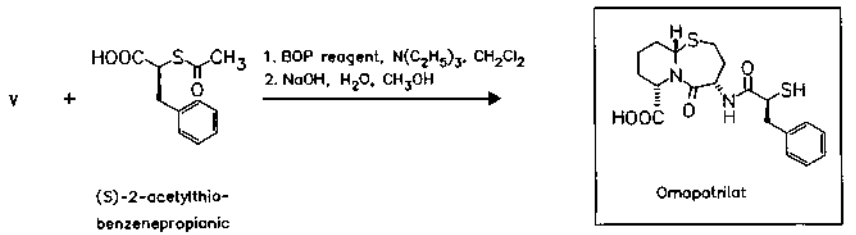


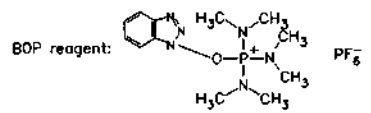


[S-(R*,R*)]-2-[[4-(acetylthio)-1-oxo-2-[[(phenylmethoxy)-carbonyl]amino]butyl]-amino]-6-oxohexanoic acid methyl ester (III)

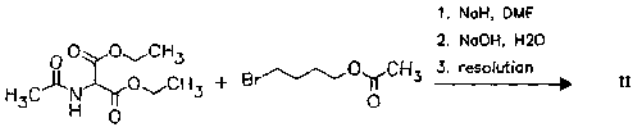
[4S-(4aα,7α,10aβ)]-octa-hydro-4-[[(phenylmethoxy)-carbonyl]amino]-5-oxo-7H-pyrido[2,1-b][1,3]-thiazepine-7-carboxylic acid methyl ester (IV)





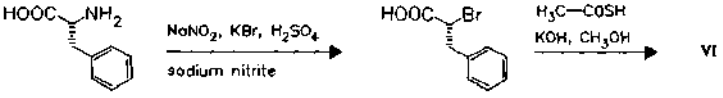


(aa) synthesis of L-ε-hydroxynorleucine methyl ester (II)



diethyl acetamidomalonate

(ab) synthesis of (S)-2-(acetylthio)benzenepropionic acid (VI)



D-phenylalanine

(R)-2-bromo-benzenepropionic acid

Reference(s):
EP 629 627 (Bristol-Myers Squibb; appl. 13.6.1994; USA-prior. 15.6.1993).
US 5 508 272 (Bristol-Myers Squibb; 16.4.1996; USA-prior. 15.6.1993).
Robl, J.A. et al.: J. Med. Chem. (JMCMAR) **40**, 1570-1577 (1997).
WO 9 935 145 (Bristol-Myers Squibb; appl. 11.12.1998; USA-prior. 6.1.1998).

Trade Name(s):
D: Vanlev (Bristol-Myers Squibb)

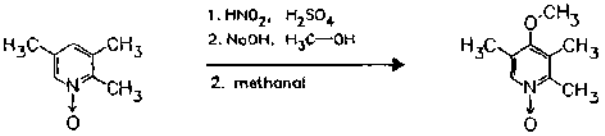
Omeprazole

(H-168/68)

ATC: A02BD01
Use: H^+/K^+-ATPase-inhibitory ulcer therapeutic (Zollinger-Ellison Syndrom, reflux oesophagitis)

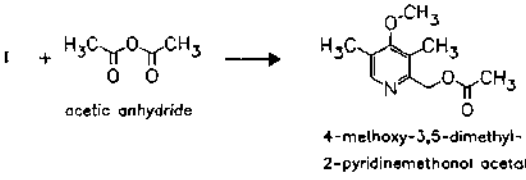
RN: 73590-58-6 MF: $C_{17}H_{19}N_3O_3S$ MW: 345.42
LD_{50}: 82.8 mg/kg (M, i.v.); >4 g/kg (M, p.o.);
>50 mg/kg (R, i.v.); 2210 mg/kg (R, p.o.)
CN: 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1*H*-benzimidazole

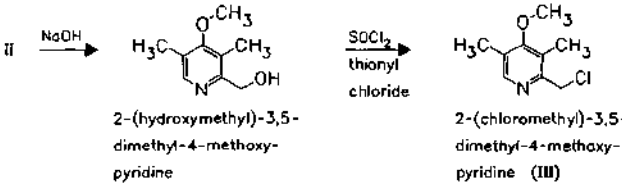
monosodium salt
RN: 95510-70-6 MF: $C_{17}H_{18}N_3NaO_3S$ MW: 367.41
LD_{50}: 278 mg/kg (R, i.v.)

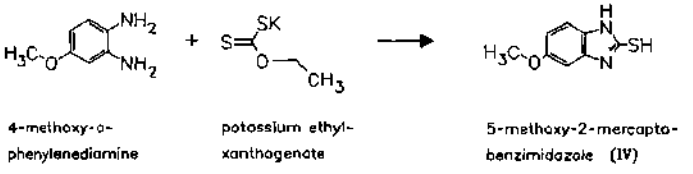


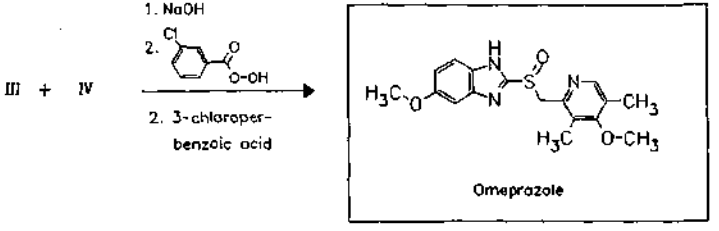
2,3,5-trimethyl-pyridine N-oxide

4-methoxy-2,3,5-trimethyl-pyridine N-oxide (I)









Reference(s):
EP 5 129 (Hässle; appl. 3.4.1979; S-prior. 14.4.1978).
US 4 255 431 (Hässle; 10.3.1981; S-prior. 14.4.1978).

alternative synthesis of III:
EP 103 553 (Hässle; appl. 30.6.1983; S-prior. 26.8.1982).

alternative synthesis of omeprazole:
WO 9 809 962 (Slovakofarma 13.3.1998; appl. 8.9.1997; SK-prior. 9.9.1996).
WO 9 729 103 (PDI Res.; 14.8.1994; appl. 5.2.1997; CA-prior. 6.2.1996, 10.4.1996).
WO 9 722 603 (Astra; 26.6.1997; appl. 5.12.1996; S-prior. 15.12.1995).
US 5 374 730 (Torcan; 20.12.1994; appl. 4.11.1993).
EP 533 264 (Merck & Co.; 24.3.1993; appl. 12.9.1992; USA-prior. 20.9.1991, 15.10.1991).
WO 9 118 895 (Astra; 12.12.1991; appl. 5.6.1991; S-prior. 7.6.1990).
EP 484 265 (Centro Genesis para la Inv.; appl. 24.10.1991; E-prior. 31.10.1990).
WO 9 850 361 (PDI Research; appl. 21.4.1998; CA-prior. 6.5.1997).
WO 9 840 378 (Bristol-Myers Squibb; appl. 16.2.1998; DK-prior. 7.3.1997).
WO 9 947 514 (Knoll AG; appl. 11.3.1999; GB-prior. 17.3.1998).
EP 302 720 (Takeda Chem. Ind.; appl. 3.8.1988; J-prior. 4.8.1987).

synthesis of intermediates:
EP 226 558 (Hässle; appl. 8.9.1986; S-prior. 24.9.1985).
ES 2 035 767 (Centro Genesis; 16.4.1993; appl. 5.4.1991)

alkaline salts:
EP 124 495 (Hässle; appl. 28.2.1984; S-prior. 4.3.1983).
ES 2 023 778 (Centro Genesis; 1.2.1992).
WO 9 900 380 (Astra; appl. 11.6.1998; S-prior. 27.6.1997).

cyclodextrin complexes:
EP 190 239 (Byk Gulden Lomberg; appl. 24.7.1985; D-prior. 27.7.1984).

oral composition:
EP 247 983 (Yoshitomi; appl. 16.4.1987; GB-prior. 30.4.1986).
WO 9 601 623 (Astra; 25.1.1996; appl. 7.6.1995; S-prior. 8.7.1994).
US 5 232 706 (Esteve; 3.8.1993; E-prior. 31.12.1990, 24.6.1991).
WO 9 850 019 (Sage Pharm; appl. 8.5.1998; USA-prior. 9.5.1997; 15.10.1997).

new crystalline form of omeprazole:
WO 9 908 500 (Astra; appl. 10.11.1998).

transdermal application:
WO 9 000 054 (Upjohn; appl. 1.5.1989; USA-prior. 30.6.1988).

treatment of osteoporosis:
EP 338 066 (Hässle; appl. 27.10.1988; S-prior. 30.10.1987).

Formulation(s): cps. 10 mg, 20 mg, 40 mg; vial 40 mg (as sodium salt)

Trade Name(s):

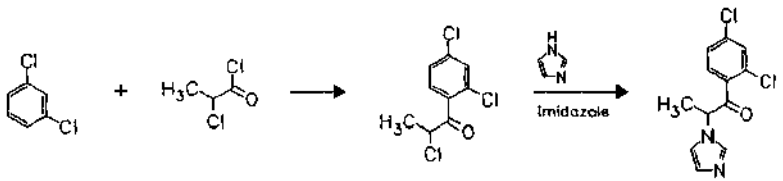
D:	Antra (Astra; 1989) Gastroloc (pharma-stern; 1989)	I:	Anthra (Astra Farmaceutici) Losec (Plough; 1990) Mepral (Bracco; 1990) Omeprazen (Malesci; 1990)	J:	Omepral (Fujisawa-Astra; 1991) Omeprazon (Yoshitomi)
F:	Mopral (Astra; 1989)			USA:	Prilosec (Astra Merck)
GB:	Losec (Astra; 1989)				

Omoconazole nitrate

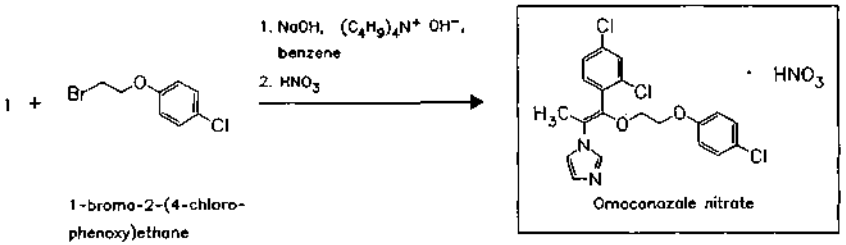
ATC: D01AC13; G01AF16
Use: topical antifungal, antimycotic

RN: 83621-06-1 MF: $C_{20}H_{17}Cl_3N_2O_2 \cdot HNO_3$ MW: 486.74
CN: (Z)-1-[2-[2-(4-chlorophenoxy)ethoxy]-2-(2,4-dichlorophenyl)-1-methylethenyl]-1*H*-imidazole mononitrate

omoconazole
RN: 74512-12-2 MF: $C_{20}H_{17}Cl_3N_2O_2$ MW: 423.73



1,3-dichlorobenzene | 2-chloropropionyl chloride | 2,2',4'-trichloropropiophenone | (I)



Reference(s):
US 4 210 657 (Siegfried AG; 1.7.1980; D-prior. 11.9.1978).
US 4 554 356 (Siegfried AG; 19.11.1985; CH-prior. 23.1.1981).
EP 8 804 (Siegfried AG; appl. 7.9.1979; D-prior. 11.9.1978).
EP 69 754 (Siegfried AG; appl. 21.1.1982; CH-prior. 23.1.1981).
Thiele, K. et al.: Helv. Chim. Acta (HCACAV) **70**, 441 (1987).

preparation of 2,2',4'-trichloropropiophenone:
Konosu, T. et al.: Chem. Pharm. Bull. (CPBTAL) **38** (5), 1258 (1990).

Formulation(s): cream 10 mg/1 g

Trade Name(s):

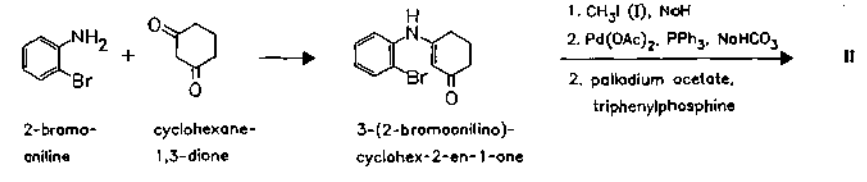
D:	Fungisan (Galderma)	Fongarex (Besins-Iscovesco)		I:	Afongan (Galderma)
F:	Fongamil (Biorga)				

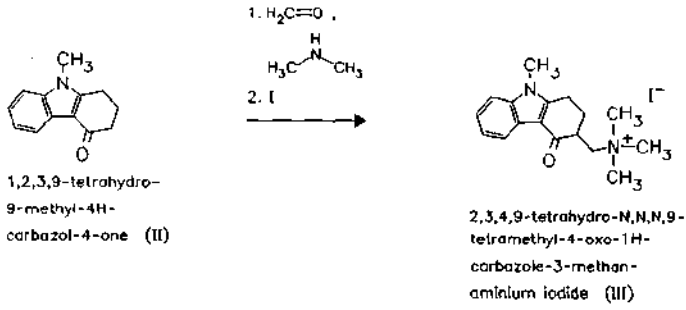
Ondansetron

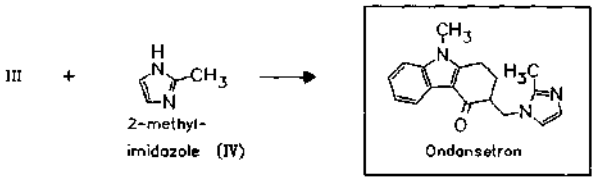
ATC: A04AA01
Use: 5-HT_3-antagonist, anti-emetic

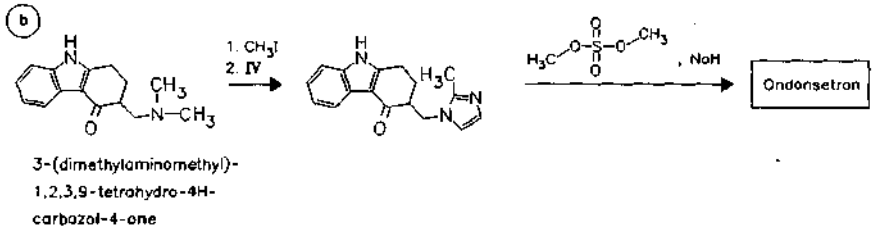
RN: 99614-02-5 MF: $C_{18}H_{19}N_3O$ MW: 293.37
CN: 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1*H*-imidazol-1-yl)methyl]-4*H*-carbazol-4-one

monohydrochloride
RN: 99614-01-4 MF: $C_{18}H_{19}N_3O \cdot HCl$ MW: 329.83
LD_{50}: 20.2 mg/kg (R, i.v.); 94.897 mg/kg (R, p.o.);
>15 mg/kg (dog, i.v.); >45 mg/kg (dog, p.o.)
monohydrochloride dihydrate
RN: 103639-04-9 MF: $C_{18}H_{19}N_3O \cdot HCl \cdot 2H_2O$ MW: 365.86









Reference(s):
DOS 3 502 508 (Glaxo; appl. 25.1.1985; GB-prior. 25.1.1984, 15.10.1984).
US 4 695 578 (Glaxo; 22.9.1987; appl. 17.11.1986; prior. 22.1.1986; GB-prior. 25.1.1984).

(R)-(+)-enantiomer:
US 5 470 868 (Sepracor; 28.11.1995; prior. 26.6.1991; 27.8.1991)

synthesis of intermediate II:
Iida, H. et al.: J. Org. Chem. (JOCEAH) **45**, 2938 (1980).

alternative syntheses:
US 4 739 072 (Glaxo; appl. 23.7.1986; GB-prior. 24.7.1985).
US 4 957 609 (Glaxo; 18.9.1990; GB-prior. 24.7.1985).
US 4 725 615 (Glaxo; appl. 23.7.1986; GB-prior. 24.7.1985).
Kim, M.Y. et al.: Heterocycles (HTCYAM) **45** (10), 2041 (1997).

antiemetic compositions:
DOS 3 906 883 (Glaxo; appl. 3.3.1989; GB-prior. 4.3.1988).
US 4 983 621 (Glaxo; 8.1.1991; appl. 6.7.1989; GB-prior. 7.7.1988).

medical use for treating panic disorders:
WO 9 012 569 (Sandoz; appl. 1.11.1990).

medical use for treating dementia:
EP 275 668 (Glaxo; appl. 16.12.1987; GB-prior. 17.12.1986).

medical use for treatment of withdrawal syndrome:
WO 8 803 801 (Glaxo; appl. 20.11.1987; GB-prior. 21.11.1986).

Formulation(s): amp. 4 mg/2 ml, 8 mg/4 ml; f. c. tabl. 4 mg, 8 mg; sol. (inj.) 4 mg/ml (as hydrochloride dihydrate)

Trade Name(s):

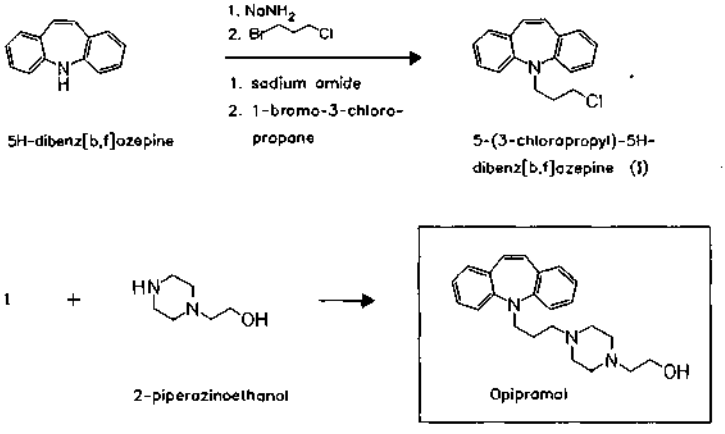
D:	Zofran (Glaxo Wellcome)	GB:	Zofran (Glaxo Wellcome; 1991)	J:	Zofran (Glaxo-Sankyo, Sankyo)
F:	Zophren (Glaxo Wellcome)	I:	Zofran (Glaxo Wellcome)	USA:	Zofran (Glaxo Wellcome)

Opipramol

ATC: N06AA05
Use: thymoleptic, antidepressant

RN: 315-72-0 MF: $C_{23}H_{29}N_3O$ MW: 363.51 EINECS: 206-254-0
LD_{50}: 45 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
32 mg/kg (R, i.v.); 1110 mg/kg (R, p.o.)
CN: 4-[3-(5*H*-dibenz[*b*,*f*]azepin-5-yl)propyl]-1-piperazineethanol

dihydrochloride
RN: 909-39-7 MF: $C_{23}H_{29}N_3O \cdot 2HCl$ MW: 436.43 EINECS: 213-000-2
LD_{50}: 45 mg/kg (M, i.v.); 443 mg/kg (M, p.o.);
32 mg/kg (R, i.v.); 900 mg/kg (R, p.o.)



Reference(s):
GB 862 297 (Geigy; appl. 8.5.1958; CH-prior. 9.5.1957).
FR 1 271 971 (Geigy; appl. 12.8.1959; CH-prior. 13.8.1958).
GB 881 398 (Rhône-Poulenc, appl. 29.9.1958; valid from 7.9.1959).
DE 1 132 556 (Geigy; appl. 12.8.1959; CH-prior. 13.8.1958).
DE 1 133 729 (Geigy; appl. 8.5.1958; CH-prior. 9.5.1957).

Formulation(s): drg. 50 mg (as dihydrochloride)

Trade Name(s):

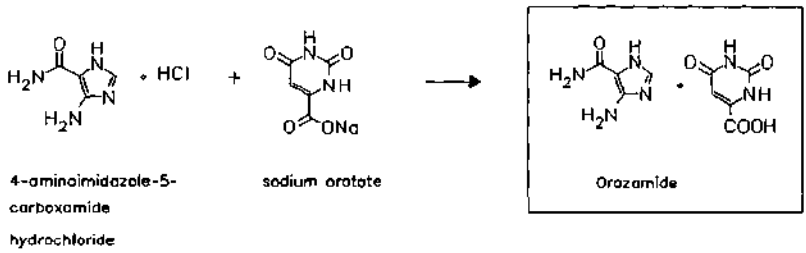
D:	Insidon (Novartis Pharma)	I:	Insidon (Novartis Farma)	USA:	Ensidon (Ciba-Geigy); wfm
F:	Insidon (Novartis)	J:	Insidon (Geigy-Fujisawa)		
GB:	Insidon (Geigy); wfm				

Orazamide

(AICA-Orotate)

ATC: A05B
Use: liver therapeutic

RN: 2574-78-9 MF: $C_5H_4N_2O_4 \cdot C_4H_6N_4O$ MW: 282.22 EINECS: 219-923-7
LD_{50}: 600 mg/kg (M, i.p.)
CN: 5-amino-1*H*-imidazole-4-carboxamide orotate (1:1)



4-aminoimidazole-5-carboxamide hydrochloride

sodium orotate

Orazamide

Reference(s):
GB 1 018 117 (Fujisawa; appl. 13.3.1963; J-prior. 15.3.1962).
US 3 271 398 (Fujisawa; 6.9.1966; J-prior. 15.3.1962).

newer method for AICA:
DE 2 160 674 (Sagami; appl. 29.12.1971; J-prior. 9.12.1970).

Formulation(s): tabl. 100 mg

Trade Name(s):

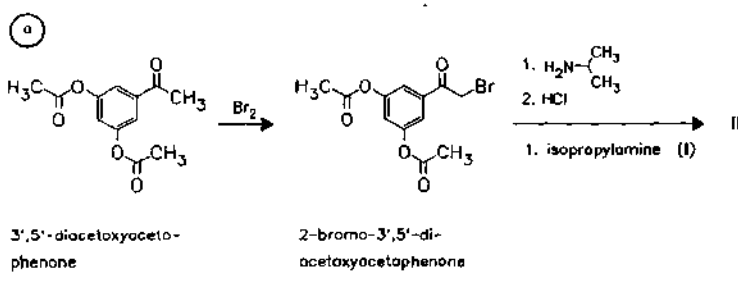
D:	Aicorat (Mack, Illert.); wfm	F:	Aicamine (Labaz); wfm	J:	Aicamin (Fujisawa)
		I:	Aicamin (Crinos); wfm		

Orciprenaline
(Metaproterenol)

ATC: R03AB03; R03CB03
Use: bronchodilator, antiasthmatic

RN: 586-06-1 MF: $C_{11}H_{17}NO_3$ MW: 211.26 EINECS: 209-569-1
LD_{50}: 86 mg/kg (M, i.v.); >8130 mg/kg (M, p.o.);
67.2 mg/kg (R, i.v.); 3370 mg/kg (R, p.o.);
30 mg/kg (dog, i.v.); 125 mg/kg (dog, p.o.)
CN: 5-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]-1,3-benzenediol

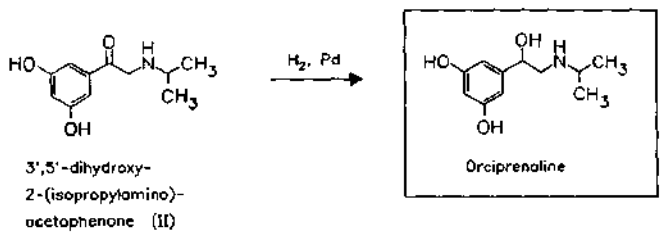
sulfate (2:1)
RN: 5874-97-5 MF: $C_{11}H_{17}NO_3 \cdot 1/2H_2SO_4$ MW: 520.60 EINECS: 227-539-6
LD_{50}: 114 mg/kg (M, i.v.); 4800 mg/kg (M, p.o.);
5538 mg/kg (R, p.o.)



3',5'-diacetoxyacetophenone

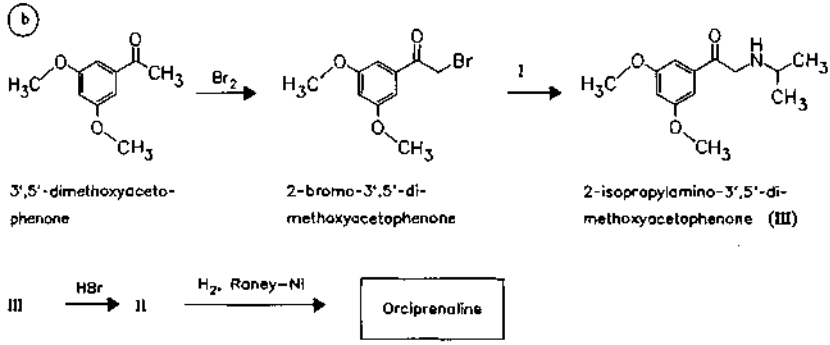
2-bromo-3',5'-diacetoxyacetophenone

1. isopropylamine (I)



3',5'-dihydroxy-2-(isopropylamino)-acetophenone (II)

Orciprenaline



Reference(s):
DE 1 275 069 (Boehringer Ing.; appl. 15.2.1960).
US 3 341 594 (Boehringer Ing.; 12.9.1967; D-prior. 15.2.1960).

Formulation(s): amp. 0.5 mg; doses aerosol 1.5 mg, 0.75 mg; drops 2 %; inhalation aerosol 750 µg/metered inhalation; syrup 10 mg/5 ml; sol. 15 mg; tabl. 20 mg (as sulfate)

Trade Name(s):

D:	Alupent (Boehringer Ing.)	I:	Alupent (Boehringer Ing.)	USA:	Alupent (Boehringer Ing.) generics
F:	Alupent (Boehringer Ing.)	J:	Alotec (Boehringer-Tanabe)		
GB:	Alupent (Boehringer Ing.)				

Orgotein

ATC: M01AX14
Use: anti-inflammatory

RN: 9054-89-1 MF: unspecified MW: unspecified EINECS: 232-771-6
CN: dismutase superoxide

Water soluble protein with a relative molecular mass of ca. 32600, which particularly contains copper and zinc bound like chelate (ca. 4 gram atoms) and has superoxide-dismutase-activity. It is isolated from bovine liver or from hemolyzed, plasma free erythrocytes obtained from bovine blood. Purification by manyfold fractionated precipitation and solvolyse methods and definitive separation of the residual foreign proteins by denaturizing heating of the orgotein concentrate in buffer solution to ca. 65-70 °C and gel filtration and/or dialysis.

Reference(s):
DE 2 101 866 (Diagnostic Data; appl. 15.1.1971; USA-prior. 16.1.1970).
US 3 579 495 (Diagnostic Data; 18.5.1971; prior. 13.5.1968, 10.4.1969, 24.4.1970).
US 3 624 251 (Diagnostic Data; 30.11.1971; appl. 16.1.1970).
US 3 687 927 (Diagnostic Data; 29.8.1972; prior. 31.8.1966, 2.8.1967, 7.6.1971).

Formulation(s): vial 4 mg, 8 mg

Trade Name(s):

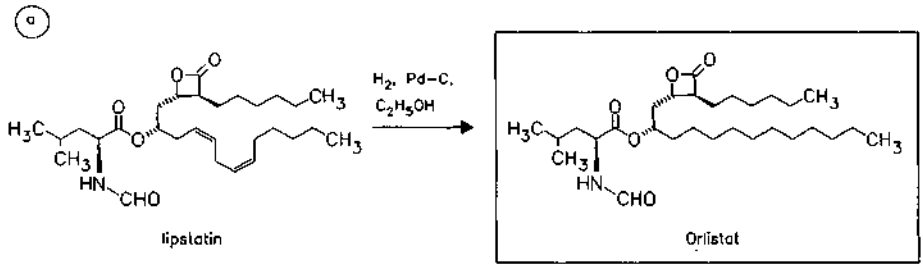
D:	Peroxinorm (Grünenthal); wfm	Interceptor (Isnardi); wfm	Oxinorm (Zambeletti); wfm
I:	Artrolasi (Ausonia); wfm	Orgo-M (Max Farma); wfm	
		Orgoten (Serono); wfm	

Orlistat

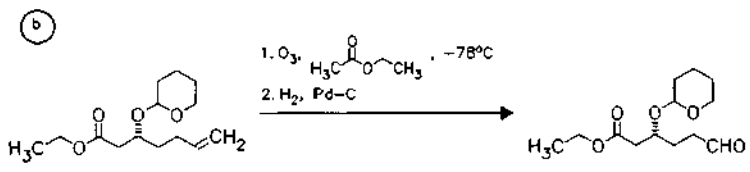
(Tetrahydrolipstatin; Orlipastat; Ro-18-0647)

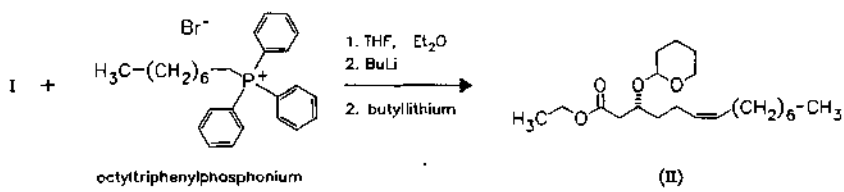
ATC: A08AB01
Use: antiobesity, pancreatic lipase inhibitor

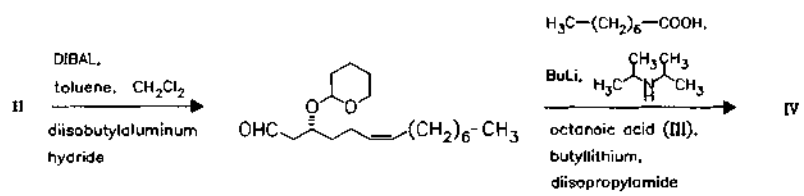
RN: 96829-58-2 MF: $C_{29}H_{53}NO_5$ MW: 495.75
CN: *N*-Formyl-L-leucine [2*S*-[2α(*R**),3.beta.]]-1-[[3-hexyl-4-oxo-2-oxetanyl]methyl]dodecyl ester

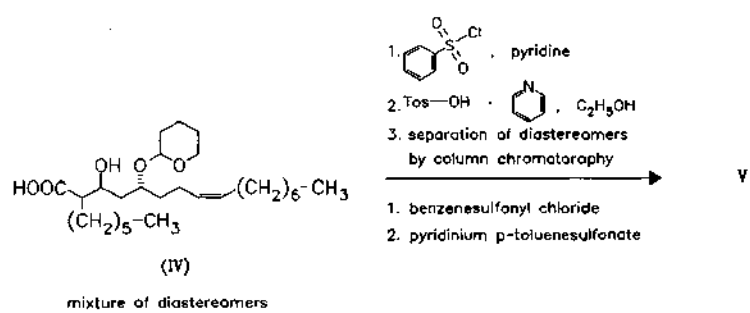


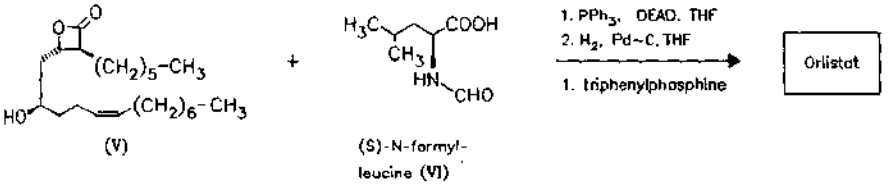
lipstatin is produced by fermentation of Streptomyces toxytricini.





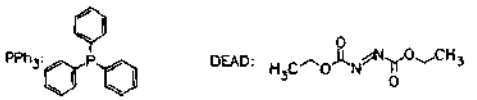




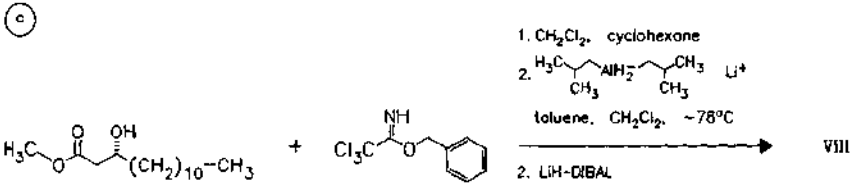


(V)

(S)-N-formyl-leucine (VI)

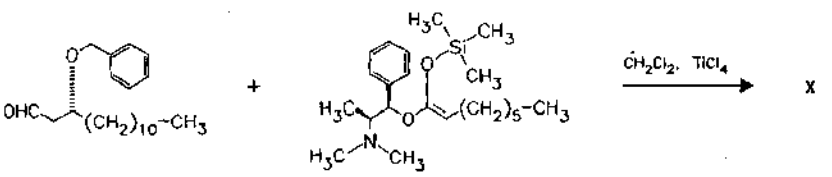


(c)



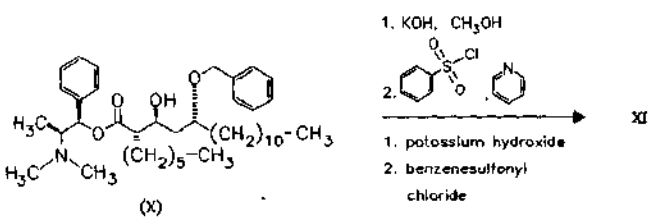
methyl (R)-3-hydroxy-tetradecanoate

benzyl trichloro-acetimidate (VII)

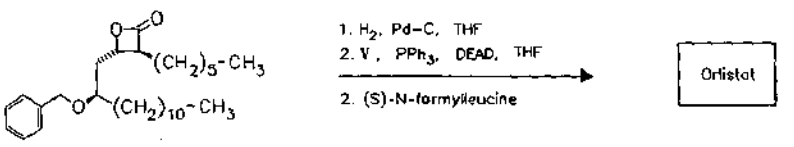


(VIII)

(IX)

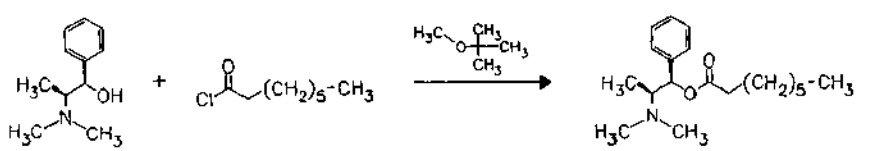


(X)



(XI)

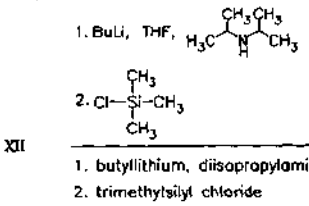
preparation of intermediate IX



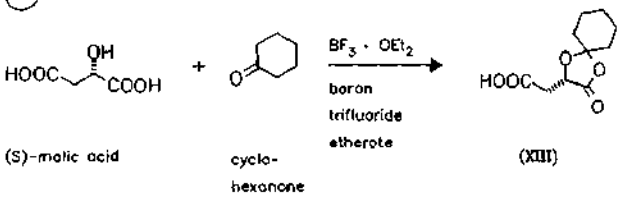
(–)-N-methyl-ephedrine

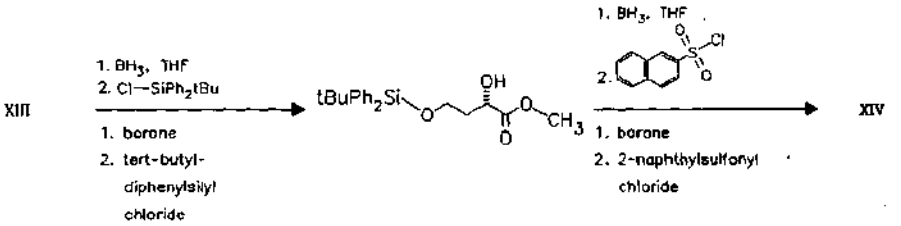
octanoyl chloride

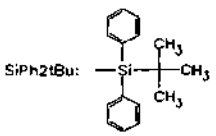
(XII)

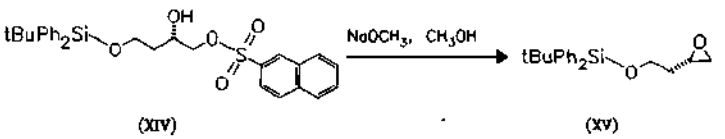

1. BuLi, THF,
2.
XII
IX
1. butyllithium, diisopropylamine
2. trimethylsilyl chloride

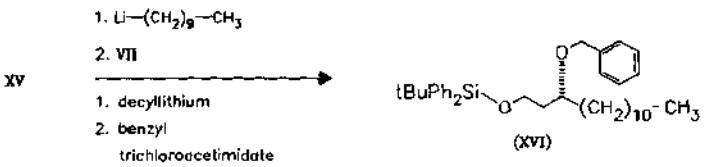
(d)

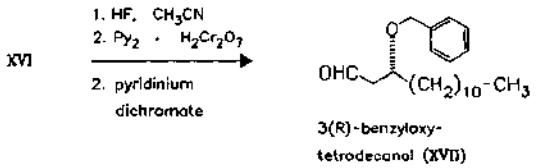

HOOC
COOH
+
$BF_3 \cdot OEt_2$
boron trifluoride etherate
HOOC
(S)-malic acid
cyclo-hexanone
(XIII)

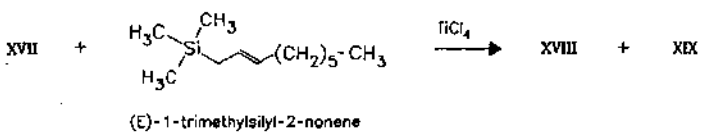

XIII
1. BH_3, THF
2. $Cl-SiPh_2tBu$
1. borane
2. tert-butyl-diphenylsilyl chloride
$tBuPh_2Si$
OH
CH_3
1. BH_3, THF
2.
Cl
1. borane
2. 2-naphthylsulfonyl chloride
XIV

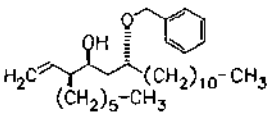

SiPh2tBu:


$tBuPh_2Si$
OH
$NaOCH_3$, CH_3OH
$tBuPh_2Si$
(XIV)
(XV)

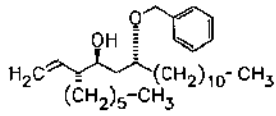

XV
1. $Li-(CH_2)_9-CH_3$
2. VII
1. decyllithium
2. benzyl trichloroacetimidate
$tBuPh_2Si$
$(CH_2)_{10}-CH_3$
(XVI)


XVI
1. HF, CH_3CN
2. $Py_2 \cdot H_2Cr_2O_7$
2. pyridinium dichromate
OHC
$(CH_2)_{10}-CH_3$
3(R)-benzyloxy-tetradecanol (XVII)


XVII
+
$(CH_2)_5-CH_3$
$TiCl_4$
XVIII
+
XIX
(E)-1-trimethylsilyl-2-nonene

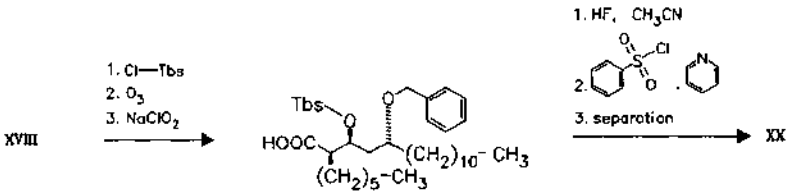


(XVIII)

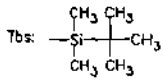


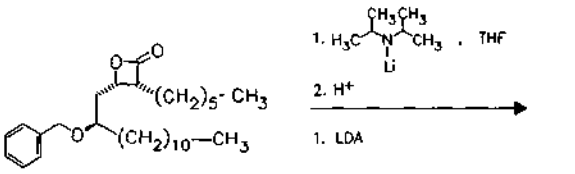
(XIX)

the diastereomers are separated by column chromatography

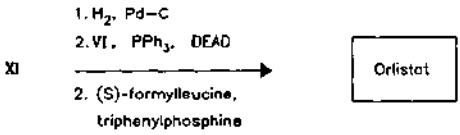


XVIII → XX

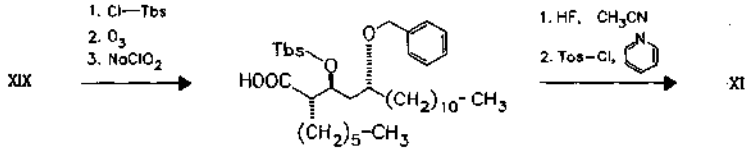
Tbs: 



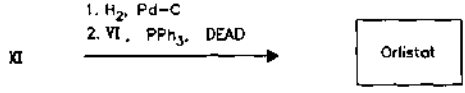
(XX) → XI



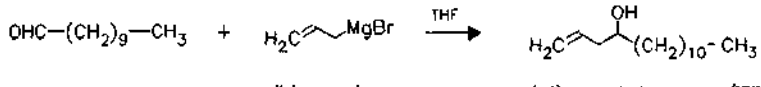
finalization of synthesis from the stereoisomer XIX



XIX → XI

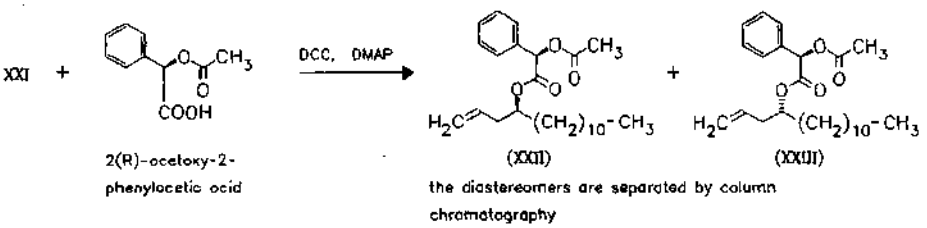


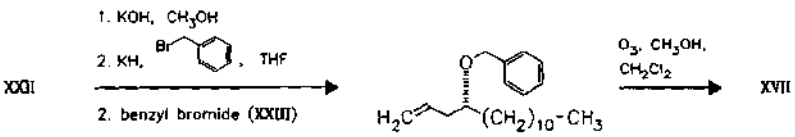
alternative synthesis of 3(R)-benzyloxytetradecanal XVII

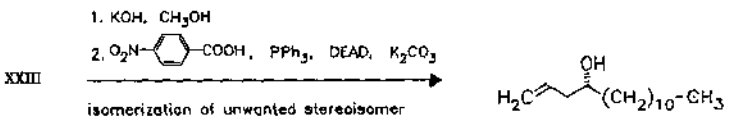


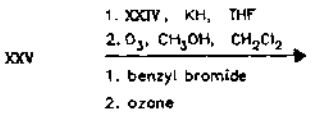
allylmagnesium bromide

(RS)-1-pentadecen-4-ol (XXI)

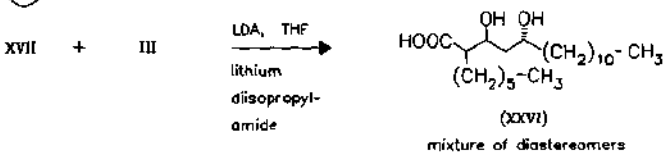

XXI
DCC, DMAP
2(R)-acetoxy-2-phenylacetic acid
(XXII)
(XXIII)
the diastereomers are separated by column chromatography

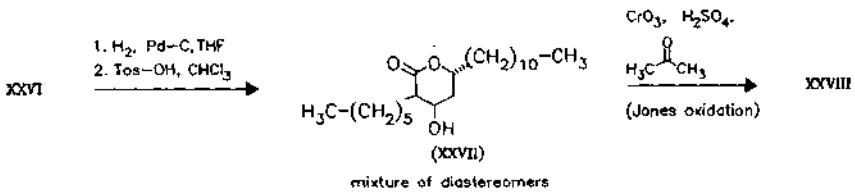

XXII
1. KOH, CH3OH
2. KH, THF
2. benzyl bromide (XXIII)
O3, CH3OH, CH2Cl2
XVII

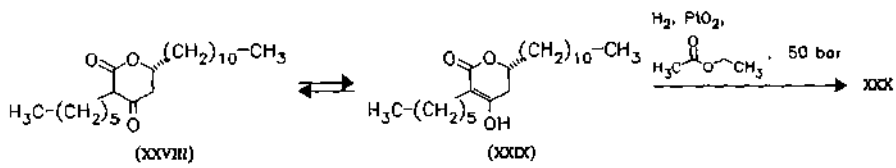

XXIII
1. KOH, CH3OH
2. PPh3, DEAD, K2CO3
isomerization of unwanted stereoisomer
(XXV)

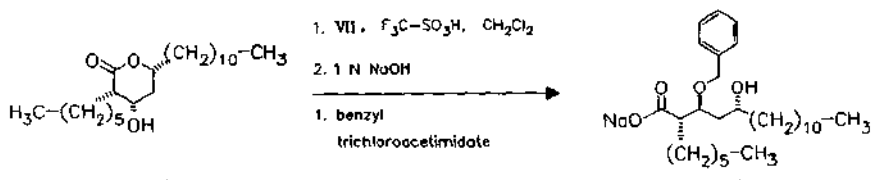

XXV
1. XXIV, KH, THF
2. O3, CH3OH, CH2Cl2
XVII
1. benzyl bromide
2. ozone

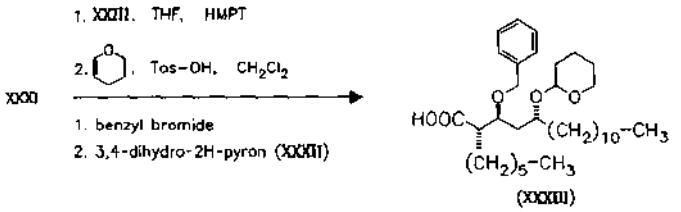
e


XVII + III
LDA, THF
lithium diisopropyl-amide
(XXVI)
mixture of diastereomers

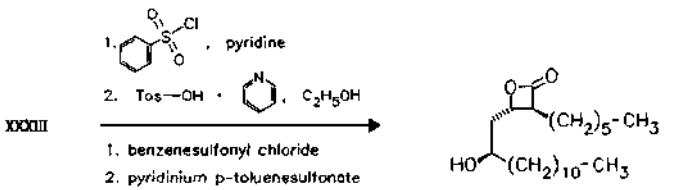

XXVI
1. H2, Pd–C, THF
2. Tos–OH, CHCl3
(XXVII)
mixture of diastereomers
CrO3, H2SO4,
(Jones oxidation)
XXVIII


H2, PtO2,
50 bar
XXX
(XXVIII)
(XXIX)

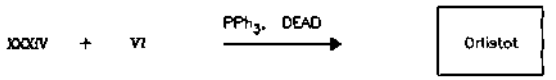

1. VII, F3C–SO3H, CH2Cl2
2. 1 N NaOH
1. benzyl trichloroacetimidate
(XXX)
(XXXI)



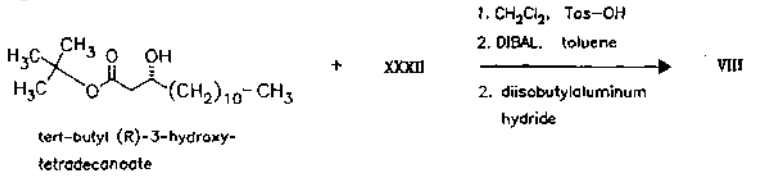
(XXXIII)



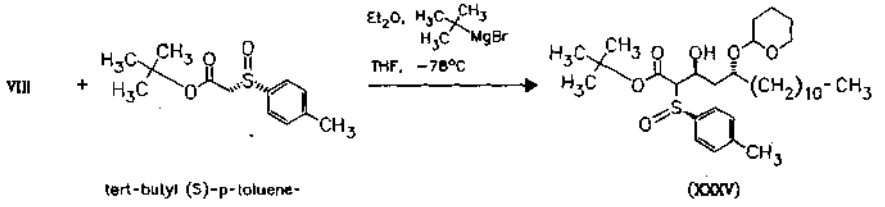
(XXXIV)



(f)

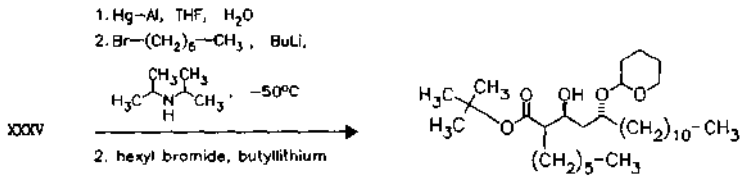


tert-butyl (*R*)-3-hydroxy-tetradecanoate

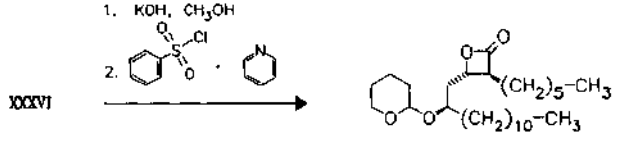


tert-butyl (*S*)-*p*-toluene-sulfinylacetate

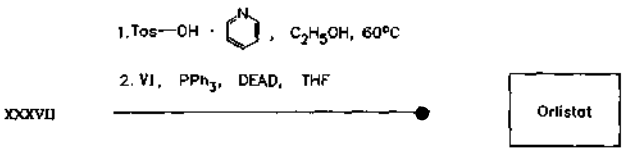
(XXXV)



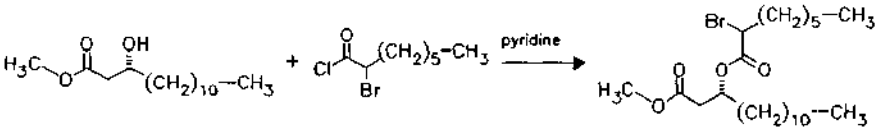
(XXXVI)



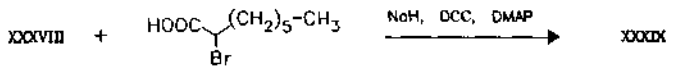
(XXXVII)

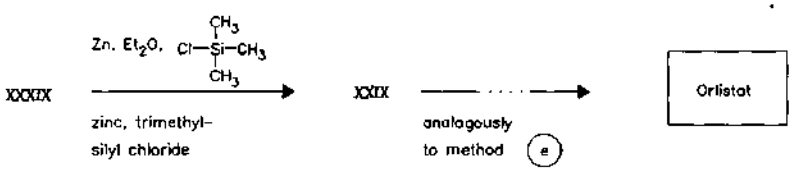


(9)



or





Reference(s):

a EP 129 748 (Hoffmann-La Roche & Co. AG; appl. 2.1.1985; CH-prior. 22.6.1983).

b Schneider, F.; Barbier, P.: Helv. Chim. Acta (HCACAV) **70**,196 (1987).
synthesis of 3(*R*)-(tetrahydropyranyloxy)-6-heptenoic acid ethyl ester:
Hirama, M.; Nei, M.: J. Am. Chem. Soc. (JACSAT) **104**, 4251 (1982).

c Widmer, U.; Schneider, F.; Barbier, P.: Helv. Chim. Acta (HCACAV) **70**,1412 (1987).

d Hanessian, S.; Tehim, A.; Chen., P.: J. Org. Chem. (JOCEAH) **58** (27), 7768 (1993).

e Barbier, P.; Schneider, F.: J. Org. Chem. (JOCEAH) **53**, 1218 (1988).

f EP 189 577 (Hoffmann-La Roche & Co. AG; appl. 6.8.1986; CH-prior. 21.12.1984).

g EP 524 495 (Hoffmann-La Roche & Co. AG; appl. 27.1.1993; USA-prior. 23.7.1991; 12.3.1992).

further syntheses of orlistat:

Kocieski, P.; Pons, J.M.: Tetrahedron Lett. (TELEAY) **30**, 1833 (1989).

Fleming, I.; Lawrence, N.J.: Tetrahedron Lett. (TELEAY) **31** (25), 3645 (1990).

Casc-Green, S.C.; Davies, S.G.; Hedgecock, C.J.R.: Synlett (SYNLES) **1991**, 781

Uskovic, M.R.; Chadka, N.K.; Batcho, A.D.; Tang P.C.; Courtney, L.F.; Cook C.M.; Wovliulich, P.M.: J. Org. Chem. (JOCEAH) **56**, 4714 (1991).

Formulation(s): cps. 120 mg

Trade Name(s):

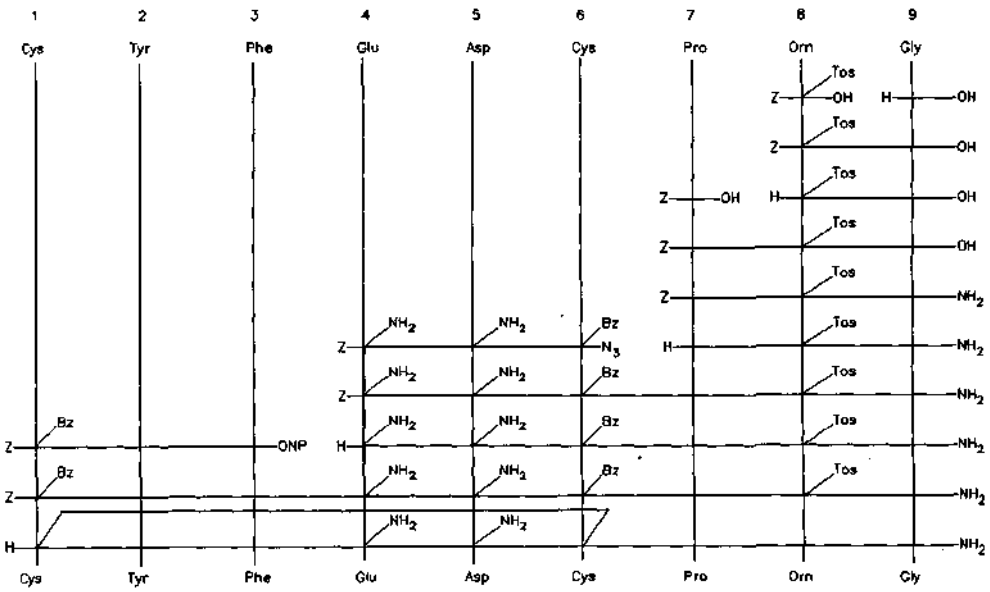
D:	Xenical (Roche; 1999)	GB:	Xenical (Roche; 1998)
F:	Xenical (Roche; 1998)	USA:	Xenical (Roche; 1998)

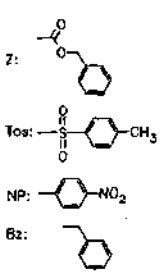
Ornipressin

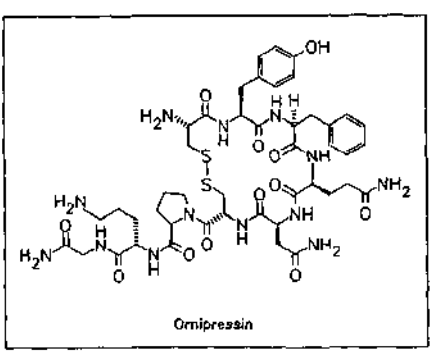
(Ornipresina; Orpressin)

ATC: H01BA05
Use: vasoconstrictor

RN: 3397-23-7 MF: $C_{45}H_{63}N_{13}O_{12}S_2$ MW: 1042.21 EINECS: 222-253-8
CN: 8-L-ornithinevasopressin







Reference(s):

FR 1 396 607 (Sandoz; appl. 3.4.1964; CH-prior. 5.4.1963).
Huguenin, R.L.; Boissonnas, R.A.: Helv. Chim. Acta (HCACAV) **46**, 1669 (1963).
Bodanszky, M. et al.: J. Am. Chem. Soc. (JACSAT) **86**, 4452 (1964).

Formulation(s): amp. 2.5 iu/0.5 ml, 2.5 iu/5 ml

Trade Name(s):
D: Por 8 Sandoz (Novartis Pharma)

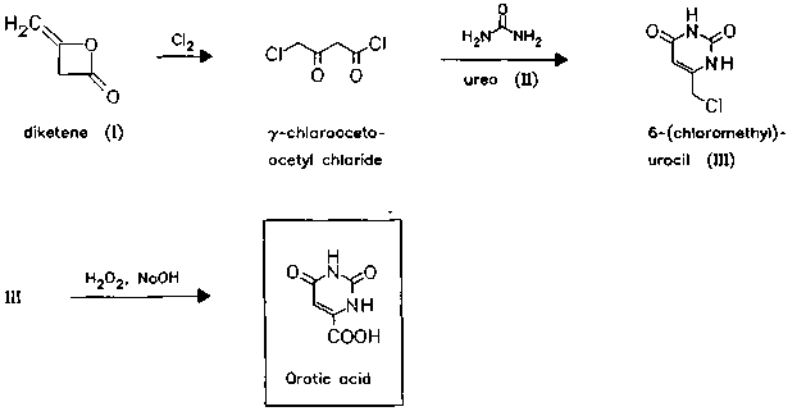
Orotic acid
(Acide orotique)

ATC: A14B
Use: metabolic therapeutic, electrolyte carrier

RN: 65-86-1 MF: $C_5H_4N_2O_4$ MW: 156.10 EINECS: 200-619-8
LD_{50}: 770 mg/kg (M, i.v.); 2 g/kg (M, p.o.)
CN: 1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinecarboxylic acid

potassium salt
RN: 24598-73-0 MF: $C_5H_3KN_2O_4$ MW: 194.19 EINECS: 246-341-0
LD_{50}: 10.9 g/kg (R, p.o.)
magnesium salt
RN: 34717-03-8 MF: $C_{10}H_6MgN_4O_8$ MW: 334.48
zinc salt
RN: 60388-02-5 MF: $C_{10}H_6N_4O_8Zn$ MW: 375.57 EINECS: 262-207-4
choline orotate
RN: 24381-49-5 MF: $C_5H_{14}NO \cdot C_5H_3N_2O_4$ MW: 259.26 EINECS: 246-213-4
L-lysine orotate
RN: 28003-86-3 MF: $C_6H_{14}N_2O_2 \cdot C_5H_4N_2O_4$ MW: 302.29 EINECS: 248-771-4

a



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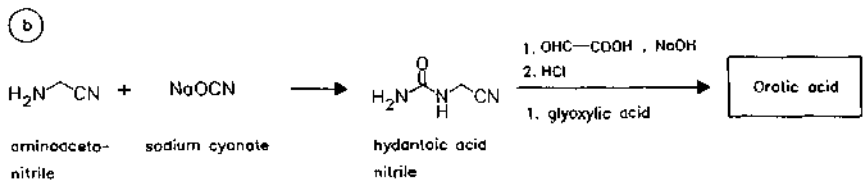
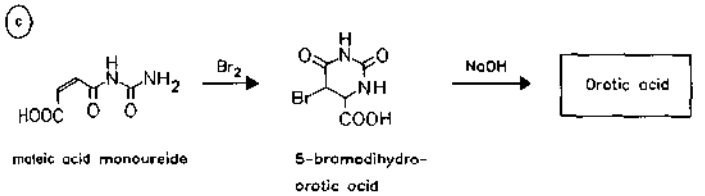
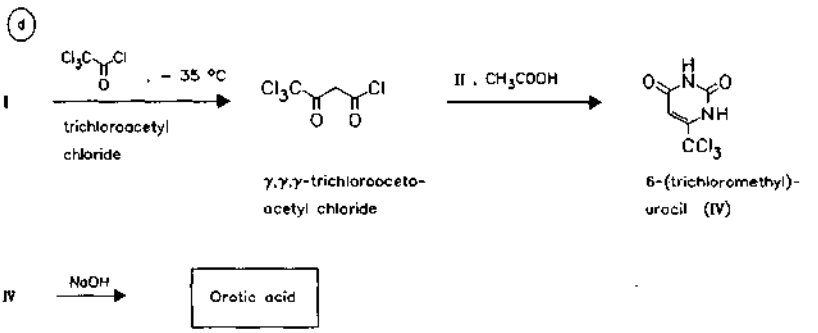






Reference(s):

a DAS 1 770 117 (Diamalt; appl. 2.4.1968).
DAS 2 025 247 (Lonza; appl. 23.5.1970; CH-prior. 28.5.1969).

b DAS 2 502 951 (Diamalt; appl. 24.1.1975).
US 4 113 950 (Diamalt; 12.9.1978; D-prior. 24.1.1975).

c CH 595 351 (Lonza; appl. 7.5.1975).

d US 4 064 126 (Lonza; 20.12.1977; CH-prior. 11.8.1975).
DOS 2 540 275 (Lonza; appl. 24.2.1977; CH-prior. 11.8.1975).

alternative syntheses:
from oxalacetic acid ester and urea:
Müller: J. Prakt. Chem. (JPCEAO) **56**, 488 (1897).
Behrend: Justus Liebigs Ann. Chem. (JLACBF) **378**, 165 (1910).
US 2 937 175 (Rhône-Poulenc; 17.5.1960; F-prior. 18.1.1956).
DE 1 034 640 (Rhône-Poulenc; appl. 1956).

from aspartic acid:
Nye, F. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 1382 (1947).

from glyoxylic acid *and* hydantoin:
US 4 062 847 (Diamalt; 13.12.1977; D-prior. 24.1.1975).

fermentatively:
US 3 086 917 (Kyowa Hakko; 23.4.1963; J-prior. 15.6.1960).

lithium orotate:
DOS 2 410 181 (Nadrol-Chemie; appl. 4.3.1974).

Formulation(s): cps. 250 mg (as hydrochloride); cps. 60 mg in comb. with α-tocopherol acetate; tabl. 20 mg (as zinc salt), 40 mg (as zinc salt), 500 mg (as choline orotate)

Trade Name(s):

D: Vigodana N (Loges)-comb.
Zinkorotat (Nadrol; as zinc salt)
Zinkorotat (Ursapharm; as zinc salt)

F: numerous combination preparations
Lysortine (Théraplix; as lysine orotate); wfm
Oroturic (Grémy-Longuet); wfm

I: Orotyl (Porcher-Lavril); wfm
Oro B_{12} (Ripari-Gero)-comb.

J: Orodine (Takeda)
Orosan (Maruishi)

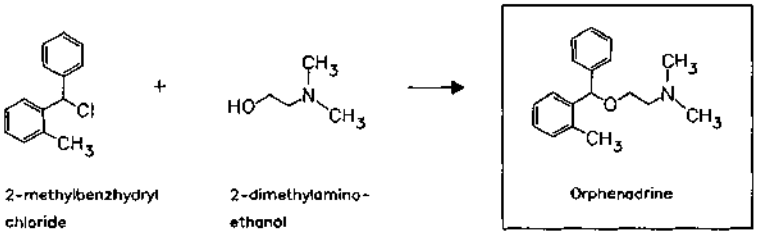
Orotics (Nippon Shinyaku)
Orotonsan (Ono)
Orotopin (Fuso)
Urabon (Nissin)
Vita-thirteen (Sumitomo)
generic

Orphenadrine

ATC: M03BC01; N04AB02
Use: antiparkinsonian, muscle relaxant

RN: 83-98-7 MF: $C_{18}H_{23}NO$ MW: 269.39 EINECS: 201-509-2
LD_{50}: 33 mg/kg (M, i.v.); 125 mg/kg (M, p.o.)
CN: *N*,*N*-dimethyl-2-[(2-methylphenyl)phenylmethoxy]ethanamine

hydrochloride
RN: 341-69-5 MF: $C_{18}H_{23}NO \cdot HCl$ MW: 305.85 EINECS: 206-435-4
LD_{50}: 20 mg/kg (M, i.v.); 100 mg/kg (M, p.o.);
27.5 mg/kg (R, i.v.); 255 mg/kg (R, p.o.)
citrate (1:1)
RN: 4682-36-4 MF: $C_{18}H_{23}NO \cdot C_6H_8O_7$ MW: 461.51 EINECS: 225-137-5



Reference(s):
US 2 567 351 (Parke Davis; 1951; prior. 1946).
US 2 991 225 (Brocades-Stheeman; 4.7.1961; NL-prior. 1952).
Bijlsma, U.G. et al.: Arzneim.-Forsch. (ARZNAD) **5**, 72 (1955).

Formulation(s): amp. 60 mg/2 ml; s. r. tabl. 100 mg; tabl. 100 mg (as citrate)

Trade Name(s):

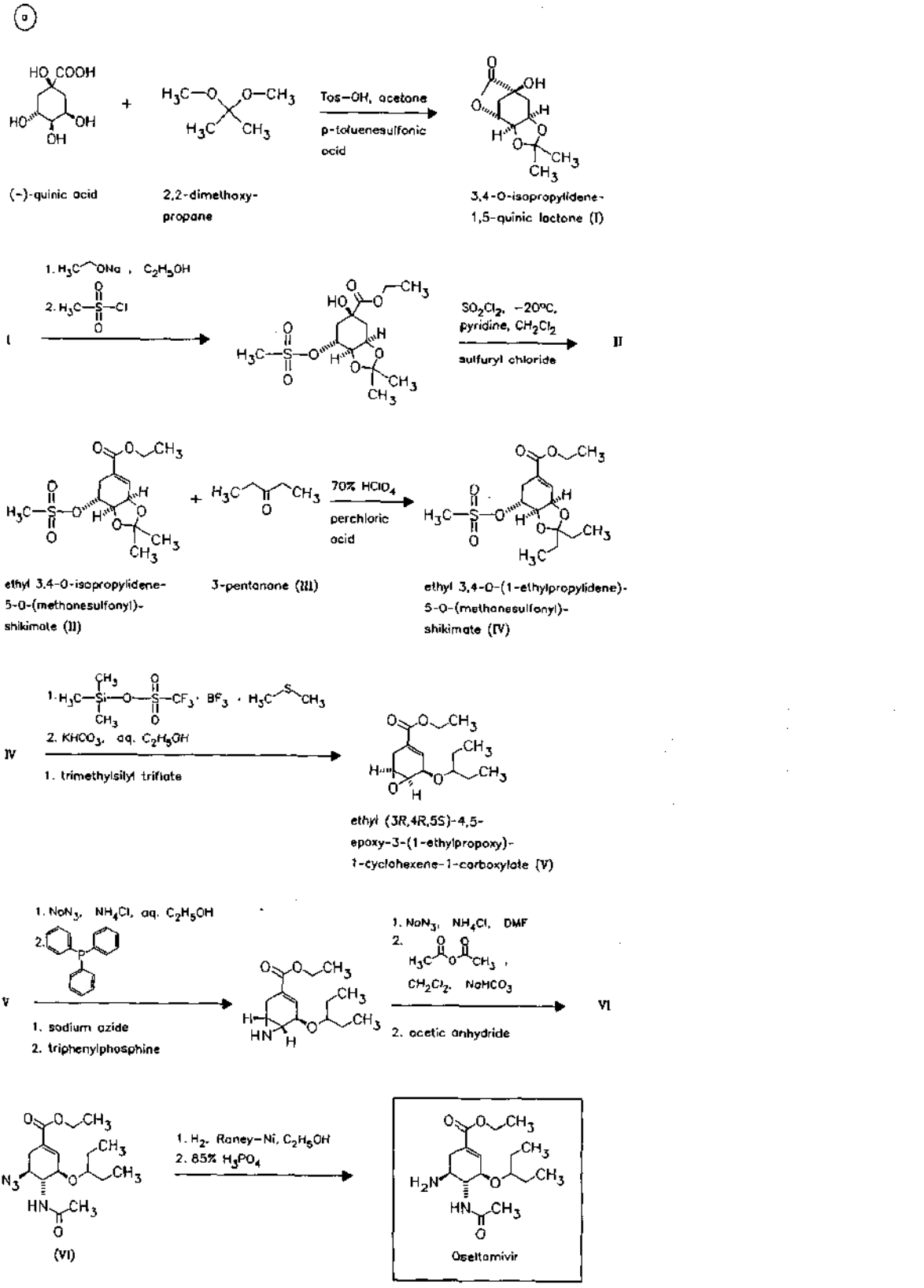
D: Norflex (3M Medica)
Norgesic (3M Medica)-comb.
F: Disipal (Beytout); wfm
Estomul (Jean Roy-Freyssinge)-comb.; wfm
GB: Biorphen (Bioglan; as hydrochloride)
Disipal (Yamanouchi; as hydrochloride)
I: Disipal (Yamanouchi)
J: Delenar (Schering-Shionogi)-comb.
USA: Norflex (3M; as citrate)
Norgesic (3M; as citrate)

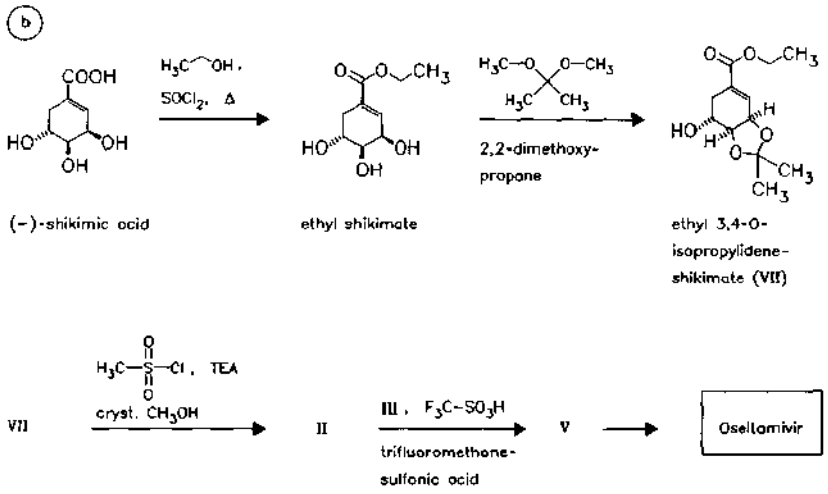
Oseltamivir
(GS 4104)

ATC: J01AH02
Use: antiviral, anti-influenza, neuramidase inhibitor

RN: 196618-13-0 MF: $C_{16}H_{28}N_2O_4$ MW: 312.41
CN: (3*R*,4*R*,5*S*)-4-(Acetylamino)-5-amino-3-(1-ethylpropoxy)-1-cyclohexene-1-carboxylic acid ethyl ester

phosphate
RN: 204255-11-8 MF: $C_{16}H_{28}N_2O_4 \cdot H_3O_4P$ MW: 410.40
hydrochloride
RN: 204255-09-4 MF: $C_{16}H_{28}N_2O_4 \cdot HCl$ MW: 348.87
citrate
RN: 209965-30-0 MF: $C_{16}H_{28}N_2O_4 \cdot C_6H_8O_7$ MW: 504.53


(-)-quinic acid
2,2-dimethoxypropane
Tos-OH, acetone
p-toluenesulfonic acid
3,4-O-isopropylidene-1,5-quinic lactone (I)
1. H3C-ONa, C2H5OH
2. H3C-S(=O)2-Cl
SO2Cl2, -20°C, pyridine, CH2Cl2
sulfuryl chloride
II
ethyl 3,4-O-isopropylidene-5-O-(methanesulfonyl)-shikimate (II)
3-pentanone (III)
70% HClO4
perchloric acid
ethyl 3,4-O-(1-ethylpropylidene)-5-O-(methanesulfonyl)-shikimate (IV)
1. trimethylsilyl triflate
2. KHCO3, aq. C2H5OH
ethyl (3R,4R,5S)-4,5-epoxy-3-(1-ethylpropoxy)-1-cyclohexene-1-carboxylate (V)
1. sodium azide
2. triphenylphosphine
2. acetic anhydride
VI
(VI)
1. H2, Raney-Ni, C2H5OH
2. 85% H3PO4
Oseltamivir



Reference(s):

a Rohloff, J.C. et al.: J. Org. Chem. (JOCEAH) **63**, 4545-4550 (1998)
WO 9 626 933 (Gilead Sciences; appl. 26.2.1996; USA-prior. 27.2.1995)
US 5 886 213 (Gilead Sciences; 23.3.1999; USA-prior. 22.8.1997)
US 5 859 284 (Gilead Sciences; 12.1.1999; USA-prior. 23.8.1996)

b Federspiel, M. et al.: Org. Process Res. Dev. (OPRDFK) **3** (4), 266-274 (1999)

Trade Name(s):

CH: Tamiflu (Roche; 1999)

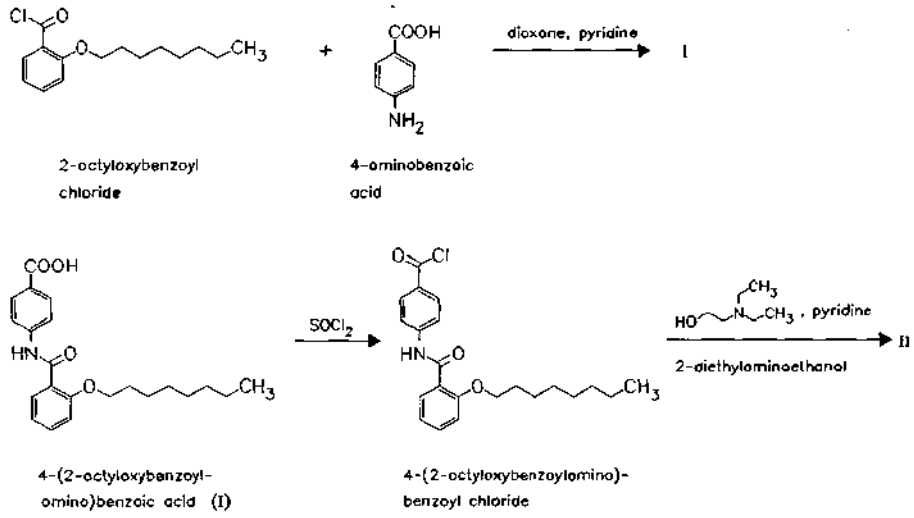
Otilonium bromide

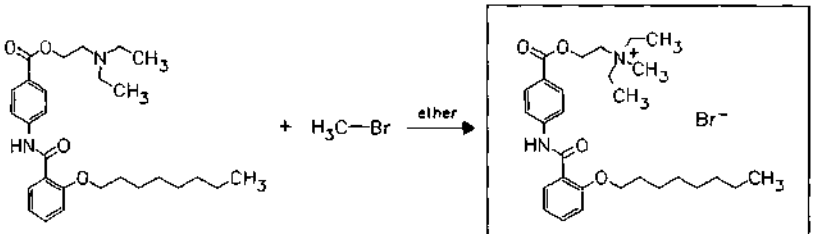
ATC: A03AB06
Use: antispasmodic

RN: 26095-59-0 MF: $C_{29}H_{43}BrN_2O_4$ MW: 563.58 EINECS: 247-457-4

LD_{50}: 46.5 mg/kg (M, i.v.); >1500 mg/kg (M, p.o.);
14.1 mg/kg (R, i.v.); >1650 mg/kg (R, p.o.)

CN: *N,N*-diethyl-*N*-methyl-2-[[4-[[2-(octyloxy)benzoyl]amino]benzoyl]oxy]ethanaminium bromide





2-diethylaminoethyl 4-(2-octyloxybenzoylamino)benzoate (II)

methyl bromide

Otilonium bromide

Reference(s):
DOS 1 643 458 (Menarini; appl. 15.9.1967; I-prior. 17.9.1966).
US 3 536 723 (Menarini; 27.10.1970; I-prior. 27.9.1966).
Ghelardoni, M. et al.: J. Med. Chem. (JMCMAR) **16**, 1063 (1973).

Formulation(s): amp. 150 mg; drg. 40 mg; suppos. 20 mg; tabl. 40 mg

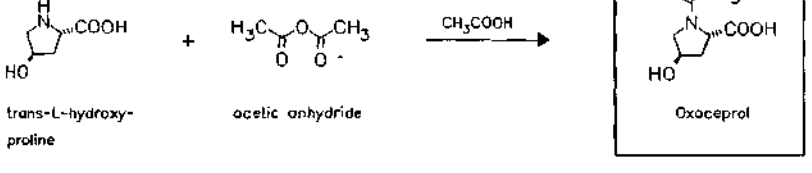
Trade Name(s):
I: Spasen (Firma); Spasmomen (Menarini)
Spasen Somatico (Firma)-comb.; Spasmomen Somatico (Menarini)-comb.

Oxaceprol

(Aceprolinum; *N*-Acetyl-4-hydroxy-L-proline)

ATC: D11AX09; M01AX24
Use: connective tissue therapeutic, antirheumatic

RN: 33996-33-7 MF: $C_7H_{11}NO_4$ MW: 173.17 EINECS: 251-780-6
CN: *trans*-1-acetyl-4-hydroxy-L-proline



trans-L-hydroxyproline

acetic anhydride

Oxaceprol

Reference(s):
GB 1 246 141 (P. and B. Coirre; appl. 30.8.1968; F-prior. 14.9.1967, 16.5.1968).
DAS 1 795 327 (S.A.R.L. Franco-Chimie; appl. 13.9.1968; F-prior. 14.9.1967, 16.5.1968).
DOS 2 139 476 (P. and B. Coirre; appl. 6.8.1971).

Formulation(s): f. c. tabl. 200 mg

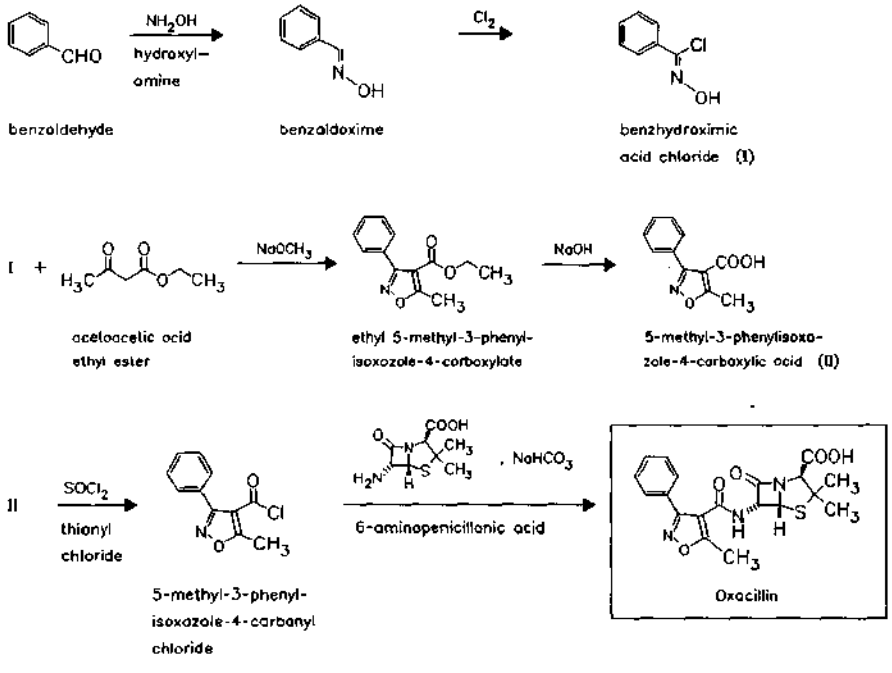
Trade Name(s):
D: AHP 200 (Chephasaar) F: Jonctum (Marion Merrell)

Oxacillin

ATC: J01CF04
Use: antibiotic

RN: 66-79-5 MF: $C_{19}H_{19}N_3O_5S$ MW: 401.44 EINECS: 200-635-5
LD_{50}: 1490 mg/kg (M, i.v.); 6500 mg/kg (M, p.o.)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-6-[[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]amino]-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monosodium salt monohydrate
RN: 7240-38-2 MF: $C_{19}H_{18}N_3NaO_5S \cdot H_2O$ MW: 441.44



Reference(s):
US 2 996 501 (Beecham; 15.8.1961; GB-prior. 31.3.1960).
GB 905 778 (Beecham; appl. 31.3.1960; valid from 14.3.1961).
GB 958 478 (Beecham; appl. 28.2.1963; USA-prior. 13.3.1962).

Formulation(s): cps. 250 mg, 500 mg; vial 0.5 g, 1 g (as sodium salt)

Trade Name(s):

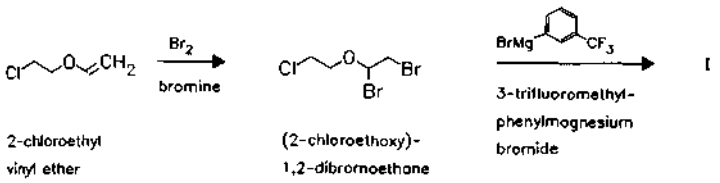
D:	Optocillin (Bayer Vital)-comb.	I:	Penstapho (Bristol-Myers Squibb)		Oxacillin (Teva)
	Stapenor (Bayer Vital)	J:	Staphcillin V (Banyu)		Prostaphlin (Bristol); wfm
F:	Bristopen (Bristol-Myers Squibb)	USA:	Bactocill (Beecham-Massengill); wfm		

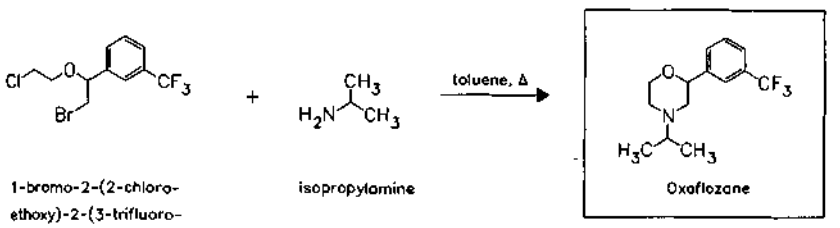
Oxaflozane

ATC: N06AX10
Use: antidepressant

RN: 26629-87-8 MF: $C_{14}H_{18}F_3NO$ MW: 273.30 EINECS: 247-855-8
CN: 4-(1-methylethyl)-2-[3-(trifluoromethyl)phenyl]morpholine

hydrochloride
RN: 26629-86-7 MF: $C_{14}H_{18}F_3NO \cdot HCl$ MW: 309.76 EINECS: 247-854-2
LD_{50}: 80 mg/kg (M, i.v.); 365 mg/kg (M, p.o.)





Reference(s):
DOS I 910 477 (CERM; appl. 1.3.1969; F-prior. 4.3.1968, 29.5.1968 18.6.1968, 27.8.1968, 15.11.1968, 19.2.1969).
US 3 637 680 (CERM; 25.1.1972; F-prior. 4.3.1968, 29.5.1968, 18.6.1968, 27.8.1968, 15.11.1968, 19.2.1969).
Busch, N. et al.: Eur. J. Med. Chem.-Chim. Ther. (EJMCA5) **11**, 201 (1976).

Formulation(s): drops 2 %

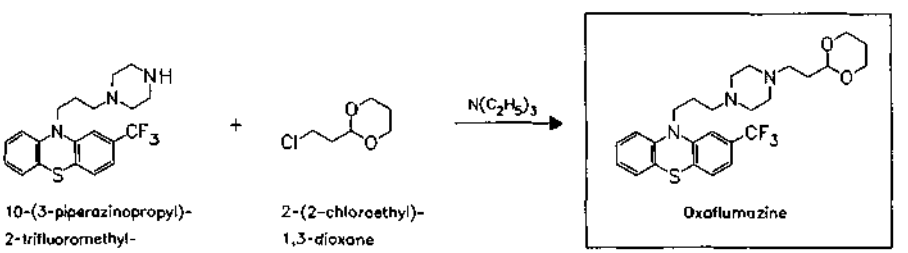
Trade Name(s):
F: Conflictan (Solvay Pharma) I: Conflictan (Riom); wfm

Oxaflumazine

ATC: N05AB
Use: psychosedative

RN: 16498-21-8 MF: $C_{26}H_{32}F_3N_3O_2S$ MW: 507.62
CN: 10-[3-[4-[2-(1,3-dioxan-2-yl)ethyl]-1-piperazinyl]propyl]-2-(trifluoromethyl)-10*H*-phenothiazine

hydrogen succinate (1:1)
RN: 41761-40-4 MF: $C_{26}H_{32}F_3N_3O_2S \cdot C_4H_6O_4$ MW: 625.71



Reference(s):
DAS 1 620 281 (Roussel-Uclaf; appl. 28.6.1965; F-prior. 29.6.1964, 28.9.1964).
Ratouis, R.; Boissier, J.R.: Bull. Soc. Chim. Fr. (BSCFAS) **1966**, 2963.

alternative synthesis:
DOS 1 911 719 (S.I.F.A.; appl. 7.3.1969; F-prior. 8.3.1968).

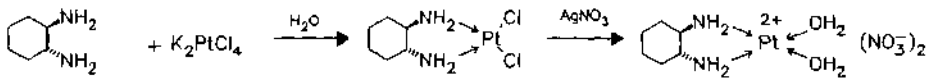
Trade Name(s):
F: Oxaflumine (Diamant);
wfm

Oxaliplatin

(1-OHP; NSC-266046; RP-54780)

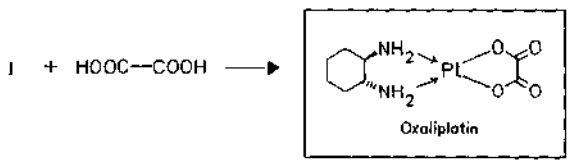
ATC: L01XA03
Use: antitumor

RN: 61825-94-3 MF: $C_8H_{14}N_2O_4Pt$ MW: 397.29
LD_{50}: 19.8 mg/kg (M, i.p.);
14.3-15.6 mg/kg (R, i.p.)
CN: [*SP*-4-2-(1*R*-*trans*)](1,2-cyclohexanediamine-*N*,*N*')[ethanedioato(2–)-*O*,*O*']platinum



1(R),2(R)–di-
aminocyclohexane

(I)



Reference(s):
Kidani, Y. et al.: J. Clin. Hematol. Oncol. (JCHODP) **7**, 197 (1977).
JP 53 031 648 (Kidani; appl. 25.3.1978; J-prior. 6.9.1976).
JP 09 132 583 (Tanaku Kihinzobo Kogyo; appl. 20.5.1997; J-prior. 10.11.1995).

as stable aqueous formulation:
WO 9 604 904 (Debiopharm SA; appl. 22.2.1996; CH-prior. 8.8.1994).

in combination with cisplatin:
WO 9 412 193 (Debiopharm SA; appl. 9.6.1994; CH-prior. 24.11.1992).

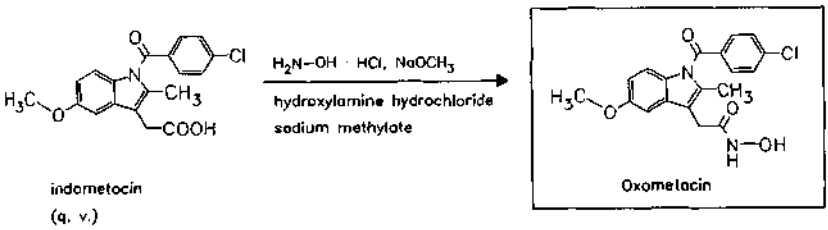
Formulation(s): vial 50 mg, 100 mg

Trade Name(s):
F: Eloxatin (Sanofi Winthrop) Transplatin (Debiopharm)

Oxametacin

ATC: M01AB13
Use: anti-inflammatory, analgesic

RN: 27035-30-9 MF: $C_{19}H_{17}ClN_2O_4$ MW: 372.81 EINECS: 248-179-6
LD_{50}: 92 mg/kg (M, p.o.);
78 mg/kg (R, p.o.)
CN: 1-(4-chlorobenzoyl)-*N*-hydroxy-5-methoxy-2-methyl-1*H*-indole-3-acetamide



indometacin
(q. v.)

Reference(s):
FR 1 579 495 (R. Aries; appl. 22.1.1968).

Formulation(s): tabl. 100 mg

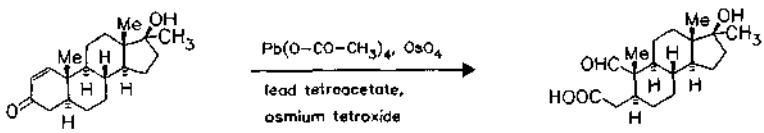
Trade Name(s):

F:	Dinulcid (Lab. Pharmascience); wfm	I:	Flogan (ABC); wfm Restid (UCB); wfm

Oxandrolone

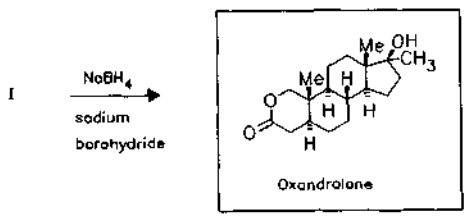
ATC: A14AA08
Use: anabolic

RN: 53-39-4 MF: $C_{19}H_{30}O_3$ MW: 306.45 EINECS: 200-172-9
LD_{50}: 1832 mg/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: (5α,17β)-17-hydroxy-17-methyl-2-oxaandrostan-3-one



17β-hydroxy-17α-methyl-3-oxo-1-androstene

(I)



Reference(s):
US 3 128 283 (Searle; 7.4.1964; MEX-prior. 10.5.1961).
Pappo, R.; Jung, C.J.: Tetrahedron Lett. (TELEAY) **1962**, 365.

starting material:
Counsell, R.E. et al.: J. Org. Chem. (JOCEAH) **27**, 248 (1962).

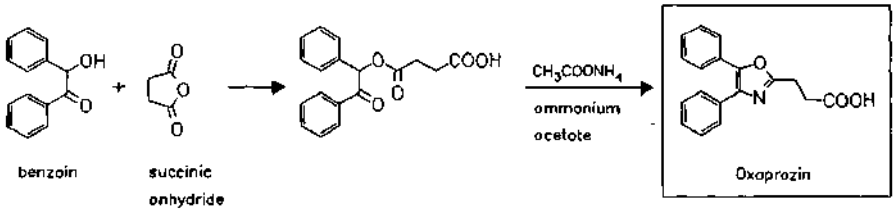
Formulation(s): tabl. 2.5 mg

Trade Name(s):
J: Vasorome (Kowa) USA: Oxandrin (Bio-Technology)

Oxaprozin

ATC: M01AE12
Use: anti-inflammatory

RN: 21256-18-8 MF: $C_{18}H_{15}NO_3$ MW: 293.32 EINECS: 244-296-1
LD_{50}: 93 mg/kg (M, i.v.); 1210 mg/kg (M, p.o.);
82 mg/kg (R, i.v.); 4470 mg/kg (R, p.o.);
124 mg/kg (dog, i.v.); >2 g/kg (dog, p.o.)
CN: 4,5-diphenyl-2-oxazolepropanoic acid



Reference(s):
DE 1 670 005 (Wyeth; prior. 17.11.1967).
US 3 578 671 (Wyeth; 11.5.1971; prior. 6.11.1967).
Brown, K. et al.: Nature (London) (NATUAS) **219**, 164 (1968).

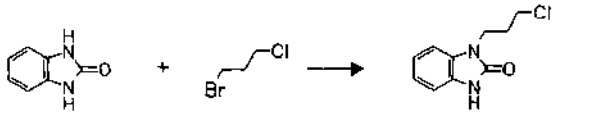
Formulation(s): f. c. tabl. 600 mg

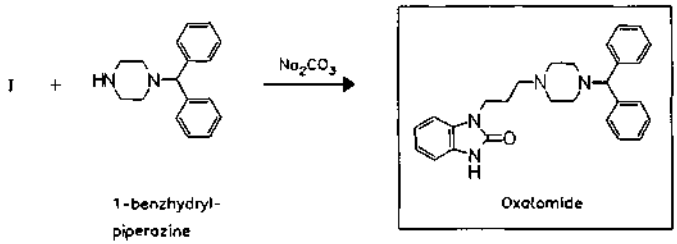
Trade Name(s):
J: Actirin (Wyeth; 1986) Alvo (Taisho Seiyaku; 1985) USA: Daypro (Searle)

Oxatomide

ATC: R06AE06
Use: antiallergic

RN: 60607-34-3 MF: $C_{27}H_{30}N_4O$ MW: 426.56 EINECS: 262-320-9
LD_{50}: 25 mg/kg (M, i.v.); 9596 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 1410 mg/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]-1,3-dihydro-2*H*-benzimidazol-2-one





Reference(s):
BE 852 405 (Janssen; appl. 14.3.1977; USA-prior. 21.12.1976, 2.4.1976).
US 4 250 176 (Janssen; 10.2.1981; prior. 6.2.1978).

Formulation(s): susp. 2.6 mg/ml; tabl. 30 mg

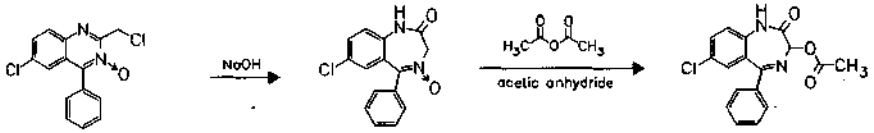
Trade Name(s):

D:	Tinset (Janssen-Cilag; 1982)	GB:	Tinset (Janssen; 1982)	J:	Celtect (Kyowa Hakko; 1987)
F:	Tinset (Janssen-Cilag)	I:	Tinset (Formenti; 1985)		

Oxazepam

ATC: N05BA04
Use: tranquilizer

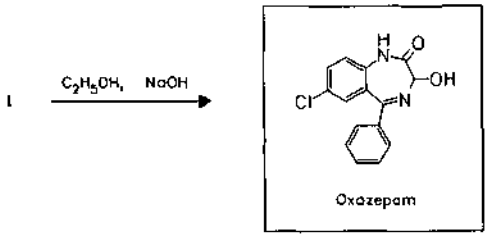
RN: 604-75-1 MF: $C_{15}H_{11}ClN_2O_2$ MW: 286.72 EINECS: 210-076-9
LD_{50}: 3700 mg/kg (M, p.o.);
>8 g/kg (R, p.o.)
CN: 7-chloro-1,3-dihydro-3-hydroxy-5-phenyl-2*H*-1,4-benzodiazepin-2-one



6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide

7-chloro-5-phenyl-2-oxo-1,3-dihydro-2H-1,4-benzodiazepine 4-oxide

7-chloro-5-phenyl-2-oxo-3-acetoxy-1,3-dihydro-2H-1,4-benzodiazepine (I)



Reference(s):
DE 1 645 904 (American Home Products; prior. 17.8.1962).
US 3 176 009 (American Home Products; 30.3.1965; prior. 5.3.1962).
US 3 296 249 (American Home Products; 3.1.1967; appl. 4.6.1963; prior. 29.8.1961, 5.3.1962).
DE 1 445 412 (American Home Products; appl. 17.8.1962; USA-prior. 29.8.1961, 5.3.1962).
DE 1 795 509 (American Home Products; appl. 17.8.1962; USA-prior. 29.8.1961, 5.3.1962).
Bell, S.C. et al.: J. Org. Chem. (JOCEAH) **27**, 562 (1962).
Bell, S.C.; Childress, S.J.: J. Org. Chem. (JOCEAH) **27**, 1691 (1962).

alternative synthesis:
DE 1 295 563 (American Home Products; appl. 21.5.1964; USA-prior. 29.5.1963, 13.8.1963).
DE 1 300 114 (American Home Products; appl. 21.5.1964; USA-prior. 29.5.1963, 13.8.1963, 3.12.1963, 7.5.1964).
DE 1 543 325 (American Home Products; appl. 21.5.1964; USA-prior. 29.5.1963, 31.8.1963, 3.12.1963, 7.5.1964).
DAS 1 795 231 (American Home Products; appl. 21.5.1964; USA-prior. 29.5.1963, 13.8.1963, 3.12.1963, 7.5.1964).
Bell, S.C. et al.: J. Org. Chem. (JOCEAH) **33**, 216 (1968).

Formulation(s): s. **r.** cps. 30 mg; tabl. 10 mg, 50 mg

Trade Name(s):

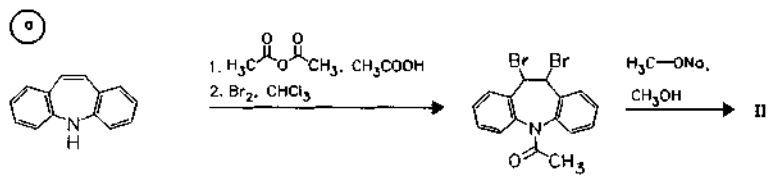
D:	Adumbran (Boehringer Ing.; 1965)		Praxiten (Wyeth; 1965)	I:	Limbial (Chiesi)
	Azutranquil (Azuchemie)		Sigacalm (Kytta-Siegfried)		Persumbrax (Boehringer Ing.)-comb.
	Durazepam /-forte (durachemie)		Uskan (Desitin)		Serpax (Wyeth-Lederle)
	Milfudorm (Merckle)		generics	J:	Hilong (Banyu)
	Noctazepam (Brenner-Efeka)	F:	Seresta (Wyeth-Lederle; 1966)		Wakazepam (Wakamoto)
	Oxahexal (Neuro-Hexal)	GB:	Oxanid (Steinhard); wfm	USA:	Serax (Wyeth-Ayerst)
			Serenid-D (Wyeth); wfm		generics
			generics		

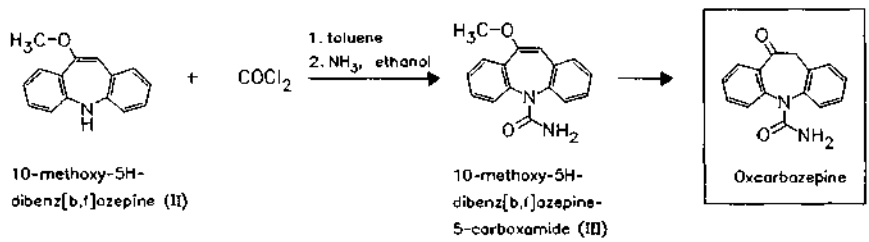
Oxcarbazepine

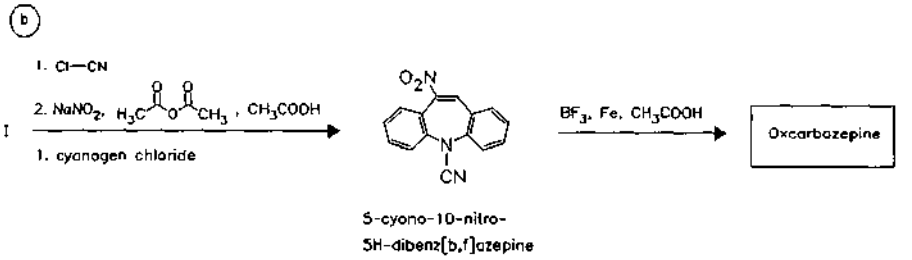
(GP-47680)

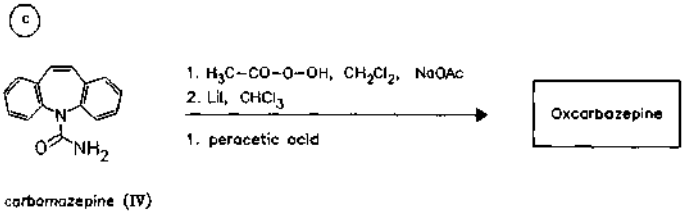
ATC: N03AF02
Use: anticonvulsant

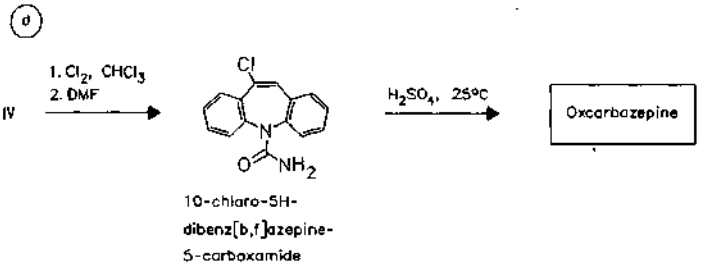
RN: 28721-07-5 MF: $C_{15}H_{12}N_2O_2$ MW: 252.27 EINECS: 249-188-8
CN: 10,11-Dihydro-10-oxo-5H-dibenz[*b*,*f*]azepine-5-carboxamide











Reference(s):

a DE 2 011 087 (Geigy AG; appl. 4.3.1970; CH-prior. 10.3.1969).
HU 63 389 (Alkaloida; appl. 27.12.1991).
WO 9 621 649 (Trifarma; appl. 3.1.1996; I-prior. 13.1.1995).

b EP 29 409 (Ciba-Geigy AG; appl. 24.10.1980; CH-prior. 30.10.1979).
EP 28 028 (Ciba-Geigy AG; appl. 27.10.1980; CH-prior. 30.10.1979).

c CH 633 271 (Ciba-Geigy Ag; appl. 18.4.1978; CH-prior. 18.4.1978).

d CH 642 950 (Ciba-Geigy AG; appl. 30.10.1979; CH-prior. 30.10.1979).

Formulation(s): tabl. 300 mg, 600 mg

Trade Name(s):

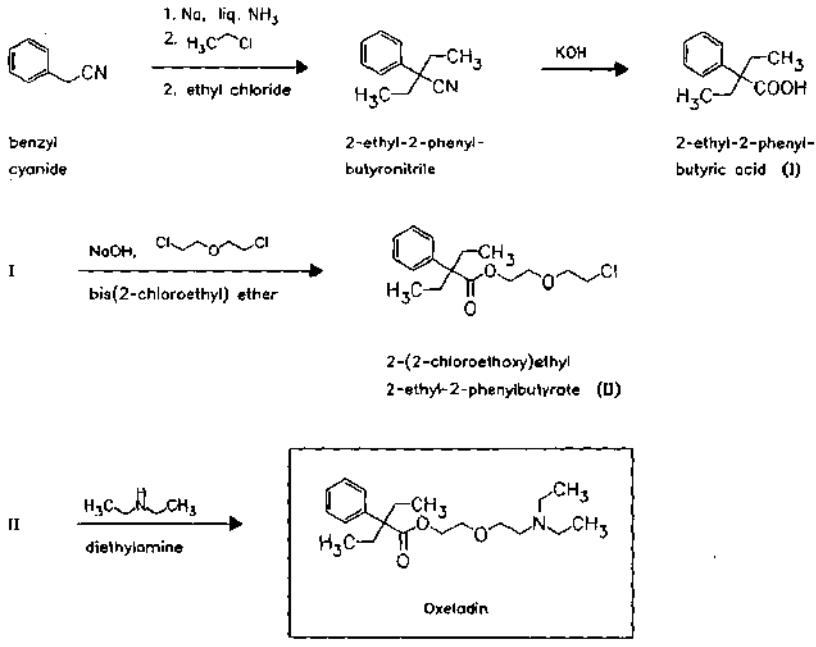
A: Trileptal (Novartis) CH: Trileptal (Novartis) NL: Trileptal (Novartis)

Oxeladin

ATC: R05DB09
Use: antitussive

RN: 468-61-1 MF: $C_{20}H_{33}NO_3$ MW: 335.49 EINECS: 207-418-4
LD_{50}: 13 mg/kg (M, i.v.); 130 mg/kg (M, p.o.);
183 mg/kg (R, p.o.)
CN: α,α-diethylbenzeneacetic acid 2-[2-(diethylamino)ethoxy]ethyl ester

citrate (1:1)
RN: 52432-72-1 MF: $C_{20}H_{33}NO_3 \cdot C_6H_8O_7$ MW: 527.61 EINECS: 257-910-8
LD_{50}: 13 mg/kg (M, i.v.); 130 mg/kg (M, p.o.);
183 mg/kg (R, p.o.)



Reference(s):
US 2 885 404 (British Drug Houses; 5.5.1959; GB-prior. 4.1.1956).
Petrow, V. et al.: J. Pharm. Pharmacol. (JPPMAB) **10**, 40 (1958).

Formulation(s): cps. 40 mg (as hydrogen citrate)

Trade Name(s):

D: Bronchisan (Atmos)-comb.; wfm
dorex-retard (ICN); wfm
dorex-retard (Woelm); wfm
Kontagripp (Azuchemie)-comb.; wfm
Mirfusot (Merckle)-comb.; wfm
Pectischöll (Hestia)-comb.; wfm
Piniol (Spitzner)-comb.; wfm
Silopentol (Hormosan); wfm
Stas (Stada)-comb.; wfm
Toramin (Athenstaedt)-comb.

F: Paxéladine (Beaufour)
Paxéladine noctée (Beaufour)

I: Neobex (Lampugnani); wfm
Notox (Medici)-comb.; wfm
Pectamol (Malesci); wfm
Tussiflex (Italsuisse)-comb.; wfm
Tussilisin (Ibirn); wfm

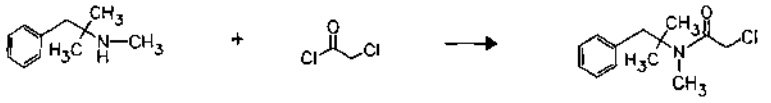
J: Ethochlon (Hokuriku)
Hustopan (Ohta)
Neoasdrin (Toa)
Neusedan (Nichizo)
Tarmina (Mochida)

Oxetacaine
(Oxethazaine)

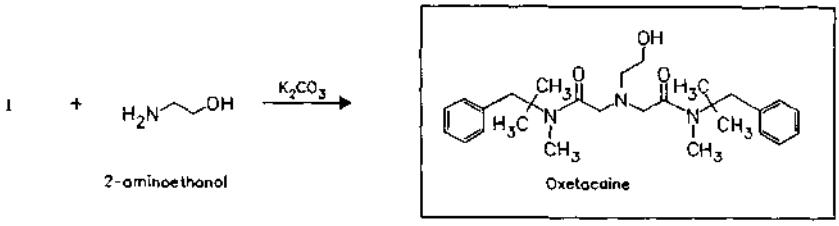
ATC: C05AD06
Use: gastric mucous membrane anesthetic

RN: 126-27-2 MF: $C_{28}H_{41}N_3O_3$ MW: 467.65 EINECS: 204-780-5
LD_{50}: 27 mg/kg (M, i.p.); 58 mg/kg (M, s.c.); 30 mg/kg (R, i.p.)
CN: 2,2'-[(2-hydroxyethyl)imino]-bis[*N*-(1,1-dimethyl-2-phenylethyl)-*N*-methylacetamide]

monohydrochloride
RN: 13930-31-9 MF: $C_{28}H_{41}N_3O_3 \cdot HCl$ MW: 504.12 EINECS: 237-698-3
LD_{50}: 3881 µg/kg (M, i.v.); 431 mg/kg (M, p.o.); 1400 µg/kg (R, i.v.); 675 mg/kg (R, p.o.)



(1,1-dimethyl-2-phenyl-ethyl)methylamine | chloroacetyl chloride | 2-chloro-N-methyl-N-(phenyl-tert-butyl)acetamide (I)



2-aminoethanol | Oxetacaine

Reference(s):
US 2 780 646 (American Home Products; 1957; prior. 1955).
Freed, M.E. et al.: J. Org. Chem. (JOCEAH) **26**, 2378 (1961).

Formulation(s): susp. (in comb.) 10 mg/5 ml; tabl. 5 mg

Trade Name(s):

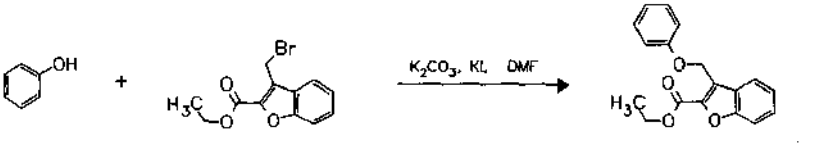
D:	Tepilta (Wyeth)-comb.		Mucoxin (Wyeth-Lederle)-comb.		Strocain (Eisai)
F:	Mutésa (Wyeth-Lederle)-comb.		Mucoxin os susp. (Wyeth-Lederle)-comb.	USA:	Topicain (Chugai) Oxaine (Wyeth)-comb.; wfm
GB:	Mucaine (Wyeth)-comb.				
I:	Emoren (IFI)	J:	Stomacain (Teisan-Pfizer)		

Oxetorone

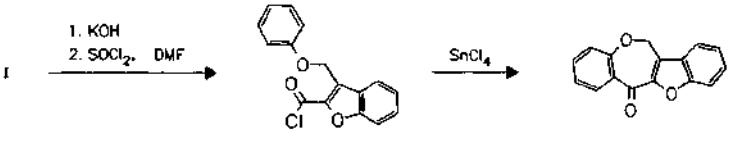
ATC: N02CX06
Use: antimigraine agent

RN: 26020-55-3 MF: $C_{21}H_{21}NO_2$ MW: 319.40 EINECS: 247-411-3
CN: 3-benzofuro[3,2-*c*][1]benzoxepin-6-(12*H*)-ylidene-*N*,*N*-dimethyl-1-propanamine

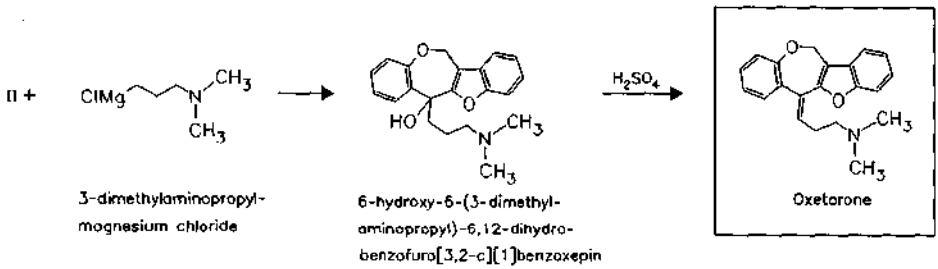
hydrogen fumarate (1:1)
RN: 34522-46-8 MF: $C_{21}H_{21}NO_2 \cdot C_4H_4O_4$ MW: 435.48



phenol | 3-bromomethylcoumarilic acid ethyl ester (from 3-methylcoumarilic acid ethyl ester) | 3-phenoxymethylcoumarilic acid ethyl ester (I)



3-phenoxymethylcoumariloyl chloride | 6-oxo-6,12-dihydrobenzofuro[3,2-c][1]benzoxepin (II)



Reference(s):
DOS 1 963 205 (Labaz; appl. 17.12.1969; GB-prior. 20.12.1968).
FR-appl. 2 026 686 (Labaz; appl. 19.12.1969; GB-prior. 20.12.1968).

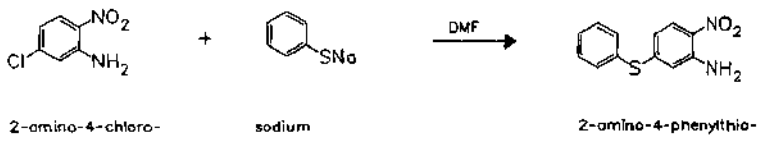
Formulation(s): tabl. 60 mg (as hydrogen fumarate)

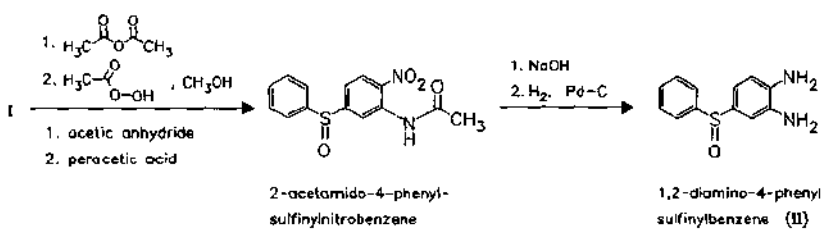
Trade Name(s):
D: Nocertone (Labaz); wfm F: Nocertone (Sanofi Winthrop)

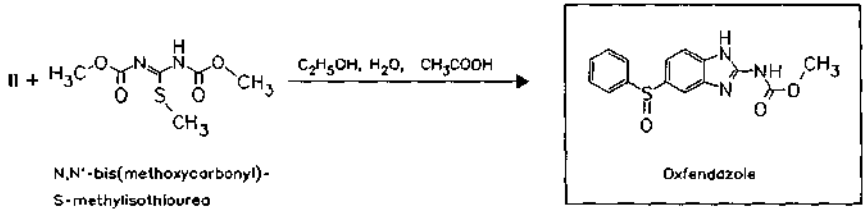
Oxfendazole

ATC: P02CA
Use: anthelmintic

RN: 53716-50-0 MF: $C_{15}H_{13}N_3O_3S$ MW: 315.35 EINECS: 258-714-5
LD_{50}: >6.4 g/kg (M, route unreported);
>6.4 g/kg (R, route unreported);
>1.6 g/kg (dog, route unreported)
CN: [5-(phenylsulfinyl)-1*H*-benzimidazol-2-yl]carbamic acid methyl ester







Reference(s):
DOS 2 363 351 (Syntex; appl. 21.11.1973; USA-prior. 29.12.1972).
Averkin, G.A. et al.: J. Med. Chem. (JMCMAR) **18**, 1164 (1975).

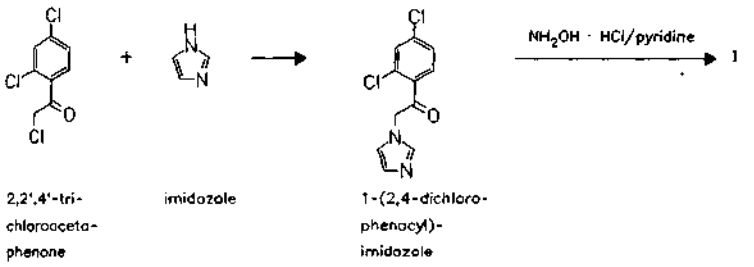
Trade Name(s):
GB: Synanthic (Syntex); wfm Systamex (Wellcome); wfm

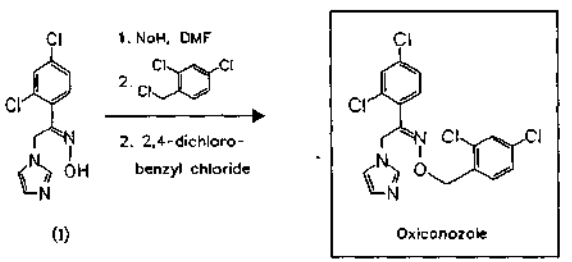
Oxiconazole

ATC: D01AC11; G01AF17
Use: antifungal

RN: 64211-45-6 MF: $C_{18}H_{13}Cl_4N_3O$ MW: 429.13
CN: (Z)-1-(2,4-dichlorophenyl)-2-(1*H*-imidazol-1-yl)ethanone *O*-[(2,4-dichlorophenyl)methyl]oxime

mononitrate
RN: 64211-46-7 MF: $C_{18}H_{13}Cl_4N_3O \cdot HNO_3$ MW: 492.15 EINECS: 264-730-3
LD_{50}: 2.63 g/kg (M, p.o.);
>2.458 g/kg (R, p.o.)





Reference(s):
DOS 2 657 578 (Siegfried AG; appl. 18.12.1976; CH-prior. 24.12.1975).
US 4 124 767 (Siegfried AG; 7.11.1978; CH-prior. 24.12.1975).
GB 1 514 870 (Siegfried AG; appl. 23.12.1976; CH-prior. 24.12.1975).
FR 2 336 129 (Siegfried AG; appl. 23.12.1976; CH-prior. 24.12.1975).

Formulation(s): cream 10 mg/g; pessaries 600 mg (as mononitrate); powder 10 mg/g; sol. 10 mg/ml

Trade Name(s):
D: Myfungar (Brenner-Efeka; 1984)
Oceral (Yamanouchi; 1984)
F: Fonx (Yamanouchi Pharma)
J: Derimine (Kaken)
Okinazole (Tokyo Tanabe)
USA: Oxistat (Glaxo Wellcome; as nitrate)

Oxilofrine

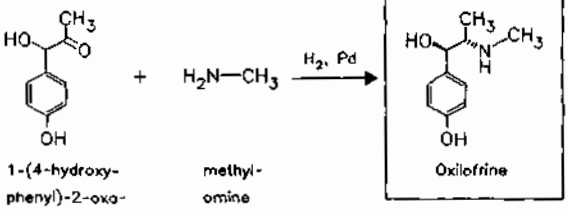
(*p*-Hydroxyephedrine; Methylsynephrine; Oxyephedrine)

ATC: N07A
Use: circulatory analeptic, sympathomimetic

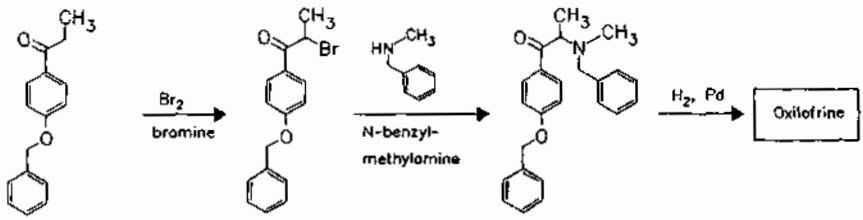
RN: 365-26-4 MF: $C_{10}H_{15}NO_2$ MW: 181.24 EINECS: 206-672-3
CN: (*R**,*S**)-4-hydroxy-α-[1-(methylamino)ethyl]benzenemethanol

hydrochloride
RN: 942-51-8 MF: $C_{10}H_{15}NO_2 \cdot HCl$ MW: 217.70 EINECS: 213-392-5

a



b



Reference(s):
a DRP 571 229 (I. G. Farben; appl. 1930).
starting material:
DRP 555 404 (I. G. Farben; appl. 1930).
b US 1 877 756 (M. Bockmühl et al.; 1932; D-prior. 1929).
US 1 878 021 (Winthrop; 1932; D-prior. 1928).

alternative syntheses:
DRP 526 393 (I. G. Farben; appl. 1928).
DRP 597 123 (I. G. Farben; appl. 1928).

review:
Ehrhart, Ruschig, Vol. 2, 154.

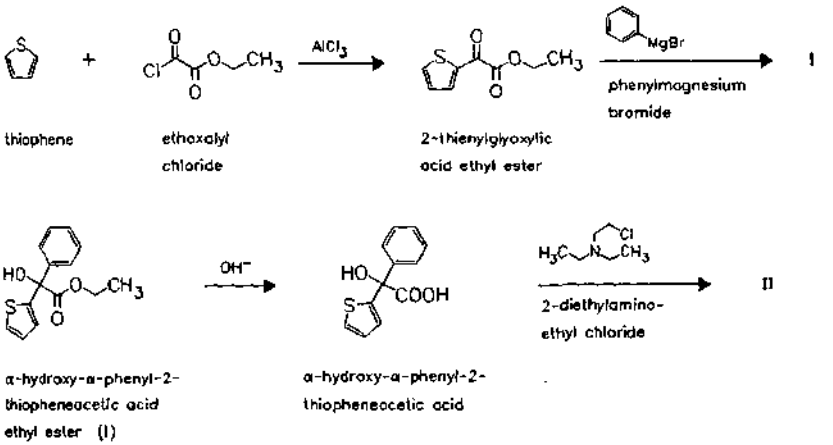
Formulation(s): drg. 16 mg, 32 mg; drops 20 mg/ml, 40 mg/ml (as hydrochloride)

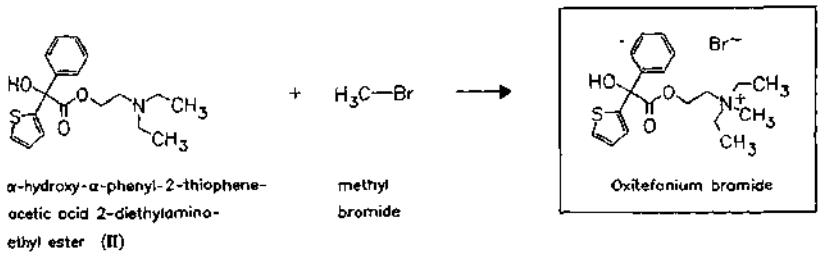
Trade Name(s):
D: Carnigen (Albert-Roussel, Hoechst)

Oxitefonium bromide

ATC: A03AB
Use: anticholinergic, antispasmodic

RN: 17692-63-6 MF: $C_{19}H_{26}BrNO_3S$ MW: 428.39 EINECS: 241-688-4
LD_{50}: 27.5 mg/kg (M, i.v.); 1050 mg/kg (M, p.o.)
CN: *N,N*-diethyl-2-[(hydroxyphenyl-2-thienylacetyl)oxy]-*N*-methylethanaminium bromide





Reference(s):
US 2 541 024 (F. F. Blicke; 1951; prior. 1946).
Blicke, F.F.; Tsao, M.U.: J. Am. Chem. Soc. (JACSAT) **66**, 1645 (1944).

Trade Name(s):
F: Bismutran (ISH)-comb.; wfm
Nibitor (ISH); wfm
Védrénan (ISH)-comb.; wfm

Oxitriptan

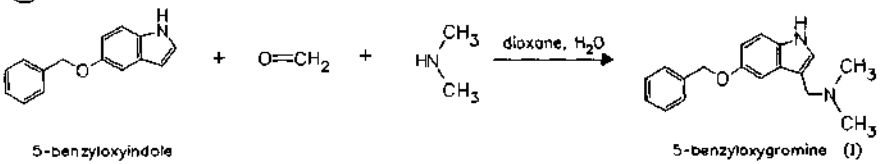
(5-Hydroxy-L-tryptophan; Oxytriptanum)

ATC: N06AB
Use: antidepressant (psysiological serotonin precursor)

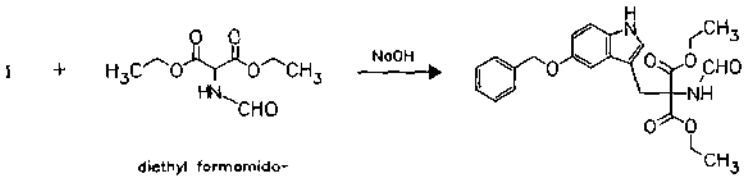
RN: 4350-09-8 MF: $C_{11}H_{12}N_2O_3$ MW: 220.23 EINECS: 224-411-1
LD_{50}: 375 mg/kg (M, i.v.); 1708 mg/kg (M, p.o.);
27 mg/kg (R, i.v.); 243 mg/kg (R, p.o.)
CN: 5-hydroxy-L-tryptophan

(o) 5-benzylaxy-DL-tryptophan

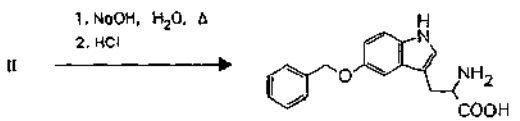
(o1)



5-benzyloxyindole + $O{=}CH_2$ + dimethylamine → 5-benzyloxygromine (I)

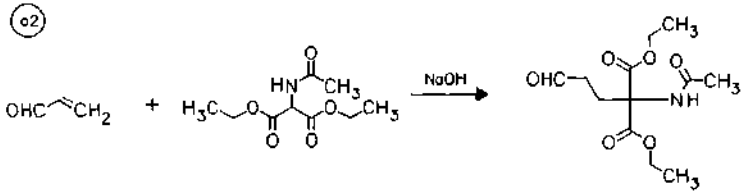


I + diethyl formamidomalonate → (II)

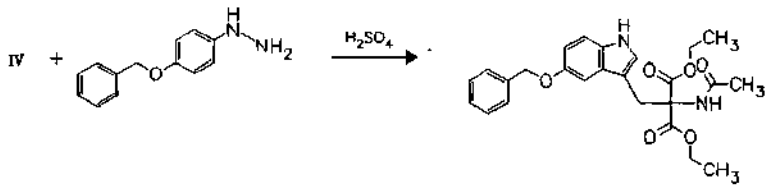


II → 5-benzyloxy-DL-tryptophan (III)

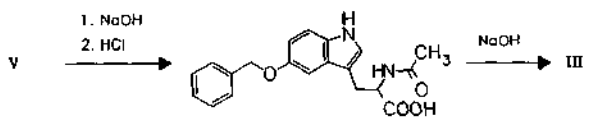
(o2)



acrolein + diethyl acetamidomalonate → (IV)

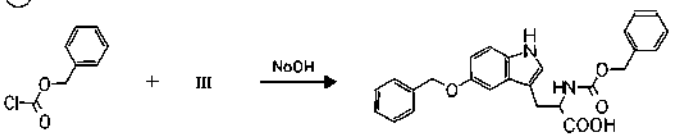


IV + → (V)



V → N-acetyl-5-benzyloxy-DL-tryptophan → III

(b) optical resolution

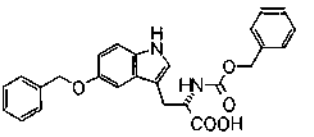


benzyl chloroformate

(VI)

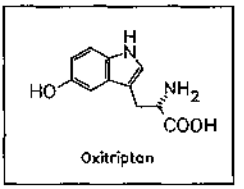
1. quinine
2. fractional crystallization of the quinine salts
3. HCl, extraction with ethyl acetate

VI →



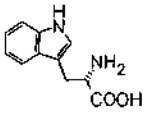
(VII)

VII H_2, Pd →



Oxitriptan

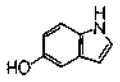
(c) microbiological oxidation of L-tryptophan



L-tryptophan

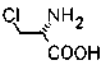
Bacillus subtilis (ATCC 21733) → Oxitriptan

(d) microbiological coupling with tryptophanase producing strains



5-hydroxy-indole (VIII)

+

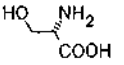


β-chloro-L-alanine

Proteus morganii (IFO 3848) or
Erwinia herbicola (ATCC 21433) or
Enterobacter cloacal (ATCC 7256) →

Oxitriptan

VIII +



L-serine

Proteus morganii (IFO 3848) or
Erwinia herbicola (ATCC 21433) or
Enterobacter cloacal (ATCC 7256) →

Oxitriptan

Reference(s):

a1 Ek, A.; Witkop, B.: J. Am. Chem. Soc. (JACSAT) **76**, 5579 (1954).
preparation of 5-benzyloxyindole:
Shaw, N.F. et al.: Biochem. Prep. (BIPRAP) **9**, 12 (1962).
a2 GB 845 034 (May & Baker; appl. 1957).
b Morris, A.J.; Armstrong, M.D.: J. Org. Chem. (JOCEAH) **22**, 306 (1957).
c DOS 2 150 535 (Schering AG; appl. 6.10.1971).
d DE 2 461 188 (Ajinomoto; appl. 23.12.1974; J-prior. 29.12.1973).

alternative syntheses:
DOS 2 151 088 (Kyowa Hakko; appl. 19.10.1971; J-prior. 26.10.1970).
DAS 2 409 675 (Sagami; appl. 28.2.1974; J-prior. 1.3.1973).

combination with benserazide;
DOS 2 327 636 (Hoffmann-La Roche; appl. 30.5.1973; CH-prior. 30.6.1972).

Formulation(s): cps. 100 mg

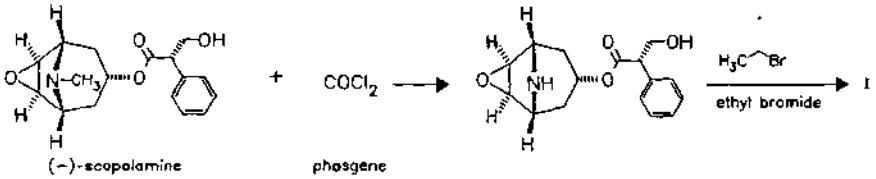
Trade Name(s):

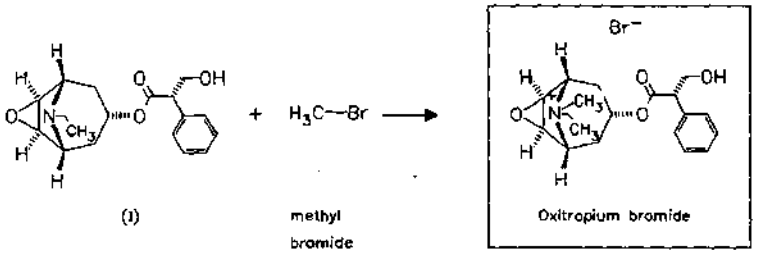
D:	Levothym (Promonta Lundbeck)	F:	Lévotonine (Panpharma)	Tript-OH (Sigma-Tau)
		I:	Oxyfan (Coli)	

Oxitropium bromide

ATC: R03BB02
Use: anticholinergic, antiasthmatic

RN: 30286-75-0 MF: $C_{19}H_{26}BrNO_4$ MW: 412.32 EINECS: 250-113-6
LD_{50}: 25.7 mg/kg (M, i.v.); 1.6 g/kg (M, p.o.);
19 mg/kg (R, i.v.); 2.25 g/kg (R, p.o.);
40 mg/kg (dog, i.v.); 3 g/kg (dog, p.o.)
CN: [7(*S*)-(1α,2β,4β,5α,7β)]-9-ethyl-7-(3-hydroxy-1-oxo-2-phenylpropoxy)-9-methyl-3-oxa-9-azoniatricyclo[3.3.1.$0^{2,4}$]nonane bromide





Reference(s):
US 3 472 861 (Boehringer Ing.; 19.1.1971; D-prior. 26.1.1966).
DOS 1 670 048 (Boehringer Ing.; appl. 26.1.1966).
DE 1 795 818 (Boehringer Ing.; prior. 26.1.1966).

Formulation(s): doses aerosol 0.1 mg; cps. 0.1 mg; inhalation sol. 1.5 mg; powder cps.0.1 mg/5 mg; sol. 1.5 mg/ml

Trade Name(s):

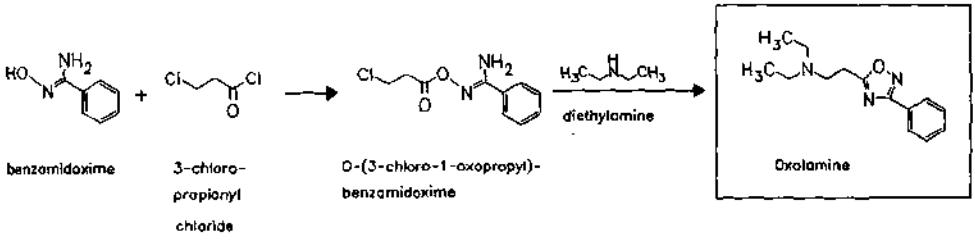
D:	Ventilate (Boehringer Ing.; 1983)	F:	Tersigat (3M Santé; 1984)

Oxolamine

ATC: R05DB07
Use: antitussive

RN: 959-14-8 MF: $C_{14}H_{19}N_3O$ MW: 245.33 EINECS: 213-493-4
LD_{50}: 679 mg/kg (M, p.o.);
>2 g/kg (R, p.o.)
CN: *N,N*-diethyl-3-phenyl-1,2,4-oxadiazole-5-ethanamine

citrate (1:1)
RN: 1949-20-8 MF: $C_{14}H_{19}N_3O \cdot C_6H_8O_7$ MW: 437.45 EINECS: 217-760-6
LD_{50}: 650 mg/kg (M, p.o.);
1650 mg/kg (R, p.o.)



Reference(s):
DE 1 097 998 (Angelini Francesco; appl. 30.9.1959).

Formulation(s): syrup 1 %; tabl. 100 mg

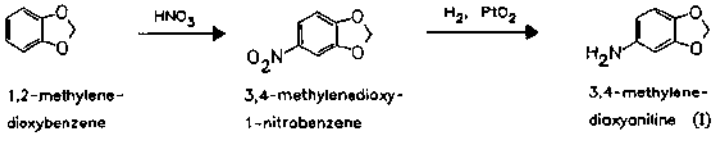
Trade Name(s):

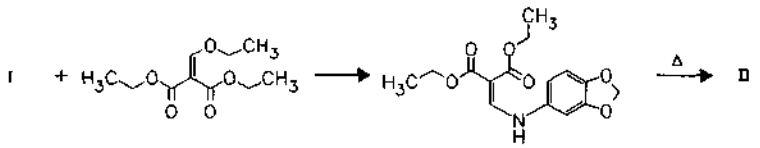
F:	Prilon (Cassenne); wfm		Perebron /-Ciclina (Angelini)		Oxolev (Barlocco)
	Proxybron (Cassenne)-comb.; wfm		Tussibron (Sella)		Perebron (Angelini)
I:	Gantrimex (Geymonat)-comb.	J:	Flogobron (Intersint)		Tussibron (Sella)
	Gantrimex ad scir (Angelini; as phosphate)		Oxamin (Violani-Farmavigor)		numerous combination preparations
			Oxarmin (Daiichi)		

Oxolinic acid
(Acide oxolinique)

ATC: G04AB04
Use: chemotherapeutic (Proteus bacteria infections, gyrase inhibitor)

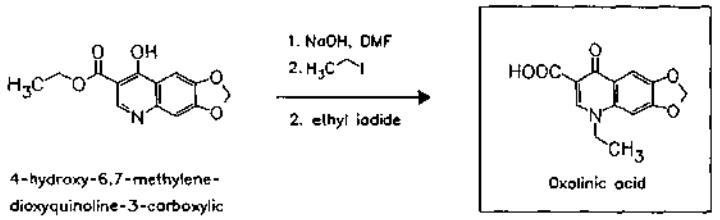
RN: 14698-29-4 MF: $C_{13}H_{11}NO_5$ MW: 261.23 EINECS: 238-750-8
LD_{50}: 1890 mg/kg (M, p.o.);
525 mg/kg (R, p.o.);
>1 g/kg (dog, p.o.)
CN: 5-ethyl-5,8-dihydro-8-oxo-1,3-dioxolo[4,5-*g*]quinoline-7-carboxylic acid





ethoxymethylenemalonic acid diethyl ester

[(1,3-benzodioxal-5-ylamino)-methylene]malonic acid diethyl ester



4-hydroxy-6,7-methylene-dioxyquinoline-3-carboxylic acid ethyl ester (II)

Reference(s):
US 3 287 458 (Warner-Lambert; 22.11.1966; appl. 27.4.1966; prior. 12.12.1963).

alternative synthesis:
DAS 2 103 805 (Sumitomo; appl. 27.1.1971; J-prior. 28.1.1970, 18.2.1970, 23.2.1970, 24.2.1970).

Formulation(s): tabl. 0.75 g

Trade Name(s):

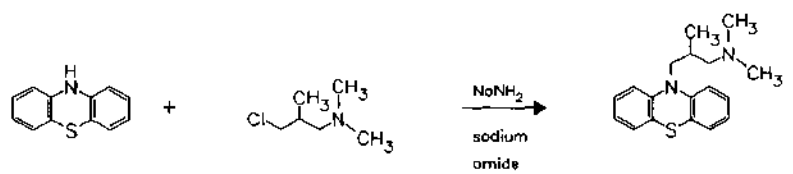
D:	Nidantin (Gödecke/Sasse); wfm	Oxolina (Rorer); wfm		Uroxol (Ausonia); wfm
		Pelvis (Coli); wfm		Uroxol mite (Ausonia); wfm
F:	Urotrate (Parke Davis)	Tilvis (Scharper); wfm		
GB:	Prodoxol (Warner); wfm	Tiurasin (Bouty)	USA:	Utibid (Warner); wfm
I:	Decme (Poli); wfm	Uritrate (Parke Davis); wfm		
	Ossian (Bioindustria); wfm	Uroxin (Von Boch); wfm		

Oxomemazine

ATC: R06AD08
Use: antiallergic, antipruritic, sedative

RN: 3689-50-7 MF: $C_{18}H_{22}N_2O_2S$ MW: 330.45 EINECS: 222-996-8
LD_{50}: 35 mg/kg (M, i.v.); 140 mg/kg (M, p.o.)
CN: *N,N*,β-trimethyl-10*H*-phenothiazine-10-propanamine 5,5-dioxide

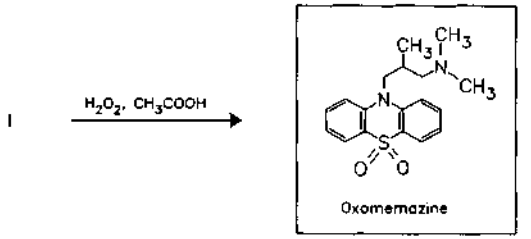
monohydrochloride
RN: 4784-40-1 MF: $C_{18}H_{22}N_2O_2S \cdot HCl$ MW: 366.91 EINECS: 225-330-4



phenothiazine

3-dimethylamino-2-methylpropyl chloride

10-(3-dimethylamino-2-methylpropyl)phenothiazine (I)



Reference(s):
US 2 972 612 (Rhône-Poulenc; 21.2.1961; GB-prior. 13.5.1955).

Formulation(s): syrup 150 mg/150 ml; tabl. 10 mg

Trade Name(s):

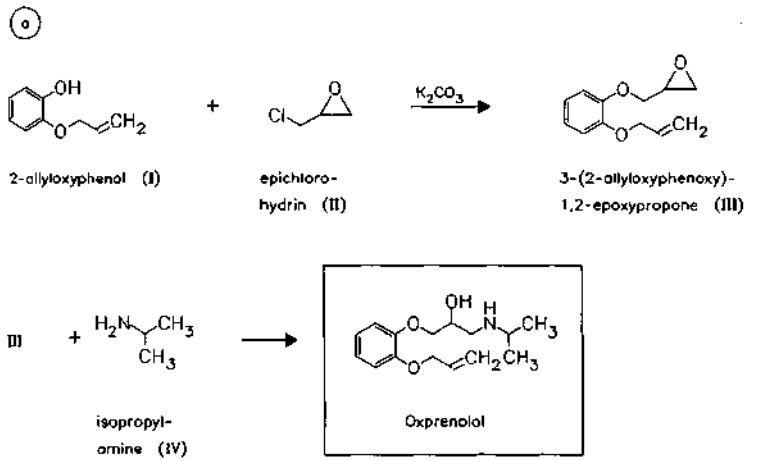
D:	Aplexil (Rhône-Poulenc)-comb.; wfm		Imakol (Rhône-Poulenc); wfm		Toplexil (Théraplix)-comb.
	Imakol (Rhodia Pharma); wfm	F:	Rectoplexil (Théraplix)-comb.	J:	Dysedon (Meiji)

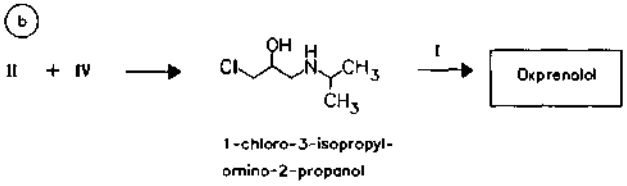
Oxprenolol

ATC: C07AA02
Use: beta blocking agent

RN: 6452-71-7 MF: $C_{15}H_{23}NO_3$ MW: 265.35 EINECS: 229-257-9
LD_{50}: 20 mg/kg (M, i.v.); 375 mg/kg (M, p.o.)
CN: 1-[(1-methylethyl)amino]-3-[2-(2-propenyloxy)phenoxy]-2-propanol

hydrochloride
RN: 6452-73-9 MF: $C_{15}H_{23}NO_3 \cdot HCl$ MW: 301.81 EINECS: 229-260-5
LD_{50}: 20 mg/kg (M, i.v.);
33 mg/kg (R, i.v.); 214 mg/kg (R, p.o.);
15 mg/kg (dog, i.v.)





Reference(s):
DE 1 242 596 (Ciba; prior. 19.8.1965).
US 3 483 221 (Ciba; 9.12.1969; CH-prior. 10.9.1964).
CH 451 144 (Ciba; appl. 10.9.1964).
CH 451 115 (Ciba; appl. 10.9.1964).
CH 451 915 (Ciba; appl. 10.9.1964).
GB 1 077 603 (Ciba; appl. 23.8.1965; CH-prior. 10.9.1964).

Formulation(s): f. c. tabl. 20 mg, 40 mg, 80 mg, 160 mg (as hydrochloride)

Trade Name(s):

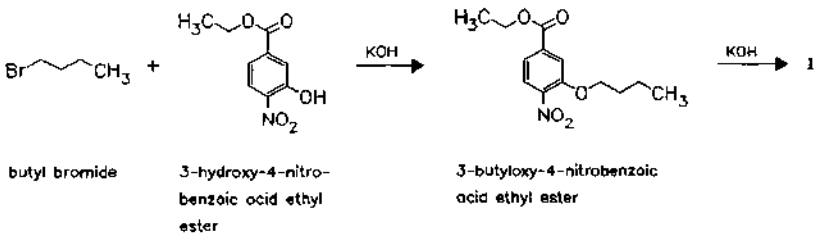
D:	Trasicor (Novartis) numerous generics and combination preparations	GB:	Trasitensine (Novartis; as hydrochloride)-comb. Slow Trasicor (Novartis) Trasicor (Novartis; 1970) Trasidrex (Novartis Farma; 1978)-comb.	J:	Trasicor (Ciba) Trasitensin Retard (Ciba)-comb. Trasacor (Ciba-Geigy-Takeda)
F:	Trasicor (Novartis; 1975) Trasipressol (Novartis; 1977)-comb.	I:	Tensilene (Caber)-comb.	USA:	Trasicor (Ciba-Geigy); wfm

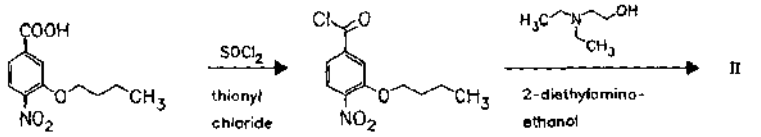
Oxybuprocaine
(Benoxinate)

ATC: D04AB03; S01HA02
Use: local anesthetic

RN: 99-43-4 MF: $C_{17}H_{28}N_2O_3$ MW: 308.42
LD_{50}: 7800 µg/kg (M, i.v.)
CN: 4-amino-3-butoxybenzoic acid 2-(diethylamino)ethyl ester

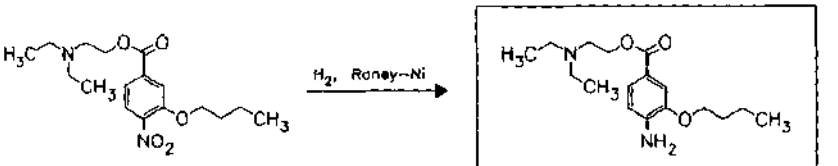
monohydrochloride
RN: 5987-82-6 MF: $C_{17}H_{28}N_2O_3 \cdot HCl$ MW: 344.88 EINECS: 227-808-8
LD_{50}: >6.8 mg/kg (M, i.v.);
>5.6 mg/kg (R, i.v.)





3-butyloxy-4-nitro-benzoic acid (I)

3-butyloxy-4-nitro-benzoyl chloride



3-butyloxy-4-nitrobenzoic acid 2-diethylaminoethyl ester (II)

Reference(s):
GB 654 484 (Dr. A. Wander AG; appl. 1948; CH-prior. 1947).

Formulation(s): eye drops 2 mg, 4 mg/ml; sol. 10 mg/ml (as hydrochloride)

Trade Name(s):

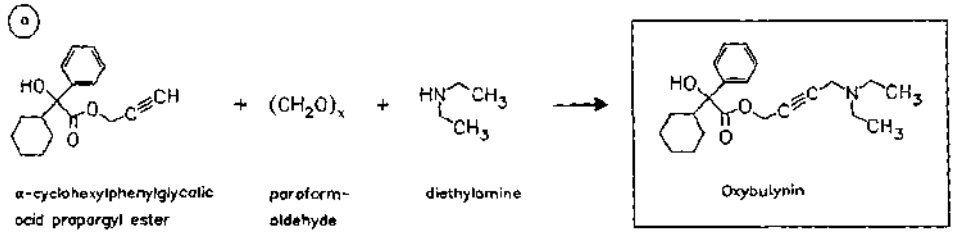
D:	Benoxinat 0,4 % Thilo (Alcon)		Thilorbin (Thilo)-comb.		Opulets Benoxinate (Alcon)
	Conjuncain EDO (Mann)	F:	Cébésine (Chauvin-Blache)	I:	Novesina (Novartis Farma)
	Novesine (CIBA Vision)		Novésine (Merck Sharp & Dohme-Chibret)	J:	Benoxil (Santen)
	Novesine Wander (Novartis Pharma)	GB:	Minims Benoxinate (Chauvin; as hydrochloride)		Lacrimin (Santen)
	Oxbarukain (Chauvin ankerpharm)				Primacaine (Hori-Morita)
				USA:	Dorsacaine (Dorsey); wfm

Oxybutynin

ATC: G04BD04
Use: anticholinergic, antispasmodic

RN: 5633-20-5 MF: $C_{22}H_{31}NO_3$ MW: 357.49
CN: α-cyclohexyl-α-hydroxybenzeneacetic acid 4-(diethylamino)-2-butynyl ester

hydrochloride
RN: 1508-65-2 MF: $C_{22}H_{31}NO_3 \cdot HCl$ MW: 393.96 EINECS: 216-139-7
LD_{50}: 42 mg/kg (M, i.v.); 725 mg/kg (M, p.o.);
61 mg/kg (R, i.v.); 460 mg/kg (R, p.o.);
>400 mg/kg (dog, p.o.)

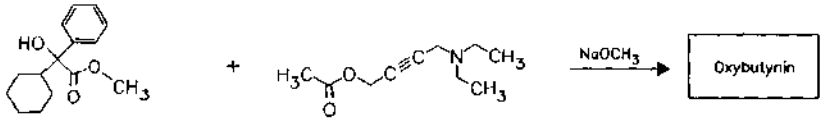


α-cyclohexylphenylglycolic acid propargyl ester

paraform-aldehyde

diethylamine

(b)



α-cyclohexylphenylglycolic acid methyl ester

1-acetoxy-4-diethylamino-2-butyne

Reference(s):
GB 940 540 (Mead Johnson; appl. 25.7.1961; USA-prior. 26.7.1960, 20.6.1961).

Formulation(s): syrup 2.5 mg/5 ml; tabl. 2.5 mg, 5 mg (as hydrochloride)

Trade Name(s):

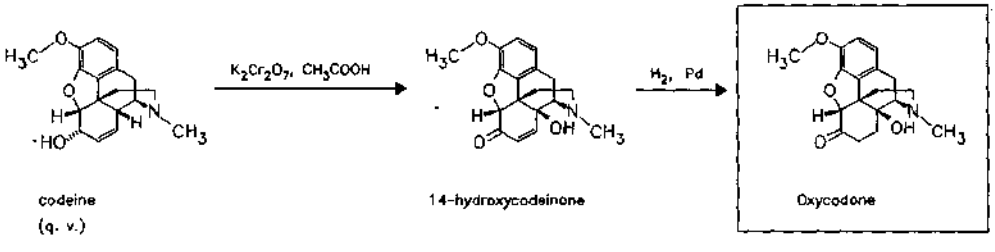
F:	Ditropan (Synthélabo)		Ditropan (Lorex)	USA:	Ditropan (Hoechst Marion Roussel)
	Dxiptane (Débat)	I:	Ditropan (Synthelabo)		
GB:	Cystrin (Pharmacia & Upjohn)	J:	Pollakisu (Kodama)		

Oxycodone

ATC: N02AA05
Use: analgesic

RN: 76-42-6 MF: $C_{18}H_{21}NO_4$ MW: 315.37 EINECS: 200-960-2
LD_{50}: 320 mg/kg (M, i.p.); 426 mg/kg (M, s.c.)
CN: (5α)-4,5-epoxy-14-hydroxy-3-methoxy-17-methylmorphinan-6-one

hydrochloride
RN: 124-90-3 MF: $C_{18}H_{21}NO_4 \cdot HCl$ MW: 351.83 EINECS: 204-717-1



codeine (q. v.)

14-hydroxycodeinone

Oxycodone

Reference(s):
Ehrhart-Ruschig **I**, 118.
DRP 411 530 (E. Merck AG; 1925).

controlled release composition:
US 5 266 331 (Euroceltique; 30.11.1993; appl. 27.11.1991).

Formulation(s): cps. 5 mg; s. r. tabl. 10 mg, 20 mg, 40 mg, 80 mg

Trade Name(s):

D:	Eubine (Chemipharm); wfm		Scophedal (Merck)-comb.; wfm	GB:	Proladone (Boots); wfm
	Eukodal (Merck); wfm	F:	Eubine (Promedica)		

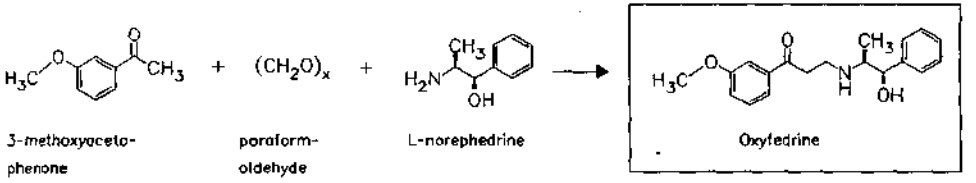
USA:	OxyContin (Purdue Pharma) Percocet-5 (Endo)	Percodan (Endo)-comb. Roxicodone (Roxane) Tylox (Ortho-McNeil)	generics and combination preparations

Oxyfedrine

ATC: C01DX03
Use: coronary therapeutic

RN: 15687-41-9 MF: $C_{19}H_{23}NO_3$ MW: 313.40
CN: [*R*-(*R**,*S**)]-3-[(2-hydroxy-1-methyl-2-phenylethyl)amino]-1-(3-methoxyphenyl)-1-propanone

hydrochloride
RN: 16777-42-7 MF: $C_{19}H_{23}NO_3 \cdot HCl$ MW: 349.86 EINECS: 240-828-1
LD_{50}: 23 mg/kg (M, i.v.); 510 mg/kg (M, p.o.);
46 mg/kg (R, i.v.); 500 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.); 200 mg/kg (dog, p.o.)



Reference(s):
DE 1 493 574 (Degussa; appl. 31.3.1962).
US 3 225 095 (Degussa; 21.12.1965; D-prior. 31.3.1962).

Formulation(s): amp. 4 mg/2 ml; f. c. tabl. 8 mg, 24 mg (as hydrochloride)

Trade Name(s):

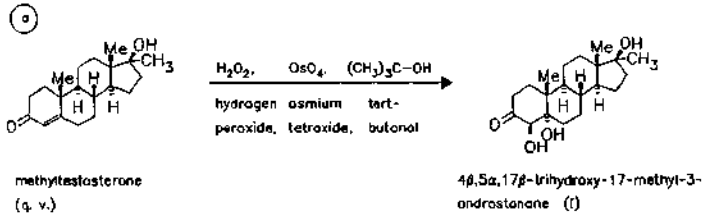
D:	Ildamen (ASTA Medica AWD)	F:	Modacor (I.S.H.); wfm		Ildamen (Sir); wfm
		I:	Ildamen (Farmades); wfm	J:	Ildamen (Chugai)

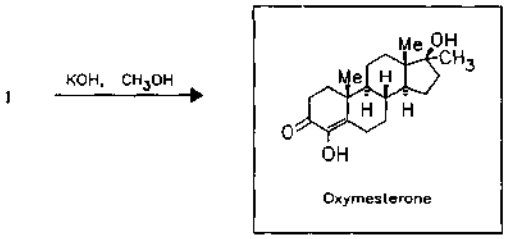
Oxymesterone

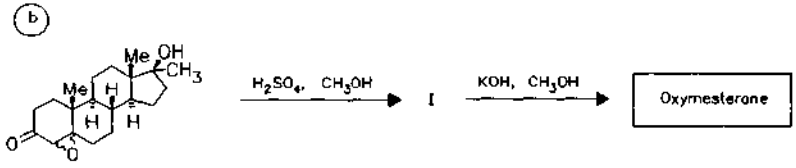
(Oxymestrone; Methandrostenediolone)

ATC: G03B
Use: anabolic

RN: 145-12-0 MF: $C_{20}H_{30}O_3$ MW: 318.46 EINECS: 205-646-9
CN: (17β)-4,17-dihydroxy-17-methylandrost-4-en-3-one







17α-methyltestosterone 4,5-epoxide

Reference(s):
US 3 060 201 (Farmitalia; 23.10.1962; GB-prior. 6.6.1958).

Formulation(s): tabl. 5 mg

Trade Name(s):

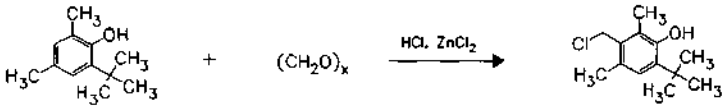
D:	Olocortina (Montedison Farma)-comb.; wfm		Oranabol (Montedison Farma); wfm	J:	Anamidol (Iwaki) Oranabol (Sumitomo)
		I:	Anamidol (Iwaki); wfm		

Oxymetazoline

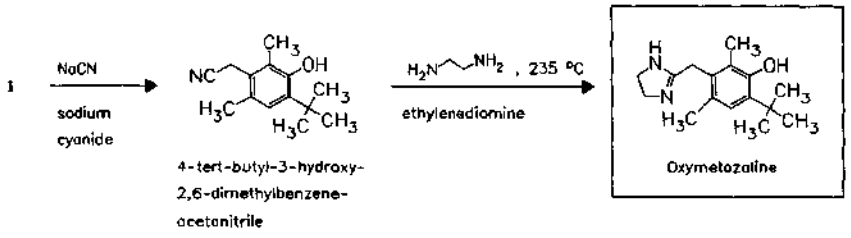
ATC: R01AA05; R01AB07; S01GA04
Use: rhinological therapeutic (vasoconstrictor)

RN: 1491-59-4 MF: $C_{16}H_{24}N_2O$ MW: 260.38 EINECS: 216-079-1
LD_{50}: 2700 µg/kg (M, i.v.);
800 µg/kg (R, p.o.)
CN: 3-[(4,5-dihydro-1*H*-imidazol-2-yl)methyl]-6-(1,1-dimethylethyl)-2,4-dimethylphenol

monohydrochloride
RN: 2315-02-8 MF: $C_{16}H_{24}N_2O \cdot HCl$ MW: 296.84 EINECS: 219-015-0
LD_{50}: 4700 µg/kg (M, p.o.);
1070 µg/kg (R, i.v.); 680 µg/kg (R, p.o.)



6-tert-butyl-2,4-dimethylphenol + paraformaldehyde → 6-tert-butyl-3-chloromethyl-2,4-dimethylphenol (I)



Reference(s):
US 3 147 275 (E. Merck AG; 1.9.1964; D-prior. 30.9.1960).

Formulation(s): doses spray 0.5 mg; drops 10 mg/100 ml; gel 0.5 mg; nasal drops 10mg/100 ml, 25 mg/100 ml, 50 mg/100 ml; spray 50 mg/100 ml (as hydrochloride)

Trade Name(s):

D: Nasivin (Merck)
Nasivinetten (Merck)
Vistoxyn (Pharm-Allergan)
Wick Sinex (Wick Pharma)

F: Aturgyl (Synthélabo)
Sinex Lachartre (Lachartre)

GB: Actifed Nasale (Warner-Lambert)
Afrazine (Kirby-Warrick); wfm
Atomol (Allen & Hanburys); wfm
Iliadin-Mini (Merck); wfm
Oxilin (Allergan)
Rino Calyptol (Rhône-Poulenc Rorer)

I: Nasivin (Bracco)
Triaminic Nasale (Novartis Consumer Health)
Vicks Simex Spray (Procter & Gamble)-comb.

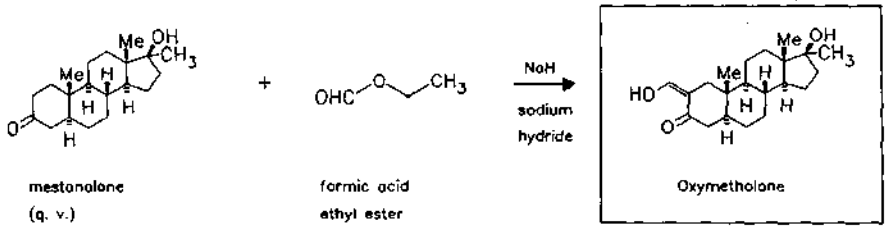
J: Nasivin (Merck-Chugai)

USA: 4-Way Long Acting Nasal Spray (Bristol-Myers); wfm
Afrin (Schering); wfm
Dristan Long Lasting Nasal Spray (Whitehall); wfm
Neo-Synephrine (Winthrop); wfm

Oxymetholone
(Hydroxymetholone)

ATC: A14AA05
Use: anabolic

RN: 434-07-1 MF: $C_{21}H_{32}O_3$ MW: 332.48 EINECS: 207-098-6
LD_{50}: >1 g/kg (R, i.p.)
CN: (5α,17β)-17-hydroxy-2-(hydroxymethylene)-17-methylandrostan-3-one



Reference(s):
DE 1 070 632 (Syntex; appl. 30.1.1957; MEX-prior. 7.2.1956).
Ringold, H.J. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 427 (1959).

Formulation(s): tabl. 50 mg

Trade Name(s):

D: Pardroyd (Parke Davis); wfm
Plenastril (Grünenthal); wfm

F: Nastenon (Syntex-Daltan); wfm

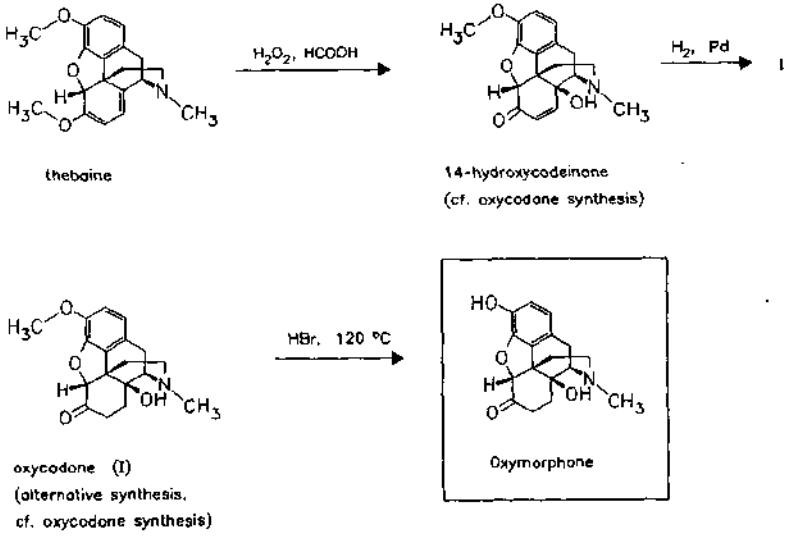
GB: Anapolon (Syntex) J: Adroyd (Parke Davis-Sankyo); Anadrol (Shionogi) USA: Anadrol (Unimed)

Oxymorphone
(Oxydimorphone)

ATC: A14AA05
Use: analgesic

RN: 76-41-5 MF: $C_{17}H_{19}NO_4$ MW: 301.34 EINECS: 200-959-7
LD_{50}: 172 mg/kg (M, i.v.)
CN: (5α)-4,5-epoxy-3,14-dihydroxy-17-methylmorphinan-6-one

hydrochloride
RN: 357-07-3 MF: $C_{17}H_{19}NO_4 \cdot HCl$ MW: 337.80



Reference(s):
US 2 806 033 (M. J. Lewenstein and U. Weiss; 1957; appl. 1955).

Formulation(s): amp. 1 mg/ml, 1.5 mg/ml; suppos. 5 mg (as hydrochloride)

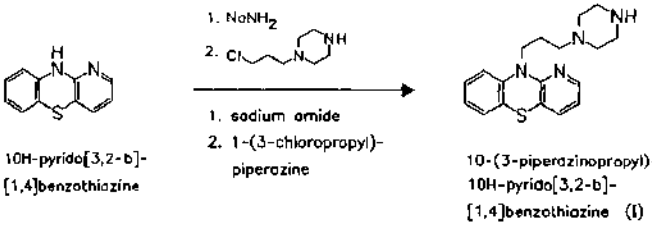
Trade Name(s):
USA: Numorphan (Endo)

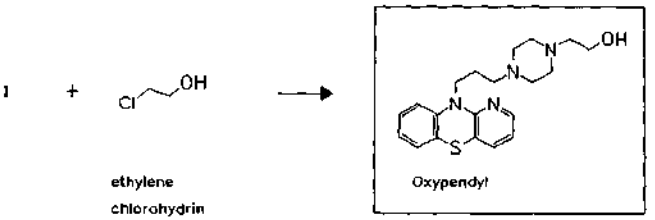
Oxypendyl

ATC: A04
Use: anti-emetic

RN: 5585-93-3 MF: $C_{20}H_{26}N_4OS$ MW: 370.52
CN: 4-[3-(10*H*-pyrido[3,2-*b*][1,4]benzothiazin-10-yl)propyl]-1-piperazineethanol

dihydrochloride
RN: 17297-82-4 MF: $C_{20}H_{26}N_4OS \cdot 2HCl$ MW: 443.44 EINECS: 241-326-5
LD_{50}: 75 mg/kg (M, i.v.); 735 mg/kg (M, p.o.);
1610 mg/kg (R, p.o.)





Reference(s):
DE 1 063 603 (Degussa; appl. 3.12.1957).

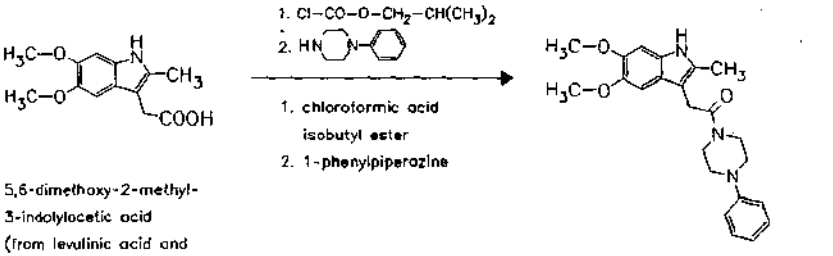
Formulation(s): vial 25 mg

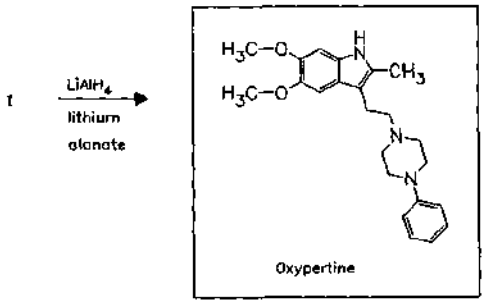
Trade Name(s):
D: Pervetral (Homburg); wfm

Oxypertine

ATC: N05AE01
Use: neuroleptic, antipsychotic

RN: 153-87-7 MF: $C_{23}H_{29}N_3O_2$ MW: 379.50 EINECS: 205-818-3
LD_{50}: 2300 mg/kg (M, p.o.);
1 g/kg (R, p.o.)
CN: 5,6-dimethoxy-2-methyl-3-[2-(4-phenyl-1-piperazinyl)ethyl]-1*H*-indole





Reference(s):
DE I 445 151 (Sterling Drug; appl. 23.9.1960; USA-prior. 25.9.1959).
BE 595 341 (Sterling Drug; appl. 23.9.1960; USA-prior. 25.9.1959).

Formulation(s): tabl. 40 mg

Trade Name(s):

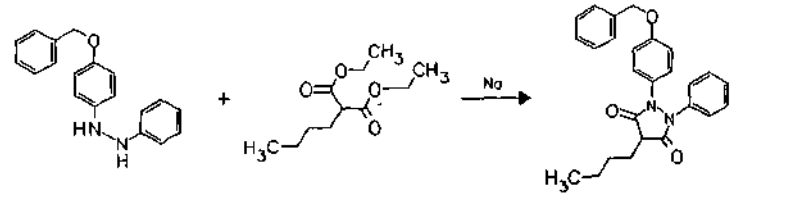
D:	Forit (Winthrop); wfm	GB:	Integrin (Winthrop); wfm	USA:	Forit (Sterling Winthrop); wfm
F:	Equipertine (Winthrop); wfm	J:	Forit (Daiichi)		

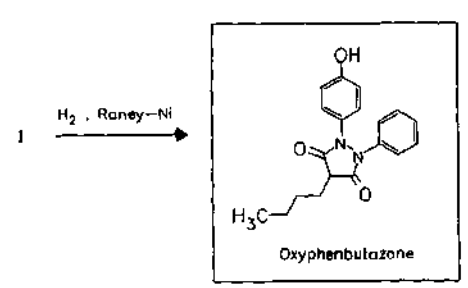
Oxyphenbutazone

ATC: M01AA03; M02AA04; S01BC02
Use: anti-inflammatory

RN: 129-20-4 MF: $C_{19}H_{20}N_2O_3$ MW: 324.38 EINECS: 204-936-2
LD_{50}: 52 mg/kg (M, i.v.); 330 mg/kg (M, p.o.);
68 mg/kg (R, i.v.); 329 mg/kg (R, p.o.);
178 mg/kg (dog, i.v.)
CN: 4-butyl-1-(4-hydroxyphenyl)-2-phenyl-3,5-pyrazolidinedione

monohydrate
RN: 7081-38-1 MF: $C_{19}H_{20}N_2O_3 \cdot H_2O$ MW: 342.40





Reference(s):
US 2 745 783 (Geigy; 1956; CH-prior. 1950).

Formulation(s): suppos. 250 mg, 500 mg

Trade Name(s):

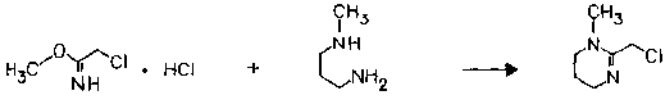
D:	Californit (Merckle); wfm	GB:	Tandacote (Geigy); wfm		Isobutil (Panther-Osfa Chemie); wfm
	Dolo-Phlogase (Adenylchemie)-comb.; wfm		Tanderil (Geigy); wfm		Neo-Farmadol (Ottolenghi); wfm
	Imbun (Merckle); wfm		Tanderil (Zyma); wfm		Pirabutina (Ellea); wfm
	Oxyphenbutazon-ratiopharm (ratiopharm); wfm		Tanderil Chloramphenicol (Zyma); wfm		Piraflogin (Jamco); wfm
	Oxyphenbutazon Stada (Stada Chemie); wfm	I:	Artroflog (Magis); wfm		Poliflogil (Farmacobiologico); wfm
	Phlogase (Adenylchemie)-comb.; wfm		Butaflogin (Chimipharma); wfm		Tanderil (Geigy); wfm
	Phlogistol (Helopharm); wfm		Butaspirone (Brocchieri); wfm		Validil (Von Boch); wfm
	Phlogont (Azuchemie); wfm		Butilene (Francia Farm.); wfm	J:	Tanderil (Ciba-Geigy-Fujisawa)
	Tanderil (Geigy); wfm		Difmedol Gel (UCM-Difme)-comb.; wfm		Tantal (Sawai)
F:	Tandéril (Geigy); wfm		Flogistin (Scharper); wfm	USA:	Oxalid (USV); wfm
			Flogitolo (Isnardi); wfm		Oxyphenbutazone Tablets (Bolar; Bioline); wfm
			Flogodin (Firma); wfm		Tandearil (Geigy); wfm
			Iridil (Farmila); wfm		

Oxyphencyclimine

ATC: A03AA01
Use: anticholinergic

RN: 125-53-1 MF: $C_{20}H_{28}N_2O_3$ MW: 344.46 EINECS: 204-743-3
CN: α-cyclohexyl-α-hydroxybenzeneacetic acid (1,4,5,6-tetrahydro-1-methyl-2-pyrimidinyl)methyl ester

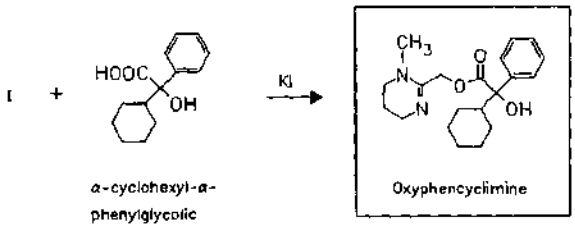
monohydrochloride
RN: 125-52-0 MF: $C_{20}H_{28}N_2O_3 \cdot HCl$ MW: 380.92 EINECS: 204-742-8
LD_{50}: 80 mg/kg (M, i.v.); 860 mg/kg (M, p.o.);
88 mg/kg (R, i.v.); 1370 mg/kg (R, p.o.);
47 mg/kg (dog, i.v.); 1 g/kg (dog, p.o.)



2-chloroacetimidic acid methyl ester hydrochloride

3-methylamino-propylamine

2-chloromethyl-1-methyl-1,4,5,6-tetrahydropyrimidine (*t*)



α-cyclohexyl-*α*-phenylglycolic acid

Oxyphencyclimine

Reference(s):
GB 795 758 (Pfizer; appl. 1956; USA-prior. 1955).
DE 1 058 515 (Pfizer; appl. 1956; USA-prior. 1955).

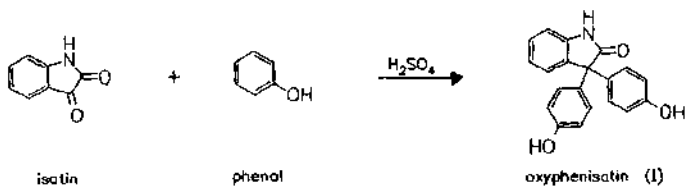
Formulation(s): drg. 5 mg (as hydrochloride)

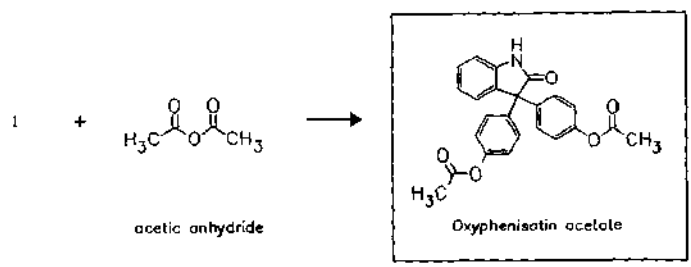
Trade Name(s):
D: Orbigastril (Roerig); wfm
Orbigastril (Roerig)-comb. with meprobamate
F: Daritran (Opodex)-comb.; wfm
Manir (Valpan); wfm
GB: Daricon (Pfizer); wfm
I: Gastrised (Benvegna)-comb.; wfm
Madil (Beolet); wfm
Ulcelac (Sigurtà)-comb.; wfm
Vagogastrin (Benvegna); wfm
combination preparations; wfm
J: Daricon (Taito Pfizer)
Inomarn-S (Sawai)
Norma (Sankyo)
USA: Daricon (Beecham-Massengill); wfm
Daricon (SmithKline Beecham; as hydrochloride); wfm
Daricon PB (Beecham-Massengill)-comb. with phenobarbital; wfm
Enarax (Roerig)-comb. with hydroxyzine; wfm
Gastrix (Rowell); wfm
Gastrix W/Phenobarbital (Rowell)-comb. with phenobarbital; wfm
Vistrax (Pfizer)-comb. with hydroxyzine

Oxyphenisatin acetate
(Diphesatine; Acetphenolisatin)

ATC: A06A
Use: laxative

RN: 115-33-3 MF: $C_{24}H_{19}NO_5$ MW: 401.42 EINECS: 204-083-6
CN: 3,3-bis[4-(acetyloxy)phenyl]-1,3-dihydro-2*H*-indol-2-one





Reference(s):
DRP 406 210 (Hoffmann-La Roche; appl. 1923; CH-prior. 1922).
DRP 447 539 (Hoffmann-La Roche; appl. 1924; CH-prior. 1924).
DRP 482 435 (Hoffmann-La Roche; appl. 1928).

Formulation(s): tabl. 5 mg

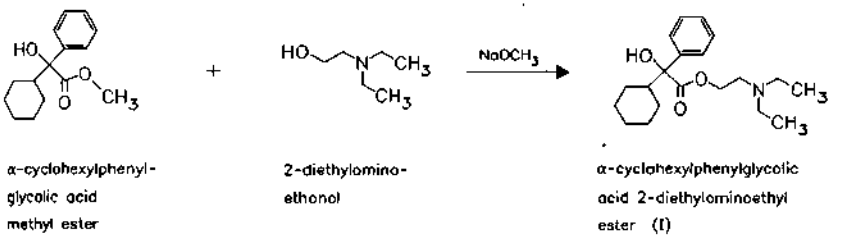
Trade Name(s):
D: Bisco-Zitron (Biscova); wfm
Darmoletten (Omegin); wfm
Laxatan forte (Divapharma); wfm
Obstilax (Zirkulin); wfm

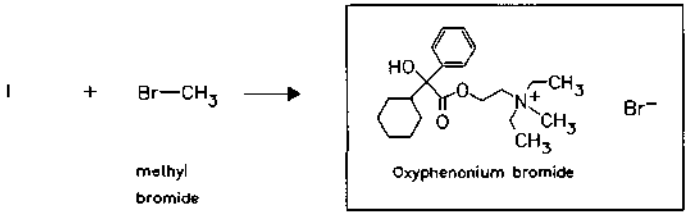
	Schokolax (Dallmann); wfm		numerous combination preparations; wfm	Veripaque (Winthrop); wfm
	Vinco-Abführperlen (Krehayn); wfm	F:	Laxénia (Dumesny)-comb.; wfm	USA: Isocrin (Warner Chilcott); wfm
		GB:	Bydolax (Moore); wfm	Lavema (Winthrop); wfm

Oxyphenonium bromide

ATC: A03AB03
Use: anticholinergic, antispasmodic

RN: 50-10-2 MF: $C_{21}H_{34}BrNO_3$ MW: 428.41 EINECS: 200-010-7
LD_{50}: 30 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
13.2 mg/kg (R, i.v.); 995 mg/kg (R, p.o.)
CN: 2-[(cyclohexylhydroxyphenylacetyl)oxy]-*N,N*-diethyl-*N*-methylethanaminium bromide





Reference(s):
CH 259 958 (Ciba; appl. 1944).

Formulation(s): drg. 10 mg; tabl. 5 mg

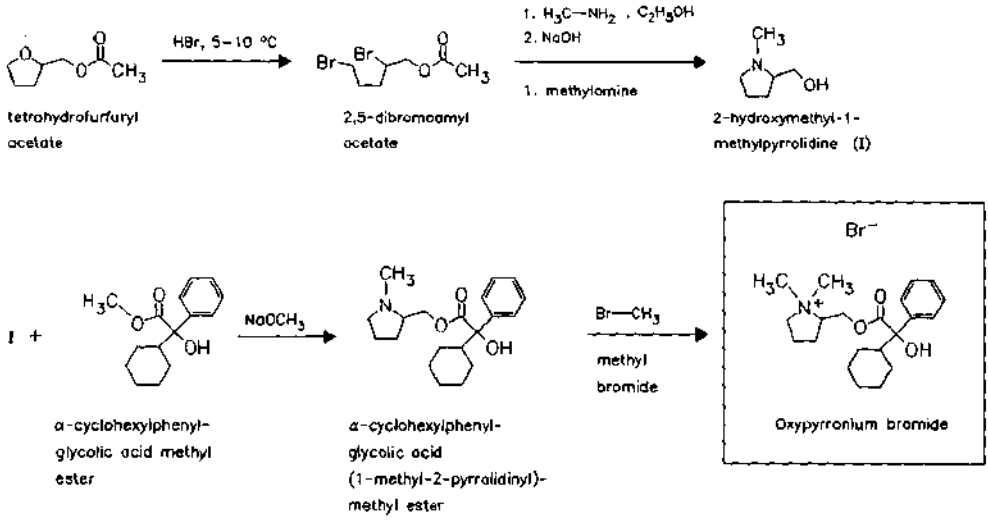
Trade Name(s):

D:	Antrenyl (Ciba); wfm		Ossitetra Sciroppo
GB:	Antrenyl (Ciba); wfm		(Pierrel); wfm
I:	Antrenil (Ciba); wfm	USA:	Antrenyl (Ciba); wfm

Oxypyrronium bromide

ATC: A03AB
Use: anticholinergic, antispasmodic

RN: 561-43-3 MF: $C_{21}H_{32}BrNO_3$ MW: 426.40 EINECS: 209-219-8
LD_{50}: 18 mg/kg (M, i.v.); 1040 mg/kg (M, p.o.);
27.5 mg/kg (R, i.v.); 780 mg/kg (R, p.o.)
CN: 2-[[(cyclohexylhydroxyphenylacetyl)oxy]methyl]-1,1-dimethylpyrrolidinium bromide



Reference(s):
GB 859 260 (Beecham; appl. 1957; valid from 1958).

2-hydroxymethyl-1-methylpyrrolidine:
GB 820 503 (Beecham; appl. 1956; valid from 1957).

Formulation(s): 3 mg, 6 mg

Trade Name(s):
F: Immetropan (Dausse); wfm J: Immetro (Fujisawa)

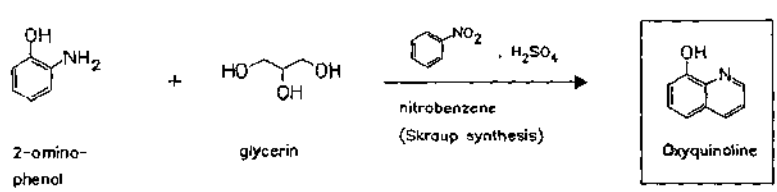
Oxyquinoline

(Oxine)

ATC: A01AB07; D08AH03; G01AC30; R02AA14
Use: antiseptic, disinfectant

RN: 148-24-3 MF: C_9H_7NO MW: 145.16 EINECS: 205-711-1
LD_{50}: 20 g/kg (M, p.o.);
1200 mg/kg (R, p.o.)
CN: 8-quinolinol

hydrochloride
RN: 16862-11-6 MF: $C_9H_7NO \cdot HCl$ MW: 181.62 EINECS: 240-884-7
sulfate (2:1)
RN: 134-31-6 MF: $C_9H_7NO \cdot 1/2H_2SO_4$ MW: 388.40 EINECS: 205-137-1
LD_{50}: 280 mg/kg (M, p.o.);
1200 mg/kg (R, p.o.)



Reference(s):
DRP 14 976 (Z. H. Skraup; 1881).

Formulation(s): vaginal jelly 0.025 % (as sulfate)

Trade Name(s):

D:
Antimycoticum Stulln (Stulln)-comb.; wfm
Aperisan Gel (Dentinox)-comb.; wfm
Brand-u. Wundgel Herit (Engelhard)-comb.; wfm
Chinomint Plus (Chinosolfabrik)-comb.; wfm
Chinosol (Chinosolfabrik)-comb.; wfm
Fungiderm (Terra-Bio)-comb.; wfm
Nasalgon (Labopharma)-comb.; wfm
Onychofissan (Fink)-comb.; wfm
Ovis (Warner)-comb.; wfm
Robumycon (Robugen)-comb.; wfm
Semori (Luitpold); wfm
Trachiform-V (Starke)-comb.; wfm

F:
Chromargon (Richard)-comb.
Dermacide (Labs. CS)-comb.
Quinocarbine (GNR-pharma)-comb.
Uvéline (Crinex)

GB:
Aci-jel (Ortho-Cilag)-comb.; wfm

I:
Anticolitico Roberts (Manetti Roberts); wfm
Cortanol (Schiapparelli Farm.)-comb.; wfm
Foille (Isnardi)-comb.; wfm
Leucorsan (Zilliken)-comb.
Viderm (Gerassini)-comb.

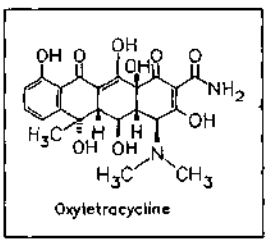
USA:
Aci-jel (Ortho-McNeil)-comb.

Oxytetracycline

ATC: D06AA03; G01AA07; J01AA06; S01AA04
Use: antibiotic

RN: 79-57-2 MF: $C_{22}H_{24}N_2O_9$ MW: 460.44 EINECS: 201-212-8
LD_{50}: 140 mg/kg (M, i.v.); 2240 mg/kg (M, p.o.); 260 mg/kg (R, i.v.); 4800 mg/kg (R, p.o.)
CN: [4*S*-(4α,4aα,5α,5aα,6β,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,6,10,12,12a-hexahydroxy-6-methyl-1,11-dioxo-2-naphthacenecarboxamide

monohydrochloride
RN: 2058-46-0 MF: $C_{22}H_{24}N_2O_9 \cdot HCl$ MW: 496.90 EINECS: 218-161-2
LD_{50}: 100 mg/kg (M, i.v.); 6696 mg/kg (M, p.o.); 302 mg/kg (R, i.v.)



Oxytetracycline

From fermentation solutions of *Streptomyces rimosus*.

Reference(s):
US 2 516 080 (Pfizer; 1950; prior. 1949).
Finlay, A.C. et al.: Science (Washington, D.C.) (SCIEAS) **111**, 85 (1950).

stabilized formulations:
US 3 017 323 (Pfizer; 16.1.1962; prior. 1952).
US 3 026 248 (Pfizer; 20.3.1962; prior. 11.9.1959).
BE 861 855 (Philips; appl. 14.12.1977; GB-prior. 16.12.1976).

Formulation(s): cps. 250 mg, 500 mg; eye ointment 10 mg/g; ointment 10 mg/g; vial 5 ml (as hydrochloride)

Trade Name(s):

D: Bisolvomycin (Boehringer Ing.)-comb. with bromhexine
Corti Biciron (S & K Pharma)-comb. with tramazoline
Corti Biciron Augensalbe (S & K Pharma)-comb. with dexamethasone 21-isonicotinate
Dura Tetracyclin (durachemie)
Macocyn (Mack)
Oxytetracyclin Augensalbe Jenapharm (Alcon; Jenapharm)
Oxytetracyclinsalbe (Leyh)
Terracortil (Pfizer)-comb. with hydrocortisone
Terramycin (Pfizer)-comb.
Terramycin/Depot (Pfizer)
Terravenös (Pfizer)
Tetracycletten (Voigt)
Tetra-Gelomyrtol (Pohl)-comb.
Tetra-Tablinen (Beiersdorf-Tablinen)
Tetra-Tablinen (Sanorania)
Vendarcin (Schering)
numerous combination preparations

F: Auricularum (Sérolam)-comb.
Posicycline (Alcon)
Primyxine (Thera France)-comb.
Ster-Dex (CIBA Vision Ophthalmics)-comb.
Terramycine (Pfizer)
Tetranase (Rottapharm)-comb.

GB: Stecsolin (Squibb)
Terra-cortril (Pfizer)-comb.
Terramycin (Pfizer)-comb.
Trimovate (Glaxo Wellcome)-comb.

I: Cosmiciclina (Alfa Intes)-comb.

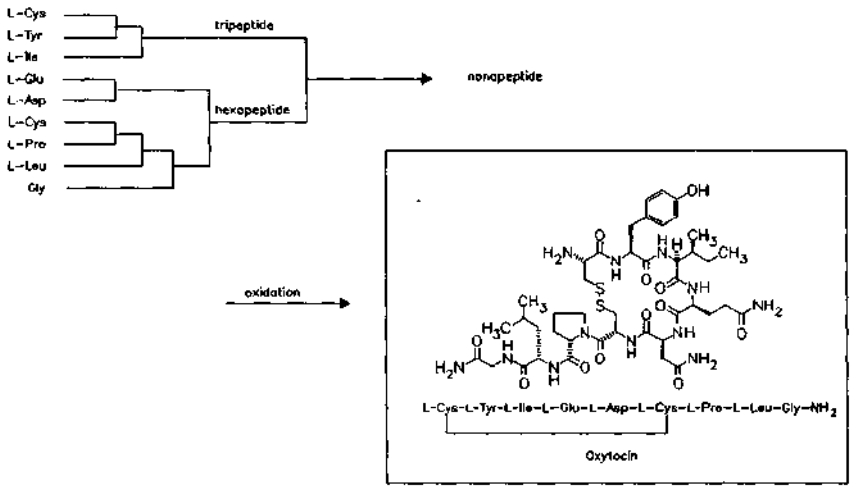
J: Geomycin (Otsuka)
Oxeten (Mochida)
Terramycin (Taito Pfizer)

USA: Terra-Cortril (Pfizer)
Terramycin (Pfizer)
Urobiotic-250 (Pfizer)

Oxytocin

ATC: H01BB02
Use: posterior lobe of pituitary gland hormone

RN: 50-56-6 MF: $C_{43}H_{66}N_{12}O_{12}S_2$ MW: 1007.21 EINECS: 200-048-4
LD_{50}: 5800 µg/kg (M, i.v.); >514 mg/kg (M, p.o.);
2275 µg/kg (R, i.v.); >20.52 mg/kg (R, p.o.)
CN: L-cysteinyl-L-tyrosyl-L-isoleucyl-L-glutaminyl-L-asparaginyl-L-cysteinyl-L-prolyl-L-leucylglycinamide cyclic (1→6)-disulfide



(only schematic)
In each case by use of *S*- and *N*-trityl groups.

Reference(s):
US 2 938 891 (Roussel-Uclaf; 31.5. 1960; F-prior. 30.3.1956).
US 3 076 797 (Roussel-Uclaf; 5.2.1963; F-prior. 22.7.1957).

Formulation(s): amp. 3 iu/ml, 5 iu/ml, 10 iu/ml; spray 40 iu/ml

Trade Name(s):

D:	Orasthin (Hoechst)	F:	Synthocinon (Novartis)		Pitocin (Sankyo)
	Oxytocin Hexal (Hexal)	GB:	Syntocinon (Novartis)		Pituitan (Nippon Zoki)
	Oxytocin-Noury (Nourypharma)		Syntometrine (Novartis)-comb.		Syntocinon (Sandoz-Sankyo)
	Syntocinon (Novartis Pharma)	I:	Syntocinon (Novartis Farma)	USA:	Pitocin (Parke Davis)
	Syntometrin (Novartis Pharma)-comb.	J:	Atonin-O (Teikoku Zoki) Orasthin (Hoechst)		

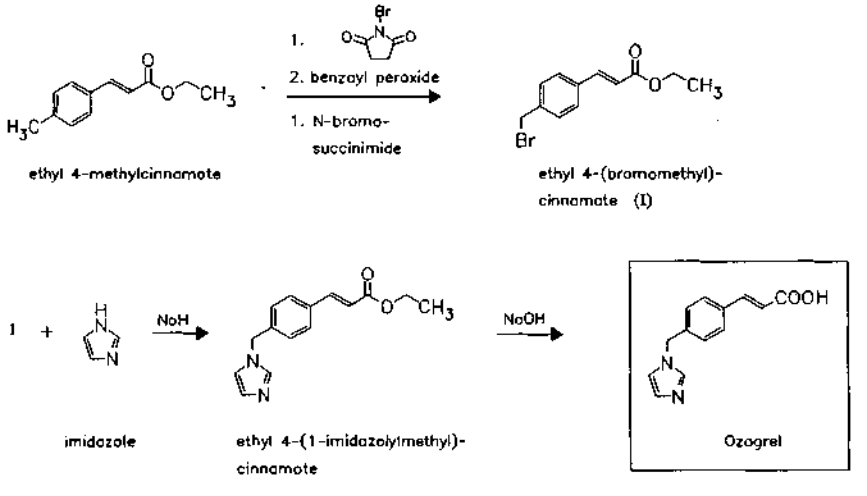
Ozagrel

(OKY-046)

ATC: B01AC
Use: thromboxane synthetase inhibitor, platelet aggregation inhibitor

RN: 82571-53-7 MF: $C_{13}H_{12}N_2O_2$ MW: 228.25
LD_{50}: 1940 mg/kg (Mm, i.v.); 1580 mg/kg (Mf, i.v.); 3800 mg/kg (M, p.o.); 1150 mg/kg (Rm, i.v.); 1300 mg/kg (Rf, i.v.); 5900 mg/kg (R, p.o.)
CN: (*E*)-3-[4-(1*H*-imidazol-1-ylmethyl)phenyl]-2-propenoic acid

monohydrochloride monohydrate
RN: 83993-01-5 MF: $C_{13}H_{12}N_2O_2 \cdot HCl \cdot H_2O$ MW: 282.73
monohydrochloride
RN: 78712-43-3 MF: $C_{13}H_{12}N_2O_2 \cdot HCl$ MW: 264.71



Reference(s):
US 4 226 878 (Kissei, Ono; 7.10.1980; J-prior. 13.6.1978).
DOS 2 923 815 (Ono; appl. 12.6.1979; J-prior. 13.6.1978).
Iizuka, K. et al.: J. Med. Chem. (JMCMAR) **24**, 1139 (1981).

synthesis of ethyl 4-(bromomethyl)cinnamate:
DOS 2 755 759 (Merck & Co.; appl. 14.12.1977; USA-prior. 17.12.1976).

Formulation(s): amp. 20 mg

Trade Name(s):
J: Cataclot (Ono; 1988) Xanbon (Kissei; 1988)

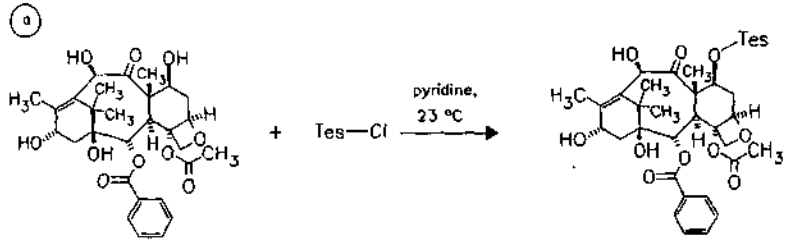
Paclitaxel

(BMS-181339; NSC-125973)

ATC: L01CD01
Use: antineoplastic

RN: 33069-62-4 MF: $C_{47}H_{51}NO_{14}$ MW: 853.92

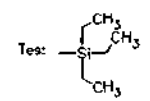
CN: [2a*R*-[2aα,4β,4aβ,6β,9α(α*R**,β*S**),11α,12α,12aα,12bα]]-β-(benzoylamino)-α-hydroxybenzenepropanoic acid 6,12b-bis(acetyloxy)-12-(benzyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-4,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1*H*-cyclodeca[3,4]benz[1,2-*c*]oxet-9-yl ester

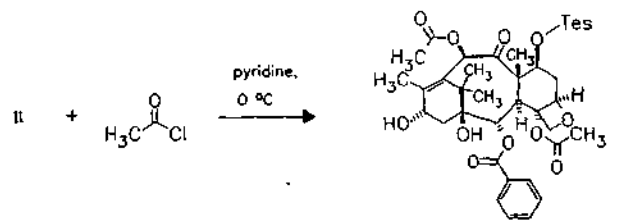


10-deacetylbaccatin III (I) (isolated from the leaves of Taxus baccata L.)

triethylsilyl chloride

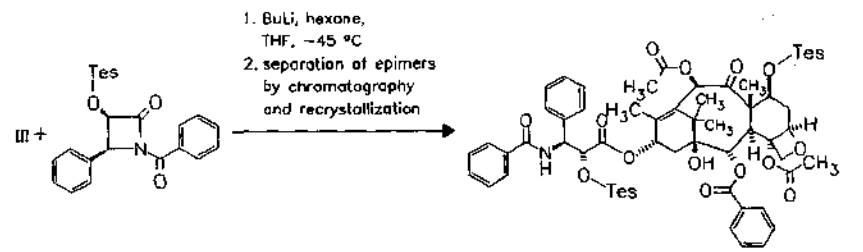
7-O-triethylsilyl-10-deacetylbaccatin III (II)





acetyl chloride

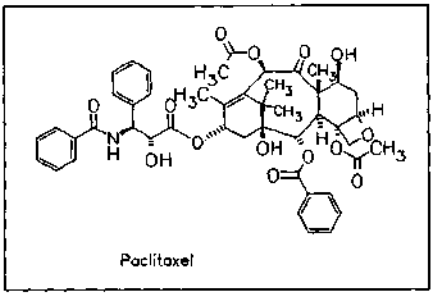
7-O-triethylsilyl-baccatin III (III)



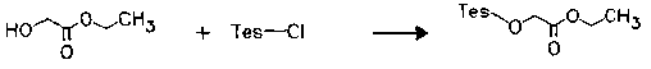
cis-1-benzoyl-3-(triethylsilyloxy)-4-phenyl-2-azetidinone (IV)

2',7-bis(triethylsilyl)-paclitaxel (V)

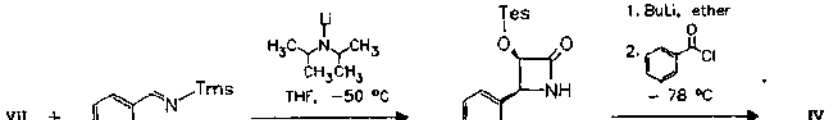
V —(aq. HF, acetonitrile, pyridine, 0 °C)→ Paclitaxel



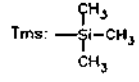
(aa) synthesis of IV:



ethyl glycolate + triethylsilyl chloride (VI) → (VII)

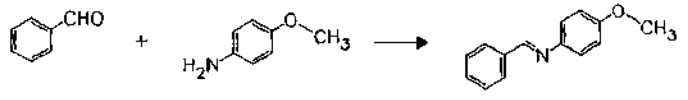


VII + N-(trimethylsilyl)benzaldehyde imine —(lithium diisopropylamide, THF, −50 °C)→ cis-3-(triethylsilyloxy)-4-phenyl-2-azetidinone —(1. BuLi, ether; 2. –78 °C; 2. benzoyl chloride (VIII))→ IV

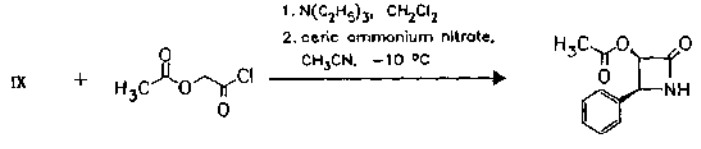


Tms:

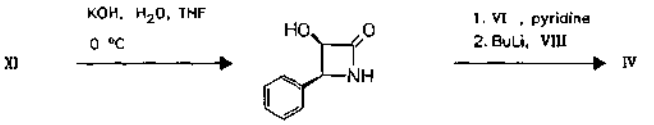
(ab)



benzaldehyde + p-methoxyaniline → (IX)

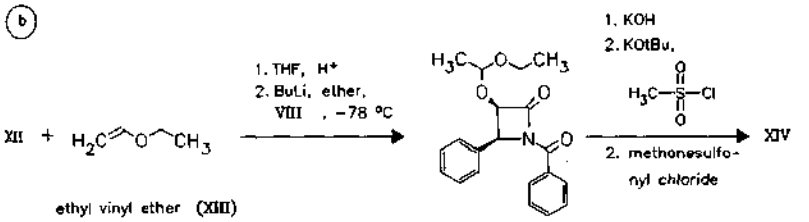


IX + 2-acetoxyacetyl chloride (X) —(1. $N(C_2H_5)_3$, CH_2Cl_2; 2. ceric ammonium nitrate, CH_3CN, −10 °C)→ cis-3-acetyloxy-4-phenyl-2-azetidinone (XI)

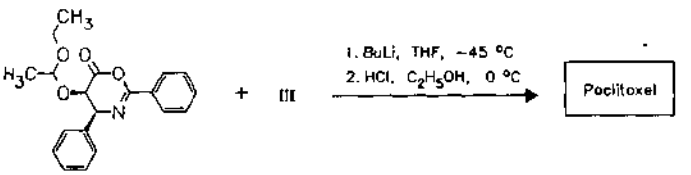


(3R,4S)-3-hydroxy-4-phenyl-2-azetidinone (XII)

(b)

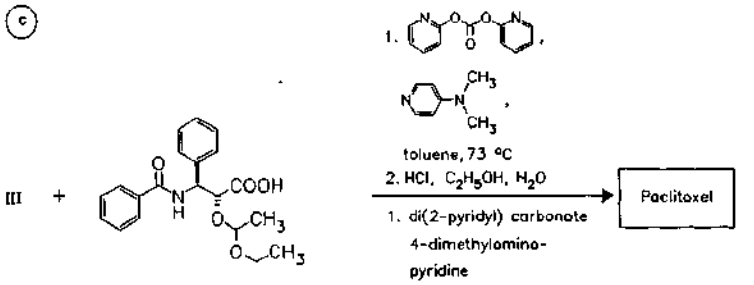


ethyl vinyl ether (XIII)



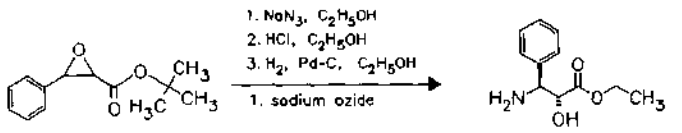
(−)-cis-2,4-diphenyl-5-(1-ethoxyethoxy)-4,5-dihydro-1,3-oxazin-6-one (XIV)

(c)



(2R,3S)-N-benzoyl-O-(1-ethoxyethyl)-3-phenylisoserine (XV)

(ca) synthesis of intermediate XV (or unprotected analogues)
(for more 3-phenylisoserine syntheses see Docetaxel)



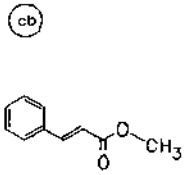
tert-butyl 3-phenylglycidate

threo-ethyl 2-hydroxy-3-amino-3-phenyl-propionate (XVI)

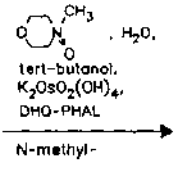
1. VIII
2. XIII
3. LiOH, C_2H_5OH

XVI ⟶ XV

(cb)

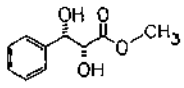


trans-methyl cinnamate

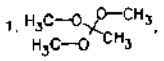


tert-butanol, $K_2OsO_2(OH)_4$, DHQ-PHAL

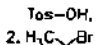
N-methylmorpholine oxide



(XVII)

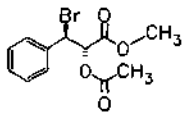
1. 

Tos-OH, CH_2Cl_2

2.  , −15 °C

2. acetyl bromide

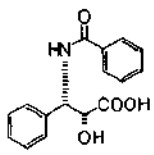
XVII ⟶



(XVIII)

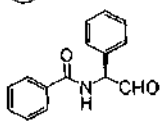
1. NaN_3, DMF, 50 °C
2. H_2, Pd-C
3. 10% aq. HCl
4. VIII, 2N NaOH

XVIII ⟶



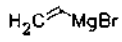
(2R,3S)-N-benzoyl-3-phenylisoserine (XIX)

(cc)



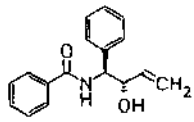
N-benzoyl-L-phenylglycinol

+



vinylmagnesium bromide

THF, CH_2Cl_2, −35 °C ⟶



(−)-(1S,2S)-N-(2-hydroxy-1-phenyl-3-butenyl)benzamide (XX)

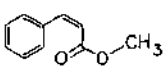
1. XIII

Tos-OH, CH_3CN

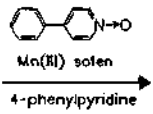
2. $RuCl_3$, $NaIO_4$, CH_3CN, $NaHCO_3$
3. (+)-ephedrine, acetone

XX ⟶ XV

(cd)

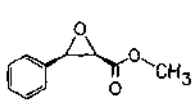


cis-methyl cinnamate

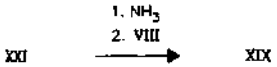


Mn(III) salen

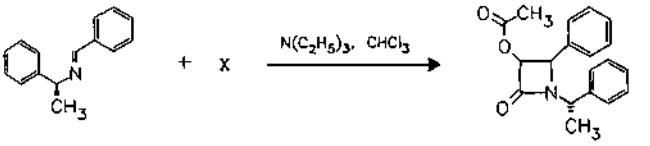
4-phenylpyridine N-oxide



(XXI)

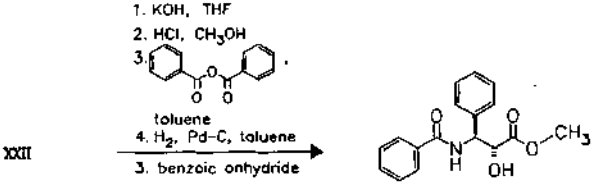


(ce)



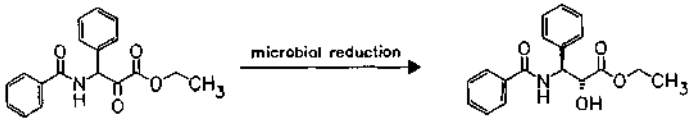
(S)-N-benzylidene-1-phenylethylamine

3-acetoxy-4-phenyl-1-[(S)-1-phenylethyl]-2-azetidinone (XXII)



methyl (2R,3S)-benzoylamino-2-hydroxy-3-phenylpropionate

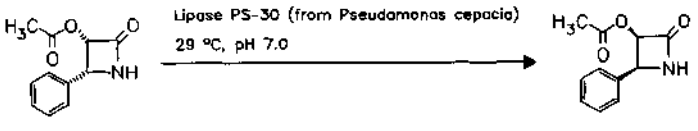
(cf)



(±)-ethyl 2-oxo-3-benzoylamino-3-phenylpropionate

(2R,3S)-N-benzoyl-3-phenylisoserine ethyl ester

(cg)



rac-(XI)

(3R,4S)-(XI)

Reference(s):

a Denis, J.N. et al.: J. Am. Chem. Soc. (JACSAT) **110**, 5917-5919 (1988).
WO 9 306 094 (Florida State Univ.; appl. 22.9.1992; USA-prior. 3.4.1992, 23.9.1991).
George, G.I. et al.: J. Med. Chem. (JMCMAR) **35**, 4230-4237 (1992).

aa US 5 015 744 (Florida State Univ.; appl. 14.11.1989).
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ab WO 9 418 164 (Univ. New York State; appl. 28.1.1994; USA-prior. 1.2.1993).
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Holton, R.A. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **3**, 2475 (1993).

b US 5 254 703 (Florida State Univ.; appl. 6.4.1992; USA-prior. 6.4.1992).
EP 428 376 (Florida State Univ.; appl. 13.11.1990; USA-prior. 14.11.1989).

c Denis, J.N. et al.: J. Am. Chem. Soc. (JACSAT) **110**, 5917-5919 (1988).

ca Denis, J.N. et al.: J. Org. Chem. (JOCEAH) **51**, 46-50 (1986).

cb Sharpless, B. et al.: J. Org. Chem. (JOCEAH) **59**, 5104 (1994).

cc Denis, J.N. et al.: J. Org. Chem. (JOCEAH) **56**, 6939 (1991).
EP 528 729 (Rhône-Poulenc Rorer; appl. 17.8.1992; F-prior. 19.8.1991).

cd Deng, L. et al.: J. Org. Chem. (JOCEAH) **57**, 4320-4323 (1992).
Denis, J.N. et al.: J. Org. Chem. (JOCEAH) **55**, 1957 (1990).

ce WO 9 317 997 (Rhône-Poulenc Rorer; appl. 16.9.1993; F-prior. 10.3.1992).
WO 9 422 813 (Rhône-Poulenc Rorer; appl. 25.3.1994; F-prior. 29.3.1993).

cf Pabel, R.N. et al.: Tetrahedron: Asymmetry (TASYE3) **33**, 5185-5188 (1993).

cg Pabel, R.N. et al.: Biotechnol. Appl. Biochem. (BABIEC) **20**, 23-33 (1994).

further chemoenzymatic resolutions:
Hoenig, H. et al.: Tetrahedron (TETRAB) **46**, 3841-50 (1990).
Gonet, D.-M. et al.: J. Org. Chem. (JOCEAH) **58**, 1287-1289 (1993).
Brieva, R. et al.: J. Org. Chem. (JOCEAH) **58**, 1068 (1993).

esterification:
Commercon, A. et al.: Tetrahedron Lett. (TELEAY) **33**, 5185 (1992).

reviews:
Hepperle, M.; Georg, G.I.: Drugs Future (DRFUD4) **19**, 573-584 (1994).
Georg, G.I. et al.: Expert Opin. Ther. Pat. (EOTPEG) **4**, 109-120 (1994).
Nicolaou, K.C. et al.: Angew. Chem. (ANCEAD) **107**, 2247-2259 (1995).

total synthesis of taxanes:
Holton, R.A. et al.: J. Am. Chem. Soc. (JACSAT) **116**, 1599-1600 (1994).
Masters, J.J. et al.: Angew. Chem. (ANCEAD) **107**, 1883 (1995).
Nicolaou, K.C. et al.: Nature (London) (NATUAS) **367**, 630-634 (1994).
US 5 274 137 (K. C. Nicolaou et al.; appl. 23.6.1992; USA-prior. 23.6.1992).
Wessjohann, L.: Angew. Chem. (ANCEAD) **106**, 1011 (1994).

purification of 10-deacetylbaccatin III:
WO 9 421 622 (Rhône-Poulenc Rorer; appl. 18.3.1994; F-prior. 22.3.1993).

production of taxanes from explant tissue:
EP 568 821 (Squibb; appl. 6.4.1993; USA-prior. 7.4.1992).

liposome formulation:
US 5 415 869 (Univ. New York State; appl. 12.11.1993; USA-prior. 12.11.1993).

cyclodextrine complexes:
WO 9 426 728 (Chinoin; appl. 9.5.1994; HU-prior. 12.5.1993).

use against protozoa:
WO 9 412 172 (Th. Jefferson Univ.; appl. 2.12.1993; USA-prior. 2.12.1992, 26.1.1993).

Formulation(s): vial 30 mg/5 ml

Trade Name(s):

D:	Taxol (Bristol-Myers Squibb)	GB:	Taxol (Bristol-Myers Squibb)	J:	Taxol (Bristol-Myers Squibb)
F:	Taxol (Bristol-Myers Squibb)	I:	Taxol (Bristol-Myers Squibb)	USA:	Taxol (Bristol-Myers Squibb)

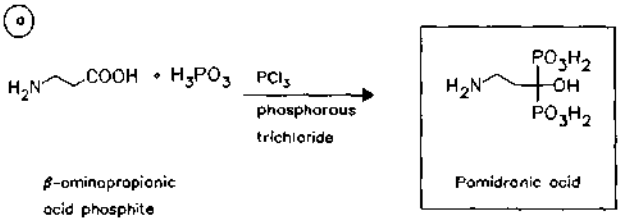
Pamidronic acid

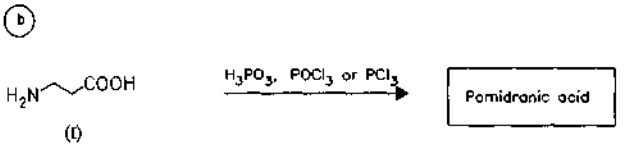
(APD)

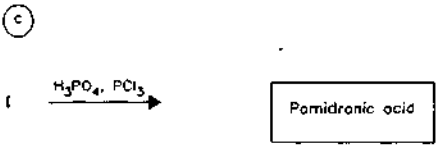
ATC: M05BA03
Use: calcium metabolism regulator (treatment of Paget's disease, hypercalcemia of malignancy)

RN: 40391-99-9 MF: $C_3H_{11}NO_7P_2$ MW: 235.07 EINECS: 254-905-2
CN: (3-amino-1-hydroxypropylidene)bis(phosphonic acid)

disodium salt
RN: 57248-88-1 MF: $C_3H_9NNa_2O_7P_2$ MW: 279.03 EINECS: 260-647-1







Reference(s):

a DOS 2 130 794 (Benckiser; appl. 22.6.1971).
b DOS 2 658 961 (Benckiser; appl. 24.12.1976).
DOS 2 943 498 (Henkel; appl. 27.10.1981).
c EP 82 472 (Henkel; appl. 15.12.1982; D-prior. 23.12.1981).

crystalline disodium salt:
JP 61 043 196 (Ciba-Geigy; appl. 6.8.1984).

controlled-release granule:
CA 2 024 631 (Ciba-Geigy; appl. 7.9.1989).

pharmaceutical formulation of disodium pamidronate *for controlling calcium deposition and treatment of calcium metabolism disorders:*
DOS 2 405 254 (Henkel; appl. 4.2.1974).
DOS 2 553 963 (Henkel; appl. 1.12.1975).
AT 538 311 (Henkel; appl. 2.1.1981).

topical pharmaceutical formulation:
EP 407 345 (Ciba-Geigy, Henkel; appl. 28.6.1990; CH-prior. 7.7.1989).

synergistic combination with cytostatics:
DOS 3 804 686 (Henkel, DKFZ; appl. 15.2.1988).

Formulation(s): amp. 15 mg/5 ml, 30 mg/5 ml, 60 mg/5 ml, 90 mg/5 ml, 15 mg/10 ml, 30 mg/10 ml, 60 mg/10 ml, 90 mg/10 ml (as disodium salt)

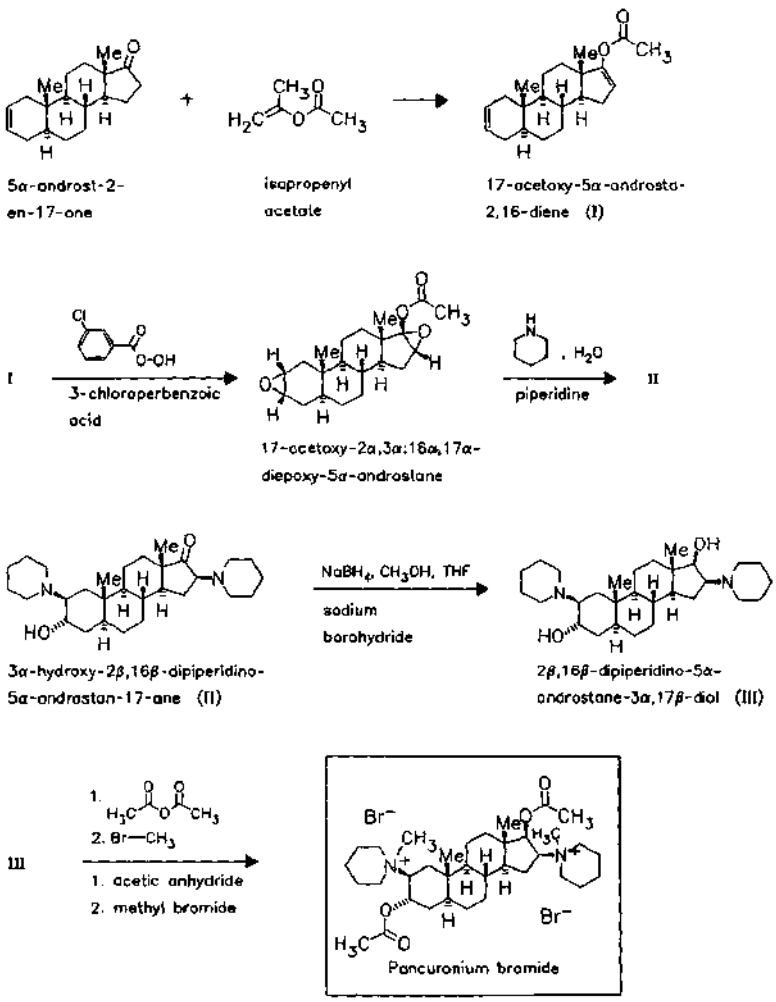
Trade Name(s):

GB:	Aredia (Novartis; 1989)	J:	Aredia (Ciba-Geigy)
I:	Aredia (Novartis Farma)	USA:	Aredia (Novartis)

Pancuronium bromide

ATC: M03AC01
Use: ganglionic blocker, anticonvulsant

RN: 15500-66-0 MF: $C_{35}H_{60}Br_2N_2O_4$ MW: 732.68 EINECS: 239-532-5
LD_{50}: 13 µg/kg (M, i.v.); 21.2 mg/kg (M, p.o.);
153 µg/kg (R, i.v.); 202 mg/kg (R, p.o.)
CN: 1,1'-[(2β,3α,5α,16β,17β)-3,17-bis(acetyloxy)androstane-2,16-diyl]bis[1-methylpiperidinium] dibromide



Reference(s):
NL-appl. 6 602 098 (Organon; appl. 17.2.1966; GB-prior. 19.2.1965).
US 4 177 190 (Richter Gedeon; 4.12.1979; H-prior. 1.8.1975).

Formulation(s): amp. 1 mg/ml, 4 mg/2 ml, 8 mg4 ml; vial 10 mg

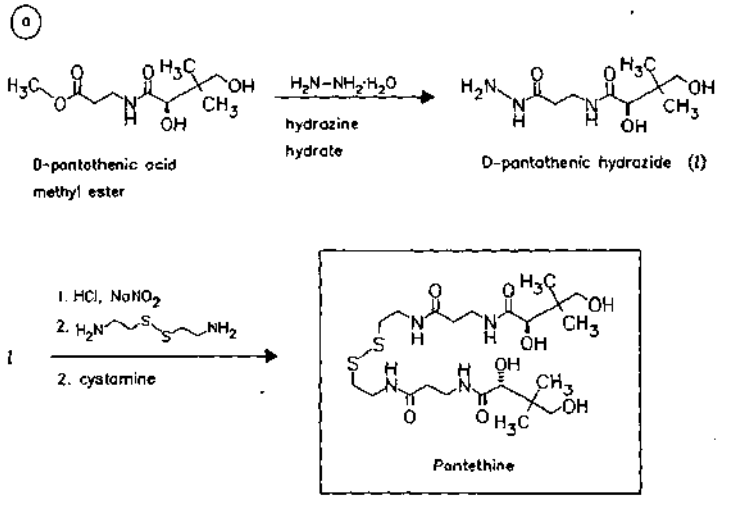
Trade Name(s):

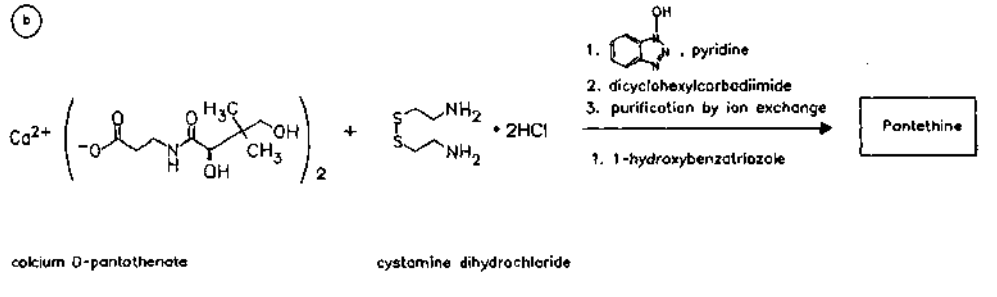
D:	Pancuronium Curamed (Schwabe-Curamed)		Pancuronium ratiopharm (ratiopharm)	GB:	Pavulon (Organon Teknika)
	Pancuronium "Organon"	F:	Pavulon (Organon	I:	Pavulon (Organon Teknika)
	Amp. (Organon Teknika)		Teknika); wfm	J:	Myoblock (Sankyo)
				USA:	Pavulon (Organon)

Pantethine

ATC: A11HA32
Use: growth factor

RN: 16816-67-4 MF: $C_{22}H_{42}N_4O_8S_2$ MW: 554.73 EINECS: 240-842-8
LD_{50}: 3400 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
3410 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: [*R*-(*R**,*R**)]-*N*,*N*'-[dithiobis[2,1-ethanediylimino(3-oxo-3,1-propanediyl)]]bis[2,4-dihydroxy-3,3-dimethylbutanamide]





Reference(s):
a US 2 625 565 (Parke Davis; 1953; appl. 1951).
b DAS 2 638 555 (Sago Pharmaceutical; appl. 26.8.1976; J-prior. 25.5.1976).

alternative syntheses:
Wieland; Bokelmann: Naturwissenschaften (NATWAY) **38**, 384 (1950).
Wittle et al.: J. Am. Chem. Soc. (JACSAT) **75**, 1694 (1953).
Viscontini et al.: Helv. Chim. Acta (HCACAV) **37**, 375 (1954).
Bowman; Cavalla: J. Chem. Soc. (JCSOA9) **1954**, 1171.
Shimizu et al.: Chem. Pharm. Bull. (CPBTAL) **13**, 180 (1965).

Formulation(s): cps. 300 mg; tabl. 60 mg

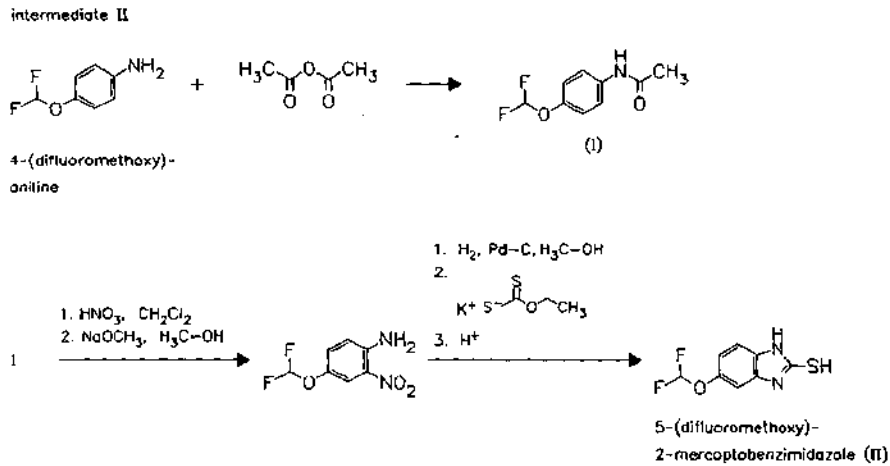
Trade Name(s):
I: Analip (Iketon)
Carpantin (Sanofi Winthrop)-comb.
Pantetina (Sanofi Winthrop)
J: Pantosin (Daiichi)

Pantoprazole sodium
(BY-1023; B 8510-29; SK & F-96022)

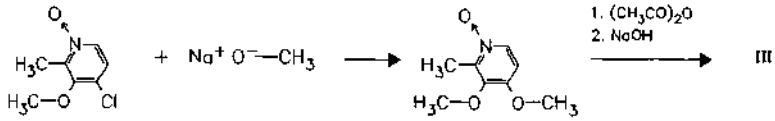
ATC: A02BC02; A02BD04
Use: antisecretory, gastric H^+/K^+-ATPase inhibitor

RN: 138786-67-1 MF: $C_{16}H_{14}F_2N_3NaO_4S$ MW: 405.36
LD_{50}: 1000 mg/kg (M, p.o.)
CN: 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1*H*-benzimidazole sodium salt

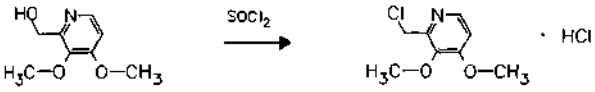
hydrate
RN: 164579-32-2 MF: $C_{16}H_{14}F_2N_3NaO_4S \cdot 3/2H_2O$ MW: 864.76
(+)-isomer
RN: 160098-11-3 MF: $C_{16}H_{14}F_2N_3NaO_4S$ MW: 405.36
(–)-isomer
RN: 160488-53-9 MF: $C_{16}H_{14}F_2N_3NaO_4S$ MW: 405.36
racemate
RN: 142678-34-0 MF: $C_{16}H_{14}F_2N_3NaO_4S$ MW: 405.36



intermediate IV



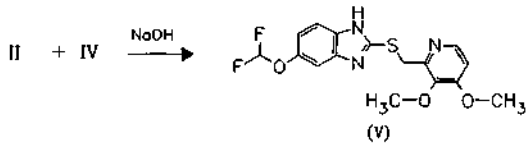
4-chloro-3-methoxy-
2-methylpyridine
N-oxide

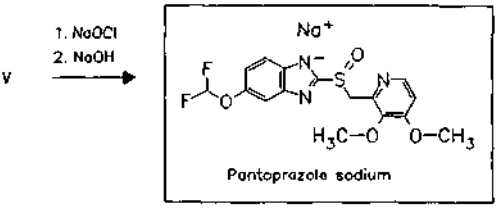


2-hydroxymethyl-
3,4-dimethoxypyridine (III)

2-chloromethyl-
3,4-dimethoxy-
pyridinium chloride (IV)

Pantoprazole sodium





Reference(s):
preparation of pantoprazole:
EP 134 400 (Byk Gulden Lomberg; appl. 1.5.1984; CH-prior. 3.5.1983).

inhibitors of gastric acid secretion useful for treating and preventing ulcers:
EP 166 287 (Byk Gulden Lomberg; CH-prior. 16.6.1984).
US 4 758 579 (Byk Gulden Lomberg; 19.7.1988; CH-prior. 16.6.1984).

nonhygroscopic monohydrate salt:
DE 4 018 642 (Byk Gulden Lomberg; appl. 12.12.1991; D-prior. 11.6.1990).

pantoprazole sodium sesquihydrate *lyophilisates:*
DE 4 324 014 (Byk Gulden Lomberg; D-prior. 17.7.1993).

oral multiple-unit tablet for treatment of gastrointestinal inflammation:
WO 9 601 624 (Astra; appl. 25.1.1996; S-prior. 8.7.1994).

pharmaceutical compositions for inhibition of gastric acid secretion in animals:
WO 9 425 070 (Astra; appl. 10.11.1994; S-prior. 30.4.1993).

new pantoprazole *tablets and pellets:*
EP 519 365 (Byk Gulden Lomberg; appl. 23.12.1992; CH-prior. 17.6.1991; HU-prior. 13.6.1992).

treating viral infections such as herpes infections by H^+/K^+- or ATPase-inhibitors:
WO 9 529 897 (Searle & Co.; appl. 9.11.1995; USA-prior. 29.4.1994).

use of (−)-pantoprazole *for treating gastric disorders:*
WO 9 424 867 (Sepracor Inc.; appl. 10.11.1994; USA-prior. 27.4.1993).

use of (+)-pantoprazole *for treating gastric disorders:*
WO 9 425 028 (Sepracor Inc.; appl. 10.11.1994; prior. 27.4.1993).

rectal antiulcer composition containing benzimidazole derivatives:
EP 645 140 (Takeda Chem. Ind.; appl. 29.3.1995; J-prior. 30.3.1994, 31.8.1995).

synthesis of 3,4-dialkoxypyridines:
AT 394 368 (Byk Gulden Lomberg; appl. 25.3.1992; A-prior. 4.8.1990).

Formulation(s): amp. 40 mg; tabl. 40 mg

Trade Name(s):

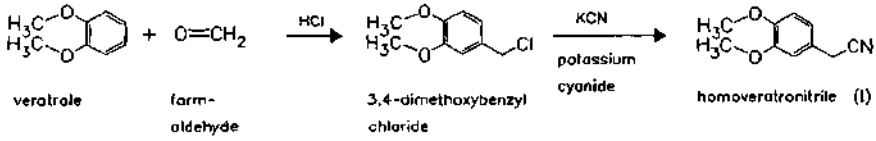
D:	Pantozol (Byk Gulden) Rifun (Sanol, Schwarz Pharma)	F:	Inipaup (Synthélabo)
USA:			Protonix (American Home Products)

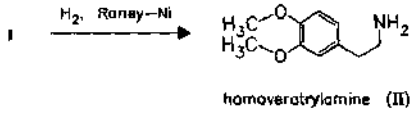
Papaverine

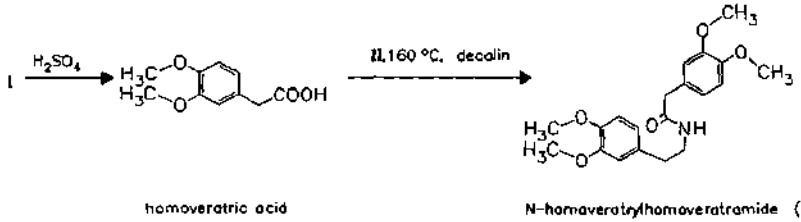
ATC: G04BE02; G04BE52
Use: antispasmodic, vasodilator

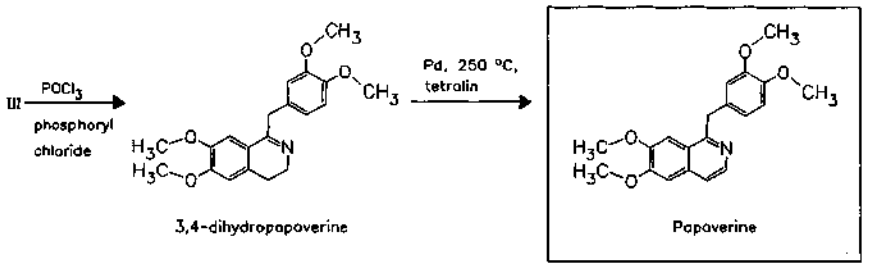
RN: 58-74-2 MF: $C_{20}H_{21}NO_4$ MW: 339.39 EINECS: 200-397-2
LD_{50}: 25 mg/kg (M, i.v.); 162 mg/kg (M, p.o.);
13.3 mg/kg (R, i.v.); 325 mg/kg (R, p.o.)
CN: 1-[(3,4-dimethoxyphenyl)methyl]-6,7-dimethoxyisoquinoline

hydrochloride
RN: 61-25-6 MF: $C_{20}H_{21}NO_4 \cdot HCl$ MW: 375.85 EINECS: 200-502-1
LD_{50}: 14.4 mg/kg (M, i.v.); 130 mg/kg (M, p.o.);
20 mg/kg (R, i.v.); 68.8 mg/kg (R, p.o.)









Reference(s):
Budesinsky-Protiva, 87.

combination with adenosin monophosphate:
US 3 823 234 (C.E.R.M.; 9.7.1974; F-prior. 16.5.1971).

Formulation(s): amp. 60 mg/2 ml; multiple-dose vial 30 mg/ml

Trade Name(s):

D: Artegodan (Artesan); wfm
Atropaverin (Saemann); wfm
Nyxanthan (Abbott)-comb.; wfm
Optenyl (Stroschein); wfm
Panergon (Mack); wfm
Papaverin Hameln (Hameln); wfm
Paveron (Karlspharma); wfm
Spastretten (Tropon); wfm
Vascleran (Klinge)-comb.; wfm
numerous combination preparations

F: Acticarbine (Warner-Lambert)-comb.
Albatran (Beaufour)
Oxadiléne (Evans Medical)-comb.
Papavérine Aguettant (Aguettant)

GB: Aspace (Hoechst)-comb.

I: Antispasmina (Recordati)-comb.
Monotrean (Sankyo Pharma)-comb.
generics

J: Papermin Inj. (Sanwa)-comb.
numerous generic preparations

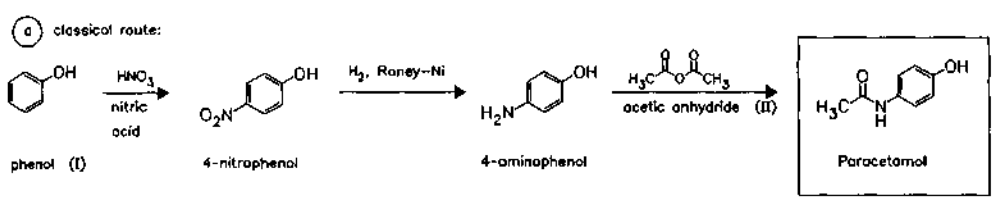
USA: Papaverine Hydrochloride (Lilly; as hydrochloride)

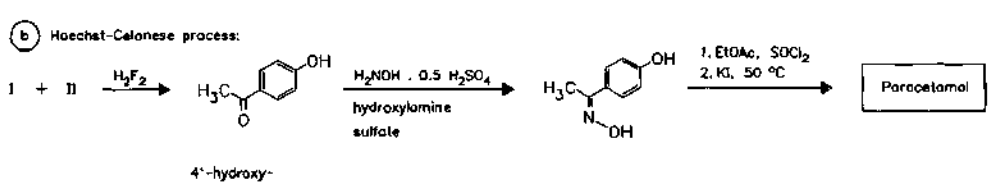
Paracetamol

(Acetaminophenol) .

ATC: N02BE01
Use: analgesic, antipyretic

RN: 103-90-2 MF: $C_8H_9NO_2$ MW: 151.17 EINECS: 203-157-5
LD_{50}: 338 mg/kg (M, p.o.);
2404 mg/kg (R, p.o.)
CN: *N*-(4-hydroxyphenyl)acetamide





Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **13**, 297.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **7**, 543.
US 2 998 450 (Warner-Lambert; 1961; appl. 1958).
DAS 2 121 164 (Howard Hall; appl. 29.4.1971; USA-prior. 29.4.1970).

acetylation with ketene:
DRP 453 577 (M. Bergmann; appl. 1925).

pharmaceutical formulations:
US 4 097 606 (Bristol-Myers; 27.6.1978; appl. 8.10.1975).

combination with phenyltoloxamine:
US 3 173 835 (Endo; 16.3.1965; appl. 19.3.1963).

Formulation(s): cps. 500 mg; powder 500 mg, 600 mg; sol. 200 mg/5 ml; suppos. 125 mg, 250 mg, 500 mg, 1 g; susp. 125 mg, 250 mg, 500 mg, 1000 mg; syrup 120 mg/5 ml, 200 mg/5 ml, 2 g/100 ml; tabl. 200 mg, 270 mg, 350 mg, 500 mg

Trade Name(s):

D:
Ben-u-ron (bene-Arzneimittel)
Captin (Krewel Meuselbach)
Doregrippin (Rentschler)-comb.
Enelfa (Dolorgiet)
Mono Praecimed (Molimin)
nilnOcen (Zeppenfeldt)
Paedialgon (Cephasaar)
Paracetamol (Hexal; Heumann; Stada)
Paracetamol-ratiopharm (ratiopharm)
Pyromed (Sanofi Winthrop)
RubieMol (RubiePharm)
Togal (Togal)
Treupel P (ASTA Medica AWD)
numerous combination preparations

F:
Aféradol Oberlin (Oberlin)
Dafalgan (UPSA)
Doliprane (Théraplix)
Efferalgan 500 /-pédiatrique (UPSA)
Paracétamol SmithKline Beecham (SmithKline Beecham)
combination preparations

GB:
Alvedon (Novex)
Calpol (Warner-Lambert)
Disprol (Reckitt & Colman)
Medinol (Seton)
Panaleve (Pinewood)
Salzone (Wallace)
further combination preparations

I:
Acetamol (Abiogen Pharma)
Alsogil (Also)-comb.
Antiflu (Byk Gulden)-comb.
Antinevralgico Penegal (Fama)-comb.
Baby Rinolo (Lepetit)-comb.
Doloflex (Byk Gulden)-comb.
Efferalgan (Ursamedica)
Fluciwas (IFI)-comb.
Fluental (Camillo Corvi)-comb.
Fluvaleas (Valeas)-comb.
Lonarid (Boehringer Ing.)-comb.
Migranet (Ogna)
Neofepramol (Istoria)
Neouniplus (Angelini)-comb.
Neoneoral (Hoechst Marion Roussel)-comb.
Omniadol (Montefarmaco)-comb.
Panadol (Maggioni)
Saridon (Roche)-comb.
Tachipirina (Angelini)
Verdal (Falqui)-comb.
Zerinol (Fher)-comb.
numerous generics and combination preparations

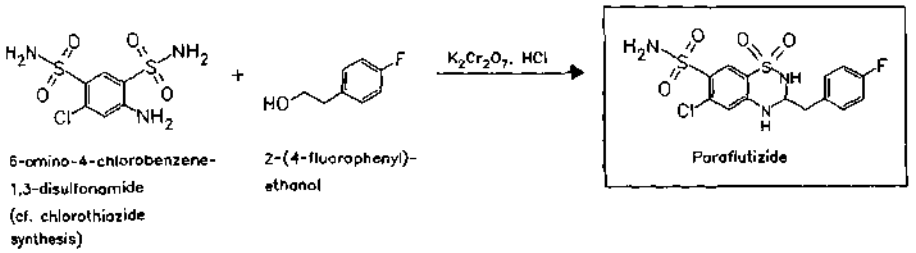
J:
Pyrinazin (Yamanouchi)

USA:
Phrenilin (Carnrick)-comb.
Tylenol with Codeine (Ortho-McNeil)-comb.
numerous combination preparations and generics

Paraflutizide

ATC: C03
Use: diuretic

RN: 1580-83-2 MF: $C_{14}H_{13}ClFN_3O_4S_2$ MW: 405.86 EINECS: 216-426-7
CN: 6-chloro-3-[(4-fluorophenyl)methyl]-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
GB 961 641 (Lab. Dausse; appl. 31.7.1962; F-prior. 31.7.1961).

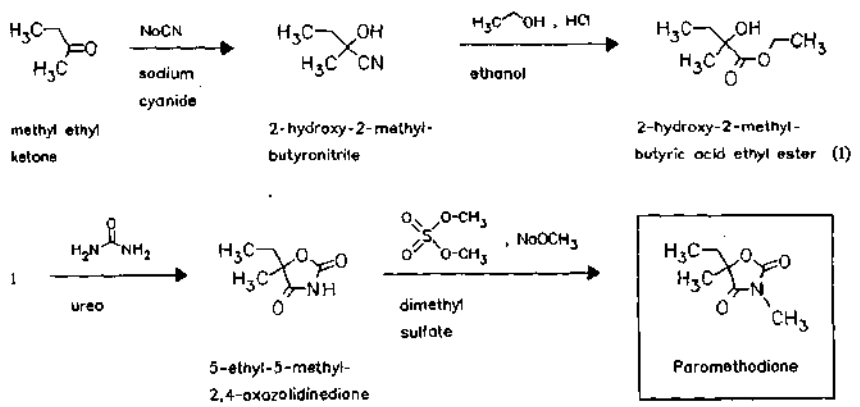
Formulation(s): drg. 2.5 mg

Trade Name(s):
D: Detensitral (Karlspharma)-comb.; wfm
F: Divimax (Dausse)-comb.; wfm
Tensitral (Dausse)-comb.; wfm

Paramethadione
(Isoethadione)

ATC: N03AC01
Use: antiepileptic

RN: 115-67-3 MF: $C_7H_{11}NO_3$ MW: 157.17 EINECS: 204-098-8
LD_{50}: 1 g/kg (M, p.o.)
CN: 5-ethyl-3,5-dimethyl-2,4-oxazolidinedione



Reference(s):
US 2 575 693 (Abbott; 1951; appl. 1949).

Formulation(s): cps. 150 mg, 300 mg; drops 300 mg

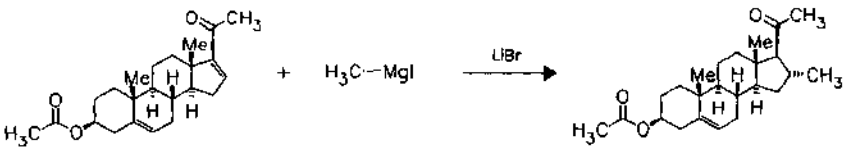
Trade Name(s):
F: Paradione (Abbott); wfm
GB: Paradione (Abbott); wfm
USA: Paradione (Abbott); wfm

Paramethasone

ATC: H02AB05
Use: glucocorticoid

RN: 53-33-8 MF: $C_{22}H_{29}FO_5$ MW: 392.47 EINECS: 200-169-2
CN: (6α,11β,16α)-6-fluoro-11,17,21-trihydroxy-16-methylpregna-1,4-diene-3,20-dione

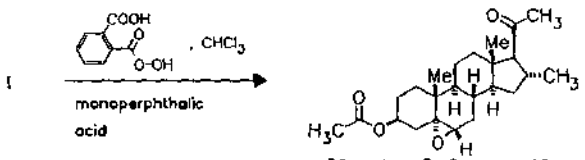
disodium phosphate
RN: 2145-14-4 MF: $C_{22}H_{28}FNa_2O_8P$ MW: 516.41 EINECS: 218-410-5
acetate
RN: 1597-82-6 MF: $C_{24}H_{31}FO_6$ MW: 434.50 EINECS: 216-486-4
LD_{50}: >1 g/kg (M, p.o.)



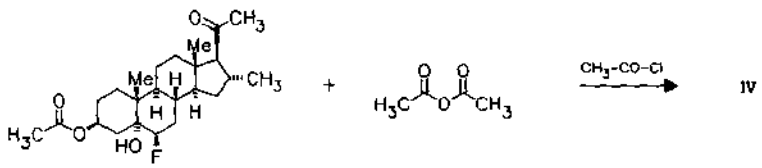
16-dehydropregnenolone acetate

methylmagnesium iodide

16α-methylpregnenolone 3β-acetate (I)

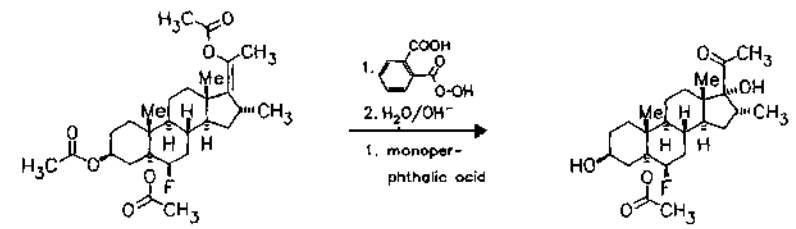


3β-acetoxy-5α,6α-epoxy-16α-methylpregnan-20-one



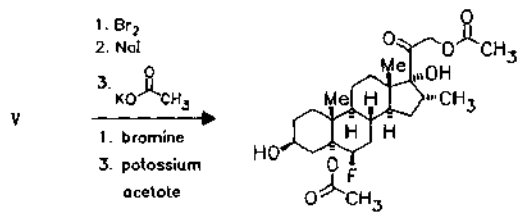
3β-acetoxy-6β-fluoro-5α-hydroxy-16α-methylpregnan-20-one (II)

acetic anhydride (III)

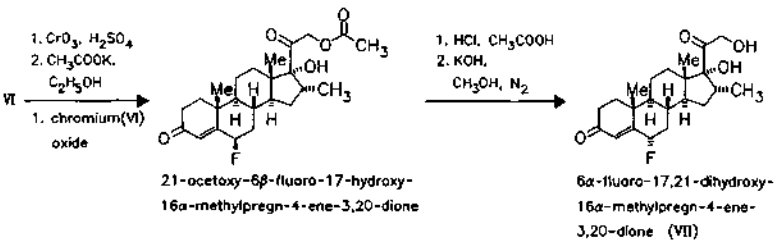


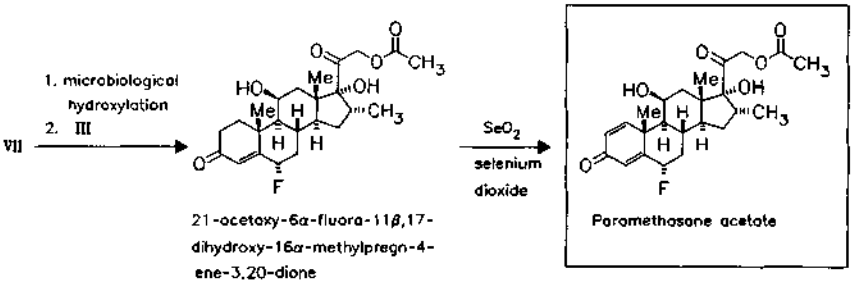
6β-fluoro-16α-methylpregn-17(20)-ene-3β,5α,20-triol triacetate (IV)

5α-acetoxy-6β-fluoro-3β,17-dihydroxy-16α-methylpregnan-20-one (V)

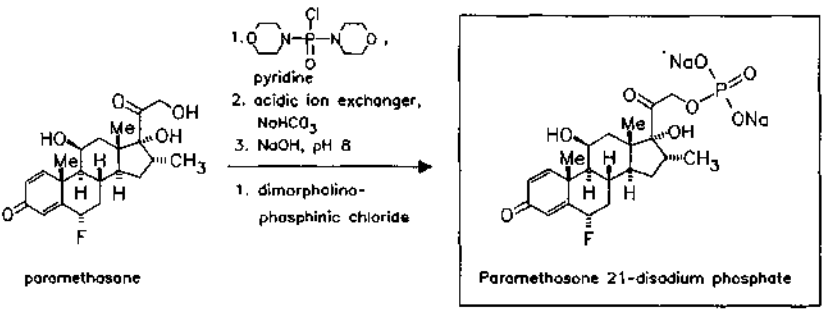


5α,21-diacetoxy-6β-fluoro-3β,17-dihydroxy-16α-methylpregnan-20-one (VI)





disodium phosphate:



Reference(s):
US 2 671 752 (Syntex; 1954; appl. 1951).
Djerassi, C. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 2318 (1960).

starting material:
Marker, R.E.; Crooks, H.M.: J. Am. Chem. Soc. (JACSAT) **64**, 1280 (1942).

alternative syntheses:
US 3 557 158 (Upjohn; 19.1.1971; appl. 22.1.1962; prior. 4.8.1958).
Schneider, P. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 3167 (1959).
GB 850 263 (Organon; appl. 30.4.1959; NL-prior. 12.5.1958).
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

disodium phosphate:
DE 1 134 075 (Merck AG; appl. 26.11.1959).

Formulation(s): amp.. 20 mg/ml (as acetate); tabl. 2 mg, 6 mg (as acetate)

Trade Name(s):

D:	Monocortin (Grünenthal); wfm	GB:	Haldrate (Lilly); wfm		Paramezone (Recordati)
	Monocortin S (Grünenthal); wfm		Metilar (Syntex); wfm	J:	Haldron (Dainippon)
F:	Dilar (Cassenne); wfm	I:	Alfa-6 (Sam)		Parame A (Syntex-Tanabe)-comb.
			Luxazone XP (Allergan)-comb.		

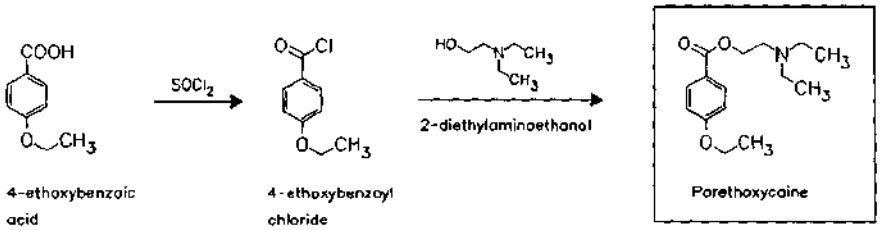
Paramesone (Syntex-Tanabe)	USA: Haldrone (Lilly); wfm Stemex (Syntex); wfm	Stero-Darvon (Lilly)-comb.; wfm

Parethoxycaine

ATC: N01BC
Use: local anesthetic

RN: 94-23-5 MF: $C_{15}H_{23}NO_3$ MW: 265.35
CN: 4-ethoxybenzoic acid 2-(diethylamino)ethyl ester

hydrochloride
RN: 136-46-9 MF: $C_{15}H_{23}NO_3 \cdot HCl$ MW: 301.81 EINECS: 205-246-4
LD_{50}: 300 mg/kg (M, i.p.); 430 mg/kg (M, s.c.)



Reference(s):
US 2 404 691 (Squibb; 1946; prior. 1937, 1944).

Formulation(s): tabl. 0.75 mg (as hydrochloride)

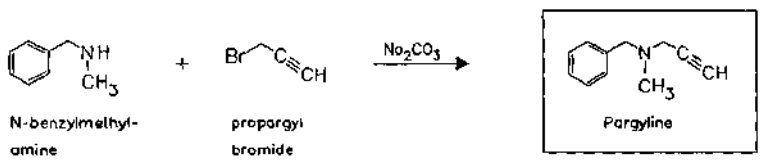
Trade Name(s):
F: Maxicaine (Synthélabo)

Pargyline

ATC: C02KC01
Use: MAO-inhibitor, antihypertensive

RN: 555-57-7 MF: $C_{11}H_{13}N$ MW: 159.23 EINECS: 209-101-6
LD_{50}: 56 mg/kg (M, i.v.); 680 mg/kg (M, p.o.);
300 mg/kg (R, p.o.)
CN: *N*-methyl-*N*-2-propynylbenzenemethanamine

hydrochloride
RN: 306-07-0 MF: $C_{11}H_{13}N \cdot HCl$ MW: 195.69 EINECS: 206-175-1
LD_{50}: 99 mg/kg (M, i.v.); 680 mg/kg (M, p.o.);
175 mg/kg (R, i.v.); 250 mg/kg (R, p.o.);
175 mg/kg (dog, p.o.)



Reference(s):
US 3 155 584 (Abbott; 3.11.1964; prior. 3.12.1962).

Formulation(s): tabl. 10 mg, 25 mg (as hydrochloride)

Trade Name(s):

F:	Euditron (Abbott)-comb.; wfm	GB:	Eutonyl (Abbott); wfm	Eutron (Abbott)-comb.; wfm
		USA:	Eutonyl (Abbott)	

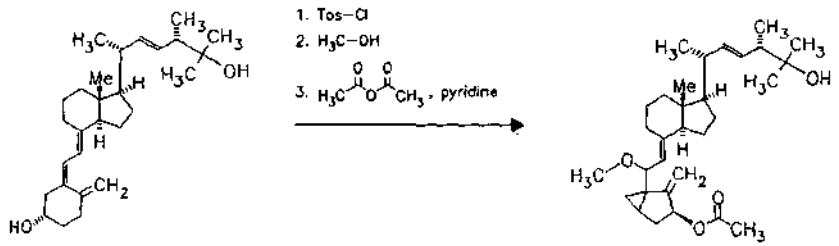
Paricalcitol

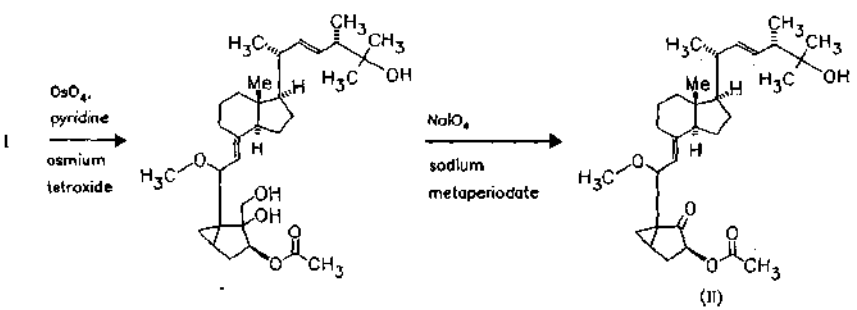
(Paracalcin)

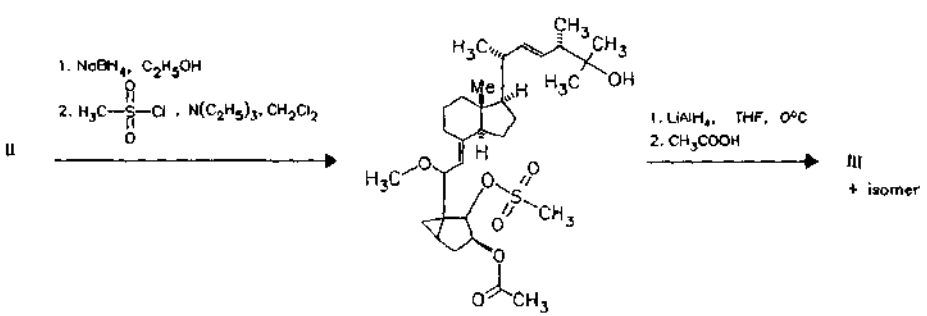
Use: vitamin D-analog, treatment for hyperparathyroidism

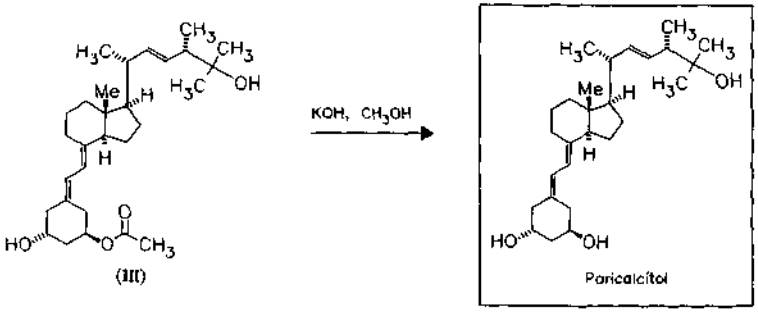
RN: 131918-61-1 MF: $C_{27}H_{44}O_3$ MW: 416.65

CN: (1α,3β,7*E*,22*E*)-19-Nor-9,10-secoergosta-5,7,22-triene-*1*,3,25-*triol*









Reference(s):
EP 387 077 (Wisconsin Alumni Res. Found.; 12.9.1990; appl. 9.3.1990; USA-prior. 16.2.1990).
WO 9 729 740 (Wisconsin Alumni Res. Found.; appl. 5.9.1996; USA-prior. 13.2.1996).
Paaren, H.E. et al.: J. Org. Chem. (JOCEAH) **45**, 3253-3258 (1980).
Paaren, H.E. et al.: J. Org. Chem. (JOCEAH) **48**, 3819-3820 (1983).

treatment of osteoporosis in comb. with growth hormone secretagogue:
WO 9 853 827 (Ramoz Univ.; appl. 22.5.1998; IL-prior. 30.5.1997)..

Formulation(s): amp. 5 µg/ml; 1 ml, 2 ml, 5 ml

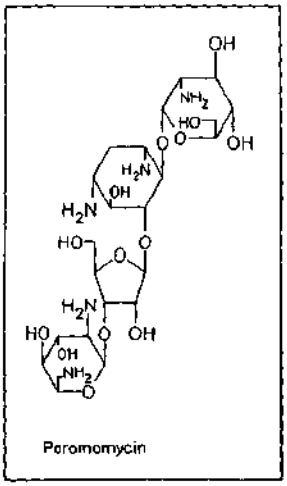
Trade Name(s):
USA: Zemplar (Abbott; 1998)

Paromomycin
(Aminosidine)

ATC: A07AA06
Use: antibiotic

RN: 7542-37-2 MF: $C_{23}H_{45}N_5O_{14}$ MW: 615.63 EINECS: 231-423-0
LD_{50}: 2.275 g/kg (M, p.o.);
21.62 g/kg (R, p.o.)
CN: *O*-2-amino-2-deoxy-α-D-glucopyranosyl-(1→4)-*O*-[*O*-2,6-diamino-2,6-dideoxy-β-L-idopyranosyl(1→3)-β-D-ribofuranosyl-(1→5)]-2-deoxy-D-streptamine

sulfate
RN: 1263-89-4 MF: $C_{23}H_{45}N_5O_{14} \cdot xH_2SO_4$ MW: unspecified EINECS: 215-031-7
LD_{50}: 90 mg/kg (M, i.v.); 23.5 g/kg (M, p.o.);
181 mg/kg (R, i.v.); 21.62 g/kg (R, p.o.)



Paromomycin

From fermentation solutions of *Streptomyces rimosus forma paromomycinus* or *Streptomyces krestomyceticus* N.C.I.B. 8995.

Reference(s):
US 2 916 485 (Parke Davis; 8.12.1959; prior. 12.1.1959).
GB 880 035 (Soc. Farmaceutici Italia; appl. 31.3.1959; valid from 18.2.1960).

Formulation(s): cps. 250 mg; powder 1 g; syrup 125 mg/5 ml

Trade Name(s):

D:	Humatin (Parke Davis)	GB:	Humatin (Parke Davis); wfm		Paramicina (Ragionieri)
F:	Humagel (Parke Davis); wfm	I:	Aminoxidin (Farmalabor)		Sinosid (SIFI)
	Humatin (Parke Davis); wfm		Gabbroral (Carlo Erba)	J:	Humatin (Parke Davis-Sankyo)
			Gabbroral (Farmalabor)	USA:	Humatin (Parke Davis); wfm
			Humatin (Parke Davis)		

Paroxetine

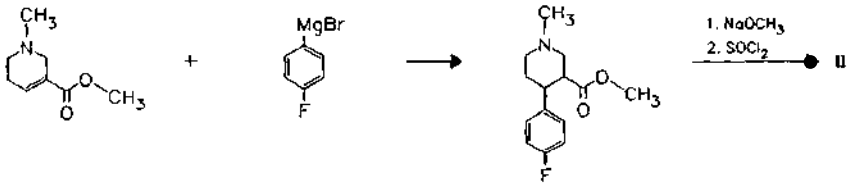
(BRL 29060; FG 7051)

ATC: N06AB05; N06AE
Use: antidepressant, selective 5-HT-uptake inhibitor

RN: 61869-08-7 MF: $C_{19}H_{20}FNO_3$ MW: 329.37
LD_{50}: 374 mg/kg (R, p.o.)
CN: (3*S-trans*)-(−)-3-[(1,3-benzodioxol-5-yloxy)methyl]-4-(4-fluorophenyl)piperidine

hydrochloride
RN: 78246-49-8 MF: $C_{19}H_{20}FNO_3 \cdot HCl$ MW: 365.83
LD_{50}: 42 mg/kg (M, i.v.); 378 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 415 mg/kg (R, p.o.)
hydrochloride hydrate (2:1)
RN: 110429-35-1 MF: $C_{19}H_{20}FNO_3 \cdot HCl \cdot 1/2H_2O$ MW: 749.68
maleate
RN: 64006-44-6 MF: $C_{19}H_{20}FNO_3 \cdot xC_4H_4O_4$ MW: unspecified
LD_{50}: 500 mg/kg (M, p.o.); 845 mg/kg (M, s.c.)
acetate
RN: 72471-80-8 MF: $C_{19}H_{20}FNO_3 \cdot C_2H_4O_2$ MW: 389.42

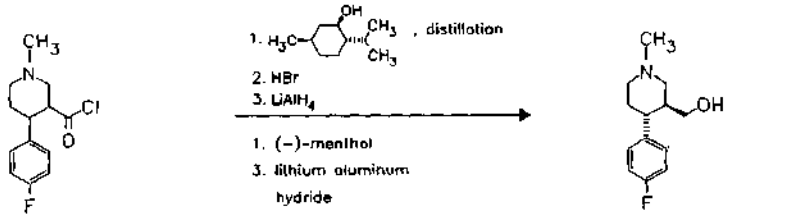
(a)



arecoline

4-fluorophenyl-magnesium bromide (I)

methyl cis/trans-4-(4-fluorophenyl)-N-methylnipecotate

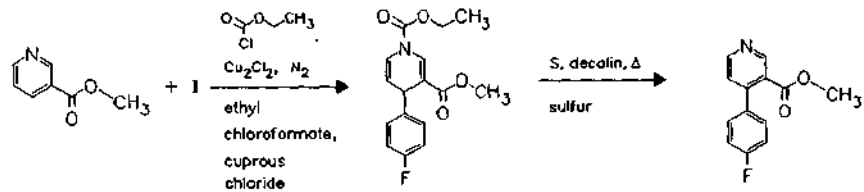


1. (−)-menthol
3. lithium aluminum hydride

cis/trans-4-(4-fluorophenyl)-N-methylnipecotoyl chloride (II)

(−)-trans-4-(4-fluorophenyl)-N-methyl-3-hydroxymethylpiperidine (III)

(b)



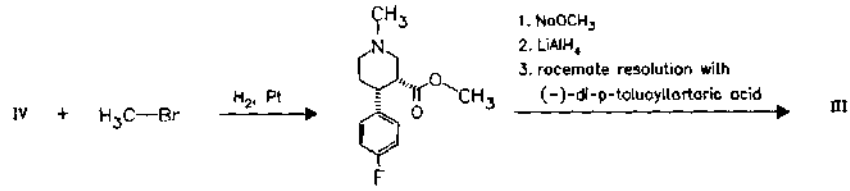
methyl nicotinate

ethyl chloroformate, cuprous chloride

1,4-dihydra-1-ethoxy-carbonyl-4-(4-fluoro-phenyl)-3-methoxy-carbonylpyridine

sulfur

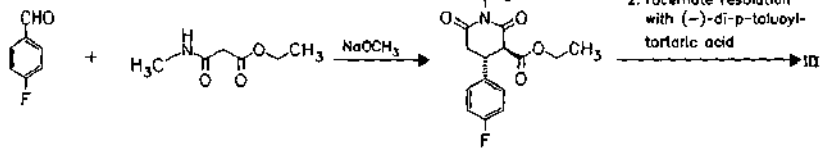
4-(4-fluorophenyl)-3-methoxycarbonyl-pyridine (IV)



methyl bromide

(±)-cis-4-(4-fluoro-phenyl)-3-methoxy-carbonyl-1-methyl-piperidine

(c)

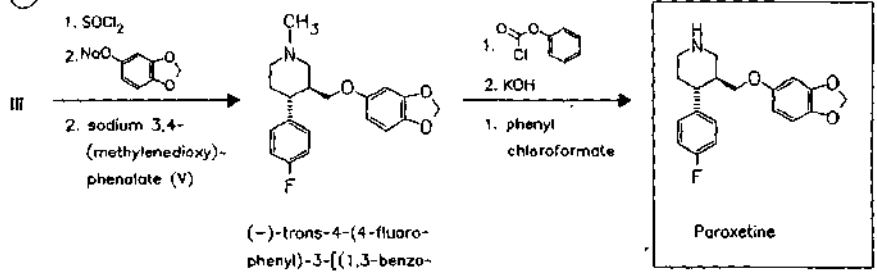


4-fluoro-benz-aldehyde

ethyl N-methyl-malonamate

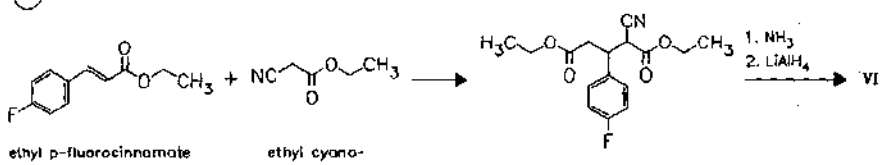
(±)-trans-3-ethoxy-carbonyl-4-(4-fluoro-phenyl)-N-methyl-piperidine-2,6-dione

(d)



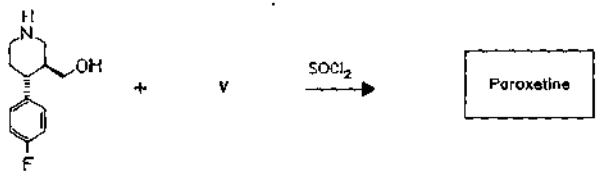
(−)-trans-4-(4-fluoro-phenyl)-3-[(1,3-benzo-dioxol-5-yloxy)methyl]-1-methylpiperidine

(•)

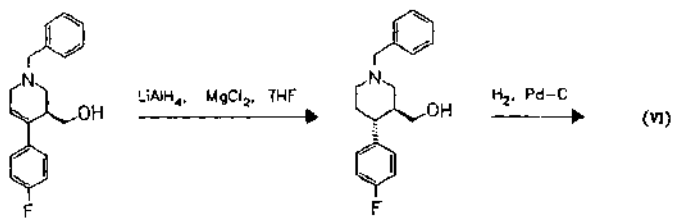


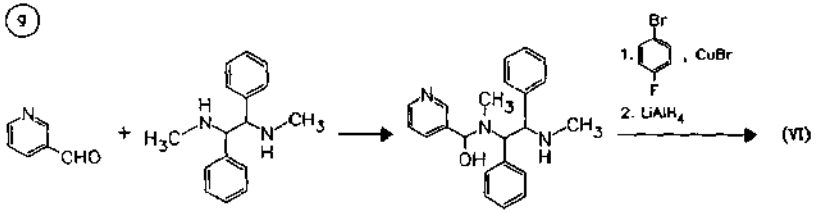
ethyl p-fluorocinnamate

ethyl cyano-acetate



(f)





Reference(s):
US 3 912 743 (Ferrosan, 14.10.1975; GB-prior. 30.1.1973).
a,d US 4 007 196 (AIS Ferrosan; 8.2.1977; appl. 23.7.1975; prior. 21.1.1974; GB-prior. 30.1.1973).
DE 2 404 113 (AIS Ferrosan; appl. 29.1.1974; GB-prior. 30.1.1973).
GB 1 422 263 (AIS Ferrosan; appl. 30.1.1973).
b EP 219 934 (Beecham; appl. 6.8.1986; GB-prior. 10.8.1985).
c EP 223 334 (Beecham; appl. 6.8.1986; GB-prior. 10.8.1985, 23.5.1986).
e WO 9 853 824 (SmithKline Beecham; appl. 29.5.1998; GB-prior. 29.5.1997).
f WO 9 852 920 (Knoll; appl. 13.5.1998; GB-prior. 17.5.1997).
g WO 9 724 323 (Chiroscience; appl. 30.12.1996; GB-prior. 29.12.1995).

alternative synthesis of III:
EP 300 617 (Beecham; appl. 17.6.1988; GB-prior. 23.6.1987).

synthesis of arecoline:
The Merck Index, 11th Ed., 803 (Rahway 1989).

optically pure precursors:
US 52 582 517 (Sepracor; 2.11.1993; appl. 6.8.1992).

crystalline hydrochloride hemihydrate:
EP 223 403 (Beecham; appl. 14.10.1986; GB-prior. 25.10.1985).
US 4 721 723 (Beecham; 26.1.1988; appl. 23.10.1986; GB-prior. 25.10.1985).

medical use for treatment of pain:
EP 269 303 (Beecham; appl. 9.11.1986; GB-prior. 11.11.1985).

medical use for treatment of obesity:
EP 188 081 (Ferrosan; appl. 2.12.1985; GB-prior. 4.12.1984).

preparation of easily soluble paroxetine:
WO 9 831 365 (SmithKline Beecham; appl. 12.1.1998; GB-prior. 15.1.1997).

new polymorph of anhydrous paroxetine:
CA 2 187 128 (Brabtfort Chem.; appl. 4.10.1996).

method of producing amorphous paroxetine:
EP 810 224 (Asahi Glass; appl. 30.5.1997; J-prior. 30.5.1996).
US 5 672 612 (Pentech Pharm; USA-prior. 9.9.1996).

controlled-release pharmaceutical compositions:
WO 9 703 670 (SmithKline Beecham; appl. 19.7.1996; GB-prior. 20.7.1995).

Formulation(s): tabl., 20 mg, 30 mg (as hydrochloride)

Trade Name(s):

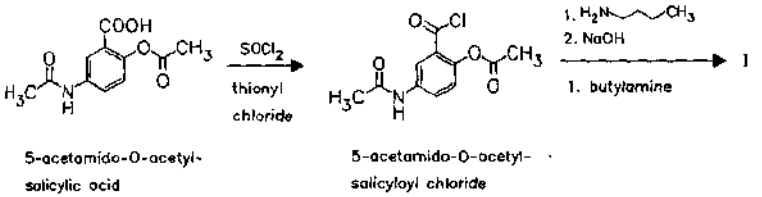
D:	Seroxat (SmithKline Beecham) Tagonis (Janssen-Cilag)	F:	Dexorat (SmithKline Beecham)	GB:	Seroxat (SmithKline Beecham; 1991)

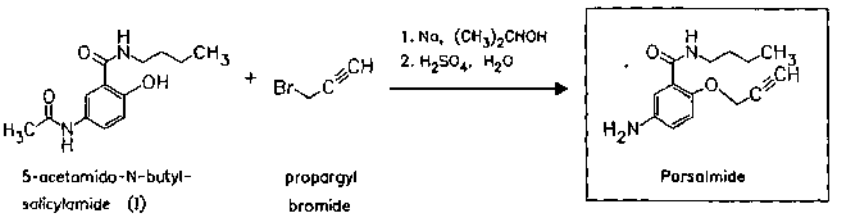
I:	Sereupin (Ravizza)	Seroxat (SmithKline Beecham)	USA:	Paxil (SmithKline Beecham)	

Parsalmide

ATC: N02
Use: anti-inflammatory

RN: 30653-83-9 MF: $C_{14}H_{18}N_2O_2$ MW: 246.31 EINECS: 250-274-2
LD_{50}: 148 mg/kg (M, i.v.); 428 mg/kg (M, p.o.);
864 mg/kg (R, p.o.)
CN: 5-amino-*N*-butyl-2-(2-propynyloxy)benzamide





Reference(s):
DOS 2 029 991 (E.R.A.S.M.E.; appl. 18.6.1970; GB-prior. 20.6.1969).
US 3 739 030 (E.R.A.S.M.E.; 12.6.1973; GB-prior. 20.6.1969).
Pedrazzoli, A. et al.: Chim. Ther. (CHTPBA) **3**, 200 (1968).

alternative synthesis:
GB 1 539 007 (C. M. Ind.; valid from 26.10.1977; F-prior. 8.11.1976).

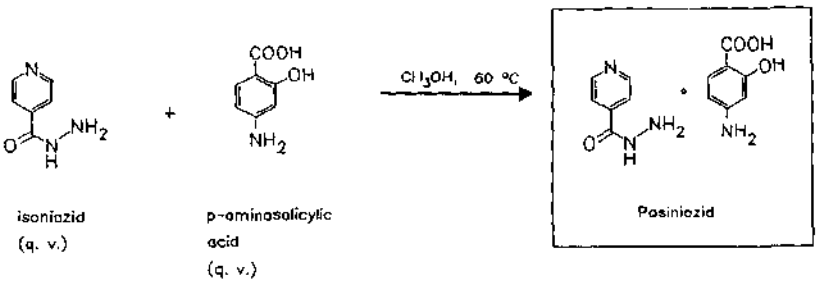
Formulation(s): drg. 200 mg, 400 mg; f. c. tabl. 600 mg; s. r. tabl. 800 mg

Trade Name(s):
I: Parsal (Midy); wfm

Pasiniazid

ATC: J04AA
Use: tuberculostatic, antibacterial

RN: 2066-89-9 MF: $C_7H_7NO_3 \cdot C_6H_7N_3O$ MW: 290.28 EINECS: 218-183-2
CN: 4-pyridinecarboxylic acid hydrazide mono(4-amino-2-hydroxybenzoate)



Reference(s):
CH 303 085 (Roche; appl. 1952).

Formulation(s): tabl. 100 mg

Trade Name(s):

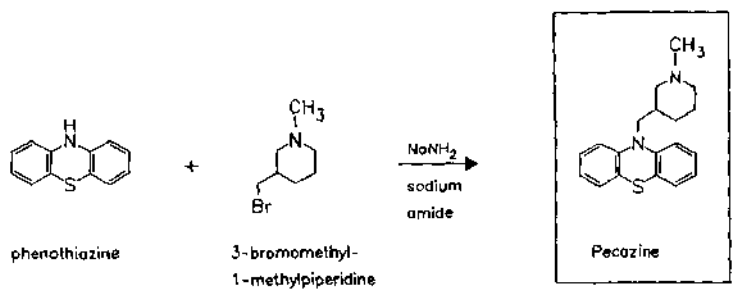
D:	Dipasic (Gewo); wfm		Pasiniazide Rolland
F:	Paraniazide (L'Hépatrol); wfm		(L'Hépatrol); wfm
		I:	Dipasic (Farmerid); wfm

Pecazine
(Mepazine)

ATC: N05A
Use: neuroleptic

RN: 60-89-9 MF: $C_{19}H_{22}N_2S$ MW: 310.47 EINECS: 200-490-8
LD_{50}: 70 mg/kg (M, i.v.)
CN: 10-[(1-methyl-3-piperidinyl)methyl]-10*H*-phenothiazine

monoacetate
RN: 24360-97-2 MF: $C_{19}H_{22}N_2S \cdot C_2H_4O_2$ MW: 370.52 EINECS: 246-207-1
monohydrochloride
RN: 2975-36-2 MF: $C_{19}H_{22}N_2S \cdot HCl$ MW: 346.93 EINECS: 221-020-8
LD_{50}: 62 mg/kg (M, i.v.); 155 mg/kg (M, p.o.);
20 mg/kg (R, i.v.); 1 g/kg (R, p.o.)



Reference(s):
US 2 784 185 (Promonta; 1957; D-prior. 1953).

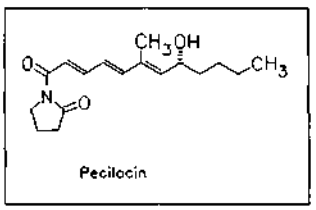
Formulation(s): tabl. 50 mg, 400 mg (as hydrochloride)

Trade Name(s):
D: Pacatal (Promonta); wfm

Pecilocin

ATC: D01AA04
Use: fungicidal antibiotic

RN: 19504-77-9 MF: $C_{17}H_{25}NO_3$ MW: 291.39 EINECS: 243-116-9
LD_{50}: 320 mg/kg (M, i.p.)
CN: [*R*-(*E*,*E*,*E*)]-1-(8-hydroxy-6-methyl-1-oxo-2,4,6-dodecatrienyl)-2-pyrrolidinone



Pecilocin

From culture of *Paecilomyces varioti* Bainier *var. antibioticus*.

Reference(s):
GB 866 425 (Japan Antibiotics Research Assoc.; appl. 7.4.1959).

Formulation(s): ointment 3000 iu/g; topical sol. 1500 iu/ml

Trade Name(s):

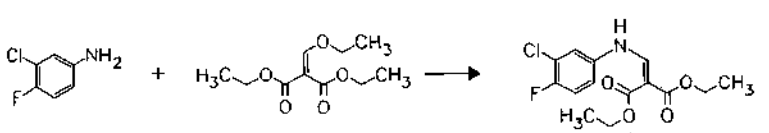
D:	Supral (Basotherm); wfm	GB:	Variotin (Leo); wfm
F:	Leofungine (Leo); wfm	J:	Variotin (Nippon Kayaku)

Pefloxacin

ATC: J01MA03
Use: antibiotic (gyrase inhibitor)

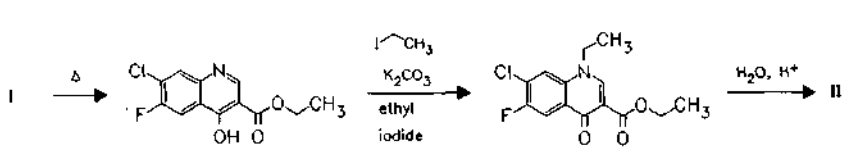
RN: 70458-92-3 MF: $C_{17}H_{20}FN_3O_3$ MW: 333.36 EINECS: 274-611-8
LD_{50}: 225 mg/kg (M, i.v.); >4 g/kg (M, p.o.)
CN: 1-ethyl-6-fluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid

monomesylate
RN: 70458-95-6 MF: $C_{17}H_{20}FN_3O_3 \cdot CH_4O_3S$ MW: 429.47 EINECS: 274-613-9
LD_{50}: 225 mg/kg (M, i.v.); 1 g/kg (M, p.o.);
2500 mg/kg (R, p.o.)

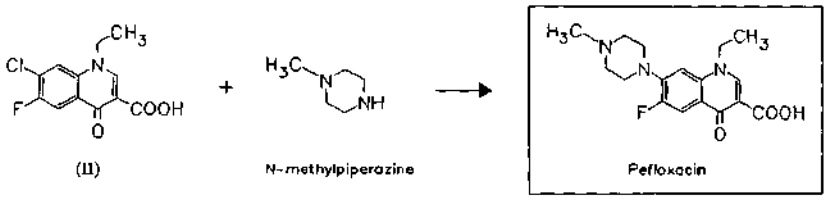


3-chloro-4-fluoroaniline

diethyl ethoxymethylenemalonate



ethyl 7-chloro-6-fluoro-4-hydroxyquinoline-3-carboxylate



Reference(s):
US 4 292 317 (Roger Bellon; 29.9.1981; appl. 15.9.1978; GB-prior. 20.9.1977).
DOS 2 840 910 (Lab. Roger Bellon; appl. 20.9.1978; GB-prior. 20.9.1977).
Goutfon, G. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) 292 (1981).

Formulation(s): f. c. tabl. 400 mg; inj. sol. 400 mg/125 ml, 400 mg/5 ml (as mesylate)

Trade Name(s):

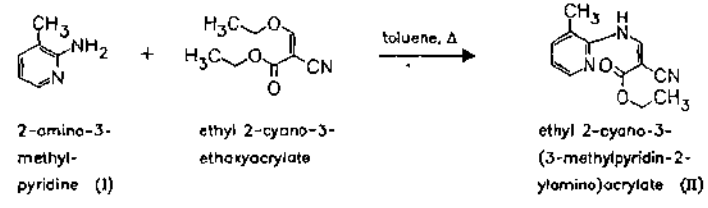
D:	Peflacin (Rhône-Poulenc Rorer)	F:	Peflazine (Bellon; Rhône-Poulenc; 1985)	I:	Peflacin (Rhône-Poulenc Pharma) Peflox (Formenti)

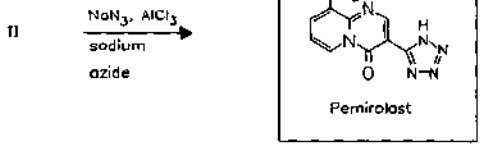
Pemirolast

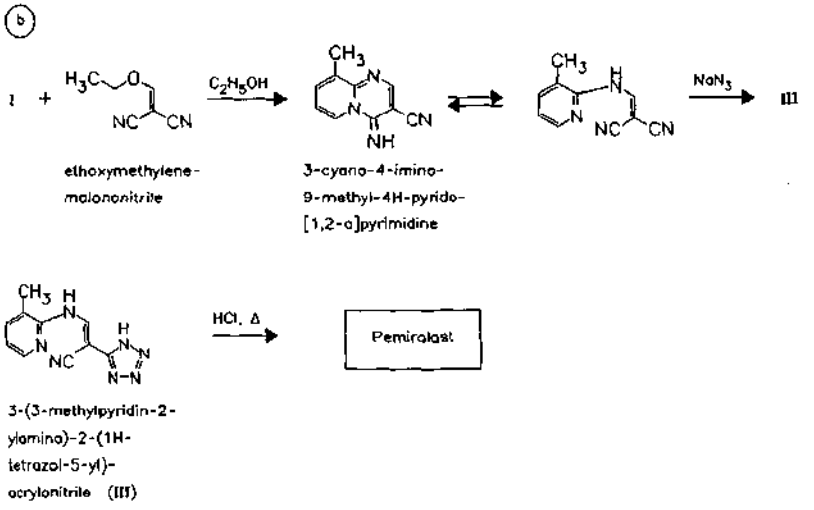
ATC: R03
Use: antiallergic

RN: 69372-19-6 MF: $C_{10}H_8N_6O$ MW: 228.22
CN: 9-methyl-3-(1*H*-tetrazol-5-yl)-4*H*-pyrido[1,2-*a*]pyrimidin-4-one

potassium salt
RN: 100299-08-9 MF: $C_{10}H_7KN_6O$ MW: 266.31
LD_{50}: 220 mg/kg (M, i.v.); 1185 mg/kg (M, p.o.);
372 mg/kg (R, i.v.); 687 mg/kg (R, p.o.)







Reference(s):
a DE 2 822 544 (Bristol-Myers; appl. 23.5.1978; USA-prior. 25.5.1977).
US 4 122 274 (Bristol-Myers; 24.10.1978; appl. 25.5.1977).
b EP 385 634 (Wako, Tokyo Tanabe; appl. 20.2.1990; J-prior. 27.2.1989).

medical use for treatment of gastrointestinal diseases:
US 4 457 932 (Bristol-Myers; 3.7.1984; appl. 22.7.1983).
DOS 3 424 324 (Bristol-Myers; appl. 2.7.1984; USA-prior. 22.7.1983).

Formulation(s): tabl. 10 mg (as potassium salt); ophth. sol. 0.1%

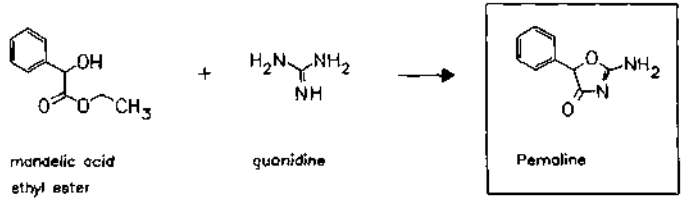
Trade Name(s):

J:	Alegysal (Santen; Tokyo Tanabe; 1991)	Pemilaston (Bristol-Myers Squibb; 1991)	USA: Alamast (Santen)

Pemoline
(Phenoxazole)

ATC: N06BA05
Use: psychoenergetic

RN: 2152-34-3 MF: $C_9H_8N_2O_2$ MW: 176.18 EINECS: 218-438-8
LD_{50}: 365 mg/kg (M, p.o.);
436 mg/kg (R, p.o.)
CN: 2-amino-5-phenyl-4(5*H*)-oxazolone



Reference(s):
US 2 892 753 (Boehringer Ing.; 30.6.1959; prior. 26.2.1957).

Formulation(s): tabl. (USA) 18.75 mg, 20 mg, 37.5 mg, 70 mg

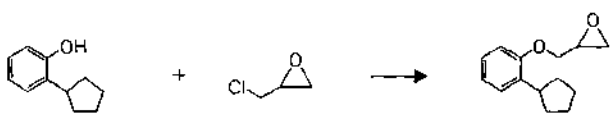
Trade Name(s):

D:	Senior (Strathmann)		Ronyl (Rona); wfm		Sigmadyn (Spemsa); wfm
	Tradon (Beiersdorf-Lilly)		Volital (L.A.B.); wfm	J:	Antimeran (Nichiiko)
F:	Deltamine (Aron); wfm	I:	Deadyn (De Angeli)-comb.; wfm	USA:	Cylert (Abbott)
GB:	Cylert (Abbott); wfm		Psicodelta (Chiesi); wfm		
	Kethamed (Medo); wfm				

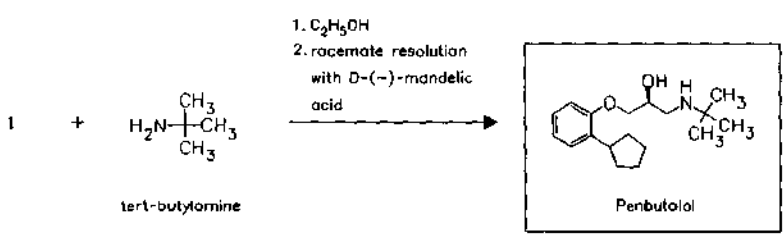
Penbutolol

ATC: C07AA23
Use: beta blocking agent

RN: 38363-40-5 MF: $C_{18}H_{29}NO_2$ MW: 291.44
LD_{50}: 18 mg/kg (M, i.v.); 1230 mg/kg (M, p.o.);
22 mg/kg (R, i.v.); 1265 mg/kg (R, p.o.);
>20 mg/kg (dog, i.v.)
CN: (*S*)-1-(2-cyclopentylphenoxy)-3-[(1,1-dimethylethyl)amino]-2-propanol



2-cyclopentyl-phenol + epichlorohydrin → 1,2-epoxy-3-(2-cyclopentylphenoxy)propane (1)



1 + tert-butylamine → Penbutolol

Reference(s):
DE 1 668 055 (Hoechst; prior. 8.12.1967).
US 3 551 493 (Hoechst; 29.12.1970; appl. 7.3.1968; D-prior. 10.3.1967).
ZA 687 915 (Hoechst; appl. 15.11.1968; D-prior. 8.12.1967).

preparation of 2-cyclopentylphenol:
DE 615 448 (Hoffmann La Roche; 1932).
Pajeau, B.: Bull. Soc. Chim. Fr. (BSCFAS) **1962**, 1923, 1926.
Bader: J. Am. Chem. Soc. (JACSAT) **75**, 5967 (1953)

alternative synthesis:
DOS 2 503 222 (Boehringer Mannh.; appl. 27.1.1975).

Formulation(s): f. c. tabl. 20 mg, 40 mg (as sulfate)

Trade Name(s):

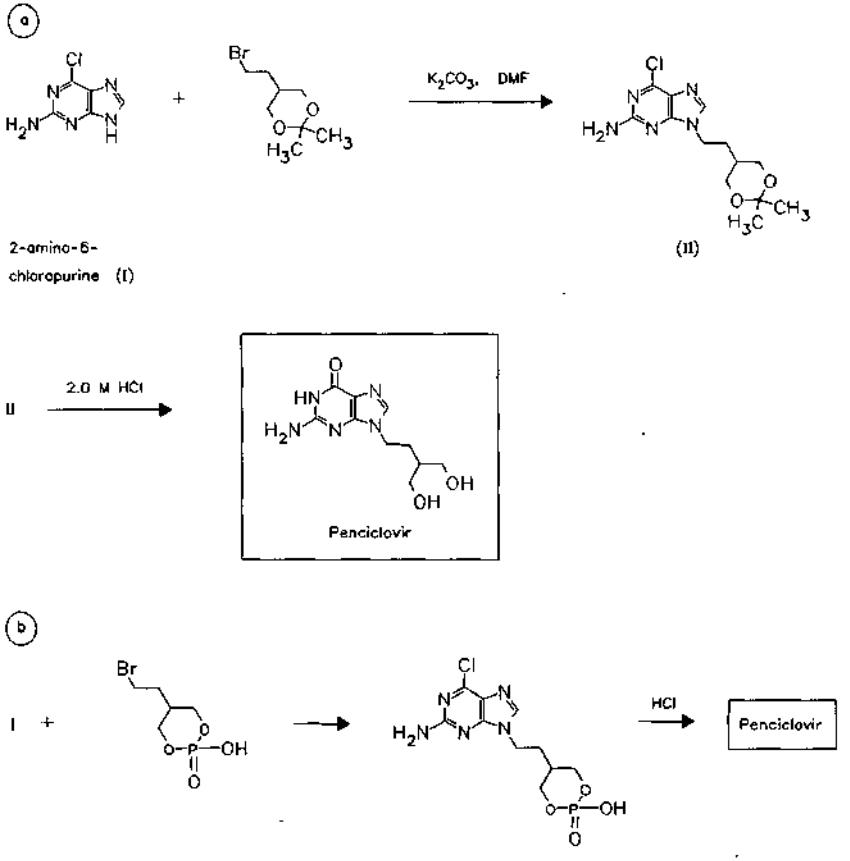
D:	Betapressin (Hoechst; 1981)	F:	Betapressine (Roussel; 1984)		Betasemid (Hoechst Italia Sud)-comb.; wfm
	Betarelix (Hoechst; 1985)-comb.	GB:	Lasipressin (Hoechst)-comb.; wfm		Ipobar (Mida); wfm
	Betasemid (Hoechst; 1982)-comb.	I:	Betapressin (Hoechst Italia Sud); wfm	J:	Betapressin (Hoechst; 1985)
				USA:	Levatol (Schwarz)

Penciclovir

(BRL-39123)

ATC: J05AB13
Use: topical antiviral

RN: 39809-25-1 MF: $C_{10}H_{15}N_5O_3$ MW: 253.26
CN: 2-amino-1,9-dihydro-9-[4-hydroxy-3-(hydroxymethyl)butyl]-6*H*-purin-6-one



Reference(s):

a EP 141 927 (Beecham; appl. 22.5.1985; GB-prior. 18.8.1983).

b EP 152 316 (Merck & Co.; appl. 21.8.1985; USA-prior. 26.1.1984).

synthesis of 2-amino-6-chloropurine:
WO 9 407 892 (SmithKline Beecham; appl. 28.9.1993; GB-prior. 30.9.1992).

synergistic combination with interferon:
EP 271 270 (Beecham Group; appl. 15.6.1988; GB-prior. 2.12.1986).
WO 9 513 074 (SmithKline Beecham; appl. 18.5.1995; GB-prior. 12.11.1993).

combination with anti-inflammatory glucocorticoide:
WO 9 624 355 (Astra; appl. 15.8.1996; WO-prior. 6.2.1995).

topical formulations:
WO 9 624 354 (Astra; appl. 15.8.1996; WO-prior. 6.2.1995).
WO 9 300 905 (SmithKline Beecham; appl. 21.1.1993; GB-prior. 11.7.1991).

stable crystalline monohydrate:
EP 216 459 (Beecham Group; appl. 1.4.1987; GB-prior. 27.7.1985).

Formulation(s): cream 10 mg/g (1 %)

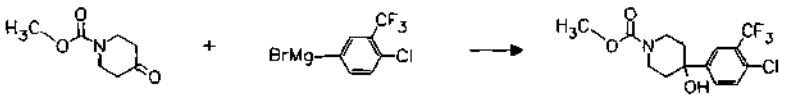
Trade Name(s):

D:	Vectavir (SmithKline Beecham)	I:	Vectavir (SmithKline Beecham)
GB:	Vectavir (SmithKline Beecham)	USA:	Denavir (SmithKline Beecham)

Penfluridol

ATC: N05AG03
Use: neuroleptic

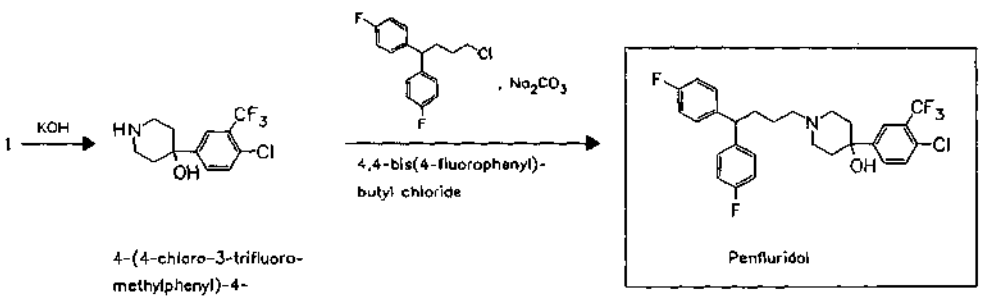
RN: 26864-56-2 MF: $C_{28}H_{27}ClF_5NO$ MW: 523.97 EINECS: 248-074-5
LD_{50}: 87 mg/kg (M, p.o.);
160 mg/kg (R, p.o.)
CN: 1-[4,4-bis(4-fluorophenyl)butyl]-4-[4-chloro-3-(trifluoromethyl)phenyl]-4-piperidinol



4-oxopiperidine-1-carboxylic acid methyl ester

4-chloro-3-(trifluoromethylphenylmagnesium bromide

4-(4-chloro-3-trifluoromethyl-phenyl)-4-hydroxypiperidine-1-carboxylic acid methyl ester (I)



4-(4-chloro-3-trifluoromethylphenyl)-4-hydroxypiperidine

4,4-bis(4-fluorophenyl)-butyl chloride

Penfluridol

Reference(s):
US 3 575 990 (Janssen; 20.4.1971; appl. 3.9.1969).
DOS 2 040 231 (Janssen; appl. 13.8.1970; USA-prior. 3.9.1969).

alternative synthesis:
FR-appl. 2 161 007 (Janssen; appl. 23.11.1972; J-prior. 25.11.1971).

Formulation(s): tabl. 20 mg

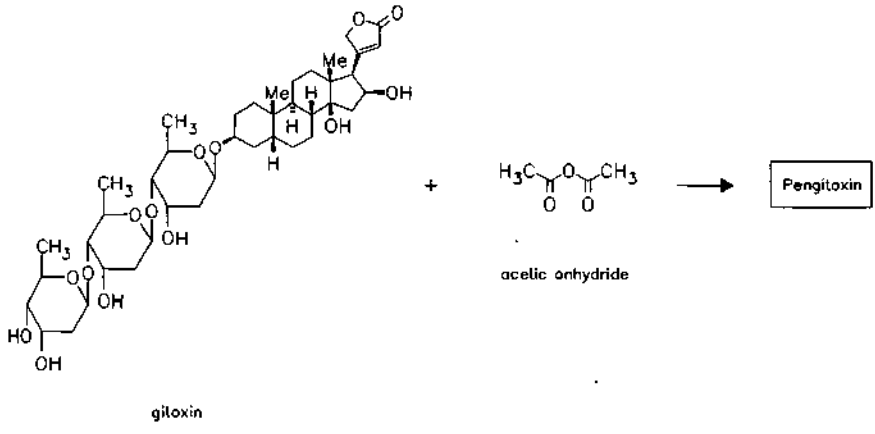
Trade Name(s):

D:	Semap (Janssen); wfm	F:	Semap (Janssen-Cilag)

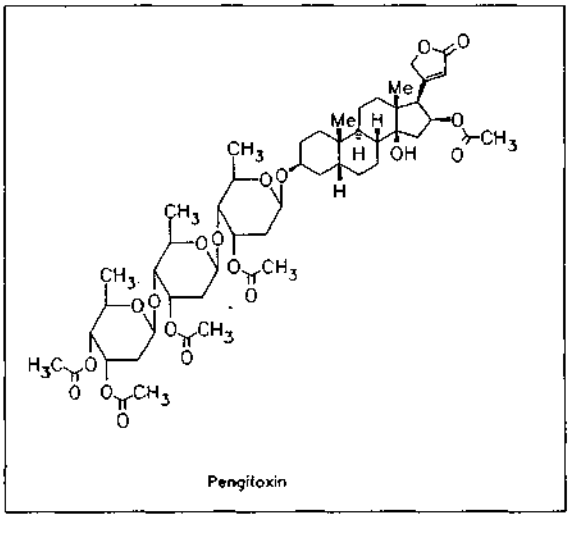
Pengitoxin

ATC: C01AA
Use: cardiac glycoside

RN: 7242-04-8 MF: $C_{51}H_{74}O_{19}$ MW: 991.13 EINECS: 230-645-5
LD_{50}: 21 mg/kg (R, i.v.)
CN: (3β,5β,16β)-16-(acetyloxy)-3-[(*O*-3,4-di-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-*O*-3-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl-(1→4)-3-*O*-acetyl-2,6-dideoxy-β-D-*ribo*-hexopyranosyl)oxy]-14-hydroxycard-20(22)-enolide



gitoxin



Pengitoxin

Reference(s):
DE 1 252 202 (Deutsche Akad. der Wissenschaften; appl. 4.11.1963).
GB 1 043 029 (Arzneimittelwerk Dresden; appl. 15.6.1965).
JP-appl. 6 982 ('60) (Shionogi; appl. 15.6.1960).

Formulation(s): tabl. 0.4 mg

Trade Name(s):
D: Carnacid-Cor (TAD); wfm

D-Penicillamine

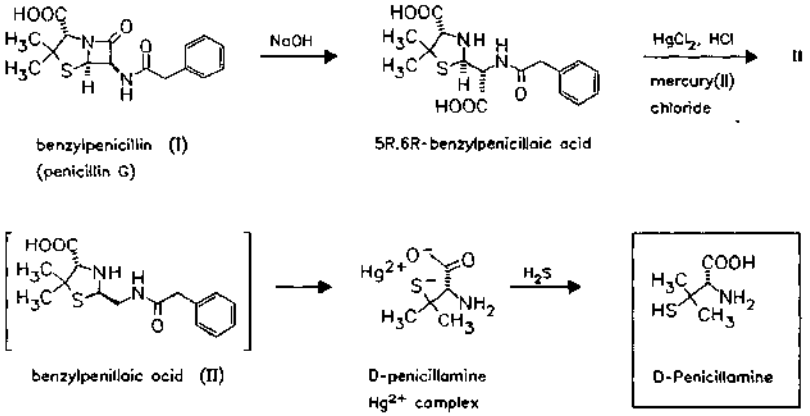
ATC: M01CC01
Use: antidote (heavy metal poisonings), antirheumatic (PCA and Morbus Wilson)

RN: 52-67-5 MF: $C_5H_{11}NO_2S$ MW: 149.21 EINECS: 200-148-8
LD_{50}: 3840 mg/kg (M, i.v.); 720 mg/kg (M, p.o.); 2 g/kg (R, i.v.); 6170 mg/kg (R, p.o.)
CN: 3-mercapto-D-valine

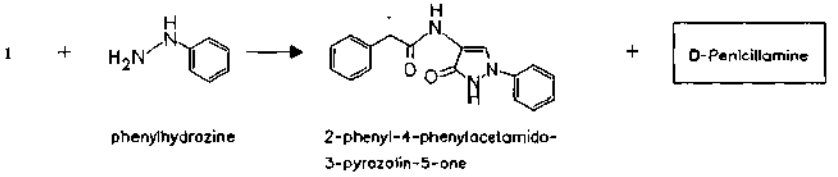
hydrochloride
RN: 2219-30-9 MF: $C_5H_{11}NO_2S \cdot HCl$ MW: 185.68 EINECS: 218-727-9
LD_{50}: 2170 mg/kg (M, i.v.); 3670 mg/kg (M, p.o.)

from penicillin G

(a)

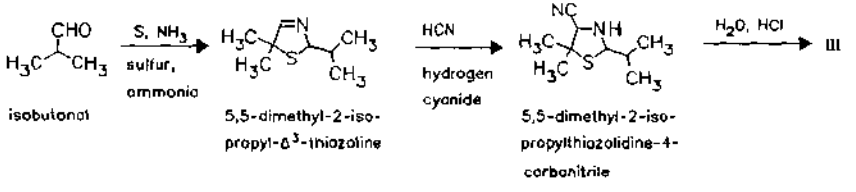


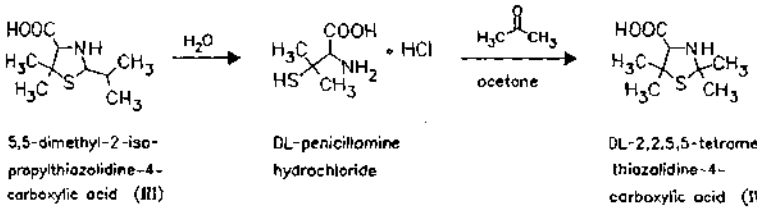
(b)



total synthetic

(c)

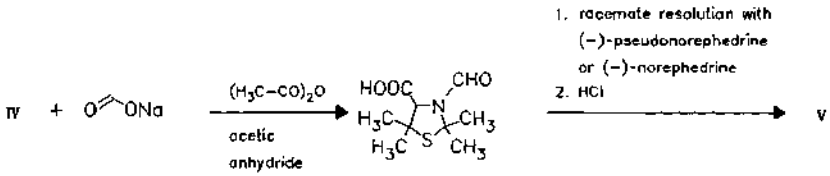




5,5-dimethyl-2-iso-propylthiazolidine-4-carboxylic acid (III)

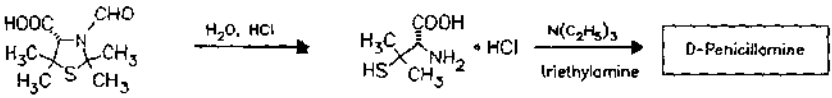
DL-penicillamine hydrochloride

DL-2,2,5,5-tetramethyl-thiazolidine-4-carboxylic acid (IV)



sodium formate

DL-3-formyl-2,2,5,5-tetramethylthiazolidine-4-carboxylic acid



D-3-formyl-2,2,5,5-tetramethylthiazolidine-4-carboxylic acid (V)

D-penicillamine hydrochloride

Reference(s):

a GB 854 339 (Distillers Co.; appl. 22.8.1957; valid from 23.7.1958).
US 3 281 461 (Squibb; 25.10.1966; appl. 7.11.1963).
DAS 2 114 329 (Heyl & Co., appl. 24.3.1971).
DOS 2 413 185 (Heyl & Co., appl. 19.3.1974).
*similar process (with N,N'-*diphenylethylenediamine):
DOS 2 728 870 (Taisho; appl. 27.6.1977; J-prior. 10.7.1976, 30.12.1976).
US 4 150 240 (Taisho; 17.4.1979; J-prior. 10.7.1976).

b DOS 2 512 608 (Pliva; appl. 21.3.1975; YU-prior. 8.4.1974).
DOS 2 605 563 (Pliva; appl. 12.2.1976; YU-prior. 14.2.1975).

c DOS 1 795 299 (Degussa; appl. 6.9.1968).
DOS 1 795 297 (Degussa; appl. 6.9.1968).
DOS 2 032 952 (Degussa; appl. 3.7.1970).
DOS 2 123 232 (Degussa; appl. 11.5.1971).
DOS 2 156 601 (Degussa; appl. 15.11.1971).
DOS 2 335 990 (Degussa; appl. 14.7.1973).
DOS 2 138 122 (Degussa; appl. 30.7.1971).
DOS 2 258 411 (Degussa; appl. 29.11.1972).
DOS 2 304 055 (Degussa; appl. 27.1.1973).

Formulation(s): cps. 300 mg; f. c. tabl. 150 mg, 300 mg

Trade Name(s):

D:	Metalcaptase (Heyl) Trisorcin (Merckle) Trolovol (ASTA Medica AWD)	GB:	Distamine (Dista) Pendramine (ASTA Medica)	USA:	Cuprimine (Merck Sharp & Dohme) Depen (Wallace)
F:	Trolovol (Bayer-Pharma)	I:	Pemine (Lilly)		
		J:	D-Penicillamine (Takeda)		

Penimepicycline

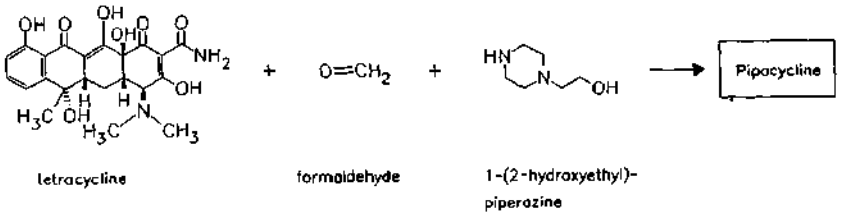
(Mepenicycline)

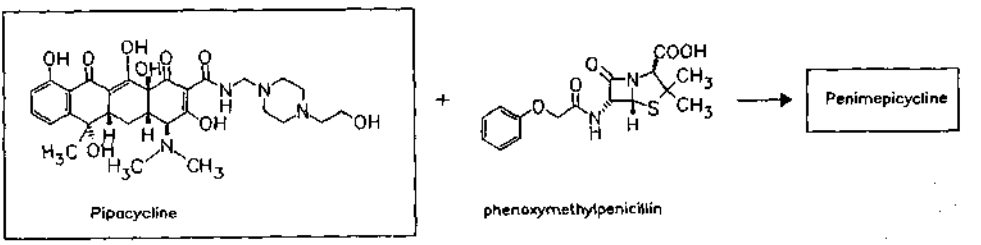
ATC: J01AA10
Use: antibiotic

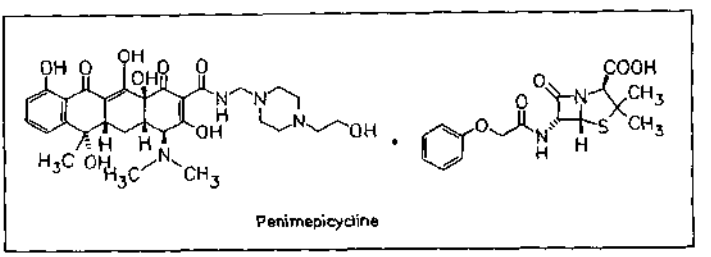
RN: 4599-60-4 MF: $C_{29}H_{38}N_4O_9 \cdot C_{16}H_{18}N_2O_5S$ MW: 937.04 EINECS: 225-002-0
LD_{50}: 342 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
345 mg/kg (R, i.v.); 3990 mg/kg (R, p.o.)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(phenoxyacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid compd. with [4*S*-(4α,4aα,5aα,6β,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-*N*-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-6-methyl-1,11-dioxo-2-naphthacenecarboxamide (1:1)

Pipacycline

RN: 1110-80-1 MF: $C_{29}H_{38}N_4O_9$ MW: 586.64 EINECS: 214-176-3
LD_{50}: 188 mg/kg (M, i.v.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-*N*-[[4-(2-hydroxyethyl)-1-piperazinyl]methyl]-6-methyl-1,11-dioxo-2-naphthacenecarboxamide







Reference(s):
pipacycline:
GB 888 968 (E.R.A.S.M.E.; appl. 31.3.1959)

penimepicycline:
GB 891 004 (E.R.A.S.M.E.; appl. 31.3.1959)
GB 897 826 (Soc. d'Etudes de Recherches et d'Applications Scientifiques et Medicals E.R.A.S.M.E.; appl. 17.3.1960).

Trade Name(s):

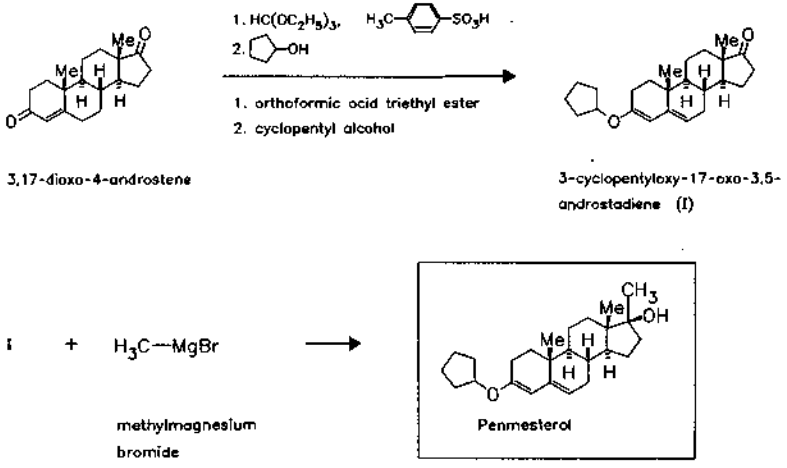
F: Penetracyne Midy (Clin-Midy); wfm
I: Idrociclin (Biagini); wfm
Lisomicina (Borromeo); wfm
Nikeciclina (Panther-Osfa Chemie); wfm
Penetracyn (Midy); wfm
Peniltetra 500 (Panther-Osfa Chemie); wfm
Prestociclina (Chemil); wfm
Singramicina (Mitim); wfm
pipacycline
Boniciclina (Boniscontro & Gazzone); wfm
Sieromicin (Sierochimica); wfm
Tetrasolvina (Nouvo Cons. Sanit. Naz.); wfm
Valtomicina (Midy; as guajacol glycolate)

Penmesterol

(Penmestrol)

ATC: G03B
Use: androgen

RN: 67-81-2 MF: $C_{25}H_{38}O_2$ MW: 370.58 EINECS: 200-670-6
CN: (17β)-3-(cyclopentyloxy)-17-methylandrosta-3,5-dien-17-ol



Reference(s):

FR-M 568 (Francesco Vismara; appl. 31.8.1960; D-prior. 4.5.1959; GB-prior. 15.10.1959).
US 3 019 241 (A. Ercoli; 30.1.1962; D-prior. 4.5.1959).

alternative synthesis:

DAS 1 159 940 (Francesco Vismara; appl. 10.7.1961; I-prior. 9.5.1961) addition to DE 1 119 264.

Trade Name(s):

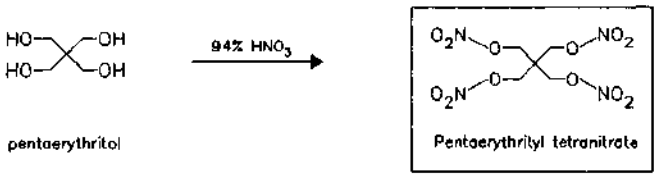
F: Pandrocine (Spécia); wfm

Pentaerythrityl tetranitrate

(Pentanitrolum)

ATC: A06AD14
Use: coronary vasodilator

RN: 78-11-5 MF: $C_5H_8N_4O_{12}$ MW: 316.14 EINECS: 201-084-3
LD_{50}: >5 g/kg (M, i.p.)
CN: 2,2-bis[(nitrooxy)methyl]-1,3-propanediol dinitrate (ester)



Reference(s):
US 2 370 437 (Du Pont; 1945; prior. 1943).

Formulation(s): drg. 40 mg; s. r. tabl. 80 mg; tabl. 50 mg, 80 mg

Trade Name(s):

D: Dilcoran 80 (Gödecke); wfm
and following combination preparations:
Adenolanat (Herbrand); wfm
Adenopurin Herbrand (Herbrand); wfm
Dilcoran 80 S Retard (Gödecke); wfm
Gilucor (Giulini); wfm
Govil (Stada); wfm
Klimax-H Taeschner, -N Taeschner (Taeschner); wfm
Nirason (Ravensberg); wfm
Nitro-Crataegutt (Schwabe); wfm
Nitro-Novodigal (Beiersdorf); wfm
Nitro-Sandolanid (Sandoz); wfm
Opticardon (UCB); wfm
Pentaneural (Wyeth); wfm
Pentrium (Hoffmann-La Roche); wfm
Pheracor (Kanoldt); wfm
Stenoppressin (Efeka); wfm
VisanoCor (Kade); wfm

F: Nitrodex (Dexo)

GB: Mycardol (Sanofi Winthrop)

I: Ajmetril (Inverni della Beffa)-comb.
Peritrate Sincron. (Teofarma)

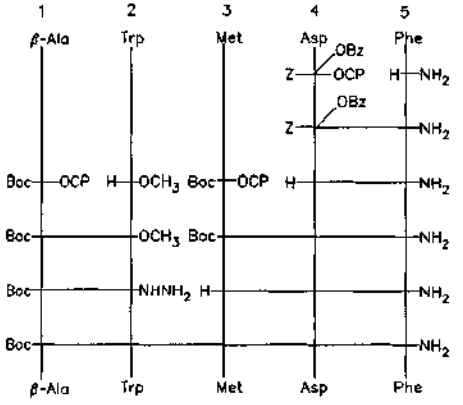
J: Hasethrol (Shionogi)
Hypothurol (Nissin)
Pectolex (Shionogi)

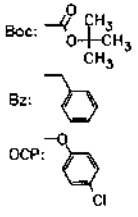
USA: Duotrate (Marion); wfm
Metranil Duracap (Meyer); wfm
Neo-Corovas (Amfre-Grant); wfm
Pentaerythritol Tetranitrate (Philips Roxane); wfm
Pentritol (Armour); wfm
Perispan (USV); wfm
Peritrate (Parke Davis; Warner Chilcott); wfm
SK-PETN (Smith Kline & French); wfm
Vasitol (Rowell); wfm

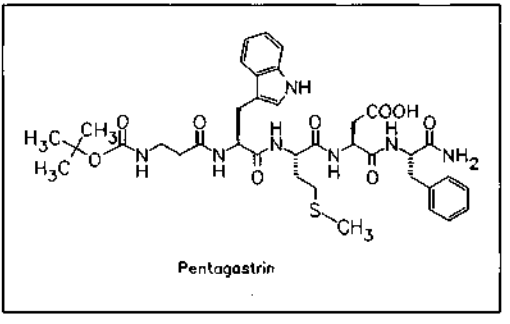
Pentagastrin

ATC: V04CG04
Use: gastric secretion diagnostic

RN: 5534-95-2 MF: $C_{37}H_{49}N_7O_9S$ MW: 767.91 EINECS: 226-889-7
CN: *N*-[(1,1-dimethylethoxy)carbonyl]-β-alanyl-L-tryptophyl-L-methionyl-L-α-aspartyl-L-phenylalaninamide







Reference(s):
Davey, J.M. et al.: J. Chem. Soc. C (JSOOAX) **1966**, 555.
US 3 896 103 (ICI; 22.7.1975; GB-prior. 25.6.1964, 9.3.1965).

Formulation(s): amp. 0.25 mg/2 ml

Trade Name(s):

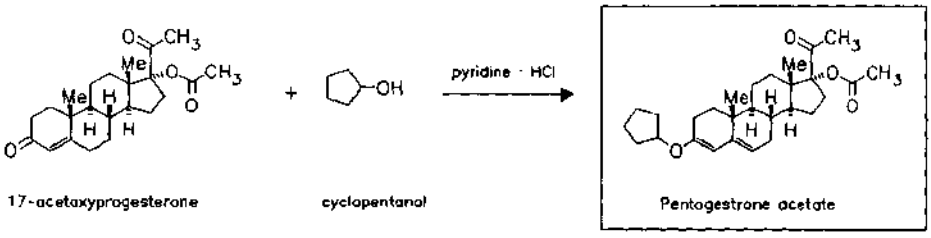
D:	Gastrodiagnost (Merck); wfm	GB:	Peptavlon (ICI); wfm	USA:	Peptavlon (Wyeth-Ayerst)
F:	Peptavlon (Zeneca)	J:	Pentagastrin (Sumitomo Chem.)		

Pentagestrone acetate

(Pentagestroni acetas)

ATC: G03
Use: progestogen

RN: 1178-60-5 MF: $C_{28}H_{40}O_4$ MW: 440.62
CN: 17-(acetyloxy)-3-(cyclopentyloxy)pregna-3,5-dien-20-one



Reference(s):
DAS 1 167 830 (Francesco Vismara; appl. 18.1.1961; I-prior. 6.2.1960).

alternative syntheses:
US 3 019 241 (A. Ercoli; 30.1.1962; D-prior. 4.5.1959).
DAS 1 159 940 (Francesco Vismara; appl. 10.7.1961; I-prior. 9.5.1961) addition to DE 1 119 264.

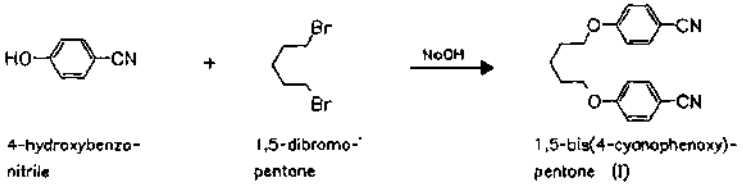
Trade Name(s):
I: Gestovis (Vister); wfm

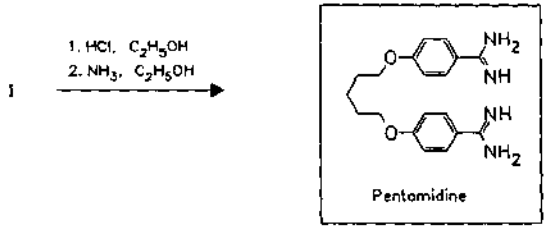
Pentamidine

ATC: P01CX01
Use: chemotherapeutic (protozoal infections)

RN: 100-33-4 MF: $C_{19}H_{24}N_4O_2$ MW: 340.43 EINECS: 202-841-0
LD_{50}: 50 mg/kg (M, i.p.)
CN: 4,4'-[1,5-pentanediylbis(oxy)]bis[benzenecarboximidamide]

diisethionate
RN: 140-64-7 MF: $C_{19}H_{24}N_4O_2 \cdot 2C_2H_6O_4S$ MW: 592.69 EINECS: 205-424-1
LD_{50}: 15.1 mg/kg (M, i.v.)





Reference(s):
GB 507 565 (May & Baker; appl. 1938).
Ashley, J.N. et al.: J. Chem. Soc. (JCSOA9) **1942**, 103.

Formulation(s): vial 120 mg, 300 mg

Trade Name(s):

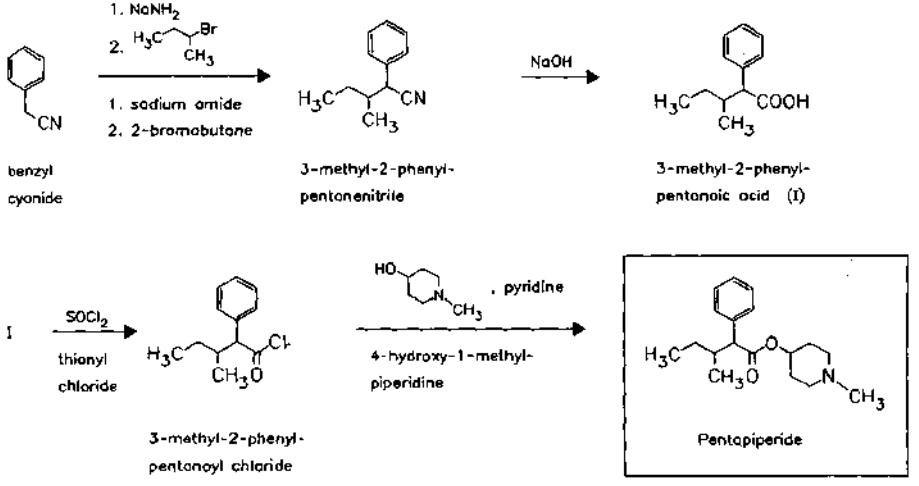
D:	Pentacarinat (Glaxo Wellcome; Rhône-Poulenc Rorer)		Pneumopent aerosol (Italchimici)		Pentam 300 (Lyphomed); wfm
F:	Pentacarinat (Bellon)	J:	Benambax (Rhône-Poulenc-Chugai)		Pneumopent (Rhône-Poulenc Rorer); wfm
GB:	Pentacarinat (GHC)	USA:	Pentacarinate (Rhône-Poulenc Rorer); wfm		
I:	Pentacarinat (Rhône-Poulenc Rorer)		Pentam (Fujisawa); wfm		

Pentapiperide

ATC: N04A
Use: antispasmodic, anticholinergic

RN: 7009-54-3 MF: $C_{18}H_{27}NO_2$ MW: 289.42 EINECS: 230-286-4
CN: α-(1-methylpropyl)benzeneacetic acid 1-methyl-4-piperidinyl ester

hydrogen fumarate (1:1)
RN: 635-32-5 MF: $C_{18}H_{27}NO_2 \cdot C_4H_4O_4$ MW: 405.49 EINECS: 211-233-4
methyl sulfate
RN: 7681-80-3 MF: $C_{19}H_{30}NO_2 \cdot CH_3O_4S$ MW: 415.55 EINECS: 231-678-8
LD_{50}: 7500 µg/kg (M, i.v.); 435 mg/kg (M, p.o.);
720 mg/kg (R, p.o.)



Reference(s):
US 2 987 517 (Cilag-Chemie AG; 6.6.1961; D-prior. 20.4.1954).

Trade Name(s):

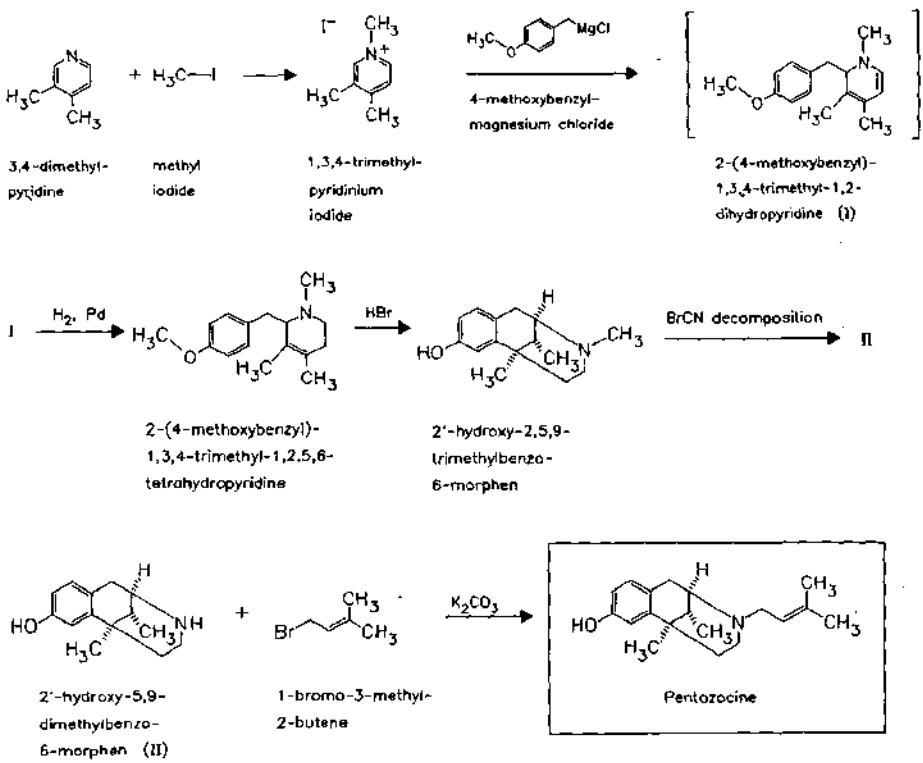
F:	Cryléne (Auclair); wfm		Togestal (Biosedra)-comb.; wfm	I:	Crilin (Ayerst); wfm
				USA:	Perium (Rover); wfm

Pentazocine

ATC: N02AD01
Use: analgesic

RN: 359-83-1 MF: $C_{19}H_{27}NO$ MW: 285.43 EINECS: 206-634-6
LD_{50}: 19.8 mg/kg (M, i.v.); 205 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 1110 mg/kg (R, p.o.)
CN: (2α,6α,11*R**)-1,2,3,4,5,6-hexahydro-6,11-dimethyl-3-(3-methyl-2-butenyl)-2,6-methano-3-benzazocin-8-ol

hydrochloride
RN: 2276-52-0 MF: $C_{19}H_{27}NO \cdot HCl$ MW: 321.89 EINECS: 218-896-9
LD_{50}: 126 mg/kg (M, s.c.)
lactate (1:1)
RN: 17146-95-1 MF: $C_{19}H_{27}NO \cdot C_3H_6O_3$ MW: 375.51 EINECS: 241-209-9
LD_{50}: 103 mg/kg (M, i.p.)



Reference(s):
BE 611 000 (Sterling Drug; appl. 30.11.1961; USA-prior. 1.12.1960).
Archer, S. et al.: J. Med. Chem. (JMCMAR) 7, 123 (1964).

Formulation(s): amp. 30 mg/ml; cps. 50 mg, 56.4 mg; suppos. 50 mg; tabl. 25 mg

Trade Name(s):

D:	Fortral (Winthrop)	GB:	Fortagesic (Sanofi Winthrop; as hydrochloride)	Fortral (Sterwin; as hydrochloride)
F:	Fortal (Sanofi Winthrop)			

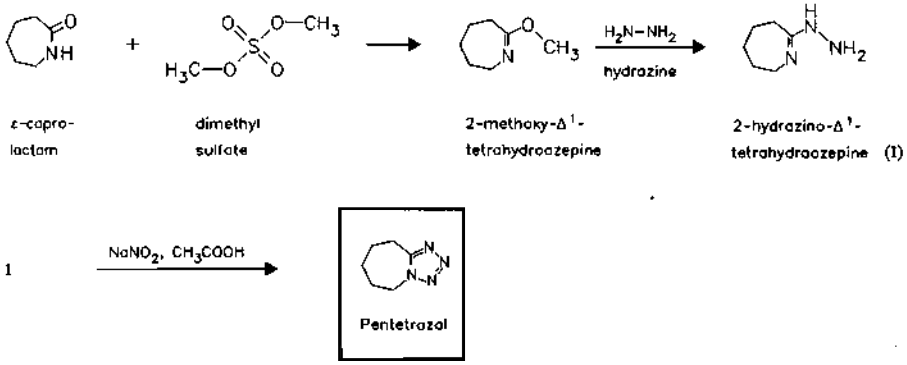
I:	Pentalgina (Pierrel)		Talwintab (Sanofi		Pentagin (Sankyo)
	Talwin (Pierrel)		Winthrop)	USA:	Talacen (Sanofi)
	Talwin (Sanofi Winthrop)	J:	Peltazon (Grelan)		Talwin (Sanofi)

Pentetrazol

(Pentylenetetrazol)

ATC: R07AB03
Use: analgesic, circulatory stimulant

RN: 54-95-5 MF: $C_6H_{10}N_4$ MW: 138.17 EINECS: 200-219-3
LD_{50}: 31.4 mg/kg (M, i.v.); 88 mg/kg (M, p.o.);
45 mg/kg (R, i.v.); 140 mg/kg (R, p.o.)
CN: 6,7,8,9-tetrahydro-5*H*-tetrazolo[1,5-*a*]azepine



Reference(s):
Schmidt, K.F.: Ber. Dtsch. Chem. Ges. (BDCGAS) **57**, 704 (1924).
Stolle, R.: Ber. Dtsch. Chem. Ges. (BDCGAS) **63**, 1032 (1930).

alternative syntheses (azide method):
DRP 427 858 (Knoll; appl. 1923).
DRP 439 041 (Knoll; appl. 1924).
DRP 455 585 (Knoll; appl. 1925).
DRP 521 870 (Knoll; appl. 1929).
DRP 537 739 (Knoll; appl. 1928).
DRP 538 981 (Knoll; appl. 1926).
DRP 543 025 (Knoll; appl. 1927).
DRP 545 850 (Knoll; appl. 1927).
DRP 574 943 (Knoll; appl. 1932).
DRP 576 327 (Knoll; appl. 1930).
DRP 611 692 (Chinoin; appl. 1934).

Formulation(s): drops 100 mg/g

Trade Name(s):

D:	Afpred (Hefa-Frenon)-comb.; wfm		Poikiloton (Lomapharm)-comb.; wfm		Tetracor (Chinoin); wfm
	Cardaminol (Reinecke)-comb.; wfm		Sympatocard (Boehringer Ing.)-comb.; wfm		Tetrazol (Lisapharma); wfm
	Cardiazol (Knoll); wfm	F:	Désintex-Pentazol (M. Richard); wfm	J:	Cardiazol (Sankyo)
	Indovert (Dolorgiet)-comb.; wfm	I:	Cardiazol (Knoll); wfm		Pentazol (Yashima)
	Jasivita (Bolder)-comb.; wfm		Cardiazol Paracodina (Knoll)-comb.; wfm	USA:	Analeptone (Reed & Carnrick); wfm
					Benizol (ICI)-comb. with nicotinic acid; wfm

Geroniazol (Philips Roxane)-comb. with nicotinic acid; wfm
Metrazol (Knoll); wfm

Nico-Metrazol (Knoll)-comb. with nicotinic acid; wfm
Rovite Tonic (Rotex); wfm

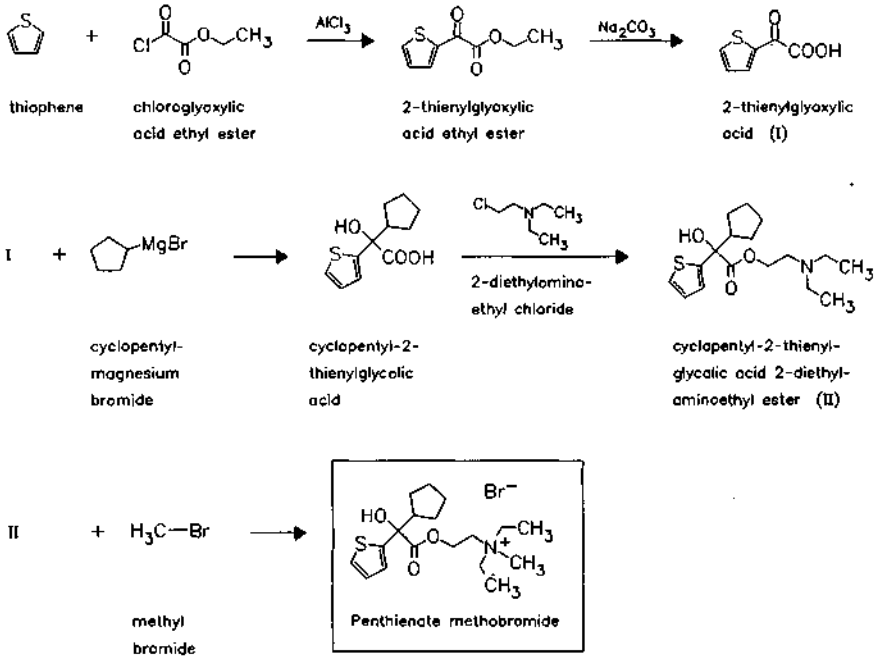
Vita-Metrazol (Knoll)-comb. with vitamin B complex; wfm

Penthienate methobromide

(Penthienate bromide)

ATC: A03AB
Use: antispasmodic

RN: 60-44-6 MF: $C_{18}H_{30}BrNO_3S$ MW: 420.41 EINECS: 200-478-2
LD_{50}: 16 mg/kg (M, i.v.); 2080 mg/kg (M, p.o.)
CN: 2-[(cyclopentylhydroxy-2-thienylacetyl)oxy]-*N*,*N*-diethyl-*N*-methylethanaminium bromide



Reference(s):
US 2 541 634 (Univ. of Michigan; 1951; prior. 1946).

Formulation(s): tabl. 5 mg

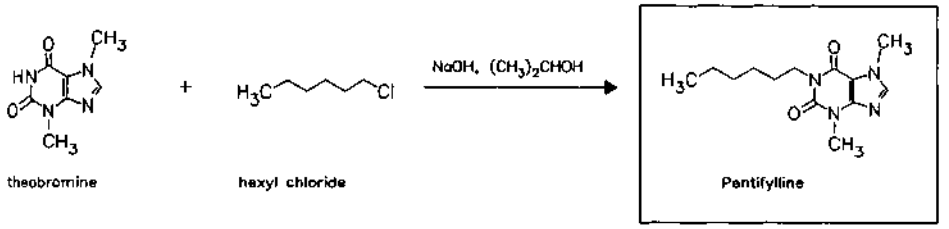
Trade Name(s):
GB: Monodral (Winthrop); wfm J: Monodral (Nakataki) USA: Monodral (Winthrop); wfm

Pentifylline

(Hexyltheobromine)

ATC: C04AD01
Use: vasodilator

RN: 1028-33-7 MF: $C_{13}H_{20}N_4O_2$ MW: 264.33 EINECS: 213-842-0
LD_{50}: 1040 mg/kg (M, p.o.)
CN: 1-hexyl-3,7-dihydro-3,7-dimethyl-1*H*-purine-2,6-dione



Reference(s):
DE 860 217 (Chemische Werke Albert; appl. 1950).

alternative synthesis:
SU 202 152 (K. Chkhikoadze et al.; appl. 17.9.1966).

combination with inositol hexanicotinate:
GB 1 129 134 (Sterling Winthrop; valid from 3.11.1965; prior. 4.11.1964).

combination with nicotinic acid:
GB 815 969 (Chemische Werke Albert; valid from 1958; USA-prior. 1957).

use for stabilization of vitamins:
DOS 1 810 705 (Chemische Werke Albert; appl. 25.11.1968).

retard form:
DE 1 617 418 (Chemische Werke Albert; appl. 16.12.1967).

oral pharmaceutical formulation:
DOS 2 520 978 (Hoechst; appl. 10.5.1975).

Formulation(s): s. r. drg. 400 mg

Trade Name(s):

D:	Cosaldon (Albert-Roussel, Hoechst)-comb. with retinol palmitate		Cosaldon (Albert-Roussel, Hoechst)	J:	Tonostan (Tokyo Tanabe)-comb. with nicotinic acid
		F:	Cosadon (Hoechst)-comb. with nicotinic acid; wfm		

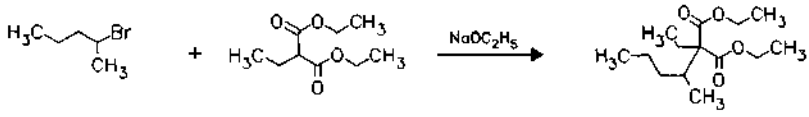
Pentobarbital

(Mebumalum; Pentobarbitone)

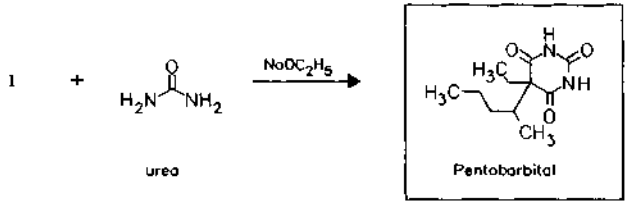
ATC: N05CA01
Use: hypnotic

RN: 76-74-4 MF: $C_{11}H_{18}N_2O_3$ MW: 226.28 EINECS: 200-983-8
LD_{50}: 65 mg/kg (M, i.v.); 170 mg/kg (M, p.o.);
125 mg/kg (R, p.o.);
50 mg/kg (dog, i.v.)
CN: 5-ethyl-5-(1-methylbutyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt
RN: 57-33-0 MF: $C_{11}H_{17}N_2NaO_3$ MW: 248.26 EINECS: 200-323-9
LD_{50}: 81 mg/kg (M, i.v.); 239 mg/kg (M, p.o.);
65 mg/kg (R, i.v.); 118 mg/kg (R, p.o.);
65 mg/kg (dog, p.o.)
calcium salt
RN: 7563-42-0 MF: $C_{11}H_{18}N_2O_3 \cdot xCa$ MW: unspecified EINECS: 231-460-2



2-bromopentane | ethylmalonic acid diethyl ester | ethyl(1-methylbutyl)-malonic acid diethyl ester (I)



1 + urea

Reference(s):
DRP 293 163 (Bayer; 1915).
GB 650 354 (Geigy; appl. 1948) - method.

Formulation(s): cps. 50 mg, 100 mg; sol. 50 mg/ml; suppos. 60 mg, 120 mg (as sodium salt)

Trade Name(s):

D: Isoptin S (Knoll)-comb.; wfm
Migrexa (Sanorania)-comb.; wfm
Nembutal (Abbott); wfm
Neodorm (Minden); wfm
Norkotral (Desitin)-comb.; wfm
Omka-Nacht Tabletten (Heyden)-comb.; wfm
Praecicalm (Molimin); wfm
Priatan (Minden)-comb.; wfm
Repocal (Desitin); wfm

F: Nembutal (Abbott); wfm

GB: Nembutal (Abbott); wfm

I: Isoptin S (Knoll)-comb.; wfm
Nembutal (Abbott); wfm

J: Mintal (Tanabe)
Nembutal (Dainippon)

USA: Nembutal (Abbott)
Pentobarbital Sodium (Wyeth-Ayerst)

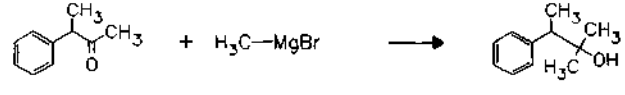
Pentorex

(Phenpentermine)

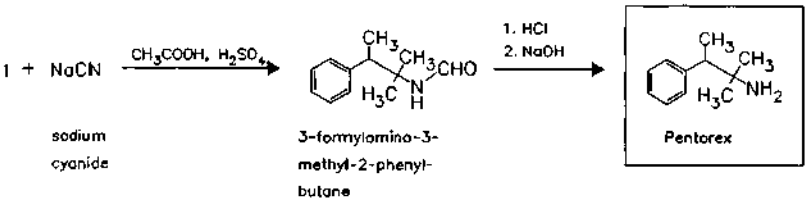
ATC: A08A
Use: appetite depressant

RN: 434-43-5 MF: $C_{11}H_{17}N$ MW: 163.26 EINECS: 207-102-6
CN: α,α,β-trimethylbenzeneethanamine

hydrogen tartrate (2:1)
RN: 22876-60-4 MF: $C_{11}H_{17}N \cdot 1/2C_4H_6O_6$ MW: 476.61



3-oxo-2-phenyl-butane | methylmagnesium bromide | 3-methyl-2-phenyl-3-butanol (I)



Reference(s):
FR-M 2 594 (Nordmark-Werke; appl. 17.4.1963; D-prior. 13.11.1962).

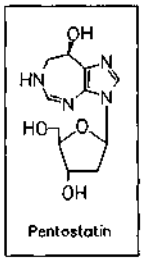
Trade Name(s):
D: Modatrop (Nordmark); wfm
F: Liprodéne (Anphar); wfm

Pentostatin
(Deoxycoformycin; Co-vidarabine)

ATC: L01XX08
Use: adenosine deaminase inhibitor (for hairy cell leucemia treatment)

RN: 53910-25-1 MF: $C_{11}H_{16}N_4O_4$ MW: 268.27
LD_{50}: 122 mg/kg (M, i.v.); 227 mg/kg (M, p.o.)
CN: (*R*)-3-(2-deoxy-β-D-*erythro*-pentofuranosyl)-3,6,7,8-tetrahydroimidazo[4,5-*d*][1,3]diazepin-8-ol

Fermentation of *streptomyces antibioticus* MRRL.



Reference(s):
US 3 923 785 (Parke Davis; 2.12.1975; appl. 22.4.1974).
DE 2 517 596 (Parke Davis; appl. 30.10.1975; USA-prior. 22.4.1974).

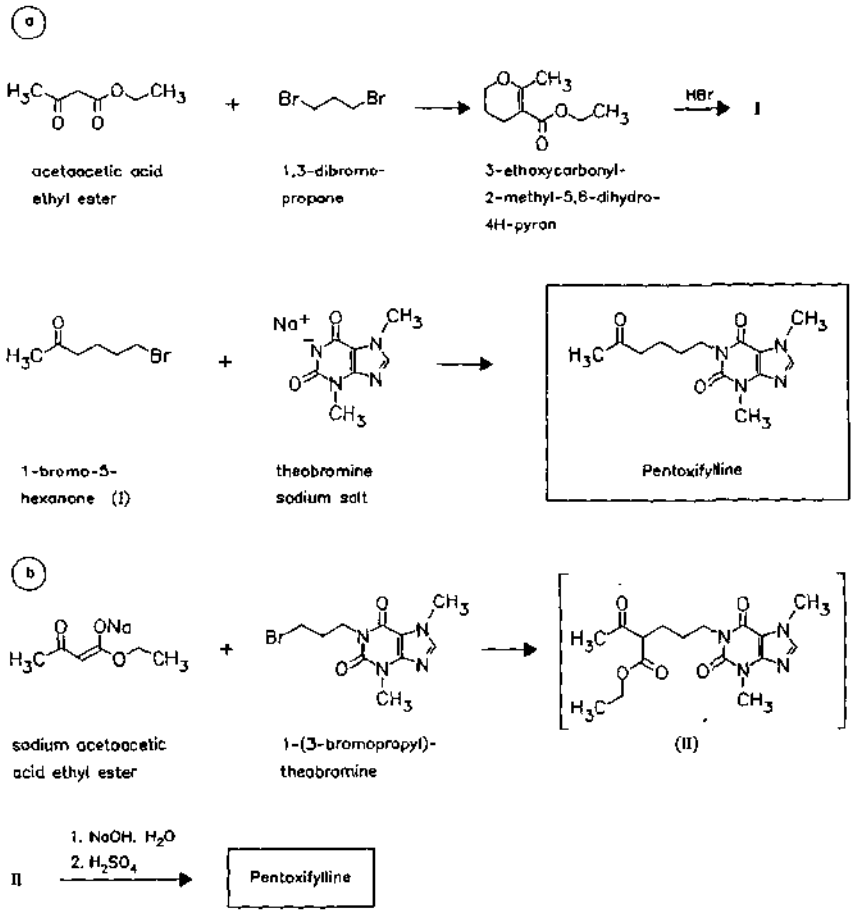
Formulation(s): vial 10 mg

Trade Name(s):
D: Nipent (Lederle)
F: Nipent (Wyeth-Lederle)
GB: Nipent (Wyeth)
J: Coforin (Kaketsuken-Nippon Kayaku)
USA: Nipent (SuperGen)

Pentoxifylline

ATC: C04AD03
Use: vasodilator

RN: 6493-05-6 MF: $C_{13}H_{18}N_4O_3$ MW: 278.31 EINECS: 229-374-5
LD_{50}: 108 mg/kg (M, i.v.); 1225 mg/kg (M, p.o.);
231 mg/kg (R, i.v.); 1170 mg/kg (R, p.o.)
CN: 3,7-dihydro-3,7-dimethyl-1-(5-oxohexyl)-1*H*-purine-2,6-dione



Reference(s):
DE 1 235 320 (Chemische Werke Albert; appl. 5.9.1964).
US 3 422 107 (Chemische Werke Albert; 14.1.1969; D-prior. 30.8.1965, 24.7.1965, 10.7.1965, 2.7.1965, 5.9.1964).
US 3 737 433 (Chemische Werke Albert; 5.6.1973; D-prior. 5.9.1964, 2.7.1965, 10.7.1965, 24.7.1965).
Mohler, W.; Söder, A.: Arzneim.-Forsch. (ARZNAD) **21**, 1159 (1971).
Mohler, W. et al.: Arch. Pharm. (Weinheim, Ger.) (ARPMAS) **299**, 448 (1966).

alternative syntheses:
DOS 2 330 741 (Chemische Werke Albert; appl. 16.6.1973).
DOS 2 302 772 (Chemische Werke Albert; appl. 20.1.1973).
DOS 2 234 202 (Chemische Werke Albert; appl. 12.7.1972).
JP-appl. 54 112 893 (Kohjin; appl. 21.2.1978).

pharmaceutical formulation:
DE 1 617 418 (Chemische Werke Albert; appl. 16.12.1967).
DOS 2 520 978 (Hoechst AG; appl. 10.5.1975).

use as dissolving intermediary:
DE 1 250 968 (Chemische Werke Albert; appl. 24.7.1965).

Formulation(s): amp. 100 mg/5 ml, 300 mg/15 ml; drg. 100 mg; f. c. tabl. 400 mg; s. r. cps. 400 mg, 600 mg; s. r. drg. 400 mg; s. r. tabl. 400 mg, 600 mg

Trade Name(s):

D: Claudicat retard (Promonta Lundbeck)
Durapental 400 (durachemie)
Pento AbZ (AbZ-Pharma)
Pentohexal (Hexal)
pentox (ct-Arzneimittel)
Pentoxifyllin-ratiopharm 400 (ratiopharm)
Ralofekt (ASTA Medica AWD)
Rentylin (Rentschler)
Trental (Albert-Roussel, Hoechst)
F: Hatial (Wyeth-Lederle)
Pentoflux (Bouchara)
Torental (Hoechst)
GB: Trental (Hoechst)
I: Trental (Hoechst Marion)
J: Trental (Hoechst)
USA: Trental (Hoechst Marion Roussel)

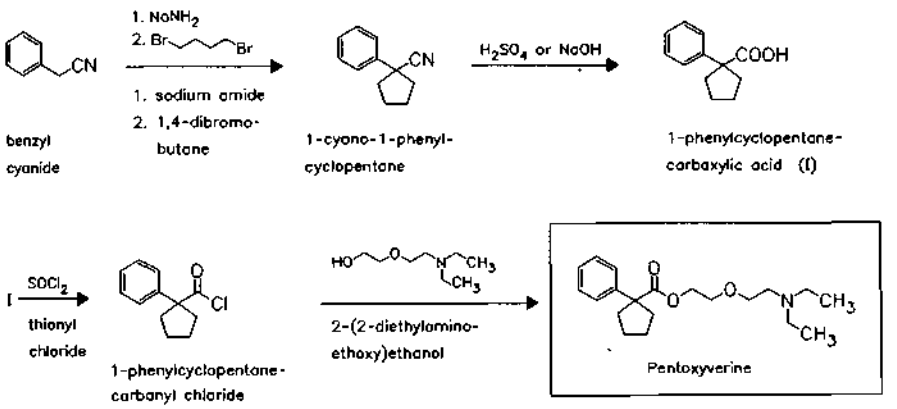
Pentoxyverine

(Carbetapentane)

ATC: R05DB05
Use: antitussive

RN: 77-23-6 MF: $C_{20}H_{31}NO_3$ MW: 333.47 EINECS: 201-014-1
LD_{50}: 13 mg/kg (M, i.v.); 130 mg/kg (M, p.o.); 150 mg/kg (R, p.o.)
CN: 1-phenylcyclopentanecarboxylic acid 2-[2-(diethylamino)ethoxy]ethyl ester

citrate (1:1)
RN: 23142-01-0 MF: $C_{20}H_{31}NO_3 \cdot C_6H_8O_7$ MW: 525.60 EINECS: 245-449-5
LD_{50}: 38 mg/kg (M, i.v.); 230 mg/kg (M, p.o.); 34 mg/kg (R, i.v.); 810 mg/kg (R, p.o.)
hydrochloride
RN: 1045-21-2 MF: $C_{20}H_{31}NO_3 \cdot HCl$ MW: 369.93



Reference(s):
GB 753 779 (H. Morren; appl. 1954; B-prior. 1953).

Formulation(s): f. c. tabl. 50 mg; cps. 75 mg; drops 30 mg/ml; suppos. 8 mg, 20 mg; syrup 213 mg/100 ml (as citrate); suppos. 8 mg, 20 mg (as base); syrup 150 mg/100 ml (as hydrochloride)

Trade Name(s):

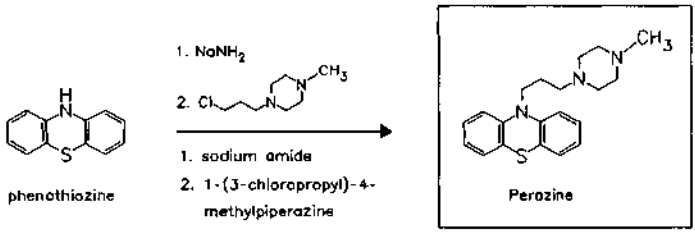
D: Pertix (Hommel)
Sedotussin (Rodleben; UCB; Vedim)-comb. with chlorphenamine hydrogen maleate
Sedotussin (Rodleben; UCB; Vedim)
F: Pectosan Toux Séche (RPR Cooper)
I: Tuclase (UCB)
J: Aslos (Kotani)
Carbeten (Showa Yakuhin)
Culten (Towa)
Kaibohl (Sawai)
Milysted (Nissin Yakuhin)
Takabetane (Takata)
Toclase (Sumitomo)
USA: Rynatuss (Wallace)-comb.

Perazine

ATC: N05AB10
Use: psychosedative

RN: 84-97-9 MF: $C_{20}H_{25}N_3S$ MW: 339.51 EINECS: 201-578-9
LD_{50}: 75 mg/kg (M, i.v.); 640 mg/kg (M, p.o.);
80 mg/kg (R, i.v.); 500 mg/kg (R, p.o.)
CN: 10-[3-(4-methyl-1-piperazinyl)propyl]-10*H*-phenothiazine

dimalonate
RN: 14777-25-4 MF: $C_{20}H_{25}N_3S \cdot 2C_3H_4O_4$ MW: 547.63 EINECS: 238-842-8



Reference(s):
DE 1 037 461 (Rhône-Poulenc; appl. 1955; GB-prior. 1954).
Hromatka, O.: Monatsh. Chem. (MOCMB7) **88**, 56 (1957).

Formulation(s): amp. 50 mg/2 ml; drg. 25 mg, 100 mg; f. c. tabl. 25 mg, 100 mg, 200 mg; sol. 44 mg/ml; tabl. 100 mg (as dimalonate)

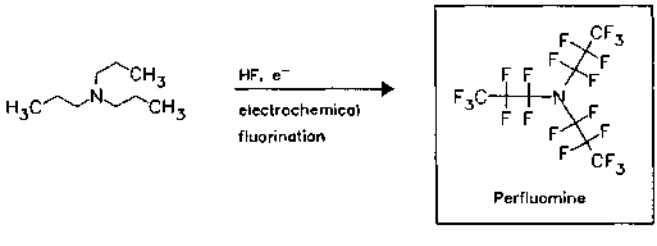
Trade Name(s):
D: Taxilan (Promonta Lundbeck)
J: Taxilan (Morishita)

Perfluamine

ATC: B05A
Use: blood substitute in combination with perflunafene

RN: 338-83-0 MF: $C_9F_{21}N$ MW: 521.06 EINECS: 206-420-2
CN: 1,1,2,2,3,3,3-heptafluoro-*N*,*N*-bis(heptafluoropropyl)-1-propanamine

mixture with perflunafene
RN: 75216-20-5 MF: unspecified MW: unspecified



Reference(s):
US 2 616 927 (3M; 1952)

medical use as blood substitute:
DOS 2 630 586 (Green Cross; appl. 7.7.1976; USA-prior. 3.2.1976).
US 4 252 827 (Green Cross; 24.2.1981; appl. 3.2.1976).

reduction of tumor metastasis:
EP 201 275 (Alpha Therap. Corp.; appl. 30.4.1986; USA-prior. 9.5.1985).
WO 8 908 459 (Alpha Therap. Corp.; appl. 21.9.1989; USA-prior. 11.3.1988).

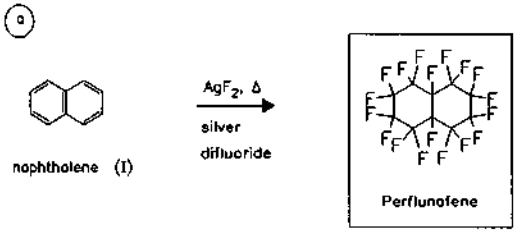
Formulation(s): mixture of perfluamine, perflunafene (3:7), pluronic F-68, yolk phospholipids, glycerol (20 % emulsion)

Trade Name(s):
GB: Fluosol (Alpha Therap.)-comb. with perflunafene; wfm
USA: Fluosol (Alpha Therap.; 1990)-comb. with perflunafene; wfm

Perflunafene
(Perfluorodecaline)

ATC: B05A
Use: blood substitute in combination with perfluamine

RN: 306-94-5 MF: $C_{10}F_{18}$ MW: 462.07 EINECS: 206-192-4
LD_{50}: 50 mg/kg (M, i.v.)
CN: octadecafluorodecahydronaphthalene



Reference(s):
a McBee, E.T.; Bechtol, L.D.: Ind. Eng. Chem. (IECHAD) **39**, 380 (1947).
US 2 459 780 (Purdue Research Found.; 1949).
b JP 1 186 828 (Tokuyama; appl. 16.1.1988).
Sokolov, S.V. et al.: Zh. Prikl. Khim. (Leningrad) (ZPKHAB) **39**, 362 (1966) [CA (CHABA8) **64**, 19443 (1966)].

additional synthesis:
US 2 487 820 (Purdue Research Found.; 1949).
Sander, M.; Bloeche, W.: Chem.-Ing.-Tech. (CITEAH) **37**, 7 (1965).

Formulation(s): cf. perfluamine

Trade Name(s):

GB: Fluosol (Alpha Therap.)-comb. with perfluamine; wfm

USA: Fluosol (Alpha Therap.; 1990)-comb. with perfluamine; wfm

Pergolide

(LY-127809)

ATC: N04BC02

Use: long acting dopamine D_1 and D_2-agonist, antiparkinsonian, prolactin release inhibitor

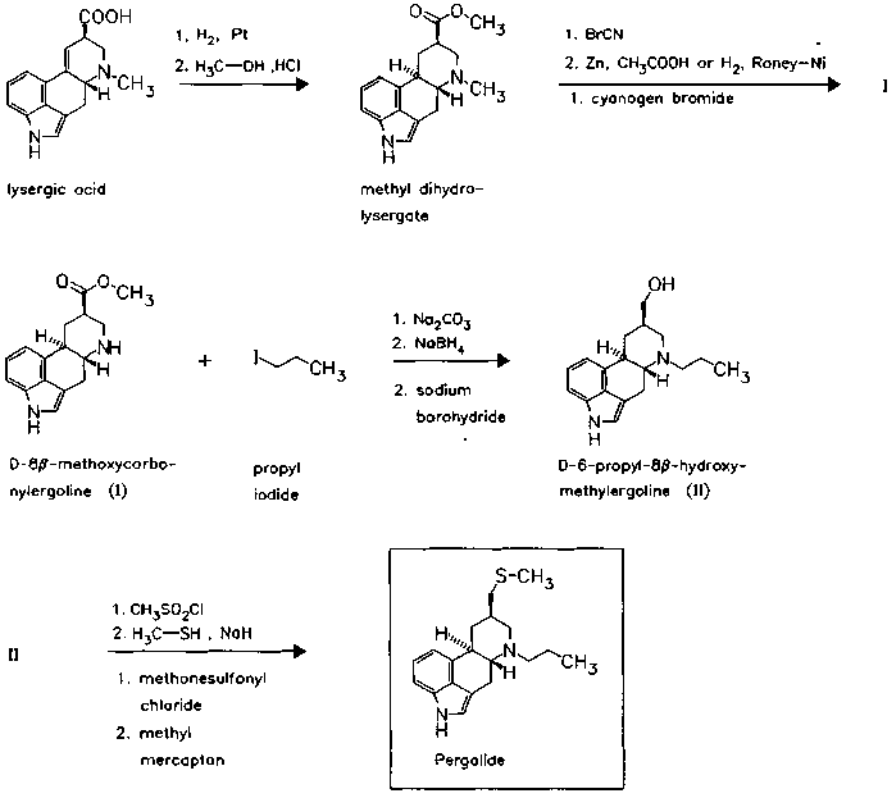
RN: 66104-22-1 MF: $C_{19}H_{26}N_2S$ MW: 314.50

CN: (8β)-8-[(methylthio)methyl]-6-propylergoline

mesylate

RN: 66104-23-2 MF: $C_{19}H_{26}N_2S \cdot CH_4O_3S$ MW: 410.60

LD_{50}: 100 mg/kg (M, i.p.); 54 mg/kg (M, p.o.); 15 mg/kg (R, p.o.)



Reference(s):

US 4 166 182 (Lilly; 28.8.1979; appl. 8.2.1978).

US 4 180 582 (Lilly; 25.12.1979; appl. 11.1.1979; prior. 8.2.1978).

US 4 202 979 (Lilly; 13.5.1980; appl. 11.1.1979; prior. 8.2.1978).

EP 3 667 (Lilly; appl. 5.2.1979; USA-prior. 8.2.1978).

synthesis of intermediates:

EP 213 850 (Lilly; appl. 14.8.1986; USA-prior. 16.8.1985).

light stabilised pergolide *formulation:*
US 4 797 405 (Lilly; 10.1.1989; appl. 26.10.1987).

Formulation(s): tabl. 0.05 mg, 0.25 mg, 1 mg (as mesylate)

Trade Name(s):

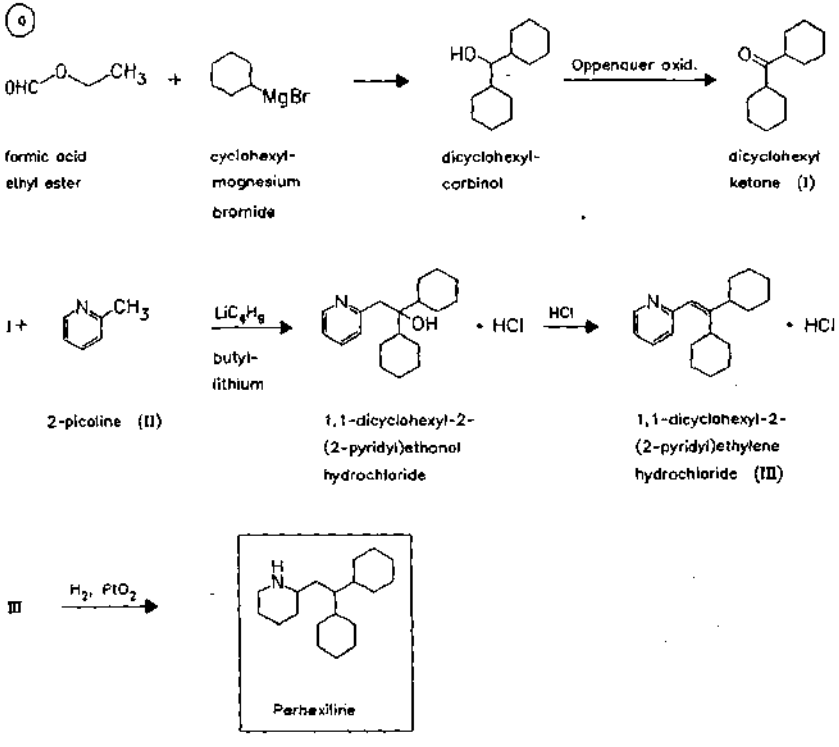
D:	Parkotil (Lilly)	I:	Nopar (Lilly)	USA:	Permax (Athera)
GB:	Celance (Lilly)	J:	Permax (Lilly)		

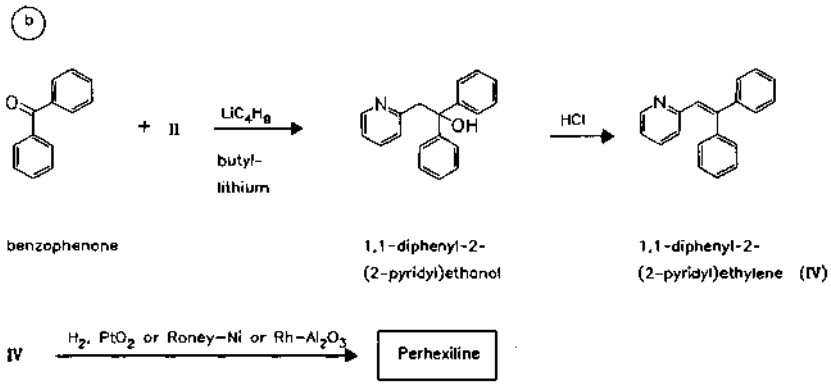
Perhexiline

ATC: C08EX02
Use: coronary vasodilator

RN: 6621-47-2 MF: $C_{19}H_{35}N$ MW: 277.50 EINECS: 229-569-5
CN: 2-(2,2-dicyclohexylethyl)piperidine

maleate (1:1)
RN: 6724-53-4 MF: $C_{19}H_{35}N \cdot C_4H_4O_4$ MW: 393.57 EINECS: 229-775-5
LD_{50}: 2641 mg/kg (M, p.o.);
2150 mg/kg (R, p.o.)





Reference(s):

a US 3 038 905 (Richardson-Merrell; 12.6.1962; prior. 24.5.1960).
FR-M 4 474 (Richardson-Merrell; appl. 20.11.1964; USA-prior. 26.11.1963).
precursor:
GB 912 830 (Richardson-Merrell; appl. 16.5.1961; USA-prior. 24.5.1960).

b DOS 2 643 473 (B.T.B. Industria Chimica S.p.A.; appl. 27.9.1976; I-prior. 29.9.1975, 7.11.1975).
DOS 2 713 500 (Richardson-Merrell; appl. 26.3.1977; USA-prior. 14.4.1976).
DOS 2 714 081 (Richardson-Merrell; appl. 30.3.1977; USA-prior. 14.4.1976).
US 4 069 222 (Richardson-Merrell; 17.1.1978; appl. 14.4.1976).

Formulation(s): tabl. 100 mg

Trade Name(s):

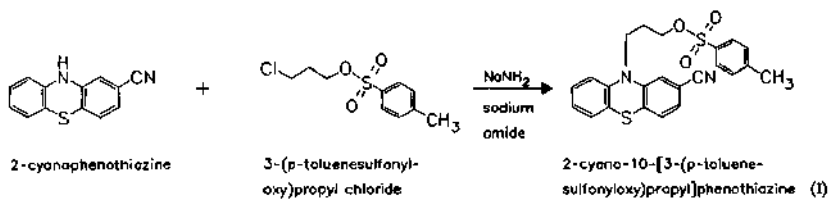
D:	Pexid (Merrell); wfm	GB:	Pexid (Merrell); wfm	USA:	Pexid (Merrell-National); wfm
F:	Pexid (Merrell-Toraude); wfm	I:	Pexid (Merrell); wfm		

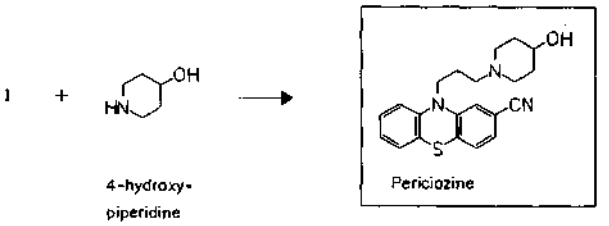
Periciazine

(Pericyazine; Propericiazine)

ATC: N05AC01
Use: antipsychotic, neuroleptic

RN: 2622-26-6 MF: $C_{21}H_{23}N_3OS$ MW: 365.50 EINECS: 220-071-3
LD_{50}: 27.7 mg/kg (M, i.v.); 530 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 395 mg/kg (R, p.o.)
CN: 10-[3-(4-hydroxy-1-piperidinyl)propyl]-10*H*-phenothiazine-2-carbonitrile





Reference(s):
FR 1 212 031 (Rhône-Poulenc; appl. 21.10.1957).

Formulation(s): drops 1 mg/drop; tabl. 5 mg, 10 mg, 25 mg

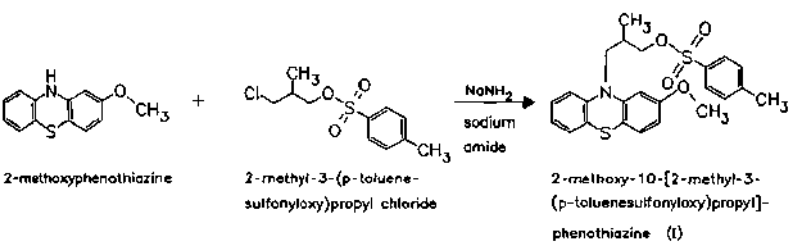
Trade Name(s):

D:	Aolept (Bayer); wfm	I:	Neuleptil (Rhône-Poulenc Rorer)
F:	Neuleptil (Specia)		
GB:	Neulactil (May & Baker); wfm	J:	Apamin (Yoshitomi) Neuleptil (Shionogi)

Perimetazine
(Perimethazin)

ATC: N05A
Use: neuroleptic

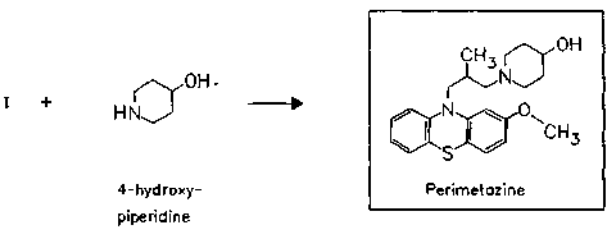
RN: 13093-88-4 MF: $C_{22}H_{28}N_2O_2S$ MW: 384.54 EINECS: 236-009-3
CN: 1-[3-(2-methoxy-10*H*-phenothiazin-10-yl)-2-methylpropyl]-4-piperidinol



2-methoxyphenothiazine

2-methyl-3-(p-toluene-sulfonyloxy)propyl chloride

2-methoxy-10-[2-methyl-3-(p-toluenesulfonyloxy)propyl]-phenothiazine (I)



Reference(s):
US 3 075 976 (Rhône-Poulenc; 29.1.1963; F-prior. 21.10.1957).

Trade Name(s):
F: Leptryl (Roger Bellon);
wfm

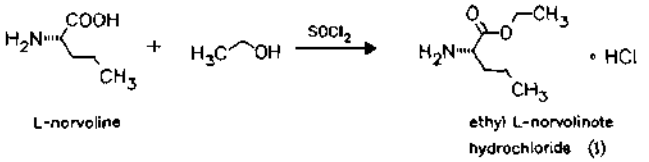
Perindopril

(S-9490; McN-A-2833; SED-9490 (as erbumine); DW-7950 (as erbumine))

ATC: C09AA04
Use: antihypertensive (ACE inhibitor), cardiotonic

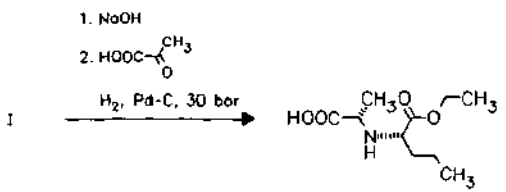
RN: 82834-16-0 MF: $C_{19}H_{32}N_2O_5$ MW: 368.47
CN: [2*S*-[1[*R**(*R**)],2α,3aβ,7aβ]]-1-[2-[[1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-1*H*-indole-2-carboxylic acid

erbumine (compd. with tert-butylamine 1:1)
RN: 107133-36-8 MF: $C_{19}H_{32}N_2O_5 \cdot C_4H_{11}N$ MW: 441.61

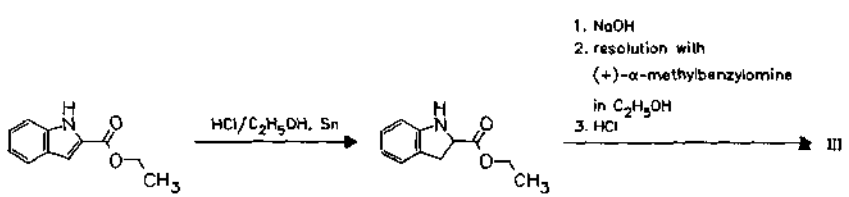


L-norvaline

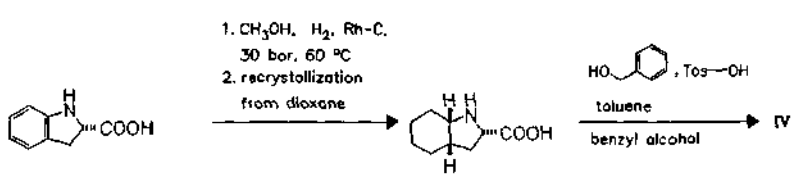
ethyl L-norvalinate hydrochloride (I)



N-[(S)-1-ethoxycarbonyl-butyl]-L-alanine (II)

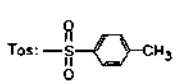


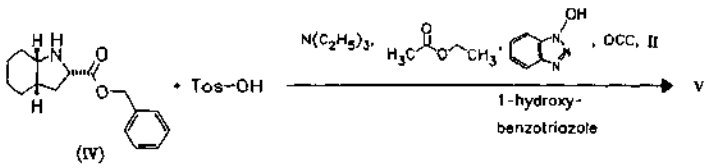
ethyl indole-2-carboxylate

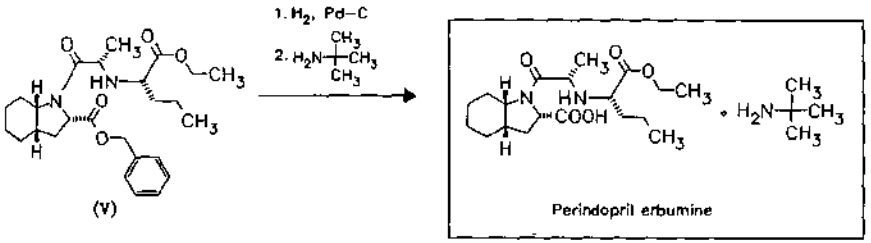


(S)-indoline-2-carboxylic acid (III)

(2S,3aS,7aS)-octahydroindole-2-carboxylic acid







Reference(s):
Vincent, M. et al.: Tetrahedron Lett. (TELEAY) **23**, 1677 (1982).
US 4 508 729 (ADIR).
EP 49 658 (ADIR; appl. 29.9.1981; F-prior. 2.10.1980, 7.4.1981).

industrial process:
US 4 914 214 (ADIR; 3.4.1990; F-prior. 17.9.1987).

Formulation(s): tabl. 2 mg, 4 mg, 8 mg

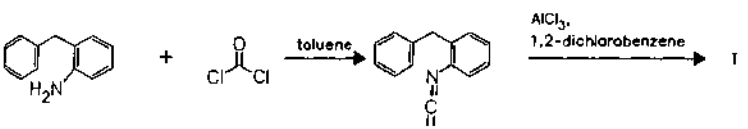
Trade Name(s):

D:	Coversum Cor (Servier)	I:	Coversyl (Servier)	USA:	Aceon (Rhône-Poulenc
F:	Coversyl (Servier)		Procaptan (Stroder)		Rorer)
GB:	Coversyl (Servier)				

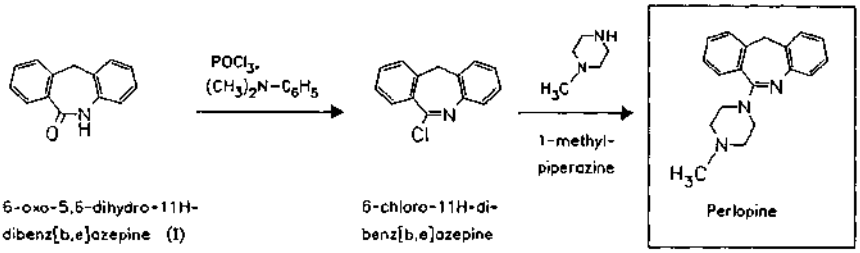
Perlapine

ATC: N05C
Use: hypnotic

RN: 1977-11-3 MF: $C_{19}H_{21}N_3$ MW: 291.40
LD_{50}: 61 mg/kg (M, i.v.); 270 mg/kg (M, p.o.);
60 mg/kg (R, i.v.); 660 mg/kg (R, p.o.)
CN: 6-(4-methyl-1-piperazinyl)-11*H*-dibenz[*b*,*e*]azepine



2-aminodiphenylmethane — phosgene — 2-isocyanatodiphenylmethane



6-oxo-5,6-dihydro-11H-dibenz[b,e]azepine (I)

6-chloro-11H-dibenz[b,e]azepine

Reference(s):
US 3 389 139 (Dr. A. Wander; 18.6.1968; prior. 10.6.1964; 2.6.1966).

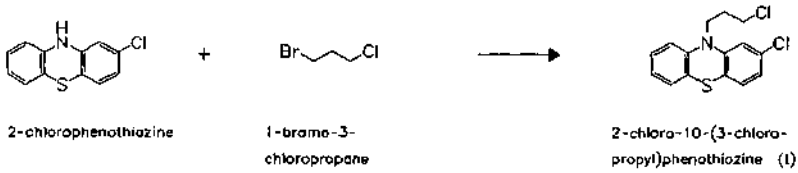
Formulation(s): tabl. 2.5 mg

Trade Name(s):
J: Hypnodin (Takeda)

Perphenazine

ATC: N05AB03
Use: neuroleptic, anti-emetic

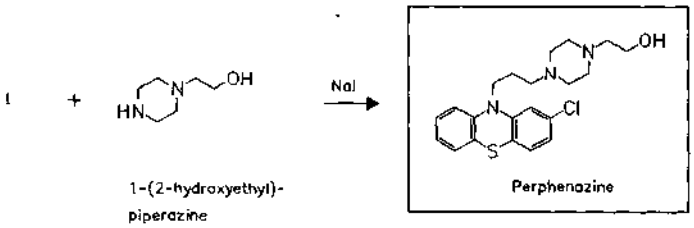
RN: 58-39-9 MF: $C_{21}H_{26}ClN_3OS$ MW: 403.98 EINECS: 200-381-5
LD_{50}: 19 mg/kg (M, i.v.); 120 mg/kg (M, p.o.);
34 mg/kg (R, i.v.); 318 mg/kg (R, p.o.);
51 mg/kg (dog, i.v.)
CN: 4-[3-(2-chloro-10*H*-phenothiazin-10-yl)propyl]-1-piperazineethanol



2-chlorophenothiazine

1-brome-3-chloropropane

2-chloro-10-(3-chloropropyl)phenothiozine (I)



1-(2-hydroxyethyl)-piperazine

Reference(s):
US 2 838 507 (Searle; 1958; appl. 1957; prior. 1955).

carbamate derivatives:
US 2 860 138 (Schering Corp.; 1958; appl. 1956).

acetate:
US 2 766 235 (J. W. Cusic; 1956; appl. 1956).

Formulation(s): amp. 76 mg/ml; drops 4 mg/ml; inj. flask 1000 mg; tabl. 2 mg, 4 mg, 8mg, 16 mg

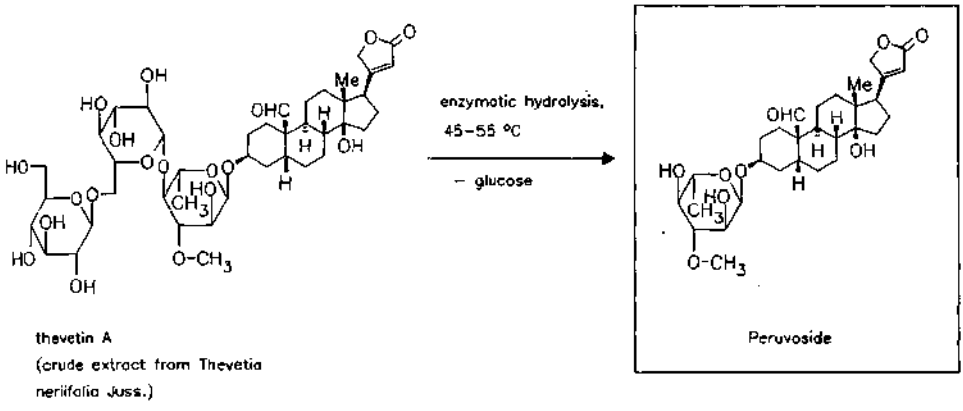
Trade Name(s):

D:	Decentan (Merck)	I:	Mutabon (Schering-Plough)	USA:	Etrafon (Schering)-comb. with amitryptiline
F:	Trilifan Retard (Schering-Plough)		Trilafon (Schering-Plough)		Triavil (Merck Sharp & Dohme)-comb. with amitryptiline
GB:	Fentazin (Goldshield)	J:	Trilafon (Schering-Shionogi)		Trilafon (Schering)
	Triptafen (Goldshield)-comb.		Triomin (Yamanouchi)		

Peruvoside

ATC: C01AX02
Use: cardiac glycoside

RN: 1182-87-2 MF: $C_{30}H_{44}O_9$ MW: 548.67 EINECS: 214-659-9
LD_{50}: 145 µg/kg (cat, i.v.)
CN: (3β,5β)-3-[(6-deoxy-3-*O*-methyl-α-L-glucopyranosyl)oxy]-14-hydroxy-19-oxocard-20(22)-enolide



thevetin A
(crude extract from Thevetia neriifolia Juss.)

Peruvoside

From the seeds of *Thevetia peruviana*.

Reference(s):
DE 1 959 039 (Merck Patent GmbH; appl. 25.11.1969).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 239.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **12**, 617.

starting material:
Bloch et al.: Helv. Chim. Acta (HCACAV) **43**, 652 (1960).

Formulation(s): drg. 0.3 mg; drops 0.3 mg/ml

Trade Name(s):

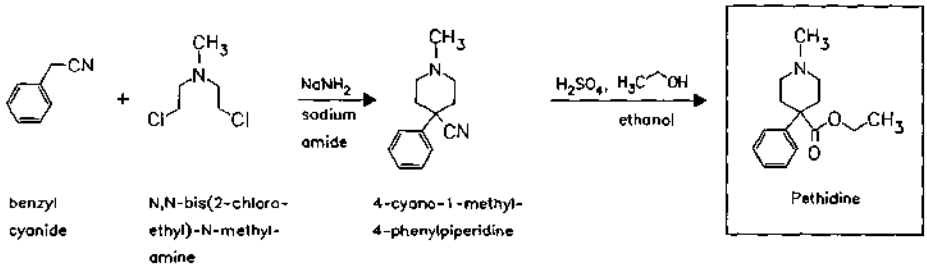
D:	Encordin (Merck); wfm	Nerial (Simes); wfm	Perusid (Malesci); wfm
I:	Largitor (Inverni della Beffa); wfm	Perusid (Dietopharma); wfm	

Pethidine
(Meperidine)

ATC: N02AB02
Use: analgesic, antispasmodic

RN: 57-42-1 MF: $C_{15}H_{21}NO_2$ MW: 247.34 EINECS: 200-329-1
LD_{50}: 34.7 mg/kg (M, i.v.); 200 mg/kg (M, p.o.);
22.5 mg/kg (R, i.v.); 162 mg/kg (R, p.o.)
CN: 1-methyl-4-phenyl-4-piperidinecarboxylic acid ethyl ester

hydrochloride
RN: 50-13-5 MF: $C_{15}H_{21}NO_2 \cdot HCl$ MW: 283.80 EINECS: 200-013-3
LD_{50}: 32 mg/kg (M, i.v.); 178 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 170 mg/kg (R, p.o.);
68 mg/kg (dog, i.v.)



benzyl cyanide

N,N-bis(2-chloro-ethyl)-N-methyl-amine

4-cyano-1-methyl-4-phenylpiperidine

Reference(s):
US 2 167 351 (Winthrop; 1939; D-prior. 1937).
DE 679 281 (I. G. Farben; appl. 1937).

Formulation(s): drops 50 mg/ml; suppos. 100 mg; syrup 50 mg/5 ml; tabl. 50 mg, 100 mg; vial 20 ml (100 mg/ml), 30 ml (50 mg/ml) (as hydrochloride)

Trade Name(s):

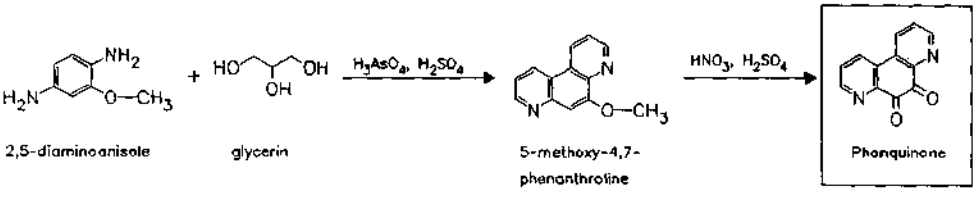
D:	Dolantin (Hoechst)	GB:	Pamergan P100 (Martindale)-comb.	USA:	Demerol (Sanofi) Mepergan (Wyeth-Ayerst)-comb.
F:	Dolosal (Specia)	J:	Neomochin (Sumitomo)		

Phanquinone

(Phanchinonum; Phanquone)

ATC: P01AX04
Use: amoebicide, bactericide

RN: 84-12-8 MF: $C_{12}H_6N_2O_2$ MW: 210.19 EINECS: 201-516-0
LD_{50}: 4 mg/kg (M, p.o.);
5 mg/kg (R, p.o.)
CN: 4,7-phenanthroline-5,6-dione



2,5-diaminoanisole

glycerin

5-methoxy-4,7-phenanthroline

Reference(s):
GB 688 802 (Ciba; appl. 1951; CH-prior. 1950).
Druey, J. et al.: Helv. Chim. Acta (HCACAV) 33, 1080 (1950).
Druey, J.: Angew. Chem. (ANCEAD) 72, 677 (1960).

Formulation(s): drg. 10 mg, 20 mg; drops 0.3 mg/ml

Trade Name(s):

D:	Mexaform plus/S (Ciba)-	comb.; wfm		Mexase (Ciba)-comb.; wfm	

F: Entobex (Ciba); wfm
Mexaform (Ciba)-comb.; wfm

I: Mexase (Ciba)-comb.; wfm
Entobex (Ciba); wfm
Mexase (Ciba)-comb.; wfm

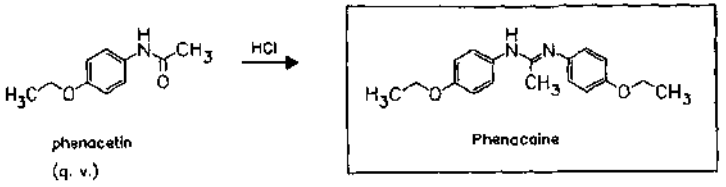
J: Mexaform forte (Ciba-Geigy-Takeda)-comb.

Phenacaine

(Fenacaine)

ATC: N01B
Use: local anesthetic

RN: 101-93-9 MF: $C_{18}H_{22}N_2O_2$ MW: 298.39
CN: *N,N'*-bis(4-ethoxyphenyl)ethanimidamide



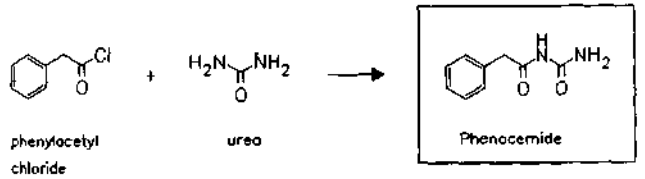
Reference(s):
DRP 79 868 (E. Täuber, appl. 1894); also further methods.

Trade Name(s):
USA: Holocaine (Lilly); wfm

Phenacemide

ATC: N03AX07
Use: antiepileptic

RN: 63-98-9 MF: $C_9H_{10}N_2O_2$ MW: 178.19 EINECS: 200-570-2
LD_{50}: 987 mg/kg (M, p.o.);
1600 mg/kg (R, p.o.)
CN: *N*-(aminocarbonyl)benzeneacetamide



Reference(s):
Spielman, M.A. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 4189 (1948).

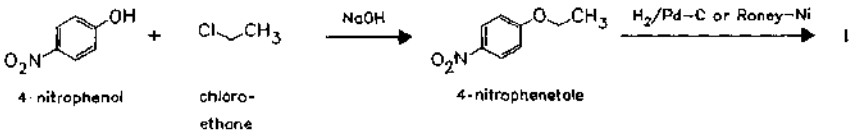
Formulation(s): tabl. 500 mg

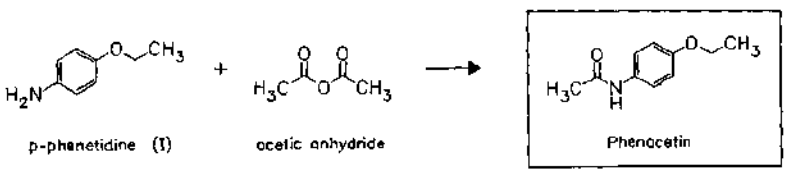
Trade Name(s):
F: Epiclase (Roger Bellon); wfm
USA: Phenurone (Abbott); wfm

Phenacetin
(Acetophenetidin)

ATC: N02BE03
Use: analgesic, antipyretic

RN: 62-44-2 MF: $C_{10}H_{13}NO_2$ MW: 179.22 EINECS: 200-533-0
LD_{50}: 866 mg/kg (M, p.o.);
3600 mg/kg (R, p.o.)
CN: *N*-(4-ethoxyphenyl)acetamide





Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **13**, 296.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **7**, 543.

Formulation(s): f. c. tabl. 50 mg

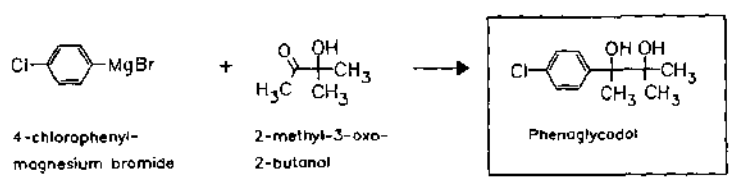
Trade Name(s):

D: numerous combination preparations; wfm
F: Polipirine (Lehning)
I: Cachets Lia (Arnaldi)-comb.; wfm
Ciclergot (ITA)-comb.; wfm
Neuroxin (Edmond)-comb.; wfm
Novamon (Farge)-comb.; wfm
Thomapirina N (Fher)-comb.; wfm
J: numerous generic preparations
USA: numerous combination preparations; wfm

Phenaglycodol

ATC: N05C
Use: psychosedative, tranquilizer

RN: 79-93-6 MF: $C_{11}H_{15}ClO_2$ MW: 214.69 EINECS: 201-235-3
LD_{50}: 254 mg/kg (M, i.v.); 514 mg/kg (M, p.o.);
832 mg/kg (R, p.o.)
CN: 2-(4-chlorophenyl)-3-methyl-2,3-butanediol



Reference(s):
US 2 812 363 (Eli Lilly; 1957; prior. 1953).
DE 1 038 024 (Eli Lilly; appl. 1956).

Trade Name(s):
I: Felixyn (Radiumfarma); USA: Ultran (Lilly); wfm
wfm

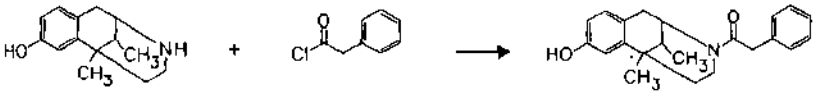
Phenazocine

ATC: N02AD02
Use: analgesic

RN: 127-35-5 MF: $C_{22}H_{27}NO$ MW: 321.46 EINECS: 204-835-3
LD_{50}: 20 mg/kg (M, i.v.);
90 mg/kg (R, p.o.)
CN: 1,2,3,4,5,6-hexahydro-6,11-dimethyl-3-(2-phenylethyl)-2,6-methano-3-benzazocin-8-ol

hydrobromide
RN: 1239-04-9 MF: $C_{22}H_{27}NO \cdot HBr$ MW: 402.38 EINECS: 214-982-5
LD_{50}: 11 mg/kg (M, i.v.)

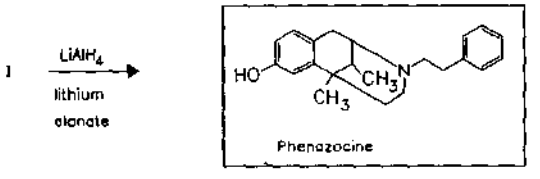
(a)



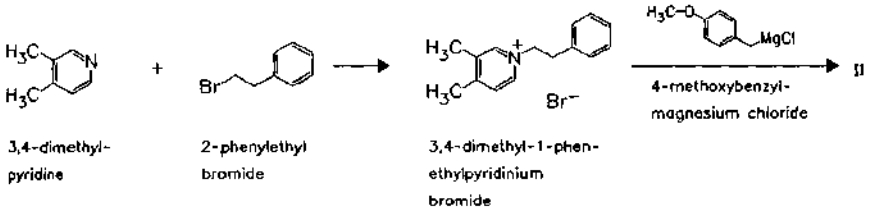
5,9-dimethyl-2'-hydroxybenzo-6-morphen (cf. pentazocine synthesis)

phenylacetyl chloride

5,9-dimethyl-2'-hydroxy-2-phenylacetylbenzo-6-morphen (I)



(b)



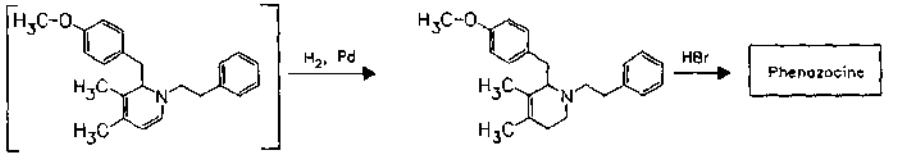
3,4-dimethylpyridine

2-phenylethyl bromide

3,4-dimethyl-1-phenethylpyridinium bromide

4-methoxybenzylmagnesium chloride

II



2-(4-methoxybenzyl)-3,4-dimethyl-1-phenethyl-1,2-dihydropyridine (II)

2-(4-methoxybenzyl)-3,4-dimethyl-1-phenethyl-1,2,5,6-tetrahydropyridine

Reference(s):
US 2 959 594 (Smith Kline & French; 8.11.1960; prior. 22.9.1958).
May, E.L. et al.: J. Org. Chem. (JOCEAH) **22**, 1366, 1369 (1957); **24**, 294, 1435 (1959); **25**, 984 (1960).

Formulation(s): tabl. 5 mg (as hydrobromide)

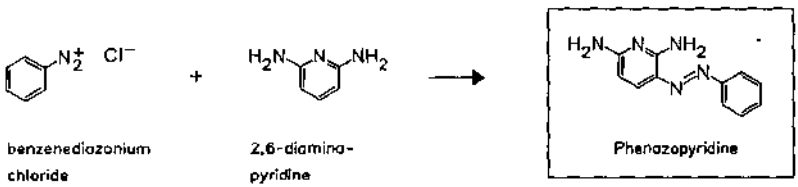
Trade Name(s):
GB: Narphen (Napp)
USA: Primadol (Smith Kline & French); wfm

Phenazopyridine

ATC: G04BX06
Use: chemotherapeutic, antiseptic

RN: 94-78-0 MF: $C_{11}H_{11}N_5$ MW: 213.24 EINECS: 202-363-2
LD_{50}: 580 mg/kg (M, p.o.)
CN: 3-(phenylazo)-2,6-pyridinediamine

monohydrochloride
RN: 136-40-3 MF: $C_{11}H_{11}N_5 \cdot HCl$ MW: 249.71 EINECS: 205-243-8
LD_{50}: 180 mg/kg (M, i.v.);
472 mg/kg (R, p.o.)



Reference(s):
DRP 515 781 (Boehringer; 1927).
US 1 680 108, US 1 680 109, US 1 680 110, US 1 680 111 (Pyridium Corp.; 1928).
Chichibabin, A.F.; Zeide, O.A.: Zh. Russ. Fiz.-Khim. O-va (ZRKOAC) **46**, 1216 (1914).
Shreve, R.N. et al.: J. Am. Chem. Soc. (JACSAT) **65**, 2241 (1943).

Formulation(s): cps. 50 mg (as hydrochloride) in comb.; f. c. tabl. 50 mg; tabl. 100 mg, 200 mg (as hydrochloride)

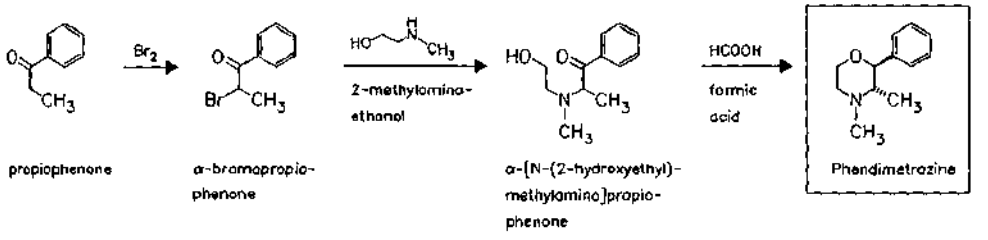
Trade Name(s):
D: Urospasmon (Heumann)-comb.
F: Azocline (Bristol)-comb.; wfm
Pyridium (Servier); wfm
GB: Pyridium (Parke Davis); wfm
Pyridium (Warner); wfm
Uromide (Consolidated)-comb.; wfm
J: Fenason (Kanto)
Uriseptin (Nissin)
Uropyridin (Eisai)
USA: Pyridium (Warner Chilcott)
Urobiotic (Pfizer)-comb.

Phendimetrazine

ATC: A08AA49
Use: appetite depressant, psychostimulant

RN: 634-03-7 MF: $C_{12}H_{17}NO$ MW: 191.27 EINECS: 211-204-6
CN: (2*S*-*trans*)-3,4-dimethyl-2-phenylmorpholine

hydrochloride
RN: 7635-51-0 MF: $C_{12}H_{17}NO \cdot HCl$ MW: 227.74 EINECS: 231-566-9
LD_{50}: 92 mg/kg (M, i.v.); 340 mg/kg (M, p.o.);
455 mg/kg (R, p.o.)
tartrate (1:1)
RN: 50-58-8 MF: $C_{12}H_{17}NO \cdot C_4H_6O_6$ MW: 341.36 EINECS: 200-051-0
LD_{50}: 210 mg/kg (M, i.p.)



Reference(s):
US 2 997 469 (Boehringer Ing.; 22.8.1961; D-prior. 13.3.1958).

pamoate:
FR 1 461 407 (Sobio; appl. 9.6.1965).

Formulation(s): cps. 105 mg; tabl. 35 mg (as tartrate)

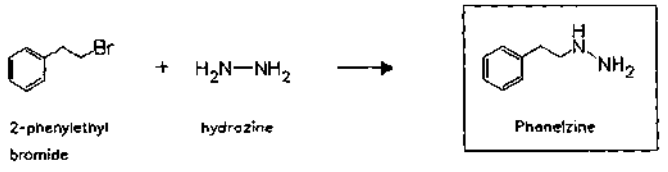
Trade Name(s):
F: Fringanor (Sobio); wfm
I: Plegine (Wyeth-Lederle)
USA: Bontril (Carnrick); Plegine (Wyeth-Ayerst); Prelu-2 (Roxane)

Phenelzine

ATC: N06AF03
Use: antidepressant, MAO-inhibitor

RN: 51-71-8 MF: $C_8H_{12}N_2$ MW: 136.20 EINECS: 200-117-9
LD_{50}: 130 mg/kg (M, p.o.)
CN: (2-phenylethyl)hydrazine

dihydrogen sulfate
RN: 156-51-4 MF: $C_8H_{12}N_2 \cdot H_2SO_4$ MW: 234.28 EINECS: 205-856-0
LD_{50}: 157 mg/kg (M, i.v.); 156 mg/kg (M, p.o.);
210 mg/kg (R, p.o.)
dihydrochloride
RN: 16904-30-6 MF: $C_8H_{12}N_2 \cdot 2HCl$ MW: 209.12
LD_{50}: 100 mg/kg (M, i.p.)



Reference(s):
US 3 000 903 (Lakeside Labs.; 19.9.1961; appl. 15.9.1959; prior. 23.8.1956).

Formulation(s): tabl. 15 mg (as dihydrogen sulfate)

Trade Name(s):

F:	Nardelzine (Substantia); wfm	GB:	Nardil (Parke Davis)	USA:	Nardil (Parke Davis)
		I:	Nardil (Vister); wfm		

Pheneticillin

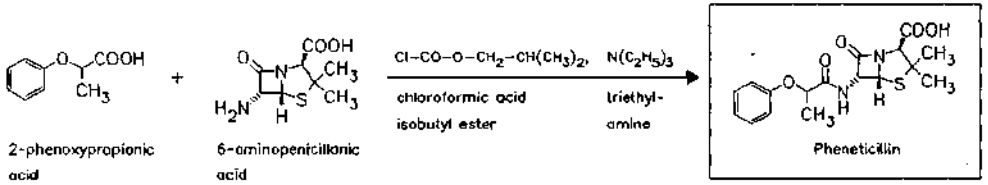
(Phenethicillin)

ATC: J01CE05
Use: antibiotic

RN: 147-55-7 MF: $C_{17}H_{20}N_2O_5S$ MW: 364.42 EINECS: 205-691-4
LD_{50}: 52.25 mg/kg (M, intracerebral)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(1-oxo-2-phenoxypropyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt

RN: 132-93-4 MF: $C_{17}H_{19}KN_2O_5S$ MW: 402.51 EINECS: 205-084-4
LD_{50}: 312 mg/kg (M, i.v.); >2 g/kg (M, p.o.); >103 mg/kg (dog, i.v.)



Reference(s):
GB 877 120 (Beecham; appl. 10.5.1960; USA-prior. 25.5.1959, 22.10.1959).
GB 958 478 (Beecham; appl. 28.2.1963; USA-prior. 13.3.1962).
GB 899 199 (Pfizer; appl. 7.1.1960; USA-prior. 28.9.1959).
GB 904 576 (Bayer; appl. 24.11.1960; D-prior. 4.12.1959).
DE 1 143 817 (Beecham; appl. 25.5.1960; USA-prior. 25.5.1959).
DE 1 159 449 (Grünenthal; appl. 22.3.1961).
DE 1 159 454 (Pfizer; appl. 18.3.1961; USA-prior. 24.6.1960).

Formulation(s): tabl. 135 mg (as monopotassium salt)

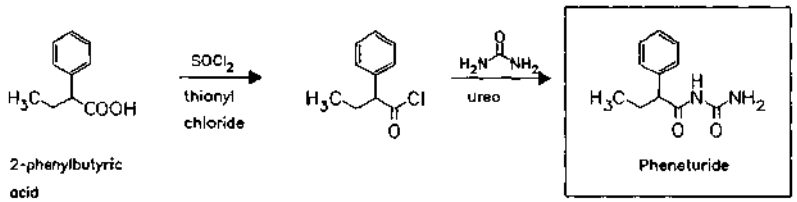
Trade Name(s):

D:	Palliopen (Merck)-comb.; wfm	I:	Altocillin (Caber); wfm	J:	Maxipen (Taito Pfizer)
	Pen-200 (Pfizer); wfm		Metilpen (Boniscontro & Gazzone); wfm		Syncillin (Banyu)
F:	Péniplus (Fumouze); wfm		Penicilloral (Terapeutico M.R.); wfm		Synthepen (Meiji)
	Synthécilline (Bristol); wfm		Penorale (Lusofarmaco); wfm	USA:	Maxipen (Pfizer); wfm
GB:	Broxil (Beecham); wfm				Syncillin (Bristol); wfm

Pheneturide

ATC: N03AX13
Use: antiepileptic

RN: 90-49-3 MF: $C_{11}H_{14}N_2O_2$ MW: 206.25 EINECS: 201-998-2
LD_{50}: 910 mg/kg (M, p.o.); >2063 mg/kg (R, p.o.)
CN: *N*-(aminocarbonyl)-α-ethylbenzeneacetamide



Reference(s):
DRP 249 241 (Bayer; 1910).
Kushner, S. et al.: J. Org. Chem. (JOCEAH) **16**, 1283 (1951).

optical active isomers:
CH 374 644 (Lab. Sapos; appl. 30.10.1958).

Trade Name(s):

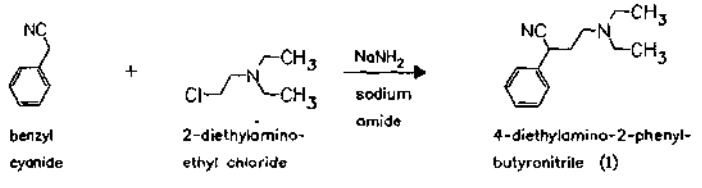
F:	Trinuride (Robert et Carrière)-comb.; wfm	I:	Lircapil (Lirca)-comb.; wfm	generic
GB:	Benuride (Bengue); wfm	J:	Sepotence Pulv. (Kanto)	

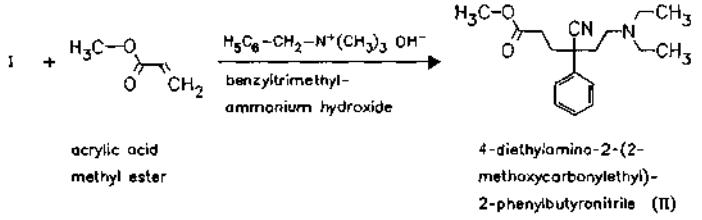
Phenglutarimide

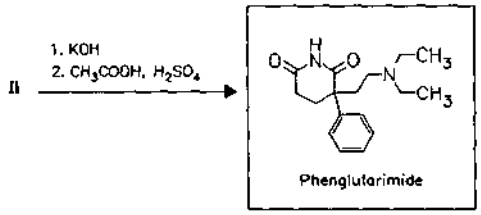
ATC: N04AA09
Use: antiparkinsonian

RN: 1156-05-4 MF: $C_{17}H_{24}N_2O_2$ MW: 288.39 EINECS: 214-587-8
LD_{50}: 1200 mg/kg (M, p.o.)
CN: 3-[2-(diethylamino)ethyl]-3-phenyl-2,6-piperidinedione

monohydrochloride
RN: 1674-96-0 MF: $C_{17}H_{24}N_2O_2 \cdot HCl$ MW: 324.85 EINECS: 216-819-3
LD_{50}: 1200 mg/kg (M, p.o.)







Reference(s):
US 2 664 424 (Ciba; 1953; CH-prior. 1950).

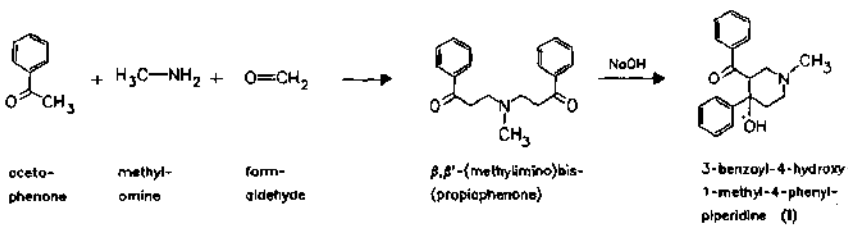
Trade Name(s):
D: Aturbal (Ciba); wfm GB: Aturbane (Ciba); wfm

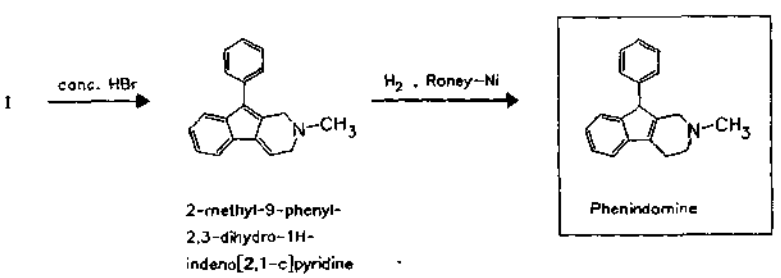
Phenindamine

ATC: R06AX04
Use: antiallergic, antihistaminic

RN: 82-88-2 MF: $C_{19}H_{19}N$ MW: 261.37 EINECS: 201-443-4
LD_{50}: 265 mg/kg (M, p.o.)
CN: 2,3,4,9-tetrahydro-2-methyl-9-phenyl-1*H*-indeno[2,1-*c*]pyridine

tartrate (1:1)
RN: 569-59-5 MF: $C_{19}H_{19}N \cdot C_4H_6O_6$ MW: 411.45 EINECS: 209-320-7
LD_{50}: 18 mg/kg (M, i.v.); 265 mg/kg (M, p.o.);
280 mg/kg (R, p.o.)





Reference(s):
US 2 470 108 (Roche; 1949; appl. 1947).

Formulation(s): tabl. 25 mg (as tartrate)

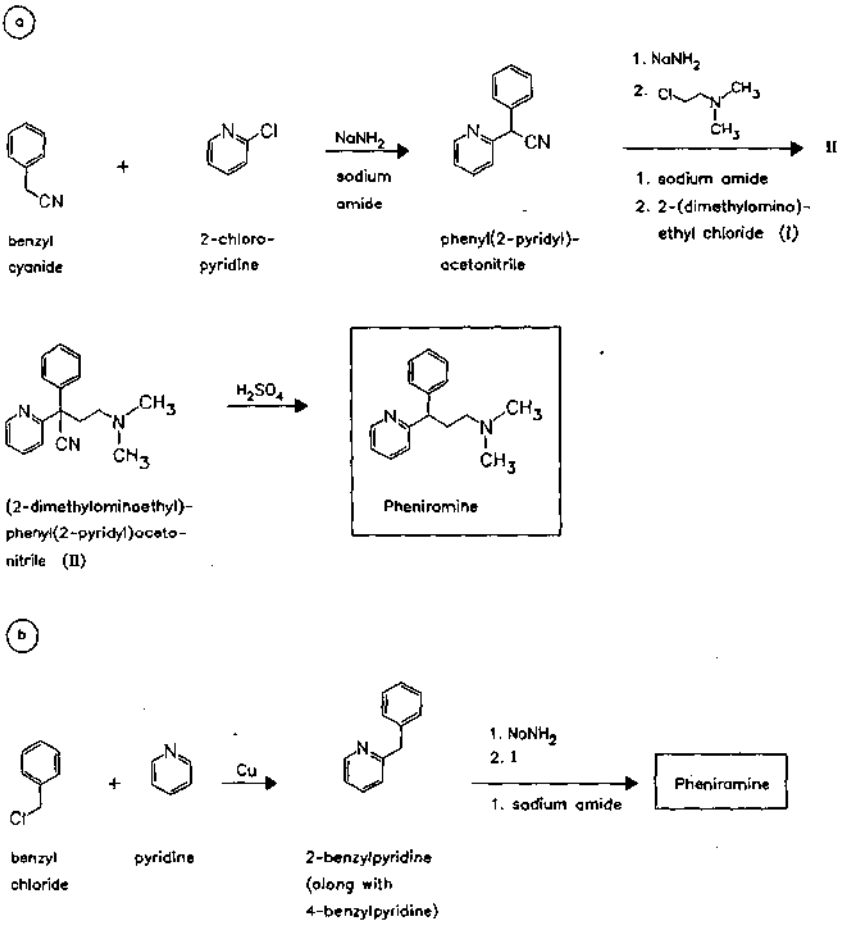
Trade Name(s):
D: Fluprim (Roche)-comb.; wfm GB: Thephorin (Sinclair) USA: Nolahist (Carnrick) Nolamine (Carnrick)

Pheniramine

ATC: R06AB05
Use: antihistaminic

RN: 86-21-5 MF: $C_{16}H_{20}N_2$ MW: 240.35 EINECS: 201-656-2
LD_{50}: 48 mg/kg (M, i.v.)
CN: *N,N*-dimethyl-γ-phenyl-2-pyridinepropanamine

maleate (1:1)
RN: 132-20-7 MF: $C_{16}H_{20}N_2 \cdot C_4H_4O_4$ MW: 356.42 EINECS: 205-051-4
LD_{50}: 268 mg/kg (M, p.o.);
520 mg/kg (R, p.o.)
***p*-aminosalicylate (1:1)**
RN: 3269-83-8 MF: $C_{16}H_{20}N_2 \cdot C_7H_7NO_3$ MW: 393.49 EINECS: 221-888-8
LD_{50}: 48 mg/kg (M, i.v.)



Reference(s):
US 2 567 245 (Schering Corp.; 1951; prior. 1948).
US 2 676 964 (Schering Corp.; 1954; prior. 1950).
DE 830 193 (Farbw. Hoechst; appl. 1948).
DE 832 153 (Farbw. Hoechst; appl. 1948).

Formulation(s): drg. 75 mg (as maleate)

Trade Name(s):

D:	Avil (Albert-Roussel, Hoechst)		Rhinosovil (Eu Rho Arznei)-comb. with naphazoline nitrate	GB:	Daneral (Hoechst; as maleate)
	Konjunktival Thilo Augentropfen (Alcon)-comb. with naphazoline hydrochloride	F:	Fervex Oberlin (Oberlin)-comb.	I:	Inhiston (Biomedica Foscama)
			Triaminic (Novartis)-comb.		Senodin-An (Bristol-Myers Squibb)-comb.

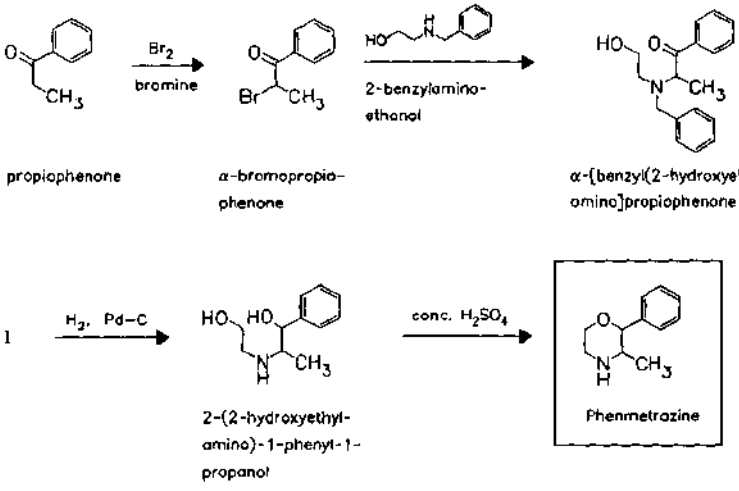
Tetramil (Farmigea)-comb. Triaminic (Novartis)-comb.
J: Chlor-Trimeton (Schering)
USA: Naphcon A (Alcon)-comb. Poly-Histine D (Sanofi)-comb.
Triaminic (Novartis Consumer)-comb.

Phenmetrazine
(Oxazimedrine)

ATC: N06B
Use: psychostimulant

RN: 134-49-6 MF: $C_{11}H_{15}NO$ MW: 177.25 EINECS: 205-143-4
LD_{50}: 125 mg/kg (M, p.o.);
370 mg/kg (R, p.o.)
CN: 3-methyl-2-phenylmorpholine

hydrochloride
RN: 1707-14-8 MF: $C_{11}H_{15}NO \cdot HCl$ MW: 213.71 EINECS: 216-950-6
LD_{50}: 71 mg/kg (M, i.v.); 165 mg/kg (M, p.o.);
165 mg/kg (R, p.o.)



Reference(s):
US 2 835 669 (Boehringer Ing.; 1958; D-prior. 1952).

Formulation(s): drg. 30 mg

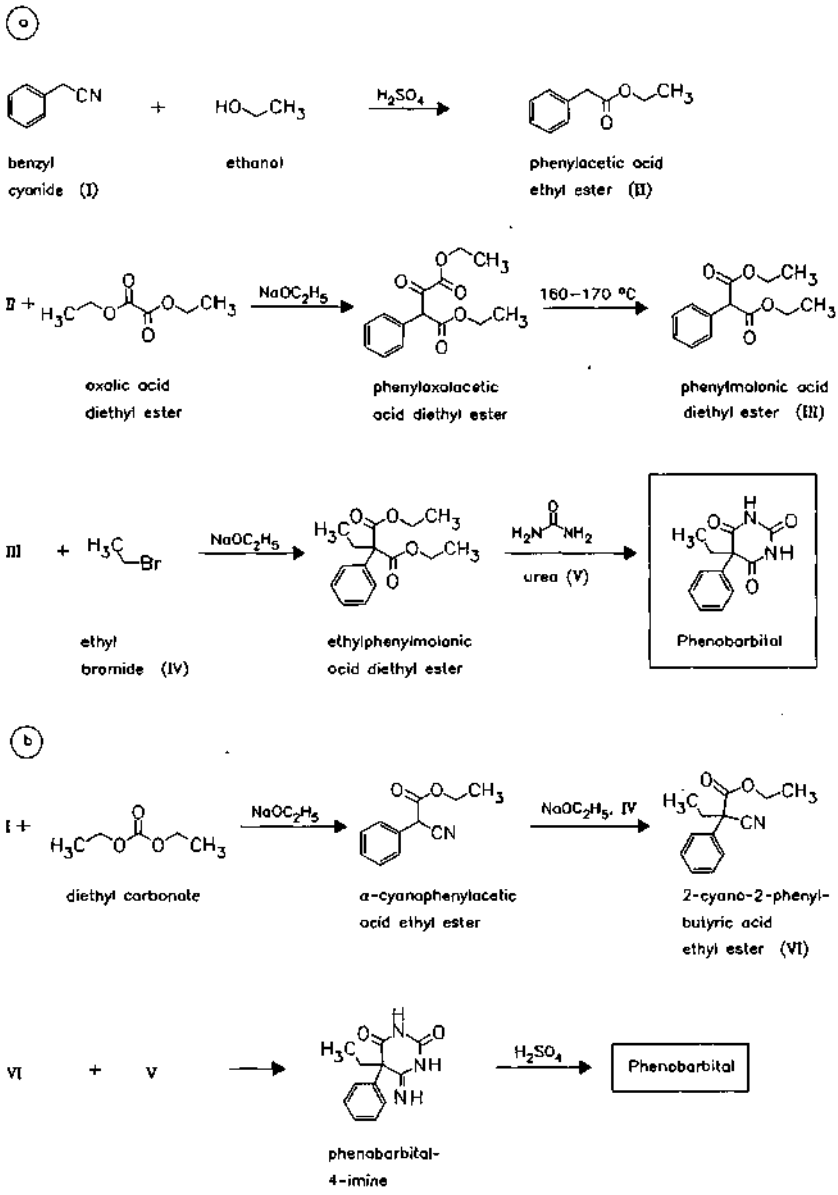
Trade Name(s):
D: Cafilon (Ravensberg)-comb.; wfm
F: Cafilon (Merck-Clévenot)-comb.; wfm
J: Cafilon (Yamanouchi)-comb.
USA: Preludin (Boehringer Ing.); wfm

Phenobarbital
(Phenemalum; Phenobarbitone)

ATC: N03AA02
Use: hypnotic, sedative, anticonvulsant

RN: 50-06-6 MF: $C_{12}H_{12}N_2O_3$ MW: 232.24 EINECS: 200-007-0
LD_{50}: 218 mg/kg (M, i.v.); 137 mg/kg (M, p.o.);
209 mg/kg (R, i.v.); 162 mg/kg (R, p.o.);
150 mg/kg (dog, p.o.)
CN: 5-ethyl-5-phenyl-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt
RN: 57-30-7 MF: $C_{12}H_{11}N_2NaO_3$ MW: 254.22 EINECS: 200-322-3
LD_{50}: 226 mg/kg (M, i.v.); 200 mg/kg (M, p.o.);
83 mg/kg (R, i.v.); 150 mg/kg (R, p.o.)
calcium salt
RN: 7645-06-9 MF: $C_{12}H_{12}N_2O_3 \cdot xCa$ MW: unspecified EINECS: 231-583-1
magnesium salt
RN: 7645-05-8 MF: $C_{12}H_{12}N_2O_3 \cdot xMg$ MW: unspecified



Reference(s):
DRP 247 952 (Bayer; 1911).
US 2 358 072 (Kay-Fries; 1944; appl. 1941).

Formulation(s): amp. 200 mg/ml (as monosodium salt); tabl. 15 mg, 100 mg

Trade Name(s):

D: Lepinal (ASTA Medica AWD)
Lepinaletten (ASTA Medica AWD)
Luminal (Desitin)
Luminaletten (Desitin)
Maliasin (Knoll; as salt with propylhexedrine (=barbexaclonum))
Phenaemal (Desitin)
Phenaemaletten (Desitin)

F: Aparoxal (Veyron et Froment)
Gardénal (Specia)

GB: Cantil with phenobarbitone (M.C.P.)-comb.
Franol (Winthrop)-comb.
Gardenal (May & Baker)
Luminal (Winthrop)
Parabal (Sinclair)
Phenomet (Woodward)

I: Bellergil (Novartis)-comb.
Comizial (Ogna)
Gardenale (Rhône-Poulenc Rorer)
Luminale Bracco (Bracco)
Luminalette (Bracco)
Neurobiol (Teofarma)-comb.
Teofilcolina Sedativo (Salfa)-comb.
numerous combination preparations

J: Linasen (Daiichi)
Phenobal (Fujinaga-Sankyo)

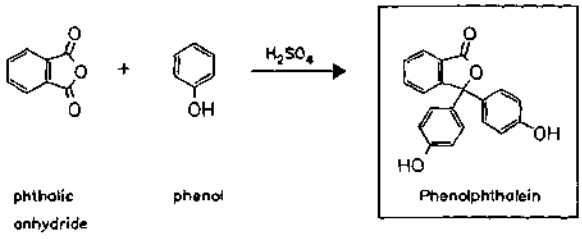
USA: Arco-Lase Plus (Arco)-comb.
Bellatal (Richwood)-comb.
Donnatal (Robins)-comb.
Quadrinal (Knoll)-comb.
further combination preparations

Phenolphthalein

(Dihydroxyphthalophenone; Fenolftalein)

ATC: A06AB04
Use: laxative

RN: 77-09-8 MF: $C_{20}H_{14}O_4$ MW: 318.33 EINECS: 201-004-7
CN: 3,3-bis(4-hydroxyphenyl)-1(3*H*)-isobenzofuranone



Reference(s):
Baeyer, A. v.: Justus Liebigs Ann. Chem. (JLACBF) **202**, 68 (1880).

Formulation(s): drg. 30 mg; emulsion 1.3 g/100 g

Trade Name(s):

D: Agarol (Warner-Lambert)-comb.
Darmol (Omegin)
Vencipon (Artesan)-comb.

F: Boldolaxine (Charpentier)-comb.; wfm
Pluribiase (Bouchara)-comb.; wfm
Purganol Daguin (Saunier-Daguin); wfm

GB: Agarol (W. R. Warner)-comb.; wfm
Alophen (Parke Davis)-comb.; wfm
Kest (Berk)-comb.; wfm
Veracolate (W. R. Warner)-comb.; wfm

I: Agarbil (Ottolenghi)-comb.; wfm
Agarol (Parke Davis)-comb.; wfm
Amaro lassat. Giuliani (Giuliani)-comb.; wfm
Bilagar (Schiapparelli Farm.)-comb.; wfm
Bom-bon (Montefarmaco)-comb.; wfm
Cofetto Falqui (Falqui); wfm
Crisolax (Lifepharma); wfm
Emulsione lass. Fama (Fama)-comb.; wfm
Enteroton lass. (Panthox & Burck)-comb.; wfm
Euchessina (Antonetto)-comb.; wfm
Flambax emuls. (AGIPS)-comb.; wfm
Fructine Vichy (Lirca)-comb.; wfm
Lilo 40 cioccolatini (Giuliani)-comb.; wfm
Neopurges (IFCI)-comb.; wfm
Ormobol (Ciba)-comb.; wfm
Purgante Falqui (Falqui)-comb.; wfm

Purgestol (Zoja)-comb.; wfm	J:	Eval (Nippon Shinyaku)-comb.	Evac-Q-Kit (Savage)-comb.
Reolina (IFI)-comb.; wfm		Laxatol (Shionogi)-comb.	Modane (Savage)
Ricinagar (Ottolenghi)-comb.; wfm	USA:	Agoral (Warner-Lambert)-comb.	

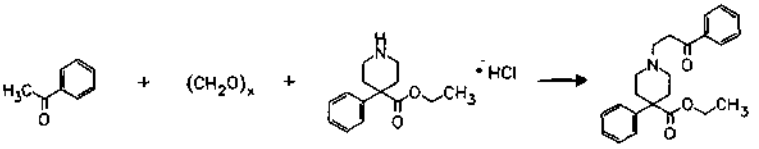
Phenoperidine

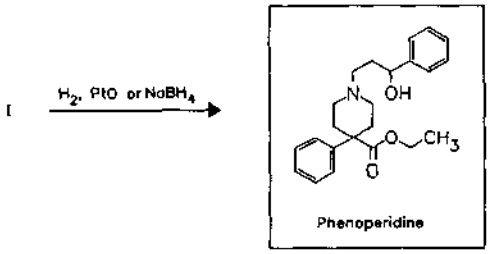
(Fenoperidine)

ATC: N01AH04
Use: analgesic

RN: 562-26-5 MF: $C_{23}H_{29}NO_3$ MW: 367.49 EINECS: 209-229-2
CN: 1-(3-hydroxy-3-phenylpropyl)-4-phenyl-4-piperidinecarboxylic acid ethyl ester

hydrochloride
RN: 3627-49-4 MF: $C_{23}H_{29}NO_3 \cdot HCl$ MW: 403.95 EINECS: 222-846-1
LD_{50}: 64 mg/kg (M, i.p.)





Reference(s):
US 2 951 080 (Eli Lilly; 30.8.1960; prior. 5.8.1957).
US 2 962 501 (Merck & Co.; 29.11.1960; prior. 19.9.1956).

Formulation(s): amp. 10 mg/10 ml, 2 mg/2 ml

Trade Name(s):

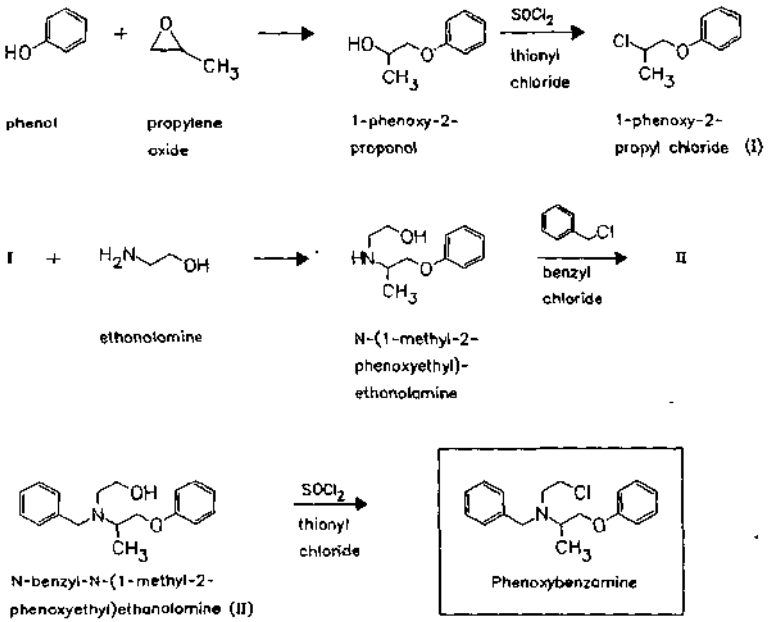
F:	R. 1406 (Janssen); wfm	R. 1406 (LeBrun); wfm	GB:	Operidine (Janssen); wfm	

Phenoxybenzamine

ATC: C04AX02
Use: vasodilator, antihypertensive

RN: 59-96-1 MF: $C_{18}H_{22}ClNO$ MW: 303.83 EINECS: 200-446-8
LD_{50}: 1535 mg/kg (M, p.o.);
2500 mg/kg (R, p.o.)
CN: *N*-(2-chloroethyl)-*N*-(1-methyl-2-phenoxyethyl)benzenemethanamine

hydrochloride
RN: 63-92-3 MF: $C_{18}H_{22}ClNO \cdot HCl$ MW: 340.29 EINECS: 200-569-7
LD_{50}: 63.75 mg/kg (M, i.v.); 900 mg/kg (M, p.o.)



Reference(s):
US 2 599 000 (Smith Kline & French; 1952; prior. 1950).

Formulation(s): cps. 1 mg, 5 mg, 10 mg (as hydrochloride)

Trade Name(s):

D:	Dibenzyran (Procter & Gamble)	GB:	Dibenyline (Smith Kline & French); wfm	USA:	Dibenzyline (SmithKline Beecham)

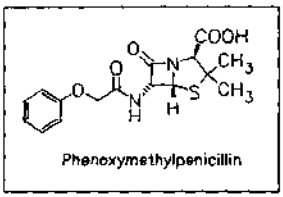
Phenoxymethylpenicillin

(Penicillin V)

ATC: J01CE02
Use: antibiotic

RN: 87-08-1 MF: $C_{16}H_{18}N_2O_5S$ MW: 350.40 EINECS: 201-722-0
LD_{50}: 6.578 g/kg (M, p.o.);
>1.775 g/kg (R, i.v.); >2.22 g/kg (R, p.o.)
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(phenoxyacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt
RN: 132-98-9 MF: $C_{16}H_{17}KN_2O_5S$ MW: 388.49 EINECS: 205-086-5
LD_{50}: 1 g/kg (M, i.v.); >4 g/kg (M, p.o.);
>1.04 g/kg (R, p.o.)
calcium salt (2:1)
RN: 147-48-8 MF: $C_{32}H_{34}CaN_4O_{10}S_2$ MW: 738.85 EINECS: 205-689-3



Phenoxymethylpenicillin

From fermentation solutions of *Penicillium notatum* Westling or *Penicillium chrysogenum* Thom by addition of phenoxyacetic acid as precursor substance.

Reference(s):
US 2 479 295 (Lilly; 1949; prior. 1946).
US 2 479 296 (Lilly; 1949; prior. 1946).
US 2 562 410 (Lilly; 1951; prior. 1946).
US 2 941 995 (Beecham; 1960; GB-prior. 1957).

partial synthesis:
US 3 159 617 (A. D. Little; 1.12.1964; prior. 1.3.1957, 1.5.1959).

Formulation(s): f. c. tabl. 1000000 iu 1500000 iu; susp. 300000 iu/5 ml; syrup 250000 iu, 500000 iu; tabl. 664 mg (as potassium salt)

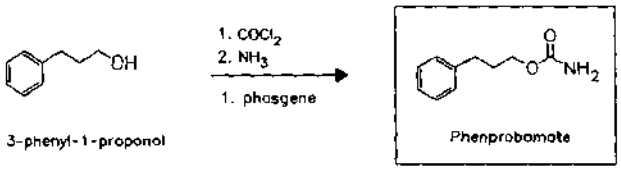
Trade Name(s):

D:	Arcasin (Engelhard)	F:	Oracilline (Schwarz)		Penagen (Genethic); wfm
	Isocillin (Hoechst)		Ospen (Novartis)		Stabilin V-K (Boots); wfm
	Ispenoral (Rosen Pharma)	GB:	Apsin VK (A.P.S.); wfm		Ticillin V-K (Ticen); wfm
	Megacillin oral (Grünenthal)		Bicillin (Yamanouchi)-comb.		V-Cil-K (Lilly); wfm
	P-Mega-Tablinen (Sanorania)		Crystapen V (Britannia)	I:	Fenospen (Pharmacia & Upjohn)
	V-Tablopen (ASTA Medica AWD)		Distaquaine V-K (Dista); wfm	J:	Newcillin (Takeda)
	numerous generics		Econopen V (Berk); wfm	USA:	Pen Vee (Wyeth-Ayerst)
			Icipen (ICI); wfm		Pfizerpen (Pfizer)

Phenprobamate

ATC: M03BA01
Use: muscle relaxant, tranquilizer

RN: 673-31-4 MF: $C_{10}H_{13}NO_2$ MW: 179.22 EINECS: 211-606-1
LD_{50}: 320 mg/kg (M, i.v.); 840 mg/kg (M, p.o.); 1110 mg/kg (R, p.o.)
CN: benzenepropanol carbamate



3-phenyl-1-proponol
Phenprobamate

Reference(s):
GB 837 718 (Siegfried AG; appl. 6.5.1958; D-prior. 9.5.1957).

Formulation(s): tabl. 200 mg

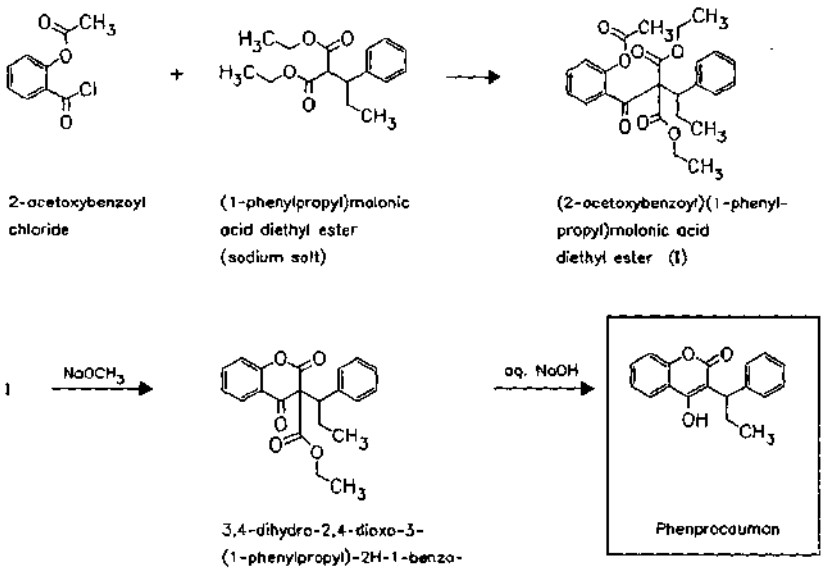
Trade Name(s):

D:	Dolo Prolixan (Siegfried)-comb.; wfm	J:	Actiphan (Teisan)	Spalpane (Sawai)
	Gamaquil (Siegfried); wfm		Ansepron (Fuso)	Spantol (Nippon Chemiphar)
F:	Diaflexol (Paillusseau)-comb.; wfm		Neurosedan (Sato)	Tatartan (Nissin)
			Paraquick (Ohta)	
			Phencol (Towa)	

Phenprocoumon

ATC: B01AA04
Use: anticoagulant

RN: 435-97-2 MF: $C_{18}H_{16}O_3$ MW: 280.32 EINECS: 207-108-9
LD_{50}: 32 mg/kg (M, i.v.); 190 mg/kg (M, p.o.); 200 mg/kg (R, p.o.)
CN: 4-hydroxy-3-(1-phenylpropyl)-2*H*-1-benzopyran-2-one



Reference(s):
US 2 701 804 (Hoffmann-La Roche; 1955; CH-prior. 1952).

alternative synthesis:
US 2 872 457 (Wisconsin Alumni Research; 1959; appl. 1956).

resolution of racemate:
US 3 239 529 (Wisconsin Alumni Research; 8.3.1966; appl. 1.3.1962).

Formulation(s): f. c. tabl. 3 mg; tabl. 3 mg

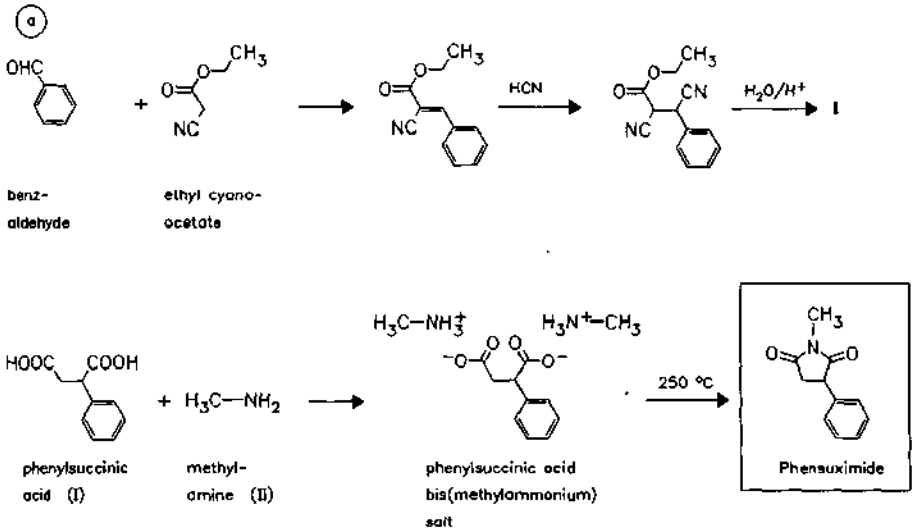
Trade Name(s):

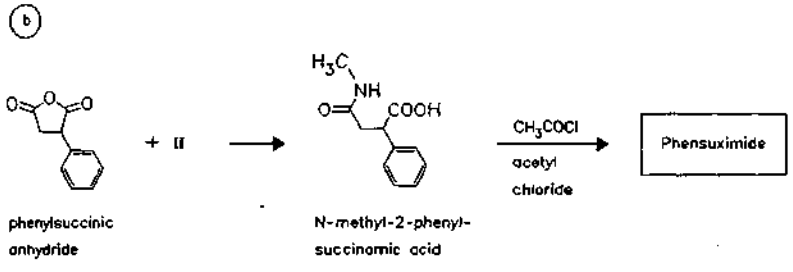
D:	Falithrom (Hexal)		Marcumar (Roche)	USA:	Liquamar (Organon); wfm

Phensuximide

ATC: N03AD02
Use: antiepileptic

RN: 86-34-0 MF: $C_{11}H_{11}NO_2$ MW: 189.21 EINECS: 201-664-6
LD_{50}: 700 mg/kg (M, p.o.)
CN: 1-methyl-3-phenyl-2,5-pyrrolidinedione





Reference(s):
US 2 643 258 (Parke Davis; 1953; prior. 1950).
Miller, C.A.; Long, L.M.: J. Am. Chem. Soc. (JACSAT) **73**, 4895 (1951); **75**, 373 (1953).

Formulation(s): cps. 0.5 g

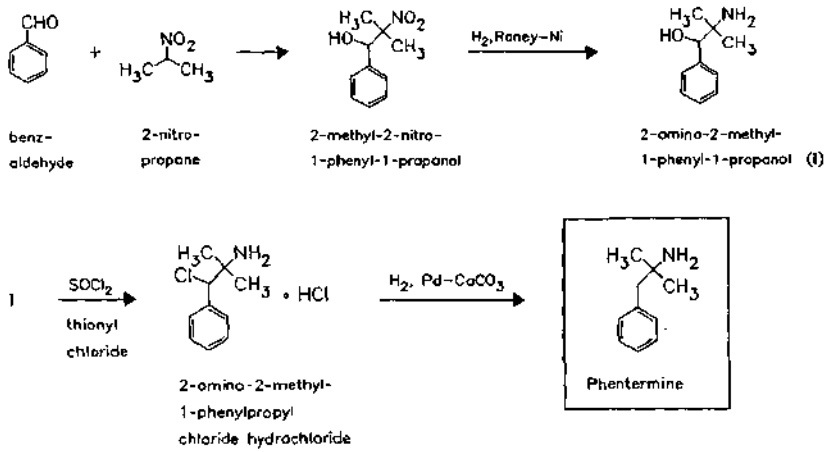
Trade Name(s):
F: Liféne (Débat); wfm
GB: Milontin (Parke Davis); wfm
USA: Milontin (Parke Davis); wfm

Phentermine

ATC: A08AA01
Use: appetite depressant

RN: 122-09-8 MF: $C_{10}H_{15}N$ MW: 149.24 EINECS: 204-522-1
LD_{50}: 14 mg/kg (M, i.v.); 105 mg/kg (M, p.o.)
CN: α,α-dimethylbenzeneethanamine

hydrochloride
RN: 1197-21-3 MF: $C_{10}H_{15}N \cdot HCl$ MW: 185.70 EINECS: 214-821-9
LD_{50}: 154 mg/kg (M, p.o.);
188 mg/kg (R, p.o.)



Reference(s):
US 2 408 345 (Merrell; 1946; prior. 1942).

alternative syntheses:
US 2 590 079 (Wyeth; 1952; appl. 1947).

Formulation(s): cps. 30 mg; tabl. 30 mg (as hydrochloride)

Trade Name(s):

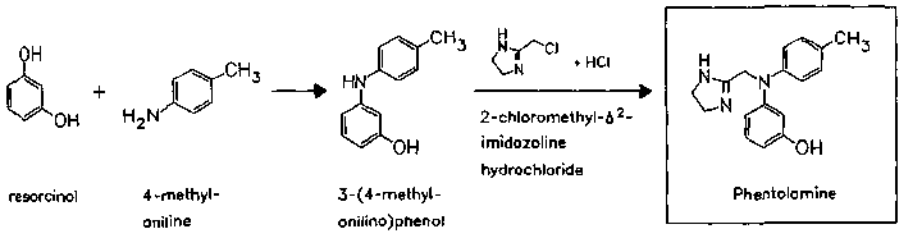
D:	Netto Longcaps (Neda); wfm	I:	Ionamin (Torbet)	Banobese (Seatrace)
			Lipopill (Roussel-Maestretti); wfm	Fastin (SmithKline Beecham)
F:	Linyl (Roussel); wfm		Mirapront (Bracco); wfm	Oby-Cap (Richwood)
GB:	Duromine (3M Health Care)	USA:	Adipex-P (Gate)	Zantryl (Ion)

Phentolamine

ATC: C04AB01
Use: sympatholytic, antihypertensive, peripheral vasodilator

RN: 50-60-2 MF: $C_{17}H_{19}N_3O$ MW: 281.36 EINECS: 200-053-1
LD_{50}: 35 mg/kg (M, i.v.); 1 g/kg (M, p.o.)
CN: 3-[[(4,5-dihydro-1*H*-imidazol-2-yl)methyl](4-methylphenyl)amino]phenol

monohydrochloride
RN: 73-05-2 MF: $C_{17}H_{19}N_3O \cdot HCl$ MW: 317.82 EINECS: 200-793-5
LD_{50}: 75 mg/kg (R, i.v.); 1250 mg/kg (R, p.o.)
monomesylate
RN: 65-28-1 MF: $C_{17}H_{19}N_3O \cdot CH_4O_3S$ MW: 377.47 EINECS: 200-604-6
LD_{50}: 75 mg/kg (M, i.v.)



Reference(s):
US 2 503 059 (Ciba; 1950; CH-prior. 1947).
Urech, E. et al.: Helv. Chim. Acta (HCACAV) **33**, 1386 (1950).

Formulation(s): vial 5 mg (as mesylate)

Trade Name(s):

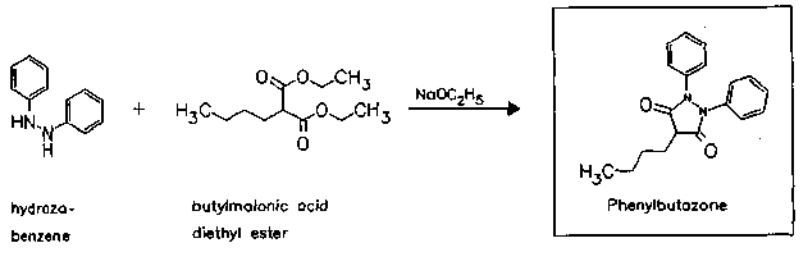
D:	Regitin (Ciba); wfm	J:	Regitin (Ciba-Geigy-Takeda)
GB:	Rogitine (Novartis)		
I:	Regitine (Ciba); wfm	USA:	Regitine (Novartis)

Phenylbutazone

ATC: M01AA01; M02AA01
Use: anti-inflammatory

RN: 50-33-9 MF: $C_{19}H_{20}N_2O_2$ MW: 308.38 EINECS: 200-029-0
LD_{50}: 90 mg/kg (M, i.v.); 238 mg/kg (M, p.o.);
100 mg/kg (R, i.v.); 245 mg/kg (R, p.o.);
121 mg/kg (dog, i.v.); 332 mg/kg (dog, p.o.)
CN: 4-butyl-1,2-diphenyl-3,5-pyrazolidinedione

sodium salt
RN: 129-18-0 MF: $C_{19}H_{18}N_2NaO_2$ MW: 329.36 EINECS: 204-935-7
LD_{50}: 94 mg/kg (M, i.v.); 476 mg/kg (M, p.o.);
113 mg/kg (R, i.v.); 855 mg/kg (R, p.o.)



Reference(s):
US 2 562 830 (Geigy; 1951; CH-prior. 1948).

salt with procaine:
DAS 2 055 853 (Dr. Voigt; appl. 13.11.1970).

Formulation(s): amp. 400 mg/2 ml (as sodium salt); drg. 200 mg; f. c. tabl. 200 mg; suppos. 300 mg (as acid)

Trade Name(s):

D:	Ambene (Merckle)	Demoplas (Gödecke)-comb.	F:	Butazolidine (Pierre Fabre)	
	Butazolidin (Novartis Pharma)-comb.	Exrheudon N (Optimed)		Carudol (Boehringer Ing.)	

Dextrarine Phenylbutazone (Synthélabo)-comb.
Traumalgyl (Pharmadéveloppement)-comb.

GB: Butacote (Novartis)

I: Fenilbutazone (Ecobi; IFI)
Kadol (Teofarma)
numerous combination preparations

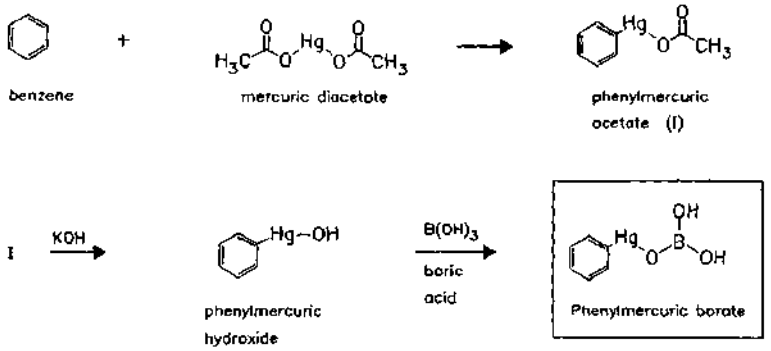
J: Acrizeal (S. S. Pharm.)
Bulentan (Sanwa)
Butazolidin (Ciba-Geigy-Fujisawa)
Neuplus (Toyo Pharmar)
Pilazon (Kobayashi Kako)

USA: Azolid (USV); wfm
Butazolidin (Geigy); wfm
Sterazolidin (Geigy); wfm

Phenylmercuric borate

ATC: D08AK02
Use: antiseptic, antifungal, disinfectant

RN: 102-98-7 MF: $C_6H_7BHgO_3$ MW: 338.52 EINECS: 203-068-1
CN: dihydrogen[orthoborato(3-)-κO]phenylmercurate(2-)



Reference(s):
US 2 196 384 (Lever Bros.; 1940; prior. 1937).

Formulation(s): sol. 0.066 %

Trade Name(s):

D: Aderman (Schülke & Mayr); wfm
Chibro S Lösung (Chibret)-comb.; wfm
Exomycol (Zyma); wfm
Glycero-Merfen (Zyma); wfm
Hydro-Merfen (Zyma); wfm
Merfen (Zyma)-comb.; wfm
Merfen-Orange/-Tabl. (Zyma)

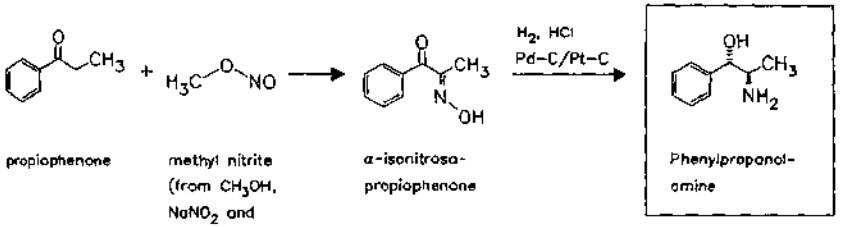
Phenylpropanolamine

(DL-Norephedrine)

ATC: R01BA01
Use: sympathomimetic

RN: 14838-15-4 MF: $C_9H_{13}NO$ MW: 151.21 EINECS: 207-755-7
LD_{50}: 1060 mg/kg (M, p.o.);
1538 mg/kg (R, p.o.)
CN: (*R**,*S**)-α-(1-aminoethyl)benzenemethanol

hydrochloride
RN: 154-41-6 MF: $C_9H_{13}NO \cdot HCl$ MW: 187.67 EINECS: 205-826-7
LD_{50}: 150 mg/kg (M, p.o.);
1490 mg/kg (R, p.o.)



Reference(s):
Nagai, W.N.; Kanao, S.: Justus Liebigs Ann. Chem. (JLACBF) **470**, 157 (1929).
US 3 028 429 (Nepera Chem. Co.; 3.4.1962; prior. 24.9.1959) – only hydrogenation process.
Hartung et al.: J. Am. Chem. Soc. (JACSAT) **74**, 5927 (1952).
Hartung et al.: J. Am. Chem. Soc. (JACSAT) **51**, 2262 (1929).

alternative synthesis (from benzaldehyde *and* nitroethane):
US 2 151 517 (J. Kamlet; 1939; prior. 1938).

resolution of racemate with pantothenic acid:
DAS 2 558 507 (Alps; appl. 24.12.1975; J-prior. 19.2.1975).

Formulation(s): tabl. 25 mg (as hydrochloride)

Trade Name(s):

D:	Basoplex (RIAM)-comb.		Dénoral (Pharmuka)		Tempo Rinolo (Hoechst
	Contac (SmithKline		Humex (Fournier)		Marion)-comb.
	Beecham OTC Medicines)-comb.		Rinurel (Substantia)		Triaminic (Novartis Consumer Health)-comb.
	Recatol (Woelm)-comb.		Rinutan (Substantia)		
	Rhinopront (Mack, Illert.)-comb.		Rupton (Dexo)	USA:	Propagest (Carnrick; as hydrochloride)
			Triaminic (Sandoz)		
	Wick DayMed (Wick Pharma)-comb.		Triatussic (Sandoz)		numerous combination preparations
		GB:	Rinurel (Parke Davis)-comb.; wfm		
F:	only combination preparations:	I:	Denoral (Rhône-Poulenc Rorer)-comb.		

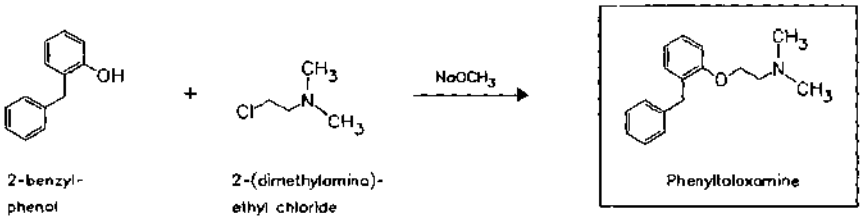
Phenyltoloxamine

ATC: R06AA
Use: antihistaminic

RN: 92-12-6 MF: $C_{17}H_{21}NO$ MW: 255.36 EINECS: 202-127-9
LD_{50}: 55 mg/kg (M, i.v.); 1127 mg/kg (M, p.o.); 1400 mg/kg (R, p.o.)
CN: *N,N*-dimethyl-2-[2-(phenylmethyl)phenoxy]ethanamine

hydrochloride
RN: 6152-43-8 MF: $C_{17}H_{21}NO \cdot HCl$ MW: 291.82
LD_{50}: 33 mg/kg (M, i.v.); 305 mg/kg (M, p.o.)

dihydrogen citrate (1:1)
RN: 1176-08-5 MF: $C_{17}H_{21}NO \cdot C_6H_8O_7$ MW: 447.48 EINECS: 214-644-7
LD_{50}: 1472 mg/kg (R, p.o.)



Reference(s):
US 2 703 324 (Bristol; 1955; prior. 1947).
Cheney, L.C. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 60 (1949).

Formulation(s): drops 4 mg/g; s. r. cps. 10 mg; syrup 66 mg/90 ml

Trade Name(s):

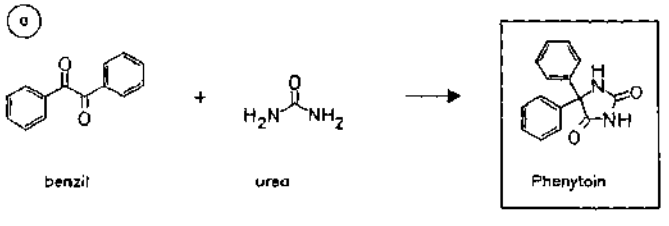
D:	Codipront (Mack, Illert.)-comb.		Rinurel (Parke Davis)-comb.; wfm	J:	Bristamine (Banyu) combination preparations
F:	Biocidan O.R.L. (Menarini)		Rinurel (Warner)-comb.; wfm	USA:	only combination preparations:
	Nétux (Nicholas)	I:	Codipront (Bracco)-comb.; wfm		Kurtrase (Schwarz)
	Rinurel (Warner-Lambert)		Neosyth (Inverni della Beffa)-comb.; wfm		Lobac (Seatrace)
	Rinutan (Warner-Lambert)				Nalex (Blansett)
GB:	Pholtex (Riker)-comb.; wfm				Poly-Histine-D (Sanofi)

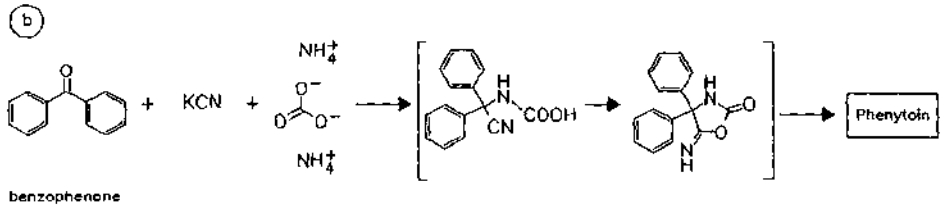
Phenytoin
(Diphenylhydantoin)

ATC: N03AB02
Use: antiepileptic

RN: 57-41-0 MF: $C_{15}H_{12}N_2O_2$ MW: 252.27 EINECS: 200-328-6
LD_{50}: 92 mg/kg (M, i.v.); 150 mg/kg (M, p.o.);
101 mg/kg (R, i.v.); 1635 mg/kg (R, p.o.);
90 mg/kg (dog, i.v.)
CN: 5,5-diphenyl-2,4-imidazolidinedione

monosodium salt
RN: 630-93-3 MF: $C_{15}H_{11}N_2NaO_2$ MW: 274.26 EINECS: 211-148-2
LD_{50}: 98 mg/kg (M, i.v.); 165 mg/kg (M, p.o.);
90 mg/kg (R, i.v.); 1530 mg/kg (R, p.o.)





Reference(s):
a Biltz, H.: Ber. Dtsch. Chem. Ges. (BDCGAS) **41**, 1391 (1908).
b US 2 409 754 (Parke Davis; 1946).

infusion concentrate:
DE 1 617 433 (Desitin; appl. 9.11.1966).
DAS 2 213 275 (Desitin-Werk; appl. 18.3.1972).

Formulation(s): amp. 250 mg/5 ml; cps. 30 mg, 100 mg; susp. 30 mg/5 ml; tabl. 100 mg (as sodium salt)

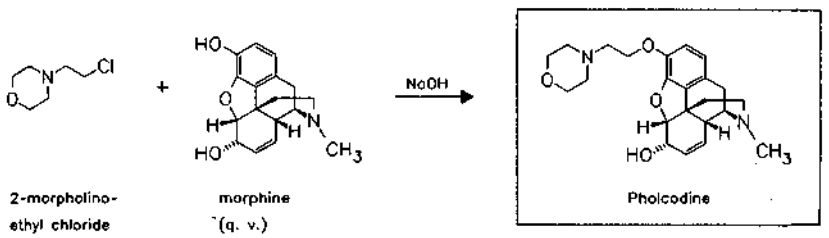
Trade Name(s):

D:	Epanutin (Parke Davis)	GB:	Epanutin (Parke Davis)		Metinal Idantoina (Bayer Italia)-comb.
	Phenhydan (Desitin)	I:	Aurantin (Parke Davis)	J:	Aleviatin (Dainippon)
	Phenytoin AWD (ASTA Medica AWD)-comb.		Dintoina (Recordati)		Hydantol (Fujinaga)
	Zentropil (Knoll)		Dintoinale (Recordati)-comb.	USA:	Dilantin (Parke Davis; as sodium salt)
F:	Dihydan (Synthélabo)		Dintospina (Recordati)-comb.		Dilantin (Parke Davis)
	Pyorédol (Roussel)				

Pholcodine

ATC: R05DA08
Use: analgesic, tussive sedative

RN: 509-67-1 MF: $C_{23}H_{30}N_2O_4$ MW: 398.50 EINECS: 208-102-9
LD_{50}: 230 mg/kg (M, i.v.); 1 g/kg (M, p.o.)
CN: (5α,6α)-7,8-didehydro-4,5-epoxy-17-methyl-3-[2-(4-morpholinyl)ethoxy]morphinan-6-ol



Reference(s):
US 2 619 485 (Lab. Dausse; 1952; F-prior. 1949).

Formulation(s): syrup 5 mg/5 ml, 15 mg/15 ml

Trade Name(s):

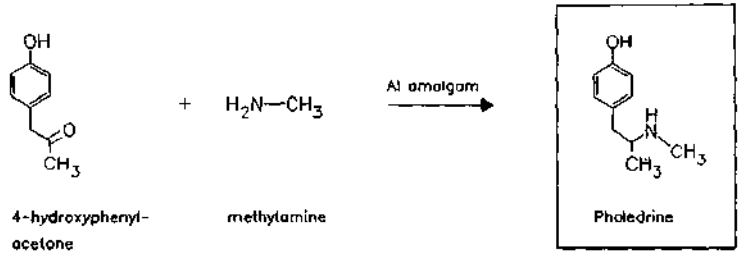
D:	Contrapect (Krewel)-comb.; wfm		Eucalyptine pholcodine (Martin-Johnson & Johnson-MSD)-comb.		Copholcoids (Radiol)-comb.; wfm
F:	Biocalyptol pholcodine (Laphal)-comb.		Hexapneumine (Doms-Adrian)-comb.		Galenphol (Galen)
	Bronchalène (Martin-Johnson & Johnson-MSD)-comb.		Isomyrtine (Schwarz)-comb.		Pavacol-D (Boehringer Ing.)
	Broncorinol (Roche; Nicholas)-comb.		Pholcones (RPR Cooper)-comb.		Pholtex (Riker)-comb.; wfm
	Dénoral (Théraplix)-comb.		Trophirès (Sanofi Winthrop)-comb.		Rinurel linctus (Parke Davis)-comb.; wfm
	Dimétane expectorant (Whitehall)-comb.	GB:	Copholco (Radiol)-comb.; wfm	USA:	Ethnine (Purdue Frederick); wfm
					Simplex (Purdue Frederick); wfm

Pholedrine

ATC: N06
Use: sympathomimetic, circulatory stimulant, mydriatic

RN: 370-14-9 MF: $C_{10}H_{15}NO$ MW: 165.24 EINECS: 206-725-0
LD_{50}: 100 mg/kg (M, parenteral); 119 mg/kg (M, s.c.); 400 mg/kg (R, s.c.)
CN: 4-[2-(methylamino)propyl]phenol

sulfate (2:1)
RN: 6114-26-7 MF: $C_{10}H_{15}NO \cdot 1/2H_2SO_4$ MW: 428.55 EINECS: 228-083-0
LD_{50}: 180 mg/kg (M, i.v.)



Reference(s):
Ehrhart-Ruschig, **II**, 155.
DRP 665 793 (Knoll; 1936).
DRP 674 753 (Knoll; 1936).
DRP 672 372 (Knoll; 1936).
DRP 675 361 (Knoll; 1936).

Formulation(s): drg. 40 mg; drops 20 mg/ml

Trade Name(s):

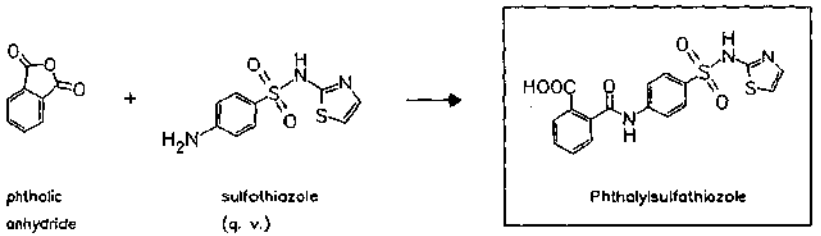
D:	Adyston (Krewel Meuselbach)-comb. Pholedrin liquidum (Krewel Meuselbach)	Pholedrin-longo-Isis (Isis Pharma) Zellaforte (Eurim Pharma)-comb.	I:	Veritol (Knoll); wfm	

Phthalylsulfathiazole

ATC: A07AB02
Use: chemotherapeutic

RN: 85-73-4 MF: $C_{17}H_{13}N_3O_5S_2$ MW: 403.44 EINECS: 201-627-4
LD_{50}: 920 mg/kg (M, i.p.)
CN: 2-[[[4-[(2-thiazolylamino)sulfonyl]phenyl]amino]carbonyl]benzoic acid

8-hydroxychinoline salt (1:1)
RN: 52310-12-0 MF: $C_{17}H_{13}N_3O_5S_2 \cdot C_9H_7NO$ MW: 548.60 EINECS: 257-837-1



Reference(s):
US 2 324 013 (Sharp & Dohme; 1943; prior. 1941).
US 2 324 015 (Sharp & Dohme; 1943; prior. 1941).

Formulation(s): tabl. 500 mg

Trade Name(s):

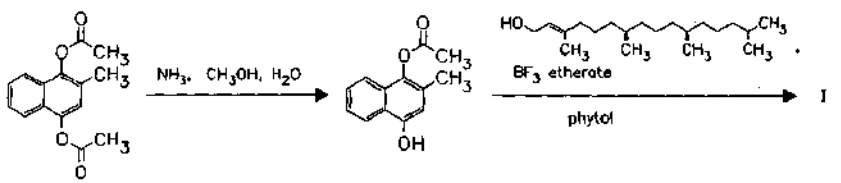
D:	Diarönt (Chephasaar)-comb.; wfm	GB:	Thalazole (May & Baker); wfm		Streptoguanidin (Lisapharma)-comb.; wfm
	Fluomycin (Fink)-comb.; wfm	I:	Colicitina (Panthox & Burck); wfm		Sulfenteral (Ogna); wfm
F:	Gélotamide (Choay)-comb.; wfm		Enterosteril (Ripari-Gero); wfm		combination preparations; wfm
	Lyantil (Syntex-Daltan)-comb.; wfm		Novosulfina (Medosan); wfm	USA:	Neothalidine (Merck Sharp & Dohme)-comb.; wfm
	Talidine (Midy); wfm				Sulfathalidine (Merck Sharp & Dohme); wfm

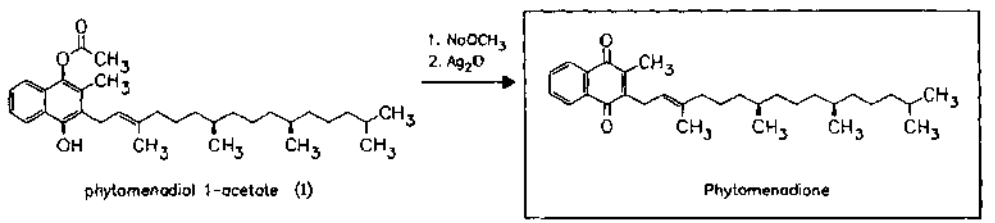
Phytomenadione

(Phylloquinone; Phytonadione; Vitamin K_1)

ATC: B02BA01
Use: antihemorrhagic vitamin

RN: 84-80-0 MF: $C_{31}H_{46}O_2$ MW: 450.71 EINECS: 201-564-2
LD_{50}: >6.57 g/kg (M, i.v.); 25 g/kg (M, p.o.); >33.487 g/kg (R, p.o.)
CN: [*R*-[*R**,*R**-(*E*)]]-2-methyl-3-(3,7,11,15-tetramethyl-2-hexadecenyl)-1,4-naphthalenedione





Reference(s):
Fieser, L.F.: J. Am. Chem. Soc. (JACSAT) **61**, 2559, 3467 (1939).
Hirschmann, R. et al.: J. Am. Chem. Soc. (JACSAT) **76**, 4592 (1954).
Isler, O.; Doebel, K.: Helv. Chim. Acta (HCACAV) **22**, 945 (1939); **37**, 225 (1954).
US 2 325 681 (Roche; 1943; CH-prior. 1939).
US 2 683 176 (Roche; 1954; CH-prior. 1951).

direct synthesis from menadiol:
DOS 2 907 864 (Wakunaga Yakuhin; appl. 1.3.1979; J-prior. 4.3.1978).

Formulation(s): amp. 1 mg/0.5 ml, 1 mg/ml, 10 mg/ml; chewing drg. 10 mg; sol. 2 mg/0.2 ml, 20 mg/ml; syrup 20 mg/ml; tabl. 5 mg

Trade Name(s):

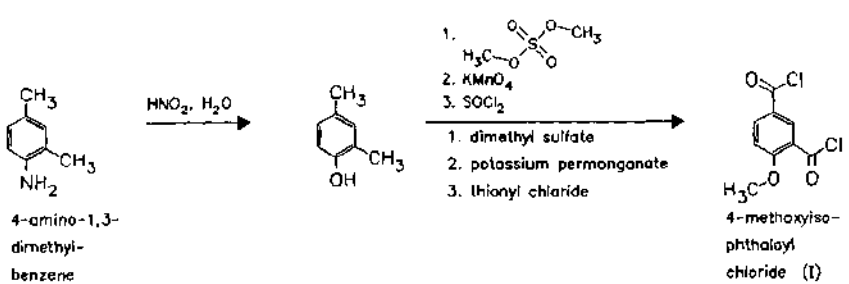
D:	Konakion (Roche) Konavit (medpharo) combination preparations	J:	Eleven K (Nippon Shinyaku) Hymeron (Toa Eiyo-Yamanouchi) Kativ N (Takeda) Kaycine (Kanto) Kaywan (Eisai) K-Eine (Hokuriku) Kennegin (Kowa) Kphy (Kobayashi) Kisikonon (Kyorin) K-Top Wan (Sawai)		Keipole (Kyowa) Kinadione (Chugai) Kephton (Toyo Jozo) Mephyton (Merck-Banyu) Monodion (Maruko) Nichivita K_1 (Nichiiko) One Kay (Mohan) Synthex P. (Tanabe)
F:	Lafenalac Mead Johnson (Bristol-Myers Squibb; Division Mead Johnson)-comb. Vitalipide (Pharmacia & Upjohn SA)-comb. Vitamine K_1 (Roche)			USA:	Aqua Mephyton (Merck Sharp & Dohme) Mephyton (Merck Sharp & Dohme)
GB:	Konakion (Roche)				
I:	Konakion (Roche)				

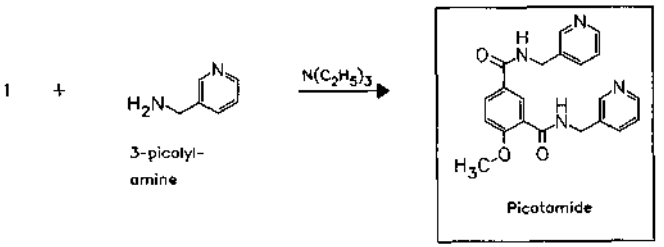
Picotamide

ATC: B01AC03
Use: anticoagulant, fibrinolytic

RN: 32828-81-2 MF: $C_{21}H_{20}N_4O_3$ MW: 376.42 EINECS: 251-245-7
LD_{50}: 1205 mg/kg (Mm, i.p.);
3 g/kg (R, p.o.);
3 g/kg (dog, p.o.)
CN: 4-methoxy-*N*,*N*'-bis(3-pyridinylmethyl)-1,3-benzenedicarboxamide

tartrate
RN: 86247-87-2 MF: $C_{21}H_{20}N_4O_3 \cdot xC_4H_6O_6$ MW: unspecified
hydrate
RN: 80530-63-8 MF: $C_{21}H_{20}N_4O_3 \cdot H_2O$ MW: 394.43





Reference(s):
FR 2 100 850 (Manetti Roberts; appl. 30.6.1971; I-prior. 1.7.1970).
DE 2 506 209 (Manetti Roberts; appl. 14.2.1975).
US 3 973 026 (Manetti Roberts; 3.8.1976; prior. 5.2.1975).
BE 851 967 (Manetti Roberts; appl. 1.3.1977).
Selleri, R. et al.: Chim. Ther. (CHTPBA) **6**, 203 (1971).

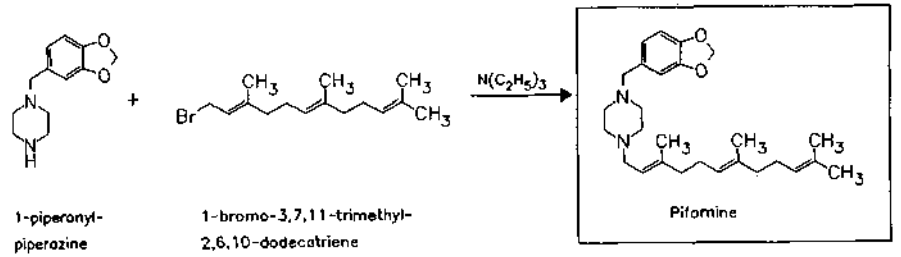
Formulation(s): tabl. 300 mg (as hydrate)

Trade Name(s):
I: Plactidil (Samil)

Pifarnine

ATC: A02B
Use: peptic ulcer therapeutic, gastric acid secretion inhibitor

RN: 56208-01-6 MF: $C_{27}H_{40}N_2O_2$ MW: 424.63
LD_{50}: 500 mg/kg (M, i.p.)
CN: 1-(1,3-benzodioxol-5-ylmethyl)-4-(3,7,11-trimethyl-2,6,10-dodecatrienyl)piperazine



Reference(s):
ES 452 269 (Boehringer Mannh.; appl. 12.11.1976).
Bianchetti, A. et al.: Eur. J. Med. Chem. (EJMCA5) **9**, 555 (1974); **10**, 585 (1975).

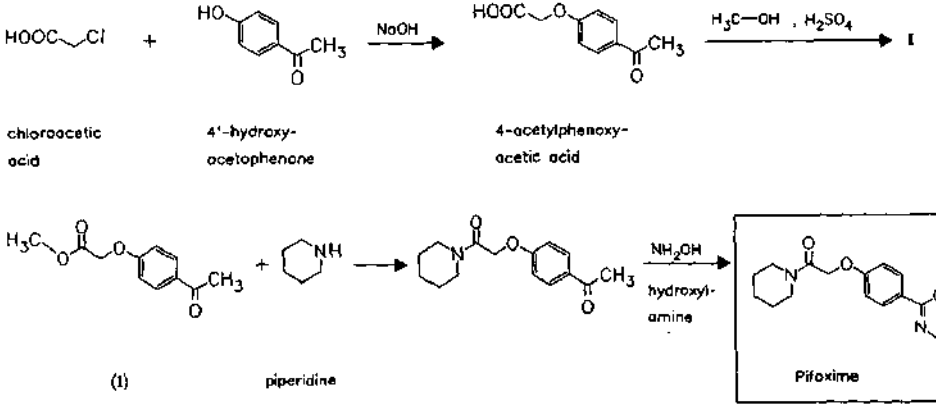
Formulation(s): 50 mg

Trade Name(s):
I: Pifazin (Pierrel); wfm

Pifoxime
(Pixifenidum)

ATC: M01AB
Use: anti-inflammatory

RN: 31224-92-7 MF: $C_{15}H_{20}N_2O_3$ MW: 276.34
LD_{50}: 1 g/kg (M, p.o.)
CN: 1-[[4-[1-(hydroxyimino)ethyl]phenoxy]acetyl]piperidine



Reference(s):
US 3 907 792 (A. Mieville; 23.9.1975; CH-prior. 31.1.1969, 28.8.1969).

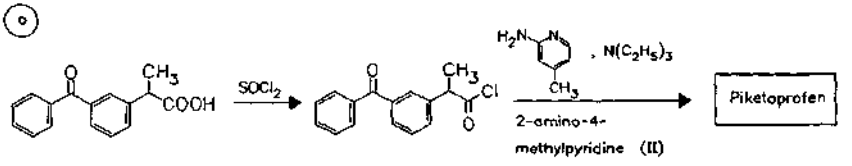
Trade Name(s):
F: Flamanil (Salvoxyl-Wander); wfm

Piketoprofen

ATC: M02AA
Use: topical non-steroidal anti-inflammatory and analgesic, ketoprofen derivative

RN: 60576-13-8 MF: $C_{22}H_{20}N_2O_2$ MW: 344.41
CN: 3-benzoyl-α-methyl-*N*-(4-methyl-2-pyridinyl)benzeneacetamide

monohydrochloride
RN: 59512-37-7 MF: $C_{22}H_{20}N_2O_2 \cdot HCl$ MW: 380.88



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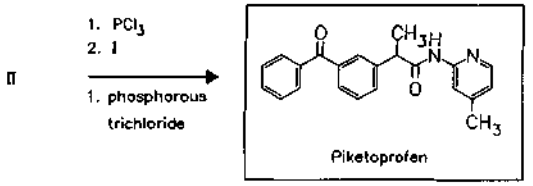


Reference(s):
a GB 1 436 502 (A. Gallardo SA; appl. 10.4.1974; E-prior. 10.4.1973).
b BE 882 711 (Fordonal SA; appl. 31.7.1980; E-prior. 25.2.1980).

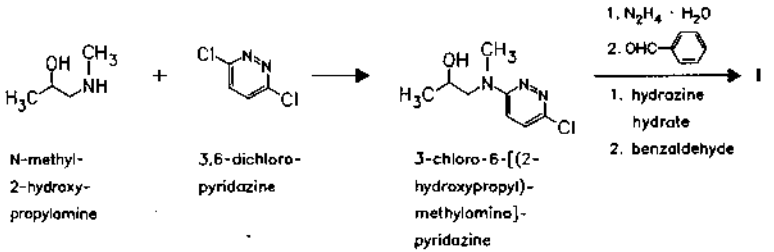
Formulation(s): aerosol 20 mg/ml

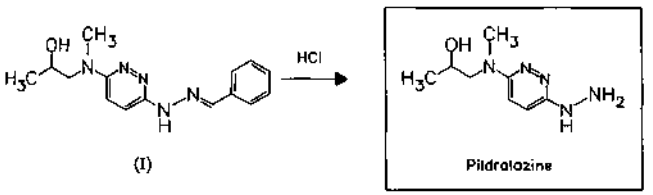
Trade Name(s):
E: Calmatel (Almirall; 1985)

Pildralazine

ATC: C01D
Use: hypotensive, vasodilator

RN: 64000-73-3 MF: $C_8H_{15}N_5O$ MW: 197.24
CN: 6-[(2-hydroxypropyl)methylamino]-3(2*H*)-pyridazinone hydrazone





Reference(s):
Pifferi, G.; Parravicini, F.; Carpi, C.; Dorigotti, L.: J. Med. Chem. (JMCMAR) **18**, 741 (1975).
DOS 2 154 245 (ISF; appl. 30.10.1971; I-prior. 15.12.1970).

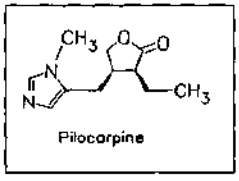
Trade Name(s):
I: Atensil (ISF); wfm

Pilocarpine

ATC: N07AX01; S01EB01
Use: parasympathomimetic, miotic

RN: 92-13-7 MF: $C_{11}H_{16}N_2O_2$ MW: 208.26 EINECS: 202-128-4
LD_{50}: 61.9 mg/kg (M, i.v.); 119 mg/kg (M, p.o.);
88.5 mg/kg (R, i.v.); 402 mg/kg (R, p.o.)
CN: (3*S*-*cis*)-3-ethyldihydro-4-[(1-methyl-1*H*-imidazol-5-yl)methyl]-2(3*H*)-furanone

monohydrochloride
RN: 54-71-7 MF: $C_{11}H_{16}N_2O_2 \cdot HCl$ MW: 244.72 EINECS: 200-212-5
LD_{50}: 150 mg/kg (M, i.v.); 200 mg/kg (M, p.o.)
borate
RN: 16509-56-1 MF: $C_{11}H_{16}N_2O_2 \cdot xBH_3O_3$ MW: unspecified
mononitrate
RN: 148-72-1 MF: $C_{11}H_{16}N_2O_2 \cdot HNO_3$ MW: 271.27 EINECS: 205-723-7
LD_{50}: 345 mg/kg (M, i.v.);
911 mg/kg (R, p.o.)



Pilocarpine

By extraction of *Jaborandi* leaves (especially *Pilocarpus microphyllus* Stapf.) and isolation as hydrochloride.

Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. 3, 277.
BIOS Final Reports No. 766, 233.

pamoate:
DAS 2 462 081 (Merck & Co., appl. 16.12.1974; USA-prior. 17.12.1973, 31.10.1974).

Formulation(s): eye drops 0.5 %, 1 %, 2 %, 3 %, 4 %; eye ointment 10 mg/g, 20 mg/g, 30 mg/g; gel 40 mg/g (as hydrochloride)

Trade Name(s):

D: Isopto-Pilocarpin (Alcon)
Pilocarpol (Winzer)
Pilomann (Mann)
Spersa carpin (CIBA Vision)
Vistacarpin (Pharm-Allergan)
numerous generics and combination preparations

F: Chibro-Pilocarpine (Merck Sharp & Dohme-Chibret)
Isopto-Pilocarpine (Alcon)
Pilo (Chauvin)
Pilocarpine Martinet (CIBA Vision Ophthalmics)

GB: Isoptocarpin (Alcon)
Minims Pilocarpine (Chauvin; as nitrate)
Ocusert Pilo (Dominion)
Pilogel (Alcon)
Salagen (Chiron)
Sno-Pilo (Chauvin)

I: Dropilton (Bruschettini)
Liocarpina (SIFI)
Pilocarpina Lux (Allergan)
Pilogel (Alcon)
Pilotonina (Farmila)
Salagen (Chiron Italia)
generics

J: generic preparations

USA: Salagen (MGI)

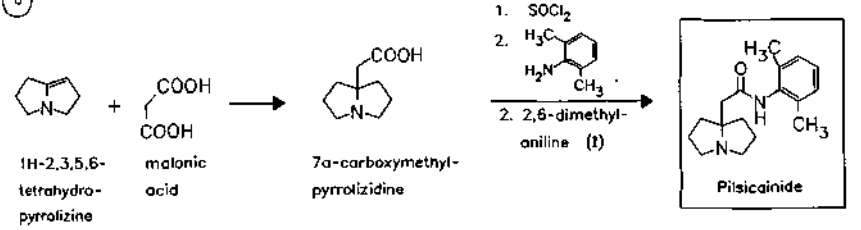
Pilsicainide
(SUN-1165)

ATC: C01BC
Use: class Ic antiarrhythmic

RN: 88069-67-4 MF: $C_{17}H_{24}N_2O$ MW: 272.39
LD_{50}: 17 mg/kg (M, i.v.); 175 mg/kg (M, p.o.);
18 mg/kg (R, i.v.); 255 mg/kg (R, p.o.);
53 mg/kg (dog, p.o.)
CN: *N*-(2,6-dimethylphenyl)tetrahydro-1*H*-pyrrolizine-7a(5*H*)-acetamide

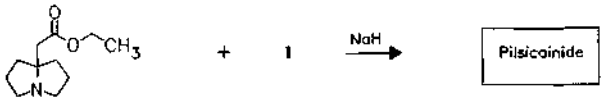
monohydrochloride
RN: 88069-49-2 MF: $C_{17}H_{24}N_2O \cdot HCl$ MW: 308.85
LD_{50}: 222 mg/kg (M, p.o.); 410 mg/kg (M, s.c.);
260 mg/kg (R, p.o.);
87 mg/kg (rabbit, p.o.);
50 mg/kg (dog, p.o.)

a



1H-2,3,5,6-tetrahydropyrrolizine + malonic acid → 7a-carboxymethylpyrrolizidine

b



7a-ethoxycarbonylmethylpyrrolizidine

Reference(s):
EP 89 061 (Suntory; appl. 15.3.1983; J-prior. 16.3.1982).
US 4 564 624 (Suntory; 14.1.1986; appl. 10.3.1983; J-prior. 16.3.1982).
JP 9 167 591 (Suntory; appl. 11.3.1983).

Formulation(s): cps. 25 mg, 50 mg (as hydrochloride)

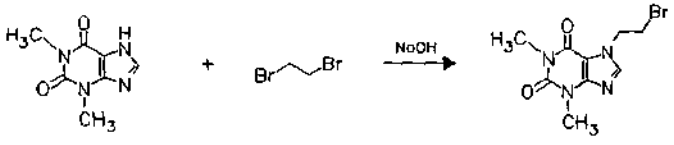
Trade Name(s):
J: Sunrythm (Suntory; Daiichi Seiyaku; 1991)

Pimefylline

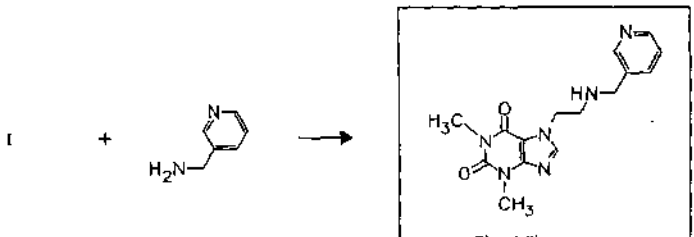
ATC: C01D
Use: vasodilator

RN: 10001-43-1 MF: $C_{15}H_{18}N_6O_2$ MW: 314.35
LD_{50}: 402 mg/kg (M, i.v.); 1900 mg/kg (M, p.o.)
CN: 3,7-dihydro-1,3-dimethyl-7-[2-[(3-pyridinylmethyl)amino]ethyl]-1*H*-purine-2,6-dione

nicotinate (1:1)
RN: 10058-07-8 MF: $C_{15}H_{18}N_6O_2 \cdot C_6H_5NO_2$ MW: 437.46 EINECS: 233-185-3
LD_{50}: 470 mg/kg (M, i.v.); 2530 mg/kg (M, p.o.);
3700 mg/kg (R, p.o.)



theophylline | 1,2-dibromoethane | 7-(2-bromoethyl)-theophylline (I)



I + 3-(aminomethyl)-pyridine → Pimefylline

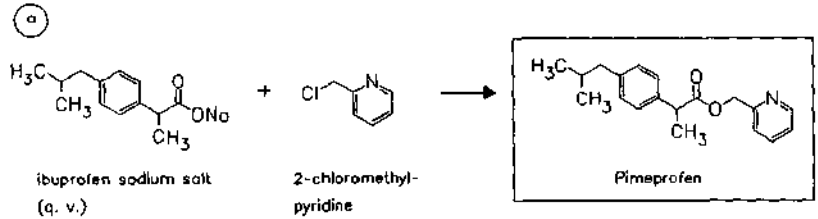
Reference(s):
US 3 350 400 (Eprova; 31.10.1967; CH-prior. 12.1.1965).

Trade Name(s):
I: Teonicon (Neopharmed); wfm J: Teonicon (Neopharmed)

Pimeprofen
(Ibuprofen piconol)

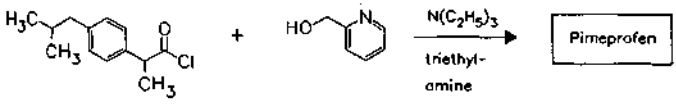
ATC: M01AE; M02AA
Use: anti-inflammatory

RN: 64622-45-3 MF: $C_{19}H_{23}NO_2$ MW: 297.40 EINECS: 264-979-8
LD_{50}: 1980 mg/kg (M, p.o.);
1440 mg/kg (R, p.o.);
>4 g/kg (dog, p.o.)
CN: α-methyl-4-(2-methylpropyl)benzeneacetic acid 2-pyridinylmethyl ester



ibuprofen sodium salt (q. v.) | 2-chloromethyl-pyridine | Pimeprofen

ⓑ



2-(4-isobutylphenyl)-propionyl chloride (from ibuprofen)

2-hydroxy-methyl-pyridine

Reference(s):
DOS 2 658 610 (Hisamitsu; appl. 23.12.1976; J-prior. 24.12.1975).
US 4 150 137 (Hisamitsu; 17.4.1979; J-prior. 24.12.1975).

Formulation(s): cream 5 %; ointment 5 %

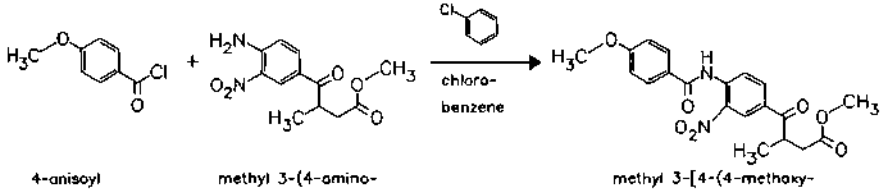
Trade Name(s):
J: Staderm (Torii) Vesicum (Hisamitsu)

Pimobendan
(UD-CG 115; UD-CG 115BS)

ATC: C01CE
Use: cardiotonic, PDE III-inhibitor, vasodilator

RN: 74150-27-9 MF: $C_{19}H_{18}N_4O_2$ MW: 334.38
LD_{50}: >2 g/kg (M, p.o.);
72 mg/kg (R, i.v.); 950 mg/kg (R, p.o.)
CN: 4,5-dihydro-6-[2-(4-methoxyphenyl)-1*H*-benzimidazol-5-yl]-5-methyl-3(2*H*)-pyridazinone

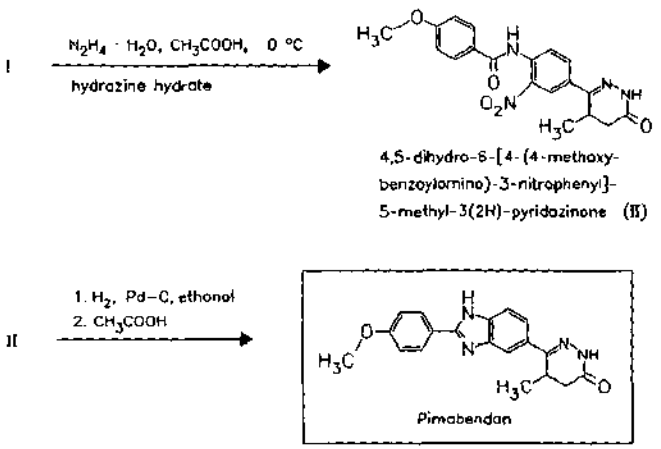
hydrochloride
RN: 74149-75-0 MF: $C_{19}H_{18}N_4O_2 \cdot xHCl$ MW: unspecified
monohydrochloride
RN: 77469-98-8 MF: $C_{19}H_{18}N_4O_2 \cdot HCl$ MW: 370.84
racemate
RN: 118428-36-7 MF: $C_{19}H_{18}N_4O_2$ MW: 334.38
LD_{50}: 75 mg/kg (R, i.v.)
(–)-enantiomer
RN: 118428-37-8 MF: $C_{19}H_{18}N_4O_2$ MW: 334.38
LD_{50}: 100 mg/kg (R, i.v.)
(+)-enantiomer
RN: 118428-38-9 MF: $C_{19}H_{18}N_4O_2$ MW: 334.38
LD_{50}: 75 mg/kg (R, i.v.)



4-anisoyl chloride

methyl 3-(4-amino-3-nitrobenzoyl)-butyrate

methyl 3-[4-(4-methoxy-benzoylamino)-3-nitro-benzoyl]butyrate (I)



Reference(s):
EP 8 391 (Thomae GmbH; appl. 3.2.1980; D-prior. 25.8.1978, 1.6.1979).

separation of enantiomers:
DE 3 728 244 (Thomae GmbH; appl. 25.8.1987; D-prior. 25.8.1987).

oral formulation:
DE 4 001 622 (Thomae GmbH; appl. 20.1.1990; D-prior. 20.1.1990).

use for treatment of asthma:
DE 4 001 623 (Thomae GmbH; appl. 20.1.1990; D-prior. 20.1.1990).

combination with β-blockers:
EP 387 762 (Thomae GmbH; appl. 12.3.1990; D-prior. 16.3.1989).

use for treating erectile dysfunction:
DE 4 338 948 (J. Carlen; appl. 15.11.1993; D-prior. 15.11.1993).

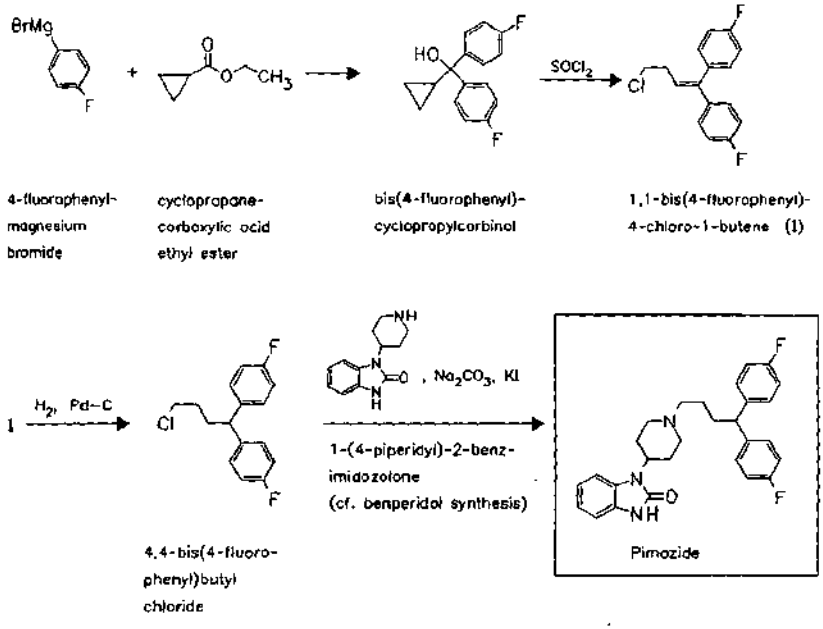
Formulation(s): cps. 1.25 mg, 2.5 mg

Trade Name(s):
J: Acardi (Nippon Boehringer)

Pimozide

ATC: N05AG02
Use: neuroleptic

RN: 2062-78-4 MF: $C_{28}H_{29}F_2N_3O$ MW: 461.56 EINECS: 218-171-7
LD_{50}: 14 mg/kg (M, i.v.); 228 mg/kg (M, p.o.); 90 mg/kg (R, i.v.); 1100 mg/kg (R, p.o.); 32 mg/kg (dog, i.v.); 40 mg/kg (dog, p.o.)
CN: 1-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-1,3-dihydro-2*H*-benzimidazol-2-one



Reference(s):
DAS 1 470 124 (Janssen; appl. 12.6.1963; USA-prior. 13.6.1962, 11.6.1963).
FR-M 3 695 (Janssen; appl. 12.9.1963; USA-prior. 11.6.1963).
US 3 196 157 (Janssen; 20.7.1965; appl. 11.6.1963).
DD 243 284 (VEB Arzneimittelwerk Dresden; appl. 13.12.1985).

Formulation(s): tabl. 1 mg, 2 mg, 4 mg

Trade Name(s):

D:	Antalon (ASTA Medica AWD)	F:	Orap (Janssen-Cilag)	J:	Orap (Fujisawa)
	Orap (Janssen-Cilag)	GB:	Orap (Janssen-Cilag)	USA:	Orap (Gate)
		I:	Orap (Janssen-Cilag)		

Pinacidil

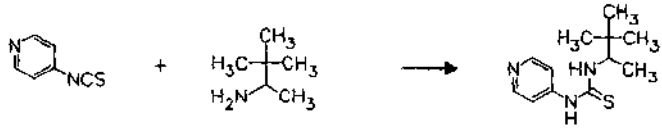
(P-1134)

ATC: C02DG01
Use: antihypertensive, vasodilator, potassium channel activator

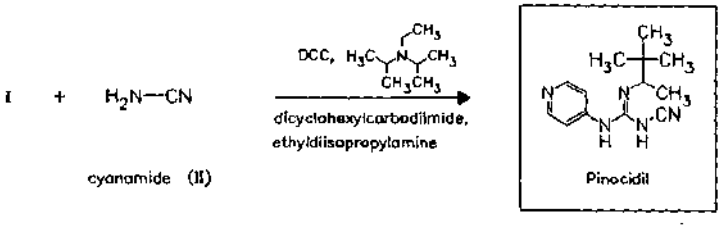
RN: 60560-33-0 MF: $C_{13}H_{19}N_5$ MW: 245.33 EINECS: 262-294-9
LD_{50}: 177 mg/kg (M, i.v.); 412 mg/kg (M, p.o.);
155 mg/kg (R, i.v.); 210 mg/kg (R, p.o.)
CN: *N*-cyano-*N*'-4-pyridinyl-*N*''-(1,2,2-trimethylpropyl)guanidine

monohydrate
RN: 85371-64-8 MF: $C_{13}H_{19}N_5 \cdot H_2O$ MW: 263.35
LD_{50}: 600 mg/kg (M, p.o.);
570 mg/kg (R, p.o.)

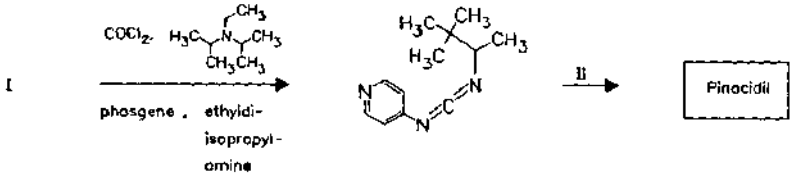
a



4-pyridyl isothiocyanate + 1,2,2-trimethylpropylamine → N-(4-pyridyl)-N'-(1,2,2-trimethylpropyl)-thiourea (I)



b



Reference(s):
DE 2 557 438 (Leo; appl. 19.12.1975; GB-prior. 20.12.1974).
DE 2 560 633 (Leo; appl. 19.12.1975; GB-prior. 20.12.1974).
GB 1 489 879 (Leo; appl. 20.12.1974).
Petersen, H.J. et al.: J. Med. Chem. (JMCMAR) **21**, 773 (1978).
Hansen, E.T.; Petersen, H.J.: Synth. Commun. (SYNCAV) **14**, 537 (1984).

medical use for treatment of asthma:
EP 207 606 (Lilly; appl. 15.5.1986; USA-prior. 17.5.1985).

medical use for treatment of peripheral vascular disease:
EP 223 811 (Beecham; appl. 20.5.1986; GB-prior. 29.5.1985, 22.5.1985).

combination with ACE inhibitors:
EP 271 271 (Beecham; appl. 30.11.1987; GB-prior. 24.12.1986, 6.12.1986).

combination with β-blocker:
EP 323 745 (Beecham; appl. 23.12.1988; GB-prior. 6.1.1988).

sustained release formulation:
DOS 3 404 595 (Leo; appl. 9.2.1984; DK-prior. 11.2.1983).

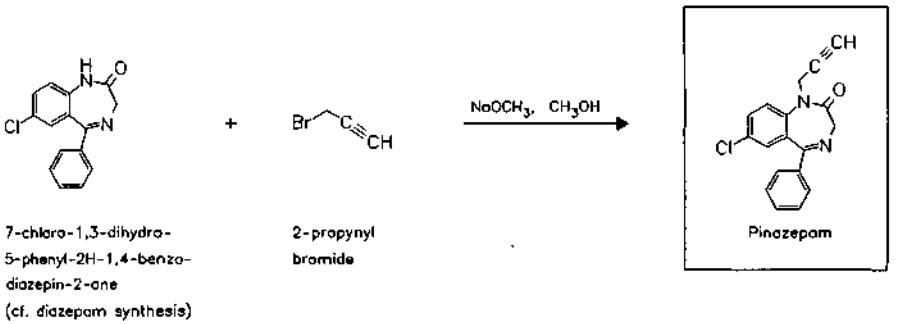
Formulation(s): cps. 12.5 mg, 25 mg

Trade Name(s):
DK: Pindac (Leo)

Pinazepam

ATC: N05BA14
Use: tranquilizer

RN: 52463-83-9 MF: $C_{18}H_{13}ClN_2O$ MW: 308.77 EINECS: 257-934-9
LD_{50}: 1302 mg/kg (M, p.o.);
5819 mg/kg (R, p.o.)
CN: 7-chloro-1,3-dihydro-5-phenyl-1-(2-propynyl)-2*H*-1,4-benzodiazepin-2-one



7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one (cf. diazepam synthesis)

2-propynyl bromide

Reference(s):
DOS 2 339 790 (Zambeletti; appl. 6.8.1973; I-prior. 9.8.1972).
GB 1 406 946 (Zambeletti; valid from 28.6.1973; I-prior. 9.8.1972).

alternative synthesis:
US 3 842 094 (Delmar Chem.; 15.10.1974; prior. 31.8.1972).

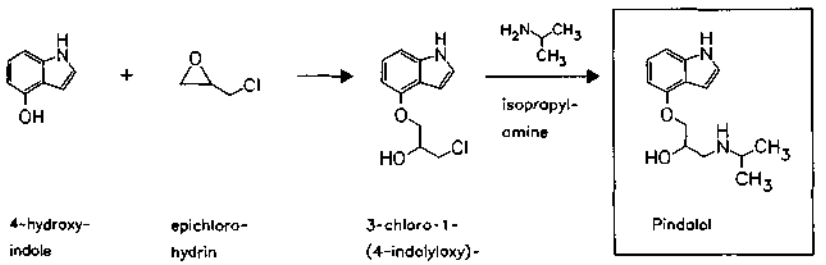
Formulation(s): cps. 2.5 mg, 5 mg, 10 mg

Trade Name(s):
I: Domar (Teofarma)

Pindolol

ATC: C07AA03
Use: beta blocking agent

RN: 13523-86-9 MF: $C_{14}H_{20}N_2O_2$ MW: 248.33 EINECS: 236-867-9
LD_{50}: 22.6 mg/kg (M, i.v.); 235 mg/kg (M, p.o.);
51 mg/kg (R, i.v.); 263 mg/kg (R, p.o.)
CN: 1-(1*H*-indol-4-yloxy)-3-[(1-methylethyl)amino]-2-propanol



4-hydroxy-indole

epichloro-hydrin

3-chloro-1-(4-indolyloxy)-2-propanol

Reference(s):
DE I 620 342 (Sandoz; prior. 26.1.1966).
US 3 471 515 (Sandoz; 7.10.1967; CH-prior. 1.2.1965).
CH 453 363 (Sandoz; appl. 1.2.1965).

Formulation(s): amp. 0.4 mg/2 ml; eye drops 5 mg/ml, 10 mg/5 ml; s. r. tabl. 20 mg; tabl. 2.5 mg, 5 mg, 10 mg, 15 mg

Trade Name(s):

D:	Durapindol (durachemie) Glauco-Stulln (Pharma Stulln) Pindoptan (Kanoldt) Viskaldix (Novartis Pharma)-comb.		Visken (Novartis Pharma; 1971)	I:	Visken (Novartis Farma; 1973)
		F:	Viskaldix (Novartis)-comb. Visken (Novartis; 1971)	J:	Carvisken (Sankyo)
		GB:	Viskaldix (Novartis)-comb. Visken (Novartis; 1974)	USA:	Visken (Sandoz; 1982); wfm generics

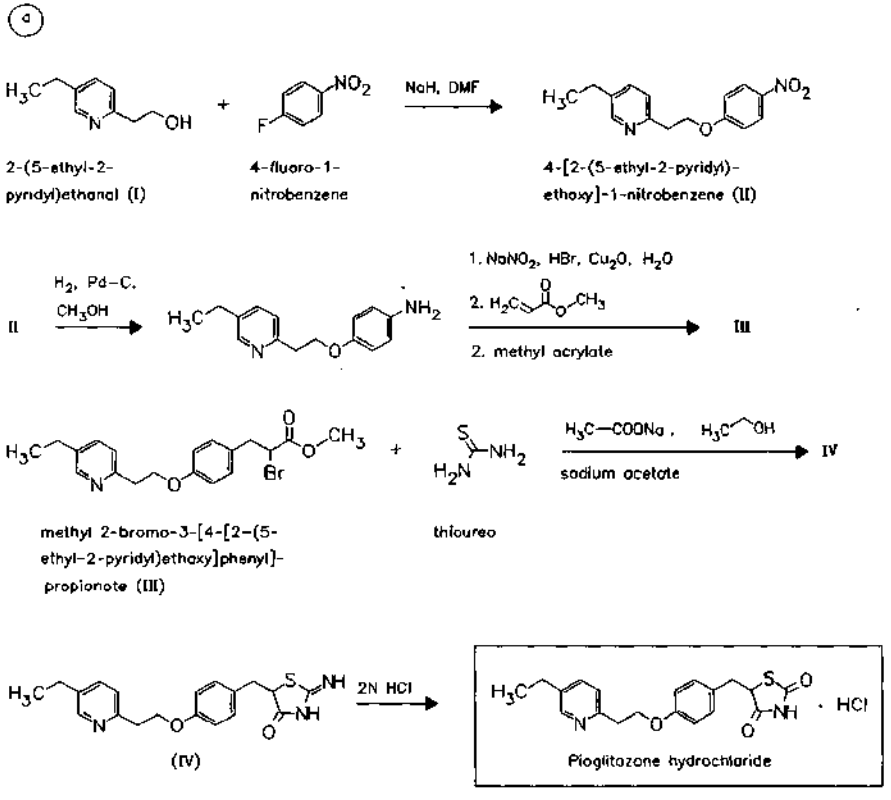
Pioglitazone

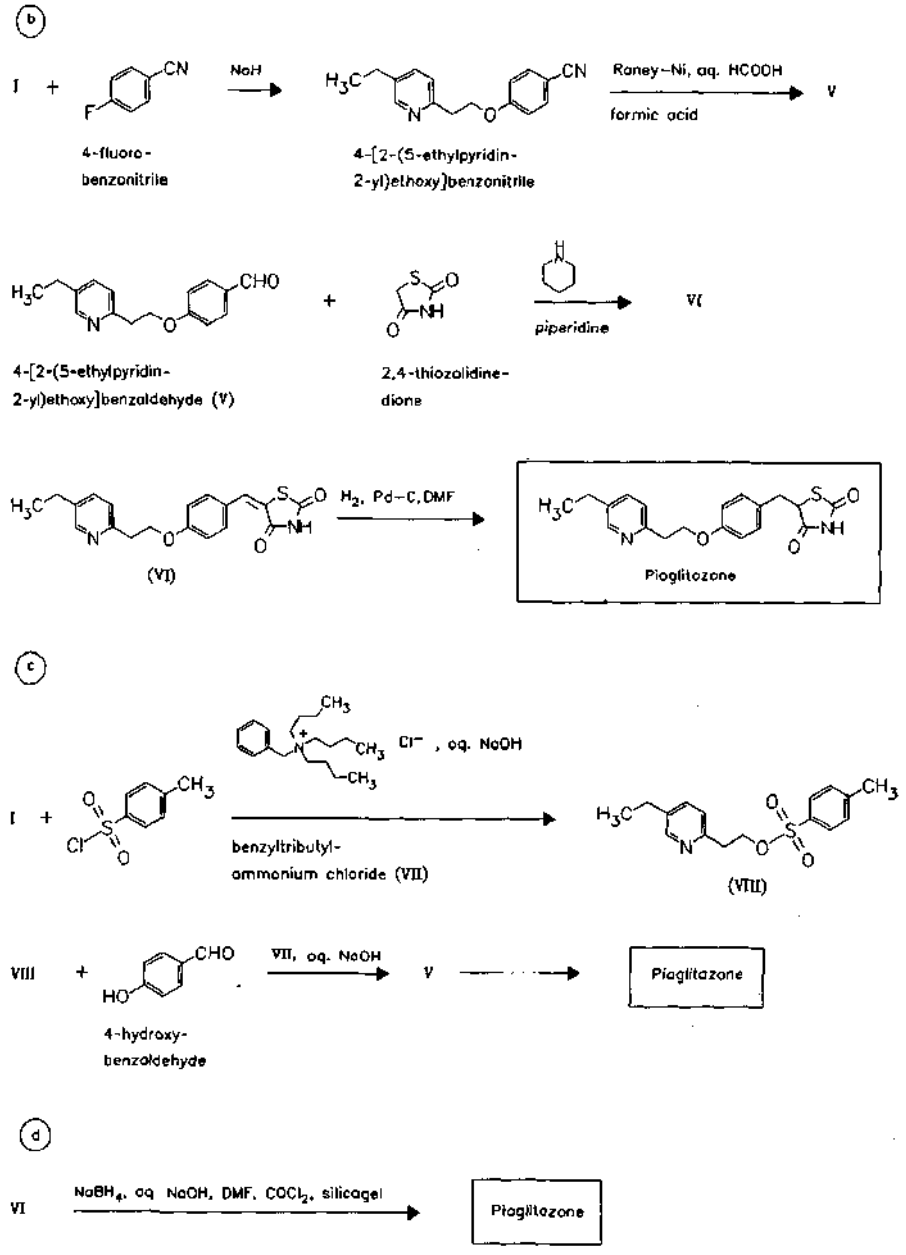
(AD-4833; U 72107)

ATC: A10BG03
Use: antidiabetic, insulinenhancer

RN: 111025-46-8 MF: $C_{19}H_{20}N_2O_3S$ MW: 356.45
CN: (±)-5-[[4-[2-(5-Ethyl-2-pyridinyl)ethoxy]phenyl]methyl]-2,4-thiazolidinedione

hydrochloride
RN: 112529-15-4 MF: $C_{19}H_{20}N_2O_3S \cdot HCl$ MW: 392.91





Reference(s):

a Sohda, T. et al.: Arzneim.-Forsch. (ARZNAD) **40** (1), 37-42 (1990).
EP 193 256 (Takeda; appl. 15.1.1986; J-prior. 19.1.1985).
b,c EP 506 273 (Takeda; appl. 16.3.1992; J-prior. 25.3.1991).
Momose, Y. et al.: Chem. Pharm. Bull. (CPBTAL) **39** (6), 1440-1445 (1991).
c EP 186 340 (Takeda; appl. 26.6.1997; J-prior. 27.6.1996).
d WO 9 313 095 (Upjohn; appl. 4.12.1992; USA-prior. 20.12.1991).

synthesis of metabolites:

Tanis, S.P. et al.: J. Med. Chem. (JMCMAR) **39** (26), 5053-5063 (1996).
WO 9 322 445 (Upjohn; appl. 21.4.1993; USA-prior. 5.5.1992).

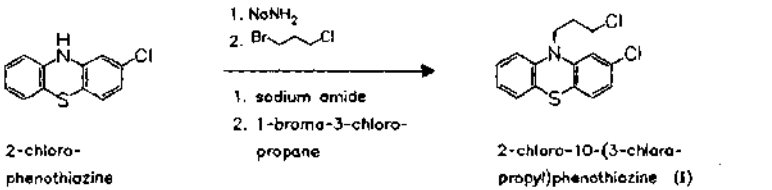
Formulation(s): – tabl. 15 mg, 30 mg, 45 mg (as hydrochloride)

Trade Name(s):
USA: Actos (Takeda/Lilly; 1999)

Pipamazine

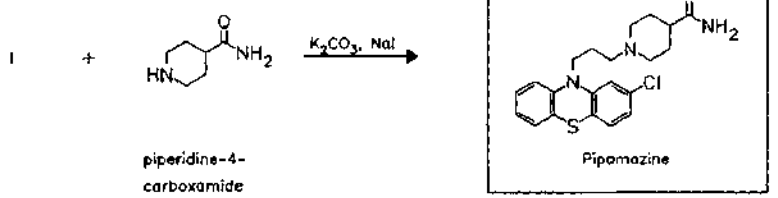
ATC: A04
Use: anti-emetic

RN: 84-04-8 MF: $C_{21}H_{24}ClN_3OS$ MW: 401.96 EINECS: 201-512-9
LD_{50}: 370 mg/kg (M, p.o.);
620 mg/kg (R, p.o.)
CN: 1-[3-(2-chloro-10*H*-phenothiazin-10-yl)propyl]-4-piperidinecarboxamide



2-chloro-phenothiazine

2-chloro-10-(3-chloro-propyl)phenothiazine (I)



Reference(s):
US 2 957 870 (Searle; 25.10.1960; prior. 5.11.1957).
DE 1 089 386 (Searle; appl. 8.11.1957; USA-prior. 15.11.1956).

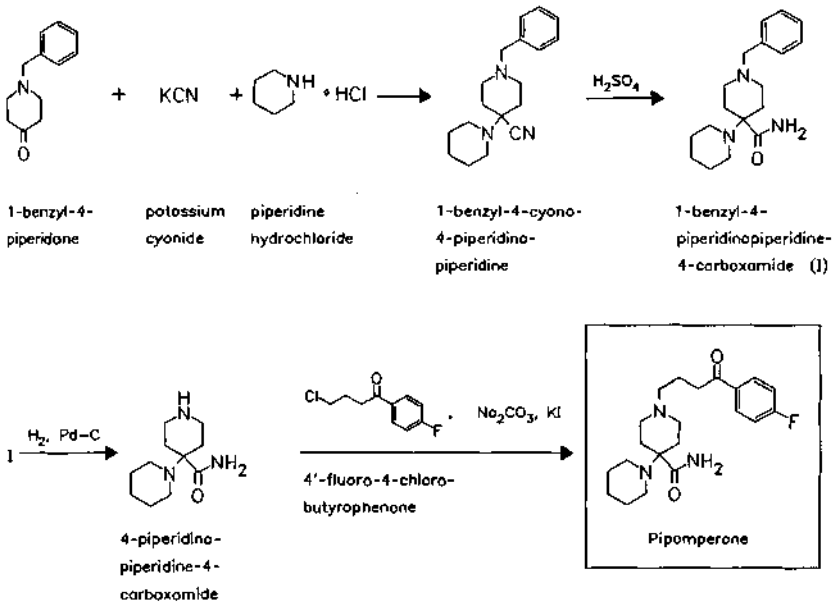
Formulation(s): 5 mg

Trade Name(s):
F: Nausidol (Grémy-Longuet); wfm
USA: Mornidine (Searle); wfm

Pipamperone
(Floropipamide)

ATC: N05AD05
Use: neuroleptic

RN: 1893-33-0 MF: $C_{21}H_{30}FN_3O_2$ MW: 375.49
LD_{50}: 66 mg/kg (M, i.v.); 490 mg/kg (M, p.o.);
48 mg/kg (R, i.v.); 160 mg/kg (R, p.o.)
CN: 1'-[4-(4-fluorophenyl)-4-oxobutyl][1,4'-bipiperidine]-4'-carboxamide



Reference(s):
US 3 041 344 (Janssen; 26.6.1962; prior. 1.12.1960).
DE 1 235 319 (Janssen; appl. 28.11.1961; USA-prior. 1.12.1960).
Westeringh, C. van de et al.: J. Med. Chem. (JMCMAR) **7**, 619 (1964).

Formulation(s): syrup 20 mg/5 ml; tabl. 40 mg (as dihydrochloride)

Trade Name(s):

D:	Dipiperon (Janssen-Cilag)	F:	Dipiperon (Janssen-Cilag; as dihydrochloride)	I:	Piperonil (Lusofarmaco)
				J:	Propitan (Eisai)

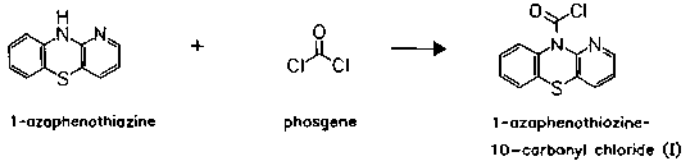
Pipazetate

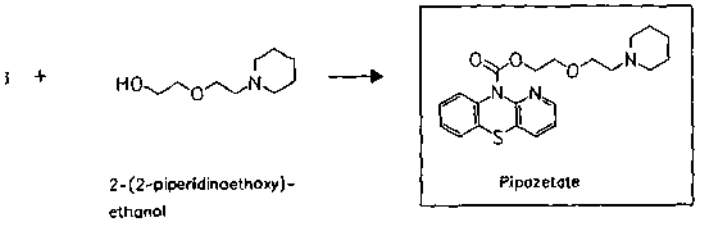
(Pipazethate)

ATC: R05DB11
Use: antitussive

RN: 2167-85-3 MF: $C_{21}H_{25}N_3O_3S$ MW: 399.52 EINECS: 218-508-8
LD_{50}: 13.14 mg/kg (M, i.v.)
CN: 10*H*-pyrido[3,2-*b*][1,4]benzothiazine-10-carboxylic acid 2-[2-(1-piperidinyl)ethoxy]ethyl ester

monohydrochloride
RN: 6056-11-7 MF: $C_{21}H_{25}N_3O_3S \cdot HCl$ MW: 435.98 EINECS: 227-980-4
LD_{50}: 16 mg/kg (M, i.v.); 214 mg/kg (M, p.o.);
17 mg/kg (R, i.v.); 530 mg/kg (R, p.o.);
8 mg/kg (dog, i.v.); 80 mg/kg (dog, p.o.)





Reference(s):
DE 1 055 538 (Degussa; appl. 15.6.1957).
US 2 989 529 (Degussa; 20.6.1961; D-prior. 15.6.1957).

Formulation(s): drops 40 mg; suppos. 10 mg; syrup 10 mg/5 ml (as hydrochloride)

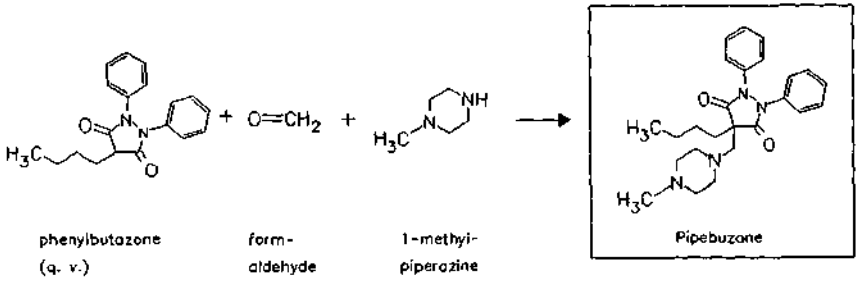
Trade Name(s):

D:	Selvigon (ASTA Medica AWD)	GB:	Selvigon (Smith Kline & French); wfm	I:	Selvigon (Rhône-Poulenc Rorer)

Pipebuzone

ATC: M01AA; S01BC
Use: anti-inflammatory, antipyretic, analgesic

RN: 27315-91-9 MF: $C_{25}H_{32}N_4O_2$ MW: 420.56 EINECS: 248-398-7
CN: 4-butyl-4-[(4-methyl-1-piperazinyl)methyl]-1,2-diphenyl-3,5-pyrazolidinedione



Reference(s):
DE 1 958 722 (Lab. Dausse; appl. 22.11.1969; F-prior. 25.11.1968, 19.2.1969).

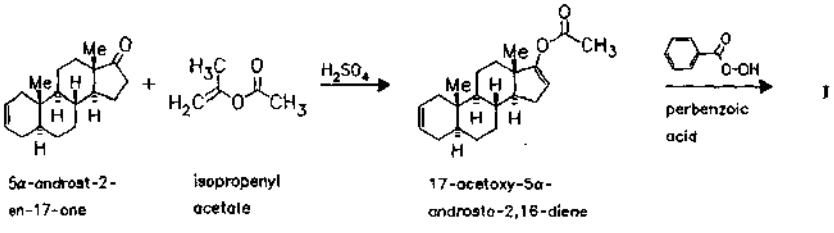
Formulation(s): cps. 150 mg; suppos. 300 mg

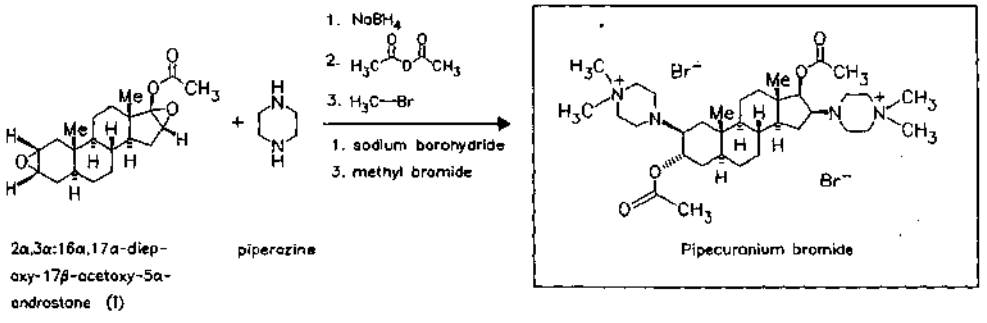
Trade Name(s):
F: Élarzone-Dausse (Dausse); wfm

Pipecuronium bromide

ATC: M03AC06
Use: muscle relaxant, non-depolarizing neuromuscular blocker

RN: 52212-02-9 MF: $C_{35}H_{62}Br_2N_4O_4$ MW: 762.71 EINECS: 257-740-4
LD_{50}: 55300 ng/kg (M, i.m.); 70600 ng/kg (M, i.p.); 29700 ng/kg (M, i.v.); 22 mg/kg (M, p.o.); 60500 ng/kg (M, s.c.);
450 µg/kg (R, i.p.); 173 µg (R, i.p.); 173 µg (R, i.v.)
CN: 4,4'-[(2β,3α,5α,16β,17β)-3,17-bis(acetyloxy)androstane-2,16-diyl]bis[1,1-dimethylpiperazinium] dibromide





Reference(s):
DE 2 337 882 (Richter Gedeon; appl. 26.7.1973; H-prior. 27.7.1972).
NL 7 310 389 (Richter Gedeon; appl. 26.7.1973; H-prior. 27.7.1972).
Tuba, Z.: Arzneim.-Forsch. (ARZNAD) **30**, 342 (1980).

Formulation(s): vial 10 mg/10 ml

Trade Name(s):
USA: Arduan (Organon; 1990)

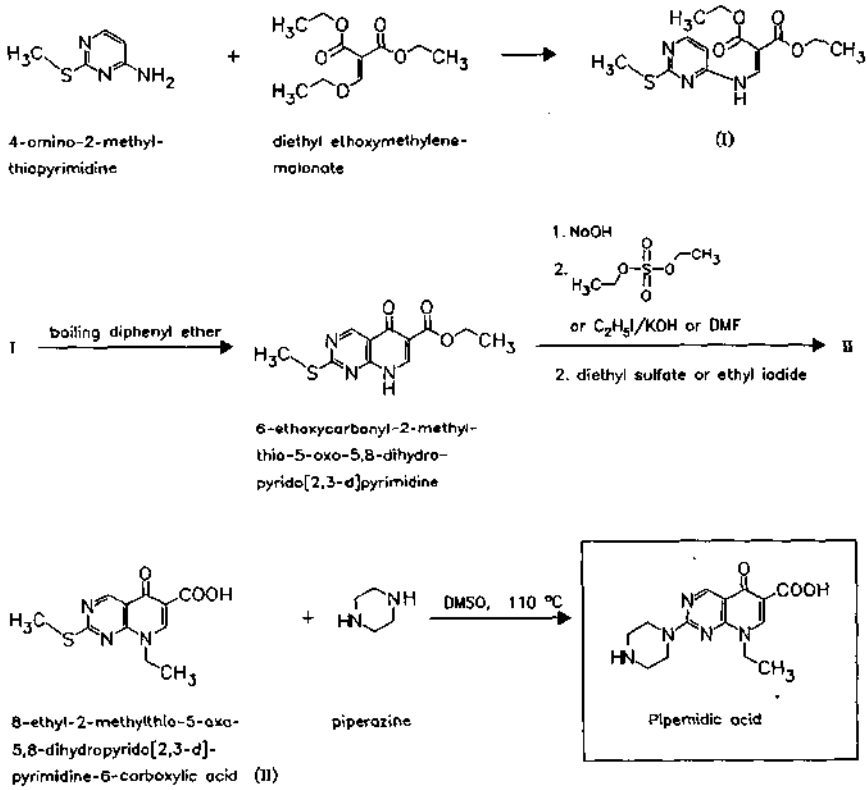
Pipemidic acid

(Acide pipemidique; Piperamic acid)

ATC: G04AB03
Use: chemotherapeutic (urinary tract infections), antibacterial

RN: 51940-44-4 MF: $C_{14}H_{17}N_5O_3$ MW: 303.32 EINECS: 257-530-2
LD_{50}: 300 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
529 mg/kg (R, i.v.); 16 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 8-ethyl-5,8-dihydro-5-oxo-2-(1-piperazinyl)pyrido[2,3-*d*]pyrimidine-6-carboxylic acid

trihydrate
RN: 72571-82-5 MF: $C_{14}H_{17}N_5O_3 \cdot 3H_2O$ MW: 357.37



Reference(s):
US 3 950 338 (Roger Bellon; 13.4.1976; appl. 31.7.1973; F-prior. 2.8.1972).
DE 2 338 325 (Roger Bellon; prior. 1.8.1973).
DOS 2 341 146 (Dainippon; appl. 14.8.1973; J-prior. 14.8.1972, 19.12.1972, 22.12.1972, 26.12.1972, 27.12.1972, 25.5.1973, 19.6.1973).
US 3 887 557 (Dainippon; 3.6.1975; J-prior. 14.8.1972).
US 3 962 443 (Dainippon; 8.6.1976; J-prior. 14.8.1972, 19.12.1972, 22.12.1972, 26.12.1972, 27.12.1972, 25.5.1973, 19.6.1973).
Matsumoto, J.; Minami, S.: J. Med. Chem. (JMCMAR) **18**, 74 (1975).

precursor (8-ethyl-2-methylthio-5-oxo-5,8-dihydropyrido[2,3-*d*]pyrimidine-6-carboxylic acid):
DOS 2 143 369 (Dainippon; appl. 30.8.1971; J-prior. 29.8.1970)
GB 1 129 358 (Dainippon; appl. 8.9.1966; J-prior. 8.9.1965, 10.9.1965).

alternative synthesis:
DOS 2 338 325 (Roger Bellon; appl. 1.8.1973; F-prior. 2.8.1972).

Formulation(s): cps. 200 mg, 400 mg (as trihydrate)

Trade Name(s):

D: Deblaston (Madaus; 1978)

F: Pipram (Rhône-Poulenc Rorer Bellon; 1975)

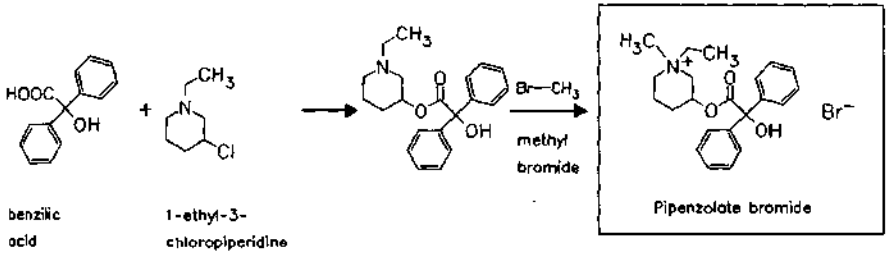
I: Acipem (Caber)
Diperpen (Francia Farm.)
Filtrax (Ipso-Pharma)
Pipeacid (Tosi-Novara)
Pipedac (Teofarma)
Pipefort (Lampugnani)
Pipemid (Gentili)
Pipram (Rhône-Poulenc Rorer; 1979)
Pipurin (NCSN)
Tractur (Damor)
Urodene (O.F.F.)
Uropimid (CT)
Urosan (AGIPS)
Urosetic (Finmedical)
Urotractin (SmithKline Beecham)
Uroval (Firma)

J: Dolcol (Dainippon; 1979)

Pipenzolate bromide

ATC: A03AB14
Use: anticholinergic, antispasmodic

RN: 125-51-9 MF: $C_{22}H_{28}BrNO_3$ MW: 434.37 EINECS: 204-741-2
LD_{50}: 18 mg/kg (M, i.v.); 1140 mg/kg (M, p.o.);
18 mg/kg (R, i.v.); 916 mg/kg (R, p.o.)
CN: 1-ethyl-3-[(hydroxydiphenylacetyl)oxy]-1-methylpiperidinium bromide



Reference(s):
US 2 918 406 (Lakeside Labs.; 22.12.1959; appl. 8.4.1957; prior. 18.8.1950).

Formulation(s): tabl. 5 mg

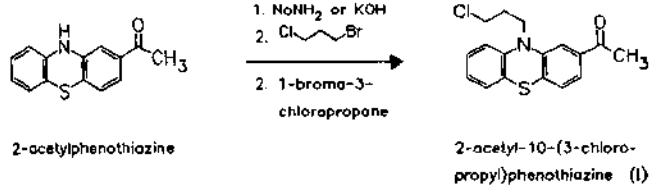
Trade Name(s):

F:	Piptal (Roger Bellon); wfm	I:	Piper (Panthox & Burck); wfm	USA:	Piptal (Hoechst Marion Roussel; Merrell-National); wfm
GB:	Piptal (M.C.P. Pharmaceuticals); wfm		Piptal (RBS Pharma); wfm		
	Piptalin (M.C.P. Pharmaceuticals)-comb.; wfm		Piptal (Roger Bellon); wfm		
		J:	Piptal (Chugai)		

Piperacetazine

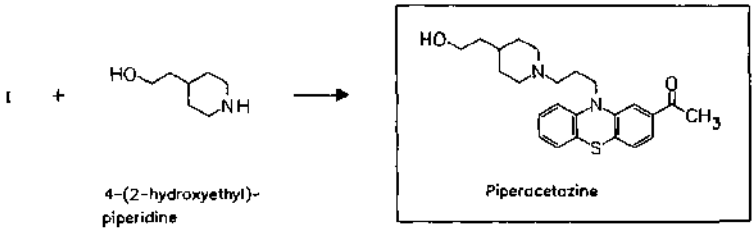
ATC: N05AC
Use: neuroleptic, antihistaminic

RN: 3819-00-9 MF: $C_{24}H_{30}N_2O_2S$ MW: 410.58 EINECS: 223-312-0
LD_{50}: 575 mg/kg (M, p.o.);
390 mg/kg (R, p.o.)
CN: 1-[10-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propyl]-10*H*-phenothiazin-2-yl]ethanone



2-acetylphenothiazine

2-acetyl-10-(3-chloropropyl)phenothiazine (I)



Reference(s):
GB 861 807 (Searle; appl. 6.8.1959; USA-prior. 7.8.1958).

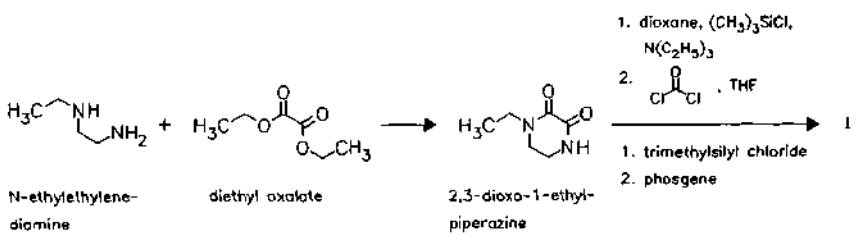
Formulation(s): tabl. 10 mg

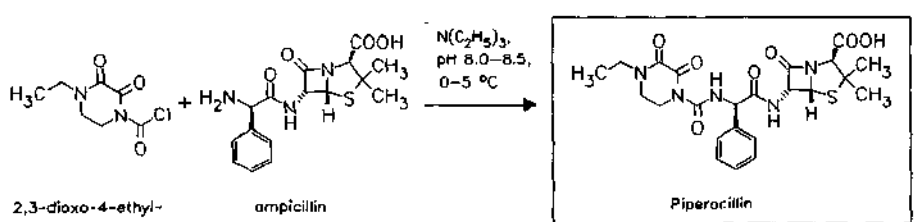
Trade Name(s):
USA: Quide (Dow); wfm

Piperacillin

ATC: J01CA12
Use: antibiotic

RN: 61477-96-1 MF: $C_{23}H_{27}N_5O_7S$ MW: 517.56 EINECS: 262-811-8
LD_{50}: 5 g/kg (M, i.v.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[[[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]phenylacetyl]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid





Reference(s):
DOS 2 519 400 (Toyama; appl. 30.4.1975; J-prior. 9.5.1974, 13.5.1974, 31.5.1974, 13.8.1974, 26.9.1974, 13.12.1974, 27.3.1975).
DOS 2 824 610 (Toyama; appl. 5.6.1978; J-prior. 8.6.1977).
GB 1 508 062 (Toyama; appl. 28.4.1975; J-prior. 9.5.1974, 13.5.1974, 31.5.1974, 24.7.1974, 7.8.1974, 13.8.1974, 26.9.1974, 12.10.1974, 28.10.1974, 6.12.1974, 13.12.1974, 17.2.1975, 26.3.1975, 27.3.1975).
US 4 112 090 (Toyama; 5.9.1978; J-prior. 13.12.1974).

precursors:
US 4 087 424 (Toyama; 2.5.1978; J-prior. 9.5.1974).

Formulation(s): amp. 1 g/10 ml, 2 g/20 ml; vial 1 g, 1.5 g, 2 g, 3 g, 4 g (as sodium salt)

Trade Name(s):

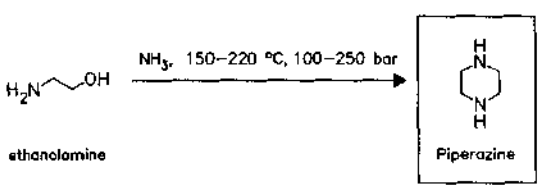
D:	Pipril (Lederle; 1980)		Tazocin (Wyeth)-comb.	J:	Pentcillin (Sankyo; 1980)
F:	Pipérilline (Wyeth-Lederle)	I:	Avocin (Wyeth-Lederle; 1982)	USA:	Pipracil (Lederle; 1982)
	Tazocilline (Wyeth-Lederle)		Eril (Savio IBN)		Zasyn (Lederle)
GB:	Pipril (Wyeth-Lederle; 1982)		Tazocil (Wyeth-Lederle)-comb.		

Piperazine

ATC: P02CB01
Use: anthelmintic

RN: 110-85-0 MF: $C_4H_{10}N_2$ MW: 86.14 EINECS: 203-808-3
LD_{50}: 1180 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
1340 mg/kg (R, i.v.); 1900 mg/kg (R, p.o.)
CN: piperazine

hexahydrate
RN: 142-63-2 MF: $C_4H_{10}N_2 \cdot 6H_2O$ MW: 194.23
LD_{50}: 11.2 g/kg (M, p.o.)
dihydrochloride
RN: 142-64-3 MF: $C_4H_{10}N_2 \cdot 2HCl$ MW: 159.06 EINECS: 205-551-2
LD_{50}: 4900 mg/kg (R, p.o.)
phosphate
RN: 1951-97-9 MF: $C_4H_{10}N_2 \cdot xH_3O_4P$ MW: unspecified EINECS: 217-775-8
LD_{50}: 20 g/kg (M, p.o.)
tartrate (1:1)
RN: 133-36-8 MF: $C_4H_{10}N_2 \cdot C_4H_6O_6$ MW: 236.22 EINECS: 205-104-1
citrate (3:2)
RN: 144-29-6 MF: $C_6H_8O_7 \cdot 3/2C_4H_{10}N_2$ MW: 642.66 EINECS: 205-622-8
LD_{50}: 8500 mg/kg (M, p.o.);
11200 mg/kg (R, p.o.)
citrate (3:2) hydrate
RN: 41372-10-5 MF: $C_6H_8O_7 \cdot 3/2C_4H_{10}N_2 \cdot xH_2O$ MW: unspecified
edetate calcium (1:1)
RN: 12002-30-1 MF: $C_{10}H_{14}CaN_2O_8 \cdot C_4H_{10}N_2$ MW: 416.44
edetate calcium (1:1) dihydrate
RN: 50322-15-1 MF: $C_{10}H_{14}CaN_2O_8 \cdot C_4H_{10}N_2 \cdot 2H_2O$ MW: 452.47
adipate (1:1)
RN: 142-88-1 MF: $C_6H_{10}O_4 \cdot C_4H_{10}N_2$ MW: 232.28 EINECS: 205-569-0
LD_{50}: 8 g/kg (M, p.o.);
7900 mg/kg (R, p.o.)



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. 7, 385.

Formulation(s): tabl. 10 mg

Trade Name(s):

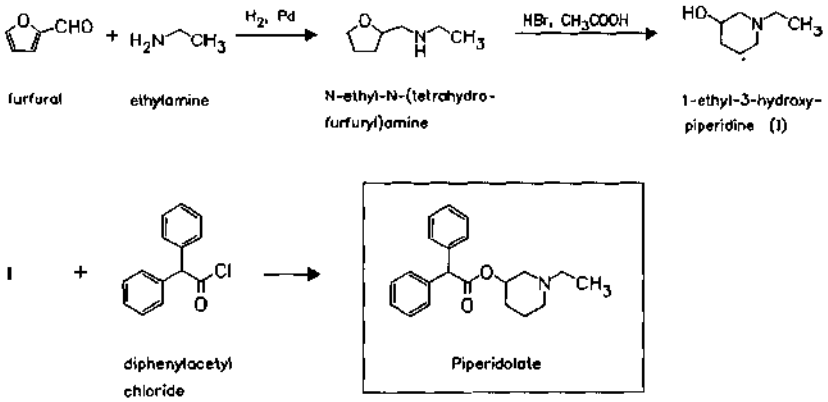
D:	Girheulit (Pflüger)-comb.	GB:	Pripsen (Seton)-comb.	USA:	Antepar (Burroughs Wellcome); wfm
F:	Carudol (Boehringer Ing.) Vermifuge Sorin (Sorin-Maxim)	I:	Citropiperazina (Rhône-Poulenc Pharma)		
		J:	Bexin (Tanabe)		

Piperidolate

ATC: A03AA30
Use: anticholinergic, antispasmodic

RN: 82-98-4 MF: $C_{21}H_{25}NO_2$ MW: 323.44 EINECS: 201-449-7
LD_{50}: 75 mg/kg (M, i.v.);
100 mg/kg (R, i.v.)
CN: α-phenylbenzeneacetic acid 1-ethyl-3-piperidinyl ester

hydrochloride
RN: 129-77-1 MF: $C_{21}H_{25}NO_2 \cdot HCl$ MW: 359.90 EINECS: 204-964-5
LD_{50}: 26 mg/kg (M, i.v.); 1040 mg/kg (M, p.o.);
19 mg/kg (R, i.v.);
35 mg/kg (dog, i.v.)



Reference(s):
US 2 918 407 (Lakeside Labs.; 22.12.1959; appl. 8.4.1957; prior. 18.8.1950).
Biel, J.H. et al.: J. Am. Chem. Soc. (JACSAT) **74**, 1485 (1952).

Formulation(s): tabl. 50 mg (as hydrochloride)

Trade Name(s):

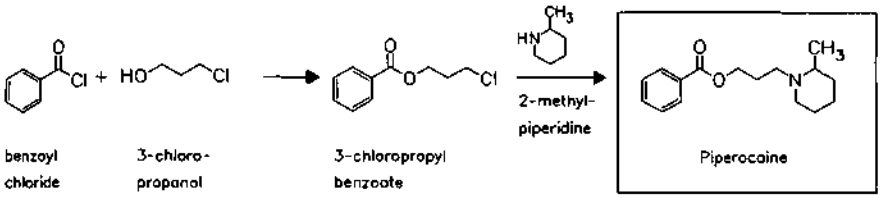
D:	Dactil (Med-Fabrik); wfm	I:	Dactil (Roger Bellon); wfm	USA:	Dactil (Merrell-National); wfm
F:	Dactil (Roger Bellon); wfm Dactilase (Roger Bellon)-comb.; wfm		Dactilase (RBS Pharma)-comb.; wfm		Dactilase (Merrell-National); wfm
GB:	Dactil (M.C.P. Pharmaceuticals); wfm	J:	Cactiran (Kyorin) Dactil OB (Kissei) Edelel (Mochida)		

Piperocaine

ATC: N01BC
Use: local anesthetic

RN: 136-82-3 MF: $C_{16}H_{23}NO_2$ MW: 261.37 EINECS: 205-262-1
CN: (±)-2-methyl-1-piperidinepropanol benzoate (ester)

hydrochloride
RN: 24561-10-2 MF: $C_{16}H_{23}NO_2 \cdot HCl$ MW: 297.83
LD_{50}: 18.2 mg/kg (M, i.v.);
20 mg/kg (R, i.v.)



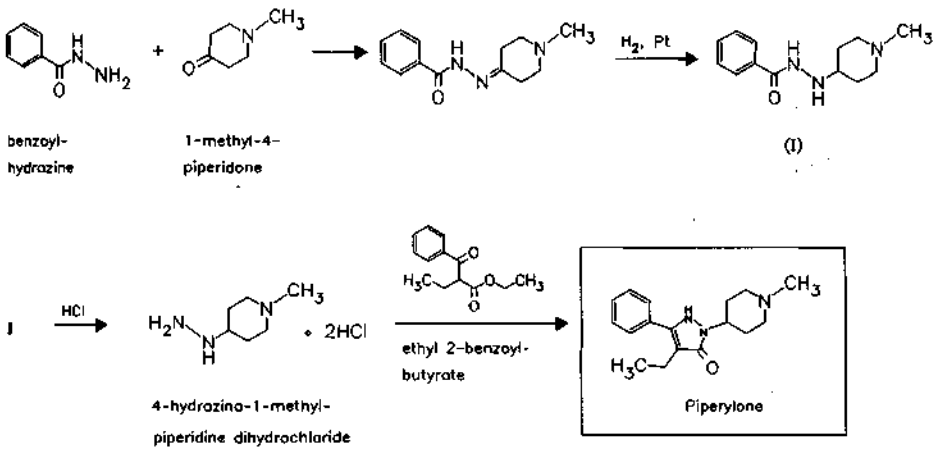
Reference(s):
US 1 784 903 (S. M. McElvain; 1930; prior. 1927).

Trade Name(s):
USA: Metycaine (Lilly); wfm

Piperylone

ATC: N02BB
Use: analgesic

RN: 2531-04-6 MF: $C_{17}H_{23}N_3O$ MW: 285.39 EINECS: 219-788-4
CN: 4-ethyl-1,2-dihydro-2-(1-methyl-4-piperidinyl)-5-phenyl-3*H*-pyrazol-3-one



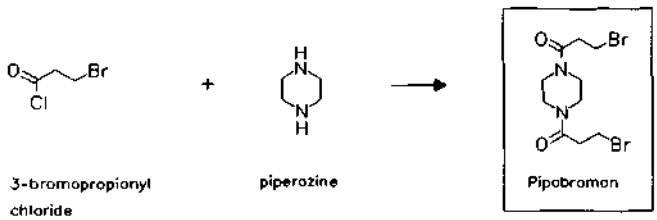
Reference(s):
US 2 903 460 (Sandoz; 8.9.1959; CH-prior. 7.4.1956).
Ebnöther, A. et al.: Helv. Chim. Acta (HCACAV) **42**, 1201 (1959).

Trade Name(s):
D: Pelerol (Sandoz)-comb.;
wfm

Pipobroman

ATC: L01AX02
Use: antineoplastic

RN: 54-91-1 MF: $C_{10}H_{16}Br_2N_2O_2$ MW: 356.06
LD_{50}: 382 mg/kg (M, p.o.);
220 mg/kg (R, p.o.)
CN: 1,4-bis(3-bromo-1-oxopropyl)piperazine



Reference(s):
DE 1 138 781 (Abbott; appl. 10.10.1960; USA-prior. 11.7.1960).

Formulation(s): tabl. 10 mg, 25 mg

Trade Name(s):

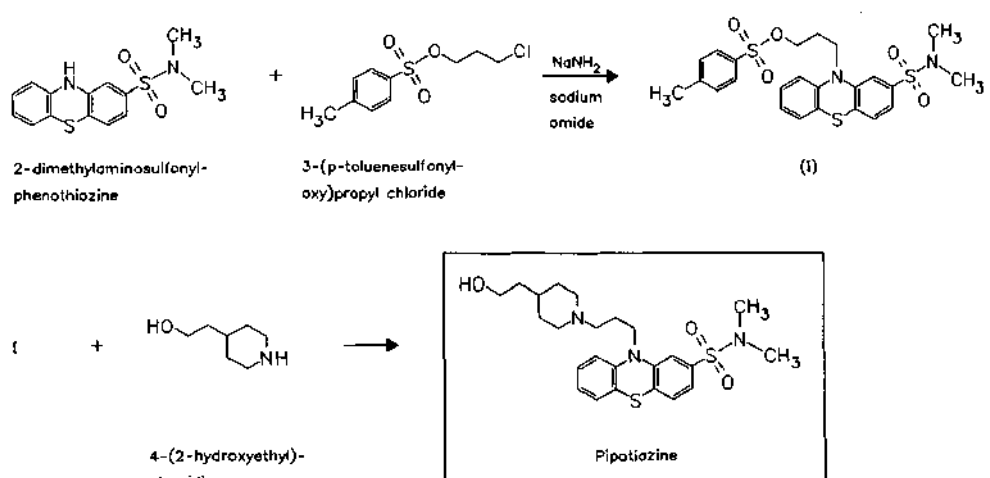
D:	Vercyte (Abbott); wfm	I:	Vercite 25 (Abbott)	USA:	Vercyte (Abbott); wfm
F:	Vercyte (Abbott)	J:	Amedel (Marupi)		

Pipotiazine

ATC: N05AC04
Use: neuroleptic

RN: 39860-99-6 MF: $C_{24}H_{33}N_3O_3S_2$ MW: 475.68 EINECS: 254-659-6
CN: 10-[3-[4-(2-hydroxyethyl)-1-piperidinyl]propyl]-*N*,*N*-dimethyl-10*H*-phenothiazine-2-sulfonamide

palmitate
RN: 37517-26-3 MF: $C_{40}H_{63}N_3O_4S_2$ MW: 714.09



Reference(s):
DE 1 117 584 (Rhône-Poulenc; appl. 1958; F-prior. 1957).

Formulation(s): amp. 10 mg/2 ml, 100 mg/4 ml, 25 mg/1 ml; drops 4 % (as palmitate); tabl. 10 mg

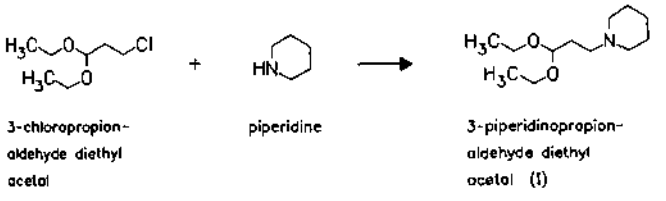
Trade Name(s):
F: Piportil (Rhône-Poulenc Rorer Specia)
Piportil L4 (Rhône-Poulenc Rorer Specia; as palmitate)
GB: Piportil Depot (IHC; as palmitate)

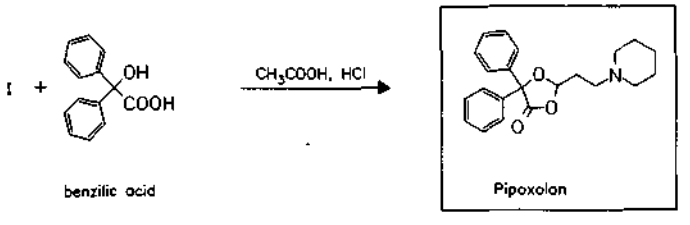
Pipoxolan

ATC: A03AA
Use: antispasmodic

RN: 23744-24-3 MF: $C_{22}H_{25}NO_3$ MW: 351.45
CN: 5,5-diphenyl-2-[2-(1-piperidinyl)ethyl]-1,3-dioxolan-4-one

hydrochloride
RN: 18174-58-8 MF: $C_{22}H_{25}NO_3 \cdot HCl$ MW: 387.91
LD_{50}: 35 mg/kg (M, i.v.); 700 mg/kg (M, p.o.);
60 mg/kg (R, i.v.); 1500 mg/kg (R, p.o.)





Reference(s):
GB 1 109 959 (Rowa-Wagner; appl. 3.10.1966; A-prior. 5.10.1965).

Formulation(s): tabl. 10 mg

Trade Name(s):
D: Rowapraxin (Rowa-Wagner)

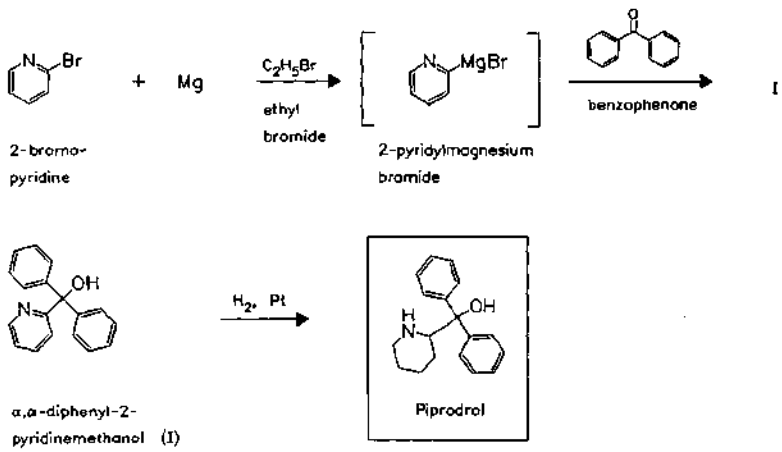
Pipradrol

ATC: N06BX15
Use: central stimulant

RN: 467-60-7 MF: $C_{18}H_{21}NO$ MW: 267.37 EINECS: 207-394-5
LD_{50}: 74 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 180 mg/kg (R, p.o.)
CN: α,α-diphenyl-2-piperidinemethanol

hydrochloride

RN: 71-78-3 MF: $C_{18}H_{21}NO \cdot HCl$ MW: 303.83 EINECS: 200-764-7
LD_{50}: 20 mg/kg (M, i.v.); 120 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 180 mg/kg (R, p.o.)



Reference(s):
Tilford, C.H. et al.: J. Am. Chem. Soc. (JACSAT) **70**, 4001 (1948).
US 2 624 739 (Merrell; 1953; appl. 1949).

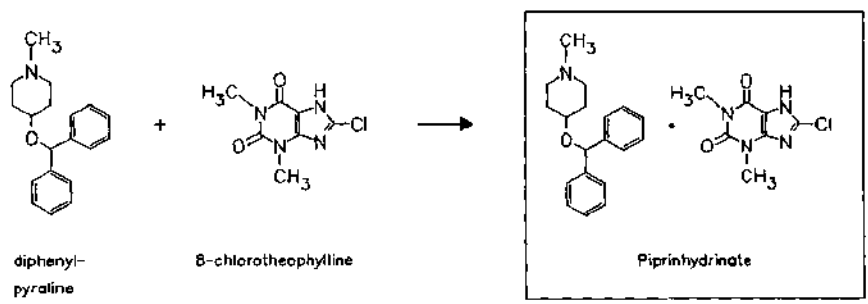
Formulation(s): drg. 1 mg (as hydrochloride)

Trade Name(s):
D: Vitazell G forte (Tosse)-comb.; wfm
I: Detaril (Isom); wfm

Piprinhydrinate

ATC: R06
Use: antihistaminic, anti-emetic, antirhinitic

RN: 606-90-6 MF: $C_{19}H_{23}NO \cdot C_7H_7ClN_4O_2$ MW: 496.01 EINECS: 210-128-0
LD_{50}: 75 mg/kg (M, i.v.); 275 mg/kg (M, p.o.)
CN: 8-chloro-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione compd. with 4-(diphenylmethoxy)-1-methylpiperidine (1:1)



Reference(s):
DE 934 890 (Promonta; appl. 1951).

Formulation(s): drg. 1 mg; syrup 0.5 mg in comb. with paracetamol, ethenzamide

Trade Name(s):

D: Kolton (Byk Gulden)-comb.

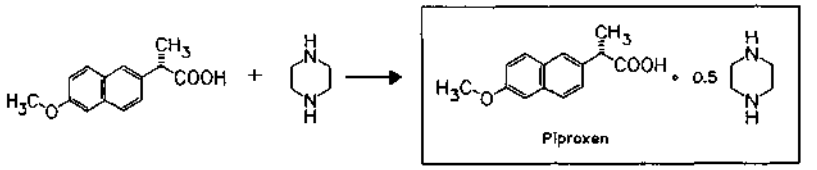
J: Agiell (Sanwa)
Plokon (Nippon Shinyaku)

Piproxen

(Naproxen piperazine)

ATC: M01AE
Use: non-steroidal anti-inflammatory

RN: 70981-66-7 MF: $C_{14}H_{14}O_3 \cdot 1/2C_4H_{10}N_2$ MW: 546.66 EINECS: 275-083-1
CN: (*S*)-6-methoxy-α-methyl-2-naphthaleneacetic acid, compd. with piperazine (2:1)



naproxen
(q. v.)

Reference(s):
ES 474 535 (Centro Inv. Farm.; appl. 16.3.1979).
EP 308 739 (Coop. Farm. Soc.; appl. 9.9.1989; I-prior. 22.9.1987).

Formulation(s): suppos. 600 mg; tabl. 300 mg

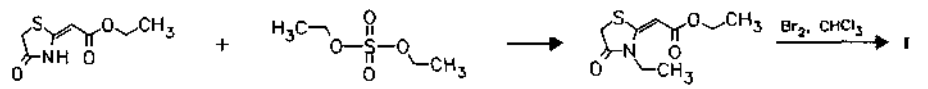
Trade Name(s):

I: Alganil (Ibis); wfm

Piprozolin

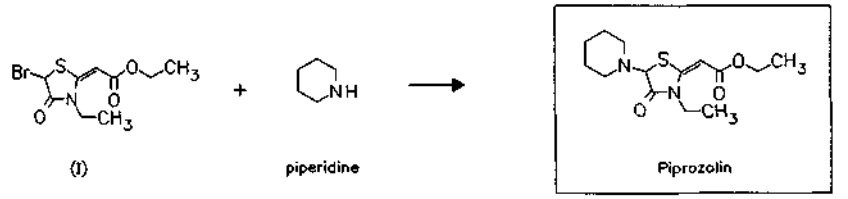
ATC: A05AX01
Use: choleretic

RN: 17243-64-0 MF: $C_{14}H_{22}N_2O_3S$ MW: 298.41 EINECS: 241-280-6
LD_{50}: 1310 mg/kg (M, p.o.);
3256 mg/kg (R, p.o.)
CN: [3-ethyl-4-oxo-5-(1-piperidinyl)-2-thiazolidinylidene]acetic acid ethyl ester



ethyl 4-oxothiazolidin-2-ylideneacetate
(cf. etozolin synthesis)

diethyl sulfate



(I)

piperidine

Reference(s):
DOS 2 414 345 (Gödecke; appl. 25.3.1974).

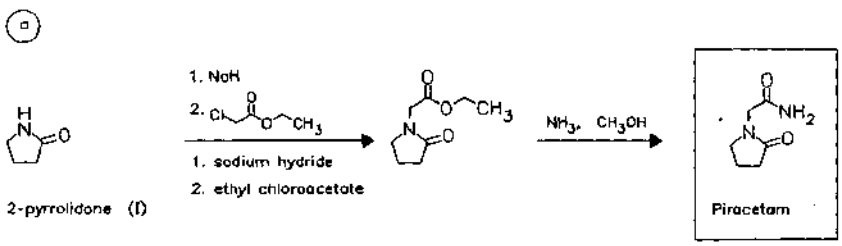
Formulation(s): drg. 100 mg

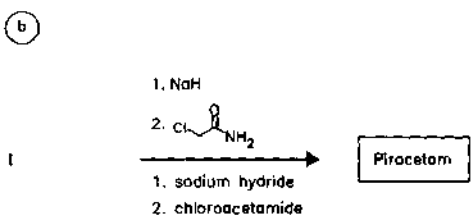
Trade Name(s):
D: Probilin (Gödecke); wfm
I: Probilin (Parke Davis); wfm
Secrebil (Isnardi); wfm

Piracetam

ATC: N06BX03
Use: cerebrostimulant

RN: 7491-74-9 MF: $C_6H_{10}N_2O_2$ MW: 142.16 EINECS: 231-312-7
LD_{50}: 9200 mg/kg (M, i.v.); 2 g/kg (M, p.o.)
CN: 2-oxo-1-pyrrolidineacetamide





Reference(s):
US 3 459 738 (UCB; 5.8.1969; GB-prior. 6.8.1964).
DAS 1 620 608 (UCB; appl. 4.8.1965; GB-prior. 6.8.1964).

alternative synthesis (from N-(4-chlorobutyryl)glycinamide):
DAS 2 759 297 (Pliva; appl. 14.1.1977; YU-prior. 14.1.1976, 11.8.1976).
DOS 2 701 450 (Pliva; appl. 14.1.1977; YU-prior. 14.1.1976, 11.8.1976).

platelet aggregation inhibitory activity:
DOS 2 746 761 (UCB; appl. 18.10.1977; GB-prior. 19.10.1976).
US 4 115 579 (UCB; 19.9.1978; GB-prior. 19.10.1976).

Formulation(s): amp. 1 g/5 ml; sol. 333 mg, 416.25 mg; cps. 400 mg, 1200 mg; drinking amp. 1 g; f. c. tabl. 800 mg, 1200 mg; gran. 1200 mg, 1600 mg; tabl. 400 mg, 1200 mg

Trade Name(s):
D: Avigilen (Brenner-Efeka)
Cerebroforte (Azuchemie)
Cerepar (Merckle)
Cuxabrain (TAD)
Encetrop (Kytta-Siegfried)
Nootrop (UCB; 1974)
Normabrain (Hoechst; 1974)
Pinacetrop (Holsten)
Sinapsan (Rodleben; Vedim)
generics
F: Axonyl (Parke Davis)
Gabacet (Synthélabo)
Geram (Vedim)
Nootropyl (UCB; 1972)
GB: Nootropil (UCB)
I: Cerebropan (Nuovo ISM)

Clevian (Aesculapius) Nootropil (UCB) Psycoton (Esseti)
Flavis (Pulitzer) Norzetam (Vedim Pharma)

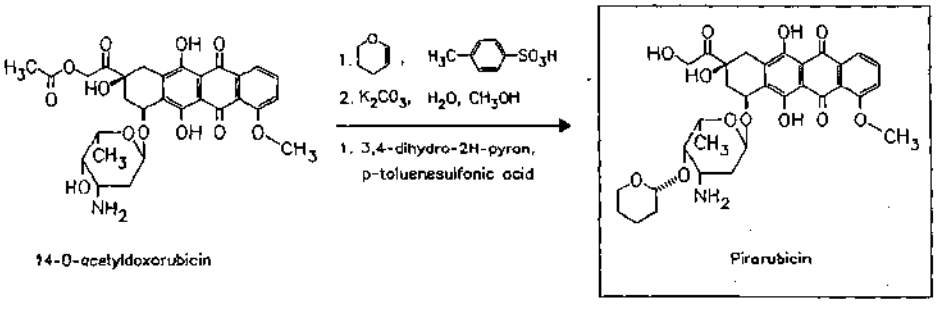
Pirarubicin

(Theprubicin; THP-ADM)

ATC: L01DB08
Use: antitumor anthracycline antibiotic

RN: 72496-41-4 MF: $C_{32}H_{37}NO_{12}$ MW: 627.64
LD_{50}: 27.8 mg/kg (M, i.v.)
CN: [8*S*-[8α,10α(*S**)]]-10-[[3-amino-2,3,6-trideoxy-4-*O*-(tetrahydro-2*H*-pyran-2-yl)-α-L-*lyxo*-hexopyranosyl]oxy]-7,8,9,10-tetrahydro-6,8,11-trihydroxy-8-(hydroxyacetyl)-1-methoxy-5,12-naphthacenedione

monohydrochloride
RN: 95343-20-7 MF: $C_{32}H_{37}NO_{12} \cdot HCl$ MW: 664.10
LD_{50}: 14 mg/kg (M, i.v.); 420 mg/kg (M, p.o.);
18.1 mg/kg (R, i.v.); >1.013 g/kg (R, p.o.)



Reference(s):
EP 14 853 (Zaidan Hojin Biseibutsu Kagaku; appl. 23.1.1980; J-prior. 3.2.1979, 31.8.1979).
US 4 303 785 (Zaidan Hojin Biseibutsu Kagaku; 1.12.1981; J-prior. 5.8.1978, 3.2.1979, 31.8.1979).
Umezawa, H. et al.: J. Antibiot. (JANTAJ) **32**, 1082 (1979).

alternative synthesis:
EP 228 546 (Zaidan Hojin Biseibutsu Kagaku; appl. 14.11.1986; J-prior. 16.11.1985).

Formulation(s): amp. 10 mg, 20 mg, 50 mg (as hydrochloride)

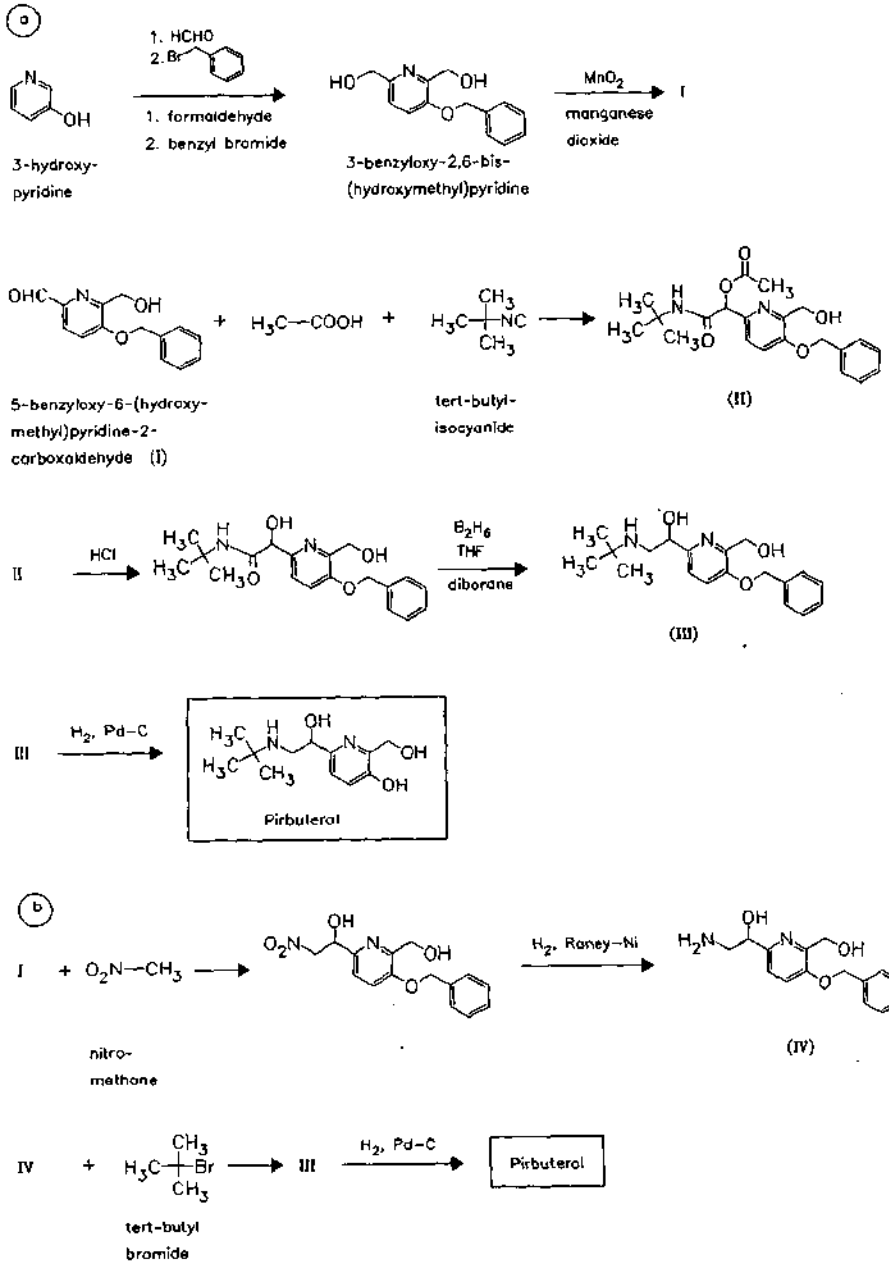
Trade Name(s):
F: Théprubicine (Rhône-Poulenc Rorer; 1990)
J: Pinorubicin (Nippon Kayaku/Sanraku; 1988)
Therarubicin (Meiji Seika; 1988)

Pirbuterol

ATC: R03AC08; R03CC07
Use: bronchodilator

RN: 38677-81-5 MF: $C_{12}H_{20}N_2O_3$ MW: 240.30
CN: α^6-[[(1,1-dimethylethyl)amino]methyl]-3-hydroxy-2,6-pyridinedimethanol

dihydrochloride
RN: 38029-10-6 MF: $C_{12}H_{20}N_2O_3 \cdot 2HCl$ MW: 313.23 EINECS: 253-751-3
monoacetate
RN: 65652-44-0 MF: $C_{12}H_{20}N_2O_3 \cdot C_2H_4O_2$ MW: 300.36 EINECS: 265-862-4



Reference(s):
US 3 700 681 (Pfizer; 24.10.1972; prior. 16.2.1971).
US 3 763 173 (Pfizer; 2.10.1973; pior. 25.5.1972, 16.2.1971).
US 3 772 314 (Pfizer; 13.11.1973; prior. 24.10.1972, 25.5.1972, 16.2.1971).
US 3 786 160 (Pfizer; 15.1.1974; prior. 25.5.1972, 24.10.1972, 16.2.1971).
DOS 2 204 195 (Pfizer; appl. 29.1.1972; USA-prior. 16.2.1971).

alternative syntheses:
EP 58 069 (Pfizer; appl. 8.2.1982; USA-prior. 9.2.1981).
US 3 948 919 (Pfizer; 6.4.1976; prior. 9.10.1974; 26.12.1973).
US 4 031 108 (Pfizer; 21.6.1977; prior. 14.7.1976, 22.9.1975, 9.10.1974, 26.12.1973).

Formulation(s): cps. 10 mg, 15 mg (as dihydrochloride); doses aerosol 0.2 mg (as acetate)

Trade Name(s):

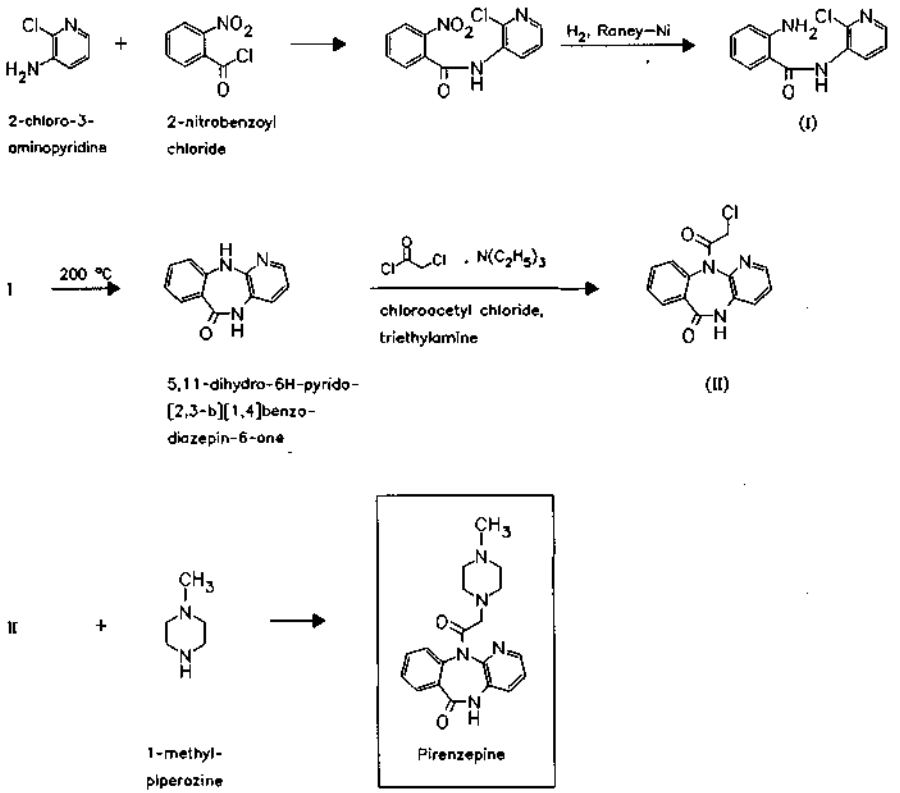
D:	Zeisin (3M Medica)	J:	Exirel (Taito Pfizer)
GB:	Exirel (Pfizer); wfm	USA:	Maxair (3M)

Pirenzepine

ATC: A02BX03
Use: peptic ulcer therapeutic

RN: 28797-61-7 MF: $C_{19}H_{21}N_5O_2$ MW: 351.41 EINECS: 249-228-4
LD_{50}: 156 mg/kg (M, i.v.); 3046 mg/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 5,11-dihydro-11-[(4-methyl-1-piperazinyl)acetyl]-6*H*-pyrido[2,3-*b*][1,4]benzodiazepin-6-one

dihydrochloride
RN: 29868-97-1 MF: $C_{19}H_{21}N_5O_2 \cdot 2HCl$ MW: 424.33 EINECS: 249-907-5
LD_{50}: 96 mg/kg (M, i.v.); 2.6 g/kg (M, p.o.);
92 mg/kg (R, i.v.); 5 g/kg (R, p.o.);
62.5 mg/kg (dog, i.v.); >3.7 g/kg (dog, p.o.)



Reference(s):
DE 1 795 183 (Thomae; appl. 20.8.1968).
Eberlein, W. et al.: Arzneim.-Forsch. (ARZNAD) **27**, 356 (1977).

5,11-dihydro-6*H*-pyrido[2,3-*b*][1,4]benzodiazepin-6-one:
DE 1 179 943 (Thomae; appl. 8.11.1962).

combination with anti-inflammatories:
DOS 2 708 520 (Thomae; appl. 26.2.1977).
US 4 154 833 (Boehringer Ing.; 15.5.1979; D-prior. 26.2.1977).

Formulation(s): amp. 10 mg/2 ml; cps. 50 mg; tabl. 25 mg, 50 mg (as dihydrochloride)

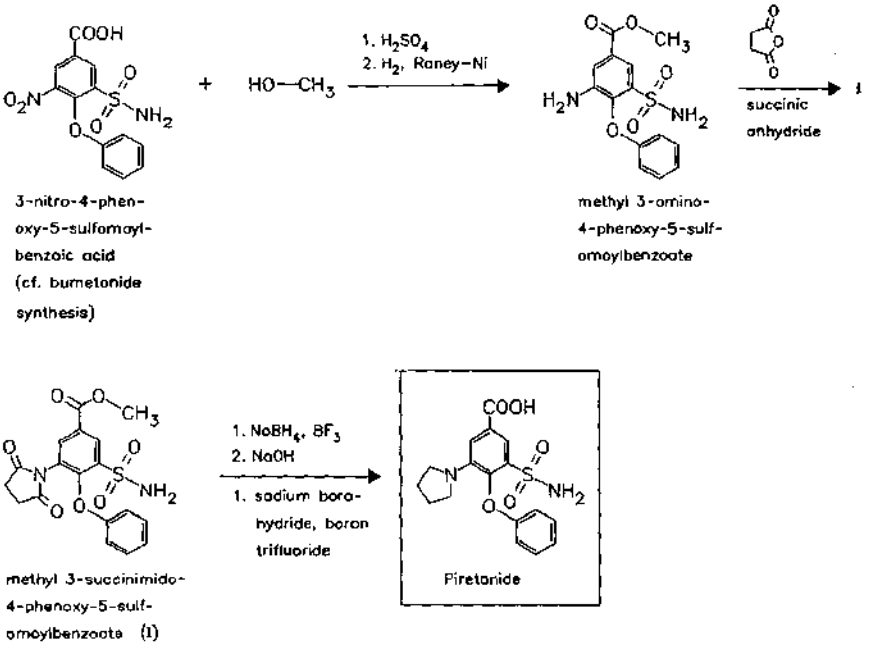
Trade Name(s):

D:	Gastricur (Heumann)	GB:	Gastrozepin (Boots); wfm		Leblon (De Angeli)
	Gastrozepin (Boehringer Ing.)	I:	Duogastral (Nuovo ISM)		Lulcus (Tosi-Novara)
			Frazim (Francia Farm.)		Maghen (Caber)
	Ulcoprotect-25/-50 (Azuchemie)		Gastrol (Salus Research)		Ulcin (Ibirn)
	generics		Gastropiren (AGIPS)	J:	Gastrozepin (Boehringer Ing.)
F:	Gastrozépine (Boehringer Ing.); wfm		Gastrosed (Samil)		Gastrozepin (Boehringer-Tablinen)
			Gastrozepin (Boehringer Ing.)		

Piretanide

ATC: C03CA03
Use: diuretic

RN: 55837-27-9 MF: $C_{17}H_{18}N_2O_5S$ MW: 362.41 EINECS: 259-852-9
LD_{50}: 618 mg/kg (M, i.v.); 2 g/kg (M, p.o.);
700 mg/kg (R, i.v.); 5601 mg/kg (R, p.o.);
>1 g/kg (dog, p.o.)
CN: 3-(aminosulfonyl)-4-phenoxy-5-(1-pyrrolidinyl)benzoic acid



Reference(s):
DOS 2 419 970 (Hoechst; appl. 25.4.1974).
Merkel, W. et al.: Eur. J. Med. Chem. (EJMCA5) **11**, 399 (1976).

Formulation(s): amp. 6 mg/2 ml, 12 mg/5 ml; s. r. cps. 6 mg; tabl. 3 mg, 6 mg

Trade Name(s):

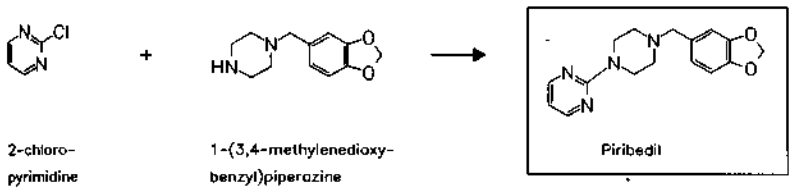
D:	Arelix (Hoechst) Betarelix (Hoechst)-comb.	Arelix (Hoechst/Albert); wfm		I:	Tauliz (Hoechst Marion Roussel)
GB:	Arelix (Albert); wfm			J:	Arelix (Hoechst)

Piribedil

ATC: C04AX13
Use: vasodilator

RN: 3605-01-4 MF: $C_{16}H_{18}N_4O_2$ MW: 298.35 EINECS: 222-764-6
LD_{50}: 88 mg/kg (M, i.v.); 1460 mg/kg (M, p.o.)
CN: 2-[4-(1,3-benzodioxol-5-ylmethyl)-1-piperazinyl]pyrimidine

monomesylate
RN: 52293-23-9 MF: $C_{16}H_{18}N_4O_2 \cdot CH_4O_3S$ MW: 394.45 EINECS: 257-818-8
LD_{50}: 510 mg/kg (M, i.p.)



Reference(s):
US 3 299 067 (Science Union; 17.1.1967; GB-prior. 19.11.1963).
GB 1 101 425 (Science Union; appl. 19.11.1963; valid from 18.11.1964).
Regnier, G.J. et al.: J. Med. Chem. (JMCMAR) **11**, 1151 (1968).

Formulation(s): amp. 3 mg/1 ml; drg. 20 mg; s. r. drg. 50 mg (as mesylate)

Trade Name(s):

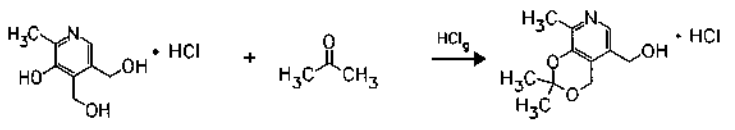
D:	Trivastal (Servier)	F:	Trivastal (Euthérapie)	I:	Trivastan (Stroder)

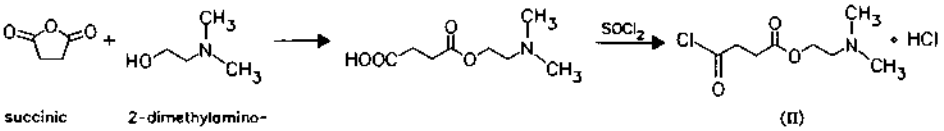
Pirisudanol

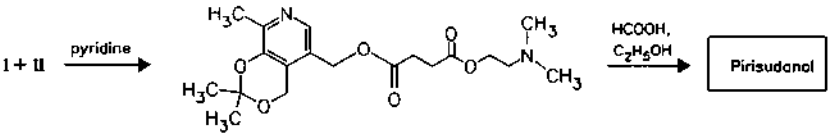
ATC: N06BX08
Use: psychotropic drug, cerebrostimulant

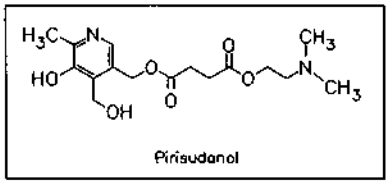
RN: 33605-94-6 MF: $C_{16}H_{24}N_2O_6$ MW: 340.38 EINECS: 251-591-9
CN: butanedioic acid 2-(dimethylamino)ethyl [5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridinyl]methyl ester

dimaleate
RN: 33510-78-0 MF: $C_{16}H_{24}N_2O_6 \cdot C_4H_4O_4$ MW: 456.45 EINECS: 251-550-5









Reference(s):
US 3 717 636 (A. Esanu; 20.2.1973; GB-prior. 21.1.1970).
DE 2 102 831 (Soc. d'Etudes de Produits Chim.; appl. 21.1.1971; GB-prior. 21.1.1970).

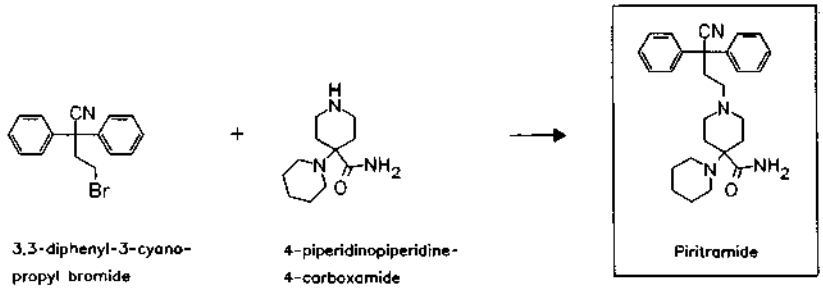
Formulation(s): cps. 300 mg (as maleate)

Trade Name(s):
F: Stivane (Beaufour) I: Mentium (Guidotti)

Piritramide
(Pirinitramide)

ATC: N02AC03
Use: analgesic

RN: 302-41-0 MF: $C_{27}H_{34}N_4O$ MW: 430.60 EINECS: 206-124-3
LD_{50}: 30.7 mg/kg (M, i.v.); >320 mg/kg (M, p.o.);
13 mg/kg (R, i.v.); 320 mg/kg (R, p.o.)
CN: 1'-(3-cyano-3,3-diphenylpropyl)[1,4'-bipiperidine]-4'-carboxamide



Reference(s):
DE 1 238 472 (Janssen; appl. 2.8.1961; USA-prior. 3.8.1960).

Formulation(s): amp. 15 mg, 20 mg; vial 15 mg, 20 mg

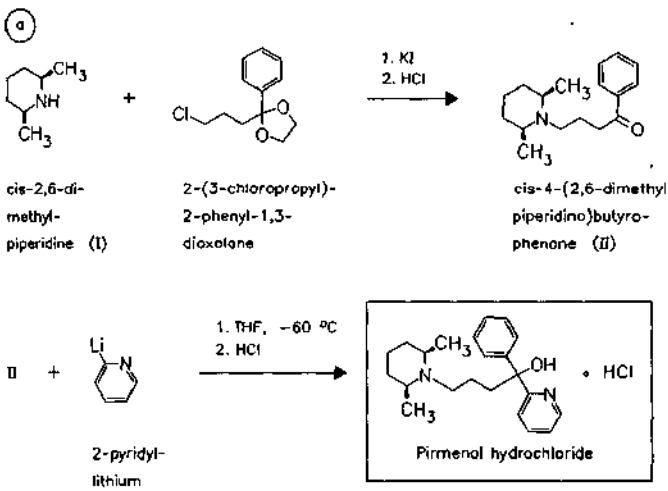
Trade Name(s):
D: Dipidolor (Janssen-Cilag)-comb. GB: Dipidolor (Janssen); wfm

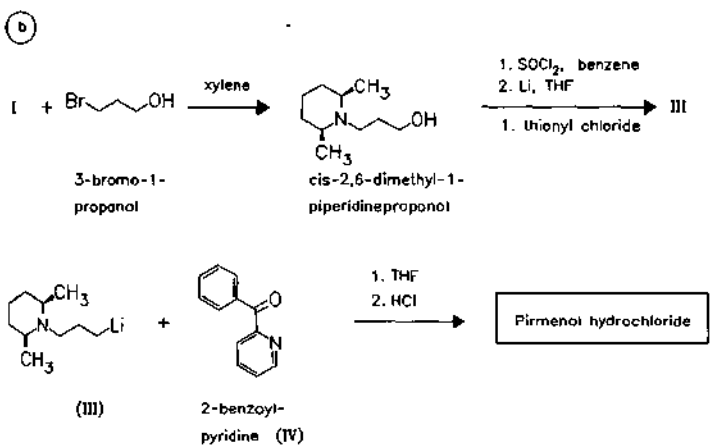
Pirmenol hydrochloride
(CI-845)

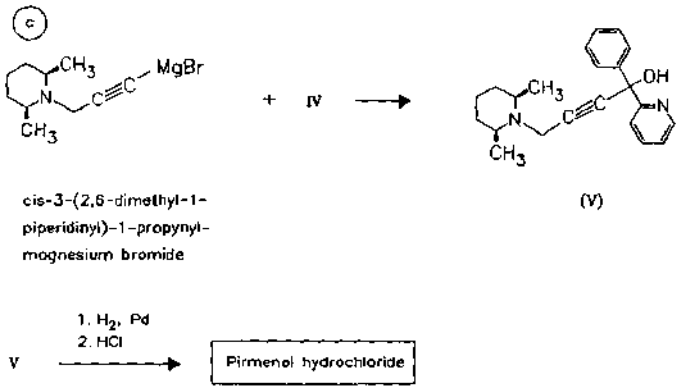
ATC: C01B
Use: antiarrhythmic

RN: 61477-94-9 MF: $C_{22}H_{30}N_2O \cdot HCl$ MW: 374.96
LD_{50}: 16 mg/kg (M, i.v.); 159 mg/kg (M, p.o.); 7900 µg/kg (R, i.v.); 251 mg/kg (R, p.o.)
CN: *cis*-(±)-α-[3-(2,6-dimethyl-1-piperidinyl)propyl]-α-phenyl-2-pyridinemethanol monohydrochloride

base
RN: 68252-19-7 MF: $C_{22}H_{30}N_2O$ MW: 338.50







Reference(s):
a,b BE 864 033 (Parke Davis; appl. 16.2.1978; USA-prior. 15.4.1976).
c JP 57 053 482 (Sumitomo Chem.; appl. 16.9.1980; J-prior. 16.9.1980).

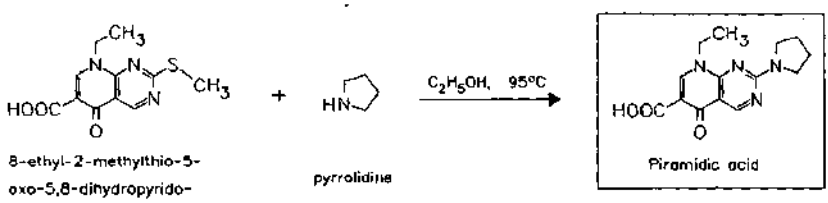
Formulation(s): cps. 50 mg, 100 mg

Trade Name(s):
J: Pimenol (Warner-Lambert-Dainippon)

Piromidic acid

ATC: G04AB02
Use: chemotherapeutic (gramnegative bacteria)

RN: 19562-30-2 MF: $C_{14}H_{16}N_4O_3$ MW: 288.31 EINECS: 243-161-4
LD_{50}: 100 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.);
158 mg/kg (R, i.v.); >5 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: 8-ethyl-5,8-dihydro-5-oxo-2-(1-pyrrolidinyl)pyrido[2,3-*d*]pyrimidine-6-carboxylic acid



8-ethyl-2-methylthio-5-oxo-5,8-dihydropyrido-[2,3-d]pyrimidine-6-carboxylic acid
(cf. pipemidic acid synthesis)

pyrrolidine

Reference(s):
DOS 2 143 369 (Dainippon; appl. 30.8.1971; J-prior. 29.8.1970).
US 3 673 184 (Dainippon; 27.6.1972; prior. 8.9.1966, 2.9.1970).
GB 1 129 358 (Dainippon; appl. 8.9.1966; J-prior. 8.9.1965, 10.8.1965).

alternative syntheses:
DOS 2 338 325 (Roger Bellon; appl. 1.8.1973; F-prior. 2.8.1972).
US 4 125 720 (Roger Bellon; 14.11.1978; F-prior. 16.4.1976).

Formulation(s): cps. 250 mg; tabl. 250 mg, 500 mg

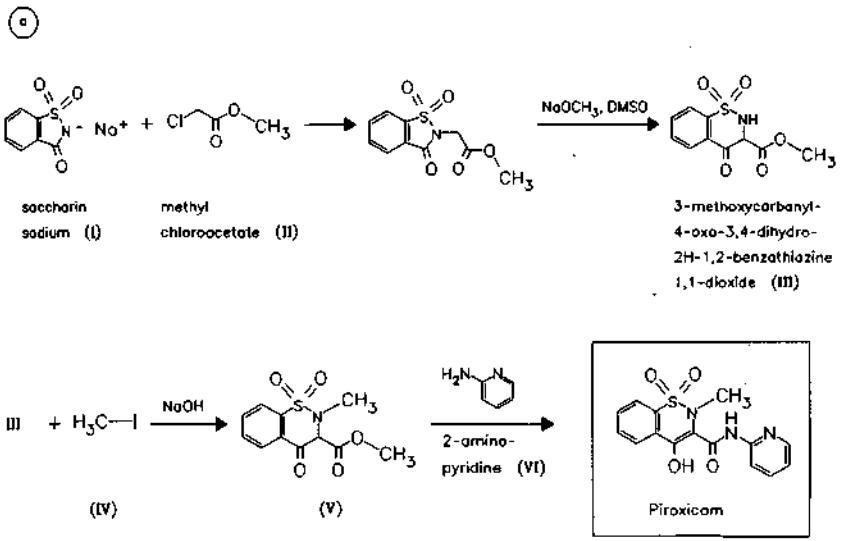
Trade Name(s):

D:	Septural (Grünenthal); wfm		Purim (Laphal); wfm	J:	Panacid (Dainippon)
F:	Bactamyl (Carrion); wfm	I:	Enteromix (Bioprogress)		

Piroxicam

ATC: M01AC01; M02AA07; S01BC06
Use: anti-inflammatory

RN: 36322-90-4 MF: $C_{15}H_{13}N_3O_4S$ MW: 331.35 EINECS: 252-974-3
LD_{50}: 250 mg/kg (M, p.o.);
216 mg/kg (R, p.o.);
108 mg/kg (dog, p.o.)
CN: 4-hydroxy-2-methyl-*N*-2-pyridinyl-2*H*-1,2-benzothiazine-3-carboxamide 1,1-dioxide



Reference(s):
a US 3 591 584 (Pfizer; 6.7.1971; appl. 27.8.1968).
DOS 1 943 265 (Pfizer; appl. 26.8.1969; USA-prior. 27.8.1968).
Lombardino, J.G. et al.: J. Med. Chem. (JMCMAR) **14**, 1171 (1971); **15**, 848 (1972); **16**, 493 (1973).
b,c US 4 483 982 (Pfizer; 20.11.1984; prior. 5.10.1981, 2.9.1982).
EP 76 643 (Pfizer; appl. 29.9.1982; USA-prior. 5.10.1981, 2.9.1982).

alternative synthesis:
US 3 853 862 (Pfizer; 10.12.1974; appl. 23.4.1973).
US 3 891 637 (Pfizer; 24.6.1975; appl. 1.10.1974).
US 3 892 740 (Pfizer; 1.7.1975; appl. 15.10.1974).
US 4 100 347 (Pfizer; 11.7.1978; appl. 10.6.1976).
US 4 469 866 (Pfizer; 4.9.1984; USA-prior. 3.8.1981, 17.6.1982).
US 4 474 955 (V. Iannella; 2.10.1984; I-prior. 17.6.1981, 7.8.1981).
BE 900 758 (Orion; appl. 5.10.1984; Finnl.-prior. 6.10.1983).

pharmaceutical formulations:
polymorphic monoethanolamine salt:
US 4 582 831 (Pfizer; 15.4.1986; appl. 16.11.1984).
EP 182 572 (Pfizer; appl. 11.11.1985; USA-prior. 16.11.1984).

water soluble salts:
US 4 434 163 (Pfizer; 28.2.1984; prior. 1.6.1981, 13.4.1982).
US 4 434 164 (Pfizer; 28.2.1984; prior. 1.6.1981, 13.4.1982).
EP 66 458 (Pfizer; appl. 27.5.1982; USA-prior. 1.6.1981, 13.4.1982).
EP 66 459 (Pfizer; appl. 27.5.1982; USA-prior. 1.6.1981, 13.4.1982).

lyophilizates:
US 4 942 167 (Chiesi; 17.7.1990; I-prior. 1.4.1988).

stabilized injectable solutions of the salt with D(−)-*N*-methylglucamine:
US 4 628 053 (H. Mack; 9.12.1986; D-prior. 10.10.1984).
EP 177 870 (H. Mack; appl. 30.9.1985; D-prior. 10.10.1984).

deposition on carrier for rapid onset of action:
EP 123 520 (Pfizer; appl. 19.4.1984; USA-prior. 25.4.1983).

complex with β-cyclodextrin:
EP 153 998 (Chiesi; appl. 17.11.1984; I-prior. 22.4.1984).

topical compositions:
US 4 678 666 (Pfizer; 7.7.1987; J-prior. 13.7.1982).
EP 101 178 (Pfizer; appl. 7.7.1983; J-prior. 13.7.1982).

Formulation(s): amp. 20 mg; cps. 10 mg, 20 mg; cream 5 mg/g; eff. tabl. 10 mg, 20 mg; gel 5 mg/g; suppos. 20 mg; tabl. 10 mg, 20 mg

Trade Name(s):

D:
durapirox (durachemie)
Fasax (BASF Generics)
Felden (Mack, Illert.; 1980)
Flexase (TAD)
Reumitin (Krewel Meuselbach)

F:
Feldène (Pfizer; 1981)
Geldéne (Pfizer)
Inflaced (Biotherapie)
Olcam (Irex)

GB:
Feldene (Pfizer)

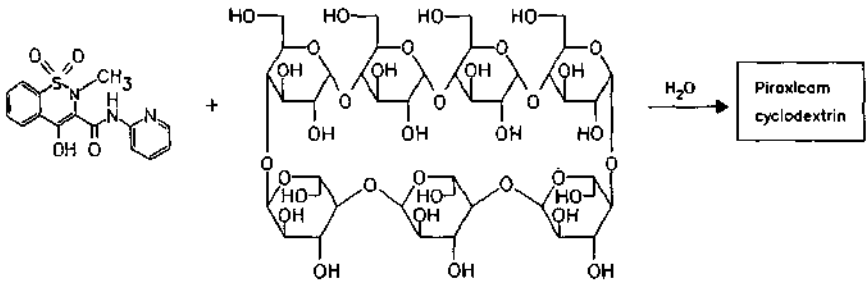
I:
Larapam (Lagap)
Antiflog (Firma)
Artroxicam (Coli)
Clevian (Aesculapius-Bs)
Dexicam (O.F.F.)
Feldene (Pfizer)
Fladol (Farma Uno)
Flogobene (Ursamedica)
Lampoflex (Lampugnani)
Nirox (Medici)
Piroftal (Bruschettini)
Polipirox (Bruschettini)
Reucam (CT)
Reudene (ABC Farmaceutici)
Reumagil (Lenza)
Riacen (Chiesi)
Roxene (Benedetti)
Roxenil (Caber)
Roxiden (Pulitzer)
Zacam (Fournier Pierrel)
Zunden (Sankyo Pharma)

J: Baxo (Toyama) Feldene (Pfizer Taito; 1982) USA: Feldene (Pfizer; 1982)

Piroxicam cyclodextrin

ATC: M01AC
Use: non-steroidal anti-inflammatory

RN: 96684-40-1 MF: $C_{42}H_{70}O_{35} \cdot 2/5C_{15}H_{13}N_3O_4S$ MW: 6337.64
CN: β-cyclodextrin compd. with 4-hydroxy-2-methyl-*N*-2-pyridinyl-2*H*-1,2-benzothiazine-3-carboxamide 1,1-dioxide (5:2)



piroxicam (q. v.) β-cyclodextrin

Reference(s):
EP 153 998 (Chiesi; appl. 17.11.1984; I-prior. 22.2.1984).
US 4 603 123 (Chiesi; 29.7.1986; appl. 13.11.1984).

preparation by co-grinding in presence of steam:
EP 449 167 (Chiesi; appl. 25.3.1991; I-prior. 27.3.1990).

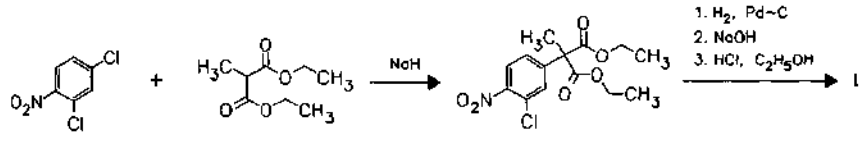
Formulation(s): gran.. 20 mg/3 g; tabl. 20 mg

Trade Name(s):
D: Brexidol (Pharmacia & Upjohn)-comb.
F: Brexin (Robapharm)
I: Cycladol (Promedica) Brexin (Chiesi; 1989) Cicladol (Master Pharma; 1989)

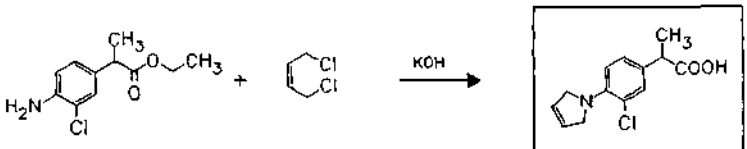
Pirprofen

ATC: M01AE08
Use: anti-inflammatory

RN: 31793-07-4 MF: $C_{13}H_{14}ClNO_2$ MW: 251.71 EINECS: 250-805-8
LD_{50}: 1350 mg/kg (M, p.o.);
167 mg/kg (R, i.v.); 351 mg/kg (R, p.o.)
CN: 3-chloro-4-(2,5-dihydro-1*H*-pyrrol-1-yl)-α-methylbenzeneacetic acid



2,4-dichloro-1-nitrobenzene diethyl methylmalonate



ethyl α-(3-chloro-4-aminophenyl)-propionate (I)

1,4-dichloro-2-butene

Reference(s):
Carney, R.W. et al.: Experientia (EXPEAM) **29**, 938 (1973).
US 3 641 040 (Ciba; 8.2.1972; F-prior. 8.7.1969, 18.3.1969, 13.1.1969, 3.9.1968, 27.3.1968).
US 3 868 391 (Ciba Geigy; 25.2.1972; prior. 3.9.1968).

Formulation(s): amp. 400 mg/4 ml; cps. 200 mg, 400 mg

Trade Name(s):

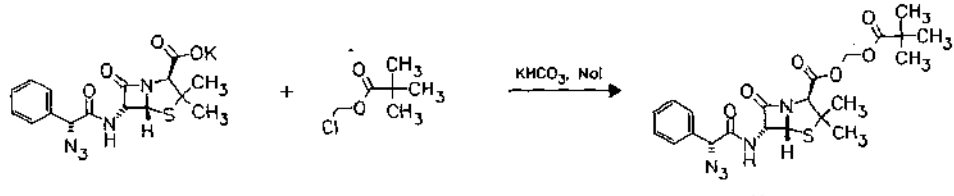
D:	Rengasil (Brunnengräber; 1984); wfm	F:	Rengasil (Ciba-Geigy); wfm	I:	Rengasil (Ciba); wfm

Pivampicillin

ATC: J01CA02
Use: antibiotic

RN: 33817-20-8 MF: $C_{22}H_{29}N_3O_6S$ MW: 463.56 EINECS: 251-688-6
LD_{50}: 148 mg/kg (R, i.v.); >6 g/kg (R, p.o.)
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid (2,2-dimethyl-1-oxopropoxy)methyl ester

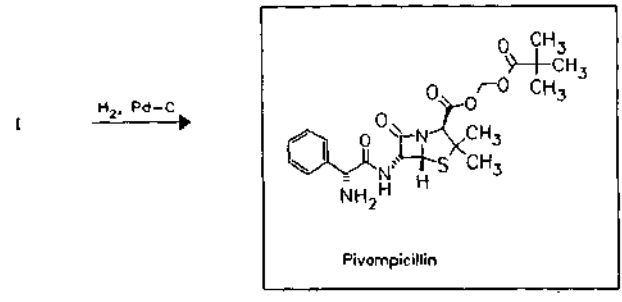
monohydrochloride
RN: 26309-95-5 MF: $C_{22}H_{29}N_3O_6S \cdot HCl$ MW: 500.02 EINECS: 247-604-2
LD_{50}: 150 mg/kg (M, i.v.); 2819 mg/kg (M, p.o.);
145 mg/kg (R, i.v.); >6 g/kg (R, p.o.)



azidocillin potassium (q. v.)

chloromethyl pivalate

(I)



Reference(s):
DE 1 795 423 (Lovens; 2.5.1972; prior. 27.9.1968).
US 3 660 575 (Lovens; 2.5.1972; prior. 26.9.1968).
US 3 697 507 (Lovens Kem. Fabr.; 10.10.1972; appl. 26.9.1968; GB-prior. 29.9.1967).
GB 1 215 812 (Lovens Kem. Fabr.; appl. 29.9.1967; valid from 27.9.1968).
DAS 1 795 702 (Loevens; appl. 27.9.1968; GB-prior. 10.11.1967, 3.1.1968, 22.3.1968).
DAS 1 795 713 (Loevens; appl. 27.9.1968; GB-prior. 29.9.1967, 5.10.1967, 23.10.1967, 10.11.1967, 6.12.1967, 3.1.1968, 22.3.1968).

crystalline form:
US 3 956 279 (Leo; 11.5.1976; appl. 21.9.1973).
DAS 2 349 971 (Leo; appl. 4.10.1973; GB-prior. 6.10.1972).

Formulation(s): susp. 175 mg; tabl. 350 mg, 500 mg (as hydrochloride)

Trade Name(s):

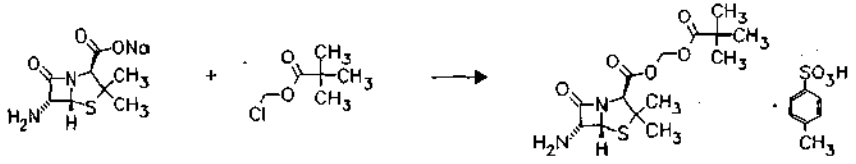
D: Berocillin (Thomae; 1972); wfm
Maxifen (Sharp & Dohme/ Boehringer Mannh.; 1972); wfm
Miraxid/-K (Rorer)-comb.; wfm
Uro Berocillin (Thomae)-comb.; wfm
F: Proampi (Leo)
I: Pondocillina (Sigma-Tau); wfm

Pivmecillinam

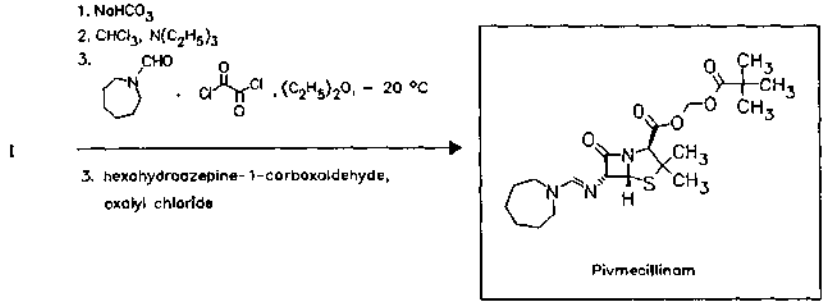
ATC: J01CA08
Use: antibiotic

RN: 32886-97-8 MF: $C_{21}H_{33}N_3O_5S$ MW: 439.58 EINECS: 251-276-6
CN: [2*S*-(2α,5α,6β)]-6-[[(hexahydro-1*H*-azepin-1-yl)methylene]amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid (2,2-dimethyl-1-oxopropoxy)methyl ester

hydrochloride
RN: 32887-03-9 MF: $C_{21}H_{33}N_3O_5S \cdot HCl$ MW: 476.04



6-aminopenicillanic acid sodium salt | chloromethyl pivalate | pivaloyloxymethyl 6-amino-penicillanate tosylate (I)



Reference(s):
DOS 2 055 531 (Loevens; appl. 11.11.1970; GB-prior. 11.11.1969, 8.7.1970).
GB 1 293 590 (Loevens; appl. 11.11.1969, 8.7.1970; valid from 10.11.1970).
US 3 957 764 (Loevens; 18.5.1976; GB-prior. 11.11.1969, 8.7.1970).

combination with trimethoprim:
US 4 076 816 (Leo; 28.2.1978; GB-prior. 17.5.1974).

Formulation(s): gran. 100 mg; tabl. 50 mg, 200 mg (as hydrochloride)

Trade Name(s):

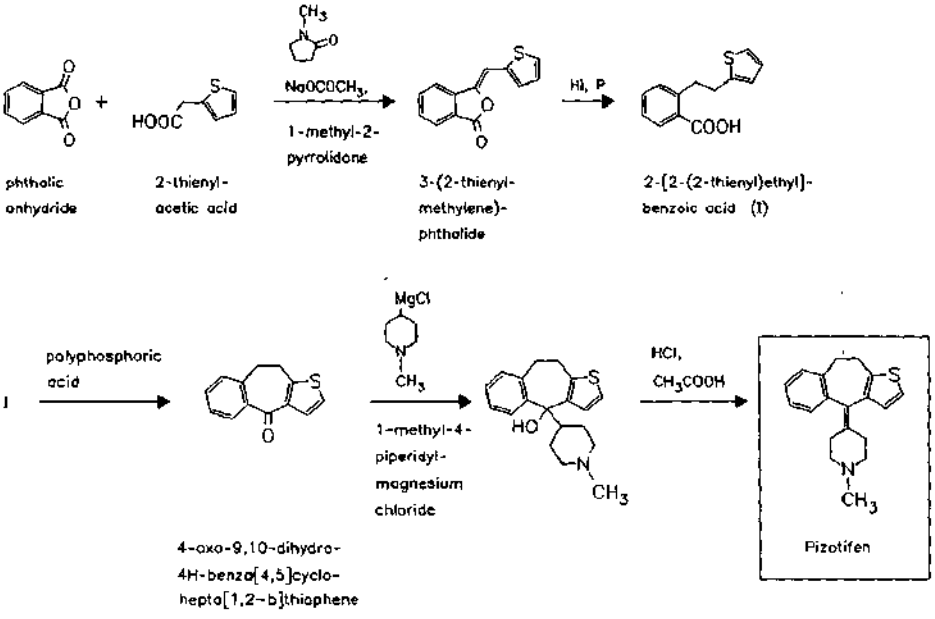
D:	Miraxid/-K (Rorer; 1984)-comb.; wfm	F:	Selexid (Leo; 1984)		Selexid (Leo); wfm
		GB:	Miraxid (Leo)-comb.; wfm	J:	Melycin (Takeda; 1979)

Pizotifen
(Pizotyline)

ATC: N02CX01
Use: antimigraine agent

RN: 15574-96-6 MF: $C_{19}H_{21}NS$ MW: 295.45 EINECS: 239-632-9
LD_{50}: 410 mg/kg (R, p.o.)
CN: 4-(9,10-dihydro-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thien-4-ylidene)-1-methylpiperidine

maleate (1:1)
RN: 5189-11-7 MF: $C_{19}H_{21}NS \cdot C_4H_6O_5$ MW: 429.54 EINECS: 225-970-4
LD_{50}: 43 mg/kg (M, i.v.);
17 mg/kg (R, i.v.)



Reference(s):
BE 636 717 (Sandoz; appl. 28.8.1963; CH-prior. 31.8.1962, 8.7.1963).
US 3 272 826 (Sandoz; 13.9.1966; CH-prior. 31.8.1962).

Formulation(s): drg. 0.5 mg, 1.5 mg; syrup 0.5 mg/10 ml (as maleate)

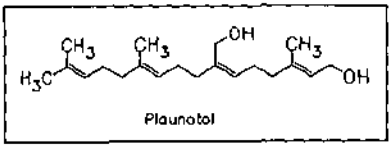
Trade Name(s):

D:	Mosegor (Novartis Pharma) Sandomigran (Novartis Pharma)	GB:	Sanomigran (Novartis)	USA:	Sandomigran (Sandoz); wfm
		I:	Sandomigran (Novartis Farma)		
F:	Sanmigran (Novartis)				

Plaunotol

ATC: A02B
Use: peptic ulcer therapeutic

RN: 64218-02-6 MF: $C_{20}H_{34}O_2$ MW: 306.49
LD_{50}: 83 mg/kg (M, i.v.); 8.1 g/kg (M, p.o.);
10.9 g/kg (R, p.o.)
CN: (*Z*,*E*,*E*)-2-(4,8-dimethyl-3,7-nonadienyl)-6-methyl-2,6-octadiene-1,8-diol



Plaunotol

Isolation by extraction of *Croton sublyratus* or *Croton columnaris* and purification on silica gel.

Reference(s):
Ogiso, A. et al.: Chem. Pharm. Bull. (CPBTAL) **26**, 3117 (1978).
US 4 059 641 (Sankyo; 22.11.1977; prior. 18.11.1975).

total synthesis:
CH 629 471 (Sankyo; appl. 18.11.1976; USA-prior. 18.11.1975).
US 4 151 357 (Sankyo; 24.4.1979; J-prior. 24.4.1976).

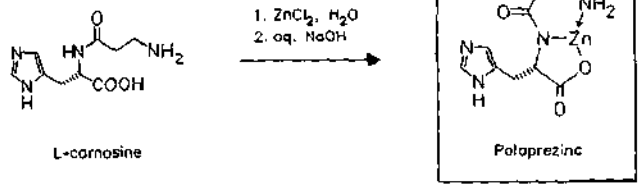
Formulation(s): cps. 50 mg

Trade Name(s):
J: Kelnac (Sankyo)

Polaprezinc
(CAZ; Z-103)

ATC: A02B
Use: hepatic protectant, ulcer therapeutic, anti-helicobacter pylori

RN: 107667-60-7 MF: $C_9H_{12}N_4O_3Zn$ MW: 289.61
LD_{50}: 1269 mg/kg (M, p.o.);
7375 mg/kg (R, p.o.)
CN: [*N*-β-alanyl-L-histidinato(2–)-*N*,N^N,O^α]zinc



L-carnosine Polaprezinc

Reference(s):
Yoshikawa, T.; Naito, Y.; Tanigawa, T.; Yoneta, T.; Kondo, M.: Biochim. Biophys. Acta (BBACAQ) **1115** (1), 15 (1991).

synthesis:
WO 8 800 048 (Zeria Pharmaceutical Co.; appl. 14.1.1988; J-prior. 3.7.1986).
EP 303 380 (Hamari Chemicals; appl. 15.2.1989; J-prior. 10.8.1987).

pharmaceutical compositions with cyclodextrins:
WO 9 525 513 (Bellera Medical Products; appl. 28.9.1995; 18.3.1994).

oral pharmaceutical compositions:
WO 9 015 616 (Zeria Pharmaceutical Co.; 27.12.1990; J-prior. 15.6.1989).
EP 466 029 (Zeria Pharmaceutical Co.; appl. 15.1.1992; J-prior. 6.7.1990).

Formulation(s): gran. 15 %

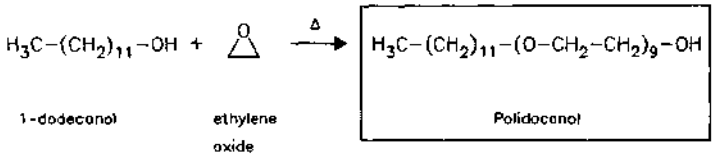
Trade Name(s):
J: Promac (Zeria)

Polidocanol

(Hydroxypolyethoxydodecane)

ATC: C05BB02
Use: local anesthetic, agent for sclerotherapy of varicose veins

RN: 3055-99-0 MF: $C_{30}H_{62}O_{10}$ MW: 582.82 EINECS: 221-284-4
CN: 3,6,9,12,15,18,21,24,27-nonaoxanonatriacontan-1-ol



Reference(s):
Schöller, C.: Angew. Chem. (ANCEAD) **62**, 7 (1950).
Pertsemlides, D.; Soehring, K.: Arzneim.-Forsch. (ARZNAD) **10**, 990 (1960).

Formulation(s): amp. 0.5 %, 1 %, 2 %, 3 %, 4 %; cream 5 g/100 g; ointment 30 mg/g, 5 g/100 g; suppos. 10 mg

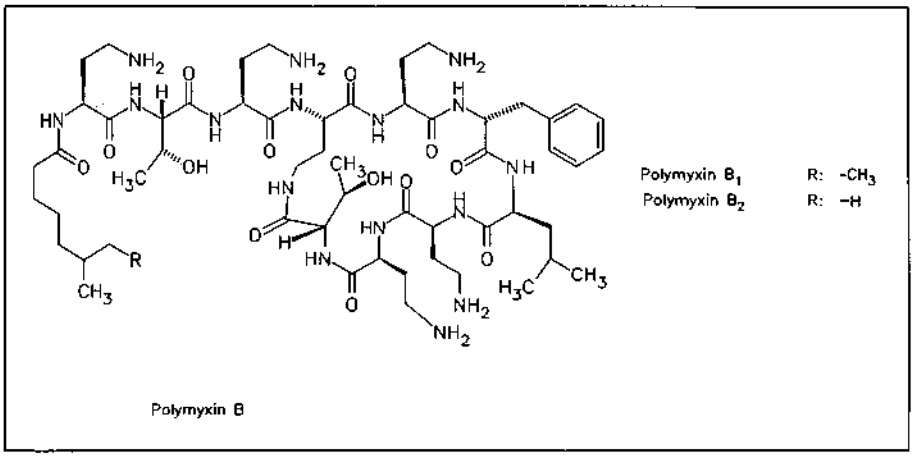
Trade Name(s):
D: Aethoxysklerol (Kreussler)-comb.
Recessan (Kreussler)
numerous generics and combination preparations
F: Aetoxisclérol (Dexo)
GB: Alcos-Anal (Norgine)-comb.; wfm
I: Atossisclerol (Also)

Polymyxin B

ATC: A07AA05; J01XB02; S01AA18; S02AA11; S03AA03
Use: antibiotic (macrocyclic peptide)

RN: 1404-26-8 MF: unspecified MW: unspecified EINECS: 215-768-4
LD_{50}: 3980 µg/kg (M, i.v.)
CN: polymyxin B

sulfate
RN: 1405-20-5 MF: H_2SO_4 · x unspecified MW: unspecified EINECS: 215-774-7
LD_{50}: 5400 µg (M, i.v.); 790 mg (M, p.o.)



Cyclopolypeptide antibiotic from cultures of *Bacillus polymyxa*.

Reference(s):
US 2 565 057 (Burroughs Wellcome; 1951; GB-prior. 1946).
US 2 595 605 (American Cyanamid; 1952; appl. 1948).
US 2 771 397 (US-Secretary of Agriculture; 1956; prior. 1930).

Formulation(s): ophthalmic ointment 10000 iu/g; sol./drops 10000 iu; tabl. 20 mg (200000 iu), 25 mg (250000 iu) (as sulfate); vial 50 mg

Trade Name(s):

D: Polymyxin-B (Pfizer)
numerous generics and combination preparations

F: Antibiotulle Lumière (Solvay Pharma)-comb.
Maxidol (Alcon)-comb.
Primyxine (Thera France)-comb.
Stérimycine (CIBA Vision)-comb.
numerous combination preparations

GB: Gregoderm (Unigreg)-comb.
Maxitrol (Alcon)-comb.
Neosporin (Dominion)-comb.
Otosporin (Glaxo Wellcome)-comb.
Polyfax (Dominion)-comb.
Polytrim (Dominion)-comb.

I: Anauran (Zambon Farm.)-comb.
Localyn Oto (Recordati)-comb.
Mixotone (Teofarma)-comb.
Otosporia (Warner-Lambert)-comb.

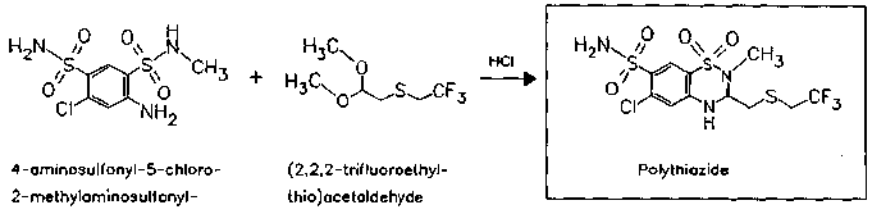
J: Polymyxin B sulfate (Pfizer)

USA: Betadine (Purdue Frederick)-comb.
Cortisporin (Monarch)-comb.
Lazersporin-C (Pedinol)-comb.
Neosporin (Glaxo Wellcome)-comb.
Pediotic (Monarch; as sulfate)-comb.
Polysporin (Warner-Lambert)-comb.
Polytrim (Allergan)-comb.
Terramycin (Pfizer; as sulfate)-comb.

Polythiazide

ATC: C03AA05
Use: diuretic

RN: 346-18-9 MF: $C_{11}H_{13}ClF_3N_3O_4S_3$ MW: 439.89 EINECS: 206-468-4
LD_{50}: >5 g/kg (M, p.o.);
>10 mg/kg (R, p.o.);
450 mg/kg (dog, p.o.)
CN: 6-chloro-3,4-dihydro-2-methyl-3-[[(2,2,2-trifluoroethyl)thio]methyl]-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



4-aminosulfonyl-5-chloro-2-methylaminosulfonyl-aniline

(2,2,2-trifluoroethyl-thio)acetaldehyde dimethyl acetal

Reference(s):
US 3 009 911 (Pfizer; 21.11.1961; appl. 4.1.1961; prior. 3.6.1960).

Formulation(s): cps 0.5 mg (in comb. with prazosin·HCl); tabl. 0.25 mg, 0.5 mg, 1 mg (as hydrochloride)

Trade Name(s):

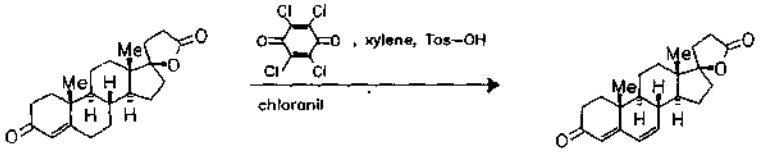
D:	Polypress/-forte (Pfizer)-comb.		Rénèse (Pfizer); wfm	USA:	Minizide (Pfizer)-comb.
F:	Envarèse (Pfizer)-comb.; wfm	GB:	Nephril (Pfizer)		
		J:	Polyregulon (Yamanouchi)		
			Renese (Taito Pfizer)		

Potassium canrenoate

ATC: C03DA02
Use: aldosterone antagonist, diuretic

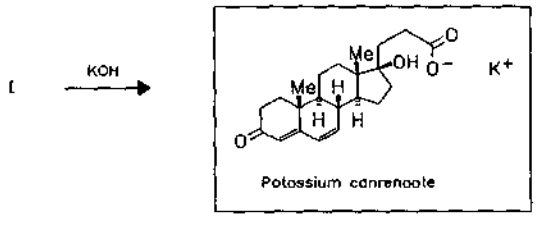
RN: 2181-04-6 MF: $C_{22}H_{29}KO_4$ MW: 396.57 EINECS: 218-554-9
LD_{50}: 125 mg/kg (M, i.v.); 740 mg/kg (M, p.o.);
112 mg/kg (R, i.v.); 650 mg/kg (R, p.o.)
CN: (17α)-17-hydroxy-3-oxopregna-4,6-diene-21-carboxylic acid monopotassium salt

canrenone
RN: 976-71-6 MF: $C_{22}H_{28}O_3$ MW: 340.46 EINECS: 213-554-5
LD_{50}: >5 g/kg (R, p.o.)



3-(17β-hydroxy-3-oxo-4-androsten-17-yl)-propionic acid lactone (cf. spironolactone synthesis)

canrenone (I)



Reference(s):
US 3 013 012 (Searle; 12.12.1961; prior. 22.12.1960, 12.12.1958).
US 2 900 383 (Searle; 18.8.1959; appl. 18.12.1957).
Cella, J.A.; Tweit, R.C.: J. Org. Chem. (JOCEAH) **24**, 1109 (1959).

starting material:
Cella, J.A. et al.: J. Org. Chem. (JOCEAH) **24**, 743 (1959) (spironolactone, q. v.).

injection solutions:
US 4 088 759 (Boehringer Mannh.; 9.5.1978; D-prior. 12.12.1975).
Woog, M. et al.: Pharm. Ind. (PHINAN) **40**, 1371 (1978).

Formulation(s): amp. 200 mg/10 ml; tabl. 25 mg, 50 mg, 75 mg, 100 mg

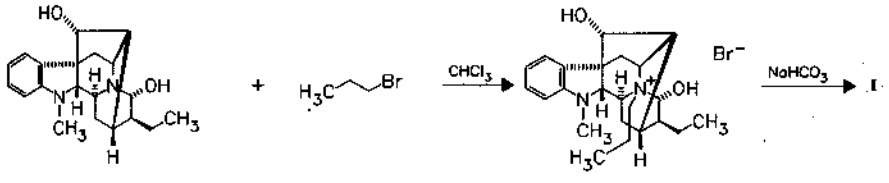
Trade Name(s):

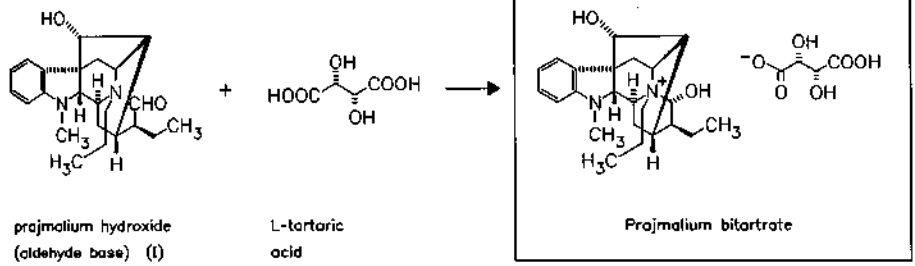
D:	Aldactone (Boehringer Mannh.)		Phanurane (Specia); wfm		Luvion (Gienne Pharma)
	Kalium-Can.-ratiopharm (ratiopharm)		Soludactone (Monsanto)		Venactone (Lepetit)
	Osyrol pro inj. (Hoechst)	GB:	Spiroctan-M (Boehringer Mannh.)	J:	Soldactone (Dainippon)
F:	Aldatense (Searle)-comb.; wfm	I:	Kadiur (Gienne Pharma)-comb.	USA:	Soldactone (Searle); wfm
			Kanrenol (GNR)		

Prajmalium bitartrate

ATC: C01BA08
Use: antiarrhythmic

RN: 2589-47-1 MF: $C_{23}H_{33}N_2O_2 \cdot C_4H_5O_6$ MW: 518.61 EINECS: 219-975-0
LD_{50}: 1700 µg/kg (M, i.v.); 43 mg/kg (M, p.o.);
3400 µg/kg (R, i.v.); 54 mg/kg (R, p.o.)
CN: (17*R*,21α)-17,21-dihydroxy-4-propylajmalanium salt with [*R*-(*R**,*R**)]-2,3-dihydroxybutanedioic acid (1:1)





Reference(s):
DE 1 154 120 (Thomae; appl. 10.1.1962).
DE 1 196 207 (Thomae; appl. 5.7.1963; USA-prior. 17.12.1962).
US 3 414 577 (Boehringer Ing.; 3.12.1968; appl. 17.12.1962, 23.7.1964, 7.10.1965; D-prior. 10.1.1962).

Formulation(s): f. c. tabl. 20 mg; tabl. 20 mg

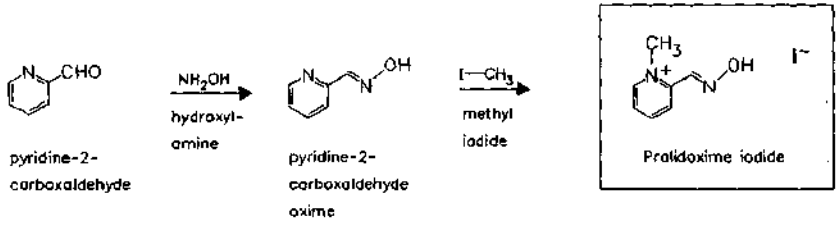
Trade Name(s):
D: Neo-Gilurytmal (Solvay Arzneimittel)
I: Neoaritmina (Solvay Pharma)

Pralidoxime iodide

ATC: V03AB04
Use: antidote (against anticholinesterase-alkylphosphate), cholinesterase reactivator

RN: 94-63-3 MF: $C_7H_9IN_2O$ MW: 264.07 EINECS: 202-349-6
LD_{50}: 145 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.);
178 mg/kg (R, i.v.)
CN: 2-[(hydroxyimino)methyl]-1-methylpyridinium iodide

hydroxide
RN: 495-94-3 MF: $C_7H_{10}N_2O_2$ MW: 154.17
mesylate
RN: 154-97-2 MF: $C_7H_9N_2O \cdot CH_3O_3S$ MW: 232.26 EINECS: 205-839-8
LD_{50}: 118 mg/kg (M, i.v.); 3700 mg/kg (M, p.o.);
109 mg/kg (R, i.v.); 7 g/kg (R, p.o.)
chloride
RN: 51-15-0 MF: $C_7H_9ClN_2O$ MW: 172.62 EINECS: 200-080-9
LD_{50}: 90 mg/kg (M, i.v.); 4100 mg/kg (M, p.o.);
96 mg/kg (R, i.v.)



Reference(s):
US 2 816 113 (US-Secretary of the Army; 1957; appl. 1956).

alternative syntheses:
US 3 123 613 (Campbell Pharmac.; 3.3.1964; appl. 5.5.1961).
US 3 140 289 (US-Secretary of the Army; 7.7.1964; appl. 11.4.1962).
US 3 155 674 (Olin Mathieson; 3.11.1964; appl. 19.11.1962).

stabilization of aqueous solutions:
EP 46 685 (Survival Technology; appl. 25.8.1981; USA-prior. 26.8.1980).

Formulation(s): amp. 200 mg/10 ml (as mesylate); vial 1 g/20 ml (as chloride)

Trade Name(s):

F: Contrathion (Serb; as methyl sulfate)

I: Contrathion (Rhône-Poulenc Rorer; as mesylate)

USA: Protopam (Wyeth-Ayerst; as chloride)

Pramipexole hydrochloride

(SND-919Y)

ATC: N04BC05

Use: dopamine D_2-agonist

RN: 104632-25-9 MF: $C_{10}H_{17}N_3S \cdot 2HCl$ MW: 284.26

CN: (*S*)-4,5,6,7-Tetrahydro-*N*^{6}-propyl-2,6-benzothiazolediamine dihydrochloride

(*S*)-base

RN: 104632-26-0 MF: $C_{10}H_{17}N_3S$ MW: 211.33

(*S*)-dihydrochloride hydrate

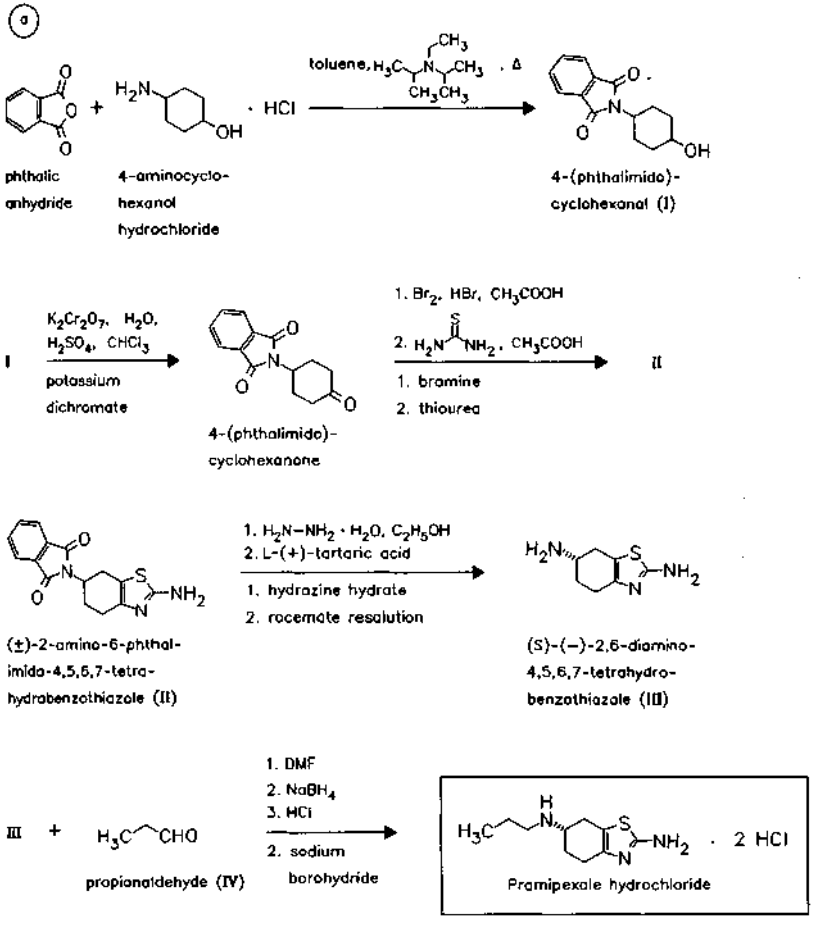
RN: 191217-81-9 MF: $C_{10}H_{17}N_3S \cdot 2HCl \cdot H_2O$ MW: 302.27

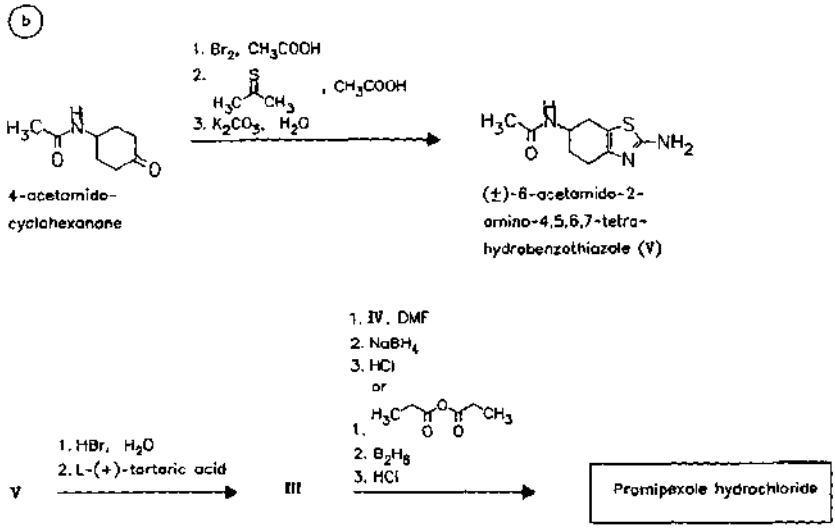
(±)-base

RN: 104617-86-9 MF: $C_{10}H_{17}N_3S$ MW: 211.33

(±)-dihydrochloride

RN: 104617-85-8 MF: $C_{10}H_{17}N_3S \cdot 2HCl$ MW: 284.26





Reference(s):
EP 186 087 (Thomae GmbH; appl. 16.12.1985; D-prior. 22.12.1984).
Schneider, G.S.; Mierau, J.: J. Med. Chem. (JMCMAR) **30**, 494 (1987).

Formulation(s): tabl. 0.088 mg, 0.125 mg, 0.18 mg, 0.25 mg, 0.7 mg, 1.0 (as dihydrochloride hydrate)

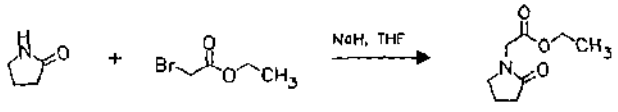
Trade Name(s):
D: Sifrol (Boehringer Ingelheim)
USA: Mirapex (Boehringer Ingelheim; Pharmacia & Upjohn)

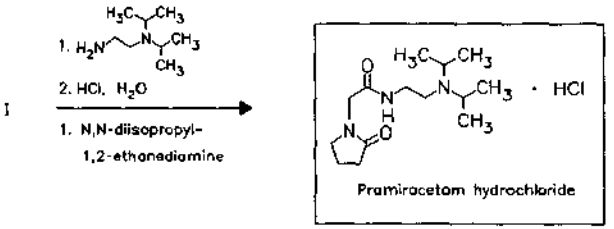
Pramiracetam hydrochloride

ATC: N06BX16
Use: nootropic

RN: 75733-50-5 MF: $C_{14}H_{27}N_3O_2 \cdot HCl$ MW: 305.85
CN: *N*-[2-[Bis(1-methylethyl)amino]ethyl]-2-oxo-1-pyrrolidineacetamide hydrochloride

base
RN: 68497-62-1 MF: $C_{14}H_{27}N_3O_2$ MW: 269.39
sulfate
RN: 72869-16-0 MF: $C_{14}H_{27}N_3O_2 \cdot H_2SO_4$ MW: 367.47





Reference(s):
BE 864 269 (Parke Davis & Co.; appl. 7.3.1978; USA-prior. 3.3.1977).
US 4 145 347 (Parke Davis & Co; 20.3.1979; USA-prior. 3.3.1977).
Butler, D.E.; Nordin, I.C.; L'Italien, Y.J.; Zweisler, L.; Poschel, P.H.; Marriott, J.G.: J. Med. Chem. (JMCMAR) **27**, 684 (1984).

Formulation(s): tabl. 600 mg (as sulfate)

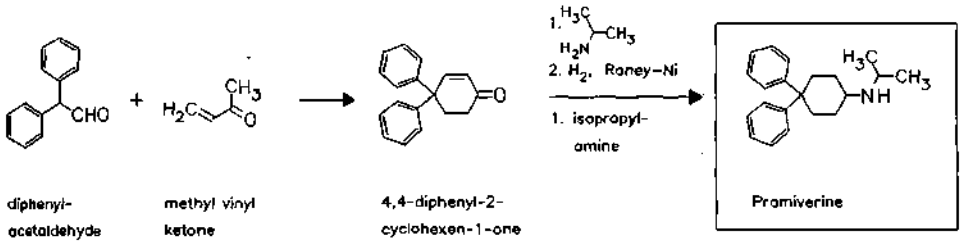
Trade Name(s):
I: Neupramir (Lusofarmaco) Pramistar (Firma) Remen (Parke Davis)

Pramiverine

ATC: A03A
Use: antispasmodic

RN: 14334-40-8 MF: $C_{21}H_{27}N$ MW: 293.45
CN: *N*-(1-methylethyl)-4,4-diphenylcyclohexanamine

hydrochloride
RN: 14334-41-9 MF: $C_{21}H_{27}N \cdot HCl$ MW: 329.92 EINECS: 238-284-5
LD_{50}: 25 mg/kg (M, i.v.); 346 mg/kg (M, p.o.);
26 mg/kg (R, i.v.); 623 mg/kg (R, p.o.);
20 mg/kg (dog, i.v.); 140 mg/kg (dog, p.o.)



Reference(s):
DE 1 793 611 (Merck AG; appl. 15.12.1964).

Formulation(s): amp. 2 mg/2 ml; drg. 2 mg; drops 2 mg/ml; suppos. 6 mg (as hydrochloride)

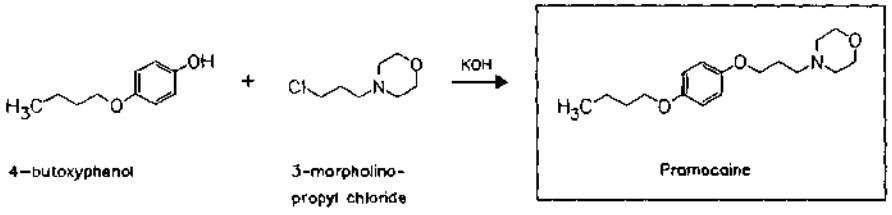
Trade Name(s):
D: Sistalgin (Cascan); wfm I: Sistalgin (Bracco)-comb.; wfm

Pramocaine
(Pramoxine)

ATC: C05AD07
Use: local anesthetic

RN: 140-65-8 MF: $C_{17}H_{27}NO_3$ MW: 293.41 EINECS: 205-425-7
LD_{50}: 79 mg/kg (M, i.v.)
CN: 4-[3-(4-butoxyphenoxy)propyl]morpholine

hydrochloride
RN: 637-58-1 MF: $C_{17}H_{27}NO_3 \cdot HCl$ MW: 329.87 EINECS: 211-293-1
LD_{50}: 79.5 mg/kg (M, i.v.); 1050 mg/kg (M, p.o.)



4-butoxyphenol — 3-morpholino-propyl chloride — Pramocaine

Reference(s):
US 2 870 151 (Abbott; 1959; prior. 1954).
Wilson, J.W. et al.: J. Org. Chem. (JOCEAH) **16**, 792 (1951).

Formulation(s): cream 1 g/100 g; gel 1 g/100 g (as hydrochloride)

Trade Name(s):

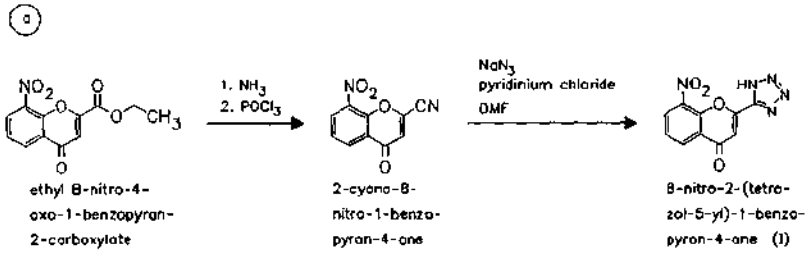
D:	Proctofoam HC (Trommsdorff)-comb.; wfm	Anusol (Warner-Lambert)	Prax (Ferndale)
		Caladryl (Warner-Lambert)	Proctofoam (Schwarz)
F:	Tronothane (Abbott)	Cortane-B OTIC (Blansett)	Promasone (Ferndale)
I:	Tronotene (Abbott)	Cortic (Everett)	Zoto-HC (Horizon)
USA:	Analpram-HC (Ferndale)	Epifoam (Schwarz)	

Pranlukast
(ONO-1078; RS-411; SB-205312)

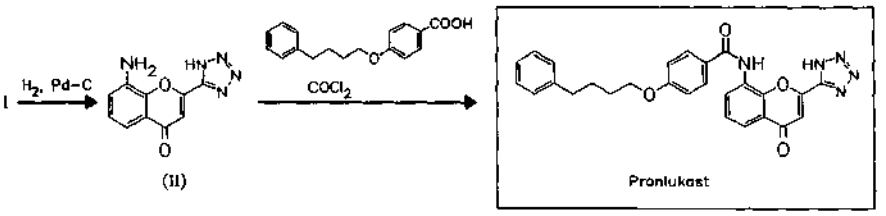
ATC: R03DC02
Use: antiallergic, antiasthmatic, leukotriene D_4-antagonist

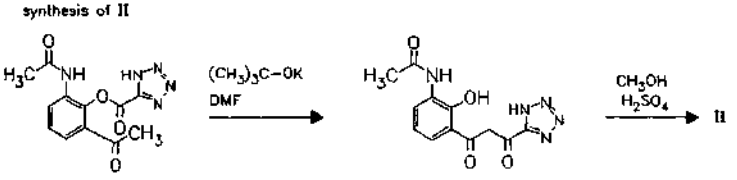
RN: 103177-37-3 MF: $C_{27}H_{23}N_5O_4$ MW: 481.51
CN: *N*-[4-oxo-2-(1*H*-tetrazol-5-yl)-4*H*-1-benzopyran-8-yl]-4-(4-phenylbutoxy)benzamide

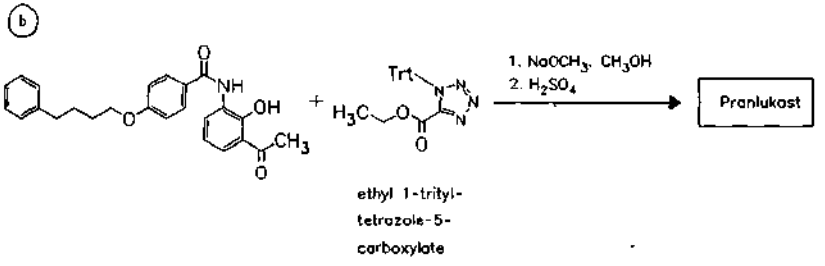
hydrate (2:1)
RN: 150821-03-7 MF: $C_{27}H_{23}N_5O_4 \cdot 1/2H_2O$ MW: 981.04
monosodium salt
RN: 103180-28-5 MF: $C_{27}H_{22}N_5NaO_4$ MW: 503.49

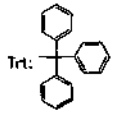


ethyl 8-nitro-4-oxo-1-benzopyran-2-carboxylate — 2-cyano-8-nitro-1-benzopyran-4-one — 8-nitro-2-(tetrazol-5-yl)-1-benzopyran-4-one (I)









Reference(s):

a EP 173 516 (Ono Pharm.; 1.12.1993; J-prior. 22.11.1984).
Nakai, H. et al.: J. Med. Chem. (JMCMAR) **31**, 84-91 (1988).

b EP 0 716 088 (Sumitomo Chem.; appl. 23.6.1995; J-prior. 23.6.1994).

synthesis of intermediates type II:

WO 9 532 199 (SmithKline Beecham; appl. 30.11.1995; GB-prior. 21.5.1994).

combination with PAF-antagonists:

EP 469 477 (Hoffmann-La Roche; appl. 26.7.1991; USA-prior. 2.8.1990).

Formulation(s): cps. 112.5 mg (as hydrate)

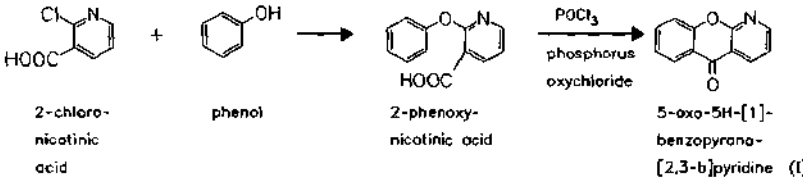
Trade Name(s):

J: Onon (Ono; 1995)

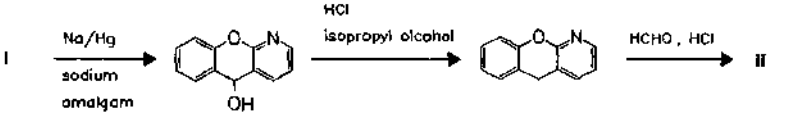
Pranoprofen

ATC: M01AE
Use: anti-inflammatory, analgesic

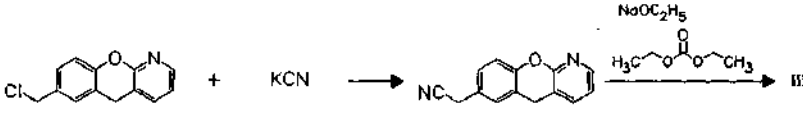
RN: 52549-17-4 MF: $C_{15}H_{13}NO_3$ MW: 255.27
LD_{50}: 447 mg/kg (M, p.o.);
59.5 mg/kg (R, p.o.)
CN: α-methyl-5*H*-[1]benzopyrano[2,3-*b*]pyridine-7-acetic acid



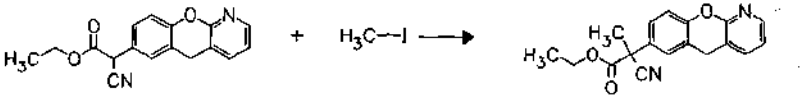
2-chloro-nicotinic acid | phenol | 2-phenoxy-nicotinic acid | 5-oxo-5H-[1]-benzopyrano-[2,3-b]pyridine (I)



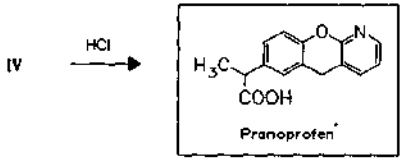
5-hydroxy-5H-[1]benzopyrano-[2,3-b]pyridine | 5H-[1]benzopyrano[2,3-b]-pyridine



7-chloromethyl-5H-[1]benzopyrano-[2,3-b]pyridine (II) | potassium cyanide



(III) | methyl iodide | (IV)



Reference(s):
FR 2 193 593 (Yoshitomi; appl. 19.7.1973; J-prior. 21.7.1972, 13.1.1973, 3.4.1973).
DOS 2 337 052 (Yoshitomi; appl. 20.7.1973; J-prior. 21.7.1972, 13.1.1973, 3.4.1973).
US 3 931 205 (Yoshitomi; 6.1.1976; appl. 18.7.1973; J-prior. 21.7.1972).

synthesis of 5-hydroxy-5*H*-[1]benzopyrano[2,3-*b*]pyridine:
Mann, F.G.; Reid, J.A.: J. Chem. Soc. (JCSOA9) **1952**, 2057.

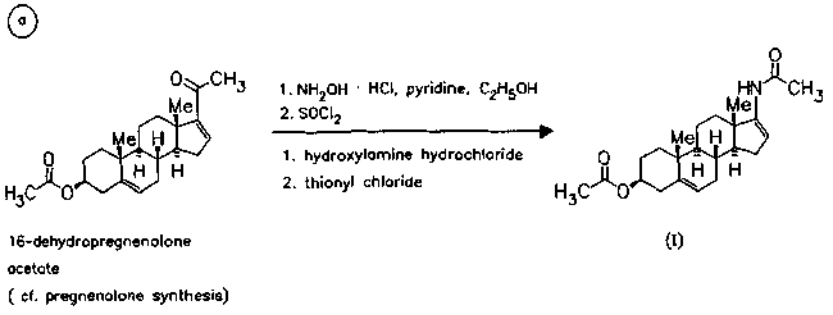
Formulation(s): cps. 75 mg

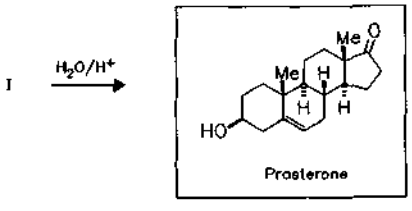
Trade Name(s):
J: Niflan (Yoshitomi; 1981)

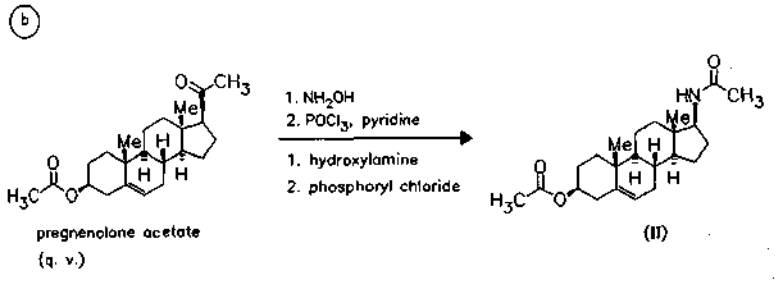
Prasterone

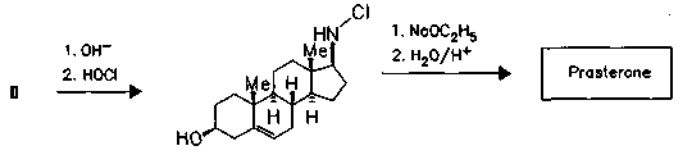
ATC: A14AA07
Use: anabolic, androgen

RN: 53-43-0 MF: $C_{19}H_{28}O_2$ MW: 288.43 EINECS: 200-175-5
LD_{50}: >10 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: (3β)-3-hydroxyandrost-5-en-17-one









Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 30.

from cholesterol:
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 648.
Rosenkranz, G. et al.: J. Org. Chem. (JOCEAH) **21**, 520 (1956).
US 2 335 616 (Parke Davis; 1943; prior. 1941).

Formulation(s): amp. 200 mg/ml

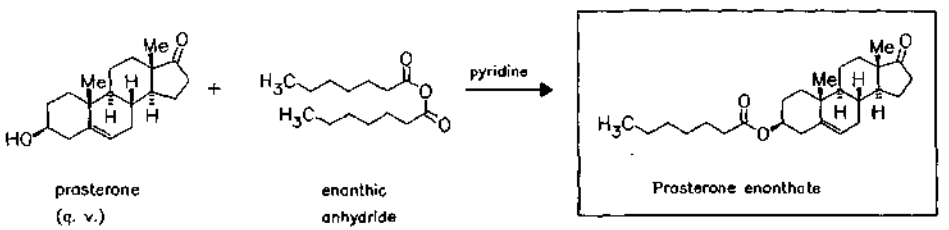
Trade Name(s):

D:	Gero Hormetten (Hormon-Chemie; as sulfate)-comb.; wfm		Gyno Hormetten (Hormon-Chemie; as sulfate)-comb.; wfm	I:	Gynodian (Schering; as valerate)
		GB:	Diandrone (Organon); wfm	J:	Mylis (Kanebo)

Prasterone enanthate

ATC: A14AA07; G03EA03
Use: androgen

RN: 23983-43-9 MF: $C_{26}H_{40}O_3$ MW: 400.60 EINECS: 245-970-8
CN: (3β)-3-[(1-oxoheptyl)oxy]androst-5-en-17-one



prasterone (q. v.) | enanthic anhydride | Prasterone enanthate

Reference(s):
BE 721 825 (Schering AG; appl. 4.10.1968; D-prior. 4.10.1967).
ZA 686 112 (Schering AG; appl. 20.9.1968; D-prior. 4.10.1967).
GB 1 246 639 (Schering AG; valid from 30.9.1968; D-prior. 4.10.1967).

alternative synthesis:
DOS 2 534 911 (Schering AG; appl. 1.8.1975).

use against psoriasis:
DOS 2 147 309 (Schering AG; appl. 17.9.1971).

Formulation(s): amp. 200 mg in comb. with estradiol valerate

Trade Name(s):

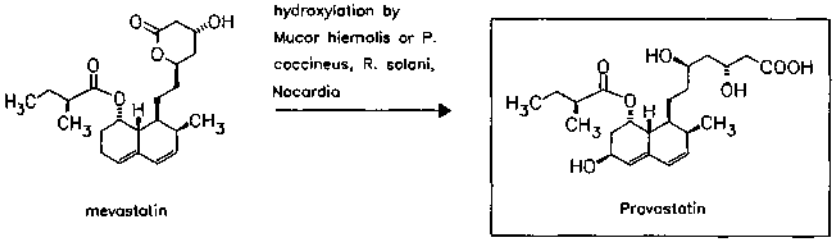
D:	Gynodian Depot (Schering)-comb.	F:	Gynodian Depot (Schering)-comb.; wfm	I:	Gynodian Depot (Schering)-comb.

Pravastatin
(Eptastatin)

ATC: C10AA03
Use: cholesterol depressant, HMG-CoA-reductase inhibitor

RN: 81093-37-0 MF: $C_{23}H_{36}O_7$ MW: 424.53
CN: [1*S*-[1α(β*S**,δ*S**),2α,6α,8β(*R**),8aα]]-1,2,6,7,8,8a-hexahydro-β,δ,6-trihydroxy-2-methyl-8-(2-methyl-1-oxobutoxy)-1-naphthaleneheptanoic acid

monosodium salt
RN: 81131-70-6 MF: $C_{23}H_{35}NaO_7$ MW: 446.52
LD_{50}: 2011 mg/kg (M, i.v.); 8939 mg/kg (M, p.o.); 440 mg/kg (R, i.v.); >12 g/kg (R, p.o.)



Reference(s):
DE 3 122 499 (Sankyo; appl. 5.6.1981; J-prior. 6.6.1980, 8.9.1980, 19.9.1980, 22.8.1980).
US 4 346 227 (Sankyo; 24.8.1982; appl. 5.6.1981; J-prior. 6.6.1980, 22.8.1980, 11.3.1980).
Serizawa, N. et al.: J. Antibiot. (JANTAJ) **36**, 604 (1983).

asymmetric synthesis:
Daniewski, A.R. et al.: J. Org. Chem. (JOCEAH) **57**, 7133 (1992).

pharmaceutical formulation with increased stability:
EP 336 298 (Squibb; appl. 30.3.1989; USA-prior. 31.3.1988).

combination with coenzyme Q10*:*
US 4 933 165 (Merck & Co.; 12.6.1990; appl. 8.11.1989).
US 4 929 437 (Merck & Co.; 29.5.1990; appl. 2.2.1989).

mevastatin (compactin):
The Merck Index, 11th Ed., 6088 (Rahway 1989).
Endo, A.: J. Med. Chem. (JMCMAR) **28**, 401 (1985).

new production process:
EP 877 089 (Gist-Brocades, EP-prior. 7.5.1997).
WO 9 736 996 (Gist-Brocades; appl. 21.3.1997; EP-prior. 28.3.1996).
WO 9 845 410 (Yungjin; appl. 30.6.1997; KR-prior. 10.4.1997).
EP 776 974 (Sankyo; appl. 29.11.1996; J-prior. 29.11.1995).

conversion of compactin *by Actinomadura:*
WO 9 640 863 (MIT; appl. 4.6.1996; USA-prior. 7.6.1995).

hydroxylation by Saccharopolysopra hirsuta:
EP 649 907 (Bristo-Myers Squibb; appl. 18.10.1994; USA-prior. 22.10.1993).

use for slowing progression of atherosclerosis:
EP 671 170 (Bristol-Myers Squibb; appl. 21.2.1995; USA-prior. 11.3.1994).

use for preventing restinosis:
EP 459 453 (Squibb & Sons; appl. 29.5.1991; USA-prior. 31.5.1990).

Formulation(s): tabl. 5 mg, 10 mg, 20 mg (as sodium salt)

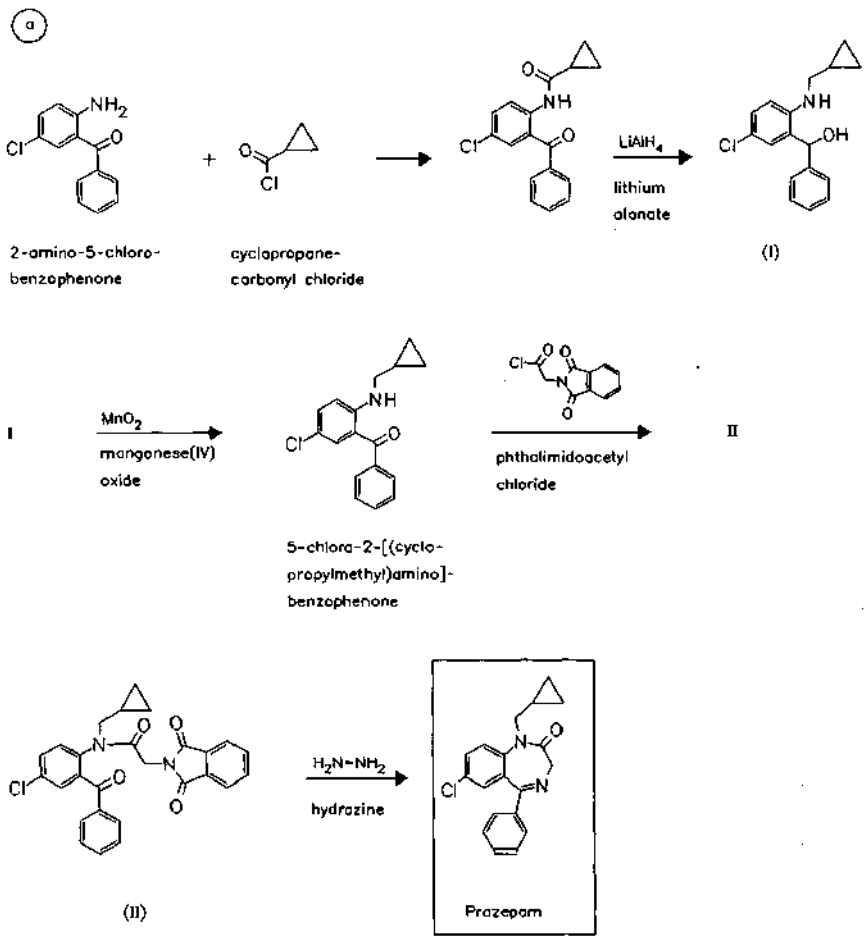
Trade Name(s):

D:	Liprevil (Schwarz/Sanol) Mevalotin (Sankyo) Pravasin (Bristol-Myers Squibb; 1991)	GB:	Lipostat (Bristol-Myers Squibb)		Selectin (Bristol-Myers Squibb; 1990)
F:	Elisor (Bristol-Myers Squibb; 1991) Vasten (Specia; Rhône-Poulenc Rorer; 1991)	I:	Aplactin (Mead Johnson) Prasterol (Malesci) Pravaselect (Menarini; 1990) Sanaprav (Sankyo Pharma)	J: USA:	Mevalotin (Sankyo; 1989) Pravachol (Bristol-Myers Squibb; 1991)

Prazepam

ATC: N05BA11
Use: tranquilizer

RN: 2955-38-6 MF: $C_{19}H_{17}ClN_2O$ MW: 324.81 EINECS: 220-975-8
LD_{50}: 2300 mg/kg (M, p.o.);
>4 g/kg (R, p.o.);
>4 g/kg (dog, p.o.)
CN: 7-chloro-1-(cyclopropylmethyl)-1,3-dihydro-5-phenyl-2*H*-1,4-benzodiazepin-2-one



Reference(s):

a DAS 1 229 098 (Warner-Lambert; appl. 24.2.1964; USA-prior. 1.3.1963).
US 3 192 199 (F. H. McMillan, J. Pattison; 29.6.1965; appl. 1.3.1963).

b US 3 192 200 (H. M. Wuest; 29.6.1965; prior. 5.3.1963).

alternative synthesis:

Inaba, S. et al.: Chem. Pharm. Bull. (CPBTAL) **17**, 1263 (1969).

Formulation(s): drops 15 mg/ml; tabl. 10 mg, 20 mg, 40 mg

Trade Name(s):

D:	Demetrin (Gödecke; Parke Davis) Mono-Demetrin (Gödecke; Parke Davis)	GB:	Centrax (Parke Davis); wfm	USA:	Centrax (Parke Davis); wfm Verstran (Parke Davis; Warner Chilcott); wfm
F:	Lysanxia (Parke Davis)	I:	Prazene (Parke Davis) Trepidan (Max Farma)		
		J:	Prazepam (Sumitomo Chem.)		

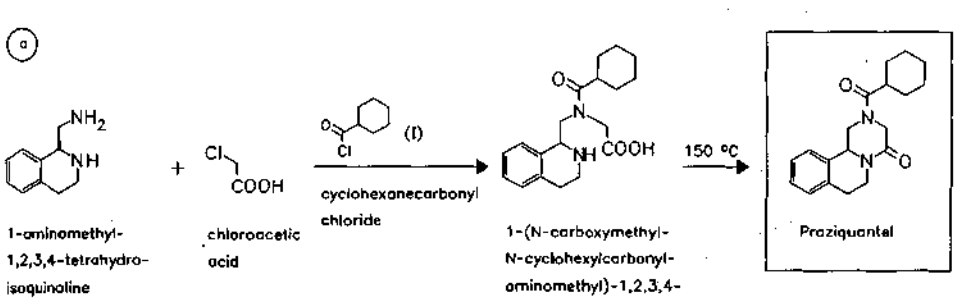
Praziquantel

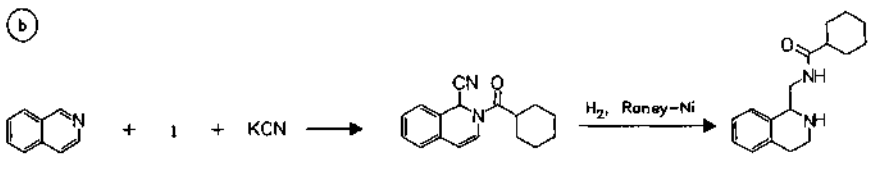
ATC: P02BA01
Use: anthelmintic

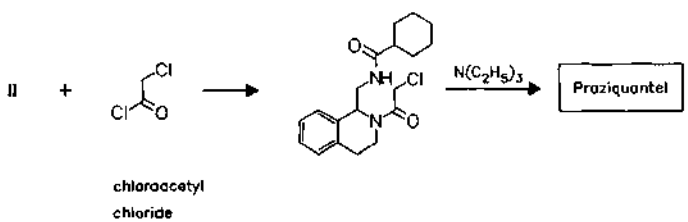
RN: 55268-74-1 MF: $C_{19}H_{24}N_2O_2$ MW: 312.41 EINECS: 259-559-6

LD_{50}: 2454 mg/kg (M, p.o.);
2840 mg/kg (R, p.o.);
>200 mg/kg (dog, p.o.)

CN: 2-(cyclohexylcarbonyl)-1,2,3,6,7,11b-hexahydro-4*H*-pyrazino[2,1-*a*]isoquinolin-4-one







Reference(s):
DOS 2 457 971 (E. Merck Patent GmbH; appl. 7.12.1974).
DOS 2 362 539 (E. Merck Patent GmbH; appl. 17.12.1973).
DOS 2 504 250 (E. Merck Patent GmbH; appl. 1.2.1975).
DOS 3 011 156 (E. Merck Patent GmbH; appl. 22.3.1980).

Formulation(s): f. c. tabl. 150 mg, 600 mg; tabl. 500 mg

Trade Name(s):

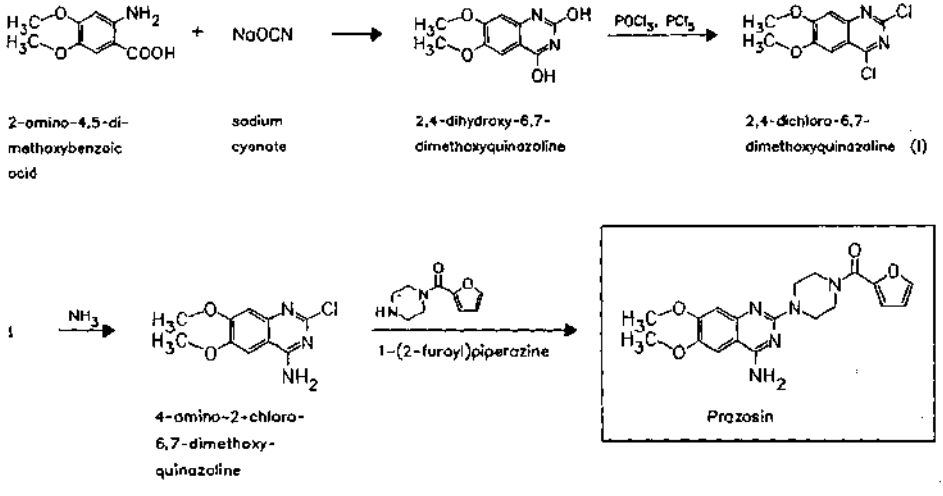
D:	Biltricide (Bayer)		Cysticide (Merck)	J:	Biltrizide (Bayer)
	Cesol (Merck)	F:	Biltricide (Bayer Pharma)	USA:	Biltricide (Bayer)

Prazosin

ATC: C02CA01
Use: antihypertensive, α_1-adrenergic blocker

RN: 19216-56-9 MF: $C_{19}H_{21}N_5O_4$ MW: 383.41 EINECS: 242-885-8
LD_{50}: >400 mg/kg (M, i.v.); >4 g/kg (M, p.o.)
CN: 1-(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(2-furanylcarbonyl)piperazine

monohydrochloride
RN: 19237-84-4 MF: $C_{19}H_{21}N_5O_4 \cdot HCl$ MW: 419.87 EINECS: 242-903-4
LD_{50}: 92 mg/kg (M, i.v.); 5 g/kg (M, p.o.);
73 mg/kg (R, i.v.); 1950 mg/kg (R, p.o.);
>700 mg/kg (dog, p.o.)



Reference(s):
US 3 511 836 (Pfizer; 12.5.1970; appl. 13.12.1967; prior. 6.8.1965, 7.6.1966).
US 3 635 979 (Pfizer; 18.1.1972; prior. 6.8.1965, 7.6.1966, 13.12.1967).
US 3 663 706 (Pfizer; 16.5.1972; prior. 6.8.1965, 13.12.1967, 12.5.1970).
DAS 1 620 138 (Pfizer; appl. 2.7.1966; USA-prior. 6.7.1965, 7.6.1966).

alternative synthesis:
US 3 935 213 (Pfizer; 27.1.1976; prior. 5.12.1973).
DOS 2 457 911 (Pfizer; appl. 4.12.1974; USA-prior. 5.12.1973).
DOS 2 731 737 (Pfizer; appl. 11.7.1977; USA-prior. 6.8.1976).
US 4 062 844 (Pfizer; 13.12.1977; appl. 20.9.1976).
US 4 138 561 (Bristol-Myers; 6.2.1979; prior. 30.9.1977).
BE 861 821 (Fermion; appl. 14.12.1977; SF-prior. 15.12.1976).
BE 861 822 (Fermion; appl. 14.12.1977; SF-prior. 15.12.1976).

α-form:
US 4 092 315 (Pfizer; 30.5.1978; appl. 1.3.1976).
DAS 2 708 192 (Pfizer; appl. 25.2.1977; USA-prior. 1.3.1976).

anhydrous crystalline form:
DE 3 429 415 (Orion; appl. 9.8.1984; FL-prior. 25.6.1984).
US 4 816 455 (Heumann Pharma; 28.3.1989; appl. 3.3.1987; EP-prior. 21.3.1986).

Formulation(s): s. r. cps. 1 mg, 2 mg, 4 mg, 6 mg; tabl. 0.5 mg, 1 mg, 2 mg, 5 mg (as hydrochloride)

Trade Name(s):

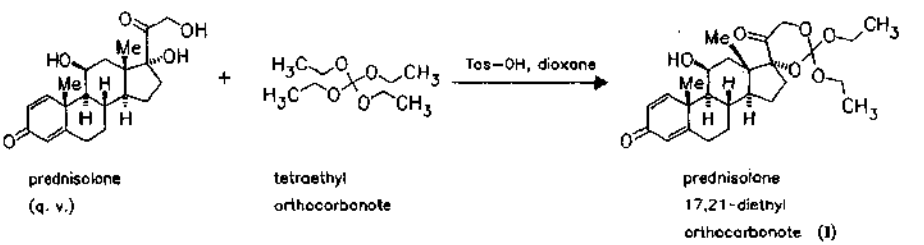
D:	Adversuten (ASTA Medica AWD)		Polypress/-forte (Pfizer)-comb.	GB:	Hypovase (Invicta; 1974)
	Duramipress (durachemie)		Prazosin-ratiopharm (ratiopharm)	I:	Minipress (Pfizer; 1978); wfm
	Eurex (Sanofi Winthrop)			J:	Minipress (Pfizer Taito; 1981)
	Minipress (Pfizer; 1977)	F:	Alpress LP (Pfizer)		
			Minipress (Pfizer; 1979)	USA:	Minipress (Pfizer)

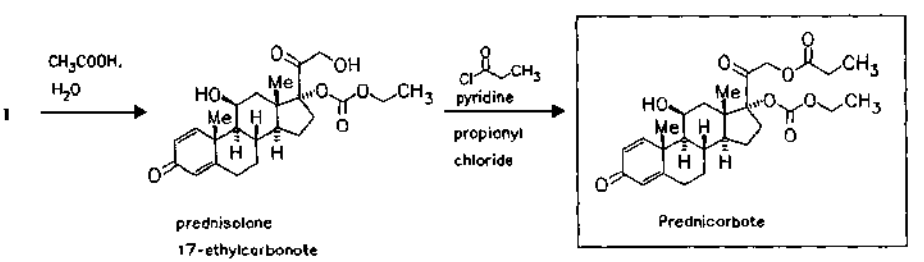
Prednicarbate

(Hoe 777)

ATC: D07AC18
Use: topical glucocorticoid, steroidal anti-inflammatory

RN: 73771-04-7 MF: $C_{27}H_{36}O_8$ MW: 488.58 EINECS: 277-590-3
CN: (11β)-17-[(ethoxycarbonyl)oxy]-11-hydroxy-21-(1-oxopropoxy)pregna-1,4-diene-3,20-dione





Reference(s):
Stache, U. et al.: Arzneim.-Forsch. (ARZNAD) **35** (II), 1753 (1985).
EP 742 (Hoechst; appl. 27.7.1978; D-prior. 4.8.1977).
DE 2 735 110 (Hoechst; appl. 4.8.1977).
US 4 242 334 (Hoechst; appl. 21.2.1979; D-prior. 4.8.1977).

Formulation(s): cream 2.5 mg/1 g; ointment 2.5 mg/1 g; sol. (in aqueous ethanol, 20 %) 2.5 mg/1 g

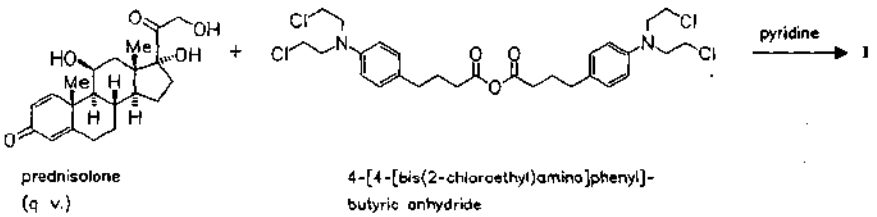
Trade Name(s):

D:	Dermatop (Hoechst)	I:	Dermatop (Hoechst Marion Roussel)	USA:	Dermatop (Hoechst Marion Roussel)

Prednimustine

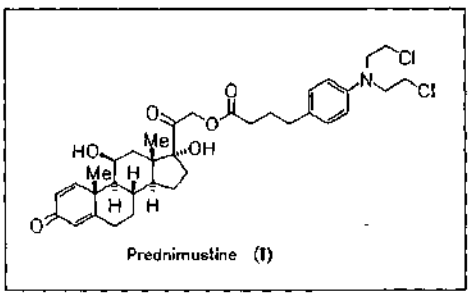
ATC: L01AA08
Use: antineoplastic

RN: 29069-24-7 MF: $C_{35}H_{45}Cl_2NO_6$ MW: 646.65 EINECS: 249-410-3
LD_{50}: 530 mg/kg (R, p.o.)
CN: (11β)-21-[4-[4-[bis(2-chloroethyl)amino]phenyl]-1-oxobutoxy]-11,17-dihydroxypregna-1,4-diene-3,20-dione



prednisolone (q. v.)

4-[4-[bis(2-chloroethyl)amino]phenyl]-butyric anhydride



Reference(s):
DOS 2 001 305 (A B Leo; appl. 13.1.1970; GB-prior. 23.1.1969).

Formulation(s): cps. 10 mg, 50 mg; tabl. 10 mg, 100 mg

Trade Name(s):

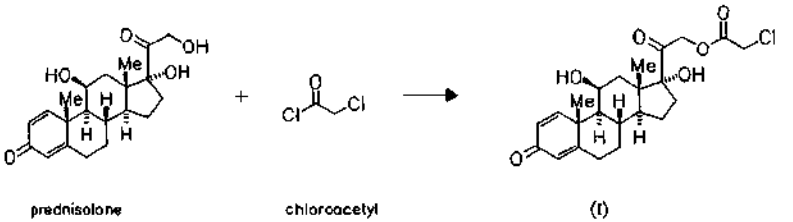
D:	Sterecyt (Pharmaleo); wfm	F:	Stéréocyt (Roger Bellon); wfm

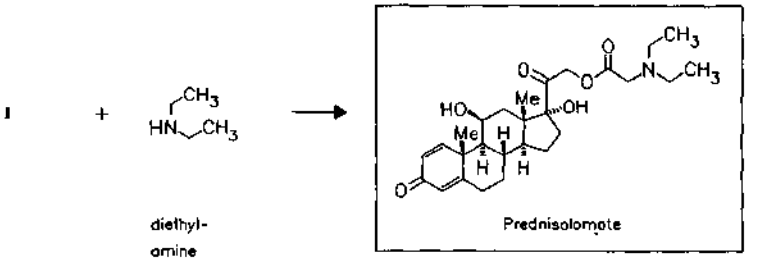
Prednisolamate

ATC: H02AB06
Use: glucocorticoid

RN: 5626-34-6 MF: $C_{27}H_{39}NO_6$ MW: 473.61 EINECS: 227-064-4
CN: *N,N*-diethylglycine (11β)-11,17-dihydroxy-3,20-dioxopregna-1,4-dien-21-yl ester

hydrochloride
RN: 17140-01-1 MF: $C_{27}H_{39}NO_6 \cdot HCl$ MW: 510.07





Reference(s):
GB 862 370 (Pfizer; valid from 1957; USA-prior. 1956).
DE 1 037 451 (Schering AG; appl. 1957).

alternative synthesis:
Pancrazio, G.; Sbarigia, G.: Farmaco, Ed. Prat. (FRPPAO) **16**, 190 (1961).

Formulation(s): tabl. 5 mg

Trade Name(s):
D: Deltacortril-intravenös (Pfizer); wfm

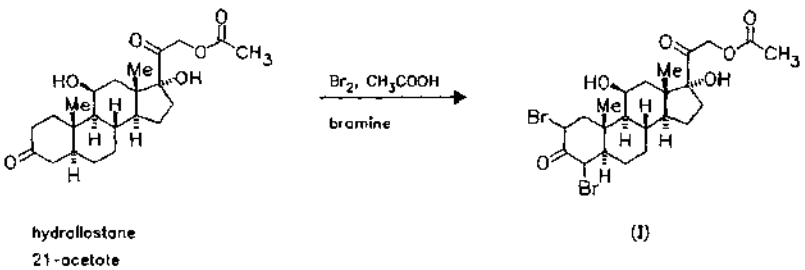
Prednisolone

ATC: A07EA01; C05AA04; D07AA03; D07XA02; H02AB06; R01AD02; R01AD52; S01BA04; S01CB02; S02BA03; S03BA02
Use: glucocorticoid

RN: 50-24-8 MF: $C_{21}H_{28}O_5$ MW: 360.45 EINECS: 200-021-7
LD_{50}: 180 mg/kg (M, i.v.); 1680 mg/kg (M, p.o.); 120 mg/kg (R, i.v.)
CN: (11β)-11,17,21-trihydroxypregna-1,4-diene-3,20-dione

acetate
RN: 52-21-1 MF: $C_{23}H_{30}O_6$ MW: 402.49 EINECS: 200-134-1
LD_{50}: 3500 mg/kg (M, s.c.); >240 mg/kg (R, s.c.)

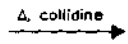
a

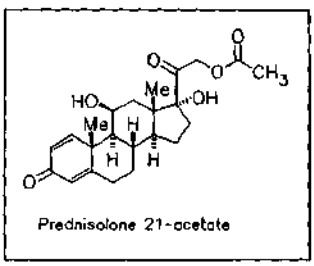


hydrallostane 21-acetate

(I)

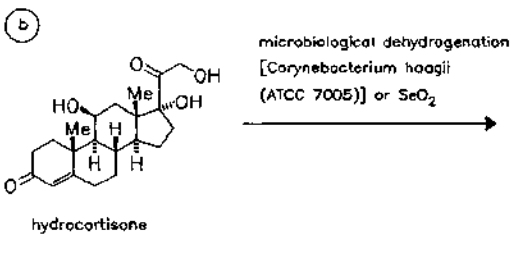
1





Prednisolone 21-acetate

b



hydrocortisone

Prednisolone

Reference(s):

a US 2 897 216 (Schering Corp.; 1959; prior. 1952).
starting material:
The Merck Index, 12th Ed., 815 (1996).

b US 3 134 718 (Schering Corp.; 26.5.1964; appl. 12.12.1963; prior. 11.8.1954).
Wettstein, A. et al.: Helv. Chim. Acta (HCACAV) **39**, 734 (1956).
Nobile, A. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 4184 (1955).
DAS 1 135 899 (Schering AG; appl. 20.5.1960).

alternative synthesis:
US 4 041 055 (Upjohn; 9.8.1977; appl. 17.11.1975).

Formulation(s): amp. 10 mg/ml, 25 mg/ml, 50 mg/ml (as acetate); eye drops 1.2 mg/ml, 10 mg/ml (as acetate); ointment 5 mg/g, 100 mg/g; suppos. 100 mg (as acetate); syrup 15 mg/5 ml; tabl. 1 mg, 5 mg, 20 mg

Trade Name(s):

D:
Alferm (Schöning-Berlin)-comb.
Decaprednil (Orion Pharma)
Decortin H (Merck)
Dontisolan (Hoechst)
Dura Prednisolon (durachemie)
Hefasolon (Hefa Pharma)
Inflanefran (Pharm-Allergan)
Klismacort (bene-Arzneimittel)
Linola (Wolff)
Prectal (Artesan; Cassella-med)
Prednabene (Merckle)
Prednihexal (Hexal)
Predni-H-injekt (Sanorania)
Predni-H-Tablinen (Sanorania)
Predni-POS (Ursapharm)

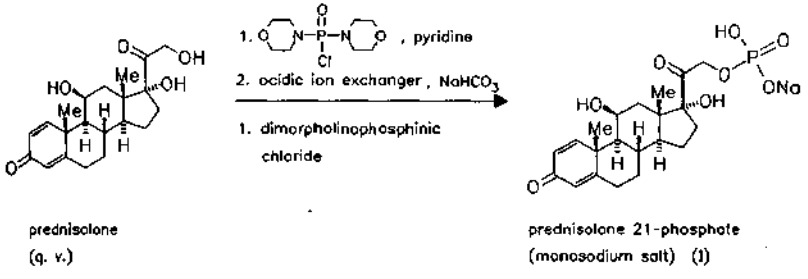
	Prednisolon "Ferring" (Ferring)		Deltastab (Knoll)		Delta Prenin (Sumitomo)
	Prednisolon "Lentia" (Lentia)		Hydrocortancyl cp séc (Roussel)		Donisolone (Sankyo)
	Prednisolon Augensalbe Jenapharm (Jenapharm)		Hydrocortancyl susp inj (Roussel)		Lavine (Tatsumi)
	Prednisolut (Jenapharm)		Precortisyl forte (Hoechst)		Prednisolone Cream (Toho)
	Solu-Decortin (Merck)		Pred forte (Allergan)		Prednisolon Ophthalmic Oint (Nitten)
	Ultracortenol (CIBA Vision)		Scheriproct (Schering; as hexanoate)-comb.		Predonine (Shionogi)
	combination preparations	I:	Biodeltacortilen (SIFI)-comb.		Scherisolon Inj. (Nihon Schering)
F:	Deliproct (Schering; as caproate)-comb.		Meticortelone (Schering-Plough)		Scherisolon Tab. (Nihon Schering)
	Dérinox (Thérabel Lucien pharma)-comb.		Solprene (Farmigea)		numerous combination preparations
	combination preparations	J:	Codelcortone (Merck-Banyu)	USA:	Predniso (Roxane)
GB:	Deltacortril (Pfizer)		Deltacortil (Taito Pfizer)		Prelone (Muro)

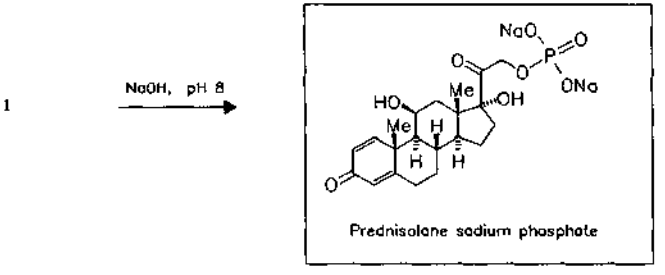
Prednisolone sodium phosphate

ATC: H02AB06
Use: glucocorticoid

RN: 125-02-0 MF: $C_{21}H_{27}Na_2O_8P$ MW: 484.39 EINECS: 204-722-9
LD_{50}: 360 mg/kg (rabbit, i.v.)
CN: (11β)-11,17-dihydroxy-21-(phosphonooxy)pregna-1,4-diene-3,20-dione disodium salt

free acid
RN: 302-25-0 MF: $C_{21}H_{29}O_8P$ MW: 440.43 EINECS: 206-120-1





Reference(s):
DE 1 134 075 (Merck AG; appl. 26.11.1959).

alternative syntheses:
US 2 789 117 (Merck & Co.; 1957; appl. 1957).
US 2 870 177 (Merck & Co.; 1959; appl. 1954).
US 2 932 657 (Merck k Co.; 12.4.1960; appl. 30.7.1957).
US 2 936 313 (Glaxo; 10.5.1960; appl. 18.11.1958; GB-prior. 19.11.1957).

Formulation(s): amp. 33.6 mg/5 ml, 53.75 mg/5 ml; eye drops 0.5 g/100 ml; oral sol. 6.7 mg/5 ml

Trade Name(s):

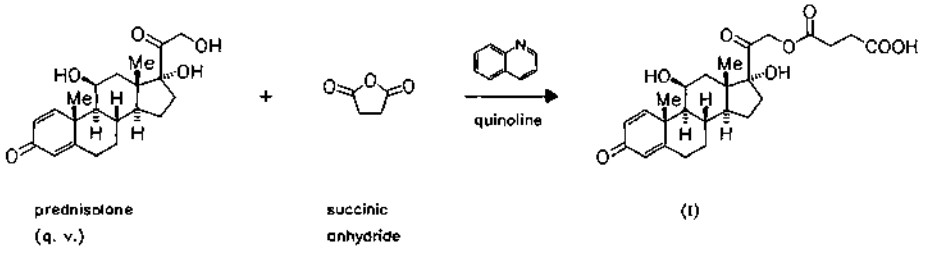
D:	Hefasolon (Hefa Pharma)		Phortisolone (Fumouze); wfm		Prednesol (Glaxo Wellcome)
	Prednabene Injektionslösung (Merckle)		Solucort (Merck Sharp & Dohme-Chibret)		Predsol (Evans)
F:	Colicort (Merck Sharp & Dohme-Chibret)-comb.	GB:	Minims Prednisolone (Chauvin)	I:	Solprene (Farmigea)-comb.
	Deturgylone (Synthélabo)-comb.			J:	Prozorin (Takeda)
				USA:	Optimyd (Medeva)-comb.

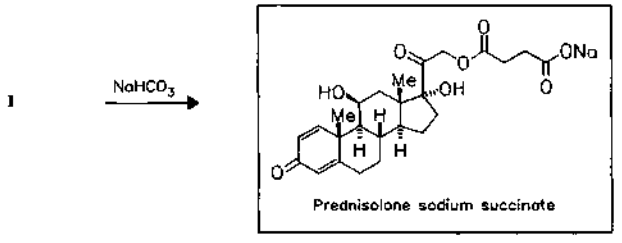
Prednisolone sodium succinate

ATC: H02AB06
Use: glucocorticoid

RN: 1715-33-9 MF: $C_{25}H_{31}NaO_8$ MW: 482.51 EINECS: 216-995-1
LD_{50}: 1125 mg/kg (M, i.v.);
770 mg/kg (R, i.v.)
CN: (11β)-21-(3-carboxy-1-oxopropoxy)-11,17-dihydroxypregna-1,4-diene-3,20-dione monosodium salt

free acid
RN: 2920-86-7 MF: $C_{25}H_{32}O_8$ MW: 460.52 EINECS: 220-861-8





Reference(s):
DAS 1 045 400 (Pfizer; appl. 1956; USA-prior. 1955)-withdrawn.
continuation of DE 1 013 648

Formulation(s): amp. 10 mg, 25 mg, 100 mg (as free acid); amp. 10 mg/ml, 25 mg/ml, 50 mg/ml, 100 mg/ml, 250 mg/5 ml, 250 mg/10 ml, 500 mg/5 ml, 500 mg/10 ml, 1000 mg/5 ml, 1000 mg/10 ml

Trade Name(s):

D: Aquapred (Winzer)-comb.
Hostacortin H sol. (Hoechst)
Realin Supp. (Geigy/Thomae)-comb.
Solo-Decortin H (Merck)

I: Endoprenovis (Vister)
Ibisterolon Iniett. (IBI)
Policort (Lepetit)-comb.
Soludacortin (Bracco)

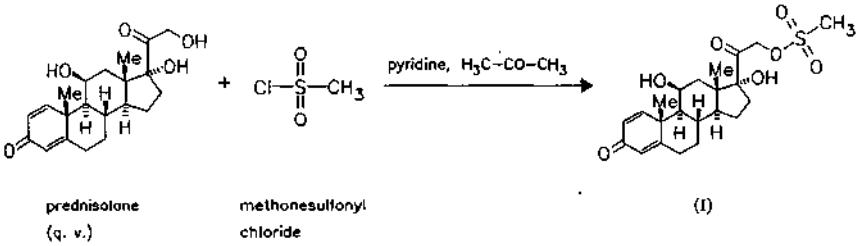
J: Predonine, water sol. (Shionogi)

USA: Meticortelone sol. (Schering); wfm

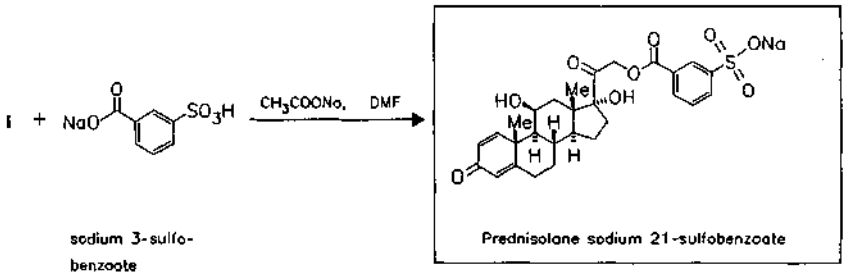
Prednisolone sodium sulfobenzoate

ATC: H02AB06
Use: glucocorticoid

RN: 630-67-1 MF: $C_{28}H_{31}NaO_9S$ MW: 566.60 EINECS: 211-141-4
CN: (11β)-11,17-dihydroxy-21-[(3-sulfobenzoyl)oxy]pregna-1,4-diene-3,20-dione monosodium salt



prednisolone (q. v.) + methonesulfonyl chloride → (I)



I + sodium 3-sulfobenzoate

Reference(s):
US 3 037 034 (Roussel-Uclaf; 29.5.1962; appl. 21.4.1960; F-prior. 24.4.1959).

alternative synthesis:
US 3 032 568 (Roussel-Uclaf; 1.5.1962; appl. 15.3.1961; prior. 13.4.1959).

Formulation(s): collutorium 22.5 mg/3 ml;clysma 20 mg; eye ointment 30 mg/4 g; foam 20 mg

Trade Name(s):

D: Cortiphenicol (Saarstickstoff-Fatol)-comb.; wfm
Phoscortil-Klys (Biotherax)-comb.; wfm
Positex (Ursapharm)-comb.; wfm

F: Désocort (Chauvin)-comb.
Solupred (Houdé)

GB: Predenema (Pharmax)
Predfoam (Pharmax)

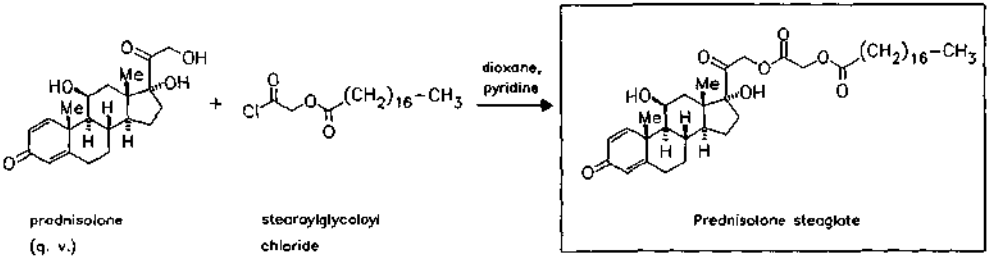
I: Rexidina (Bouty)-comb.
Tergynan (Bouchara)-comb.

Prednisolone steaglate

(Prednisolone stearoylglycolate)

ATC: H02AB06
Use: glucocorticoid

RN: 5060-55-9 MF: $C_{41}H_{64}O_8$ MW: 684.96 EINECS: 225-763-9
CN: (11β)-11,17-dihydroxy-21-[[[(1-oxooctadecyl)oxy]acetyl]oxy]pregna-1,4-diene-3,20-dione



Reference(s):
US 3 171 846 (Carlo Erba; 2.3.1965; I-prior. 10.7.1962).
Girardi, P.N. et al.: Arzneim.-Forsch. (ARZNAD) **16**, 162 (1966).

Formulation(s): nasal drops 0.25 %

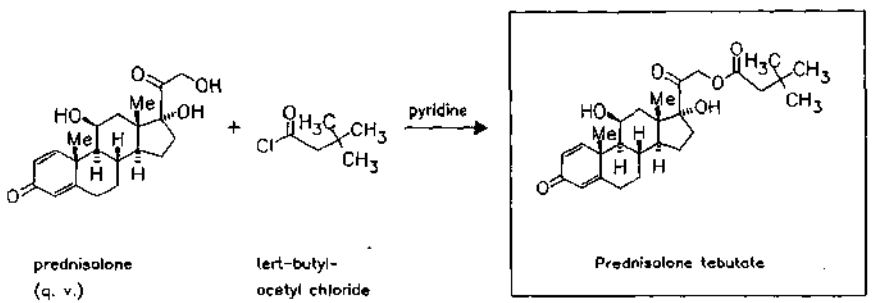
Trade Name(s):

F:	Rollsone (Bellon); wfm	Estilsona (Erba); wfm	Siutisane (Erba); wfm
GB:	Sintisone (Farmitalia Carlo Erba); wfm	Glistelone (Erba); wfm	Verisone (Tiber); wfm
		Glitisone (Vis); wfm	
I:	Erbacort (Erba); wfm	Prenisel (Cifa); wfm	

Prednisolone tebutate

ATC: H02AB06
Use: · glucocorticoid

RN: 7681-14-3 MF: $C_{27}H_{38}O_6$ MW: 458.60 EINECS: 231-661-5
CN: (11β)-21-(3,3-dimethyl-1-oxobutoxy)-11,17-dihydroxypregna-1,4-diene-3,20-dione



Reference(s):
US 2 736 734 (Merck & Co.; 1956; prior. 1955).
DE 1 135 904 (Merck & Co.; appl. 1956; USA-prior. 1955).

Formulation(s): susp. 20 mg/ml

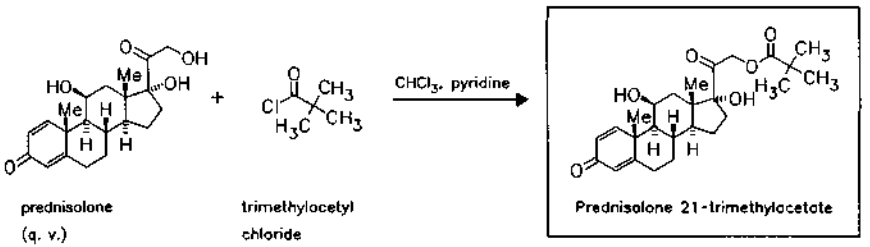
Trade Name(s):
USA: Hydeltra-TBA (Merck Sharp & Dohme)

Prednisolone 21-trimethylacetate

(Prednisolon 21-pivalate)

ATC: H02AB06
Use: glucocorticoid

RN: 1107-99-9 MF: $C_{26}H_{36}O_6$ MW: 444.57 EINECS: 214-172-1
CN: (11β)-21-(2,2-dimethyl-1-oxopropoxy)-11,17-dihydroxypregna-1,4-diene-3,20-dione



Reference(s):
CH 398 585 (Ciba; appl. 1956).

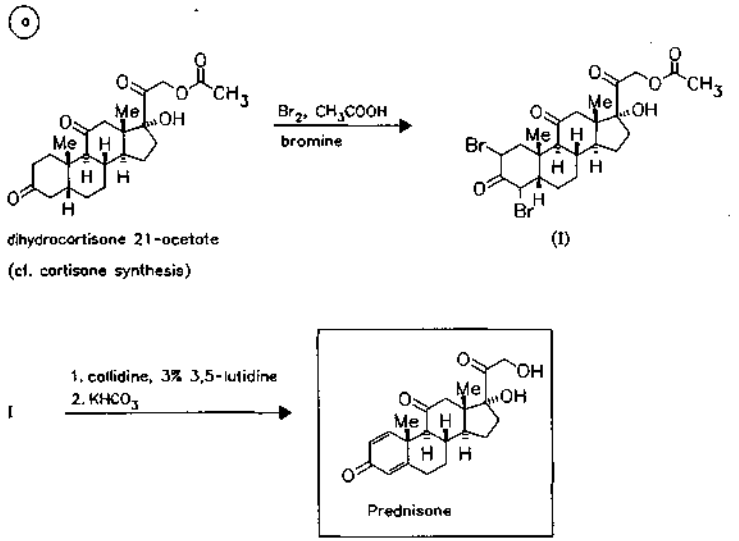
Formulation(s): ophthalmic ointment 5 mg/ml (0.5 %)

Trade Name(s):
D: Ultracortenol (Ciba); wfm
Varecort (Zyma-Blaes)-comb.; wfm

Prednisone

ATC: A07EA03; H02AB07
Use: glucocorticoid

RN: 53-03-2 MF: $C_{21}H_{26}O_5$ MW: 358.43 EINECS: 200-160-3
LD_{50}: 600 mg/kg (M, i.m.); 135 mg/kg (M, i.p.); 101 mg/kg (M, s.c.)
CN: 17,21-dihydroxypregna-1,4-diene-3,11,20-trione



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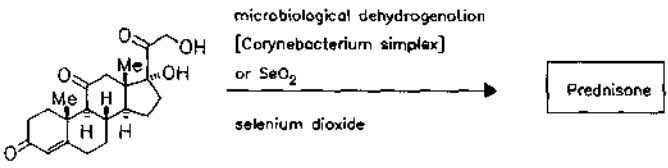


cortisone
(q. v.)

Reference(s):

a US 2 897 216 (Schering Corp.; 1959; prior. 1952).
Applezweig, N.: Steroid Drugs, Vol. **1**, 66 (New York, London, Toronto 1962).
starting material:
Applezweig, N.: Steroid Drugs, Vol. **1**, 66 (New York, London, Toronto 1962).

b US 3 134 718 (Schering Corp.; 26.5.1964; appl. 12.12.1963; prior. 11.8.1954).
Wettstein, A. et al.: Helv. Chim. Acta (HCACAV) **39**, 734 (1956).

review:

Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 54.

Formulation(s): cream 5 mg/g; eye drops 2 mg/g in comb. with chloramphenicole; suppos. 5 mg, 10 mg, 30 mg, 100 mg; syrup 5 mg/5 ml, 25 mg/ml, 50 mg/ml; tabl. 1 mg, 5 mg, 20 mg, 50 mg

Trade Name(s):

D: Decortin (Merck)
Oleomycetin-Prednison Augentropfen (Winzer)-comb.
Prednison "Dorsch" (Orion Pharma)
Prednison "Ferring" (Pharmagalen)
Prednison "Sanhelios" (Börner)
Predni-Tablinen (Beiersdorf-Tablinen)
Predni-Tablinen (Sanorania)
Rectodelt (Trommsdorff)
F: Cortancyl (Roussel)
GB: Decortisyl (Roussel); wfm
Delta-Butazolidin (Geigy)-comb.; wfm
J: Delta-Butazolidin (Ciba-Geigy-Fujisawa)-comb.
USA: Liquid Pred (Muro)
Lisacort (Fellows)
Sterapred (Merz)
generics

Prednival acetate

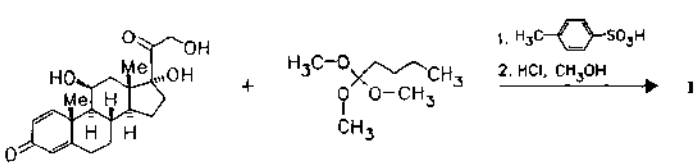
(Prednisolone 17-*O*-valerate)

ATC: H02AB
Use: glucocorticoid

RN: 72064-79-0 MF: $C_{28}H_{38}O_7$ MW: 486.61 EINECS: 276-312-8
LD_{50}: >3 g/kg (M, p.o.);
>4 g/kg (R, p.o.)
CN: (11β)-21-(acetyloxy)-11-hydroxy-17-[(1-oxopentyl)oxy]pregna-1,4-diene-3,20-dione

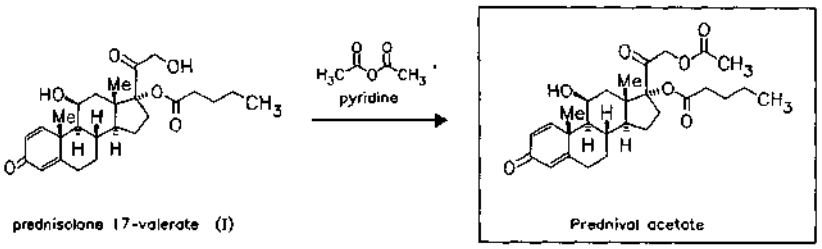
prednival

RN: 15180-00-4 MF: $C_{26}H_{36}O_6$ MW: 444.57 EINECS: 239-228-2
LD_{50}: 490 mg/kg (M, s.c.)



prednisolone
(q. v.)

trimethyl orthovalerate



Reference(s):
Gardi, R. et al.: Gazz. Chim. Ital. (GCITA9) **93**, 431 (1963).

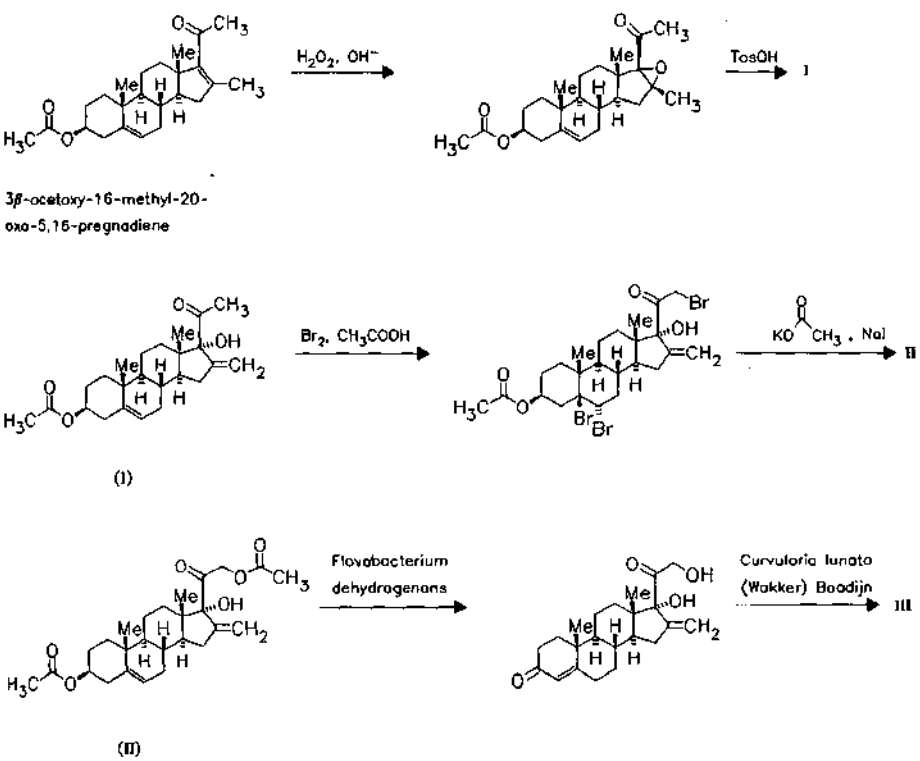
prednisolone 17-valerate:
DE 1 214 677 (Francesco Vismara; appl. 1.6.1962; I-prior. 24.6.1961).
US 3 147 249 (Francesco Vismara; 1.9.1964; I-prior. 13.6.1961).
cf. hydrocortisone butyrate, betametasone valerate

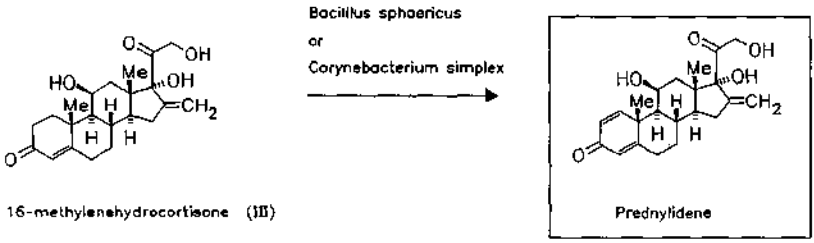
Trade Name(s):
I: Acepreval (Parke Davis-Vister); wfm

Prednylidene

ATC: H02AB11
Use: glucocorticoid

RN: 599-33-7 MF: $C_{22}H_{28}O_5$ MW: 372.46 EINECS: 209-964-9
LD_{50}: 7450 mg/kg (M, p.o.)
CN: (11β)-11,17,21-trihydroxy-16-methylenepregna-1,4-diene-3,20-dione





Reference(s):
DE 1 134 074 (E. Merck AG; appl. 31.1.1959).
Mannhardt, H.J. et al.: Tetrahedron Lett. (TELEAY) **1960**, 21.
Taub, D. et al.: J. Org. Chem. (JOCEAH) **25**, 2258 (1960).

alternative synthesis:
US 3 068 226 (Merck & Co.; 1962; prior. 1961, 1959).

Formulation(s): tabl. 6 mg, 24 mg, 60 mg

Trade Name(s):

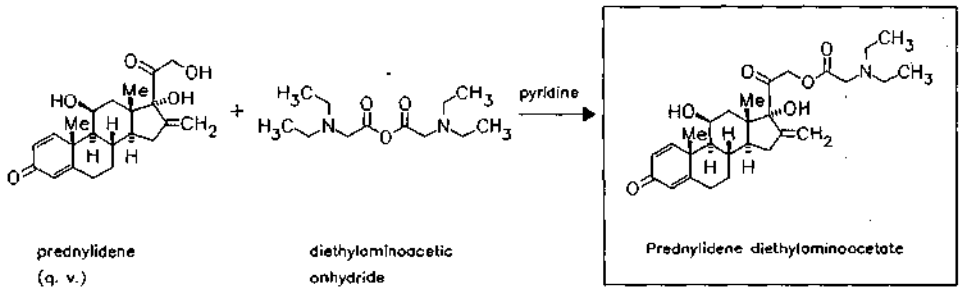
D:	Decortilen (Merck)	I:	Dacortilen Merck (Bracco);
F:	Décortilène (Farmex); wfm		wfm

Prednylidene diethylaminoacetate

ATC: H02AB11
Use: glucocorticoid

RN: 6890-42-2 MF: $C_{28}H_{39}NO_6$ MW: 485.62
CN: *N,N*-diethylglycine (11β)-11,17-dihydroxy-16-methylene-3,20-dioxopregna-1,4-dien-21-yl ester

hydrochloride
RN: 22887-42-9 MF: $C_{28}H_{39}NO_6 \cdot HCl$ MW: 522.08 EINECS: 245-299-0



Reference(s):
DE 1 134 074 (E. Merck AG; appl. 31.1.1959).

combination with quinoline derivatives:
BE 829 197 (Grosjean; appl. 16.5.1975).

Formulation(s): amp. 30 mg/ml, 60 mg/ml

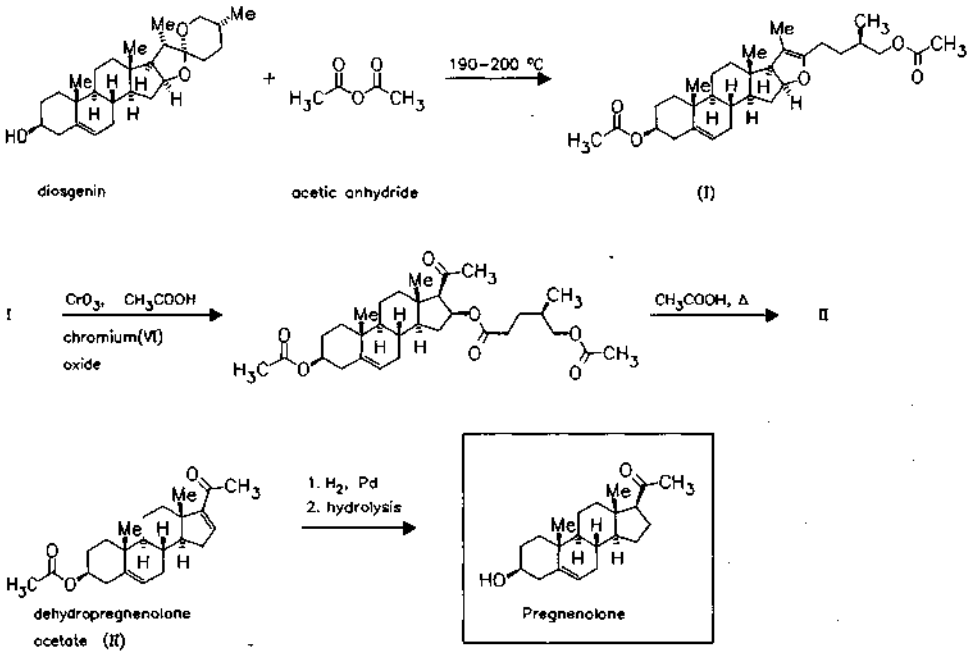
Trade Name(s):
D: Decortilen sol. (Merck)

Pregnenolone

ATC: L02BA
Use: glucocorticoid

RN: 145-13-1 MF: $C_{21}H_{32}O_2$ MW: 316.49 EINECS: 205-647-4
CN: (3β)-3-hydroxypregn-5-en-20-one

succinate
RN: 4598-67-8 MF: $C_{25}H_{36}O_5$ MW: 416.56 EINECS: 225-001-5
acetate
RN: 1778-02-5 MF: $C_{23}H_{34}O_3$ MW: 358.52 EINECS: 217-212-6



Reference(s):
Ehrhart-Ruschig, **III**, 341.
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 664, and there cited literature.

alternative syntheses:
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 660.

Formulation(s): cream 0.5 % (as acetate)

Trade Name(s):
F: Fadiamone Crème (Sauba; as acetate)-comb.; wfm
USA: Formula 405 (Doak; as succinate); wfm
Panzalone (Doak; as succinate); wfm
Prenolon (Schering); wfm

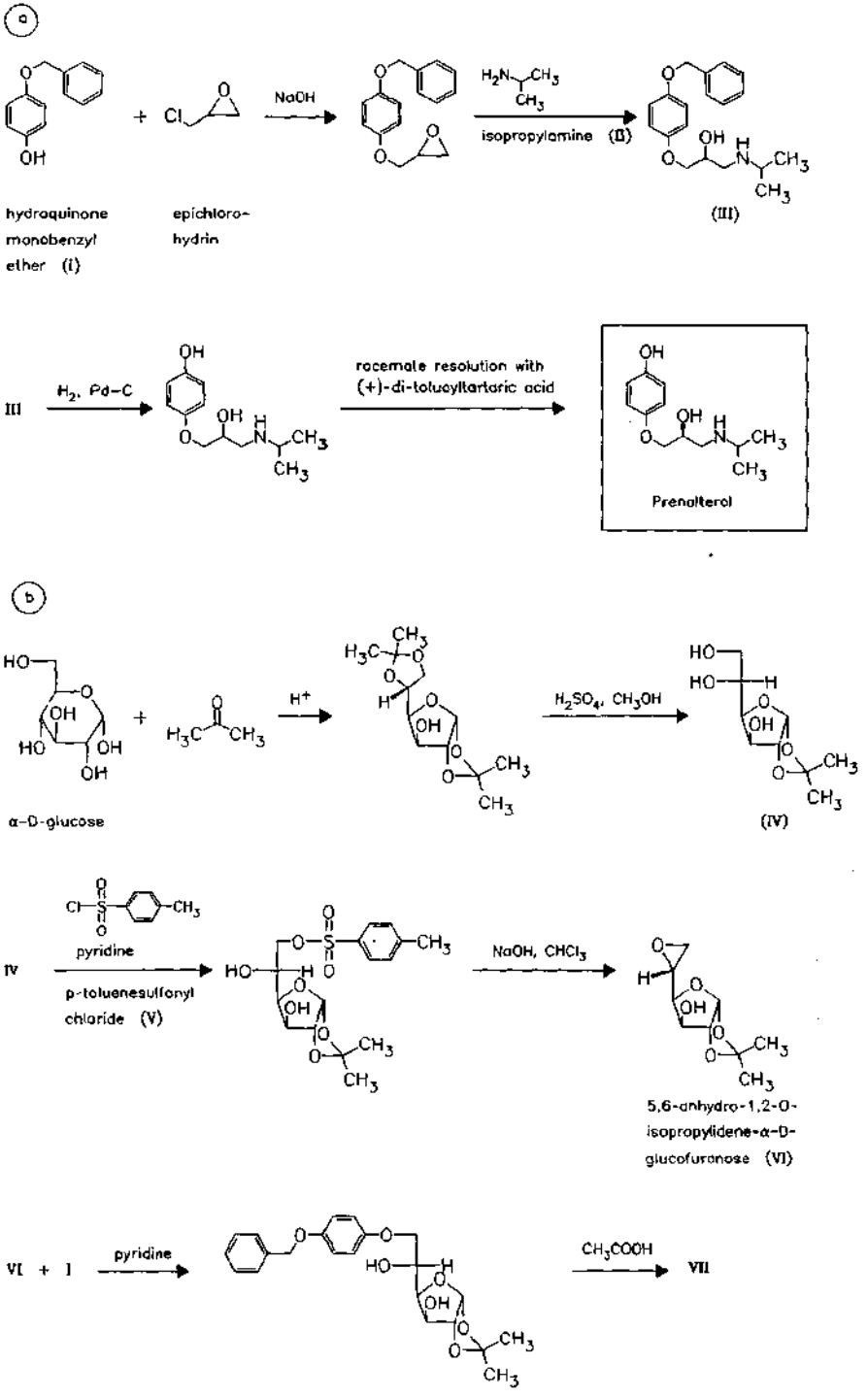
Prenalterol

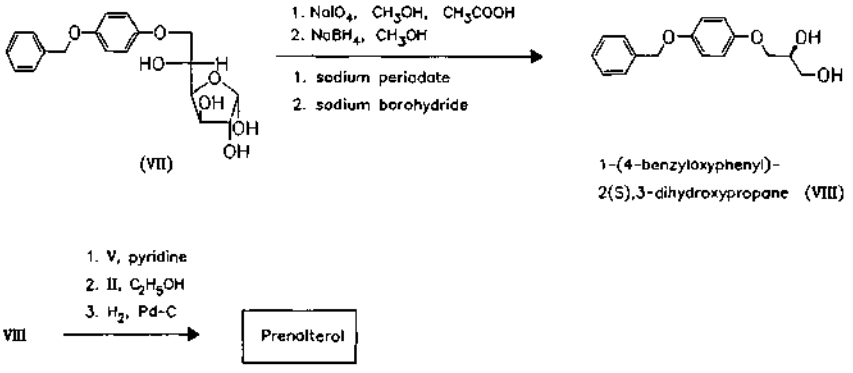
ATC: C01CA13
Use: cardiotonic

RN: 57526-81-5 MF: $C_{12}H_{19}NO_3$ MW: 225.29 EINECS: 260-791-5
CN: (*S*)-4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenol

hydrochloride

RN: 61260-05-7 MF: $C_{12}H_{19}NO_3 \cdot HCl$ MW: 261.75





Reference(s):
DOS 2 503 751 (Ciba-Geigy; appl. 30.1.1975; CH-prior. 8.2.1974).

racemate:
NL-appl. 7 501 785 (Hässle; appl. 14.2.1974).
US 4 080 471 (Hässle; 21.3.1978; prior. 25.6.1976).

synthesis of 5,6-anhydro-1,2-*O*-isopropylidene-α-D-glucofuranose:
Ohle, H.; Dickhäuser, E.: Chem. Ber. (CHBEAM) **58**, 2593 (1925).
Ohle, H.; Vargha, L. v.: Chem. Ber. (CHBEAM) **61**, 1203 (1928); **62**, 2435 (1929).
Schmidt, D. Th.: Methods Carbohydr. Chem. (MCACAI) **2**, 326 (1963).
Horton, D.; Tsai, J.: Methods Carbohydr. Chem. (MCACAI) **8**, 177 (1980).

Formulation(s): amp. 5 mg (as hydrochloride)

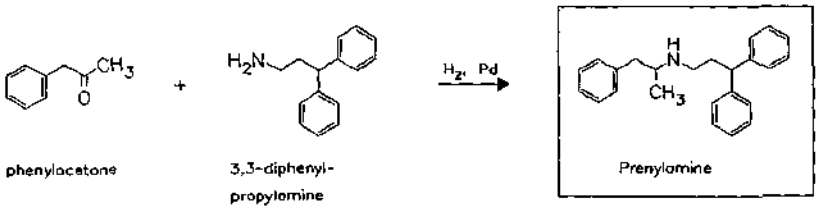
Trade Name(s):
GB: Hyprenan (Astra); wfm Varbian (Ciba Labs); wfm I: Varbian (Ciba-Geigy); wfm

Prenylamine

ATC: C01DX02
Use: coronary vasodilator

RN: 390-64-7 MF: $C_{24}H_{27}N$ MW: 329.49 EINECS: 206-869-4
LD_{50}: 250 mg/kg (M, i.v.);
11 mg/kg (R, i.v.); 250 mg/kg (R, p.o.)
CN: *N*-(1-methyl-2-phenylethyl)-γ-phenylbenzenepropanamine

lactate (1:1)
RN: 69-43-2 MF: $C_{24}H_{27}N \cdot C_3H_6O_3$ MW: 419.57 EINECS: 200-705-5
LD_{50}: 250 mg/kg (M, p.o.);
1 g/kg (R, p.o.);
680 mg/kg (dog, p.o.)
gluconate (1:1)
RN: 21156-48-9 MF: $C_{24}H_{27}N \cdot C_6H_{12}O_7$ MW: 525.64
LD_{50}: 14 mg/kg (M, i.v.);
11 mg/kg (R, i.v.)



Reference(s):
DE 1 111 642 (Hoechst; appl. 7.5.1958).
DE 1 100 031 (Hoechst; appl. 7.5.1958).

Formulation(s): drg. 15 mg, 30 mg, 60 mg; tabl. 4 mg, 15 mg, 30 mg, 60 mg (as lactate)

Trade Name(s):

D: Daxauten (Kettelhack-Riker); wfm
Daxauten (Woelm); wfm
Segontin (Albert-Roussel); wfm
Segontin (Hoechst); wfm
Segontin-Digoxin (Albert-Roussel)-comb.; wfm
Segontin-Digoxin (Hoechst)-comb.; wfm

F: Clémodril (Hoechst)-comb.; wfm
Segontine (Hoechst); wfm

GB: Synadrin (Hoechst); wfm

I: Angiovigor (Violani-Farmavigor); wfm
Angorsan (Isola-Ibi); wfm
Carditin-Same (Savoma); wfm
Eucardion (Vita); wfm
Incoran (ITA); wfm
Irrorin (Alfa Farm.)
Reocorin (Farmochimica Ital.); wfm
Segontin (Hoechst); wfm
Wasangor (IFI); wfm
Wasangor (Wassermann); wfm

J: Crepasin (Hoei)
Epocol (Teisan-Nagase)
Herzcon (Sana)
Lactamine (Daisan)
NP 30 (Sanken)
Onlemin (Ono)
Prectolact (Showa Yakuhin)
Prenylamine Lactate (Towa)
Roinin (Mohan)
Segontin (Hoechst)

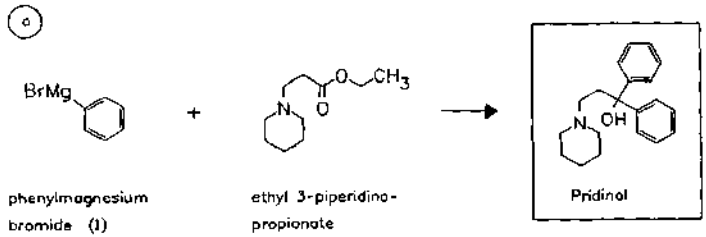
Pridinol

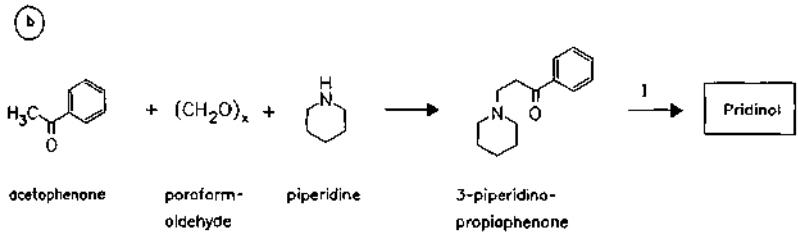
ATC: M03BX03
Use: anticholinergic, antiparkinsonian

RN: 511-45-5 MF: $C_{20}H_{25}NO$ MW: 295.43 EINECS: 208-128-0
LD_{50}: 100 mg/kg (M, i.p.); 193 mg/kg (M, s.c.)
CN: α,α-diphenyl-1-piperidinepropanol

hydrochloride
RN: 968-58-1 MF: $C_{20}H_{25}NO \cdot HCl$ MW: 331.89 EINECS: 213-529-9
LD_{50}: 25 mg/kg (M, i.v.);
33 mg/kg (R, i.v.)

mesylate
RN: 6856-31-1 MF: $C_{20}H_{25}NO \cdot CH_4O_3S$ MW: 391.53 EINECS: 229-953-2





Reference(s):
DE 875 660 (Hoechst; appl. 1941).

Formulation(s): amp. 2 mg/ml; drg. 5 mg (as hydrochloride); tabl. 4 mg (as mesylate)

Trade Name(s):

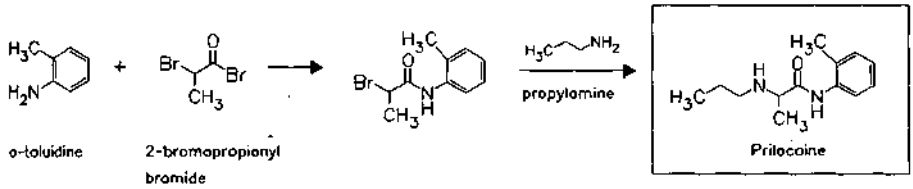
D:	Lyseen-Hommel (Hommel)		Lyseen (Novartis)		Mitanoline (Toyo Pharmar)
	Parks 12 (Hommel)	J:	Hikicenon (Tatsumi)		Trilax (Toyo Seiyaku
F:	Parks-12 (Laroze); wfm		Konlax (Nippon Shinyaku)		Kasei)
I:	Algolisina (Celsius)-comb.		Loxeen (Maruko-Tobishi)		

Prilocaine

ATC: N01BB04
Use: local anesthetic

RN: 721-50-6 MF: $C_{13}H_{20}N_2O$ MW: 220.32 EINECS: 211-957-0
LD_{50}: 59.9 mg/kg (M, i.v.)
CN: *N*-(2-methylphenyl)-2-(propylamino)propanamide

monohydrochloride
RN: 1786-81-8 MF: $C_{13}H_{20}N_2O \cdot HCl$ MW: 256.78 EINECS: 217-244-0
LD_{50}: 55 mg/kg (M, i.v.);
56.6 mg/kg (R, i.v.)



Reference(s):
GB 839 943 (Astra; appl. 6.6.1958; S-prior. 26.6.1957).
Löfgren, N.; Tegner, C.: Acta Chem. Scand. (ACHSE7) **14**, 486, 490 (1960).

Formulation(s): cream 25 mg/g in comb. with lidocaine; plaster 25 mg; vial 5 mg/ml, 10 mg/ml, 20 mg/ml, 30 mg/ml

Trade Name(s):

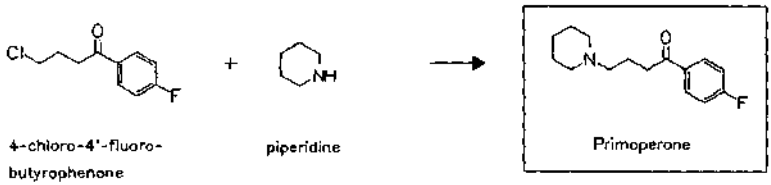
D:	EMLA Creme (Astra)-comb. with lidocaine		Emlapatch (Astra)		Emla (Astra Farmaceutici)-comb.
	Xylonest (Astra)	GB:	Citanest (Astra)		
	Xylonest (Astra)-comb.		EMLA (Astra)-comb.	J:	Citanest (Astra-Fujisawa)
F:	Emla (Astra)-comb.	I:	Citanest 3 % Octapressin (Astra Farmaceutici)-comb.	USA:	Emla (Astra)

Primaperone

ATC: C01D
Use: vasodilator, antihypotensive

RN: 1219-35-8 MF: $C_{15}H_{20}FNO$ MW: 249.33 EINECS: 214-941-1
CN: 1-(4-fluorophenyl)-4-(1-piperidyl)-1-butanone

hydrochloride
RN: 15847-48-0 MF: $C_{15}H_{20}FNO \cdot HCl$ MW: 285.79



Reference(s):
FR 1 301 863 (Science Union; appl. 29.6.1961).
FR 1 459 M (Science Union; appl. 18.8.1961; prior. 29.6.1961).

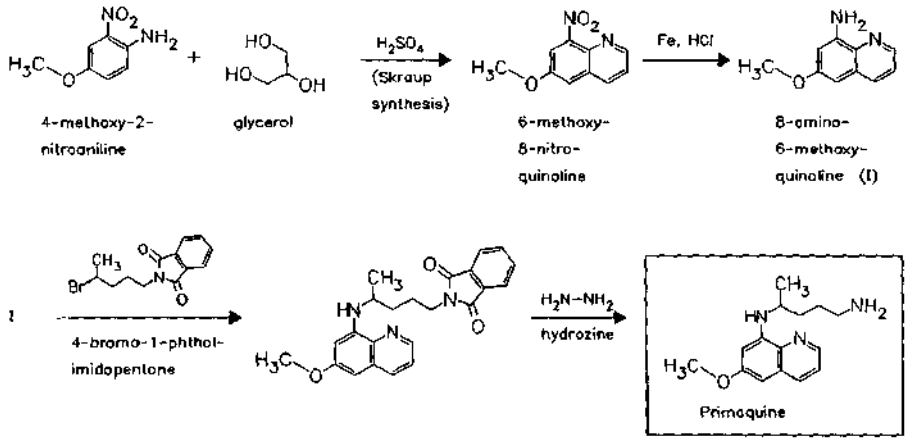
Trade Name(s):
F: Diviator (Servier)-comb.; wfm

Primaquine
(Primachin)

ATC: P01BA03
Use: antimalarial

RN: 90-34-6 MF: $C_{15}H_{21}N_3O$ MW: 259.35 EINECS: 201-987-2
LD_{50}: 15.9 mg/kg (M, i.v.); 100 mg/kg (M, p.o.)
CN: N^4-(6-methoxy-8-quinolinyl)-1,4-pentanediamine

phosphate (1:2)
RN: 63-45-6 MF: $C_{15}H_{21}N_3O \cdot 2H_3O_4P$ MW: 455.34 EINECS: 200-560-8
LD_{50}: 68 mg/kg (M, p.o.); 177 mg/kg (R, p.o.)



Reference(s):
Elderfield, R.C. et al.: J. Am. Chem. Soc. (JACSAT) **68**, 1524 (1946).
Elderfield, R.C. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 4816 (1955).

Formulation(s): tabl. 15 mg

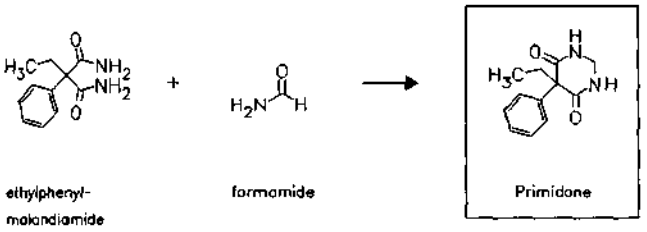
Trade Name(s):

D:	Primaquine Bayer (Bayer); wfm	GB:	Primaquine Phosphate (ICI); wfm	USA:	Primaquine Phosphate (Sanofi); wfm
		I:	Primachina fosfato (IFI)		

Primidone
(Primaclone)

ATC: N03AA03
Use: antiepileptic, anticonvulsant

RN: 125-33-7 MF: $C_{12}H_{14}N_2O_2$ MW: 218.26 EINECS: 204-737-0
LD_{50}: 280 mg/kg (M, p.o.);
1500 mg/kg (R, p.o.)
CN: 5-ethyldihydro-5-phenyl-4,6(1*H*,5*H*)-pyrimidinedione



Reference(s):
US 2 578 847 (ICI; 1951; GB-prior. 1949).
DE 843 413 (ICI; appl. 1950; GB-prior. 1949).

Formulation(s): susp. 250 mg/5 ml; syrup 125 mg/5 ml; tabl. 250 mg

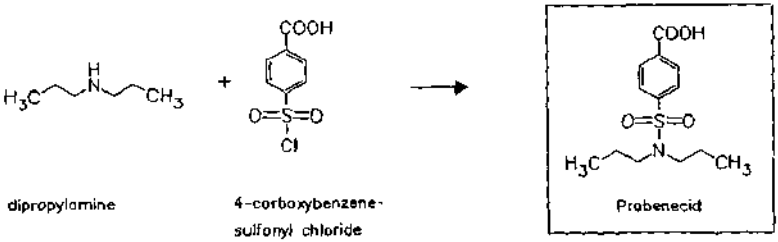
Trade Name(s):

D:	Liskatin (Desitin) Mylepsinum (Zeneca) Resimatil (Sanofi Winthrop)	F:	Mysoline (Zeneca)	J:	Mysoline (Dainippon; Marupi) Primron (Fujinaga)
		GB:	Mysoline (Zeneca)	USA:	Mysoline (Wyeth-Ayerst)
		I:	Mysoline (SIT)		

Probenecid

ATC: M04AB01
Use: uricosuric agent

RN: 57-66-9 MF: $C_{13}H_{19}NO_4S$ MW: 285.36 EINECS: 200-344-3
LD_{50}: 1666 mg/kg (M, p.o.);
1600 mg/kg (R, p.o.)
CN: 4-[(dipropylamino)sulfonyl]benzoic acid



Reference(s):
US 2 608 507 (Sharp & Dohme; 1952; prior. 1949).

Formulation(s): tabl. 500 mg

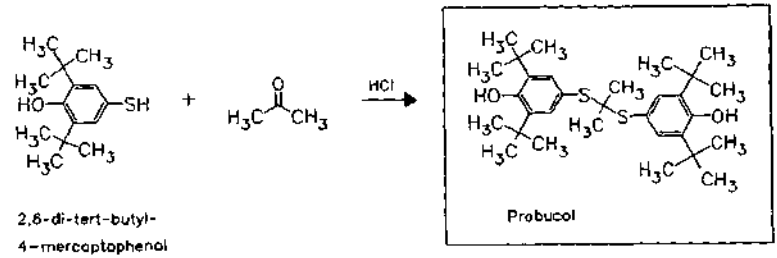
Trade Name(s):

D:	Probenecid (Weimer)		Colbenemid (Merck Sharp & Dohme)-comb.; wfm	USA:	Benemid (Merck Sharp & Dohme)
F:	Bénémide (Théraplix); wfm	I:	Probenecid (IFI)		ColBENEMID (Merck Sharp & Dohme)-comb. with colchidine
	Prototapen (Bristol)-comb.; wfm	J:	Benecid (Kaken)		
GB:	Benemid (Merck Sharp & Dohme)		Probenemid (Merck-Banyu)		

Probucol

ATC: C10AX02
Use: antiarteriosclerotic (cholesterol depressant and antihyperlipidemic)

RN: 23288-49-5 MF: $C_{31}H_{48}O_2S_2$ MW: 516.86 EINECS: 245-560-9
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 4,4'-[(1-methylethylidene)bis(thio)]bis[2,6-bis(1,1-dimethylethyl)phenol]



Reference(s):
US 3 576 883 (Consol. Coal; 27.4.1971; prior. 3.6.1969).
US 3 862 332 (Dow; 21.1.1975; prior. 11.5.1967, 19.11.1969).
DE 1 767 443 (Dow; appl. 10.5.1968; USA-prior. 11.5.1967).
DE 1 768 334 (Consol. Coal; prior. 2.5.1968).

starting material:
US 3 129 262 (Consolidation Coal Comp.; 14.4.1964; appl. 8.10.1962).

Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):

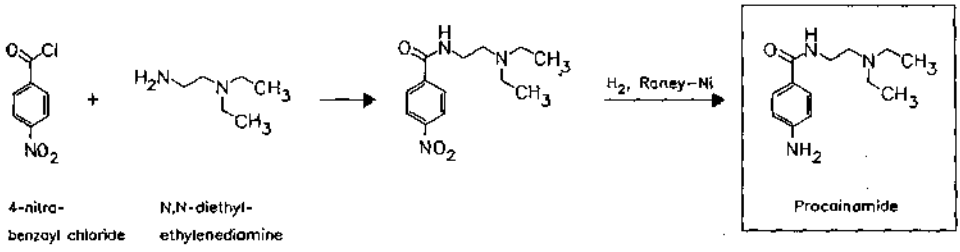
D:	Lorelco (Dow); wfm Lurselle (Merrell; 1982); wfm	GB:	Lurselle (Merrell Dow); wfm	J:	Shinlestal (Dow Chemical-Daiichi)
F:	Lurselle (Lepetit); wfm	I:	Lurselle (Lepetit); wfm	USA:	Lorelco (Dow; 1977); wfm

Procainamide

ATC: C01BA02
Use: antiarrhythmic

RN: 51-06-9 MF: $C_{13}H_{21}N_3O$ MW: 235.33 EINECS: 200-078-8
LD_{50}: 49 mg/kg (M, i.v.); 525 mg/kg (M, p.o.);
110 mg/kg (R, i.v.); 1950 mg/kg (R, p.o.)
CN: 4-amino-*N*-[2-(diethylamino)ethyl]benzamide

monohydrochloride
RN: 614-39-1 MF: $C_{13}H_{21}N_3O \cdot HCl$ MW: 271.79 EINECS: 210-381-7
LD_{50}: 94.64 mg/kg (M, i.v.); 1.11 g/kg (M, p.o.);
95 mg/kg (R, i.v.); >2 g/kg (R, p.o.)



Reference(s):
Ehrhart-Ruschig II, 38.
Baltzy, R. et al.: J. Am. Chem. Soc. (JACSAT) **64**, 2231 (1942).
Yamazaki, M.Y. et al.: Yakugaku Zasshi (YKKZAJ) **73**, 294 (1953).

Formulation(s): s. r. tabl. 500 mg, 1000 mg (as hydrochloride)

Trade Name(s):

D:	Procainamid Duriles (Astra)	GB:	Procainamide Durules (Astra); wfm	I:	Procainamide (Salf; Sifra) Procamide (Zambon Italia)
F:	Pronestyl (Squibb); wfm		Pronestyl (Bristol-Myers Squibb)	J:	Amisalin (Daiichi)
				USA:	Procanbid (Parke Davis)

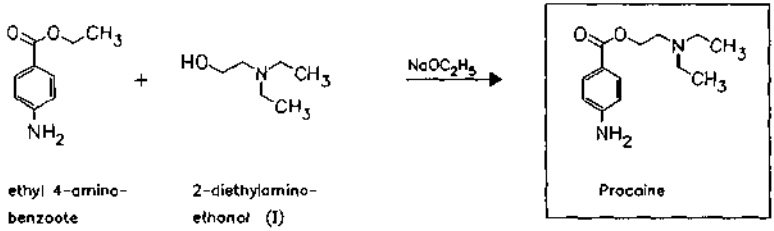
Procaine

ATC: C05AD05; N01BA02; S01HA05
Use: local anesthetic, analgesic, geriatric

RN: 59-46-1 MF: $C_{13}H_{20}N_2O_2$ MW: 236.32 EINECS: 200-426-9
LD_{50}: 45 mg/kg (M, i.v.); 350 mg/kg (M, p.o.);
42 mg/kg (R, i.v.)
CN: 4-aminobenzoic acid 2-(diethylamino)ethyl ester

monohydrochloride
RN: 51-05-8 MF: $C_{13}H_{20}N_2O_2 \cdot HCl$ MW: 272.78 EINECS: 200-077-2
LD_{50}: 33 mg/kg (M, i.v.); 175 mg/kg (M, p.o.);
38 mg/kg (R, i.v.); 200 mg/kg (R, p.o.);
63 mg/kg (dog, i.v.)

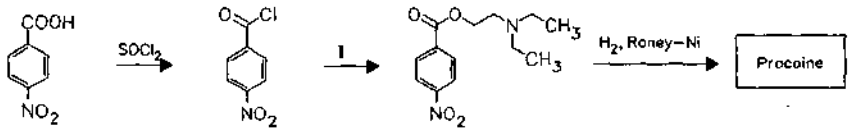
(a)



ethyl 4-amino-benzoate

2-diethylamino-ethanol (I)

(b)



4-nitro-benzoic acid

4-nitro-benzoyl chloride

Reference(s):
Eichhorn, A.; Uhlfelder, E.: Justus Liebigs Ann. Chem. (JLACBF) **371**, 125, 131, 142, 162 (1909).
DRP 179 627 (Farbwerke Hoechst; appl. 1904).
DRP 180 291 (Farbwerke Hoechst; appl. 1905).
DRP 194 748 (Farbwerke Hoechst; appl. 1905).

salt with phenylbutazone *(anti-inflammatory):*
DAS 2 055 853 (Dr. Voigt; appl. 13.11.1970).

Formulation(s): amp. 5 mg/ml, 10 mg/ml, 20 mg/ml, 40 mg/2 ml, 100 mg/5 ml (as hydrochloride)

Trade Name(s):

D:
- Causat (Sanofi Winthrop)-comb.
- Dodecatol (Heyl)-comb.
- Impletol (Bayer Vital)-comb.
- K.H. 3 Geriatricum Schwarzhaupt (Schwarzhaupt)-comb.
- Lophakomp-Procain (Lomapharm)
- Ney Chondrin (vitOrgan)
- Novocain (Hoechst)
- Pasconeural-Injektopas (Pascoe)-comb.
- Procain (curasan; Jenapharm)
- Röwo Procain (Pharmakon)

F:
- Antiseptique Calmante (Chauvin)-comb.
- Otylol (Bridoux)-comb.
- Procaine Aguettant (Aguettant)
- Procaine Lavoisier (Chaix et du Marais)
- numerous combination preparations

GB:
- Bicillin (Yamanouchi)-comb.

I:
- Citroftalmina /-V.C. (SIFI)-comb.
- Dentosedina (Teofarma)-comb.
- Lenident (Zeta)
- generics and circa 100 combination preparations
- Mios (Intes)-comb.
- Neuroftal Fiale (Alfa Intes)-comb.
- Oftalzina (SIT)-comb.
- Otalgan Berna (Berna)-comb.
- Otomidone (SIT)-comb.
- Rinantipiol (Ottolenghi)-comb.

J:
- Bancain (Banyu)
- Omnicain (Daiichi)

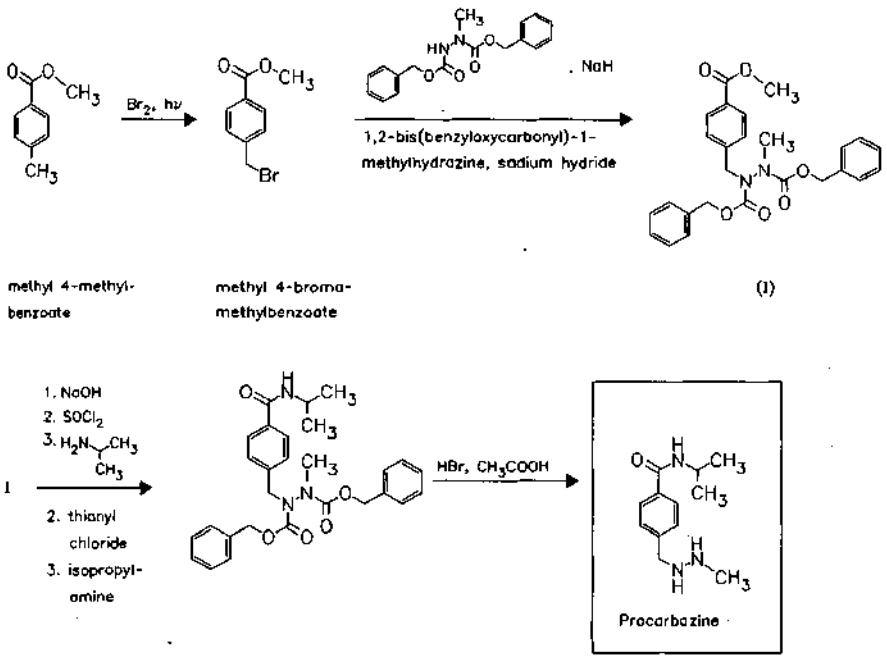
USA:
- Adrocaine (Parke Davis); wfm
- Novocain (Winthrop); wfm
- Procaine Hydrochloride (Abbott); wfm
- Procaine Hydrochloride (Elkins-Sinn); wfm

Procarbazine

ATC: L01XB01
Use: antineoplastic

RN: 671-16-9 MF: $C_{12}H_{19}N_3O$ MW: 221.30 EINECS: 211-582-2
LD_{50}: 614 mg/kg (M, i.p.);
>400 mg/kg (R, i.p.); 350 mg/kg (R, route unreported)
CN: *N*-(1-methylethyl)-4-[(2-methylhydrazino)methyl]benzamide

monohydrochloride
RN: 366-70-1 MF: $C_{12}H_{19}N_3O \cdot HCl$ MW: 257.77 EINECS: 206-678-6
LD_{50}: 540 mg/kg (M, i.v.); 560 mg/kg (M, p.o.);
350 mg/kg (R, i.v.); 570 mg/kg (R, p.o.)



Reference(s):
US 3 520 926 (Roche; 21.7.1970; CH-prior. 9.6.1961).
GB 968 460 (Roche; appl. 7.6.1962; CH-prior. 9.6.1961).
Zeller, P. et al.: Experientia (EXPEAM) **19**, 129 (1963).

Formulation(s): cps. 50 mg; inj. sol. 250 mg/5 ml; syrup 3030 mg (as hydrochloride)

Trade Name(s):

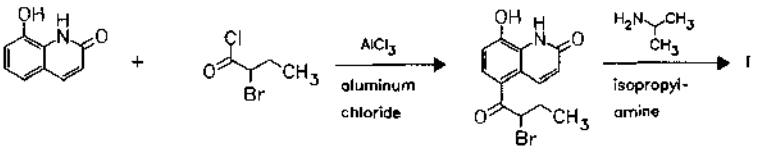
D:	Natulan (Roche)	GB:	Natulan (Roche); wfm	J:	Natulan (Roche)
F:	Natulan (Roche)	I:	Natulan (Roche)	USA:	Matulane (Roche)

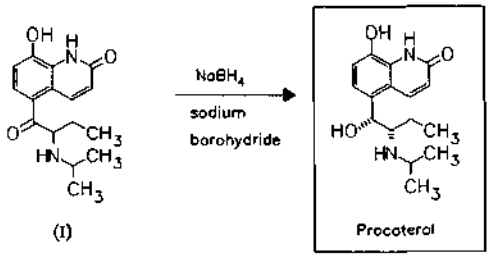
Procaterol

ATC: R03AC16; R03CC08
Use: bronchodilator

RN: 72332-33-3 MF: $C_{16}H_{22}N_2O_3$ MW: 290.36 EINECS: 276-590-0
LD_{50}: 320 mg/kg (M, i.p.)
CN: (*R**,*S**)-8-hydroxy-5-[1-hydroxy-2-[(1-methylethyl)amino]butyl]-2(1*H*)-quinolinone

monohydrochloride
RN: 62929-91-3 MF: $C_{16}H_{22}N_2O_3 \cdot HCl$ MW: 326.82 EINECS: 263-763-0
LD_{50}: 70.3 mg/kg (M, i.v.); 3.2 g/kg (M, p.o.);
80 mg/kg (R, i.v.); 2.6 g/kg (R, p.o.);
100 mg/kg (dog, i.v.); >5 g/kg (dog, p.o.)





Reference(s):
DE 2 461 596 (Otsuka; appl. 27.11.1975; prior. 27.12.1974).
US 4 026 897 (Otsuka; 10.5.1977; prior. 26.12.1974).
BE 833 841 (Otsuka; appl. 16.4.1975; J-prior. 4.12.1974).
Yoshizaki, S. et al.: J. Med. Chem. (JMCMAR) **19**, 1138 (1976).
Yoshizaki, S. et al.: Chem. Pharm. Bull. (CPBTAL) **28**, 3441 (1980).

Formulation(s): aerosol 0.01 mg; syrup 0.025 mg; tabl. 0.05 mg, 0.1 mg (as hydrochloride)

Trade Name(s):
D: Onsukil (Grünenthal; 1984); wfm
I: Procadil (Recordati)
Propulm (Istoria)
J: Meptin (Otsuka; 1980)
Mucodin (Kyorin)

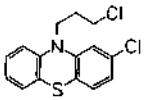
Prochlorperazine

ATC: N05AB04
Use: anti-emetic

RN: 58-38-8 MF: $C_{20}H_{24}ClN_3S$ MW: 373.95 EINECS: 200-379-4
LD_{50}: 85 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
>20 mg/kg (R, i.v.); 1800 mg/kg (R, p.o.)
CN: 2-chloro-10-[3-(4-methyl-1-piperazinyl)propyl]-10*H*-phenothiazine

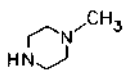
maleate (1:2)
RN: 84-02-6 MF: $C_{20}H_{24}ClN_3S \cdot 2C_4H_4O_4$ MW: 606.10 EINECS: 201-511-3
LD_{50}: 85 mg/kg (M, i.v.);
750 mg/kg (R, p.o.)
dimesylate
RN: 51888-09-6 MF: $C_{20}H_{24}ClN_3S \cdot 2CH_4O_3S$ MW: 566.16 EINECS: 257-495-3

(a)

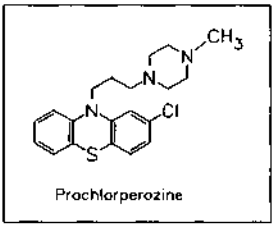


2-chloro-10-(3-chloropropyl)phenothiazine

+

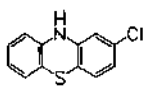


1-methylpiperazine



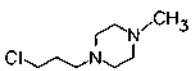
Prochlorperazine

(b)



2-chlorophenothiazine

+



1-(3-chloropropyl)-4-methylpiperazine

Reference(s):
US 2 902 484 (Rhône-Poulenc; 1.9.1959; GB-prior. 1954).
DE 1 037 461 (Rhône-Poulenc; appl. 1955; GB-prior. 1954).

Formulation(s): cps. 10 mg, 15 mg; drg. 5 mg, 10 mg; suppos. 2 mg, 5 mg, 25 mg; vial 5 mg/5 ml, 10 mg/2 ml, 50 mg/10 ml

Trade Name(s):

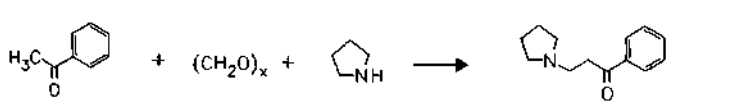
F:	Témentil (Specia); wfm	I:	Stemetil (Rhône-Poulenc Rorer)	USA:	Compazine (SmithKline Beecham)
GB:	Buccastem (Reckitt & Colman)	J:	Nibromin A (Maruko)		
	Stemetil (Rhône-Poulenc Rorer)		Novamin (Shionogi)		
			Pasotomin (Yoshitomi)		

Procyclidine

ATC: N04AA04
Use: antiparkinsonian

RN: 77-37-2 MF: $C_{19}H_{29}NO$ MW: 287.45 EINECS: 201-023-0
LD_{50}: 60 mg/kg (M, i.v.)
CN: α-cyclohexyl-α-phenyl-1-pyrrolidinepropanol

hydrochloride
RN: 1508-76-5 MF: $C_{19}H_{29}NO \cdot HCl$ MW: 323.91 EINECS: 216-141-8
LD_{50}: 55 mg/kg (M, i.v.)



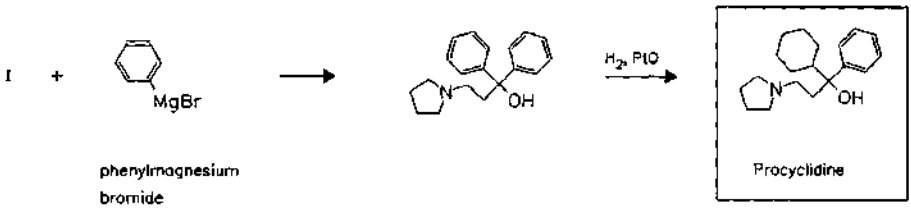
acetophenone

+ $(CH_2O)_x$ +

paraformaldehyde

pyrrolidine

3-pyrrolidinopropiophenone (J)



Reference(s):
US 2 682 543 (Burroughs Wellcome; 1954; prior. 1951).
US 2 891 890 (Burroughs Wellcome; 1959; prior. 1952).

alternative syntheses:
US 2 826 590 (Lilly; 1958; appl. 1954).
US 2 842 555 (Burroughs Wellcome; 1958; appl. 1954).

Formulation(s): tabl. 5 mg (as hydrochloride)

Trade Name(s):

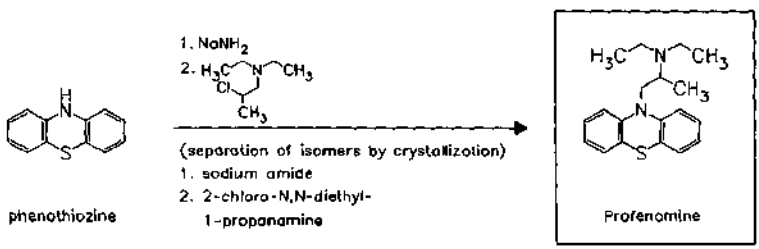
D:	Osnervan (Glaxo Wellcome)		Kemadrin (Glaxo Wellcome)	USA:	Kemadrin (Glaxo Wellcome)
F:	Kémadrine (Wellcome); wfm	I:	Kemadrin (Glaxo Wellcome)		
GB:	Arpicolin (Rosemont)	J:	Kemadrin (Chugai)		

Profenamine
(Ethopropazine)

ATC: N04AA05
Use: neuroleptic, antiparkinsonian

RN: 522-00-9 MF: $C_{19}H_{24}N_2S$ MW: 312.48 EINECS: 208-320-4
LD_{50}: 50 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
15 mg/kg (R, i.v.)
CN: *N,N*-diethyl-α-methyl-10*H*-phenothiazine-10-ethanamine

monohydrochloride
RN: 1094-08-2 MF: $C_{19}H_{24}N_2S \cdot HCl$ MW: 348.94 EINECS: 214-134-4
LD_{50}: 32 mg/kg (M, i.v.); 650 mg/kg (M, p.o.);
1700 mg/kg (R, p.o.)



Reference(s):
US 2 526 118 (Rhône-Poulenc; 1950; F-prior. 1948).
US 2 607 773 (Rhône-Poulenc; 1952; GB-prior. 1949).

Formulation(s): powder 10 %; tabl. 10 mg, 50 mg (as hydrochloride)

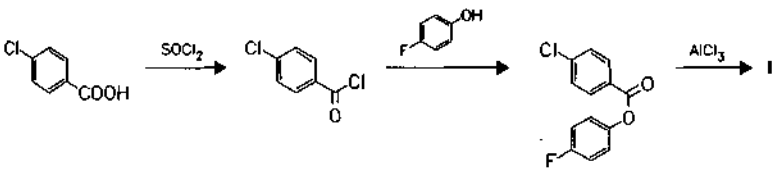
Trade Name(s):

F:	Parsidol (Sevenet); wfm	J:	Parkin (Yoshitomi-Takeda)		Parsidol (Warner Chilcott); wfm
GB:	Lysivane (May & Baker); wfm	USA:	Parsidol (Parke Davis); wfm		

Progabide

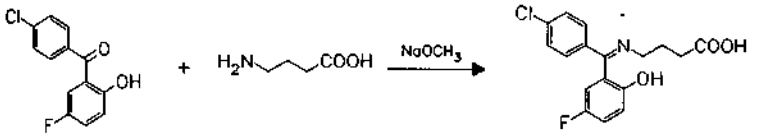
ATC: N03AG05
Use: anticonvulsant

RN: 62666-20-0 MF: $C_{17}H_{16}ClFN_2O_2$ MW: 334.78 EINECS: 263-679-4
LD_{50}: 1350 mg/kg (M, p.o.);
1350 mg/kg (R, p.o.)
CN: 4-[[(4-chlorophenyl)(5-fluoro-2-hydroxyphenyl)methylene]amino]butanamide



4-chlorobenzoic acid

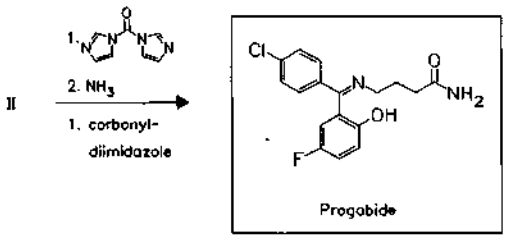
4-chlorobenzoyl chloride



4-chloro-2'-hydroxy-5'-fluoro-benzophenone (I)

4-aminobutyric acid

(II)



Reference(s):
US 4 094 992 (Synthelabo; 13.6.1978; F-prior. 1.8.1975).
DOS 2 634 288 (Synthelabo; appl. 30.7.1976; F-prior. 1.8.1975).
DOS 2 830 034 (Synthelabo; appl. 7.7.1978; F-prior. 12.7.1977).
FR 2 319 338 (Synthelabo; appl. 1.8.1975).
GB 1 506 808 (Synthelabo; appl. 30.6.1976; F-prior. 1.8.1975).

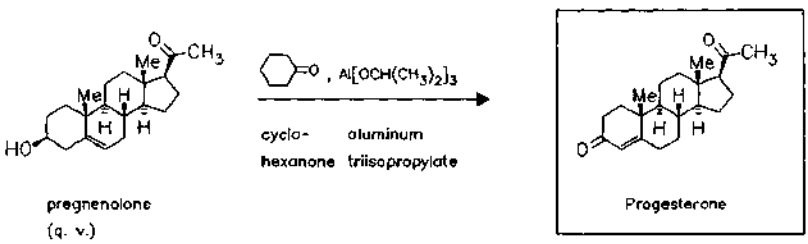
Formulation(s): powder 150 mg; tabl. 300 mg, 600 mg

Trade Name(s):
F: Gabrène (Synthélabo)

Progesterone

ATC: G03DA04
Use: progestogen

RN: 57-83-0 MF: $C_{21}H_{30}O_2$ MW: 314.47 EINECS: 200-350-6
CN: pregn-4-ene-3,20-dione



pregnenolone
(q. v.)

Reference(s):
US 2 379 832 (Schering Corp.; 1945; D-prior. 1936).
Oppenauer, R.: Recl. Trav. Chim. Pays-Bas Belg. (RTCPB4) **56**, 137 (1937).

alternative syntheses:
US 2 232 438 (Schering Corp.; 1941; D-prior. 1934).
US 2 420 489 (Parke Davis; 1947; prior. 1941).
Heyl, F.W.; Herr, U.E.: J. Am. Chem. Soc. (JACSAT) **72**, 2617 (1950).
Slomp, G.; Johnson, J.L.: J. Am. Chem. Soc. (JACSAT) **80**, 915 (1953).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 660, and patents cited there.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **13**, 29.

Formulation(s): amp. 20 mg in comb. with estradiolbenzoate; cps. 100 mg; gel 1 %, 4 %, 8 %

Trade Name(s):

D: Crinone (Wyeth)
Jephagynon (Jenapharm)
Progestogel (Kade)
Utrogest (Kade)

F: Progestasert (Théraplix)
Progestogel (Besins-Iscovesco)
Progestosol (Besins-Iscovesco)
Synergon (Lipha Santé)-comb.
Tocogestan (Théramex)-comb.
Trophigil (Sanofi Winthrop)-comb.
Utrogestan (Besins-Iscovesco)

GB: Crinone (Wyeth)
Cyclogest (Shire)
Gestone (Ferring)

I: Biormon (Amsa)-comb.
Esolut (Angelini)
Menovis (Teofarma)-comb.
Progestogel (Lusofarmaco)
Progestol (Synthelabo)
Prontagest (Amsa)

J: Duogynon (Nihon Schering)-comb.
Estormon (Hokuriku)-comb.
Lutes (Mochida)-comb.
Luteum Depot (Teikoku Zoki)-comb.
Oophormin Luteum (Teikoku Zoki)
Prodiol (Santen-Yamanouchi)-comb.
Progehormon (Mochida)
Progenin (Santen-Yamanouchi)
Proluton (Nihon Schering)

USA: Crinone (Wyeth-Ayerst)

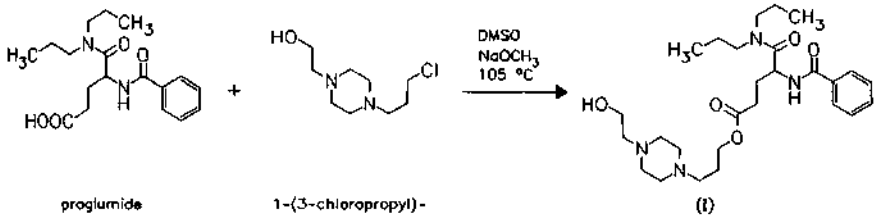
Proglumetacin

ATC: M01AB14
Use: anti-inflammatory

RN: 57132-53-3 MF: $C_{46}H_{58}ClN_5O_8$ MW: 844.45
CN: (±)-1-(4-chlorobenzoyl)-5-methoxy-2-methyl-1*H*-indole-3-acetic acid 2-[4-[3-[[4-(benzoylamino)-5-(dipropylamino)-1,5-dioxopentyl]oxy]propyl]-1-piperazinyl]ethyl ester

dihydrochloride
RN: 59209-41-5 MF: $C_{46}H_{58}ClN_5O_8 \cdot 2HCl$ MW: 917.37

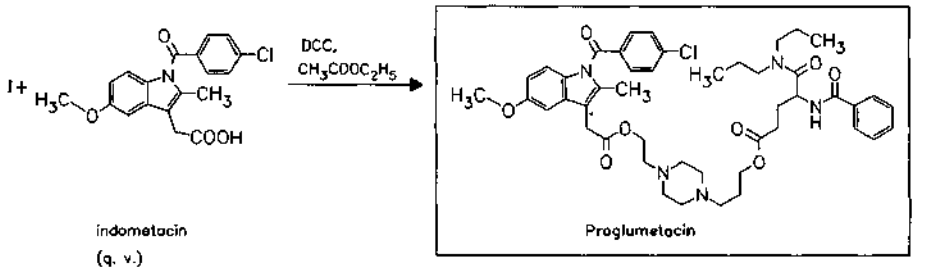
maleate (1:2)
RN: 59209-40-4 MF: $C_{46}H_{58}ClN_5O_8 \cdot 2C_4H_4O_4$ MW: 1076.59 EINECS: 261-656-3



proglumide (q. v.)

1-(3-chloropropyl)-4-(2-hydroxyethyl)-piperazine (from 1-(2-hydroxyethyl)piperazine and 1-bromo-3-chloropropane)

(I)



indometacin (q. v.)

Proglumetacin

Reference(s):
DOS 2 535 799 (Rotta Research Lab.; appl. 11.8.1975; I-prior. 12.8.1974).
US 3 985 878 (Rotta Research Lab.; 12.10.1976; I-prior. 12.8.1974).

Formulation(s): f. c. tabl. 300 mg; cps. 150 mg (as dimaleate)

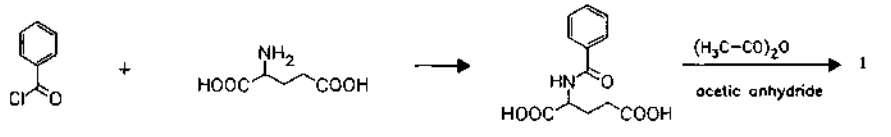
Trade Name(s):

D:	Protaxon (Opfermann)	Proxil (Rottapharm)	J:	Miridacin (Taiho; as maleate)
I:	Afloxan (Rotta Research)			

Proglumide

ATC: A02BX06
Use: peptic ulcer therapeutic

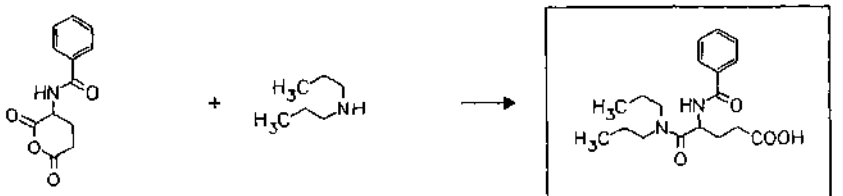
RN: 6620-60-6 MF: $C_{18}H_{26}N_2O_4$ MW: 334.42 EINECS: 229-567-4
LD_{50}: 2250 mg/kg (M, i.v.); 8070 mg/kg (M, p.o.); 20 g/kg (R, p.o.)
CN: (±)-4-(benzoylamino)-5-(dipropylamino)-5-oxopentanoic acid



benzoyl chloride

DL-glutamic acid

N-benzoyl-DL-glutamic acid



Reference(s):
ZA 65/4 065 (Rotta Research; appl. 16.7.1965; I-prior. 31.7.1964).
DAS 1 518 125 (Rotta Research; appl. 30.7.1965; I-prior. 31.7.1964).

Formulation(s): amp. 400 mg/5 ml; f. c. tabl. 400 mg; tabl. 200 mg, 400 mg

Trade Name(s):

D:	Milid (Opfermann) Promid (Opfermann); wfm	F:	Milide (Fournier Frères); wfm	I:	Milid (Rottapharm)
				J:	Promid (Kaken)

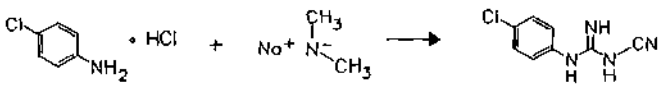
Proguanil

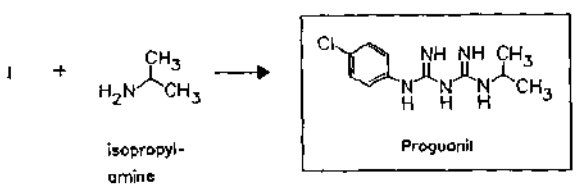
(Chlorguanide; Chloriguane; Chloroguanide)

ATC: P01BB01
Use: antimalarial

RN: 500-92-5 MF: $C_{11}H_{16}ClN_5$ MW: 253.74 EINECS: 207-915-6
LD_{50}: 22 mg/kg (M, i.v.)
CN: *N*-(4-chlorophenyl)-*N'*-(1-methylethyl)imidodicarbonimidic diamide

monohydrochloride
RN: 637-32-1 MF: $C_{11}H_{16}ClN_5 \cdot HCl$ MW: 290.20 EINECS: 211-283-7
LD_{50}: 23 mg/kg (M, i.v.); 27 mg/kg (M, p.o.);
33 mg/kg (R, i.v.); 58 mg/kg (R, p.o.)





Reference(s):
Curd, F.H.S.; Rose, F.L.: J. Chem. Soc. (JCSOA9) **1946**, 729.
FR 1 001 548 (Rhône-Poulenc; appl. 1946).

Formulation(s): tabl. 100 mg (as hydrochloride)

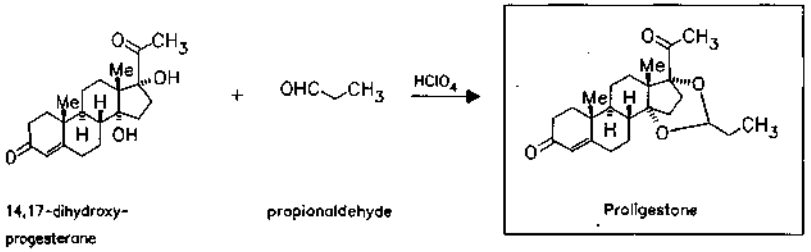
Trade Name(s):

D:	Malarone (Glaxo Wellcome) Paludrine (Zeneca)	GB:	Savarine (Zeneca)-comb. Malarone (Glaxo Wellcome)-comb. Paludrine (Zeneca)	I:	Paludrine (Zeneca)
F:	Paludrine (Zeneca)				

Proligestone

ATC: G03DB
Use: progestogen

RN: 23873-85-0 MF: $C_{24}H_{34}O_4$ MW: 386.53 EINECS: 245-922-6
CN: 14,17-[propylidenebis(oxy)]pregn-4-ene-3,20-dione



Reference(s):
Sijde, D. van der et al.: J. Med. Chem. (JMCMAR) **15**, 909 (1972).
ZA 681 592 (Koninkl. Nederl. Gist & Spiritusfabriek; appl. 22.2.1968; NL-prior. 13.3.1967).

starting material:
Cooley, G. et al.: Tetrahedron Suppl. (TETSAE) **7**, 325 (1966).

Formulation(s): vial 100 mg/ml

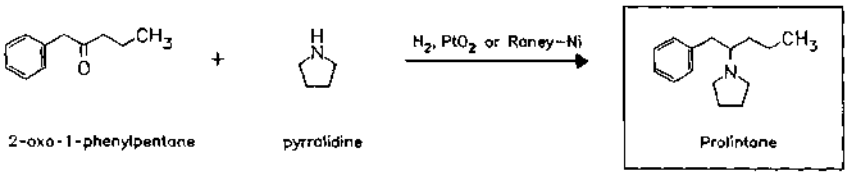
Trade Name(s):
GB: Delvosteron (Mycofarm);
wfm

Prolintane

ATC: N06BX14
Use: analeptic, central stimulant, depressant

RN: 493-92-5 MF: $C_{15}H_{23}N$ MW: 217.36 EINECS: 207-784-5
LD_{50}: 157 mg/kg (R, p.o.)
CN: 1-[1-(phenylmethyl)butyl]pyrrolidine

hydrochloride
RN: 1211-28-5 MF: $C_{15}H_{23}N \cdot HCl$ MW: 253.82 EINECS: 214-917-0
LD_{50}: 25 mg/kg (M, i.v.); 230 mg/kg (M, p.o.);
40 mg/kg (R, i.v.); 278 mg/kg (R, p.o.)



Reference(s):
DE 1 088 962 (Thomae; appl. 1956).
DE 1 093 799 (Thomae; appl. 1957; addition to DE 1 088 962).

Formulation(s): drg. 10 mg

Trade Name(s):

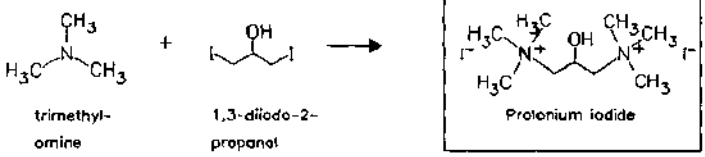
D:	Katovit (Thomae)-comb.; wfm		Promotil (Boehringer Ing.); wfm	I:	Villescon-Fher (Boehringer Ing.); wfm
F:	Promotil (Badrial); wfm	GB:	Villescon (Boehringer Ing.)-comb.; wfm		

Prolonium iodide

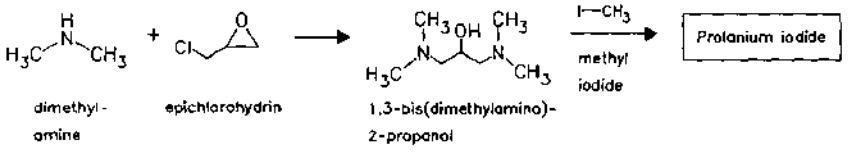
ATC: H03CA
Use: thyroid therapeutic

RN: 123-47-7 MF: $C_9H_{24}I_2N_2O$ MW: 430.11 EINECS: 204-630-9
CN: 2-hydroxy-*N*,*N*,*N*,*N*',*N*',*N*'-hexamethyl-1,3-propanediaminium diiodide

a)



b)



Reference(s):
US 1 526 627 (Bayer; 1925; prior. 1924).

Formulation(s): amp. 400 mg/2 ml; drg. 25 mg, 50 mg, 100 mg; drops 20 mg/ml; susp. 50 mg/5 ml; vial 50 mg/ml

Trade Name(s):

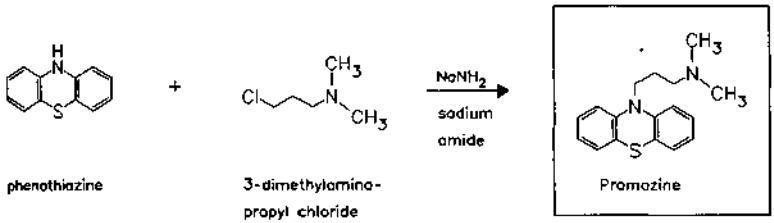
D:	Endojodin (Bayer); wfm		Jodopropano (Farmochimica Ital.); wfm		Trijodina (Lafare); wfm
I:	Endojodo (Cozzolino); wfm	USA:	Entodon (Winthrop); wfm		
	Intrajodina (Gentili); wfm		Neoiodorsolo os (Baldacci)-comb.; wfm		

Promazine

ATC: N05AA03
Use: neuroleptic, anti-emetic, antipsychotic

RN: 58-40-2 MF: $C_{17}H_{20}N_2S$ MW: 284.43 EINECS: 200-382-0
LD_{50}: 45 mg/kg (M, i.v.); 401 mg/kg (M, p.o.);
14.5 mg/kg (R, i.v.); 350 mg/kg (R, p.o.)
CN: *N,N*-dimethyl-10*H*-phenothiazine-10-propanamine

monohydrochloride
RN: 53-60-1 MF: $C_{17}H_{20}N_2S \cdot HCl$ MW: 320.89 EINECS: 200-179-7
LD_{50}: 38 mg/kg (M, i.v.);
29 mg/kg (R, i.v.); 400 mg/kg (R, p.o.)
maleate (2:1)
RN: 4701-69-3 MF: $C_{17}H_{20}N_2S \cdot 1/2C_4H_4O_4$ MW: 684.93
phosphate
RN: 1508-27-6 MF: $C_{17}H_{20}N_2S \cdot xH_3O_4P$ MW: unspecified
LD_{50}: 60 mg/kg (M, i.v.);
350 mg/kg (R, p.o.)



Reference(s):
US 2 519 886 (Rhône-Poulenc; 1950; F-prior. 1945).
DE 824 944 (Rhône-Poulenc; appl. 1950; F-prior. 1945).
Wirth, W.: Arzneim.-Forsch. (ARZNAD) **8**, 507 (1958).

Formulation(s): amp. 20 mg/ml, 50 mg/1 ml, 100 mg/2 ml; drg. 25 mg, 50 mg, 100 mg; f. c. tabl. 25 mg; susp. 50 mg/ml (as hydrochloride)

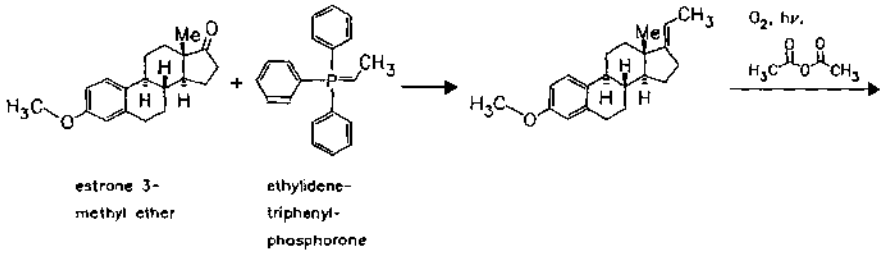
Trade Name(s):

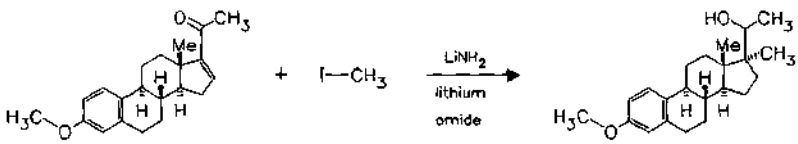
D:	Protactyl (Wyeth)	GB:	Sparine (Wyeth)	J:	Savamine (Banyu)
	Sinophenin (Rodleben)	I:	Talofen (Fournier Pierrel)	USA:	Sparine (Wyeth); wfm

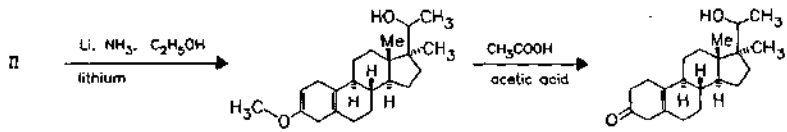
Promegestone

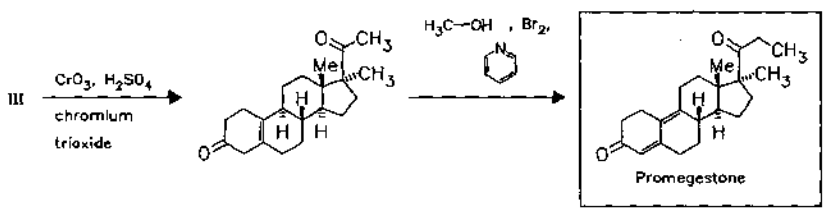
ATC: G03DB07
Use: progestogen

RN: 34184-77-5 MF: $C_{22}H_{30}O_2$ MW: 326.48
CN: (17β)-17-methyl-17-(1-oxopropyl)estra-4,9-dien-3-one









Reference(s):
DOS 2 107 835 (Roussel-Uclaf; appl. 18.2.1971; F-prior. 20.2.1970).
US 3 679 714 (Roussel-Uclaf; 25.7.1972; F-prior. 20.2.1970).
US 3 761 591 (Roussel-Uclaf; 25.9.1973; F-prior. 20.2.1970).

synthesis of 3-methoxy-20-oxo-19-norpregna-1,3,5(10),16-tetraene:
Krubiner, A.M.; Oliveto, E.P.: J. Org. Chem. (JOCEAH) **31**, 24 (1966).
Krubiner, A.M. et al.: J. Org. Chem. (JOCEAH) **34**, 3502 (1969).

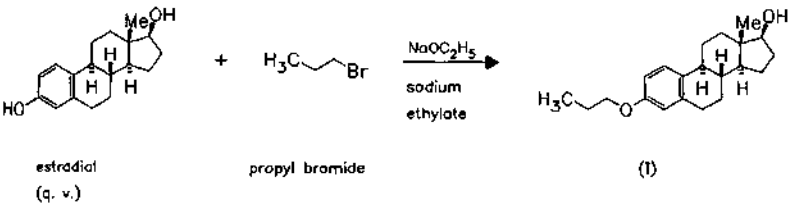
Formulation(s): tabl. 0.125 mg, 0.25 mg, 0.5 mg

Trade Name(s):
F: Surgestone (Cassenne)

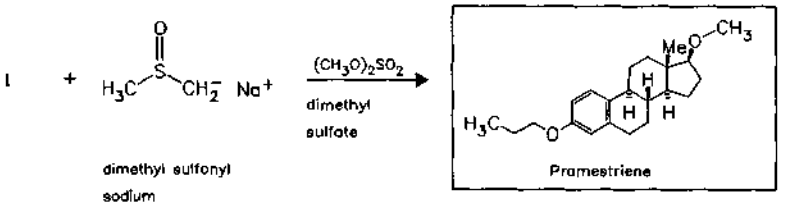
Promestriene

ATC: G03CA09
Use: estrogen

RN: 39219-28-8 MF: $C_{22}H_{32}O_2$ MW: 328.50 EINECS: 254-361-6
CN: (17β)-17-methoxy-3-propoxyestra-1,3,5(10)-triene



estradiol (q. v.) | propyl bromide | (I)



dimethyl sulfonyl sodium

Reference(s):
DE 2 215 499 (Sogeras; appl. 29.3.1972; GB-prior. 21.4.1971).

Formulation(s): cream 1 %; vaginal cps. 10 mg

Trade Name(s):

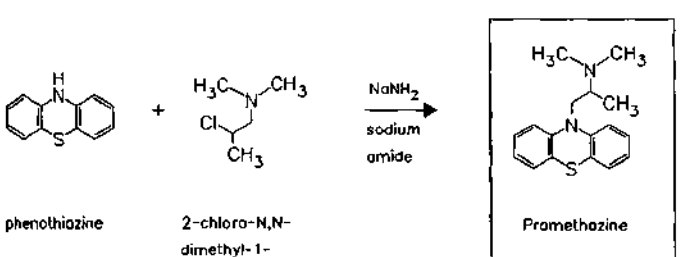
F:	Colposeptine (Théramex)-comb.	I:	Colpotrophine (Théramex)
			Colpotrophine (Schering)

Promethazine

ATC: D04AA10; R06AD02
Use: antihistaminic, sedative

RN: 60-87-7 MF: $C_{17}H_{20}N_2S$ MW: 284.43 EINECS: 200-489-2
LD_{50}: 40 mg/kg (M, i.v.); 326 mg/kg (M, p.o.);
45 mg/kg (R, i.v.)
CN: *N,N*,α-trimethyl-10*H*-phenothiazine-10-ethanamine

monohydrochloride
RN: 58-33-3 MF: $C_{17}H_{20}N_2S \cdot HCl$ MW: 320.89 EINECS: 200-375-2
LD_{50}: 50 mg/kg (M, i.v.); 255 mg/kg (M, p.o.);
15 mg/kg (R, i.v.)



phenothiazine | 2-chloro-N,N-dimethyl-1-propanamine

Reference(s):
US 2 530 451 (Rhône-Poulenc; 1950; F-prior. 1946).
US 2 607 773 (Rhône-Poulenc; 1952; GB-prior. 1949).

Formulation(s): amp. 56 mg/2 ml; f. c. tabl. 25 mg; drg. 25 mg; drops 20 mg/ml; suppos. 12.5 mg, 25 mg, 50 mg; syrup 1 mg/ml, 5.65 mg; tabl. 12.5 mg, 25 mg, 50 mg (as hydrochloride)

Trade Name(s):

D: Atosil (Bayer Vital)
Eusedon (Krewel Meuselbach)
Promethawern (Pharma Wernigerode)
Promethazin-neuraxpharm (neuraxpharm)
Prothazin (Rodleben)

F: Algotropyl prométhazine (Thera France)-comb.
Fluisédal (Elerté)-comb.
Paxéladine nocte'e (Beaufour)-comb.
Phénergan (Evans Medical)
Rhinathiol prométhazine (Synthélabo)-comb.
Tussisèdal (Elerté)-comb.

GB: Avomine (Rhône-Poulenc Rorer)
Parmergan P100 (Martindale)-comb.
Phenergan (Rhône-Poulenc Rorer)
Sominex (Seton)

I: Allerfen (Sella)
Duplamin (Bruschettini)-comb.
Fargan (Carlo Erba)
Farganesse (Pharmacia & Upjohn)
Fenazil (Sella)
Prometazina (Dynacren)
Prometazina Cloridrato (Ecobi)
generics and combination preparations

J: Hiberna (Yoshitomi)
Pipolphen (Nakataki)
Prothia (Kanto)
Pyrethia (Shionogi)

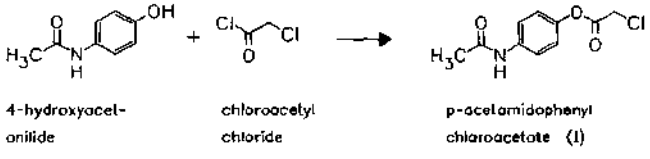
USA: Mepergan (Wyeth-Ayerst)
Phenergan (Wyeth-Ayerst)
generics and combination preparations

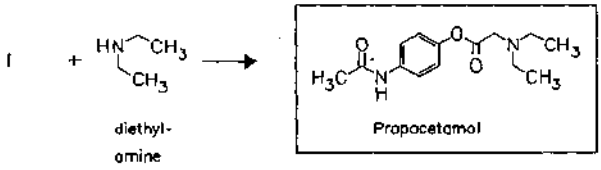
Propacetamol

ATC: N02BE05
Use: analgesic (paracetamol prodrug)

RN: 66532-85-2 MF: $C_{14}H_{20}N_2O_3$ MW: 264.33 EINECS: 266-390-1
CN: *N,N*-diethylglycine 4-(acetylamino)phenyl ester

monohydrochloride
RN: 66532-86-3 MF: $C_{14}H_{20}N_2O_3 \cdot HCl$ MW: 300.79 EINECS: 266-391-7





Reference(s):
BE 854 376 (Hexachimie, appl. 9.5.1977).
DE 2 721 987 (Hexachimie; appl. 14.5.1977).
US 4 127 671 (Hexachimie; 28.11.1978; prior. 26.5.1977).

synthesis of p-acetamidophenyl chloroacetate:
Dittert, L.W. et al.: J. Pharm. Sci. (JPMSAE) **57**, 774 (1968).

Formulation(s): amp. 1 g (as hydrochloride)

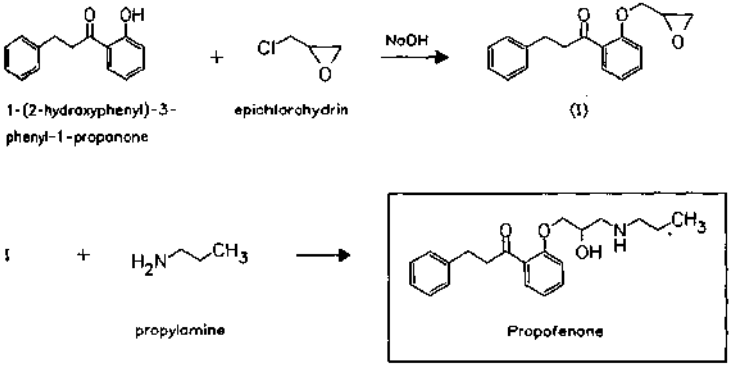
Trade Name(s):
F: Pro-Dafalgan (UPSA)

Propafenone

ATC: C01BC03
Use: antiarrhythmic

RN: 54063-53-5 MF: $C_{21}H_{27}NO_3$ MW: 341.45 EINECS: 258-955-6
LD_{50}: 440 mg/kg (M, p.o.)
CN: 1-[2-[2-hydroxy-3-(propylamino)propoxy]phenyl]-3-phenyl-1-propanone

hydrochloride
RN: 34183-22-7 MF: $C_{21}H_{27}NO_3 \cdot HCl$ MW: 377.91 EINECS: 251-867-9
LD_{50}: 25 mg/kg (M, i.v.); 341 mg/kg (M, p.o.);
18.8 mg/kg (R, i.v.); 700 mg/kg (R, p.o.);
10 mg/kg (dog, i.v.)



Reference(s):
DE 2 001 431 (Helopharm; appl. 6.1.1970).
GB 1 307 455 (Helopharm; appl. 7.7.1971).
US 4 474 986 (BASF; 2.10.1984; appl. 18.3.1983; D-prior. 19.3.1982).

Formulation(s): amp. 70 mg/20 ml; drg. 10 mg; f. c. tabl. 150 mg, 300 mg; USA: tabl. 150 mg, 225 mg, 300 mg (as hydrochloride)

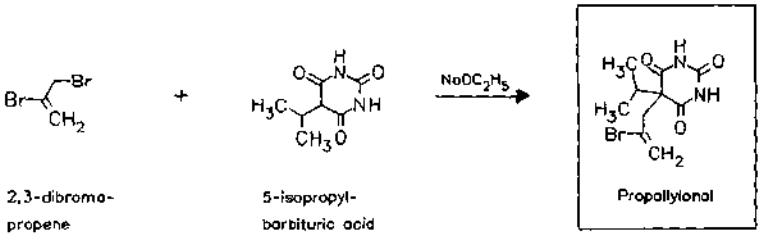
Trade Name(s):

D:	Cuxafenon (TAD)	Rytmonorm (Knoll; 1978)	F:	Rythmol (Knoll; 1985)
	Propafen-BASF (BASF Generics)	Tachyfenon (ASTA Medica AWD)	I:	Pro-effekalgan imiv (Upsamedica)
	Propa Sanorania (Sanorania)	various generics and combination preparations	J:	Pronon (Yamanouchi)
			USA:	Rythmol (Knoll)

Propallylonal

ATC: N05C
Use: hypnotic

RN: 545-93-7 MF: $C_{10}H_{13}BrN_2O_3$ MW: 289.13 EINECS: 208-896-7
LD_{50}: 90 mg/kg (R, s.c.)
CN: 5-(2-bromo-2-propenyl)-5-(1-methylethyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione



2,3-dibromo-propene

5-isopropyl-barbituric acid

Propallylonal

Reference(s):
US 1 622 129 (Riedel AG; 1927; D-prior. 1923).
DRP 481 733 (Riedel-deHaen; appl. 1923).
DRP 482 841 (Riedel-deHaen; appl. 1923).
DRP 485 832 (Riedel-deHaen; appl. 1923).

Formulation(s): tabl. 200 mg

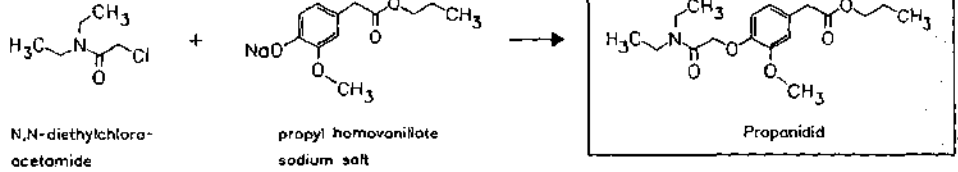
Trade Name(s):

D:	Noctal (Cassella-Riedel); wfm	J:	Noctal (UCB); wfm Noctenal (Boehringer-Uji)

Propanidid

ATC: N01AX04
Use: anesthetic

RN: 1421-14-3 MF: $C_{18}H_{27}NO_5$ MW: 337.42 EINECS: 215-822-7
LD_{50}: 113 mg/kg (M, i.v.);
81 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
80 mg/kg (dog, i.v.)
CN: 4-[2-(diethylamino)-2-oxoethoxy]-3-methoxybenzeneacetic acid propyl ester



N,N-diethylchloro-acetamide

propyl homovanillate sodium salt

Propanidid

Reference(s):
DE 1 134 981 (Bayer; appl. 6.5.1960).

Formulation(s): amp. 1.5 g/30 ml, 500 mg/10 ml

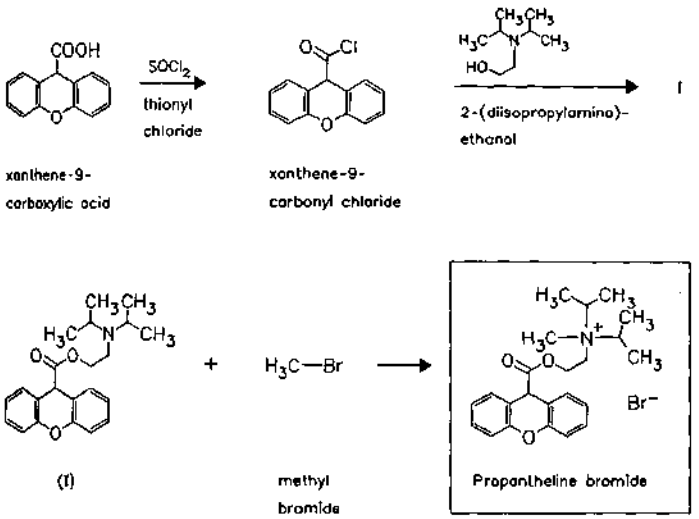
Trade Name(s):

D:	Epontol (Bayer); wfm	GB:	Epontol (Bayer); wfm	J:	Epontol (Bayer)
F:	Epontol (Théraplix); wfm	I:	Epontol (Bayer); wfm		

Propantheline bromide

ATC: A03AB05
Use: antispasmodic, anticholinergic

RN: 50-34-0 MF: $C_{23}H_{30}BrNO_3$ MW: 448.40 EINECS: 200-030-6
LD_{50}: 6400 µg/kg (M, i.v.); 445 mg/kg (M, p.o.);
4 mg/kg (R, i.v.); 370 mg/kg (R, p.o.)
CN: *N*-methyl-*N*-(1-methylethyl)-*N*-[2-[(9*H*-xanthen-9-ylcarbonyl)oxy]ethyl]-2-propanaminium bromide



Reference(s):
US 2 659 732 (Searle; 1953; appl. 1952; prior. 1950).

Formulation(s): tabl. 7.5 mg, 15 mg

Trade Name(s):

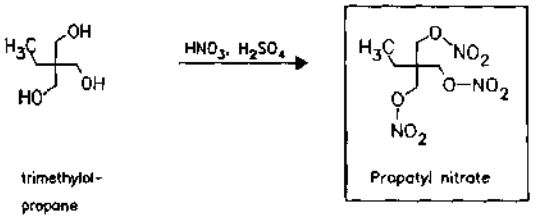
D:	Corigast (Searle); wfm	F:	Pro-Banthine (Monsanto)	J:	Pro-Banthine (Dainippon)
	Hydonan (Hermal)-comb.; wfm	GB:	Pro-Banthine (Baker Norton)	USA:	Pro-Banthine (Roberts)
	Tensilan (Desitin); wfm	I:	Lexil (Roche)-comb.		

Propatyl nitrate

(Etriol trinitrate)

ATC: C01DA07
Use: coronary vasodilator (angina pectoris)

RN: 2921-92-8 MF: $C_6H_{11}N_3O_9$ MW: 269.17 EINECS: 220-866-5
CN: 2-ethyl-2-[(nitrooxy)methyl]-1,3-propanediol dinitrate (ester)



Reference(s):
Médard: Meml. Poudres (MPOUAT) **35**, 113 (1953).
Bourjol: Meml. Poudres (MPOUAT) **36**, 79 (1954).

Formulation(s): tabl. 10 mg

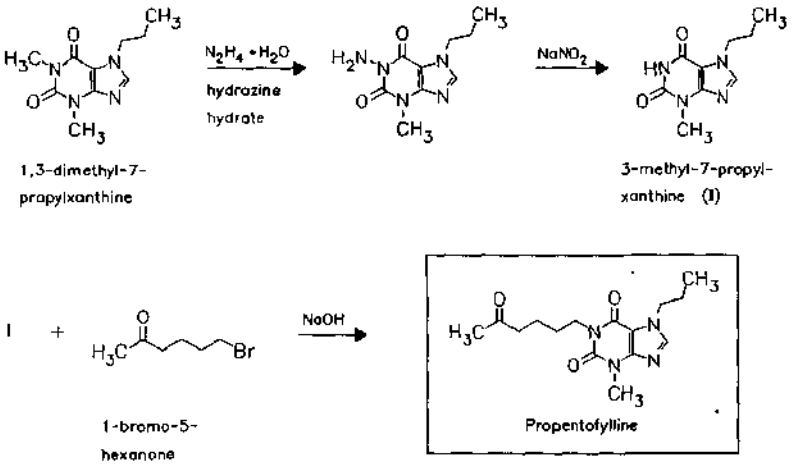
Trade Name(s):

F:	Atrilon 5 (Winthrop); wfm	GB:	Gina (Winthrop); wfm	J:	Etrynit (Yoshitomi); wfm

Propentofylline
(HWA-285)

ATC: N06BC02
Use: vasodilator, cognition enhancer

RN: 55242-55-2 MF: $C_{15}H_{22}N_4O_3$ MW: 306.37
LD_{50}: 168 mg/kg (M, i.v.); 780 mg/kg (M, p.o.);
180 mg/kg (R, i.v.); 940 mg/kg (R, p.o.)
CN: 3,7-dihydro-3-methyl-1-(5-oxohexyl)-7-propyl-1*H*-purine-2,6-dione



Reference(s):
DE 2 330 742 (Albert; appl. 16.6.1973).
DE 2 366 501 (Albert; appl. 16.6.1973).
US 4 289 776 (Hoechst; 15.9.1981; D-prior. 16.6.1973).

synthesis of 3-methyl-7-propylxanthine:
Ohsaki, T. et al.: Chem. Pharm. Bull. (CPBTAL) **36**, 877 (1988).

Formulation(s): tabl. 100 mg

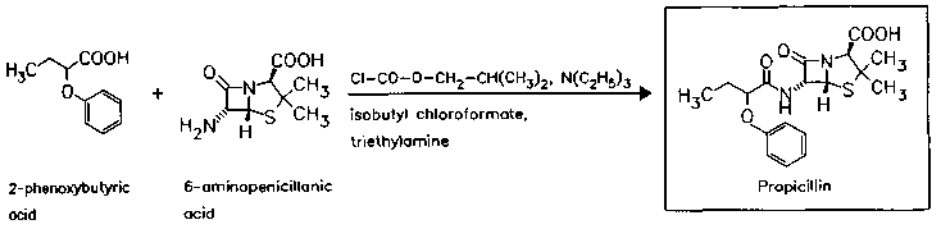
Trade Name(s):
J: Hextol (Hoechst; 1988)

Propicillin

ATC: J01CE03
Use: antibiotic

RN: 551-27-9 MF: $C_{18}H_{22}N_2O_5S$ MW: 378.45 EINECS: 208-995-5
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(1-oxo-2-phenoxybutyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

monopotassium salt
RN: 1245-44-9 MF: $C_{18}H_{21}KN_2O_5S$ MW: 416.54 EINECS: 214-993-5
LD_{50}: 292 mg/kg (M, i.v.);
5 g/kg (R, p.o.)



2-phenoxybutyric acid

6-aminopenicillanic acid

Reference(s):
GB 877 120 (Beecham; appl. 10.5.1960; USA-prior. 25.5.1959, 22.10.1959).
GB 899 199 (Pfizer; appl. 7.1.1960; USA-prior. 28.9.1959).
GB 904 576 (Bayer; appl. 24.11.1960; D-prior. 4.12.1959).
GB 958 478 (Beecham; appl. 28.2.1963; USA-prior. 13.3.1962).
DE 1 143 817 (Beecham; appl. 25.5.1960; USA-prior. 25.5.1959, 22.10.1959).
DE 1 154 805 (Bayer; appl. 24.10.1961).
DE 1 159 449 (Grünenthal; appl. 22.3.1961).

Formulation(s): f. c. tabl. 280 mg, 700 mg; syrup 70 mg; tabl. 125 mg, 140 mg, 280 mg, 700 mg (as potassium salt)

Trade Name(s):

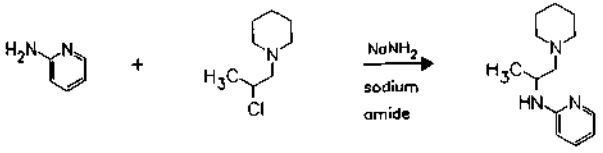
D:	Baycillin (Bayer Vital)		Ultrapen (Pfizer); wfm	Trescillin (Beecham-Fujisawa)
	Pluscillin (Bayropharm)	I:	Bayercillin (Bayer); wfm	
F:	Brocilline (Nativelle); wfm	J:	Oracillin (Takeda)	
GB:	Brocillin (Beecham); wfm		Synthepen-P (Meiji)	

Propiram

ATC: N02
Use: analgesic

RN: 15686-91-6 MF: $C_{16}H_{25}N_3O$ MW: 275.40 EINECS: 239-775-7
LD_{50}: 290 mg/kg (M, s.c.);
366 mg/kg (R, s.c.)
CN: *N*-[1-methyl-2-(1-piperidinyl)ethyl]-*N*-2-pyridinylpropanamide

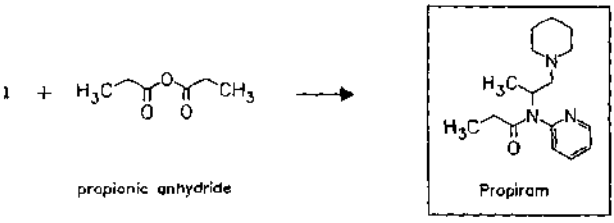
fumarate (1:1)
RN: 13717-04-9 MF: $C_{16}H_{25}N_3O \cdot C_4H_4O_4$ MW: 391.47 EINECS: 237-270-6
LD_{50}: 48.2 mg/kg (M, i.v.); 874 mg/kg (M, p.o.);
63.8 mg/kg (R, i.v.); 1289 mg/kg (R, p.o.);
1 g/kg (dog, p.o.)



2-amino-pyridine

2-chloro-1-piperidino-propane

2-(2-piperidino-1-methylethylamino)-pyridine (I)



Reference(s):
US 3 163 654 (Bayer; 29.12.1964; D-prior. 13.4.1961).
FR 1 492 761 (Bayer; appl. 13.4.1962; D-prior. 13.4.1961).

combinations:
US 4 479 956 (Analgesic Assoc.; 30.10.1984; appl. 26.4.1983).

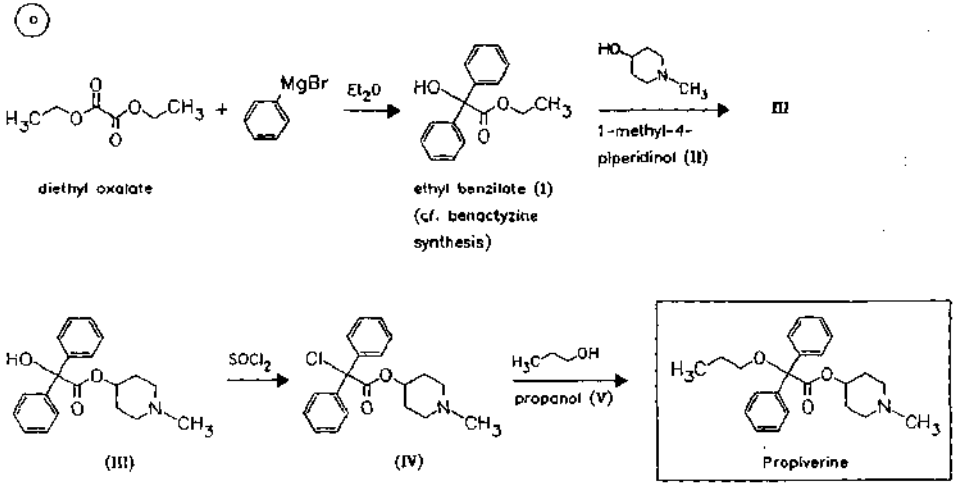
Trade Name(s):
I: Algeril (Bayer); wfm

Propiverine
(P4)

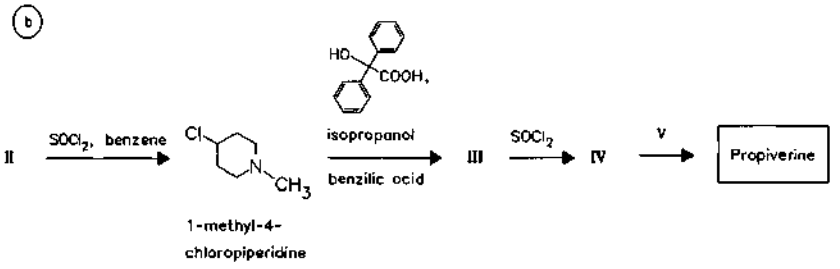
ATC: G04BD06
Use: anticholinergic, treatment of incontinence

RN: 60569-19-9 MF: $C_{23}H_{29}NO_3$ MW: 367.49
CN: α-Phenyl-α-propoxybenzeneacetic acid 1-methyl-4-piperidinyl ester

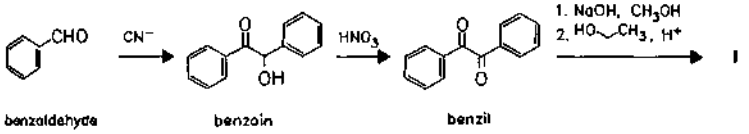
hydrochloride
RN: 54556-98-8 MF: $C_{23}H_{29}NO_3 \cdot HCl$ MW: 403.95



b



alternative synthesis of ethyl benzilate (I):



Reference(s):

a DD 106 643 (C. Starke et al.; appl. 12.7.1973; DD-prior. 12.7.1973).
Laphin, I.I. et al.: Khim. Khim. Tekhnol. (SSAKAG) **30** (7), 27-36 (1987).

b Klosa, J.; Delmar, G.: J. Prakt. Chem. (JPCEAO) **16**, 71-82 (1962).

pharmaceutical preparation:
DE 2 937 489 (C. Starke, G. Schubert; appl. 17.9.1979; DD-prior. 9.10.1978).

transdermal formulation:
JP 04 266 821 (Rido Chem.; appl. 22.2.1991)

Formulation(s): drg. 5 mg, 15 mg (as hydrochloride)

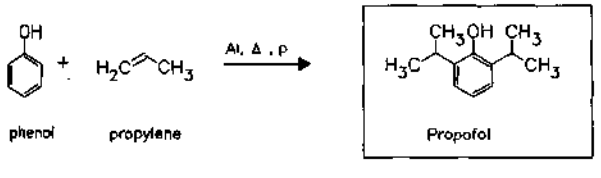
Trade Name(s):
D: Mictonetten (Apogepha) Mictonorm (Apogepha)

Propofol

(Disoprofol; ICI-35868)

ATC: N01AX10
Use: anesthetic (injectible)

RN: 2078-54-8 MF: $C_{12}H_{18}O$ MW: 178.28 EINECS: 218-206-6
LD_{50}: 50 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.);
42 mg/kg (R, i.v.); 500 mg/kg (R, p.o.)
CN: 2,6-bis(1-methylethyl)phenol



Reference(s):
US 2 831 898 (Ethyl Corp.; 1958).
Kolka, A.J. et al.: J. Org. Chem. (JOCEAH) **21**, 712 (1956); **22**, 642 (1957).
Kealy, T.J.; Coffman, D.D.: J. Org. Chem. (JOCEAH) **26**, 987 (1961).
Carlton, J.K.; Bradbury, W.C.: J. Am. Chem. Soc. (JACSAT) **78**, 1069 (1956).

Formulation(s): amp. 10 mg/ml, 20 mg/ml; prefilled Syringe 10 mg/ml; vial 500 mg/50 ml, 1 g/100 ml

Trade Name(s):

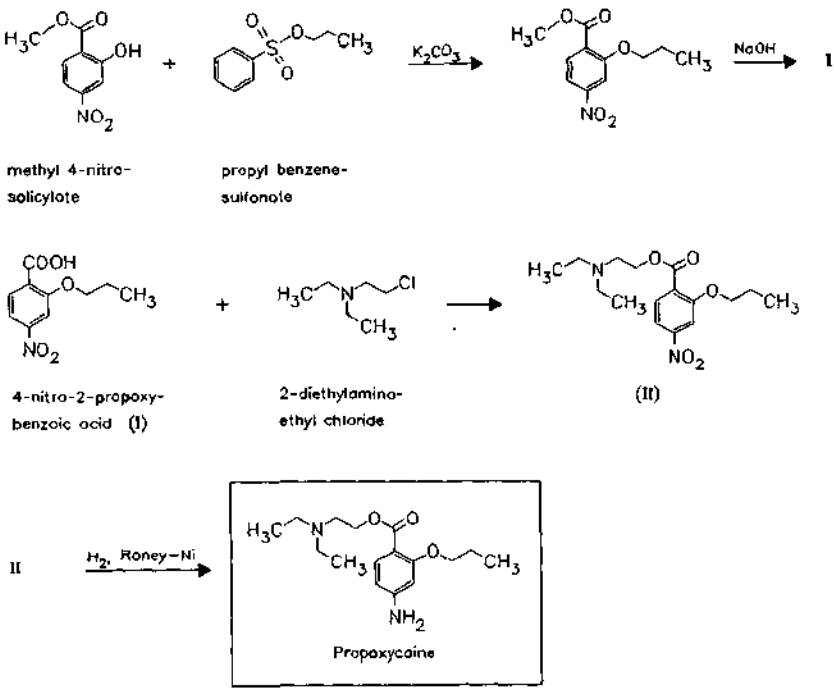
D:	Disoprivan (Glaxo Wellcome; Zeneca)		Propofol-Fresenius (Fresenius-Klinik)	GB:	Diprivan (Zeneca)
	Klimofol (IVAmed)		Propofol-Parke Davis (Parke Davis)	I:	Diprivan (Zeneca)
	Propofol-Abbott (Abbott)	F:	Diprivan (Zeneca)	J:	Diprivan (Zeneca)
				USA:	Diprivan (Zeneca)

Propoxycaine

ATC: N01BA
Use: local anesthetic

RN: 86-43-1 MF: $C_{16}H_{26}N_2O_3$ MW: 294.40 EINECS: 201-670-9
LD_{50}: 9 mg/kg (M, i.v.)
CN: 4-amino-2-propoxybenzoic acid 2-(diethylamino)ethyl ester

monohydrochloride
RN: 550-83-4 MF: $C_{16}H_{26}N_2O_3 \cdot HCl$ MW: 330.86 EINECS: 208-988-7
LD_{50}: 7417 µg/kg (M, i.v.)



Reference(s):
US 2 689 248 (Sterling Drug; prior. 1950).

Trade Name(s):

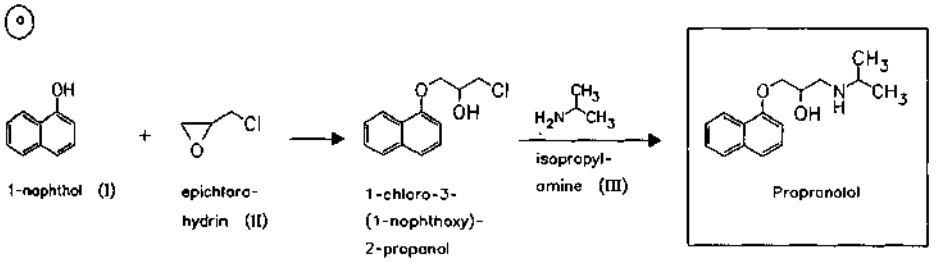
USA:	Blockain (Breon); wfm		Ravocaine (Cook-Waite)-comb.; wfm

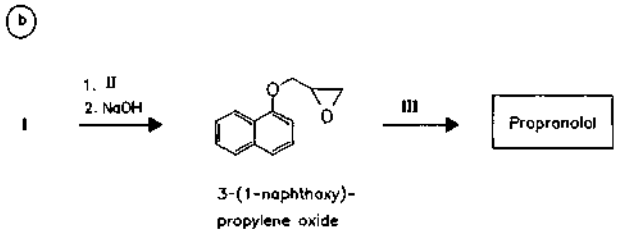
Propranolol

ATC: C07AA05
Use: beta blocking agent

RN: 525-66-6 MF: $C_{16}H_{21}NO_2$ MW: 259.35 EINECS: 208-378-0
LD_{50}: 28.1 mg/kg (M, i.v.); 289 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 660 mg/kg (R, p.o.)
CN: 1-[(1-methylethyl)amino]-3-(1-naphthalenyloxy)-2-propanol

hydrochloride
RN: 318-98-9 MF: $C_{16}H_{21}NO_2 \cdot HCl$ MW: 295.81 EINECS: 206-268-7
LD_{50}: 18 mg/kg (M, i.v.); 320 mg/kg (M, p.o.);
21 mg/kg (R, i.v.); 466 mg/kg (R, p.o.)





Reference(s):
DE 1 493 847 (ICI; prior. 18.11.1963).
US 3 337 628 (ICI; 22.8.1967; GB-prior. 23.11.1962).
GB 994 918 (ICI; appl. 23.11.1962; valid from 28.10.1963).
GB 995 800 (ICI; appl. 23.11.1962; valid from 28.10.1963).

retard form:
US 4 138 475 (ICI; 6.2.1979; GB-prior. 1.6.1977).

Formulation(s): amp. 5 mg/5 ml; f. c. tabl. 10 mg, 20 mg, 40 mg, 80 mg; s. r. cps. 60 mg, 80 mg, 120 mg, 160 mg; tabl. 10 mg, 25 mg, 40 mg, 80 mg (as hydrochloride)

Trade Name(s):

D:
Beta-Tablinen (Sanorania)
Dociton (Rhein-Pharma; Zeneca)
Efektolol (Brenner-Efeka)
Elbrol (Pfleger)
Indobloc (ASTA Medica AWD)
Obsidian (Isis Pharma)
Probabloc-40/-80 (Azupharma)
Propanur 20/40/80 (Henning Berlin)
Prophylux (Hennig)
Propranolol-Gry (Gry)
Sagittol 40/80/160 (Sagitta); wfm
various generics and combination preparations

F:
Avlocardyl (Zeneca; 1967)
Hémipralon (Urpac-Astier)

GB:
Beta-Prograne (Tillomed)
Inderal LA (Zeneca; 1965)
Inderetic (Zeneca)-comb.
Inderex (Zeneca)-comb.
Probeta LA (Trinity)
Propanix LA (Ashbourne)

I:
Inderal (Zeneca; 1967)

J:
Caridolol (Sankyo Zoki)
Inderal (Sumitomo)
Kemi (Otsuka)
Pylapron (Kyorin)

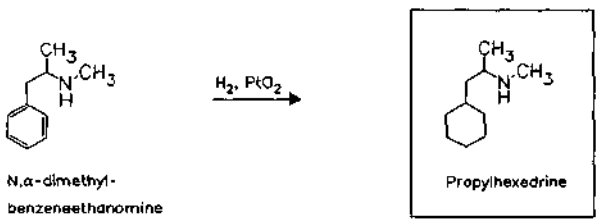
USA:	Inderal (Wyeth-Ayerst; 1967)	Inderide (Wyeth-Ayerst)-comb. with hydrochlorothiazide	generics

Propylhexedrine

ATC: A08A; N07A
Use: sympathomimetic, appetite depressant

RN: 101-40-6 MF: $C_{10}H_{21}N$ MW: 155.29 EINECS: 202-939-3
CN: *N*,α-dimethylcyclohexaneethanamine

hydrochloride
RN: 1007-33-6 MF: $C_{10}H_{21}N \cdot HCl$ MW: 191.75 EINECS: 213-753-7
(±)-base
RN: 3595-11-7 MF: $C_{10}H_{21}N$ MW: 155.29 EINECS: 222-741-0
(±)-hydrochloride
RN: 6192-98-9 MF: $C_{10}H_{21}N \cdot HCl$ MW: 191.75 EINECS: 228-246-6
LD_{50}: 70 mg/kg (M, i.p.)



Reference(s):
DE 949 657 (Knoll; appl. 1954).
DE 970 480 (Knoll; appl. 1940).
Zenitz, B.L. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 1117 (1947).

alternative synthesis:
US 2 454 746 (Smith Kline & French; 1948; appl. 1947).

Formulation(s): drg. 25 mg

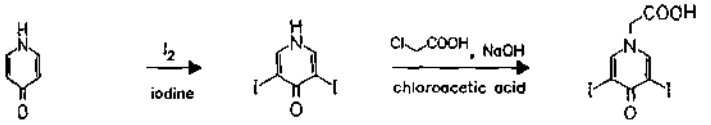
Trade Name(s):

D:	Eventin (Minden); wfm	GB:	Benzedrex (Smith Kline & French); wfm	USA:	Benzedrex (Smith Kline & French); wfm

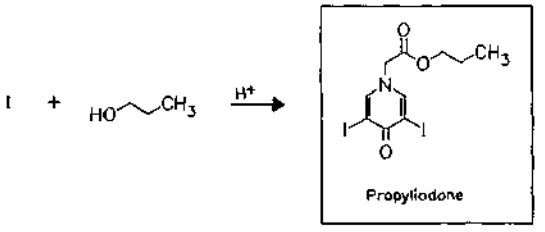
Propyliodone

ATC: V08AD03
Use: X-ray contrast medium

RN: 587-61-1 MF: $C_{10}H_{11}I_2NO_3$ MW: 447.01 EINECS: 209-603-5
LD_{50}: 300 mg/kg (M, i.v.); >18 g/kg (M, p.o.)
CN: 3,5-diiodo-4-oxo-1(4*H*)-pyridineacetic acid propyl ester



4(1H)-pyridone | 3,5-diiodo-4(1H)-pyridone | 3,5-diiodo-4-oxo-1,4-dihydropyridino-acetic acid (I)



Reference(s):
GB 517 382 (ICI; appl. 1938).
BE 516 687 (Glaxo; appl. 1953; GB-prior. 1952).

Formulation(s): susp. 10 g/20 ml, vial 50 %

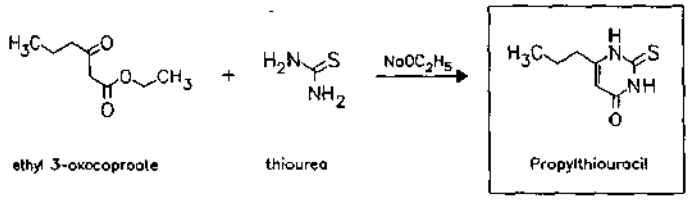
Trade Name(s):

D:	Dionosil (Glaxo); wfm	Propyliodon-Cilag (Cilag-Chemie); wfm		J:	Dionosil (Torii)

Propylthiouracil

ATC: H03BA02
Use: antithyroid drug

RN: 51-52-5 MF: $C_7H_{10}N_2OS$ MW: 170.24 EINECS: 200-103-2
LD_{50}: 1250 mg/kg (R, p.o.)
CN: 2,3-dihydro-6-propyl-2-thioxo-4(1*H*)-pyrimidinone



ethyl 3-oxocoproate | thiourea

Reference(s):
Anderson, G.W. et al.: J. Am. Chem. Soc. (JACSAT) 67, 2197 (1945).

Formulation(s): tabl. 25 mg, 50 mg

Trade Name(s):

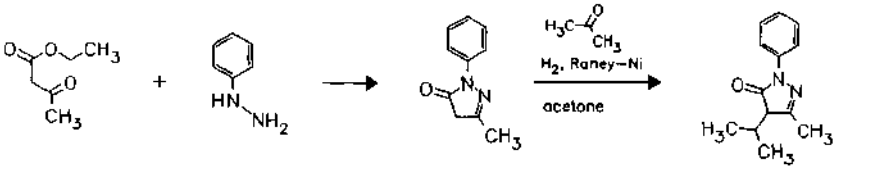
D:	Thyreostat II (Herbrand Hersteller/Berlin-Chemie Vertrieb)	F:	Propylthiouracil Diamant (Diamant); wfm	J:	Propacil (Chugai) Thiuragyl (Tokyo Tanabe)
		I:	Propycil (Sir); wfm	USA:	Propylthiouracil (Lederle)

Propyphenazone

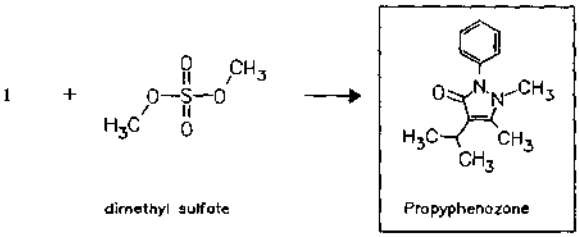
(Isopropylantipyrin)

ATC: N02BB04
Use: analgesic, antipyretic

RN: 479-92-5 MF: $C_{14}H_{18}N_2O$ MW: 230.31 EINECS: 207-539-2
LD_{50}: 960 mg/kg (M, p.o.);
860 mg/kg (R, p.o.)
CN: 1,2-dihydro-1,5-dimethyl-4-(1-methylethyl)-2-phenyl-3*H*-pyrazol-3-one



ethyl acetoacetate | phenylhydrazine | 3-methyl-1-phenyl-5-Δ²-pyrazolone | 4-isopropyl-3-methyl-1-phenyl-5-Δ²-pyrazolone (I)



1 | dimethyl sulfate | Propyphenazone

Reference(s):
DRP 565 799 (Hoffmann-La Roche; appl. 1931).
DE 962 254 (Riedel-deHaen; appl. 1954).

Formulation(s): cps. 400 mg; suppos. 100 mg, 200 mg, 300 mg, 400 mg; tabl. 500 mg

Trade Name(s):

D: Avamigran (ASTA Medica AWD)
Demex (Berlin-Chemie)
Eufibron (Berlin-Chemie)
Isoprochin (Merckle)
Saridon (Roche Nicholas)-comb.
and circa 150 more generics and combination preparations

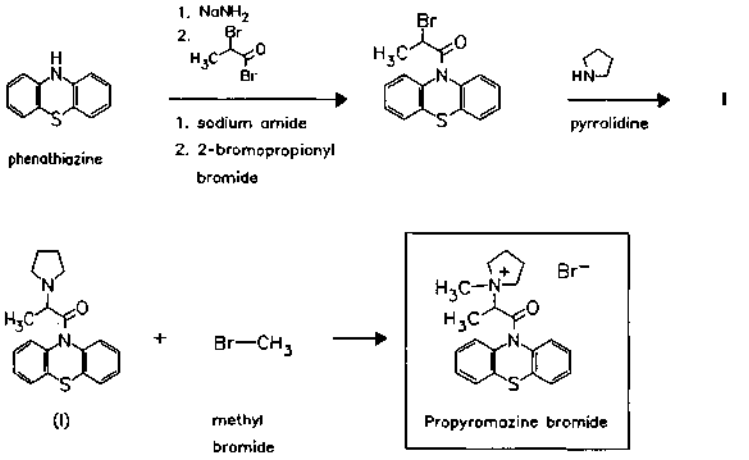
F: Polypirine (Lehning)-comb.

I: Caffalgina (Home)-comb.
Flexidone (Poli)-comb.
Influvit (Recordati)-comb.
Micranet (Ogna)-comb.
Mindol (Merck-Bracco)-comb.
Neo-Optalidon (Novartis)-comb.
Omniadol (Montefarmaco)-comb.
Optalidon confetti (Novartis)-comb.
Ribelfan (Pharmacia & Upjohn)-comb.
Saridon (Roche)-comb.
Spasmocibalgina (Novartis)-comb.
Spasmoplus (Novartis)-comb.
Uniplus (Angelini)-comb.
Veramon (Sofar)-comb.
Vitialgin (Boots H.M. VITI)-comb.

Propyramazine bromide

ATC: A03
Use: antispasmodic

RN: 145-54-0 MF: $C_{20}H_{23}BrN_2OS$ MW: 419.39 EINECS: 205-657-9
LD_{50}: 80 mg/kg (M, i.p.)
CN: 1-methyl-1-[1-methyl-2-oxo-2-(10*H*-phenothiazin-10-yl)ethyl]pyrrolidinium bromide



Reference(s):
US 2 615 886 (Astra; 1952; prior. 1951).

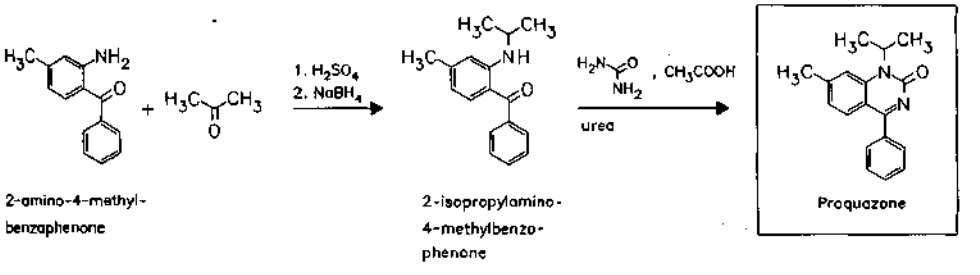
Formulation(s): tabl. 25 mg; vial 10 mg/ml

Trade Name(s):
F: Diaspasmyl (Diamant);
wfm

Proquazone

ATC: M01AX13
Use: analgesic, anti-inflammatory

RN: 22760-18-5 MF: $C_{18}H_{18}N_2O$ MW: 278.36 EINECS: 245-203-7
LD_{50}: 930 mg/kg (M, p.o.);
759 mg/kg (R, p.o.)
CN: 7-methyl-1-(1-methylethyl)-4-phenyl-2(1*H*)-quinazolinone



Reference(s):
US 3 723 432 (Sandoz-Wander; 27.3.1973; prior. 29.8.1966, 4.5.1967, 4.10.1967, 26.2.1968, 1.7.1968, 12.11.1968).
DE 1 805 501 (Sandoz; appl. 26.10.1968; USA-prior. 30.10.1967, 26.2.1968, 1.7.1968).

alternative synthesis:
US 3 549 635 (Sandoz-Wander; 22.12.1970; prior. 26.2.1968, 1.7.1968).
DOS 1 909 110 (Sandoz; appl. 24.2.1969; USA-prior. 26.2.1968, 1.7.1968).

2-isopropylamino-4-methylbenzophenone:
US 3 845 128 (Sandoz; 29.10.1974; prior. 30.10.1967, 5.8.1970).
DOS 1 818 012 (Sandoz; appl. 26.10.1968; USA-prior. 30.10.1967, 26.2.1968, 1.7.1968).
US 4 071 557 (Sandoz; 31.1.1978; appl. 29.1.1976).

Formulation(s): cps. 200 mg, 300 mg; suppos. 300 mg

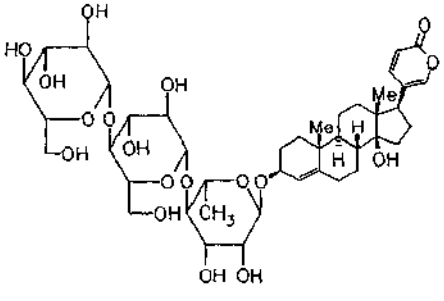
Trade Name(s):
D: Biarison (Sandoz); wfm

Proscillaridin
(Proscillaridin A)

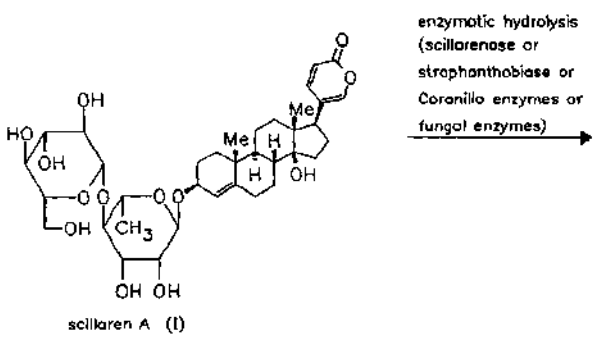
ATC: C01AB01
Use: cardiac glycoside

RN: 466-06-8 MF: $C_{30}H_{42}O_8$ MW: 530.66 EINECS: 207-370-4
LD_{50}: 4.7 mg/kg (M, i.v.); 30.5 mg/kg (M, p.o.);
9 mg/kg (R, i.v.); 56 mg/kg (R, p.o.)
CN: (3β)-3-[(6-deoxy-α-L-mannopyranosyl)oxy]-14-hydroxybufa-4,20,22-trienolide

(a)



glucoscillaren A
(from Scilla maritima L.)



scillaren A (I)

Proscillaridin

(b) from Urginea burkei Baker

Reference(s):

a Stoll, A. et al.: Helv. Chim. Acta (HCACAV) **16**, 703 (1933).
Stoll, A.; Kreis, W.: Helv. Chim. Acta (HCACAV) **34**, 1431 (1951).
Stoll, A. et al.: Helv. Chim. Acta (HCACAV) **35**, 2495 (1952).
DRP 646 930 (Ciba; appl. 1933; CH-prior. 1932).
US 3 361 630 (Knoll; 2.1.1968; appl. 30.10.1964; D-prior. 2.11.1963).

b Louw, P.G.J: Nature (London) (NATUAS) **163**, 30 (1949).
Zoller, P.; Tamm, Ch.: Helv. Chim. Acta (HCACAV) **36**, 1744 (1953).

Formulation(s): drg. 0.25 mg, 0.5 mg

Trade Name(s):

D: Talusin (Knoll)
F: Talusin (Biosedra); wfm
I: Caradrin (Boehringer Ing.); wfm
Neogratusminal (Simes)-comb.; wfm
Stellarid (Zambeletti); wfm
Talusin (Knoll); wfm
Teostellarid (Zambeletti)-comb.; wfm
Urgilan (Simes); wfm

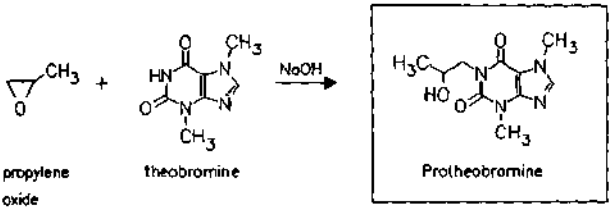
J: Apocerpin (Kotani)
Bunosquin (Seiko)
Caradrin (Kowa)
Cardiolidin (Nichiiko)
Cardion (Nippon Chemiphar)
Cardon (Kanto)
Mitredin (Nippon Shoji)
Procardin (Mohan)
Procillan (Hokuriku)
Proherz (Shinshin)
Pros Tab. (Mita)
Proscillar (Toyo Jozo)
Prosiladin (Sawai)
Proslladin (Zeria)
Proszin (Teisan)
Scillaridin (Moroshita)
Silamarin A (Wakamoto)
Stellarid (Tobishi-Mochida)
Talusin (Dainippon)

USA: Talusin (Knoll); wfm
Tradenal (Knoll); wfm

Protheobromine

ATC: C03BD
Use: diuretic, cardiotonic

RN: 50-39-5 MF: $C_{10}H_{14}N_4O_3$ MW: 238.25 EINECS: 200-034-8
LD_{50}: 580 mg/kg (M, s.c.)
CN: 3,7-dihydro-1-(2-hydroxypropyl)-3,7-dimethyl-1*H*-purine-2,6-dione



Reference(s):
DE 1 067 025 (Degussa; appl. 23.8.1955).

Formulation(s): drg. 50 mg, 100 mg

Trade Name(s):

D: Cordabromin-Digoxin (Homburg)-comb.; wfm

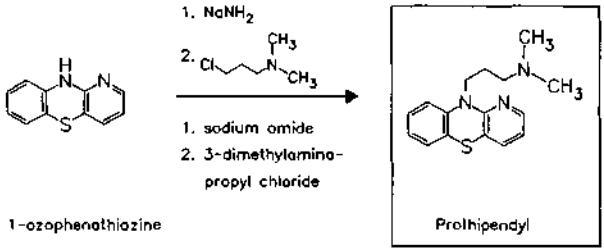
I: Antelin (OFF)-comb.; wfm
Idromin (Arnaldi); wfm
Tebe (Simes); wfm

Prothipendyl

ATC: N05AX07
Use: psychosedative, neuroleptic

RN: 303-69-5 MF: $C_{16}H_{19}N_3S$ MW: 285.42
LD_{50}: 415 mg/kg (M, p.o.);
25 mg/kg (R, i.v.)
CN: *N,N*-dimethyl-10*H*-pyrido[3,2-*b*][1,4]benzothiazine-10-propanamine

monohydrochloride
RN: 1225-65-6 MF: $C_{16}H_{19}N_3S \cdot HCl$ MW: 321.88 EINECS: 214-958-4
LD_{50}: 110 mg/kg (R, i.v.); 610 mg/kg (R, p.o.)



Reference(s):
DE 1 001 684 (Degussa; appl. 1954).
US 2 974 139 (Degussa; 7.3.1961; D-prior. 2.10.1954).

Formulation(s): amp. 40 mg/2 ml; drg. 40 mg; drops 25 mg/0.5 ml; f. c. tabl. 80 mg (as hydrochloride)

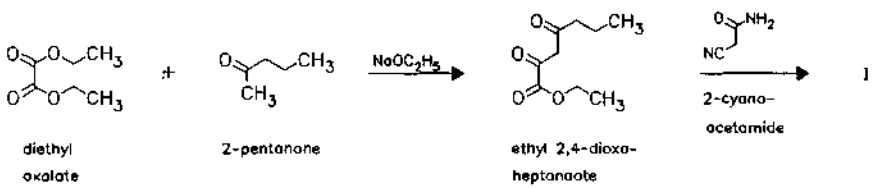
Trade Name(s):

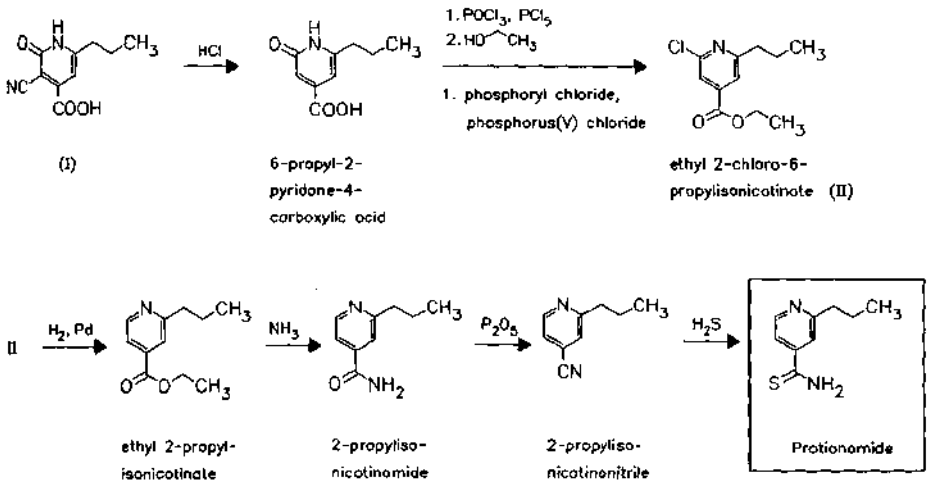
D:	Dominal /-forte (ASTA Medica AWD)	GB:	Tolnate (Smith Kline & French); wfm	J:	Prosyl (Kanto)

Protionamide
(Prothionamide)

ATC: J04AD01
Use: tuberculostatic, antibacterial

RN: 14222-60-7 MF: $C_9H_{12}N_2S$ MW: 180.28 EINECS: 238-093-7
LD_{50}: 1 g/kg (M, p.o.);
1320 mg/kg (R, p.o.)
CN: 2-propyl-4-pyridinecarbothioamide





Reference(s):
GB 800 250 (Chimie et Atomistique; appl. 26.3.1957; F-prior. 27.3.1956, 19.4.1956, 6.8.1956, 7.12.1956).
Libermann, S. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **242**, 2409, 2412 (1956).

Formulation(s): f. c. tabl. 250 mg; tabl. 125 mg, 250 mg

Trade Name(s):

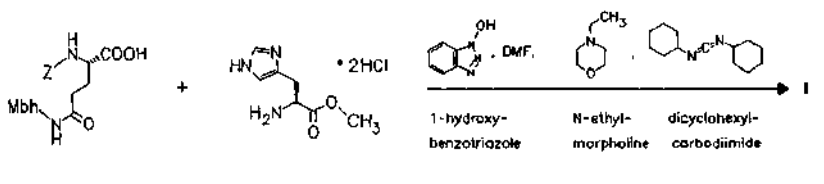
D:	Ektebin (Hefa Pharma) Isoprodion (Fatol)-comb. Peteha Dragees (Fatol)	GB:	Trevintix (May & Baker); wfm		Tuberamin (Meiji) Tuberex (Shionogi) Tubermide (Sankyo)
F:	Trévintix (Théraplix); wfm	J:	Entelohl (Kyowa) Protionamid (Lederle-Takeda)		

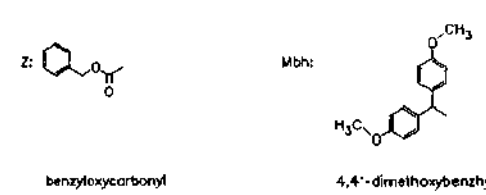
Protirelin

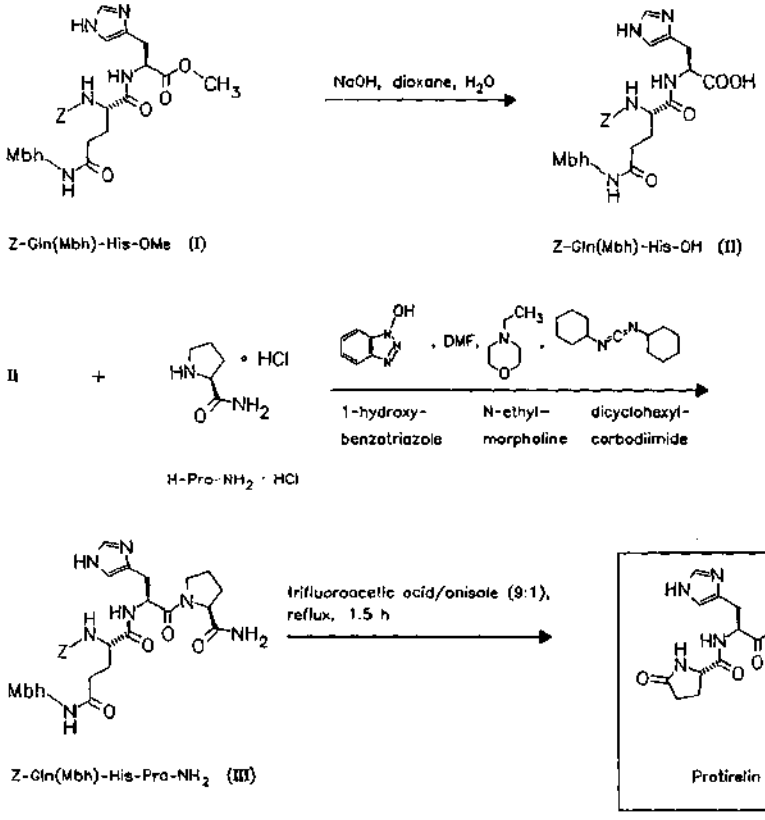
(TRH; Thyroliberin; Tyroliberin)

ATC: V04CJ02
Use: antidepressant, thyroid diagnostic

RN: 24305-27-9 MF: $C_{16}H_{22}N_6O_4$ MW: 362.39 EINECS: 246-143-4
LD_{50}: 921 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
514 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: 5-oxo-L-prolyl-L-histidyl-L-prolinamide







Reference(s):
synthesis:
König, W.; Geiger, R.: Chem. Ber. (CHBEAM) **105**, 2872 (1972).
US 3 746 697 (K. Folkers et al.; 17.7.1973; prior. 19.9.1969).
US 3 757 003 (K. Folkers et al.; 4.9.1973; prior. 18.12.1969).
US 3 753 969 (K. Folkers et al.; 21.8.1973; prior. 22.12.1969).
US 3 959 247 (Takeda; 25.5.1976; appl. 21.6.1974; J-prior. 2.7.1973).
DE 2 431 331 (Takeda; appl. 22.5.1975; prior. 29.6.1974).

starting material:
König, W.; Geiger, R.: Chem. Ber. (CHBEAM) **103**, 2041 (1970).
Flouret, G.: J. Med. Chem. (JMCMAR) **13**, 843 (1970).

use:
as antidepressant:
US 3 737 549 (Abbott; 5.6.1973; appl. 20.3.1972).
DOS 2 313 635 (Abbott; appl. 19.3.1973; USA-prior. 20.3.1972).

at impaired consciousness:
DOS 2 611 976 (Takeda; appl. 20.3.1976; GB-prior. 3.4.1975, 26.11.1975).
US 4 059 692 (Takeda; 22.11.1977; GB-prior. 3.4.1975, 26.11.1975).

for abolition of schizophrenia:
GB 1 540 574 (Takeda; appl. 23.5.1975; valid from 24.5.1976).

injectable solutions (by use of sugar alcohols):
DOS 2 743 586 (Takeda; appl. 28.9.1977; J-prior. 1.10.1976).

Formulation(s): amp. 200 µg/2 ml, 400 µg/2 ml; nasal spray 1 mg/0.09 ml; tabl. 40 mg; USA: amp. 500 µg/ml

Trade Name(s):

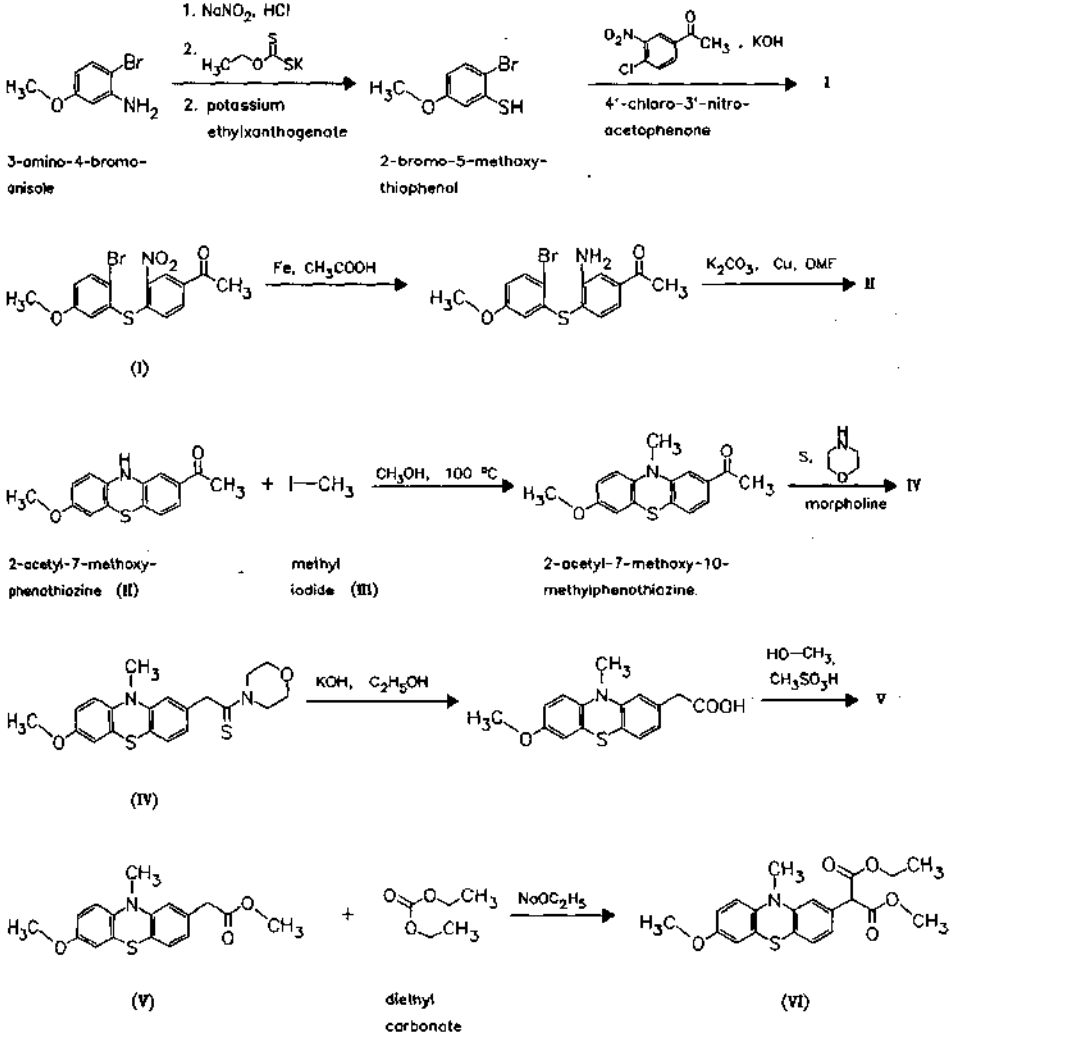
D: Antepan (Henning Berlin; 1980)
Relefact TRH (Hoechst; 1975)
Thyroliberin/TRF Merck (Merck; 1978)
TRH (Berlin-Chemie; Ferring; 1974)
F: Stimu-T.S.H. (Roussel)
GB: Relefact (LH-RH/TRH Hoechst; 1978); wfm
I: Irtonin (Takeda)
Xantium (Wyeth-Lederle)
J: TRH (Tanabe)
USA: Thyrel TRH (Ferring)

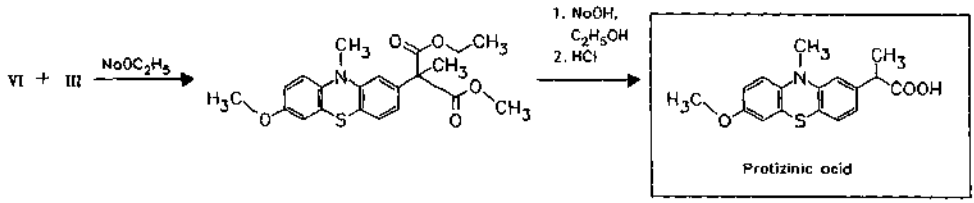
Protizinic acid

(Acide protizinique)

ATC: M01AE
Use: anti-inflammatory

RN: 13799-03-6 MF: $C_{17}H_{17}NO_3S$ MW: 315.39 EINECS: 237-453-0
CN: 7-methoxy-α,10-dimethyl-10*H*-phenothiazine-2-acetic acid





Reference(s):
US 3 450 698 (Rhône-Poulenc; 17.6.1969; F-prior. 29.10.1964).

Formulation(s): cps. 200 mg

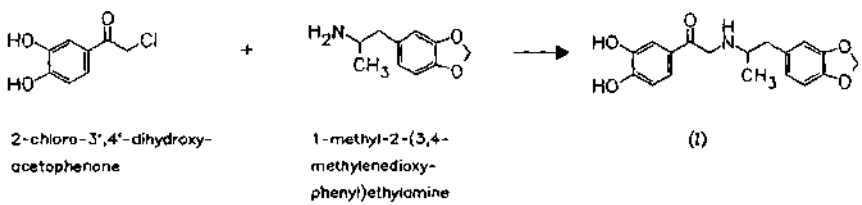
Trade Name(s):
F: Pirocrid (Théraplix); wfm J: Piroarid (Mochidia)

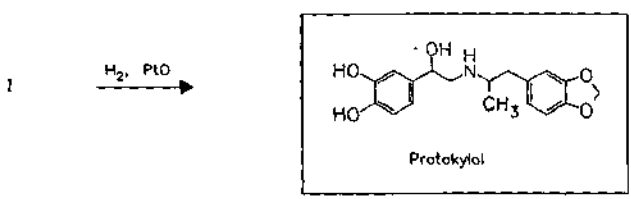
Protokylol

ATC: R03A
Use: β-sympathomimetic, bronchodilator

RN: 136-70-9 MF: $C_{18}H_{21}NO_5$ MW: 331.37 EINECS: 205-255-3
CN: 4-[2-[[2-(1,2-benzodioxol-5-yl)-1-methylethyl]amino]-1-hydroxyethyl]-1,2-benzenediol

hydrochloride
RN: 136-69-6 MF: $C_{18}H_{21}NO_5 \cdot HCl$ MW: 367.83 EINECS: 205-254-8
LD_{50}: 86.5 mg/kg (M, i.v.); 785 mg/kg (M, p.o.);
71 mg/kg (R, i.v.); 865 mg/kg (R, p.o.)





Reference(s):
US 2 900 415 (Lakeside Labs.; 1959; prior. 1954).

Formulation(s): aerosol 0.01 mg; drg. 1 mg; tabl. 1 mg (as hydrochloride)

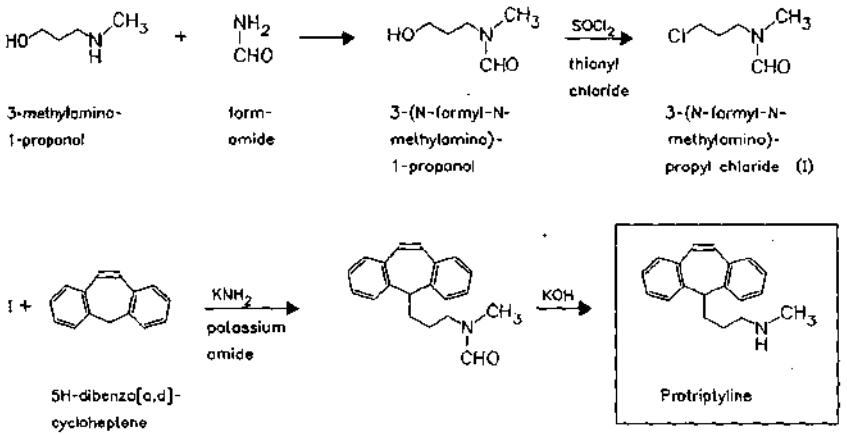
Trade Name(s):
D: atma-sanol (Sanol)-comb.; wfm
I: Asmetil (Benvegna); wfm
Beres (Simes); wfm
J: Caytine (Chugai)
USA: Ventaire (Marion); wfm

Protriptyline

ATC: N06AA11
Use: antidepressant

RN: 438-60-8 MF: $C_{19}H_{21}N$ MW: 263.38 EINECS: 207-119-9
LD_{50}: 30 mg/kg (M, i.v.); 269 mg/kg (M, p.o.);
240 mg/kg (R, p.o.)
CN: *N*-methyl-5*H*-dibenzo[*a*,*d*]cycloheptene-5-propanamine

hydrochloride
RN: 1225-55-4 MF: $C_{19}H_{21}N \cdot HCl$ MW: 299.85 EINECS: 214-956-3
LD_{50}: 49 mg/kg (M, i.v.); 211 mg/kg (M, p.o.);
299 mg/kg (R, p.o.)



Reference(s):
US 3 244 748 (Merck & Co.; 5.4.1966; prior. 3.7.1962).
US 3 271 451 (Merck & Co.; 6.9.1966; appl. 3.7.1962).
BE 617 967 (Merck & Co.; appl. 22.5.1962; USA-prior. 24.5.1961, 25.9.1961).
DE 1 287 573 (Merck & Co.; appl. 6.5.1963; USA-prior. 14.5.1962).
DE 1 468 212 (Merck & Co.; appl. 21.5.1962; USA-prior. 24.5.1961, 25.9.1961).

alternative syntheses:
DE 1 288 599 (Geigy; appl. 13.3.1962; CH-prior. 14.3.1961, 30.3.1961).
Engelhardt, E.L. et al.: J. Med. Chem. (JMCMAR) **11**, 325 (1968).

Formulation(s): tabl. 5 mg, 10 mg (as hydrochloride)

Trade Name(s):

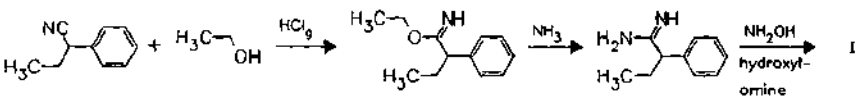
D:	Maximed (Sharp & Dohme); wfm	GB:	Condordin (Merck Sharp & Dohme)	USA:	Vivactil (Merck Sharp & Dohme)
F:	Concordine (Merck Sharp & Dohme); wfm	I:	Concordin (Merck Sharp & Dohme); wfm		

Proxazole
(Propaxoline)

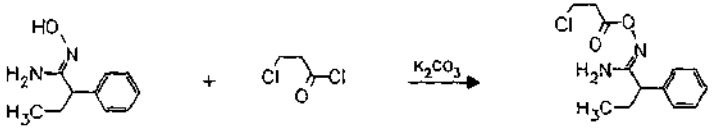
ATC: A03AX07
Use: analgesic, anti-inflammatory, antitussive, antispasmodic, relaxant (smooth muscle)

RN: 5696-09-3 MF: $C_{17}H_{25}N_3O$ MW: 287.41
CN: *N*,*N*-diethyl-3-(1-phenylpropyl)-1,2,4-oxadiazole-5-ethanamine

citrate (1:1)
RN: 132-35-4 MF: $C_{17}H_{25}N_3O \cdot C_6H_8O_7$ MW: 479.53 EINECS: 205-059-8
LD_{50}: 68 mg/kg (M, i.v.); 1270 mg/kg (M, p.o.);
1400 mg/kg (R, p.o.)



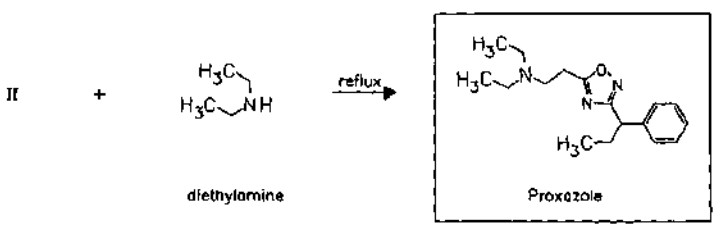
2-phenyl-
butyronitrile



2-phenylbutyr-
amidoxime (I)

3-chloropropionyl
chloride

(II)



diethylamine

Proxazole

Reference(s):
US 3 141 019 (Angelini Francesco; 14.7.1964; A-prior. 29.9.1959).

Formulation(s): drops 5 %; tabl. 100 mg (as citrate); vial 30 mg/5 ml

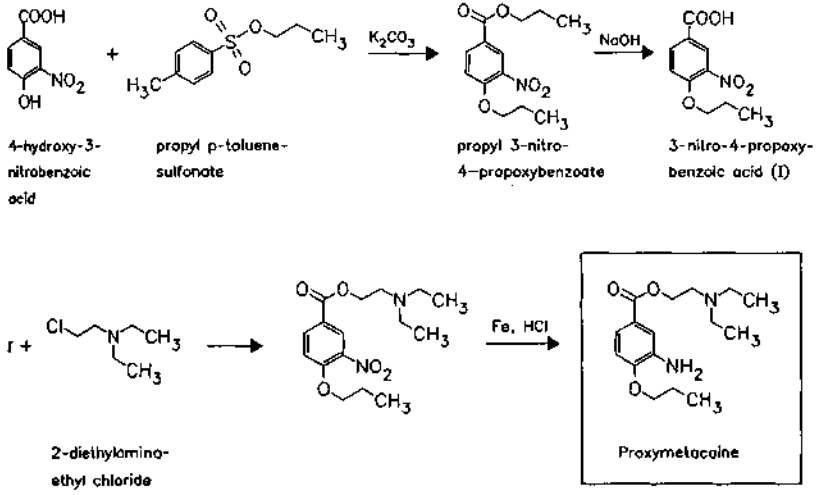
Trade Name(s):
F: Mendozal (Beaufour); wfm I: Toness (Angelini) J: Pirecin (Yoshitomi)

Proxymetacaine
(Proparacaine)

ATC: S01HA04
Use: local anesthetic

RN: 499-67-2 MF: $C_{16}H_{26}N_2O_3$ MW: 294.40 EINECS: 207-884-9
CN: 3-amino-4-propoxybenzoic acid 2-(diethylamino)ethyl ester

monohydrochloride
RN: 5875-06-9 MF: $C_{16}H_{26}N_2O_3 \cdot HCl$ MW: 330.86 EINECS: 227-541-7
LD_{50}: 3371 µg/kg (M, i.v.)



Reference(s):
Clinton, R.O. et al.: J. Am. Chem. Soc. (JACSAT) **74**, 592 (1952).
US 1 317 250 (Parke Davis; 1919; appl. 1918).
DRP 522 064 (Schering-Kahlbaum AG; appl. 1928).
US 2 288 334 (Abbott; 1942; appl. 1940).

Formulation(s): eye drops 5 mg/ml (as hydrochloride)

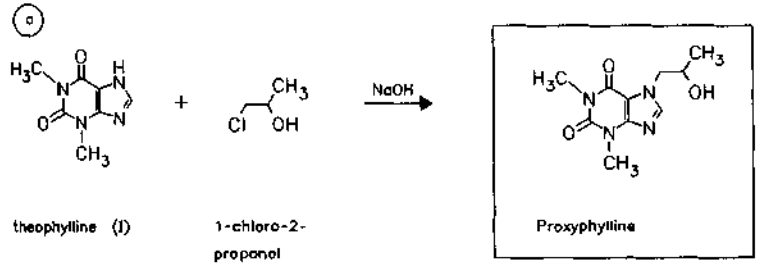
Trade Name(s):

D:	Proparakain-POS (Ursapharm)	GB:	Mimius Proxymetacaine (Chauvin)	I:	Visuanestetico (ISF); wfm
F:	Keracaine (Merck Sharp & Dohme-Chibret); wfm		Ophthaine (Bristol-Myers Squibb)	USA:	Alcaine (Alcon); wfm Ophthaine (Squibb); wfm Ophthetic (Allergan); wfm

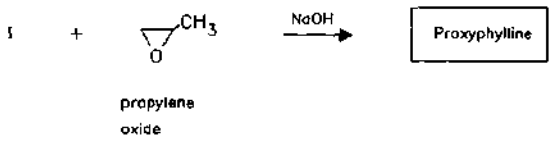
Proxyphylline

ATC: R03DA03
Use: cardiotonic, bronchodilator

RN: 603-00-9 MF: $C_{10}H_{14}N_4O_3$ MW: 238.25 EINECS: 210-028-7
LD_{50}: 510 mg/kg (M, i.v.); 1460 mg/kg (M, p.o.);
430 mg/kg (R, i.v.); 460 mg/kg (R, p.o.)
CN: 3,7-dihydro-7-(2-hydroxypropyl)-1,3-dimethyl-1*H*-purine-2,6-dione



(b)



Reference(s):
US 2 715 125 (Gane's Chem. Works; 1955; prior. 1953).

Formulation(s): clysma 150 mg/5 ml, 300 mg/10 ml, 600 mg/20 ml; s. r. tabl. 200 mg, 300 mg; suppos. 500 mg; tabl. 300 mg

Trade Name(s):

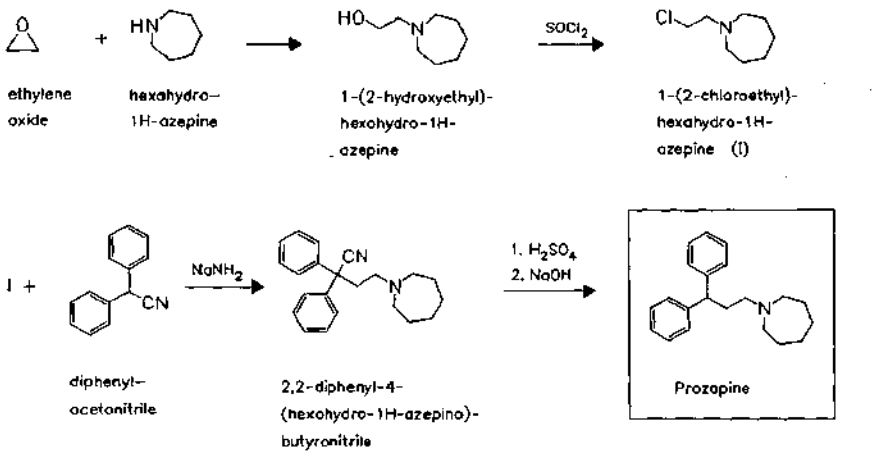
D:	Antihypertonicum (Trommsdorff)-comb. Neobiphyllin-Clys (Trommsdorff)-comb.	GB:	Brontyl (Reckitt & Colman); wfm Thean (Astra); wfm	I:	Pantafillina (Farmacobiologico); wfm
				J:	Monophyllin (Yoshitomi) Tomophyllin (Nichiiko)

Prozapine
(Hexadiphane)

ATC: A03BA
Use: choleretic, antispasmodic

RN: 3426-08-2 MF: $C_{21}H_{27}N$ MW: 293.45 EINECS: 222-325-9
CN: 1-(3,3-diphenylpropyl)hexahydro-1*H*-azepine

hydrochloride
RN: 13657-24-4 MF: $C_{21}H_{27}N \cdot HCl$ MW: 329.92 EINECS: 237-143-5



Reference(s):
US 2 881 165 (Janssen; 1959; NL-prior. 1956).

Formulation(s): amp. 1 mg/5 ml, 2 mg/5 ml; syrup 1 mg (as hydrochloride)

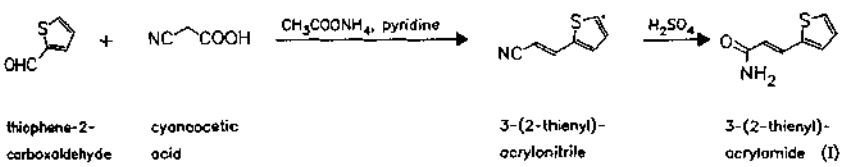
Trade Name(s):
F: Norbiline (Bellon)-comb. I: Norbiline (Rhône-Poulenc Rorer)

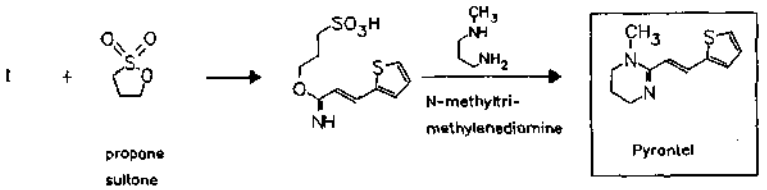
Pyrantel

ATC: P02CC01
Use: anthelmintic (nematodes)

RN: 15686-83-6 MF: $C_{11}H_{14}N_2S$ MW: 206.31 EINECS: 239-774-1
LD_{50}: 175 mg/kg (M, p.o.);
170 mg/kg (R, p.o.);
2 g/kg (dog, p.o.)
CN: (*E*)-1,4,5,6-tetrahydro-1-methyl-2-[2-(2-thienyl)ethenyl]pyrimidine

tartrate (1:1)
RN: 33401-94-4 MF: $C_{11}H_{14}N_2S \cdot C_4H_6O_6$ MW: 356.40 EINECS: 251-501-8
LD_{50}: 2220 µg/kg (M, i.v.); 123 mg/kg (M, p.o.);
170 mg/kg (R, p.o.)
pamoate (1:1)
RN: 22204-24-6 MF: $C_{11}H_{14}N_2S \cdot C_{23}H_{16}O_6$ MW: 594.69 EINECS: 244-837-1
LD_{50}: 620 mg/kg (M, i.p.);
535 mg/kg (R, i.p.)





Reference(s):
BE 658 987 (Pfizer; appl. 28.1.1965; GB-prior. 28.1.1964, 13.8.1964, 26.9.1964).
US 3 502 661 (Pfizer; 24.3.1970; prior. 14.2.1967, 5.6.1967, 9.11.1967).
CH 404 677 (Dr. A. Wander; appl. 2.12.1960).
CH 398 620 (Dr. A. Wander; appl. 16.8.1960).
GB 980 853 (Dr. A. Wander; appl. 16.8.1961; CH-prior. 16.8.1960, 2.12.1960).
NL 147 426 (Dr. A. Wander; appl. 24.5.1963; CH-prior. 25.5.1962, 8.6.1962, 5.12.1962, 15.2.1963).
DE 1 280 879 (Wander; appl. 7.8.1961; CH-prior. 16.8.1960, 2.12.1960).
US 3 539 573 (Wander; 10.11.1970; CH-prior. 16.8.1960, 2.12.1960, 20.7.1961, 25.5.1962, 5.12.1962, 15.2.1963, 22.3.1967, 11.7.1967, 3.11.1967).
Hunziker, F. et al.: Helv. Chim. Acta (HCACAV) **50**, 1588 (1967).

Formulation(s): chewing tabl. 250 mg; sol. 5 %; susp. 250 mg/5 ml, 720 mg; tabl. 125 mg, 250 mg (as pamoate)

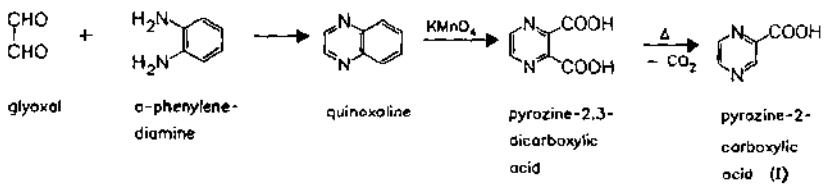
Trade Name(s):
D: Helmex (Pfizer)
F: Combantrin (Pfizer)
GB: Helmintox (Innotech International)
GB: Combantrin (Pfizer); wfm
I: Combantrin (Pfizer)
J: Combantrin (Pfizer)

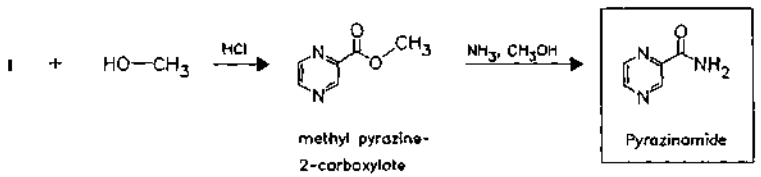
USA: Antiminth (Pfizer); wfm Antiminth (Roerig); wfm Combantrin (Pfizer); wfm

Pyrazinamide

ATC: J04AK01
Use: tuberculostatic, antibacterial

RN: 98-96-4 MF: $C_5H_5N_3O$ MW: 123.12 EINECS: 202-717-6
LD_{50}: 1680 mg/kg (M, i.p.); 2793 mg/kg (M, s.c.)
CN: pyrazinecarboxamide





Reference(s):
DRP 632 257 (Merck; 1934).
Hall, S.A. et al.: J. Am. Chem. Soc. (JACSAT) **62**, 664 (1940).

alternative synthesis via 2-cyanopyrazine (*from* 2-chloropyrazine):
EP 122 355 (Servipharm; appl. 25.7.1983; CH-prior. 21.3.1983).

Formulation(s): cps. 500 mg; drg. 300 mg in comb. with rifapiam, isoniazide; f. c. tabl. 500 mg; tabl. 100 mg, 500 mg

Trade Name(s):

D:	Pyrafat (Fatol) Pyrazinamid (Hefa Pharma) Pyrazinamid "Lederle" (Lederle) Rifater (Grünenthal)-comb.	F:	Pirilène (Marion Merrell) Rifater (Marion Merrell)-comb.	I:	Piraldina (Bracco)
		GB:	Rifater (Hoechst)-comb. Zinamide (Merck Sharp & Dohme)	J:	Pyramide (Sankyo)
				USA:	Rifater (Hoechst Marion Merrell)-comb. generics

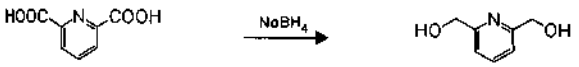
Pyridinol carbamate
(Pyricarbate)

ATC: C04AX49
Use: antiarteriosclerotic

RN: 1882-26-4 MF: $C_{11}H_{15}N_3O_4$ MW: 253.26 EINECS: 217-538-9
LD_{50}: 3100 mg/kg (M, p.o.);
1230 mg/kg (R, p.o.);
1 g/kg (dog, p.o.)
CN: 2,6-pyridinedimethanol bis(methylcarbamate)

starting product:

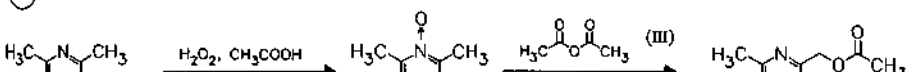
(a)



dipicolinic acid

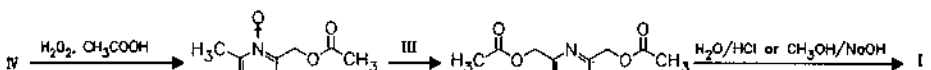
2,6-bis(hydroxymethyl)-pyridine (I)

(b)



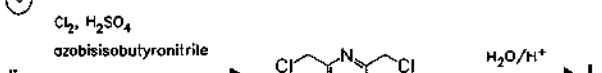
2,6-lutidine (II)

(IV)



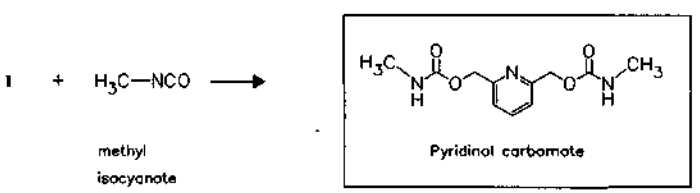
2,6-bis(acetoxymethyl)-pyridine

(c)



2,6-bis(chloromethyl)-pyridine

final product:



methyl isocyanate

Pyridinol carbamate

Reference(s):

FR 1 396 624 (M. Inoue; appl. 13.4.1964; J-prior. 13.4.1963).
AT 258 953 (M. Inoue; appl. 13.4.1964; J-prior. 13.4.1963).
AT 258 954 (M. Inoue; appl. 13.4.1964; J-prior. 13.4.1963).
AT 258 955 (M. Inoue; appl. 8.11.1965).

alternative syntheses [*from* 2,6-bis(hydroxymethyl)pyridine *and N,N'*-dimethylurea]:
DOS 2 263 812 (Rocador S. A.; appl. 28.12.1972; E-prior. 28.12.1971).

γ_1- *and* γ_2-*modifications:*
DOS 2 702 772 (Richter Gedeon; appl. 24.1.1977; H-prior. 24.1.1976).
GB 1 548 334 (Richter Gedeon; appl. 21.1.1977; H-prior. 24.1.1976).

2,6-bis(hydroxymethyl)pyridine:
a FR 1 396 624 (M. Inoue; appl. 13.4.1964; J-prior. 13.4.1963).
b Bockelheide, V.; Linn, W.J.: J. Am. Chem. Soc. (JACSAT) **76**, 1286 (1954).
c FR 1 394 362 (Merck & Co.; appl. 31.3.1964; USA-prior. 2.4.1963).

alternative syntheses:
DAS 2 460 039 (Richter Gedeon; appl. 19.12.1974; H-prior. 29.12.1973).
DAS 2 614 400 (Richter Gedeon; appl. 2.4.1976; H-prior. 2.4.1975).

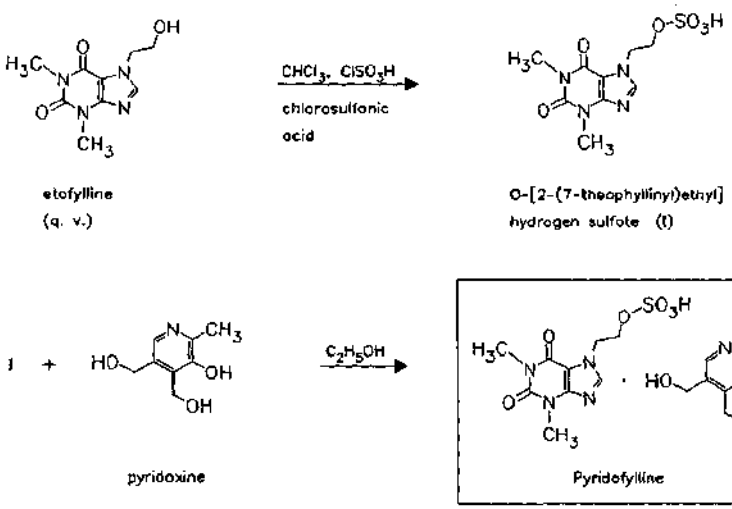
Formulation(s): tabl. 250 mg

Trade Name(s):
F: Angioxine (Roussel); wfm I: Cicloven (AGIPS) J: Anginin (Banyu)

Pyridofylline

ATC: C01D
Use: coronary vasodilator

RN: 53403-97-7 MF: $C_9H_{12}N_4O_6S \cdot C_8H_{11}NO_3$ MW: 473.46 EINECS: 258-521-6
LD_{50}: 1 g/kg (M, i.v.); 1600 mg/kg (M, p.o.)
CN: 3,7-dihydro-1,3-dimethyl-7-[2-(sulfooxy)ethyl]-1*H*-purine-2,6-dione compd. with 5-hydroxy-6-methyl-3,4-pyridinedimethanol (1:1)



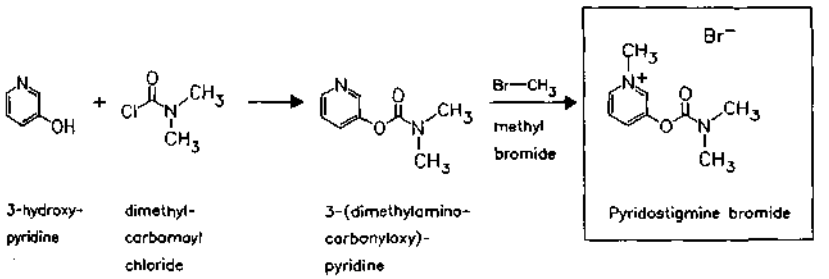
Reference(s):
FR-M 828 (J. Debarge; appl. 23.12.1960).

Trade Name(s):
F: Atherophylline (Merrell);
wfm

Pyridostigmine bromide

ATC: N07AA02
Use: parasympathomimetic (cholinesterase blocker), antimyasthenic, vagotonic

RN: 101-26-8 MF: $C_9H_{13}BrN_2O_2$ MW: 261.12 EINECS: 202-929-9
LD_{50}: 1500 µg/kg (M, i.v.); 16 mg/kg (M, p.o.)
CN: 3-[[(dimethylamino)carbonyl]oxy]-1-methylpyridinium bromide



Reference(s):
CH 246 834 (Roche; appl. 1945).
US 2 572 579 (Roche; 1951; CH-prior. 1945).

Formulation(s): amp. 1 mg/ml, 5 mg/ml; drg. 60 mg; s. r. tabl. 180 mg; syrup 60 mg/5 ml; tabl. 60 mg

Trade Name(s):

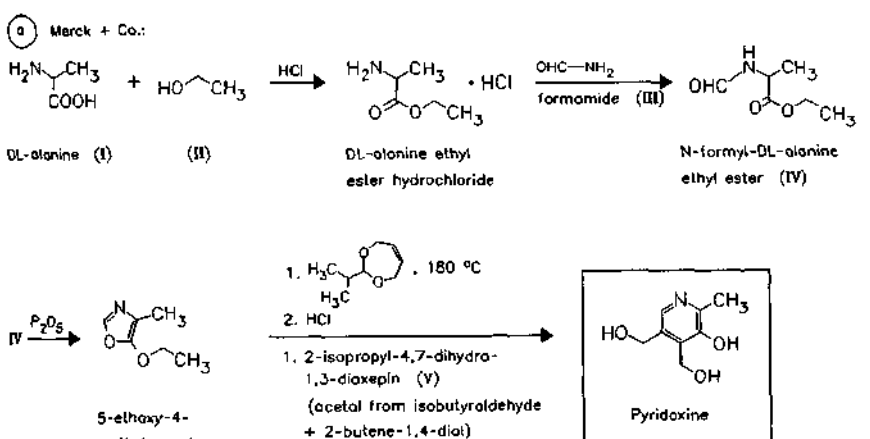
D:	Kalymin (ASTA Medica AWD)	F:	Mestinon (Roche)	J:	Mestinon (Nippon Roche)
	Mestinon (ICN)	GB:	Mestinon (Roche)	USA:	Mestinon (ICN)
		I:	Mestinon (Roche)		Regonol (Organon)

Pyridoxine
(Vitamin B_6)

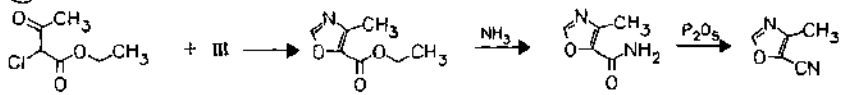
ATC: A11HA02
Use: vitamin (enzym co-factor)

RN: 65-23-6 MF: $C_8H_{11}NO_3$ MW: 169.18 EINECS: 200-603-0
LD_{50}: 545 mg/kg (M, i.v.);
657 mg/kg (R, i.v.); 4 g/kg (R, p.o.)
CN: 5-hydroxy-6-methyl-3,4-pyridinedimethanol

hydrochloride
RN: 58-56-0 MF: $C_8H_{11}NO_3 \cdot HCl$ MW: 205.64 EINECS: 200-386-2
LD_{50}: 660 mg/kg (M, i.v.); 5500 mg/kg (M, p.o.);
530 mg/kg (R, i.v.); 4 g/kg (R, p.o.);
>500 mg/kg (dog, p.o.)



(b) Roche:

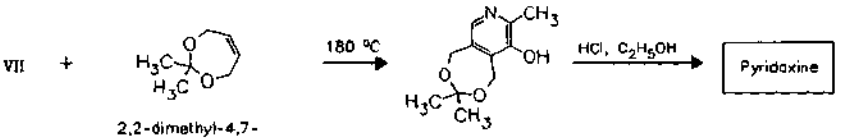


ethyl 2-chloro-acetoacetate

ethyl 4-methyl-oxazole-5-carboxylate (VI)

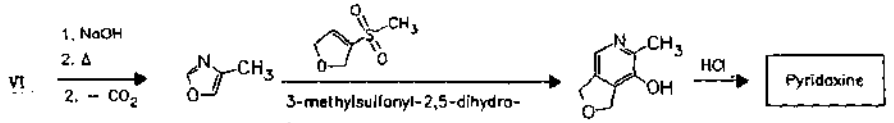
4-methyloxazole-5-carboxamide

5-cyano-4-methyloxazole (VII)



2,2-dimethyl-4,7-dihydro-1,3-dioxepin (ketal from acetone + 2-butene-1,4-diol)

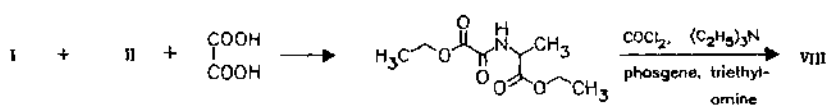
(c) BASF:



4-methyl-oxazole

3-methylsulfonyl-2,5-dihydro-furan (by addition of methanesulfonyl bromide to 2,5-dihydrofuran and subsequent HBr elimination with NaOH)

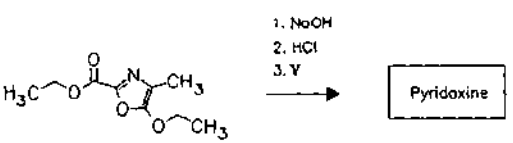
(d)



oxalic acid

phosgene, triethyl-amine

VIII



ethyl 5-ethoxy-4-methyl-oxazole-2-carboxylate (VIII)

Reference(s):
review of pyridoxine syntheses:
König, H.; Böll, W.: Chem.-Ztg. (CMKZAT) **100**, 105 (1976).
a Harris, E.E. et al.: J. Org. Chem. (JOCEAH) **27**, 2705 (1962).
DAS 1 470 022 (Merck & Co.; appl. 10.5.1962; USA-prior. 15.5.1961, 16.1.1962).
US 3 227 721 (Merck & Co.; 4.1.1966; prior. 15.5.1961, 16.1.1962, 24.5.1965).
US 3 227 724 (Merck & Co.; 4.1.1966; prior. 15.5.1961, 16.1.1962, 16.6.1964).
b US 3 222 374 (Roche; 7.12.1965; prior. 22.5.1963, 20.11.1964).
US 3 250 778 (Roche; 10.5.1966; appl. 29.11.1962).
US 4 026 901 (Roche; 31.5.1977; appl. 30.4.1975).
DOS 2 616 349 (Roche; appl. 14.4.1976; USA-prior. 30.4.1975).
5-cyano-4-methyloxazole:
US 4 093 654 (Roche; 6.6.1978; appl. 31.3.1977).
c DAS 2 143 989 (BASF; appl. 2.9.1971).
3-methylsulfonyl-2,5-dihydrofuran:
DOS 2 435 098 (BASF; 22.7.1974).
d Maeda, J. et al.: Bull. Chem. Soc. Jpn. (BCSJA8) **42**, 1435 (1969).

alternative syntheses:
from 5-ethoxy-4-oxazolylacetic acid:
DAS 2 008 854 (Roche; appl. 25.2.1970; CH-prior. 25.3.1969).

4-methyloxazol *from formimino ester hydrochloride and* hydroxyacetone:
GB 1 515 737 (BASF; appl. 22.10.1975; D-prior. 31.10.1974).

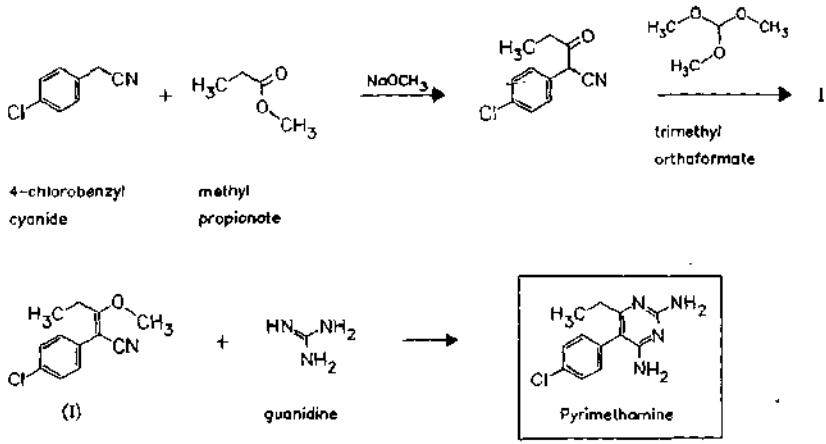
Formulation(s): amp. 25 mg/2 ml, 50 mg/2 ml, 100 mg/2 ml, 300 mg; drg. 100 mg, 300 mg; f. c. tabl. 40 mg; tabl. 1 mg, 25 mg, 40 mg, 50 mg, 100 mg, 300 mg (as hydrochloride)

Trade Name(s):
D: B_6-ASmedic (Dyckerhoff)
B_6-Vicotrat (Heyl)
BYK (Roche Nicholas)-comb.
Bonasanit (Weimer)
Hexobion (Merck)
Vitamin B_6 ratiopharm (ratiopharm)
generics and circa 500 combination preparations
F: Becilan (Specia)
Dermo-6 (Pharmadéveloppement)
Pyridoxine Aguettant (Aguettant)
Vitamine B_6 Richard (Richard)
numerous combination preparations
GB: Compliment Continus (Napp); wfm
numerous combination preparations
I: Acutil Fosforo (SmithKline Beecham)
Adenoplex (Lepetit)-comb.
Alcalosio (SIT)-comb.
Antemesyl (Molteni)-comb.
Antimicotico pom. derm. (IFI)-comb.
Benadon (Roche)
Benexol (Roche)-comb.
Coxanturenasi (Teofarma)-comb.
Detoxergon (Baldacci)-comb.
Dobetin (Angelini)-comb.
Emoferrina B_{12} os (Piam)-comb.
Etanicozid (Piam)-comb.
Furanvit (SIFI)-comb.
Memosprint (Poli)-comb.
Menalgon (Menarini)-comb.
Miazide B_6 (Wyeth-Lederle)-comb.
Midium (Glaxo)-comb.
Mionevrasi forte (Boehringer Mannh.)-comb.
Neogeynevral (Geymonat)-comb.
Neuraben (Bioindustria)-comb.
Neurobionta (Bracco)-comb.
Sustenium (Menarini)-comb.
Triferon (Salus)-comb.
Trinevrina B_6 (Guidotti)-comb.
Xanturenasi (Teofarma)
J: Aderoxin (Sonybod-Torii)
Pyridomin (Showa)
Sandoxin (Sanko)
numerous combination preparations
USA: Aminoxin (Tyson)
Beelith (Beach)-comb.
Lurline (Fielding)-comb.
Marlyn Formula 50 (Marlyn)-comb.
Mega-B (Arco)-comb.

Pyrimethamine

ATC: P01BD01
Use: chemotherapeutic (toxoplasmosis and malaria), antimalarial

RN: 58-14-0 MF: $C_{12}H_{13}ClN_4$ MW: 248.72 EINECS: 200-364-2
LD_{50}: 92 mg/kg (M, p.o.);
440 mg/kg (R, p.o.)
CN: 5-(4-chlorophenyl)-6-ethyl-2,4-pyrimidinediamine



Reference(s):
US 2 576 939 (Burroughs Wellcome; 1951; prior. 1950).
US 2 602 794 (Burroughs Wellcome; 1952; appl. 1950).
US 2 680 740 (Rhône-Poulenc; 1954; F-prior. 1951).

Formulation(s): tabl. 25 mg

Trade Name(s):

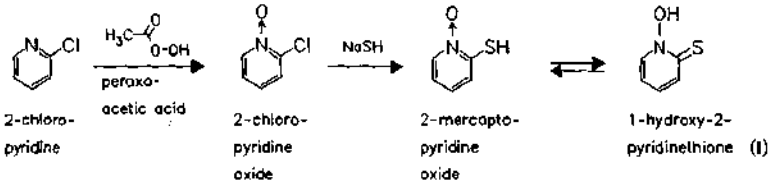
D:	Daraprim (Glaxo Wellcome) Pyrimethamin-Heyl (Heyl)		Fansidar (Roche)-comb. Maloprim (Wellcome)-comb.	USA:	Daraprim (Glaxo Wellcome) Fansidar (Roche)-comb. with sulfadioxine
F:	Fansidar (Roche)-comb. Malocide (Specia)	I:	Metakelfin (Pharmacia & Upjohn)-comb.		
GB:	Daraprim (Burroughs Wellcome)	J:	Fansidar (Roche)-comb.		

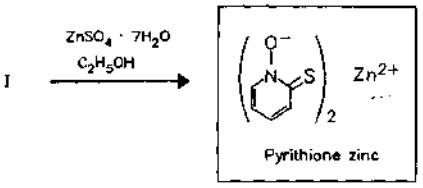
Pyrithione zinc

(Zinc pyrithione)

ATC: D11AX
Use: antiseborrhoic, fungicide, bactericide

RN: 13463-41-7 MF: $C_{10}H_8N_2O_2S_2Zn$ MW: 317.71 EINECS: 236-671-3
LD_{50}: 160 mg/kg (M, p.o.);
177 mg/kg (R, p.o.);
600 mg/kg (dog, p.o.)
CN: (*T*-4)-bis(1-hydroxy-2(1*H*)-pyridinethionato-*O*,*S*)zinc





Reference(s):
GB 761 171 (Olin Mathieson; appl. 19.5.1954; USA-prior. 29.5.1953).

pyrithione:
US 2 745 826 (Olin Mathieson; 15.5.1956; appl. 16.12.1953).
Shaw, E. et al.: J. Am. Chem. Soc. (JACSAT) **72**, 4362 (1950).

use:
US 3 236 733 (Procter & Gamble; 22.2.1966; prior. 5.9.1963, 1.4.1965).
US 3 281 366 (Procter & Gamble; 25.10.1966; prior. 25.8.1964, 4.11.1965).

Formulation(s): cream 1 g/100 g; shampoo 1 %, 2 %

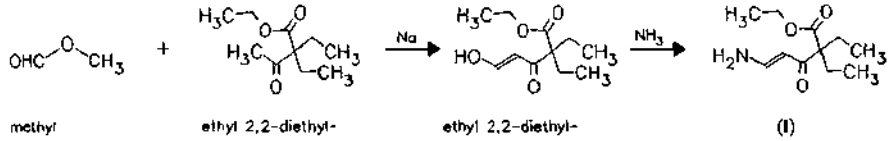
Trade Name(s):

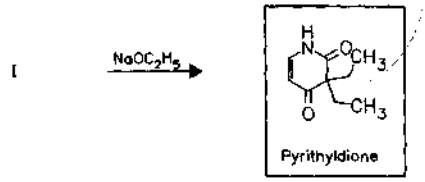
D:	de-squaman hermal (Hermal)		Ultrex antipelliculaire (Lab. Pharmaeurop); wfm	USA:	DHS Zinc (Person & Covey)
F:	Fonderma (Doms); wfm	GB:	Polystar AF (Stiefel)-comb.		Head & Shoulders (Procter & Gamble)
		J:	Merit (Kao)		

Pyrithyldione

ATC: N05CE03
Use: hypnotic, sedative

RN: 77-04-3 MF: $C_9H_{13}NO_2$ MW: 167.21 EINECS: 201-000-5
LD_{50}: 780 mg/kg (R, p.o.)
CN: 3,3-diethyl-2,4(1*H*,3*H*)-pyridinedione





Reference(s):
US 2 090 068 (Hoffmann-La Roche; 1937; D-prior. 1935).

Trade Name(s):

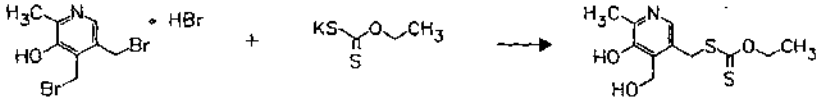
D:	Persedon Roche (Roche); wfm	I:	Hibersulfan (Ecobi)-comb.; wfm

Pyritinol
(Pyrithioxine)

ATC: N06BX02
Use: neurotropic, nootropic

RN: 1098-97-1 MF: $C_{16}H_{20}N_2O_4S_2$ MW: 368.48 EINECS: 214-150-1
CN: 3,3'-[dithiobis(methylene)]bis[5-hydroxy-6-methyl-4-pyridinemethanol]

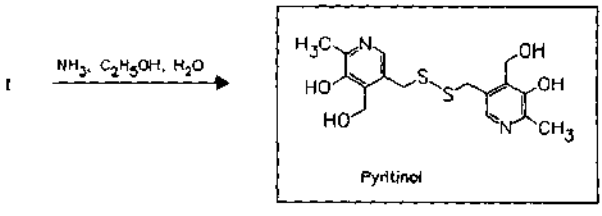
dihydrochloride monohydrate
RN: 10049-83-9 MF: $C_{16}H_{20}N_2O_4S_2 \cdot 2HCl \cdot H_2O$ MW: 459.42 EINECS: 233-178-5
LD_{50}: 221 mg/kg (M, i.v.); 5786 mg/kg (M, p.o.);
300 mg/kg (R, i.v.); 6 g/kg (R, p.o.)



3,4-bis(bromomethyl)-5-hydroxy-6-methyl-pyridine hydrobromide (from pyridoxine)

potassium ethyl-xanthogenate

(I)



Reference(s):
US 3 010 966 (E. Merck AG; 28.11.1961; D-prior. 21.3.1958).
DE 1 135 460 (E. Merck AG; appl. 21.3.1958).
DE 1 197 455 (E. Merck AG; appl. 27.8.1960).

alternative syntheses:
DAS 1 210 429 (E. Merck AG; appl. 3.8.1963).
DE 1 222 062 (E. Merck AG; appl. 8.2.1964).
DE 1 227 908 (E. Merck AG; appl. 8.2.1964).
DOS 1 695 402 (E. Merck AG; appl. 25.3.1967).

Formulation(s): amp. 200 mg; drg. 100 mg, 200 mg; susp. 80.5 mg/5 ml, 100 mg; syrup 100 mg (as hydrochloride)

Trade Name(s):

D:	Ardeyceryl P (Ardeypharm) Encephabol (Merck)	F:	Biontabol (Merck-Clévenot)-comb.; wfm Encéphabol (Merck-Clévenot); wfm	I: J:	Encefabol (Bracco) Chioebon (Kyowa Yakuhin) Divalvon (Nippon Kayaku)

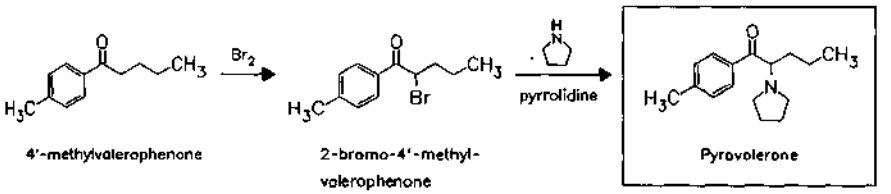
Enbol (Merck-Chugai) Neuroxin (Yamanouchi)
Neurotin (Nakataki) Piritiomin (Hishiyama)

Pyrovalerone

ATC: N06BA
Use: central stimulant

RN: 3563-49-3 MF: $C_{16}H_{23}NO$ MW: 245.37
CN: 1-(4-methylphenyl)-2-(1-pyrrolidinyl)-1-pentanone

hydrochloride
RN: 1147-62-2 MF: $C_{16}H_{23}NO \cdot HCl$ MW: 281.83 EINECS: 214-556-9
LD_{50}: 43 mg/kg (M, i.v.); 350 mg/kg (M, p.o.);
47 mg/kg (R, i.v.); 620 mg/kg (R, p.o.)



4'-methylvalerophenone — 2-bromo-4'-methyl-valerophenone — Pyrovalerone

Reference(s):
GB 933 507 (Thomae; appl. 4.4.1961; D-prior. 7.4.1960).
GB 927 475 (Dr. A. Wander; appl. 18.5.1961; CH-prior. 24.5.1960).

Formulation(s): cps. 20 mg

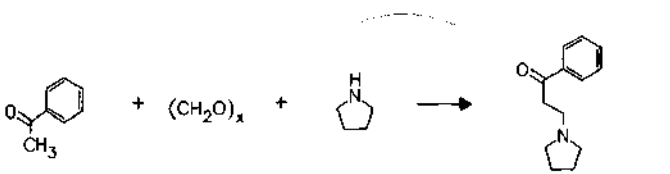
Trade Name(s):
F: Thymergix (Joullié); wfm

Pyrrobutamine

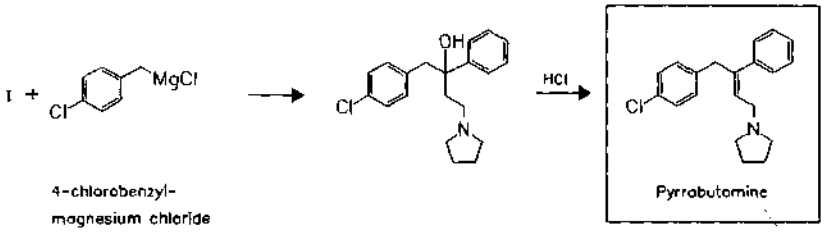
ATC: R06AX08
Use: antihistaminic

RN: 91-82-7 MF: $C_{20}H_{22}ClN$ MW: 311.86 EINECS: 202-101-7
CN: 1-[4-(4-chlorophenyl)-3-phenyl-2-butenyl]pyrrolidine

phosphate (1:2)
RN: 135-31-9 MF: $C_{20}H_{22}ClN \cdot 2H_3O_4P$ MW: 507.84 EINECS: 205-185-3
LD_{50}: 54 mg/kg (M, i.v.); 1116 mg/kg (M, p.o.)



acetophenone — paraform-aldehyde — pyrrolidine — 3-pyrrolidino-propiophenone (I)



Reference(s):
US 2 655 509 (Eli Lilly; 1953; prior. 1951).

Formulation(s): tabl. 15 mg

Trade Name(s):

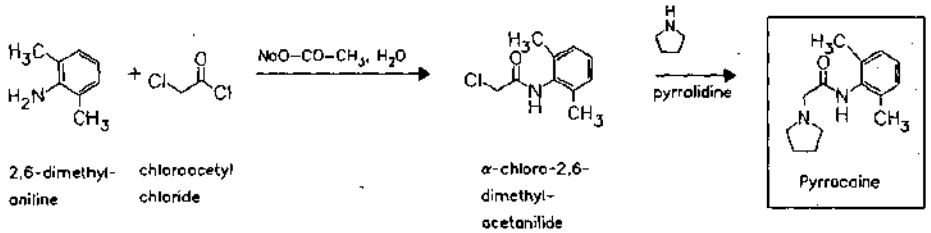
D:	Copyronilum (Lilly)-comb.; wfm	USA:	Co-Pyronil (Dista)-comb.; wfm
GB:	Co-Pyronil (Lilly)-comb.; wfm		Co-Pyronil (Lilly)-comb.; wfm

Pyrrocaine

ATC: N01BB
Use: local anesthetic

RN: 2210-77-7 MF: $C_{14}H_{20}N_2O$ MW: 232.33
CN: *N*-(2,6-dimethylphenyl)-1-pyrrolidineacetamide

monohydrochloride
RN: 2210-64-2 MF: $C_{14}H_{20}N_2O \cdot HCl$ MW: 268.79 EINECS: 218-642-7



Reference(s):
Löfgren, N. et al.: Acta Chem. Scand. (ACHSE7) **11**, 1724 (1957).

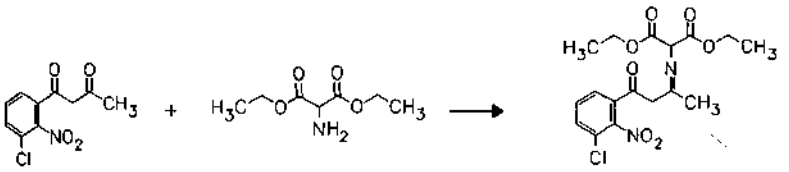
Formulation(s): vial 2 %

Trade Name(s):
USA: Dynacaine (Graham); wfm Endocaine (Endo); wfm

Pyrrolnitrin

ATC: D01AA07
Use: antibiotic, antifungal

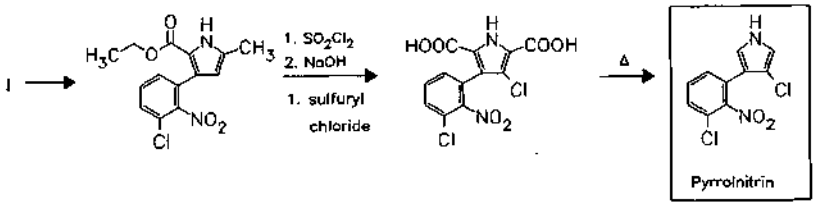
RN: 1018-71-9 MF: $C_{10}H_6Cl_2N_2O_2$ MW: 257.08 EINECS: 213-812-7
LD_{50}: 1 g/kg (M, p.o.);
>2 g/kg (R, p.o.)
CN: 3-chloro-4-(3-chloro-2-nitrophenyl)-1*H*-pyrrole



1-(2-nitro-3-chlorophenyl)-1,3-butanedione

diethyl amino-malonate

(I)



Reference(s):
US 3 428 648 (Fujisawa; 18.2.1969; J-prior. 8.4.1965, 2.2.1965, 4.12.1964, 7.12.1964, 22.10.1964, 12.10.1964).
Nakano, H. et al.: Tetrahedron Lett. (TELEAY) **1966**, 737 (also further methods).

isolation from Pseudomonas:
Arina, K. et al.: Agric. Biol. Chem. (ABCHA6) **28**, 575 (1964).

Formulation(s): cream 1 %

Trade Name(s):

D:	Antimycoticum Klinger (Dr. Klinger)-comb.; wfm		Micutrin Beta (Monsanto)-comb.
I:	Micutrin (Monsanto)	J:	Pyroace (Fujisawa)

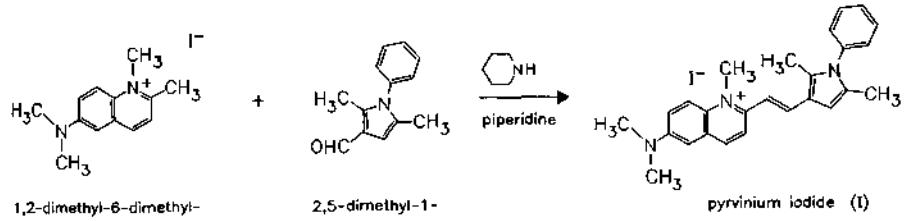
Pyrvinium embonate

(Pyrvinium pamoate)

ATC: P02CX01
Use: anthelmintic

RN: 3546-41-6 MF: $C_{26}H_{28}N_3 \cdot 1/2C_{23}H_{14}O_6$ MW: 1151.42 EINECS: 222-596-3
LD_{50}: 200 mg/kg (M, s.c.)
CN: 6-(dimethylamino)-2-[2-(2,5-dimethyl-1-phenyl-1*H*-pyrrol-3-yl)ethenyl]-1-methylquinolinium 4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (2:1)

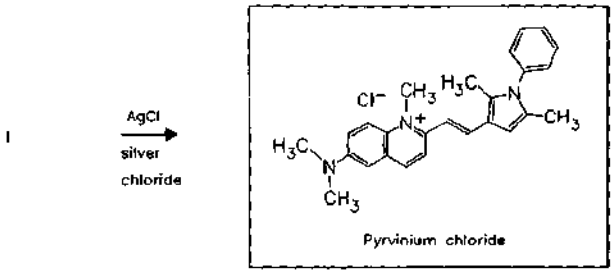
chloride
RN: 548-84-5 MF: $C_{26}H_{28}ClN_3$ MW: 417.98

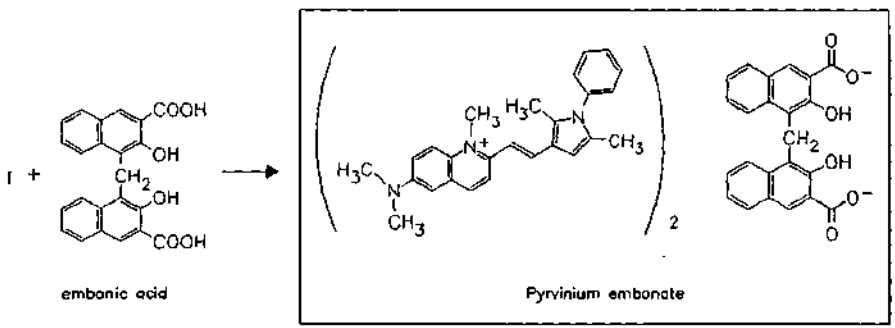


1,2-dimethyl-6-dimethylaminoquinolinium iodide

2,5-dimethyl-1-phenylpyrrole-3-carboxaldehyde

pyrvinium iodide (I)





Reference(s):

pyrvinium iodide *and* chloride:
US 2 515 912 (Eastman Kodak; 1950; prior. 1946).

pyrvinium embonate:
US 2 925 417 (Parke Davis; 16.2.1960; prior. 6.11.1957).

Formulation(s): drg. 75.25 mg; susp.75.25 mg/5 ml

Trade Name(s):

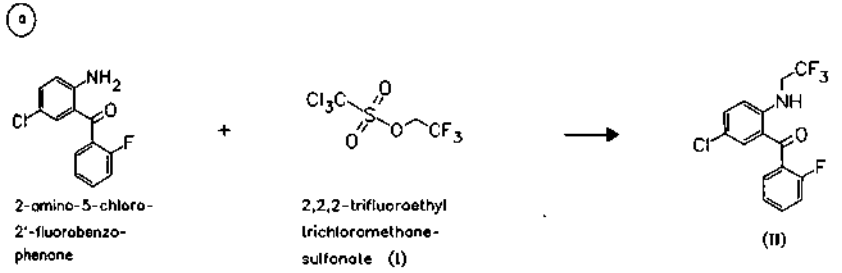
D:	Molevac (Parke Davis) Pyrcen (Krewel Meuselbach)	F:	Povanyl (Warner-Lambert)	J:	Poquil (Parke Davis-Sankyo)
		I:	Vanquin (Parke Davis)	USA:	Povan (Parke Davis); wfm

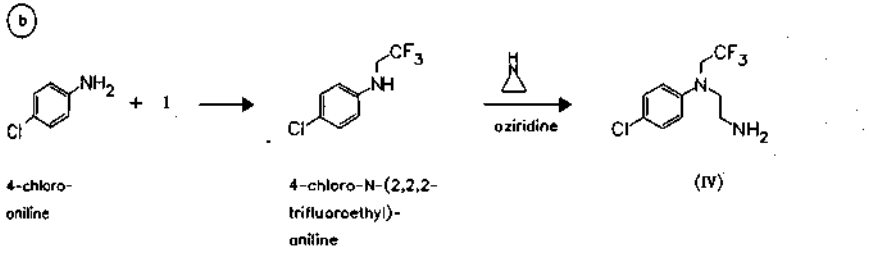
Quazepam

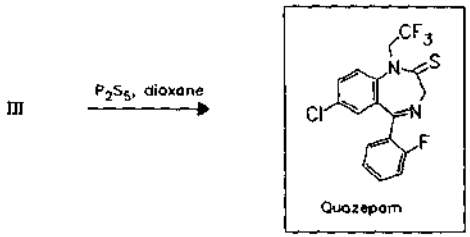
(Sch-16134)

ATC: N05CD10
Use: benzodiazepine hypnotic

RN: 36735-22-5 MF: $C_{17}H_{11}ClF_4N_2S$ MW: 386.80 EINECS: 253-179-4
LD_{50}: 845 mg/kg (M, i.p.); >1370 mg/kg (M, i.v.); >5000 mg/kg (M, p.o.); 2749 mg/kg (R, i.p.); >5 g/kg (R, p.o.)
CN: 7-chloro-5-(2-fluorophenyl)-1,3-dihydro-1-(2,2,2-trifluoroethyl)-2*H*-1,4-benzodiazepine-2-thione







Reference(s):
US 3 845 039 (Schering Corp.; 29.10.1974; appl. 26.7.1972; prior. 7.8.1970).
US 3 920 818 (Schering Corp.; 18.11.1975; appl. 31.7.1974; prior. 26.7.1972, 24.1.1972, 7.8.1970).
DOS 2 138 773 (Scherico; appl. 3.8.1971; USA-prior. 7.8.1970).
Steinman, M. et al.: J. Med. Chem. (JMCMAR) **16**, 1354 (1973).

alternative synthesis:
DOS 2 106 175 (Scherico; appl. 10.2.1971; USA-prior. 13.2.1970).

Formulation(s): tabl. 7.5 mg, 15 mg

Trade Name(s):
I: Quazium (Schering-Plough; 1987) USA: Doral (Wallace)

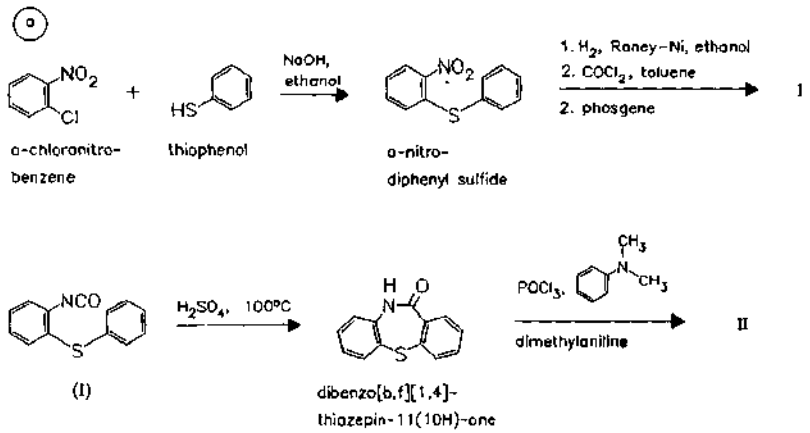
Quetiapine fumarate

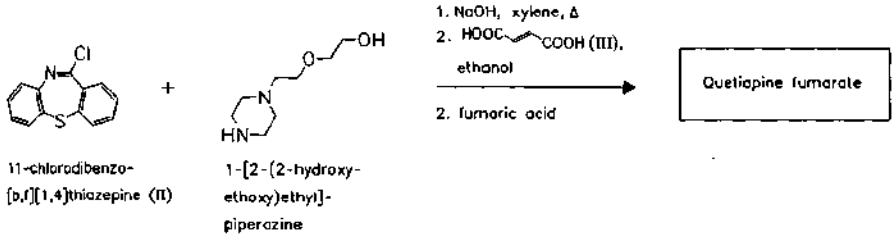
(ZD 5077; ZM 204636; ICI-204636)

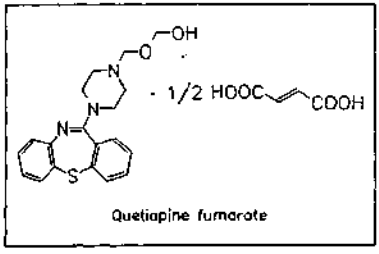
ATC: N05AH04
Use: antipsychotic

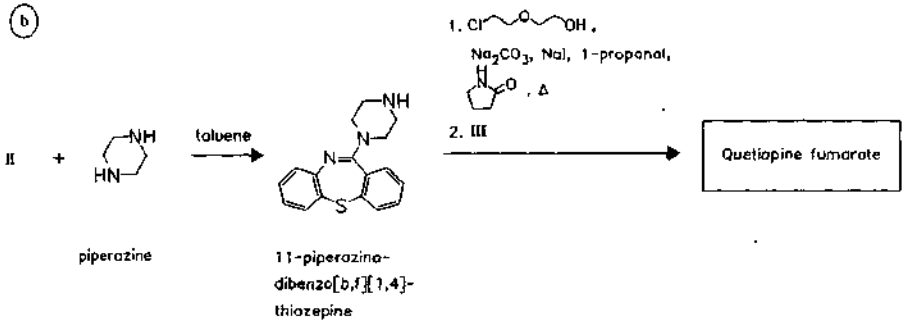
RN: 111974-72-2 MF: $C_{21}H_{25}N_3O_2S \cdot 1/2C_4H_4O_4$ MW: 883.10
CN: 2-[2-(4-Dibenzo[*b*,*f*][1,4]thiazepin-11-yl-1-piperazinyl)ethoxy]ethanol fumarate (2:1)

base
RN: 111974-69-7 MF: $C_{21}H_{25}N_3O_2S$ MW: 383.52









Reference(s):
a EP 240 228 (ICI; appl. 24.3.1987; GB-prior. 27.3.1986).
b EP 282 236 (ICI; appl. 4.3.1988; GB-prior. 10.3.1987).

synthesis of dibenzo[*b,f*][1,4]thiazepin-10(11*H*)-one:
Schmutze, J. et al.: Helv. Chim. Acta (HCACAV) **48**, 336 (1965).

sustained-release formulation:
WO 9 745 124 (Zeneca; appl. 27.5.1997; GB-prior. 31.5.1996).

pharmaceutical composition for treatment of psychoses:
EP 830 864 (Eli Lilly; appl. 22.9.1997; USA-prior. 23.9.1996).

Formulation(s): tabl. 25 mg, 100 mg, 150 mg, 200 mg

Trade Name(s):
GB: Seroquel (Zeneca; 1997) USA: Seroquel (Zeneca; 1997)

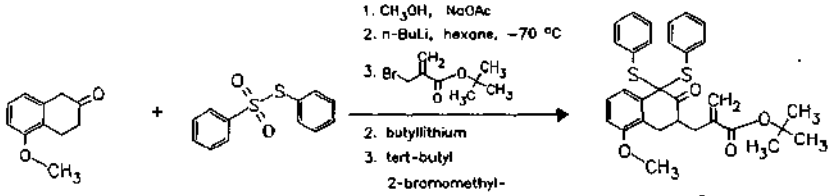
Quinagolide hydrochloride

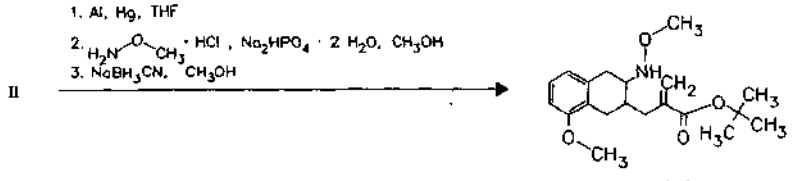
(CV-205502; SDZ-205502)

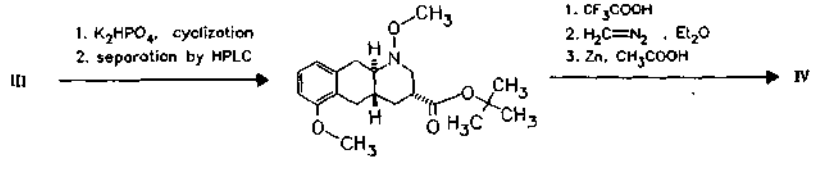
ATC: G02CB04
Use: dopamine D_2-receptor agonist, antiparkinsonian, prolactin secretion inhibitor

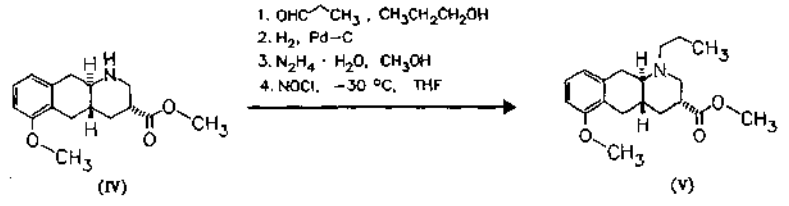
RN: 94424-50-7 MF: $C_{20}H_{33}N_3O_3S \cdot HCl$ MW: 432.03
CN: (3α,4aα,10aβ)-(±)-*N*,*N*-diethyl-*N'*-(1,2,3,4,4a,5,10,10a-octahydro-6-hydroxy-1-propylbenzo[*g*]quinolin-3-yl)sulfamide monohydrochloride

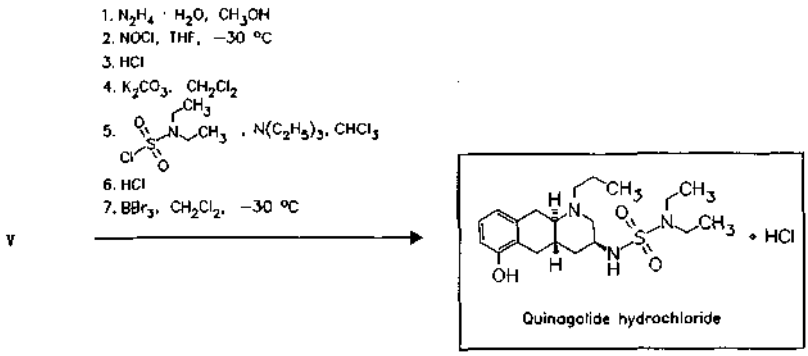
base (racemate)
RN: 87056-78-8 MF: $C_{20}H_{33}N_3O_3S$ MW: 395.57
all diastereomers
RN: 130793-78-1 MF: $C_{20}H_{33}N_3O_3S \cdot HCl$ MW: 432.03
base (all diastereomers)
RN: 130793-77-0 MF: $C_{20}H_{33}N_3O_3S$ MW: 395.57
3β-diastereomers
RN: 132071-86-4 MF: $C_{20}H_{33}N_3O_3S \cdot HCl$ MW: 432.03
base (3β-diastereomers)
RN: 132014-58-5 MF: $C_{20}H_{33}N_3O_3S$ MW: 395.57



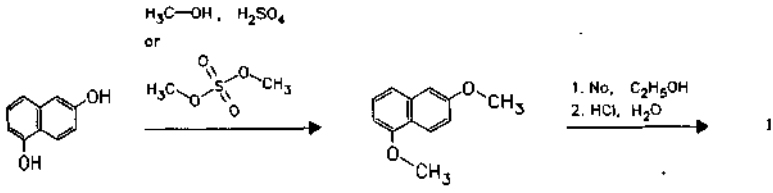








preparation of 5-methoxy-2-tetralone



Reference(s):
EP 77 754 (Sandoz; appl. 27.4.1983; CH-prior. 16.10.1982, 25.6.1982).
US 4 565 818 (Sandoz; appl. 21.1.1986; CH-prior. 16.10.1981, 25.6.1982).

preparation of 5-methoxy-2-tetralone:
Abell, A.D. et al.: Aust. J. Chem. (AJCHAS) **51** (5), 398 (1998).
Copinga, S. et al.: J. Med. Chem. (JMCMAR) **36** (20), 2891 (1993).
Cornforth, Robinson: J. Chem. Soc. (JCSOA9) **1949** 1855, 1861.
Cornforth et al.: J. Chem. Soc. (JCSOA9) 689 (1942).

use for treatment of nicotine addiction:
FR 2 634 379 (Sandoz; appl. 26.1.1990; USA-prior. 22.7.1989).
WO 9 000 896 (Sandoz; appl. 8.2.1990; USA-prior. 22.7.1988).

use in cancer therapy:
EP 373 658 (Sandoz; appl. 20.6.1990; GB-prior. 16.12.1988).

Formulation(s): tabl. (containing quinagolide hydrochloride base equivalent) 0.025 mg, 0.050 mg, 0.075 mg, 0.150 mg

Trade Name(s):

D:	Norprolac (Novartis Pharma)	F:	Norprolac (Novartis)
		GB:	Norprolac (Novartis)

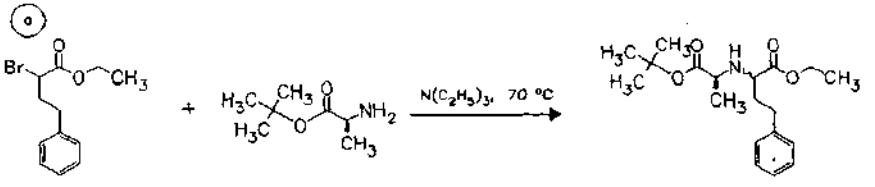
Quinapril hydrochloride

ATC: C02EA; C09AA06
Use: non-sulfhydryl angiotensine converting enzyme inhibitor, antihypertensive

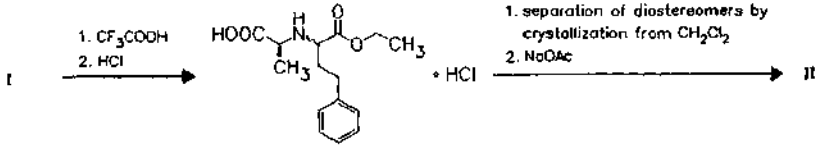
RN: 82586-55-8 MF: $C_{25}H_{30}N_2O_5 \cdot HCl$ MW: 474.99
LD_{50}: 504 mg/kg (M, i.v.); 1739 mg/kg (M, p.o.); 107 mg/kg (Rf, i.v.); 158 mg/kg (Rm,i.v.); 3541 mg/kg (R, p.o.)
CN: [3*S*-[2[*R**(*R**)],3*R**]]-2-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid monohydrochloride

monohydrate
RN: 90243-99-5 MF: $C_{25}H_{30}N_2O_5 \cdot HCl \cdot H_2O$ MW: 493.00

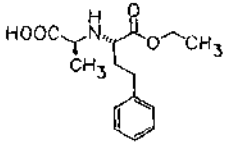
quinapril
RN: 85441-61-8 MF: $C_{25}H_{30}N_2O_5$ MW: 438.52

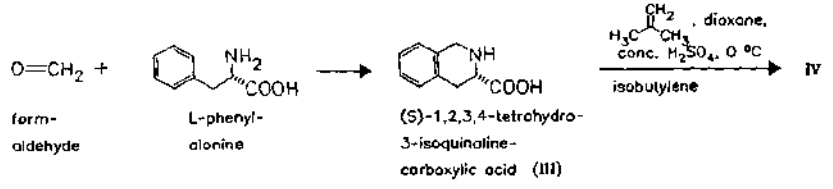


(±)-ethyl 2-bromo-4-phenylbutanoate + L-alanine tert-butyl ester → (I)

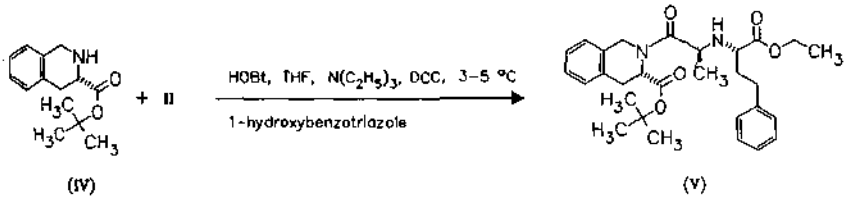


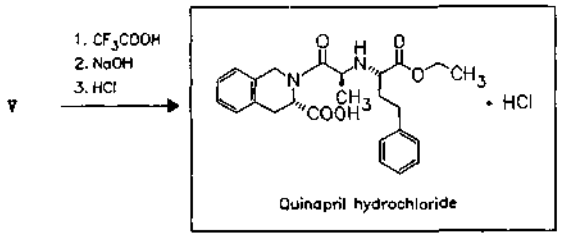
I → ethyl (S,S)-2-[(1-carboxyethyl)amino]-4-phenylbutanoate (II)



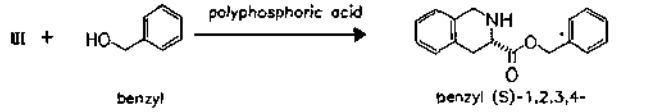


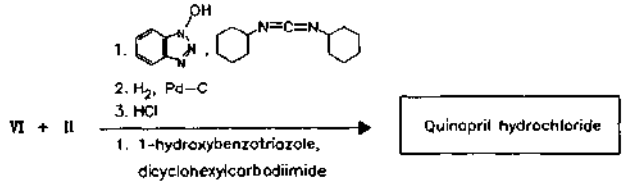
formaldehyde + L-phenylalanine → (S)-1,2,3,4-tetrahydro-3-isoquinoline-carboxylic acid (III) → IV





(b)





Reference(s):

a EP 49 605 (Warner-Lambert; appl. 1.10.1981; USA-prior. 20.2.1981, 3.10.1980).
US 4 344 949 (Warner-Lambert; 17.8.1982; appl. 20.2.1981; prior. 3.10.1980).
Klutchko, S. et al.: J. Med. Chem. (JMCMAR) **29**, 1953 (1986).

b EP 49 605 (Warner-Lambert; appl. 1.10.1981; USA-prior. 20.2.1981, 3.10.1980).
US 4 344 949 (Warner-Lambert; 17.8.1982; appl. 20.2.1981; prior. 3.10.1980).

crystalline quinapril hydrochloride:
EP 285 992 (Warner-Lambert; appl. 29.3.1988; USA-prior. 30.3.1987).
US 4 761 479 (Warner-Lambert; 2.8.1988; appl. 30.3.1987).

preparation of ethyl 2-bromo-4-phenylbutanoate *and* 2-bromo-4-phenylbutanoic acid:
Fischer, E.; Schmitz: Ber. Dtsch. Chem. Ges. (BDCGAS) **39**, 2212 (1906).
Baxter, A.D. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) 7 (21), 2765 (1997).
Iwasaki, G.; Kimura, R.; Numao, N.; Kondo, K.: Chem. Pharm. Bull. (CPBTAL) **37** (2), 280 (1989).
Coric, P. et al.: J. Med. Chem. (JMCMAR) **39** (6), 1210 (1996).
Goel, O.P.; Krolls, K.: Tetrahedron Lett. (TELEAY) **24** (2), 163 (1983).

stabilization of pharmaceutical formulations:
EP 264 887 (Warner-Lambert; appl. 19.10.1987; USA-prior. 20.10.1986).
EP 264 888 (Warner-Lambert; 19.10.1987; USA-prior. 20.10.1986).
EP 280 999 (Warner-Lambert; appl. 23.2.1988; USA-prior. 24.2.1987).

Formulation(s): f. c. tabl. 5 mg, 10 mg, 20 mg, 40 mg

Trade Name(s):

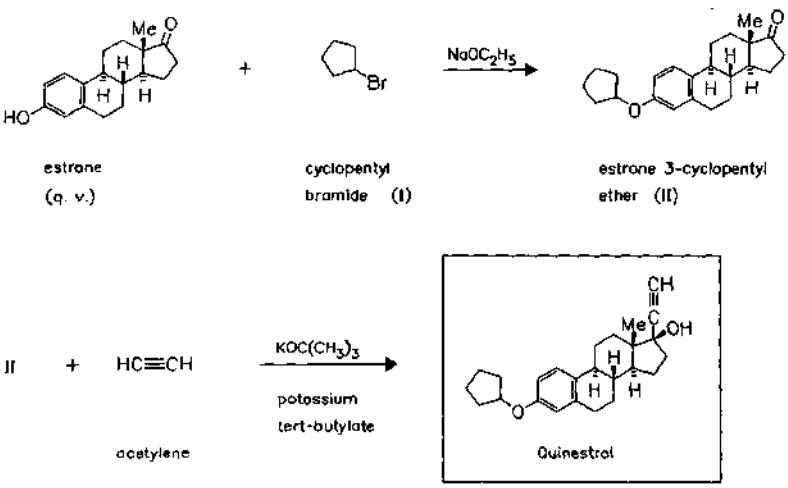
D:	Accupro (Gödecke/Parke Davis; 1991)		Korec (Sanofi Winthrop)		Quinazil (Malesci; 1989)
	Accuzide (Gödecke; Parke Davis)-comb.		Koretic (Sanofi Winthrop)-comb.	J:	Conan (Yoshitomi-Green Cross)
		GB:	Accupro (Parke Davis)	USA:	Accupril (Parke Davis; 1991)
F:	Acuilix (Parke Davis)-comb.	I:	Accuprin (Parke Davis; 1989)		
	Acuitel (Parke Davis; 1990)		Acequin (Recordati; 1989)		

Quinestrol

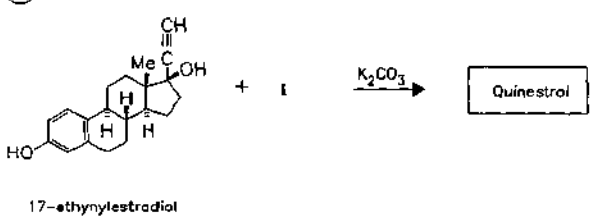
ATC: G03
Use: estrogen

RN: 152-43-2 MF: $C_{25}H_{32}O_2$ MW: 364.53 EINECS: 205-803-1
CN: (17α)-3-(cyclopentyloxy)-19-norpregna-1,3,5(10)-trien-20-yn-17-ol

a



b



Reference(s):
US 3 159 543 (F. Vismara S.p.A.; 1.12.1964; I-prior. 7.4.1961).
DAS 1 157 610 (F. Vismara S.p.A.; appl. 7.2.1961; I-prior. 8.2.1960, 13.12.1960).
Ercoli, A.; Gardi, R.: Chem. Ind. (London) (CHINAG) **1961**, 1037.

alternative syntheses:
US 3 231 567 (F. Vismara; 25.1.1966; I-prior. 16.12.1963).
BE 641 351 (F. Vismara; appl. 16.12.1963; I-prior. 19.12.1962, 30.9.1963).

Formulation(s): tabl. 0.025 mg, 0.1 mg

Trade Name(s):

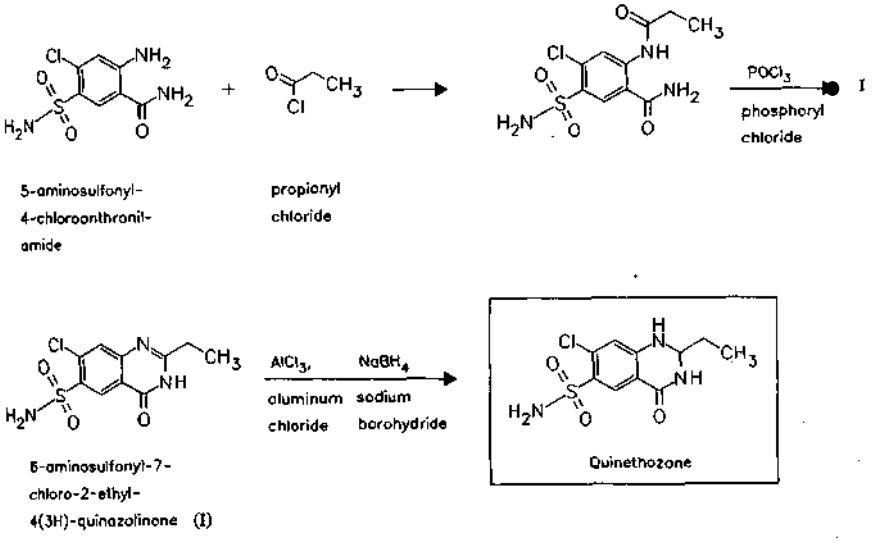
D:	Estrovis (Gödecke); wfm		Estrovis (Warner); wfm	USA:	Estrovis (Warner Chilcott); wfm
GB:	Estrovis (Parke Davis); wfm	I:	Colpovis (SIT)		

Quinethazone

(Chinethazonum)

ATC: C03BA02
Use: diuretic, antihypertensive

RN: 73-49-4 MF: $C_{10}H_{12}ClN_3O_3S$ MW: 289.74 EINECS: 200-801-7
LD_{50}: >10 g/kg (M, p.o.)
CN: 7-chloro-2-ethyl-1,2,3,4-tetrahydro-4-oxo-6-quinazolinesulfonamide



Reference(s):
US 2 976 289 (American Cyanamid; 21.3.1961; prior. 30.6.1959).

Formulation(s): tabl. 50 mg

Trade Name(s):

D:	Aquamox (Lederle); wfm	I:	Aquamox (Cyanamid); wfm	J:	Hydromox (Lederle)
GB:	Aquamox (Lederle); wfm		Ipotex (Cyanamid); wfm	USA:	Hydromox (Lederle); wfm

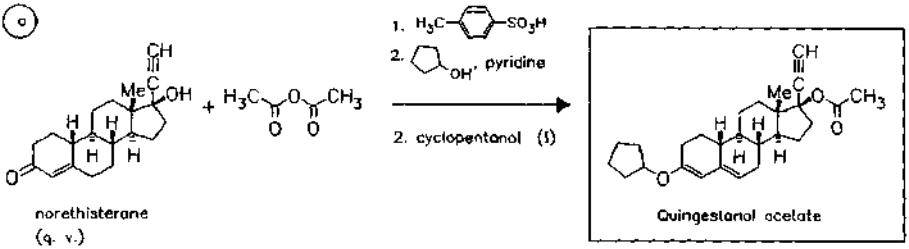
Quingestanol acetate

ATC: G03AC04
Use: progestogen

RN: 3000-39-3 MF: $C_{27}H_{36}O_3$ MW: 408.58 EINECS: 221-078-4
CN: (17α)-3-(cyclopentyloxy)-19-norpregna-3,5-dien-20-yn-17-ol acetate

quingestanol
RN: 10592-65-1 MF: $C_{25}H_{34}O_2$ MW: 366.55 EINECS: 234-199-2

a



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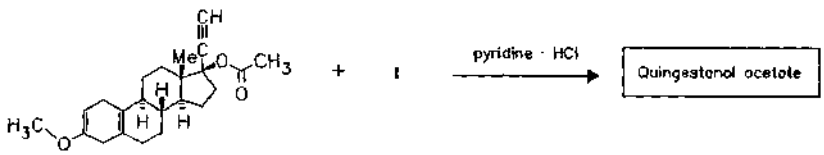


17β-acetoxy-17-ethynyl-3-methoxy-19-nor-2,5(10)-androstadiene

Reference(s):

a DE 1 159 940 (F. Vismara; appl. 1961; I-prior. 1961).
addition to DE 1 119 264 (F. Vismara; appl. 1959).

b DE 1 228 608 (F. Vismara; appl. 1.6.1964; I-prior. 12.6.1963).
addition to DE 1 119 264 (F. Vismara; appl. 1959).

alternative synthesis:

US 3 159 620 (F. Vismara; 1.12.1964; I-prior. 22.5.1963).

Trade Name(s):

F: Délovis (Substantia); wfm I: Demovis (Vister); wfm

Quinidine

ATC: C01BA01
Use: antiarrhythmic

RN: 56-54-2 MF: $C_{20}H_{24}N_2O_2$ MW: 324.42 EINECS: 200-279-0
LD_{50}: 53.6 mg/kg (M, i.v.); 535 mg/kg (M, p.o.);
23 mg/kg (R, i.v.); 263 mg/kg (R, p.o.)
CN: (9*S*)-6'-methoxycinchonan-9-ol

sulfate (2:1)

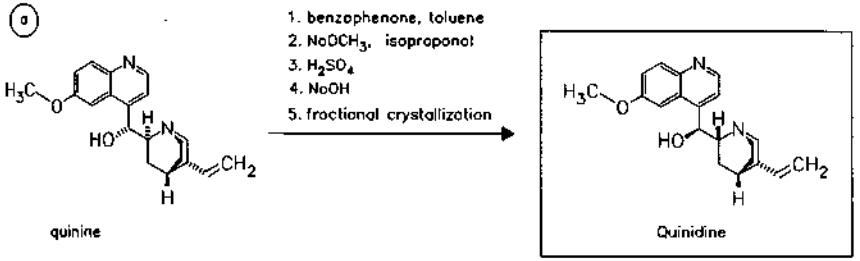
RN: 50-54-4 MF: $C_{20}H_{24}N_2O_2 \cdot 1/2H_2SO_4$ MW: 746.93 EINECS: 200-046-3
LD_{50}: 54 mg/kg (M, i.v.); 505 mg/kg (M, p.o.);
55 mg/kg (R, i.v.); 456 mg/kg (R, p.o.)

gluconate

RN: 7054-25-3 MF: $C_{20}H_{24}N_2O_2 \cdot C_6H_{12}O_7$ MW: 520.58 EINECS: 230-333-9

polygalacturonate

RN: 58829-32-6 MF: $C_{20}H_{24}N_2O_2 \cdot x$ unspecified MW: unspecified



b from the mother liquors of the quinine production

Reference(s):
a DE 877 611 (Boehringer Mannh.; appl. 1950).
b Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **3**, 212.

polygalacturonate:
US 2 878 252 (Synergistics; 1959; appl. 1957).

quinidine alginate:
DOS 2 156 725 (Lab. G.-A. Cochard; appl. 16.11.1971; B-prior. 19.11.1970, 8.11.1971).

Formulation(s): f. c. tabl. 250 mg; s. r. tabl. 200 mg, 250 mg (as hydrogen sulfate); tabl. 166 mg, 275 mg (as polygalacturonate); s. r. tabl. 300 mg; tabl. 100 mg, 200 mg, 300 mg (as sulfate)

Trade Name(s):

D: Chinidin Duriles (Astra)
Chinidin-retard (Isis Pharma)
Chinidinum Compretten (Cascan); wfm
Chinidinum sulfuricum "Buchler" (Buchler); wfm
Galactoquin (Mundipharma; as polygalacturonate); wfm
Optochinidin (Boehringer Mannh.; as hydrogen sulfate)
Quinitex Extentabs (Brenner); wfm
Systodin "Buchler" (Buchler); wfm
numerous combination preparations

F: Cardioquine (ASTA Medica; as polygalacturonate)
Longacor (Procter & Gamble; as arabogalacturonate)
Quinidurule (Astra)
Quinimax (Sanofi Winthrop)-comb.

GB: Kinidin Durules (Astra; as bisulfate)

I: Chin el (Fadem)
Chinina cloridrato (Biologici Italia)
Chinina solfato (Iema)
Chinteina (Lafare; as sulfate)
Longachin (Teofarma)
Naticardina (ASTA Medica)
Natisedina (Teofarma)
Nicoprive (IFI)-comb.
Ritmocor (Malesci; as polygalacturonate)
numerous generics

J: Quinidine HCl (Nikken)
Quinidine Sulfate (Alps; Hoei; Iwaki; Sanko; Yamada)
generics

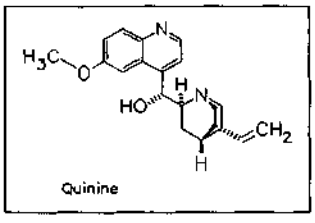
USA: Cardioquin (Purdue Frederick; as polygalacturonate)
Quinaglute Dura-Tabs' (Berex; as gluconate)
Quinidex Extentabs (Robins; as sulfate)
generics

Quinine

ATC: P01BC01
Use: chemotherapeutic, antipyretic, stimulant

RN: 130-95-0 MF: $C_{20}H_{24}N_2O_2$ MW: 324.42 EINECS: 205-003-2
LD_{50}: 68 mg/kg (M, i.v.)
CN: (8α,9*R*)-6'-methoxycinchonan-9-ol

hydrochloride
RN: 7549-43-1 MF: $C_{20}H_{24}N_2O_2 \cdot xHCl$ MW: unspecified EINECS: 231-437-7
sulfate (1:1)
RN: 549-56-4 MF: $C_{20}H_{24}N_2O_2 \cdot H_2SO_4$ MW: 422.50 EINECS: 208-970-9
iodobismutate
RN: 8048-94-0 MF: $C_{20}H_{24}N_2O_2 \cdot BiI_3 \cdot HI$ MW: 1042.03
acetylsalicylate (1:1)
RN: 130-93-8 MF: $C_{20}H_{24}N_2O_2 \cdot C_9H_8O_4$ MW: 504.58
monoformate
RN: 130-90-5 MF: $C_{20}H_{24}N_2O_2 \cdot CH_2O_2$ MW: 370.45 EINECS: 205-002-7
LD_{50}: 290 mg/kg (dog, i.m.)



Quinine

By extraction of *Cinchona* bark with aromatic hydrocarbons, conversion of the crude alkaloids into the sulfates and fractional precipitation with NaOH as sulfate.

Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. 3, 213.
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. 7, 86.
BIOS Final Reports No. 1404, p. 20.
FR 1 279 901 (Omnium Chimique; appl. 1955).

combination of quinine sulfate *with* theophylline ethylenediamine:
US 2 985 558 (W. B. Rawls; 23.5.1961; appl. 27.2.1959).

Formulation(s): amp. 245 mg/ml, 250 mg/ml (as dihydrochloride); tabl. 200 mg (as ethyl carbonate); tabl. 250 mg (as hydrochloride); tabl. 200 mg (as sulfate)

Trade Name(s):

D:
Chininum aethylcarbonicum (Cassella-med)
Chininum dihydrochloricum (Cassella-med)
Chininum hydrochloricum (Merck)
Chininum hydrochloricum Compretten (Cascan); wfm
Chininum sulfuricum "Buchler" (Buchler); wfm
Limptar (Cassella-med; as sulfate)
Sagittaproct (BASF Generics)

F:
numerous combination preparations and generics
Cequinyl (SmithKline Beecham)-comb.
Dinacode (Picot)-comb.
Hexaquine (Gomenol)-comb.
Kinuréa "H" (Fuca)
Nicoprive (Théranol-Deglaude)-comb.
Quinimax (Sanofi Winthrop)-comb.
Quinine Lafran (Lafran; as hydrochloride)
numerous combination preparations

GB:
numerous combination preparations; wfm

I:
Broncopulmin (Ecobi)-comb.
Nicoprive (IFI)-comb.

J:
Quinine HCl (Alps; Hoei; Iwaki; Kotani; Sank; Takeda; Torii; Toyo S.-Ono; Yamada)
Quinine Sulfate (Alps; Hoei; Iwaki; Sanko; Yamada)
generics

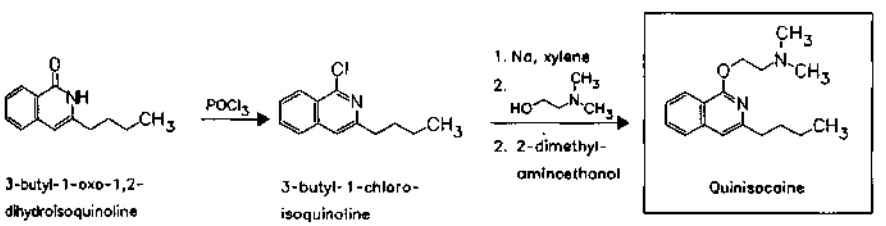
USA:
Quinine Sulfate (Watson)

Quinisocaine
(Dimethisoquin)

ATC: D04AB05
Use: local anesthetic

RN: 86-80-6 MF: $C_{17}H_{24}N_2O$ MW: 272.39 EINECS: 201-700-0
LD_{50}: 8 mg/kg (M, i.v.)
CN: 2-[(3-butyl-1-isoquinolinyl)oxy]-*N*,*N*-dimethylethanamine

monohydrochloride
RN: 2773-92-4 MF: $C_{17}H_{24}N_2O \cdot HCl$ MW: 308.85 EINECS: 220-468-1
LD_{50}: 45 mg/kg (R, i.p.)



Reference(s):
US 2 612 503 (Smith Kline & French; 1952; CDN-prior. 1949).

Formulation(s): ointment 0.5 g/100 g (as hydrochloride)

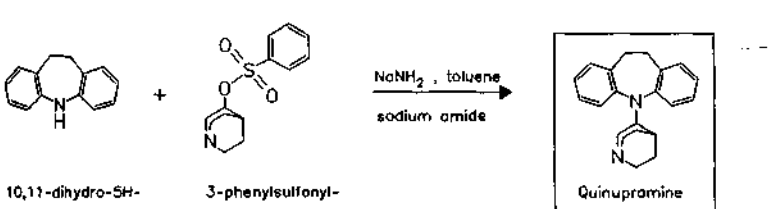
Trade Name(s):

D:	Haenal Salbe (Strathmann) Isochinol (Schwarzhaupt) Isochinol Salbe (Chemipharm)	F:	Pruralgan Salbe (Pharmacia) Quotane (Evans Medical) Rectoquotane (Evans Medical)-comb.	GB:	Quotane (Smith Kline & French); wfm
				I:	Pruralgin Pharmacia (Importex); wfm

Quinupramine

ATC: N06AA23
Use: antidepressant

RN: 31721-17-2 MF: $C_{21}H_{24}N_2$ MW: 304.44 EINECS: 250-780-3
CN: 5-(1-azabicyclo[2.2.2]oct-3-yl)-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine



Reference(s):
DOS 2 030 492 (Sogeras; appl. 20.6.1970; GB-prior. 20.6.1969).
GB 1 252 320 (Sogeras; valid from 29.5.1970; prior. 20.6.1969).

Formulation(s): vial 2.5 mg; tabl. 2.5 mg, 7.5 mg

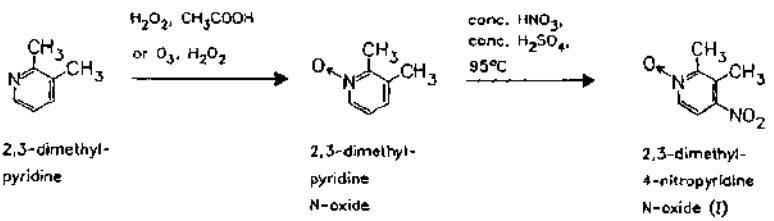
Trade Name(s):
F: Kinupril (Bellon)

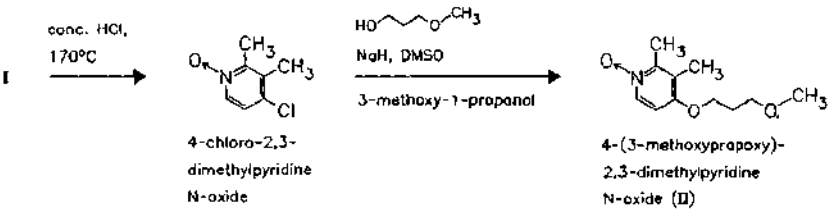
Rabeprazole sodium

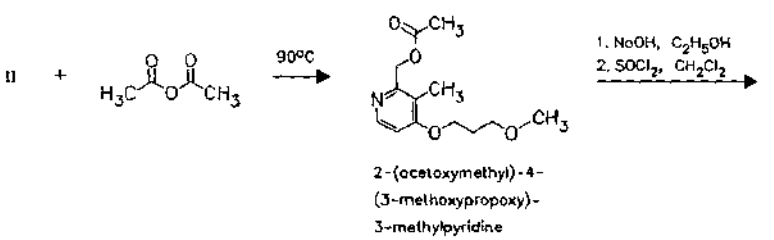
ATC: A02BC04
Use: gastric antisecretory, H^+/K^+-ATPase inhibitor

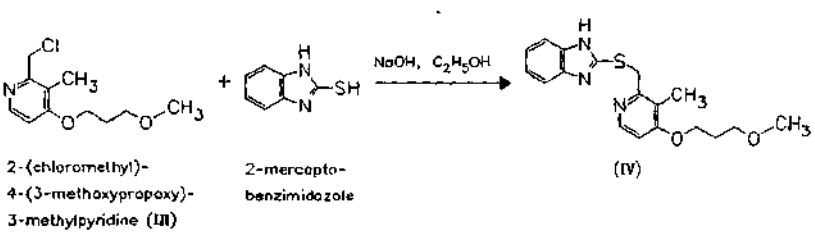
RN: 117976-90-6 MF: $C_{18}H_{20}N_3NaO_3S$ MW: 381.43
CN: (±)-2-[[[4-(3-Methoxypropoxy)-3-methyl-2-pyridinyl]-methyl]sulfinyl]-1*H*-benzimidazole sodium salt

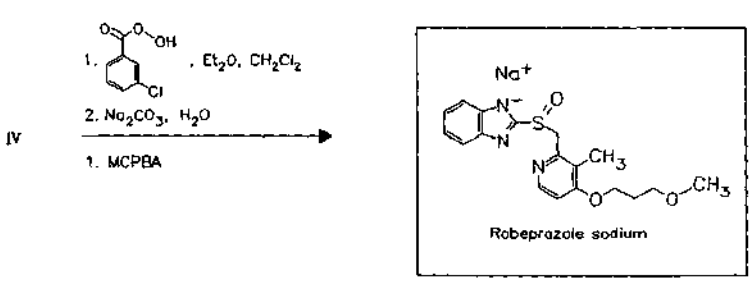
acid
RN: 117976-89-3 MF: $C_{18}H_{21}N_3O_3S$ MW: 359.45











Reference(s):
EP 268 956 (Eisai Co.; J-prior. 13.11.1986; 2.2.1987; 31.3.1987).
WO 8 910 927 (Eisai Co.; appl. 11.5.1989; J-prior. 12.5.1988).

preparation of 4-chloro-2,3-dimethylpyridine *N*-oxide:
Kuehler, T.C.; Fryklund, J.; Bergman, H.A.; Weilitz, J.; Lee, A.; Larsson, H.: J. Med. Chem. (JMCMAR) **38** (25), 4906 (1995).

pharmaceutical preparations:
WO 9 953 918 (Eisai Co.; appl. 20.4.1999; J-prior. 20.4.1998).
EP 585 722 (Eisai Co.; appl. 17.8.1993; J-prior. 21.8.1992).
WO 9 902 521 (Eisai Co.; appl. 10.7.1998; J-prior. 11.7.1997).

Formulation(s): tabl. 10 mg, 20 mg (as sodium salt)

Trade Name(s):

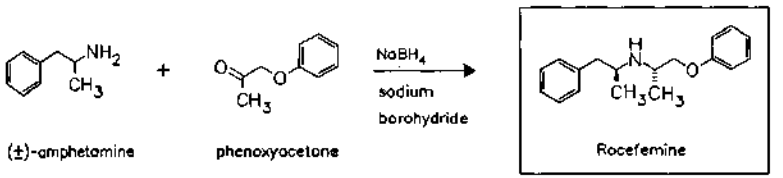
D:	Pariet (Eisai; Janssen-Cilag; 1998)	J:	Pariet (Eisai; 1998)
		USA:	Aciphex (Eisai)

Racefemine

ATC: A03
Use: antispasmodic, coronary vasodilator

RN: 22232-57-1 MF: $C_{18}H_{23}NO$ MW: 269.39 EINECS: 244-856-5
CN: (*R**,*R**)-(±)-α-methyl-*N*-(1-methyl-2-phenoxyethyl)benzeneethanamine

hydrogen fumarate (1:1)
RN: 1590-35-8 MF: $C_{18}H_{23}NO \cdot C_4H_4O_4$ MW: 385.46 EINECS: 216-462-3



Reference(s):
NL-appl. 6 407 309 (Clin-Byla; appl. 26.6.1964; F-prior. 28.6.1963).

Formulation(s): tabl. 50 mg; vial 50 mg/5 ml

Trade Name(s):

F:	Dysmalgine (Clin-Comar-Byla); wfm	Dysmalgine (Clin-Midy); wfm

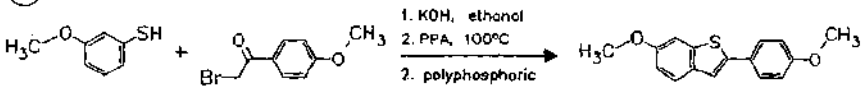
Raloxifene hydrochloride

(LY-156758; Keoxifene)

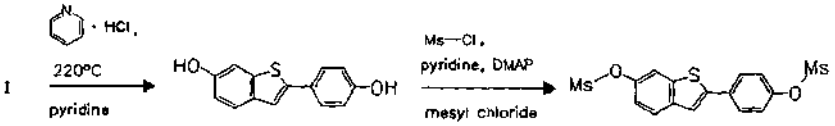
ATC: G03XC01
Use: antiestrogen, prevention of osteoporosis

RN: 82640-04-8 MF: $C_{28}H_{27}NO_4S \cdot HCl$ MW: 510.05
CN: [6-Hydroxy-2-(4-hydroxyphenyl)benzo[*b*]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]methanone hydrochloride

(o)

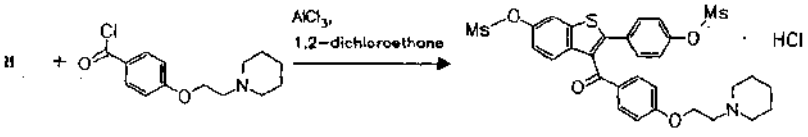


3-mercapto-anisole + 4-methoxyphenacyl-bromide → 6-methoxy-2-(4-methoxyphenyl)benzo[b]thiophene (I)

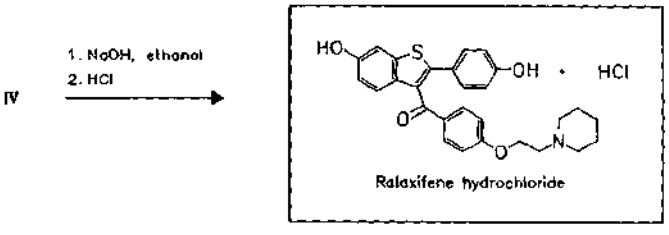


I → 6-hydroxy-2-(4-hydroxyphenyl)benzo[b]thiophene → II

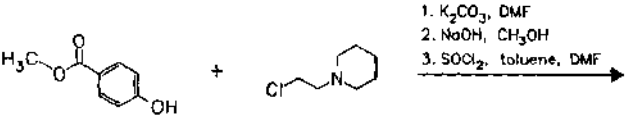
Ms: $-S(=O)(=O)-CH_3$



II + 4-[2-(1-piperidinyl)-ethoxy]benzoyl chloride (III) → [6-[(methylsulfonyl)oxy]-2-[4-(methylsulfonyl)oxy]phenyl]-benzo[b]thien-3-yl][4-[2-(1-piperidinyl)ethoxy]phenyl]-methanone hydrochloride (IV)

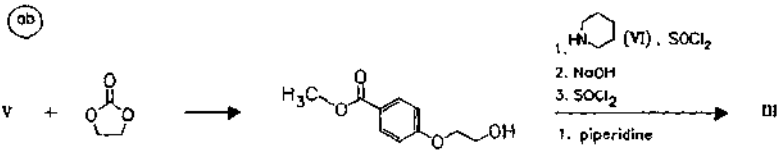


(oa) starting materiol III can be synthesized from



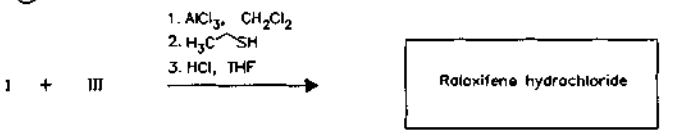
methyl 4-hydroxy-benzoate (V) + N-(2-chloro-ethyl)piperidine → III

(ob)

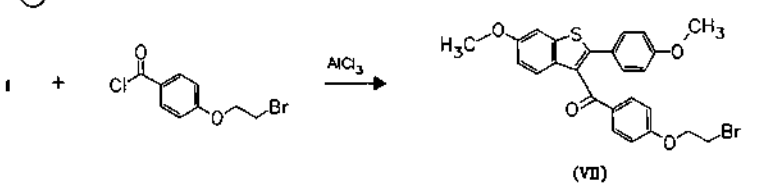


V + ethylene carbonate → → III

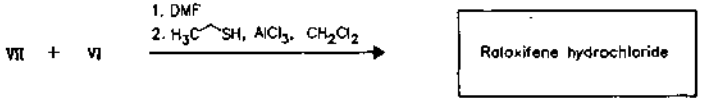
(b)



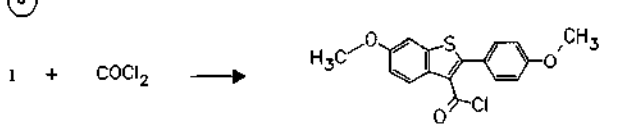
(c)



(VII)

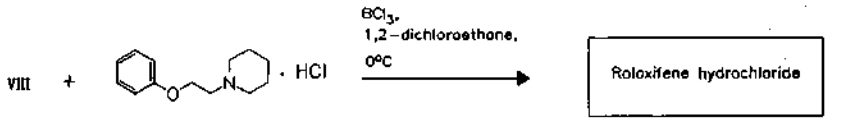


(d)



phosgene

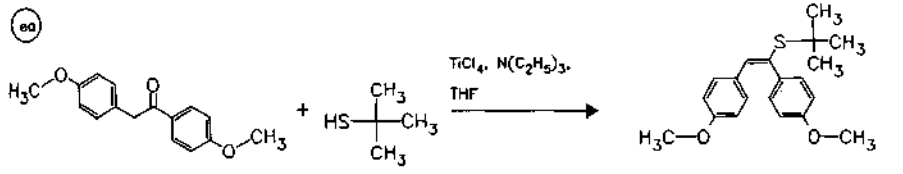
6-methoxy-2-(4-methoxyphenyl)-benzo[b]thiophene-3-carbonyl chloride



phenyl 2-piperidino-ethyl ether hydrochloride

alternative regiospecific synthesis of I

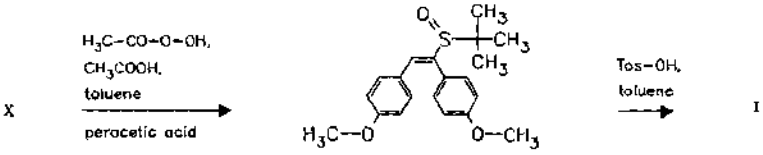
(aa)



deoxyanisoin

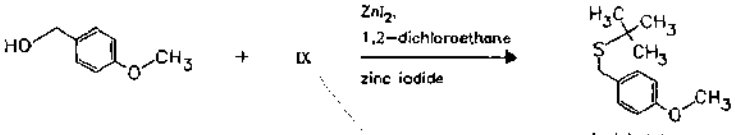
2-methyl-2-propene-thiol (IX)

tert-butyl 4,4'-dimethoxy-α-stilbenyl sulfide (X)

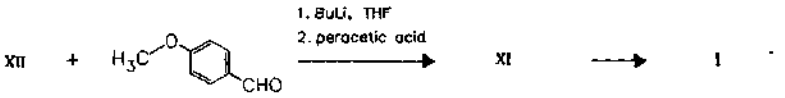


tert-butyl 4,4'-dimethoxy-α-stilbenyl sulfoxide (XI)

(eb)



tert-butyl 4-methoxybenzyl sulfide (XII)



p-anisaldehyde

Reference(s):

a,b Jones, C.D. et al.: J. Med. Chem. (JMCMAR) **27**, 1057 (1984).
EP 62 504 (Lilly + Co., appl. 1.4.1982; USA-prior. 3.4.1981).
Vicenzi, J.T. et al.: Org. Process Res. Dev. (OPRDFK) **3**, 56-59 (1999).

a US 4 418 068 (Lilly + Co.; 29.11.1983; USA-prior. 3.4.1981).

aa EP 699 672 (E. Lilly + Co.; appl. 30.8.1995; USA-prior. 31.8.1994).

b EP 693 488 (Lilly + Co.; appl. 20.7.1995; USA-prior. 22.7.1994).
US 4 380 635 (Lilly + Co.; 19.4.1983; USA-prior. 3.4.1981).

c EP 738 725 (E. Lilly + Co.; appl. 18.4.1996; USA-prior. 21.4.1995).

d WO 9 734 888 (E. Lilly + Co.; appl. 20.3.1996; USA-prior. 19.3.1996).

e WO 9 640 691 (E. Lilly + Co.; appl. 4.6.1996; USA-prior. 7.6.1995).
WO 9 640 693 (E. Lilly + Co.; appl. 4.6.1996; USA-prior. 7.6.1995).
WO 9 640 677 (E. Lilly + Co.; appl. 4.6.1996; USA-prior. 7.6.1995).
WO 9 640 676 (E. Lilly + Co.; appl. 4.6.1996; USA-prior. 7.6.1995).
US 5 512 701 (E. Lilly + Co.; 30.4.1996; USA-prior. 7.6.1995).

preparation of an amorphous form and formulation:
WO 9 808 513 (E. Lilly + Co.; appl. 22.8.1997; USA-prior. 28.8.1996).

preparation of glucopyranosides (metabolites) as antihyperlibpidemics:
EP 683 170 (E. Lilly + Co.; appl. 16.5.1995; USA-prior. 20.5.1994).

treatment of hormone dependent cancers:
EP 62 503 (E. Lilly + Co.; appl. 1.4.1982; USA-prior. 3.4.1981).

method for lowering serum cholesterol:
US 5 464 845 (E. Lilly + Co.; 7.11.1995; USA-prior. 22.12.1992).

treatment of mammary cancer:
US 4 656 187 (E. Lilly + Co.; 7.4.1987; USA-prior. 3.8.1981).

pharmaceutical composition for inhibiting bone loss and lowering serum cholesterol:
CA 2 141 999 (E. Lilly + Co.; appl. 7.2.1995; USA-prior. 2.3.1994).

preparation of unsolvated crystalline form:
DE 19 534 744 (E. Lilly + Co.; appl. 19.9.1995; USA-prior. 19.9.1994).

Formulation(s): f. c. tabl. 60 mg (as hydrochloride); tabl. 60 mg

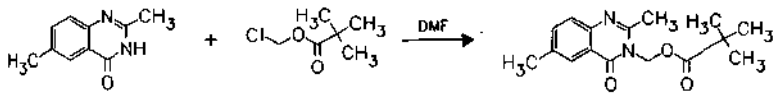
Trade Name(s):
D: EVISTA (Eli Lilly; 1997) USA: Evista (Eli Lilly; 1998)

Raltitrexed

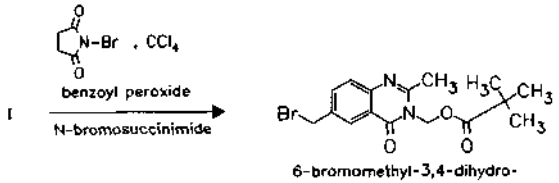
(D-1694; ICI-D 1694; ZN-1694)

ATC: L01BA03
Use: antineoplastic, thymidylate synthetase inhibitor

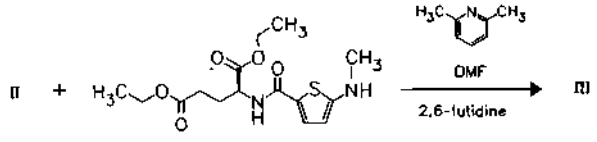
RN: 112887-68-0 MF: $C_{21}H_{22}N_4O_6S$ MW: 458.50
CN: *N*-[[5-[[(1,4-dihydro-2-methyl-4-oxo-6-quinazolinyl)methyl]methylamino]-2-thienyl]carbonyl]-L-glutamic acid



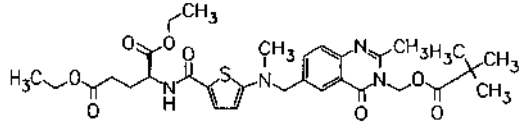
2,6-dimethyl-4(3H)-quinazolinone + chloromethyl pivolate → 3,4-dihydro-2,6-dimethyl-3-pivaloyloxymethyl-quinazolin-4-one (I)



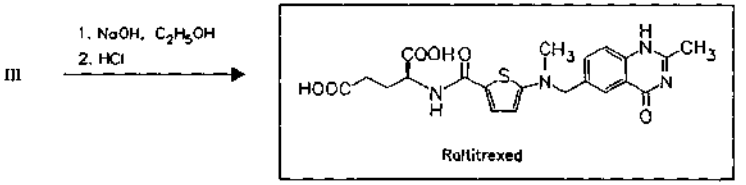
I → 6-bromomethyl-3,4-dihydro-2-methyl-3-pivaloyloxymethyl-quinazolin-4-one (II)



II + diethyl N-(5-methylamino-2-thenoyl)-L-glutamate → III



(III)



Reference(s):
EP 239 362 (ICI; appl. 24.3.1987; GB-prior. 27.3.1986).
Marsham, P.R. et al.: J. Med. Chem. (JMCMAR) **42** (19), 3809 (1999).
Marsham, P.R. et al.: J. Med. Chem. (JMCMAR) **34** (5), 1594 (1991).
Bisset, G.M.F. et al.: J. Med. Chem. (JMCMAR) **35** (5), 859 (1992).

preparation of 2,6-dimethyl-4(3*H*)-quinazolinone *from* 5-methylanthranilic acid:
Patil, S.D; Jones, C.; Nair, M.G.; Galivan, J.; Maley, F.; J. Med. Chem. (JMCMAR) **32** (6), 1284 (1989).
Battacharyya; Bose; Ray: J. Indian. Chem. Soc. (JICSAH) **6**, 283 (1929).
Bischler; Muntendam: Ber. Dtsch. Chem. Ges. (BDCGAS) **28**, 730 (1895).

Formulation(s): amp. 2 mg

Trade Name(s):

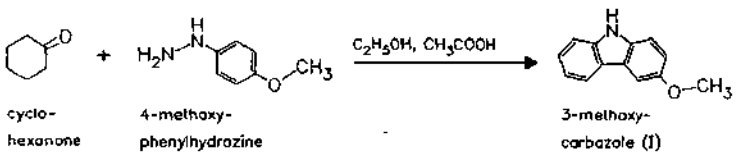
F:	Tomudex (Zeneca)	I:	Tomudex (Zeneca)
GB:	Tomudex (Zeneca)	J:	Tomudex (Zeneca)

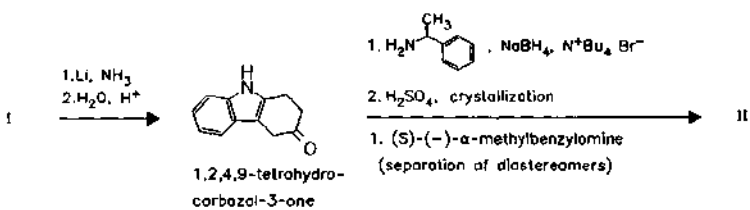
Ramatroban

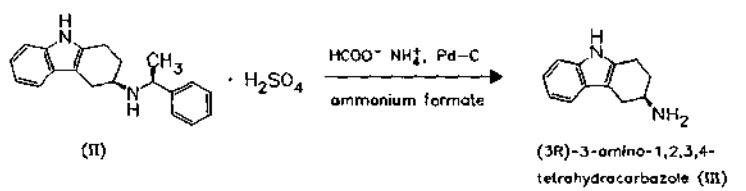
(Bay u 3405)

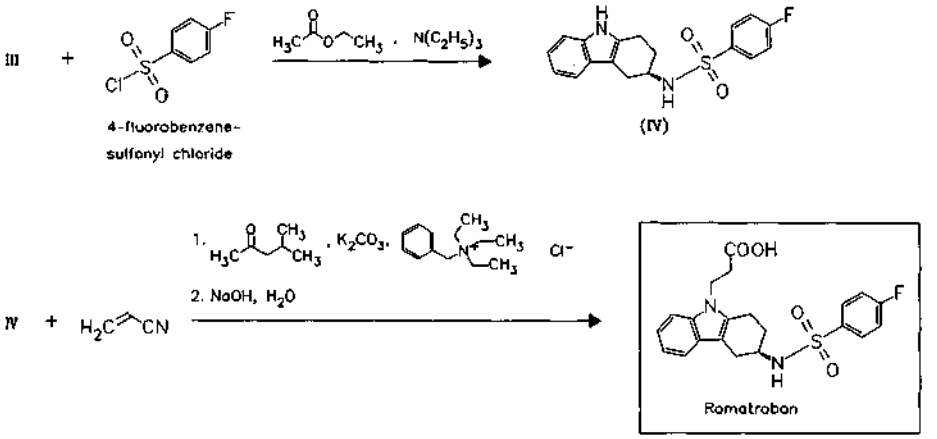
Use: treatment of allergic rhinitis, thromboxane receptor antagonist

RN: 116649-85-5 MF: $C_{21}H_{21}FN_2O_4S$ MW: 416.47
CN: (*R*)-3-[[(4-Fluorophenyl)sulfonyl]amino]-1,2,3,4-tetrahydro-9*H*-carbazole-9-propanoic acid









Reference(s):
DE 3 631 824 (Bayer AG; appl. 19.9.1986; prior. 21.2.1986).
EP 728 743 (Bayer AG; appl. 14.2.1996; D-prior. 27.2.1995).

thermodynamically stable form of ramatroban:
DE 19 757 983 (Bayer Yakuhin Ltd.; D-prior. 24.12.1997)

preparation of 1,2,4,9-tetrahydrocarbazol-3-one:
Bailey, A.S.; Vandrevala, M.H.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1980**, 1512

preparation of 1,2,3,4-tetrahydrocarbazol-3-ol:
Gardner et al.: J. Org. Chem. (JOCEAH) **22**, 1206, 1210 (1957)

oxidation of 1,2,3,4-tetrahydrocarbazol-3-ol:
Ritchie, R.; Saxton, J.E.: J. Chem. Res., Miniprint (JRMPDM) **1990** (2), 528.

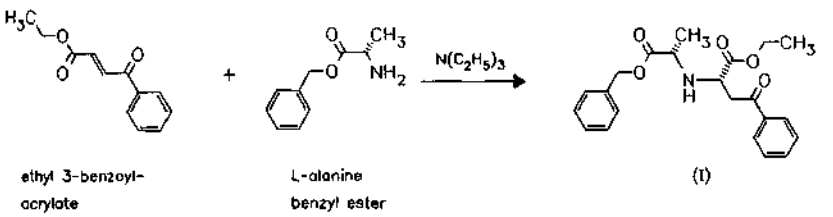
Formulation(s): tabl.

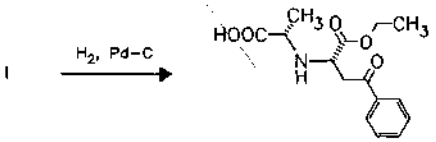
Trade Name(s):
J: Baynas (Bayer; 2000)

Ramipril

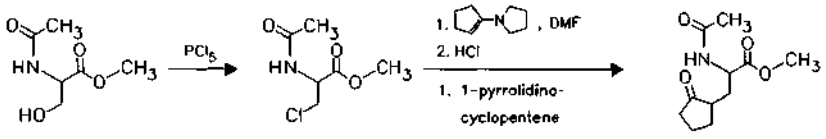
ATC: C09AA05
Use: antihypertensive (ACE inhibitor)

RN: 87333-19-5 MF: $C_{23}H_{32}N_2O_5$ MW: 416.52
LD_{50}: 1100 mg/kg (M, i.v.); 10.048 g/kg (M, p.o.);
600 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>250 mg/kg (dog, i.v.); >1 g/kg (dog, p.o.)
CN: [2*S*-[1[*R**(*R**)],2α,3aβ,6aβ]]-1-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydrocyclopenta[*b*]pyrrole-2-carboxylic acid





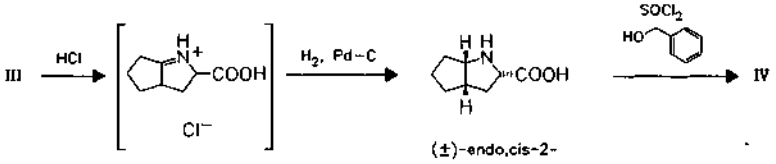
(S)-N-(1-ethoxycarbonyl-3-oxo-3-phenylpropyl)-L-alanine (II)



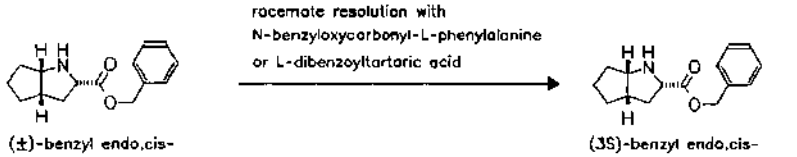
N-acetylserine methyl ester

methyl 2-acetamido-3-chloropropionate

methyl 2-acetamido-3-(2-oxocyclopentyl)-propionate (III)

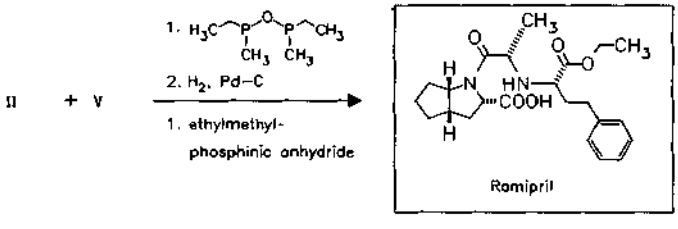


(±)-endo,cis-2-azobicyclo[3.3.0]-octane-3-carboxylic acid



(±)-benzyl endo,cis-2-azobicyclo[3.3.0]-octane-3-carboxylate (IV)

(3S)-benzyl endo,cis-2-azobicyclo[3.3.0]-octane-3-carboxylate (V)



Reference(s):
Teetz, V. et al.: Arzneim.-Forsch. (ARZNAD) **34** (II), 1399 (1984).
EP 79 022 (Hoechst; appl. 2.11.1982; D-prior. 5.11.1981, 17.7.1982).
DOS 3 226 768 (Hoechst; appl. 5.11.1981).
EP 115 345 (Hoechst; appl. 27.1.1984; D-prior. 31.1.1983).
DOS 3 303 112 (Hoechst; appl. 31.1.1983).
DOS 3 303 139 (Hoechst; appl. 31.1.1983).

Formulation(s): cps. 1.25 mg, 2.5 mg, 5 mg; tabl. 1.25 mg, 2.5 mg, 5 mg

Trade Name(s):
D: Arelix (Hoechst)-comb. Delix (Hoechst) Delix (Hoechst)-comb.

	Vesdil (Astra/Promed)	GB:	Tritace (Hoechst)		Unipril (Astra
	Vesdil (Astra/Promed)-comb.	I:	Quark (Polifarma)		Farmaceutici)
			Triatec (Hoechst Marion	USA:	Altace (Hoechst Marion
F:	Triatec (Hoechst Houdé)		Roussel)		Roussel)

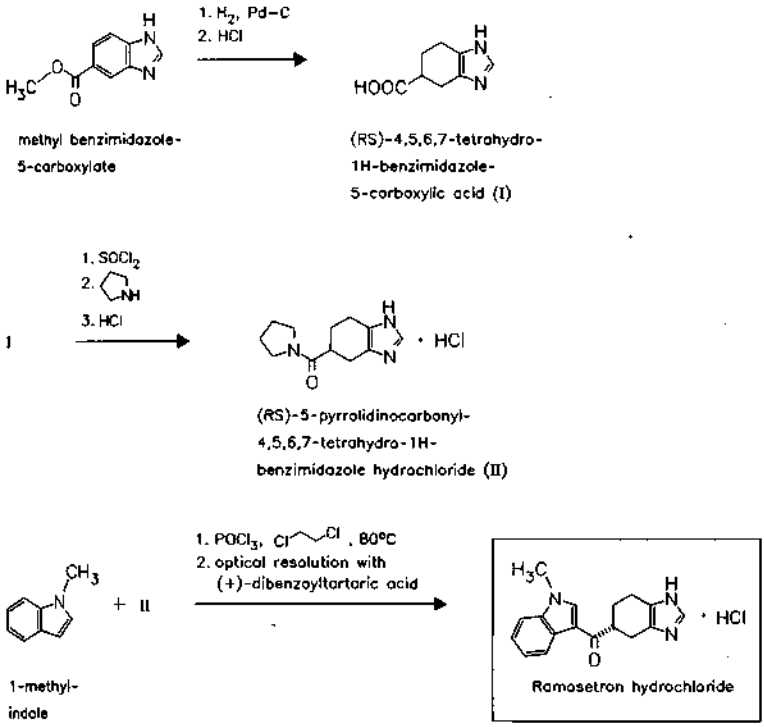
Ramosetron hydrochloride

(YM-060)

ATC: A04AA
Use: anti-emetic, 5-HT_3-antagonist

RN: 132907-72-3 MF: $C_{17}H_{17}N_3O \cdot HCl$ MW: 315.80
CN: (*R*)-(1-methyl-1*H*-indol-3-yl)(4,5,6,7-tetrahydro-1*H*-benzimidazol-5-yl)methanone monohydrochloride

ramosetron
RN: 132036-88-5 MF: $C_{17}H_{17}N_3O$ MW: 279.34



Reference(s):
EP 381 422 (Yamanouchi Pharm.; appl. 4.8.1994; J-prior. 2.2.1989).
Ohta, M. et al.: Chem. Pharm. Bull. (CPBTAL) **44** (9), 1707 (1996).

sustained release composition:
WO 9 933 491 (Yamanouchi Pharm.; appl. 25.12.1998; J-prior. 26.12.1977).
WO 9 933 489 (Yamanouchi Pharm.; appl. 25.12.1998; J-prior. 26.12.1977).

preparation of methyl benzimidazole-5-carboxylate *from* 3,4-diaminobenzoic acid:
Dellweg et al.: Biochem. Z. (BIZEA2) **327**, 422, 446 (1956).

drug composition:
WO 9 416 682 (Yamanouchi Pharm.; appl. 4.8.1994; J-prior. 21.1.1993).

Formulation(s): amp. 0.3 mg/2 ml; tabl. 0.1 mg

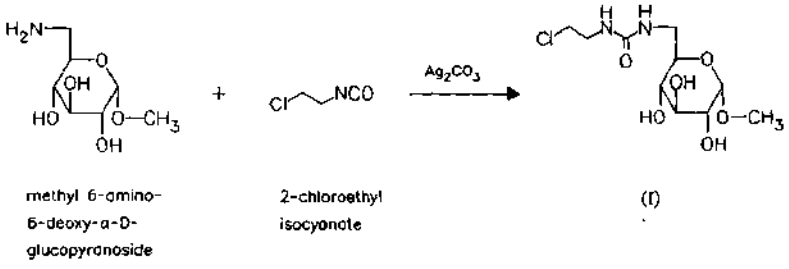
Trade Name(s):
J: Nasea (Yamanouchi)

Ranimustine

(MCNU; Ranomustine)

ATC: L01AD07
Use: antineoplastic, nitrosourea

RN: 58994-96-0 MF: $C_{10}H_{18}ClN_3O_7$ MW: 327.72
LD_{50}: 41.2 mg/kg (M, i.v.); 45.7 mg/kg (M, p.o.)
31.8 mg/kg (R, i.v.); 46.4 mg/kg (R, p.o.)
CN: methyl 6-[[[(2-chloroethyl)nitrosoamino]carbonyl]amino]-6-deoxy-α-D-glucopyranoside



Reference(s):
DE 2 530 416 (Tokyo Tanabe; appl. 4.7.1975; J-prior. 5.7.1974).
GB 1 499 760 (Tokyo Tanabe; appl. 4.7.1975; J-prior. 5.7.1974).

alternative synthesis:
US 4 156 777 (Tokyo Tanabe; 29.5.1979; J-prior. 3.2.1977).
DE 2 805 185 (Tokyo Tanabe; appl. 3.2.1978; J-prior. 3.2.1977).

Formulation(s): amp. 50 mg, 100 mg

Trade Name(s):
J: Cymerine (Tokyo Tanabe)

Ranitidine

ATC: A02BA02
Use: peptic ulcer therapeutic (H_2-blocker)

RN: 66357-35-5 MF: $C_{13}H_{22}N_4O_3S$ MW: 314.41 EINECS: 266-332-5
LD_{50}: 80 mg/kg (M, i.v.); 884 mg/kg (M, p.o.);
93 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: *N*-[2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-*N*'-methyl-2-nitro-1,1-ethenediamine

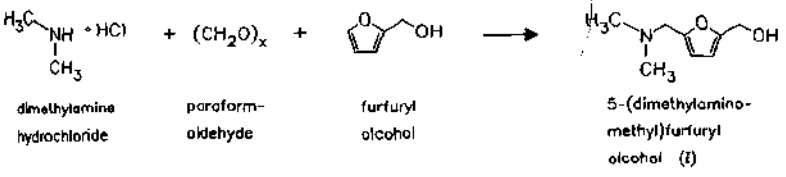
monohydrochloride

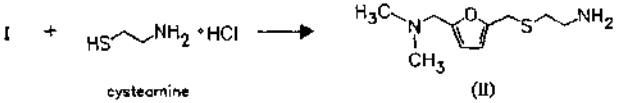
RN: 66357-59-3 MF: $C_{13}H_{22}N_4O_3S \cdot HCl$ MW: 350.87 EINECS: 266-333-0

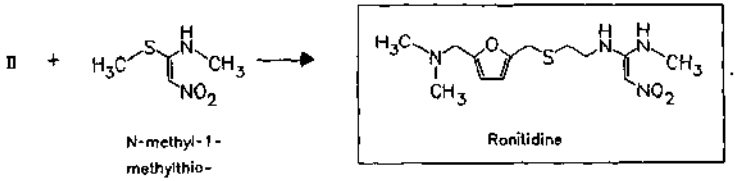
LD_{50}: 60 mg/kg (M, i.v.); 1100 mg/kg (M, p.o.);
85 mg/kg (R, i.v.); 4190 mg/kg (R, p.o.)

bismuth citrate

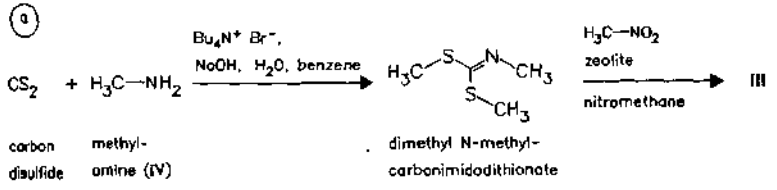
RN: 128345-62-0 MF: $C_{19}H_{30}BiN_4O_{10}S$ MW: 715.51

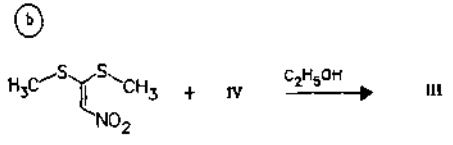






preparation of N-methyl-1-methylthio-2-nitroethenamine





Reference(s):

DOS 2 734 070 (Allen & Hanburys; appl. 28.7.1977; GB-prior. 4.8.1976, 6.12.1976, 13.5.1977).

US 4 128 658 (Glaxo; 5.12.1978; GB-prior. 4.8.1976, 6.12.1976, 13.5.1977).

"form 2":

US 4 521 431 (Glaxo; 4.6.1985; GB-prior. 1.10.1980).

US 4 672 133 (Glaxo; 4.6.1985; GB-prior. 1.10.1980).

alternative syntheses:
EP-appl. 59 082 (Glaxo; appl. 19.2.1982; GB-prior. 20.2.1981).
US 4 399 294 (Glaxo; 16.8.1983; GB-prior. 30.12.1980).
US 4 399 293 (Glaxo; 16.8.1983; GB-prior. 20.1.1981).
DOS 3 242 204 (Lab. Pharmamedical; appl. 15.11.1982; E-prior. 16.11.1981).
BE 888 747 (Ricerca Chimica; appl. 11.5.1981; I-prior. 13.5.1980, 7.10.1980, 21.11.1980).

synthesis of 5-(dimethylaminomethyl)furfuryl alcohol:
Gill, E.W.; Ing, H.R.: J. Chem. Soc. (JCSOA9) **1958**, 4728.

preparation of N-methyl-1-methylthio-2-nitroethenamine:
a IN 172 064 (Council Scient. Ind. Res.; 23.10.1993; prior. 3.1.1989).
Deshmukek, A.R. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1990** (4); 1217.
Mohanalingam, K.; Nethaji, M.; Das, P.K.: J. Mol. Struct. (JMOSB4) **378** (3), 177 (1996).
b JP 7 157 465 (Nitto Chem. Ind. Co. Ltd.; 20.6.1995; prior. 3.12.1993).
Sega, A. et al.: Gazz. Chim. Ital. (GCITA9) **111** (5/6), 217 (1981).
Manjunatha, S.G.; Reddy, K.V.; Rajappa, S.: Tetrahedron Lett. (TELEAY) **31**, 1327 (1990).

stable aqueous formulations:
US 4 585 790 (Glaxo)

ranitidine bismuth citrate:
GB 2 220 937 (Glaxo; appl. 17.7.1989; prior. 18.7.1988; 1.3.1989).
DE 4 130 061 (Glaxo; appl. 10.9.1991; GB-prior. 11.9.1990).

Formulation(s): amp. 50 mg/5 ml; eff. tabl. 150 mg, 300 mg; f. c. tabl. 150 mg, 300 mg; tabl. 150 mg, 300 mg (as hydrochloride); tabl. 400 mg (as bismuth citrate)

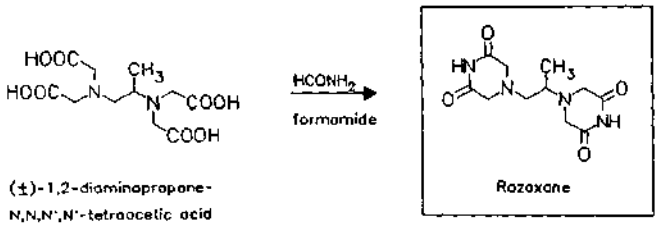
Trade Name(s):

D:	Sostril (Glaxo Wellcome/ Cascan; 1982)	GB:	Zantac (Glaxo Wellcome; 1981)		Ulcex (Guidotti)
	Zantic (Glaxo Wellcome; 1982)	I:	Elicodil (Menarini; as bismuth citrate)		Zantac (Glaxo Wellcome; 1981)
	various generics and combination preparations		Pylorid (Glaxo Wellcome; as bismuth citrate)	J:	Zantac (Glaxo; 1984)
F:	Azantac (Glaxo Wellcome; 1984)		Raniben (Firma)		Zantac Glaxo (Nippon Glaxo)
	Raniplex (Fournier; 1984)		Ranibloc (Glaxo Allen)		Zantac Sankyo (Sankyo)
			Ranidil (Menarini; 1981)	USA:	Tritec (Glaxo Wellcome)
					Zantac (Glaxo; 1983)

Razoxane

ATC: V03AF
Use: antineoplastic

RN: 21416-87-5 MF: $C_{11}H_{16}N_4O_4$ MW: 268.27
LD_{50}: 861 mg/kg (M, i.p.)
CN: (±)-4,4'-(1-methyl-1,2-ethanediyl)bis[2,6-piperazinedione]



(±)-1,2-diaminopropane-N,N,N',N'-tetraacetic acid

Reference(s):
DOS 1 910 283 (ICI; appl. 28.2.1969; USA-prior. 2.7.1968).

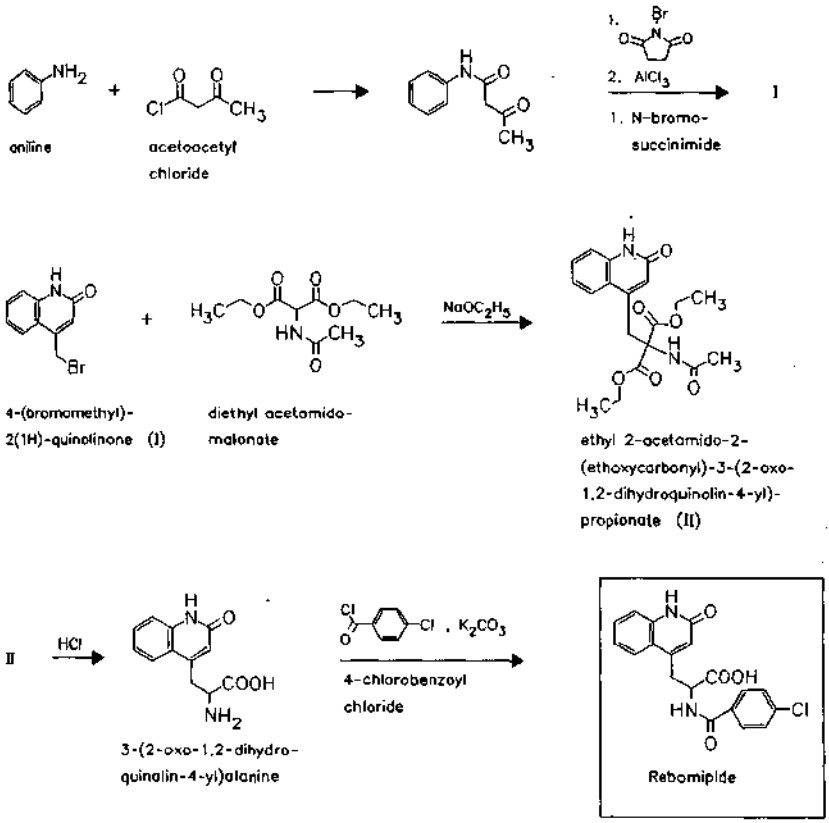
Formulation(s): tabl. 125 mg

Trade Name(s):
GB: Razoxin (ICI); wfm

Rebamipide
(Proamipide)

ATC: A02BX
Use: ulcer therapeutic

RN: 90098-04-7 MF: $C_{19}H_{15}ClN_2O_4$ MW: 370.79
LD_{50}: 572 mg/kg (M, i.v.);
700 mg/kg (R, i.v.);
>2 g/kg (dog, p.o.)
CN: α-[(4-chlorobenzoyl)amino]-1,2-dihydro-2-oxo-4-quinolinepropanoic acid



Reference(s):
DOS 3 324 034 (Otsuka; appl. 7.4.1983; J-prior. 7.5.1982).
GB 2 123 825 (Otsuka, appl. 7.5.1983; J-prior. 7.5.1982).
Uchida, M. et al.: Chem. Pharm. Bull. (CPBTAL) **33**, 3775 (1985).

oral and parenteral formulations:
JP 60 019 767 (Otsuka; appl. 7.11.1983).

Formulation(s): tabl. 100 mg

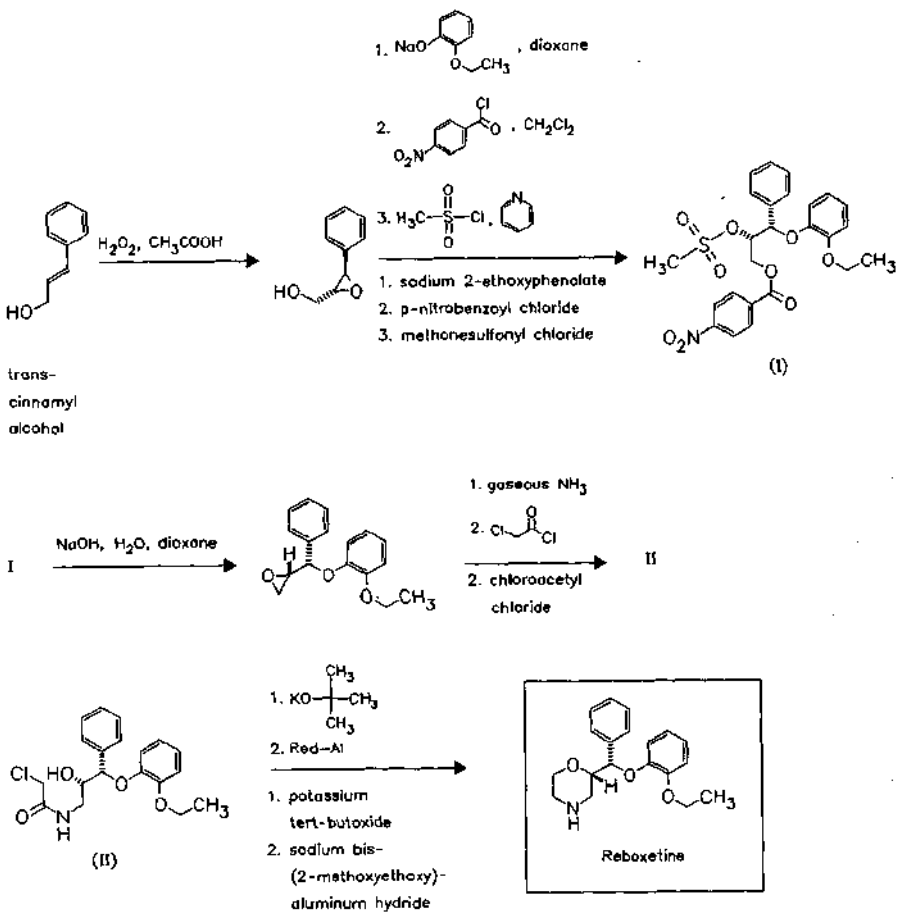
Trade Name(s):
J: Mucosta (Otsuka; 1990)

Reboxetine
(FCE-20124)

ATC: N06AX18
Use: antidepressant, selective norepinephrine reuptake inhibitor

RN: 98769-81-4 MF: $C_{19}H_{23}NO_3$ MW: 313.40
CN: (*R**,*R**)-2-[(2-Ethoxyphenoxy)phenylmethyl]morpholine

mesilate
RN: 98769-82-5 MF: $C_{19}H_{23}NO_3 \cdot CH_4O_3S$ MW: 409.50



Reference(s):
racemic synthesis:
DE 2 901 032 (Farmitalia Carlo Erba; appl. 12.1.1979; I-prior. 20.1.1978).

synthesis of stereoisomers of reboxetine:
DE 3 540 093 (Farmitalia Carlo Erba; appl. 12.11.1985; GB-prior. 22.11.1984).

configurational studies on 2-[α-(2-ethoxyphenoxy)benzyl]morpholine:
Melloni, P.; Della Torre, A.; Lazzari, E.; Mazzini, G.; Meroni, M.: Tetrahedron (TETRAB) **41** (7), 1393 (1985).

Formulation(s): tabl. 2 mg, 4 mg

Trade Name(s):

D:	Edronax (Pharmacia & Upjohn)	GB:	Edronax (Pharmacia & Upjohn; 1997)		Edronax (Pharmacia & Upjohn)
		I:	Davedax (Carlo Erba)		

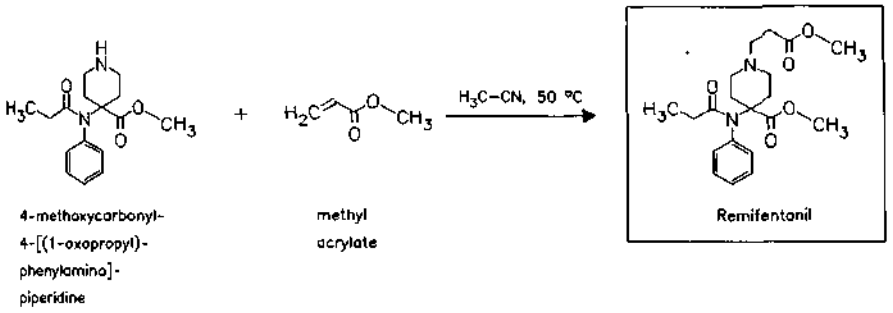
Remifentanil

(GI-87084B)

ATC: N01AH06
Use: analgesic

RN: 132875-61-7 MF: $C_{20}H_{28}N_2O_5$ MW: 376.45
CN: 4-(methoxycarbonyl)-4-[(1-oxopropyl)phenylamino]-1-piperidinepropanoic acid methyl ester

monohydrochloride
RN: 132539-07-2 MF: $C_{20}H_{28}N_2O_5 \cdot HCl$ MW: 412.91
oxalate (1:1)
RN: 132875-62-8 MF: $C_{20}H_{28}N_2O_5 \cdot C_2H_2O_4$ MW: 466.49



Reference(s):
EP 383 579 (Glaxo; appl. 14.2.1990; USA-prior. 11.12.1989).

preparation of 4-methoxycarbonyl-4-[(1-oxopropyl)phenylamino]piperidine:
DE 2 610 228 (Janssen Pharmaceutica; appl. 13.1.1976; prior. 14.3.1975).
Feldman, P.L., Brackeen, M.F.: J. Org. Chem. (JOCEAH) **55** (13), 4207 (1990).
Colapret, J.A.; Diamantidis, G.; Spencer, H.K.; Spaulding, T.C.; Rudo, F.G: J. Med. Chem. (JMCMAR) **32** (5), 968 (1989).

use as anesthetic:
US 5 466 700 (Glaxo Wellcome; USA-prior. 30.8.1993).

Formulation(s): amp. 1 mg, 2 mg, 5 mg; vial 1 mg, 2 mg, 5 mg (as hydrochloride)

Trade Name(s):

D:	Ultiva (Glaxo Wellcome; Zeneca)	F:	Ultiva (Glaxo Wellcome)	I:	Ultiva (Glaxo Wellcome)
		GB:	Ultiva (Glaxo Wellcome)	USA:	Ultiva (Glaxo Wellcome)

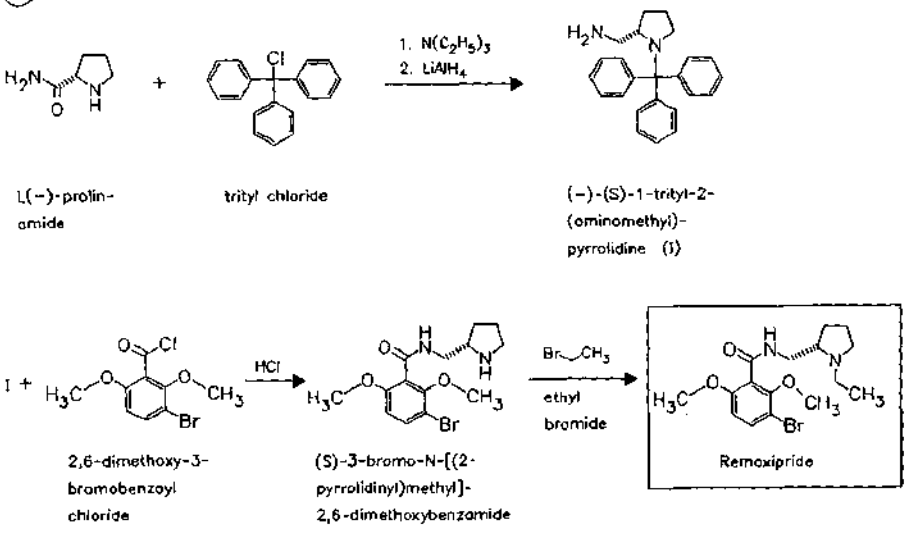
Remoxipride

ATC: N05AL04
Use: neuroleptic with selective dopamine D_2-antagonistic activity

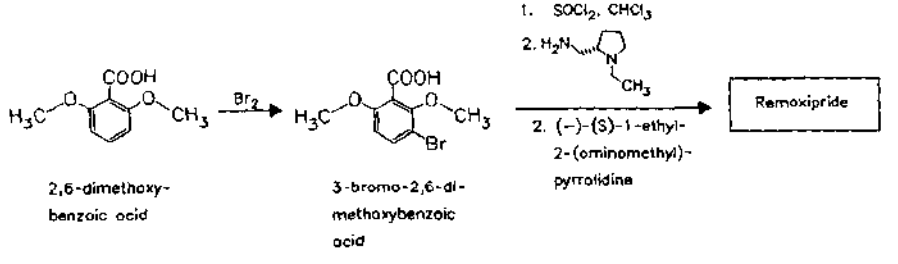
RN: 80125-14-0 MF: $C_{16}H_{23}BrN_2O_3$ MW: 371.28
CN: (*S*)-3-bromo-*N*-[(1-ethyl-2-pyrrolidinyl)methyl]-2,6-dimethoxybenzamide

hydrochloride
RN: 100288-39-9 MF: $C_{16}H_{23}BrN_2O_3 \cdot xHCl$ MW: unspecified

a



b



Reference(s):
US 4 232 037 (Astra; 4.11.1980; S-prior. 23.3.1978).
DE 2 964 774 (Astra; appl. 5.3.1979; S-prior. 23.3.1978).
EP 4 831 (Astra; appl. 5.3.1979; S-prior. 23.3.1978).
EP 60 235 (Astra; appl. 5.3.1982; S-prior. 11.3.1981).
Florvall, L.; Ögren, S.-O.: J. Med. Chem. (JMCMAR) **25**, 1280 (1986).

synthesis of 2,6-dimethoxybenzoic acid:
Doyle, F.P. et al.: J. Chem. Soc. (JCSOA9) 497 (1963).

synthesis of (−)-(*S*)-1-ethyl-2-(aminomethyl)pyrrolidine:
FR 1 528 014 (Soc. d'Etudes Sci. et ind.; appl. 24.4.1967).

oral pharmaceutical formulation:
EP 273 890 (Astra; appl. 7.12.1987; S-prior. 22.12.1986).

Formulation(s): amp. 200 mg/2 ml; s. r. cps. 150 mg, 300, mg; susp. 150 mg/6 ml (as hydrochloride)

Trade Name(s):
D: Psyloc (Astra; 1991); wfm Roxiam (Astra; 1991); wfm

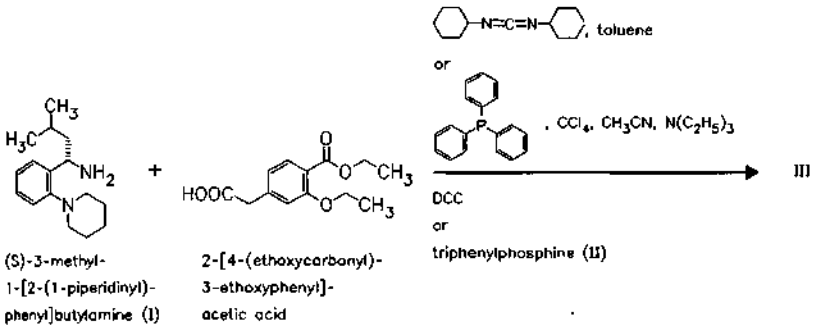
Repaglinide

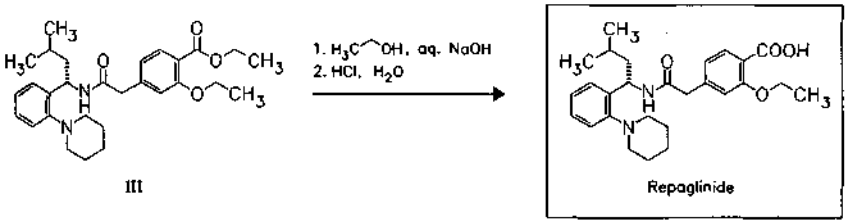
(AG-EE-623ZW; AG-EE-388)

ATC: A10BX02
Use: antidiabetic

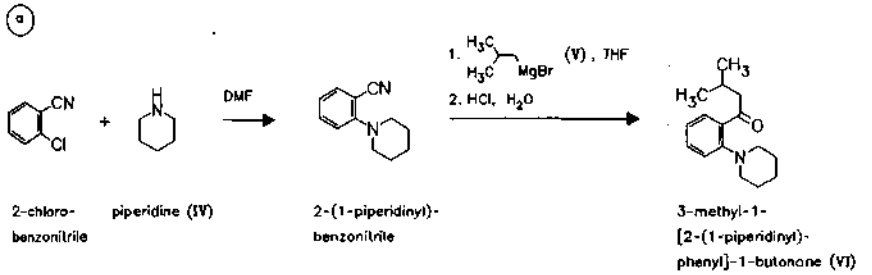
RN: 135062-02-1 MF: $C_{27}H_{36}N_2O_4$ MW: 452.60
CN: (*S*)-2-Ethoxy-4-[2-[[3-methyl-1-[2-(1-piperidinyl)-phenyl]butyl]amino]-2-oxoethyl]benzoic acid

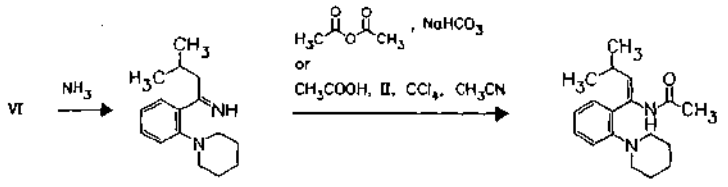
(*S*)-(+)-Ca salt
RN: 172041-25-7 MF: $C_{44}H_{70}CaN_4O_8$ MW: 823.14
racemate
RN: 108157-53-5 MF: $C_{27}H_{36}N_2O_4$ MW: 452.60





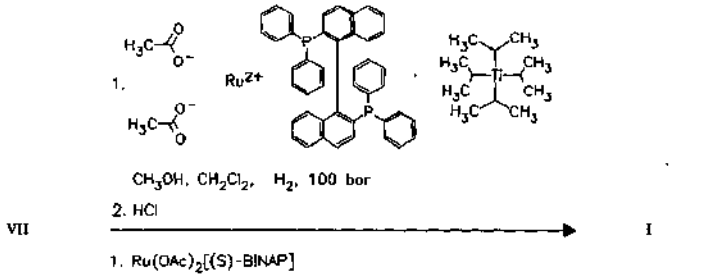
synthesis of starting product II: (S)-3-methyl-1-[2-(1-piperidinyl)phenyl]butylamine



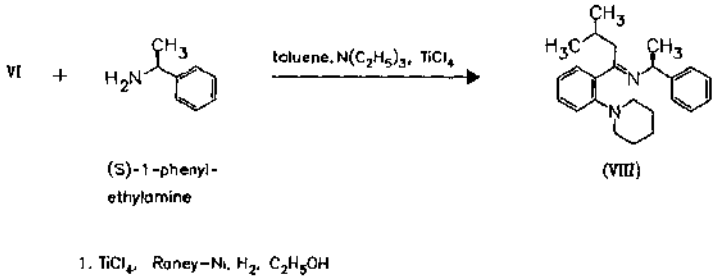


3-methyl-1-[2-(1-piperidinyl)-phenyl]-1-butonimine

N-[3-methyl-1-[2-(1-piperidinyl)phenyl]-1-butenyl]acetamide (VII)

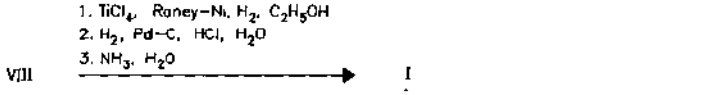


(b)

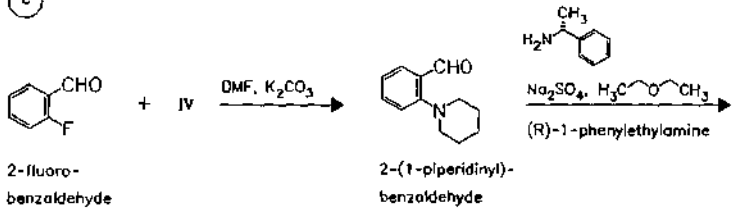


(S)-1-phenylethylamine

(VIII)



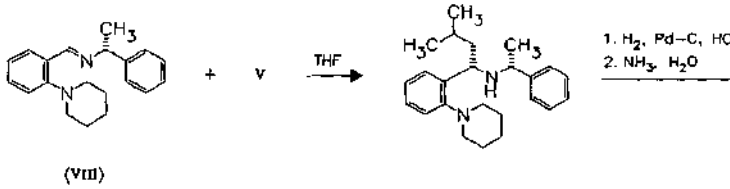
(c)



(R)-1-phenylethylamine

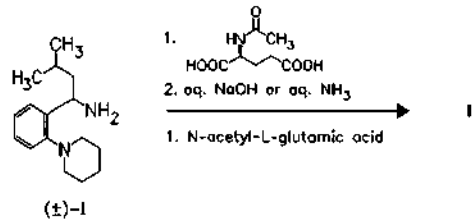
2-fluorobenzaldehyde

2-(1-piperidinyl)-benzaldehyde



(VIII)

(d) resolution of racemic mixture



(±)-I

Reference(s):
WO 9 300 337 (Thomae GmbH; WO-prior. 21.6.1991).
Grell, W. et al.: Eur. J. Med. Chem. (EJMCA5) **41** (26), 5219 (1998)

racemic synthesis and solid forms of repaglinide:
EP 207 331 (Thomae GmbH; appl. 10.6.1986; D-prior. 25.6.1985)

preparation of 2-(1-piperidinyl)benzaldehyde:
GB 1 299 580 (Lilly Ind.; GB-prior. 15.10.1968)

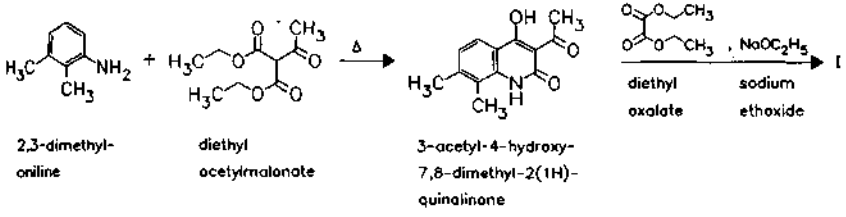
Formulation(s): tabl. 0.5 mg, 1 mg, 2 mg

Trade Name(s):
D: NovoNorm (Novo Nordisk) USA: Prandin (Novo Nordisk; 1998)

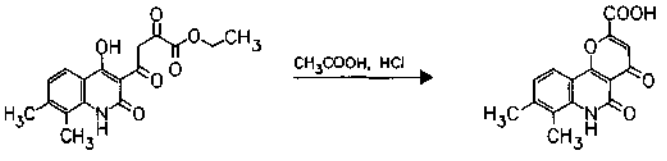
Repirinast

ATC: R03D
Use: antiallergic, treatment of bronchial asthma

RN: 73080-51-0 MF: $C_{20}H_{21}NO_5$ MW: 355.39
LD_{50}: >5 g/kg (M, p.o., s.c.);
>5 g/kg (R, p.o., s.c.)
CN: 5,6-dihydro-7,8-dimethyl-4,5-dioxo-4*H*-pyrano[3,2-c]quinoline-2-carboxylic acid 3-methylbutyl ester

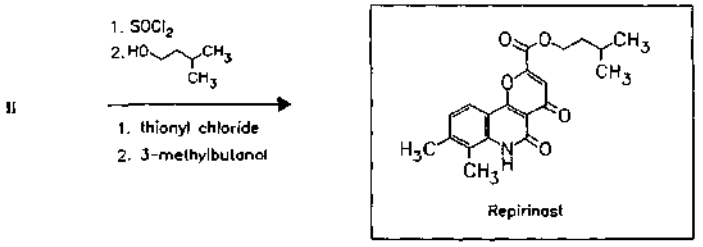


2,3-dimethyl-aniline | diethyl acetylmalonate | 3-acetyl-4-hydroxy-7,8-dimethyl-2(1H)-quinolinone



(I)

5,6-dihydro-7,8-dimethyl-4,5-dioxo-4H-pyrano[3,2-c]-quinoline-2-carboxylic acid (II)



Reference(s):
DOS 2 922 231 (Mitsubishi; appl. 31.5.1979; J-prior. 5.6.1978).
US 4 298 610 (Mitsubishi; 3.11.1981; J-prior. 5.6.1978).
Morinaka, Y. et al.: Eur. J. Med. Chem. (EJMCA5) **16**, 251 (1981).

synthesis of ^{14}C-repirinast:
Esumi, A. et al.: Clin. Rep. **20**, 391 (1986).

Formulation(s): tabl. 150 mg

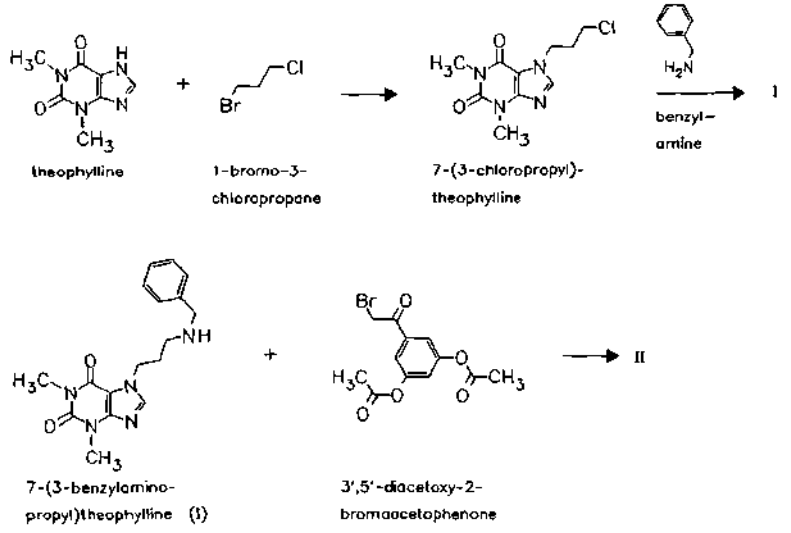
Trade Name(s):
J: Romet (Mitsubishi; 1989)

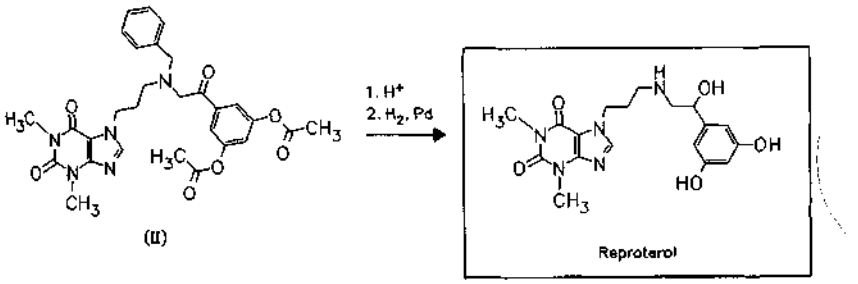
Reproterol

ATC: R03AC15; R03CC14
Use: bronchodilator

RN: 54063-54-6 MF: $C_{18}H_{23}N_5O_5$ MW: 389.41 EINECS: 258-956-1
LD_{50}: 145 mg/kg (M, i.v.)
CN: 7-[3-[[2-(3,5-dihydroxyphenyl)-2-hydroxyethyl]amino]propyl]-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione

monohydrochloride
RN: 13055-82-8 MF: $C_{18}H_{23}N_5O_5 \cdot HCl$ MW: 425.87 EINECS: 235-942-3
LD_{50}: 148 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
142 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
160 mg/kg (dog, i.v.); 400 mg/kg (dog, p.o.)





Reference(s):
DE 1 545 725 (Degussa; appl. 16.1.1965).
DE 1 795 573 (Degussa; appl. 16.1.1965).

hydrogenation:
DOS 2 701 629 (Degussa; appl. 17.1.1977).
US 4 150 227 (Degussa; 17.4.1979; D-prior. 17.1.1977).

medical use:
US 3 544 685 (Degussa; 1.12.1970; prior. 26.7.1968).

starting material:
Priewe, H.; Poljak, A.: Chem. Ber. (CHBEAM) **90**, 1651 (1957).

review:
Klingler, K.H.: Arzneim.-Forsch. (ARZNAD) **27**, 1-76 (1a) (1977).

Formulation(s): aerosol 0.5 mg/0.05 ml; amp. 0.09 mg/ml; f. c. tabl. 20 mg (as hydrochloride)

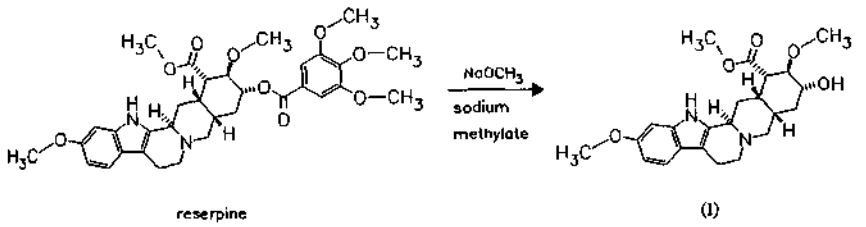
Trade Name(s):

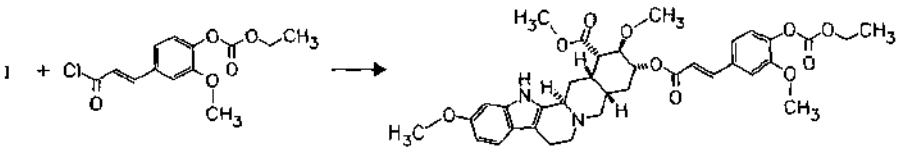
D:	Allergospasmin (ASTA Medica AWD)-comb. Arane (Fisons; Rhône-Poulenc Rorer)-comb.		Bronchospasmin (ASTA Medica AWD)	I:	Broncospasmin (ASTA Medica)
		GB:	Bronchodil (ASTA Medica)	J:	Bronchospasmin (Farmades)

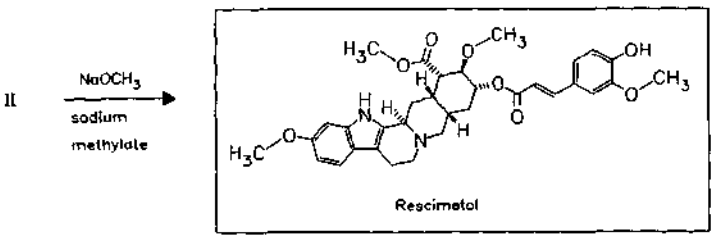
Rescimetol

ATC: C02AA
Use: antihypertensive

RN: 73573-42-9 MF: $C_{33}H_{38}N_2O_8$ MW: 590.67
LD_{50}: >40 mg/kg (M, i.v.); >15 g/kg (M, p.o.); >20 mg/kg (R, i.v.); >15 g/kg (R, p.o.)
CN: [3β,16β,17α,18β(*E*),20α]-18-[[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]oxy]-11,17-dimethoxyyohimban-16-carboxylic acid methyl ester







Reference(s):
DOS 2 221 123 (Nippon Chemiphar; appl. 28.8.1972; J-prior. 8.10.1971, 28.12.1971).
US 3 898 215 (Nippon Chemiphar; 5.8.1975; J-prior. 8.10.1971, 28.12.1971).
Kametami, T. et al.: J. Med. Chem. (JMCMAR) **15**, 686 (1972).
JP 7 619 799 (Nippon Chemiphar; appl. 7.8.1974).
JP 7 476 890 (Nippon Chemiphar; appl. 30.11.1972).

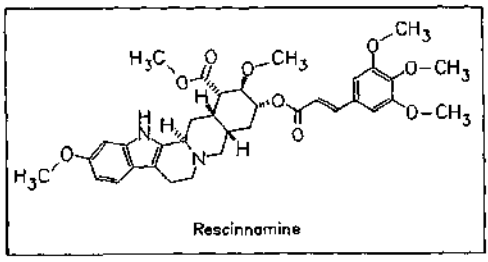
Formulation(s): tabl. 1 mg

Trade Name(s):
J: Toscarna (Nippon Chemiphar)

Rescinnamine

ATC: C02AA01
Use: antihypertensive, sedative, tranquilizer

RN: 24815-24-5 MF: $C_{35}H_{42}N_2O_9$ MW: 634.73 EINECS: 246-471-8
LD_{50}: 56 mg/kg (M, i.v.); 1420 mg/kg (M, p.o.); 1 g/kg (R, p.o.)
CN: (3β,16β,17α,18β,20α)-11,17-dimethoxy-18-[[1-oxo-3-(3,4,5-trimethoxyphenyl)-2-propenyl]oxy]yohimban-16-carboxylic acid methyl ester



By extraction of the roots of *Rauwolfia serpentina* (L.) Beuth. and column chromatographic separation of reserpine.

Reference(s):
US 2 974 144 (Riker; 7.3.1961; appl. 1954; prior. 1953).
US 2 876 228 (Pfizer; 3.3.1959; appl. 1956).
Klohs, M.W. et al.: J. Am. Chem. Soc. (JACSAT) **77**, 2241 (1955).

partial synthesis from reserpic acid methyl ester:
US 2 854 454 (P. R. Ulshafer; 1958; appl. 1954).

Formulation(s): tabl. 0.25 mg, 0.5 mg

Trade Name(s):

D: Detensitral (Karlspharma)-comb.; wfm
Diuraupur (Guilini)-comb.; wfm
Rauwopur (Giulini)-comb.; wfm

F: Aldatense (Searle)-comb.; wfm
Anaprel F (Servier)-comb.; wfm
Diviator (Servier)-comb.; wfm
Sarparel (Servier)-comb.; wfm
Tensid (Bayer Pharma)-comb.; wfm
Tensitral (Dausse)-comb.; wfm
Tensitral (Synthélabo)-comb.; wfm

I: Resertan (Perkins)-comb.; wfm

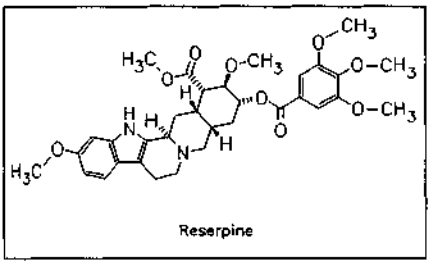
J: Apolon (Toyama)
Aporecin (Kayaku)
Atension (Santen)
Caniramine (Hokuriku)
Cinnaloid (Taito Pfizer)
Colstamin "Kowa" (Kowa)
Daisaloid (Mohan)
Isocalsin (Kowa Yakuhin)
Rescinate (Ohta)
Resiloid (Nippon Shoji)
Rozex (Teisan)
Sciminan (Kotani)
Seripinin (Fuji Zoki)
Sinselpin (Kobayashi)

USA: Moderil (Pfizer); wfm

Reserpine

ATC: C02AA02
Use: antihypertensive, tranquilizer

RN: 50-55-5 MF: $C_{33}H_{40}N_2O_9$ MW: 608.69 EINECS: 200-047-9
LD_{50}: 21 mg/kg (M, i.v.); 200 mg/kg (M, p.o.);
15 mg/kg (R, i.v.); 420 mg/kg (R, p.o.);
500 µg/kg (dog, i.v.)
CN: (3β,16β,17α,18β,20α)-11,17-dimethoxy-18-[(3,4,5-trimethoxybenzoyl)oxy]yohimban-16-carboxylic acid methyl ester



By extraction of the roots of *Rauwolfia serpentina* (L.) Beuth.

Reference(s):
DE 967 469 (Boehringer Ing.; appl. 1954).
US 2 752 351 (Ciba; 1956; appl. 1953).
US 2 833 771 (Ciba; 1958; CH-Prior. 1954).
US 2 887 489 (Ciba; 1959; CH-prior. 1956).
US 2 938 906 (Ciba; 1960; CH-prior. 1952).
Dorfmann, L. et al.: Helv. Chim. Acta (HCACAV) **37**, 59 (1954).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **7**, 178.
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **13**, 277.

total synthesis:
DAS 1 088 062 (Research Corp.; appl. 3.5.1957; USA-prior. 3.5.1956).
Hanessian, S et al.: J. Org. Chem. (JOCEAH) **62**, 465 (1997).

Formulation(s): cps. 0.075 mg, 0.15 mg; drg. 0.05 mg, 0.07 mg, 0.1 mg; tabl. 0.1 mg, 0.125 mg, 0.25 mg

Trade Name(s):

D: Adelphan-Esidrix (Novartis Pharma)-comb.
Barotonal (Brenner-Efeka)-comb.
Bendigon (Bayer Vital)-comb.
Brisarin (Novartis Pharma)-comb.
Darebon (Novartis Pharma)-comb.
Disalpin (ASTA Medica AWD)-comb.
Durotan (Beiersdorf-Lilly)-comb.
dysto-Loges (Loges)-comb.
Modenol (Boehringer Mannh.)-comb.
Resaltex (Procter & Gamble)-comb.
Reserpin Hameln (Hameln); wfm
Reserpin Saar (Chephasaar); wfm
Sedaraupin (Boehringer Mannh.); wfm
Serpasil (Ciba); wfm
Triniton (Apogepha)-comb.
Tri-Thiazid Reserpin (Stada)-comb.
numerous combination preparations

F: Tensionorme (Leo)-comb.

GB: Abicol (Boots)-comb.; wfm
Serpasil (Ciba); wfm
Serpasil Esidrex (Ciba)-comb.; wfm
combination preparations; wfm

I: Brinerdina (Novartis)-comb.
Igroton (Novartis)-comb.

J: numerous generic preparations
Serpasil (Ciba-Geigy-Takeda)

USA: Diupres (Merck Sharp & Dohme)-comb.
Diutensen-R (Wallace)-comb.
Hydropres (Merck Sharp & Dohme)-comb.

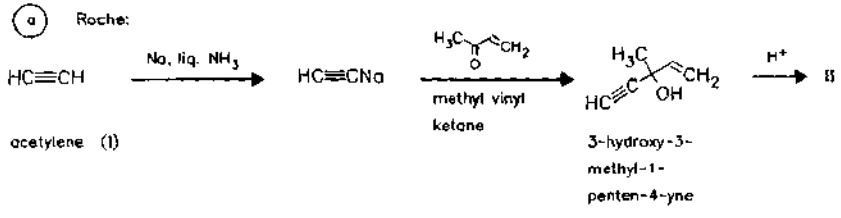
Retinol

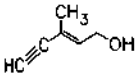
(Axerophthol; Vitamin A)

ATC: A11CA01; D10AD02; R01AX02; S01XA02
Use: epithelial protective vitamin

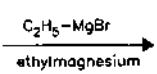
RN: 68-26-8 MF: $C_{20}H_{30}O$ MW: 286.46 EINECS: 200-683-7
LD_{50}: 1510 mg/kg (M, p.o.);
2 g/kg (R, p.o.)
CN: (*all-E*)-3,7-dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraen-1-ol

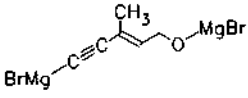
acetate
RN: 127-47-9 MF: $C_{22}H_{32}O_2$ MW: 328.50 EINECS: 204-844-2
LD_{50}: 432 mg/kg (M, i.v.); 4100 mg/kg (M, p.o.)
propionate
RN: 7069-42-3 MF: $C_{23}H_{34}O_2$ MW: 342.52 EINECS: 230-363-2
palmitate
RN: 79-81-2 MF: $C_{36}H_{60}O_2$ MW: 524.87 EINECS: 201-228-5
LD_{50}: 6060 mg/kg (M, p.o.);
7910 mg/kg (R, p.o.)



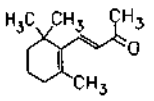


1-hydroxy-3-methyl-2-penten-4-yne (II)

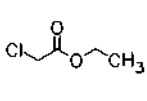




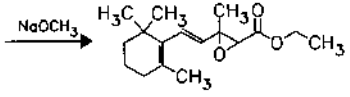
(III)



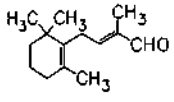
β-ionone (IV)



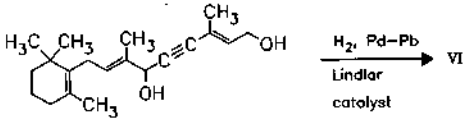
ethyl chloroacetate



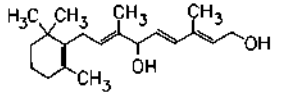
V



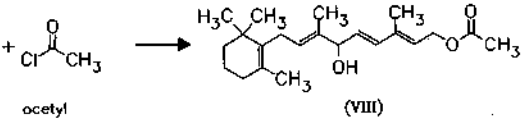
"aldehyde C_{14}" (V)



VI

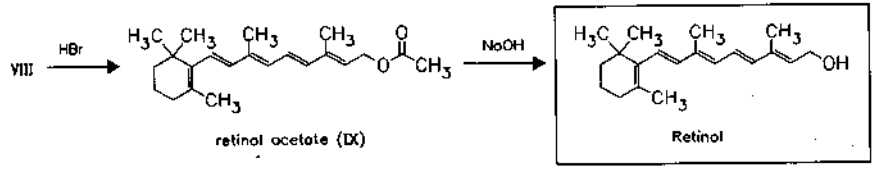


(VI)

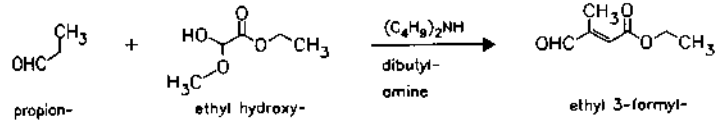


ocetyl chloride (VII)

(VIII)



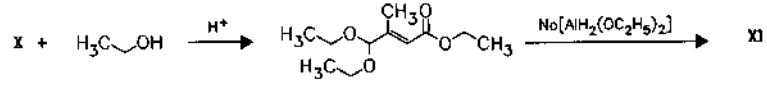
(b) BASF:



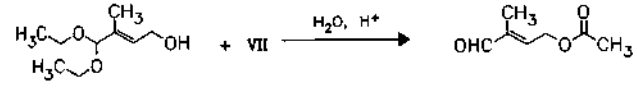
propion-aldehyde

ethyl hydroxy-methoxyacetate

ethyl 3-formyl-crotonate (X)



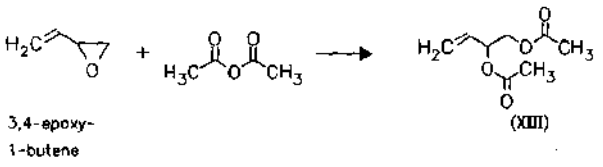
XI

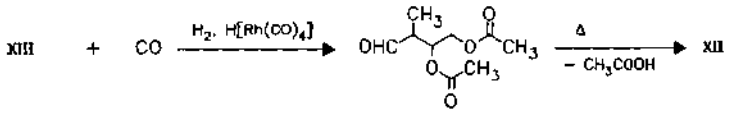


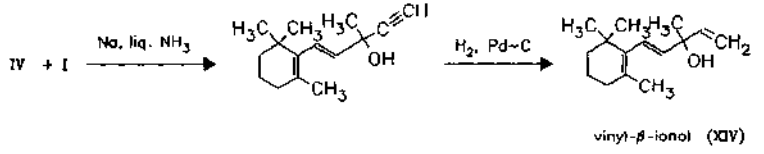
4,4-diethoxy-3-methyl-crotyl alcohol (XI)

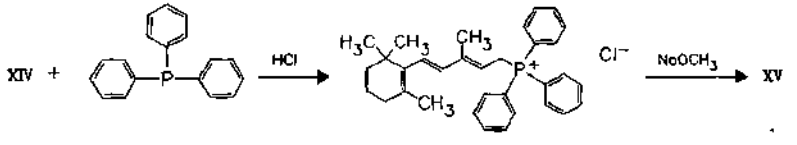
3-formylcrotyl acetate (XII)

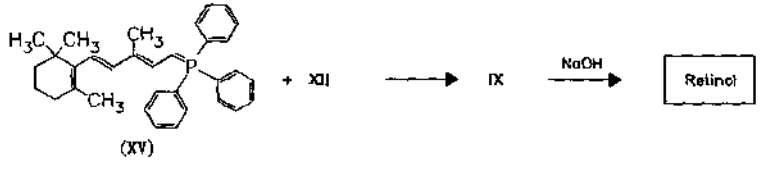
alternative synthesis:



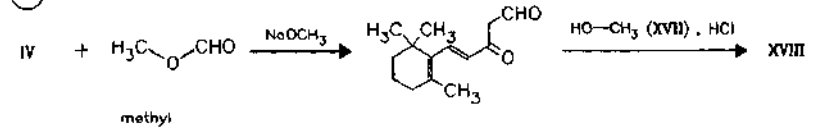


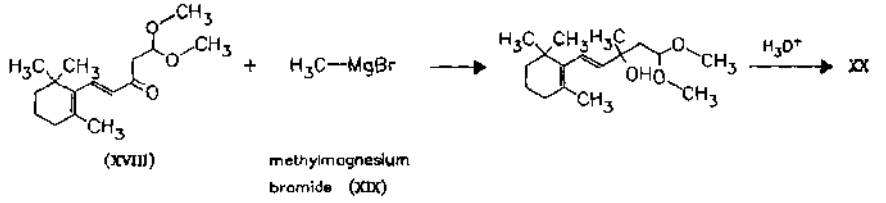


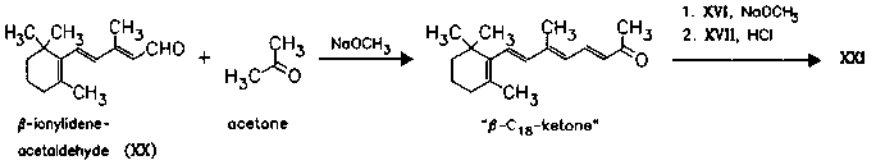


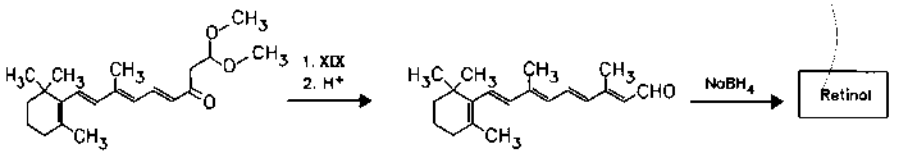


(c) A. E. C.:

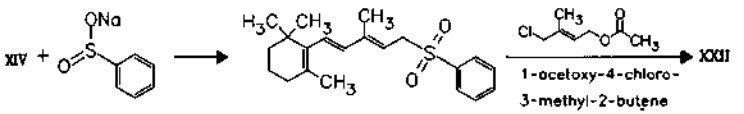




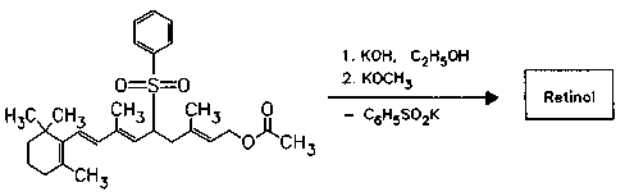




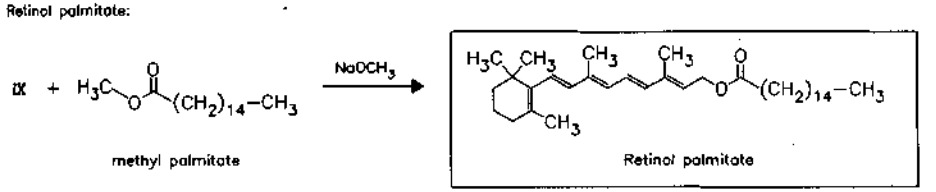
d Rhone-Poulenc:



sodium phenylsulfinate



Retinol palmitate:



Reference(s):

reviews:

Isler, O.; Brubacher, G.: Vitamine I (Fat SolubleVitamins), Thieme Verlag Stuttgart, New York 1982.

Ullmann's Encyclopedia of Industrial Chemistry, 5th Ed., Vol. **A27**, p. 453-469, VCH Verlagsges. m.b.H., Weinheim 1996.

older review:
Baxter, J.G.: Fortschr. Chem. Org. Naturst. (FCONAA) **9**, 41 (1952).

a Isler, O. et al.: Helv. Chim. Acta (HCACAV) **30**, 1911 (1947).
Isler, O. et al.: Helv. Chim. Acta (HCACAV) **32**, 489 (1949).
Isler, O.: Chimia (CHIMAD) **4**, 103 (1950).
Isler, O.: Angew. Chem. (ANCEAD) **68**, 547 (1956).
DE 839 495 (Roche; appl. 1949; CH-prior. 1945, 1947).
DE 844 596 (Roche; appl. 1949; CH-prior. 1947).
DE 842 190 (Roche; appl. 1949; CH-prior. 1946) ("C_{14}-*aldehyde*")

b Pommer, H.: Angew. Chem. (ANCEAD) **72**, 811, 911 (1960).
Pommer, H.: Angew. Chem. (ANCEAD) **89**, 437 (1977).
Reif, W.; Grassner, H.: Chem.-Ing.-Tech. (CITEAH) **45**, 646 (1973).
Freyschlag, H. et al.: Angew. Chem. (ANCEAD) **77**, 277 (1965).
DE 957 942 (BASF; appl. 1955).
DE 1 059 900 (BASF; appl. 1957).
DE 1 060 386 (BASF; appl. 1957).
DE 1 068 702 (BASF; appl. 1958).
ethinylation of β-ionone:
DE 1 081 883 (BASF; appl. 1958).
synthesis of 3-formylcrotyl acetate:
DAS 2 004 675 (BASF; appl. 1970).
EP 87 097 (BASF; appl. 14.2.1983; D-prior. 20.2.1982).

c FR 1 243 824 (A.E.C.).

d Julia, M.; Arnould, D.: Bull. Soc. Chim. Fr. (BSCFAS) **1973**, 743, 746..
DOS 2 305 267 (Rhône-Poulenc).
DAS 2 361 144 (Rhône-Poulenc; appl. 7.12.1973; F-prior. 7.12.1972, 22.12.1972).
DE 2 734 172 (Rhône-Poulenc; appl. 28.7.1977; F-prior. 28.7.1976).

Formulation(s): cps. 2500 iu, 30000 iu, 50000 iu; drg. 10000 iu (as acetate); drops 1000 iu/ml, 10000 iu/g, 40000 iu/ml; emulsion 30000 iu/ml, 300000 iu/g; gel 1000 iu/ml; ointment 250 iu/g, 10000 iu/g; tabl. 20000 iu.

Trade Name(s):

D:
A-Mulsin (Mucos)
Arovit (Roche); wfm
A-Vicotrat (Heyl)
Oculotect Augentropfen (CIBA Vision)
Ophtosan Augentropfen (Winzer)
Retinol (Ursapharm)
Solan (Winzer)
Taxofit Vitamin A (Anasco); wfm
Vitamin A Dispersa (CIBA Vision)
Vitamin A Dispersa Baeschlin (Baeschlin); wfm
Vitamin-A-Kapseln "Extracta" (Extracta); wfm
Vitamin-A-POS (Ursapharm)
Vitamin-A-Saar (Chephasaar)
Vogan (Merck); wfm

F:
A 313 (Pharmadéveloppement)
Arovit Roche (Roche)
Avibon (Théraplix)
Halivite (Whitehall)
Vitamine A Dulcis (Allergan)
Vitamine A Faure (Théa)
numerous combination preparations

GB:
Abidec (Warner-Lambert)-comb.
Dalivit drops (Eastern)-comb.
numerous combination preparations

I:
AD Pabyrn (Samil)-comb.
Adisterolo (Abiogen Pharma)-comb.
Arovit (Roche)
generics and circa 300 combination preparations

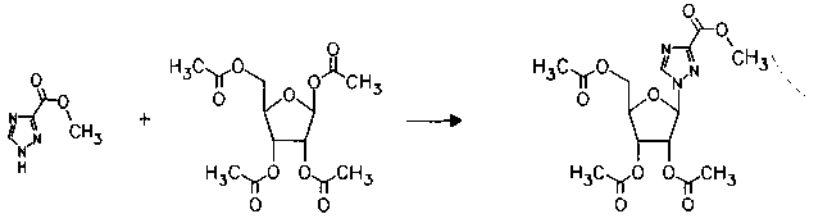
J:
numerous combination preparations

USA:
ACES (Carlson)-comb.
Aquasol A (Astra)
Lazer (Pedinol)-comb.
Materna (Lederle)-comb.
Megadose (Arco)-comb.
Vi-Daylin (Ross)-comb.
Euvitol (Bracco)
Euvitol Labra (Bracco)
Evitex (Alcon)
Haliborange (Eurospital)-comb.
Lasonil H Antiemorr. (Bayer)-comb.
Midium (Teofarma)-comb.
Repervit (IDI)
Rovigon (Roche)-comb.
Tocalfa (ASTA Medica)-comb.
Vitalipid (Pharmacia & Upjohn)

Ribavirin

ATC: J05AB04
Use: antiviral

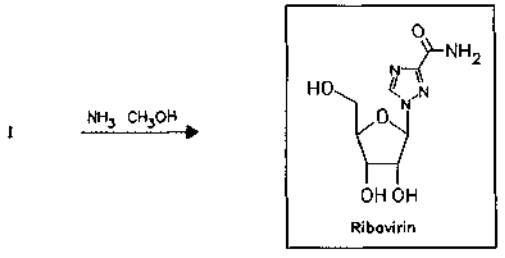
RN: 36791-04-5 MF: $C_8H_{12}N_4O_5$ MW: 244.21
LD_{50}: 2700 mg/kg (R, p.o.)
CN: 1-β-D-ribofuranosyl-1*H*-1,2,4-triazole-3-carboxamide



methyl 1,2,4-triazole-3-carboxylate

1,2,3,5-tetro-O-acetyl-β-D-ribofuranose

methyl 1-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)-1,2,4-triazole-3-carboxylate (I)



Ribavirin

Reference(s):
Witkowski, J.T.: J. Med. Chem. (JMCMAR) **15**, 1150 (1972).

alternative syntheses:
DOS 2 220 246 (ICN; appl. 25.4.1972; USA-prior. 1.6.1971, 31.3.1972).
DOS 2 441 823 (ICN; appl. 12.3.1974; USA-prior. 12.3.1973).
DOS 2 511 828 (ICN; appl. 18.3.1975; USA-prior. 18.3.1974).
JP-appl. 75 123 883 (Kyowa; appl. 15.3.1974).
US 3 976 545 (ICN; 24.8.1976; prior. 1.6.1971).
US 4 138 547 (ICN; 6.2.1979; prior. 22.12.1977).

structure and conformation:
Kreishman, G.P. et al.: J. Am. Chem. Soc. (JACSAT) **94**, 5894 (1972).

Formulation(s): powder 6 g (for preparation of inhalation solution)

Trade Name(s):
D: Virazole (ICN) I: Viramid (Alfa Wassermann) USA: Virazole (ICN)

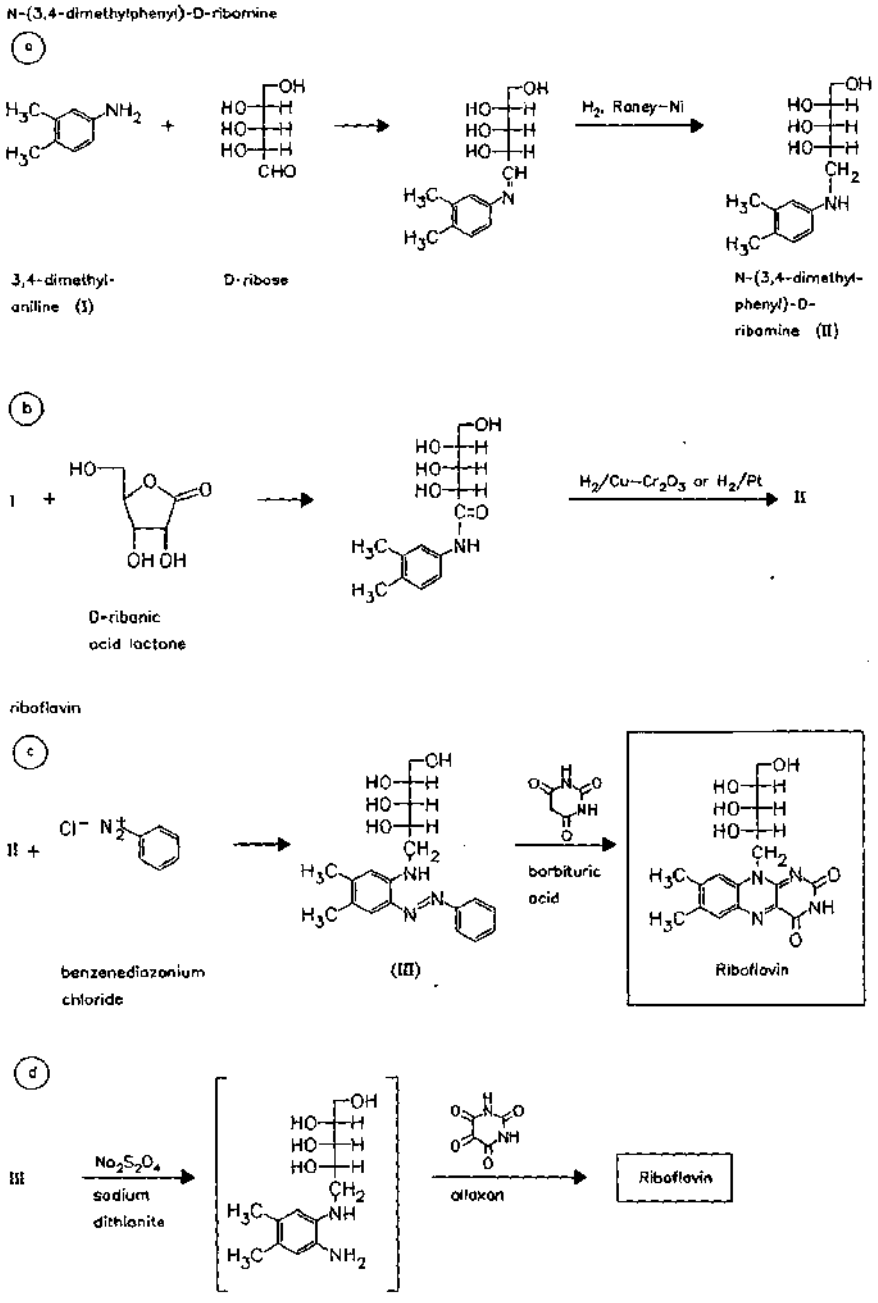
Riboflavin

(Lactoflavin; Vitamin B_2)

ATC: A11HA04
Use: vitamin

RN: 83-88-5 MF: $C_{17}H_{20}N_4O_6$ MW: 376.37 EINECS: 201-507-1
LD_{50}: 50 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: 1-deoxy-1-[3,4-dihydro-7,8-dimethyl-2,4-dioxobenzo[*g*]pteridin-10(2*H*)-yl]-D-ribitol

5'-phosphate monosodium salt
RN: 130-40-5 MF: $C_{17}H_{20}N_4NaO_9P$ MW: 478.33



Reference(s):

a US 2 384 105 (Roche; 1945; appl. 1943).

b US 2 411 611 (Roche; 1946; GB-prior. 1941).
US 2 422 997 (Roche; 1947; appl. 1944).
DAS 2 558 515 (BASF; appl. 24.12.1975) – hydrogenation on $Cu-Cr_2O_3$.
DAS 2 558 516 (BASF; appl. 24.12.1975) – hydrogenation on $Cu-Cr_2O_3$.

alternative syntheses (from 3,4-dimethylnitrobenzene):
DOS 2 650 830 (BASF; appl. 6.11.1976).

c Tishler, M. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 1487 (1947).
US 2 350 376 (Merck & Co.; 1944; appl. 1941).
US 2 370 093 (Merck & Co.; 1945; appl. 1941).
similar processes:
Tishler, M. et al.: J. Am. Chem. Soc. (JACSAT) **67**, 2165 (1945).
US 2 807 611 (Merck & Co.; 1957; appl. 1955).

d Karrer, P.; Meerwein, H.: Helv. Chim. Acta (HCACAV) **18**, 1130 (1935).
Kuhn, R.; Weygand, F.: Ber. Dtsch. Chem. Ges. (BDCGAS) **68**, 1282 (1935).

fermentative methods:
US 2 445 128 (USA-Secret. Agriculture; 1948; Anm. 1946).
US 2 483 855 (Commercial Solvents Corp.; 1949; appl. 1942).
US 2 876 169 (Grain Process. Corp.; 1959; appl. 1956).
US 4 165 250 (Merck & Co.; 21.8.1979; prior. 29.8.1975, 22.3.1976, 14.11.1977).

isolation from fermentation liquors and purification:
US 2 387 023 (Commercial Solvents Corp.; 1945; appl. 1944).
US 2 421 142 (Commercial Solvents Corp.; 1947; appl. 1944).
US 2 367 646 (Commercial Solvents Corp.; 1945; appl. 1943).
US 2 571 896 (Merck & Co.; 1951; appl. 1945).
US 2 797 215 (Commercial Solvents Corp.; 1957; prior. 1951, 1955).
US 4 165 250 (Merck & Co.; 21.8.1979; prior. 29.8.1975, 22.3.1976, 14.11.1977).

Formulation(s): amp. 10 mg/2 ml, 20 mg/ml (as 5'-phosphate monosodium salt); drg. 10 mg; tabl. 10 mg

Trade Name(s):

D:
Biovital (Dr. Schieffer)-comb.
B-Komplex-Vicotrat (Heyl)
BVK Roche (Roche Nicholas)
Doppelherz (Quiesser Pharma)
Eunova (SmithKline Beecham OTC Medicines)
Kendural (Abbott)
Merz Spezial Dragees (Merz & Co.)
Multibionta (Merck Produkte)
Multi Sanostol (Roland)
Polybion (Merck)
Tai Ginseng (Dr. Poehlmann)
Vita Buerlecithin (Roland)-comb.
and circa 300 combination preparations

F:
Alvityl (Solvay Pharma)-comb.
B-Chabre (ATC Pharma)-comb.
Bécozyme (Roche)-comb.
Beflavine (Roche)
Capsules Pharmaton (Boehringer Ing.)-comb.
Carencyl (Riom)-comb.
Glutamag Vitaminé (Euform)-comb.
Hydrosol polyvitaminé Labaz (Labaz)-comb.
Hydrosol polyvitaminé Roche (Roche)-comb.
Nutrigène (GNR-pharma)-comb.
Plurifactor (Gomenol)-comb.
Renutryl 500 (Nestlé clinical)-comb.
Survitine (Roche Nicholas)-comb.
Vitamine C-B_2 Lemoine (Lemoine)-comb.
Vivamyne (Whitehall)-comb.
numerous combination preparations

GB:
only combination preparations:
Abidec (Warner-Lambert)
Dalivit Drops (Paines & Byrne)
Ketovite (Paines & Byrne)
Pabrinex (Link)

I:
following vitaminous combination preparations:
Becozym (Roche)
Berocca (Roche)
Betacomplesso (Medosan)
Betotal (Pharmacia & Upjohn)
Diagran (Bristol-Myers Squibb)
Emazian (Bioindustria)
Emoantitossina (Piam)
Idropan B (Lisapharma)
Idroplurivit (Menarini)
Ipavit (IPA)
Katabios (SIT)
Neocromaton (Menarini)
Plexoton B12 (Coli)
Priovit (SIT)
Sincrivit (AGIPS)
Vinutro Drops (Bergamon)
Vitalerina (Polifarma)
Vitamax (Medosan)
Vitate (SIT)
Viterra (Pfizer)

J:
numerous combination preparations

USA:
Mega-B (Arco)

Ribostamycin

(Ribostamin)

ATC: J01GB10
Use: antibiotic

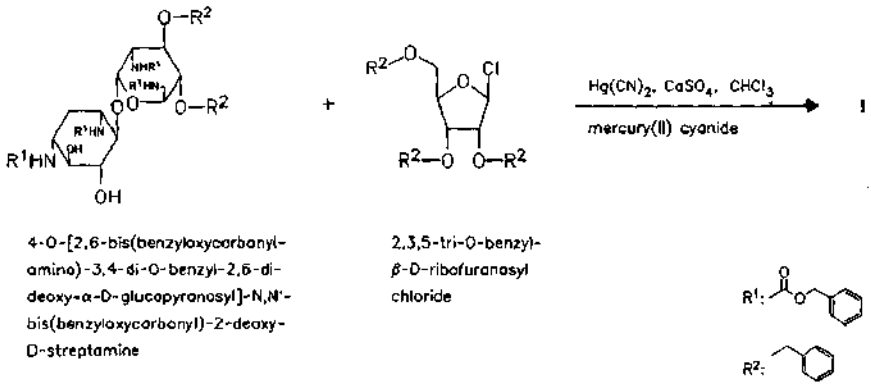
RN: 25546-65-0 MF: $C_{17}H_{34}N_4O_{10}$ MW: 454.48 EINECS: 247-091-5
LD_{50}: 300 mg/kg (M, i.v.); 7 g/kg (M, p.o.);
535 mg/kg (R, i.v.); >10 g/kg (R, p.o.)
CN: *O*-2,6-diamino-2,6-dideoxy-α-D-glucopyranosyl(1→4)-*O*-[β-D-ribofuranosyl-(1→5)]-2-deoxy-D-streptamine

sulfate

RN: 53797-35-6 MF: $C_{17}H_{34}N_4O_{10} \cdot xH_2SO_4$ MW: unspecified EINECS: 258-783-1
LD_{50}: 210 mg/kg (M, i.v.); >7 g/kg (M, p.o.);
375 mg/kg (R, i.v.); >7 g/kg (R, p.o.)

(a) by fermentation from Streptomyces ribosidicus (ATCC 21294)

(b)



4-O-[2,6-bis(benzyloxycarbonylamino)-3,4-di-O-benzyl-2,6-dideoxy-α-D-glucopyranosyl]-N,N'-bis(benzyloxycarbonyl)-2-deoxy-D-streptamine

2,3,5-tri-O-benzyl-β-D-ribofuranosyl chloride

(I)

Reference(s):

a DE 1 814 735 (Meiji Seika Kaisha; appl. 14.12.1968; J-prior. 15.10.1968, 18.12.1967).
Shomura, T. et al.: J. Antibiot. (JANTAJ) **23**, 155 (1970).
US 3 661 892 (Meiji Seika; appl. 3.12.1968; J-prior. 18.12.1967).
US 3 799 842 (Meiji Seika; USA-prior. 1.6.1970; J-prior. 15.10.1968, 18.12.1967).

b DOS 2 104 129 (Meiji Seika Kaisha; appl. 29.1.1971; J-prior. 2.2.1970).

alternative syntheses:
DOS 2 537 688 (Hoechst; appl. 23.8.1975).
JP 54 008 792 (Shionogi; appl. 16.6.1977).
JP 53 201 155 (Suami; appl. 10.8.1976).
Suami, T. et al.: Carbohydr. Res. (CRBRAT) **56**, 415 (1977) and literature cited therein.

total synthesis:
Fukami, H. et al.: Agric. Biol. Chem. (ABCHA6) **41**, 1689 (1977).

Formulation(s): vial 0.5 g, 1 g (as sulfate)

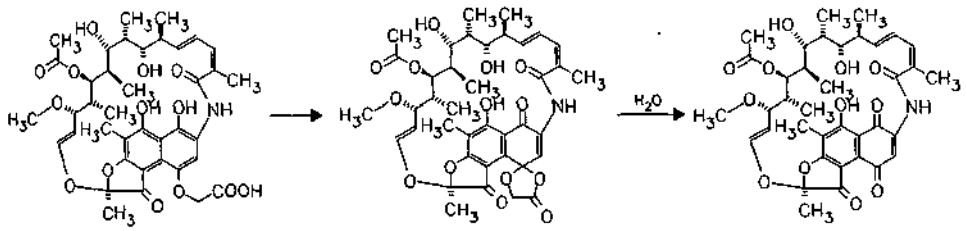
Trade Name(s):

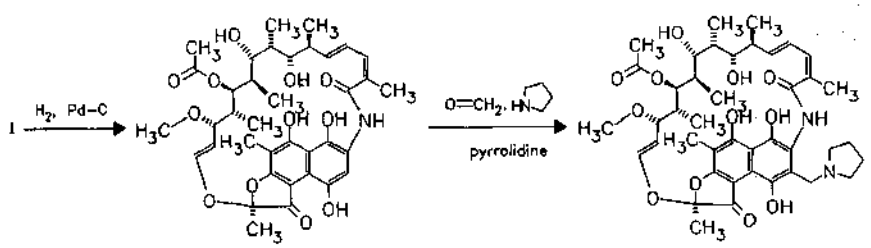
D:	Landamycine (Delalande; 1977); wfm	F:	Ribomycin (Delalande); wfm	I:	Ibistacin (IBI); wfm
				J:	Vistamycin (Meiji; 1972)

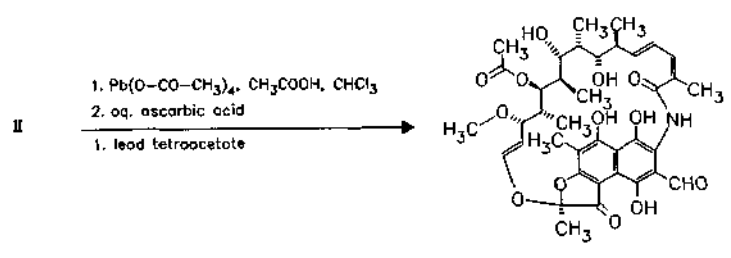
Rifampicin

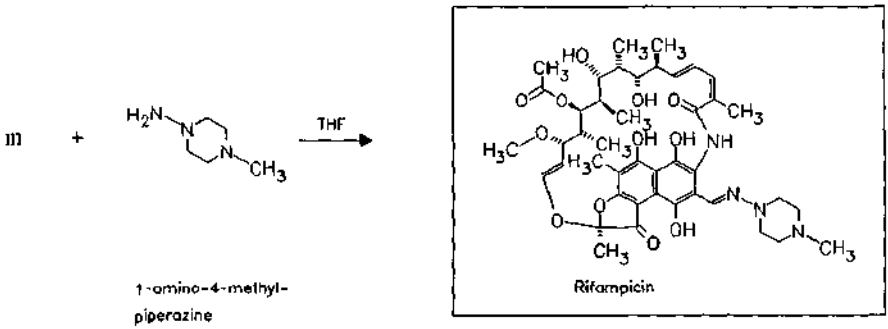
ATC: J04AB02
Use: antibiotic (tuberculosis agent)

RN: 13292-46-1 MF: $C_{43}H_{58}N_4O_{12}$ MW: 822.95 EINECS: 236-312-0
LD_{50}: 260 mg/kg (M, i.v.); 500 mg/kg (M, p.o.);
1570 mg/kg (R, p.o.)
CN: 3-[[(4-methyl-1-piperazinyl)imino]methyl]rifamycin









Reference(s):
Maggi, N. et al.: Chemotherapia (CMTRAG) **11**, 285 (1966).
US 3 342 810 (Lepetit; 19.9.1967; GB-prior. 31.7.1964).
DAS 1 795 567 (Lepetit; appl. 28.7.1965; GB-prior. 31.7.1964).

alternative syntheses:
DOS 2 846 321 (Holco; appl. 24.10.1978; GB-prior. 25.11.1977).

fermentative production of rifamycin B:
DE 1 089 513 (Lepetit; appl. 11.8.1959; GB-prior. 12.8.1958).

Formulation(s): cps. 150 mg, 300 mg; drg. 150 mg, 300 mg, 450 mg, 600 mg; f. c. tabl. 150 mg, 300 mg, 450 mg, 600 mg; syrup 100 mg/5 ml; vial 600 mg

Trade Name(s):

D:
Eremfat (Fatol)
Rifa (Grünenthal; 1970)
Rifampicin-Hefa (Hefa Pharma)
Rifater (Grünenthal)-comb.
Rifinah (Grünenthal)-comb.

F:
Rifadine (Marion Merrell SA; 1969)
Rifater (Marion Merrell SA)-comb.
Rifinah (Marion Merrell SA)-comb.
Rimactan (Novartis Pharma SA; 1969)

GB:
Rifadin (Hoechst; 1969)
Rifater (Hoechst)-comb. with isoniazid
Rifinah (Hoechst)-comb. with isoniazid
Rimactane (Ciba; 1969)
Rimactazid (Ciba)-comb. with isoniazid

I:
Rifadin (Lepetit)
Rifapiam (Piam)
Rifinah (Lepetit)-comb. with isoniazid

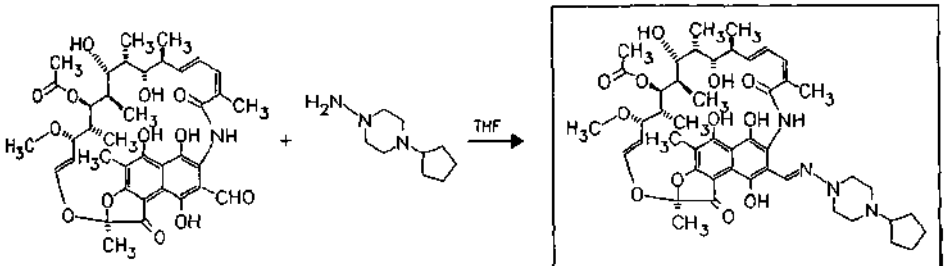
J:
Rifadin (Daiichi)
Rimactane (Ciba-Geigy-Fujisawa)

USA:
Rifadin (Hoechst Marion Roussel; 1970)
Rifamate (Hoechst Marion Roussel; 1976)-comb. with isoniazid
Rifater (Hoechst Marion Roussel)
Rimactazid (Ciba)-comb. with isoniazid

Rifapentine

Use: antibacterial

RN: 61379-65-5 MF: $C_{47}H_{64}N_4O_{12}$ MW: 877.05 EINECS: 262-743-9
CN: 3-[[(4-Cyclopentyl-1-piperazinyl)imino]methyl]rifamycin



Reference(s):
DE 2 608 218 (Gruppo Lepetit; GB-prior. 5.3.1975).
Traxler, P.; Kümp, W.; Mueller, K.; Tosch, W.: J. Med. Chem. (JMCMAR) **33**, 552 (1990)

Formulation(s): tabl 150 mg

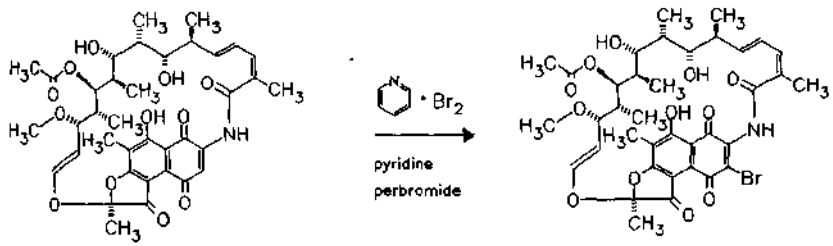
Trade Name(s):
USA: Priftin (Hoechst Marion Roussel; 1998)

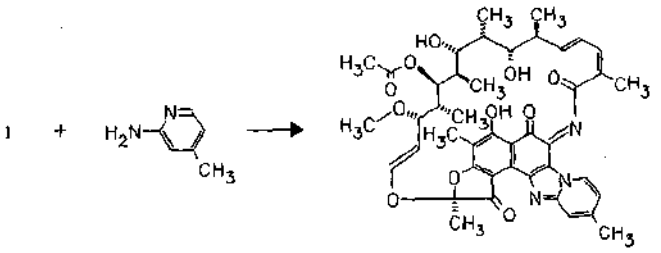
Rifaximin

(L-105)

ATC: A07AA11; D06AX11
Use: antibiotic, antibacterial

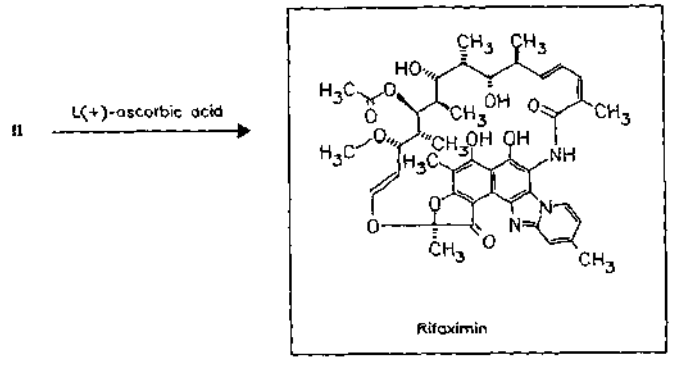
RN: 80621-81-4 MF: $C_{43}H_{51}N_3O_{11}$ MW: 785.89
LD_{50}: >2 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: [2*S*-(2*R**,16*Z*,18*E*,20*R**,21*R**,22*S**,23*S**,24*S**,25*R**,26*S**,27*R**,28*E*)]-25-(acetyloxy)-5,6,21,23-tetrahydroxy-27-methoxy-2,4,11,16,20,22,24,26-octamethyl-2,7-(epoxypentadeca[1,11,13]trienimino)benzofuro[4,5-*e*]pyrido[1,2-*a*]benzimidazole-1,15(2*H*)-dione





2-amino-4-methyl-pyridine

(II)



Reference(s):
DE 3 120 460 (Alfa Farm.; appl. 22.5.1981; I-prior. 22.5.1980).
US 4 341 785 (Alfa Farm.; 27.7.1982; I-prior. 22.5.1980).
Marchi, E. et al.: J. Med. Chem. (JMCMAR) **28**, 960 (1985).

alternative synthesis:
EP 161 534 (Alfa Farm.; appl. 19.4.1985; I-prior. 15.5.1984).

synthesis of 3-bromorifamycin S:
US 4 179 438 (Alfa Farm.; 18.12.1979; I-prior. 29.11.1977).

Formulation(s): ointment 5 %; susp. 2 %; tabl. 200 mg

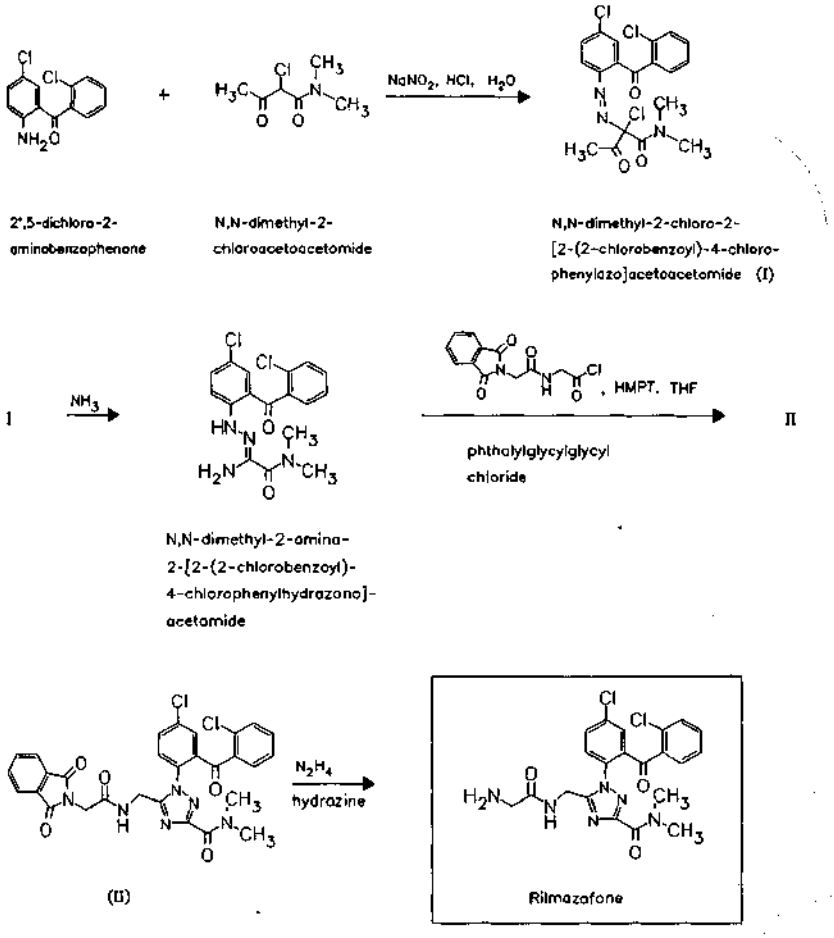
Trade Name(s):
I: Dermadis (Farmades) Redactiv (Alfa Rifacol (Formenti)
Normix (Alfa Wassermann) Wassermann)

Rilmazafone

ATC: N05B; N05C
Use: hypnotic, anxiolytic, treatment of neurotic insomnia, ring-opened benzodiazepine

RN: 99593-25-6 MF: $C_{21}H_{20}Cl_2N_6O_3$ MW: 475.34
LD_{50}: 72 mg/kg (M, i.p.); 540 mg/kg (M, p.o.); 620 mg/kg (M, s.c.); 91 mg/kg (R, i.p.); 680 mg/kg (R, p.o.); 1600 mg/kg (R, s.c.)
CN: 5-[[(aminoacetyl)amino]methyl]-1-[4-chloro-2-(2-chlorobenzoyl)phenyl]-*N*,*N*-dimethyl-1*H*-1,2,4-triazole-3-carboxamide

monohydrochloride
RN: 85815-37-8 MF: $C_{21}H_{20}Cl_2N_6O_3 \cdot HCl$ MW: 511.80



Reference(s):
DE 2 725 164 (Shionogi; appl. 6.3.1977; J-prior. 6.4.1976).
US 4 159 374 (Shionogi; 26.6.1979; appl. 6.3.1977; J-prior. 6.4.1976).
Hirai, K. et al.: J. Heterocycl. Chem. (JHTCAD) **19**, 1363 (1982).

Formulation(s): tabl. 1 mg, 2 mg

Trade Name(s):
J: Rhythmy (Shionogi; 1989)

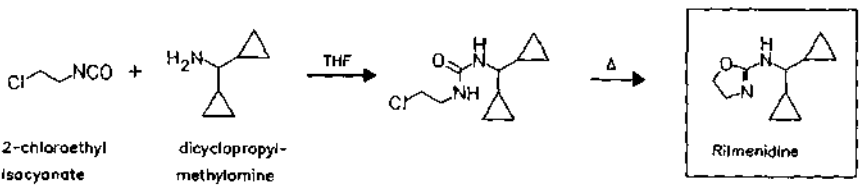
Rilmenidine

(Oxaminozolin; S-3341)

ATC: C02AC06
Use: antihypertensive, α_2-adrenoceptor agonist

RN: 54187-04-1 MF: $C_{10}H_{16}N_2O$ MW: 180.25 EINECS: 259-021-0
LD_{50}: 24 mg/kg (M, i.v.)
CN: *N*-(dicyclopropylmethyl)-4,5-dihydro-2-oxazolamine

(*E*)-2-butendioate (1:1)
RN: 54249-57-9 MF: $C_{10}H_{16}N_2O \cdot C_4H_4O_4$ MW: 296.32
dihydrogen phosphate
RN: 85409-38-7 MF: $C_{10}H_{16}N_2O \cdot H_3PO_4$ MW: 278.25



Reference(s):
DE 2 362 754 (Sci. Union et Cie., Soc. Franç. de Recherche Medicale; appl. 17.12.1973; GB-prior. 28.12.1972).
US 3 988 464 (Sci. Union et Cie., Soc. Franç. de Recherche Medicale; 26.10.1976; appl. 26.12.1973; F-prior. 28.12.1972).
US 4 102 890 (Sci. Union et Cie., Soc. Franç. de Recherche Medicale; 25.7.1978; appl. 11.8.1976; F-prior. 26.12.1973; prior. 28.12.1972).

synthesis of dicyclopropylmethylamine:
Corrodi, H.: Helv. Chim. Acta (HCACAV) **46**, 1059 (1963).
Timberlake, J.; Martin, J.C.: J. Org. Chem. (JOCEAH) **33**, 4054 (1968).

Formulation(s): tabl. 1 mg (as dihydrogen phosphate)

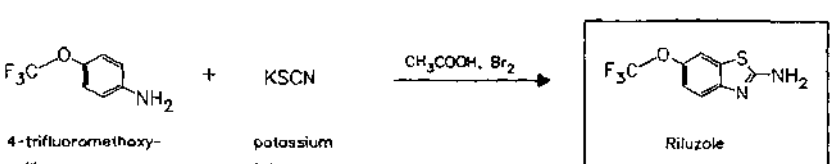
Trade Name(s):
F: Hyperium (Biopharma; Servier; 1988)

Riluzole

(PK-26124; RP-54274)

ATC: N07XX02
Use: anticonvulsant, glutamate release inhibitor

RN: 1744-22-5 MF: $C_8H_5F_3N_2OS$ MW: 234.20
LD_{50}: 67 mg/kg (M, p.o.)
CN: 6-(trifluoromethoxy)-2-benzothiazolamine



Reference(s):
EP 50 551 (Pharmindustrie; appl. 9.10.1981; F-prior. 17.10.1980).

use to treat mitochondrial disorders:
FR 2 714 828 (Rhône-Poulenc Rorer; F-prior. 12.1.1994).

use to treat neurological symptoms with HIV infections:
FR 2 702 148 (Rhône-Poulenc Rorer; F-prior. 5.3.1993).

use as radioprotector:
FR 2 700 116 (Rhône-Poulenc Rorer; F-prior. 7.1.1993).

use to treat Parkinson's disease:
FR 2 700 117 (Rhône-Poulenc Rorer; F-prior. 7.1.1993).

use to treat neurological disorders:
WO 9 413 288 (Rhône-Poulenc Rorer; appl. 10.12.1993; F-prior. 16.12.1992).

use to treat motor-neuron diseases:
EP 558 861 (Rhône-poulenc Rorer; appl. 22.10.1992; F-prior. 6.3.1992).

use to treat schizophrenia:
EP 305 276 (Rhône-Poulenc Rorer; appl. 18.8.1988; F-prior. 25.8.1987).

use to treat depression:
EP 3 052 277 (Rhône-Poulenc Rorer; appl. 18.8.1988; F-prior. 25.8.1987).

use to treat amyotrophic lateral sclerosis:
WO 9 715 304 (Sanofi; appl. 25.10.1996; F-prior. 13.6.1996).

Formulation(s): f. c. tabl. 50 mg

Trade Name(s):

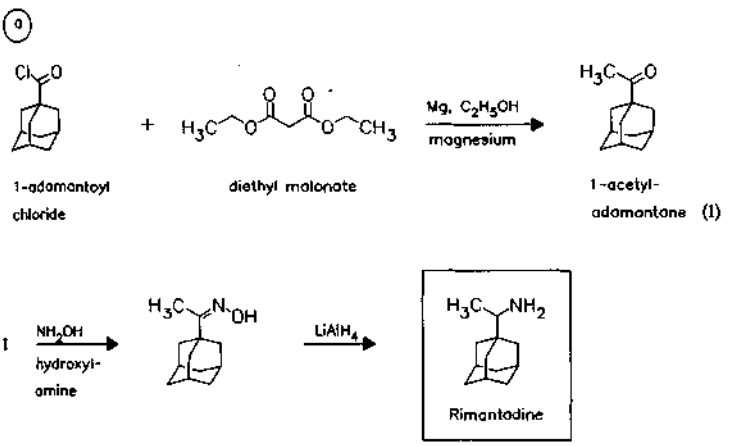
D:	Rilutek (Rhône-Poulenc Rorer)	GB:	Rilutek (Rhône-Poulenc Rorer)	USA:	Rilutek (Rhône-Poulenc Rorer)
F:	Rilutek (Specia; Rhône-Poulenc Rorer)	I:	Rilutek (Rhône-Poulenc Rorer)		

Rimantadine

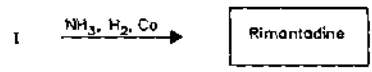
ATC: J05AC02
Use: antiviral

RN: 13392-28-4 MF: $C_{12}H_{21}N$ MW: 179.31
CN: α-methyltricyclo[3.3.1.1^{3,7}]decane-1-methanamine

hydrochloride
RN: 1501-84-4 MF: $C_{12}H_{21}N \cdot HCl$ MW: 215.77
LD_{50}: 640 mg/kg (R, p.o.)



(b)



Reference(s):
DE 1 468 769 (Du Pont; appl. 18.7.1964; USA-prior. 24.7.1963).
US 3 352 912 (Du Pont; 14.11.1967; prior. 18.6.1964, 24.7.1963).

alternative synthesis:
EP 178 668 (Du Pont; appl. 17.10.1985; USA-prior. 19.10.1984).

Formulation(s): syrup 50 mg/5 ml; tabl. 100 mg (as hydrochloride)

Trade Name(s):
F: Roflual (Hoffmann-La Roche; 1988); wfm
USA: Flumadine (Forest)

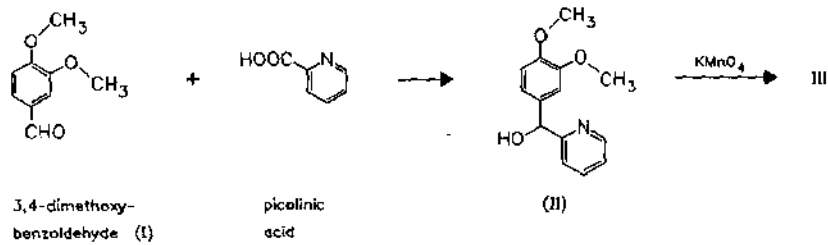
Rimiterol

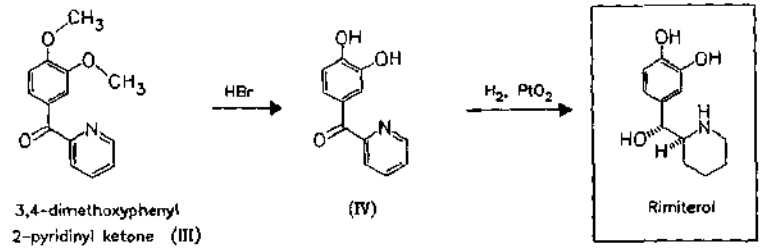
ATC: R03AC05
Use: bronchodilator

RN: 32953-89-2 MF: $C_{12}H_{17}NO_3$ MW: 223.27 EINECS: 251-305-2
CN: (*R**,*S**)-4-(hydroxy-2-piperidinylmethyl)-1,2-benzenediol

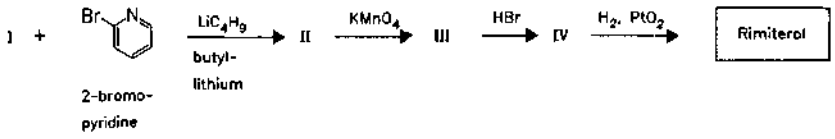
hydrobromide
RN: 31842-61-2 MF: $C_{12}H_{17}NO_3 \cdot HBr$ MW: 304.18 EINECS: 250-834-6

(a)





(b)



Reference(s):
a DAS 2 024 049 (Minnesota 3M; appl. 16.5.1970; GB-prior. 20.5.1969).
b US 3 705 169 (Smith Kline & French; 5.12.1972; prior. 8.10.1969, 5.11.1970).

Formulation(s): inhalation aerosol 10 mg, 0.2 mg/dose (as hydrobromide)

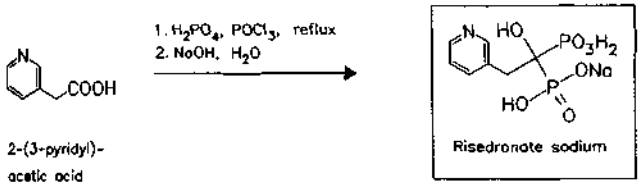
Trade Name(s):
GB: Pulmadil (Riker); wfm

Risedronate sodium

Use: bone resorption inhibitor, bisphosphonate

RN: 115436-72-1 MF: $C_7H_{10}NNaO_7P_2$ MW: 305.10
CN: [1-Hydroxy-2-(3-pyridinyl)ethylidene]bis-[phosphonic acid] monosodium salt

acid
RN: 105462-24-6 MF: $C_7H_{11}NO_7P_2$ MW: 283.11



Reference(s):
EP 186 405 (Procter and Gamble Co.; appl. 16.12.1985; USA-prior. 21.12.1984).
WO 9 211 269 (Huhtamaki Oy; appl. 18.12.1991; FI-prior. 20.12.1990).

oral enteric-coated sustained-release compositions:
WO 9 309 785 (Procter and Gamble Pharm.; USA-prior. 22.11.1991).

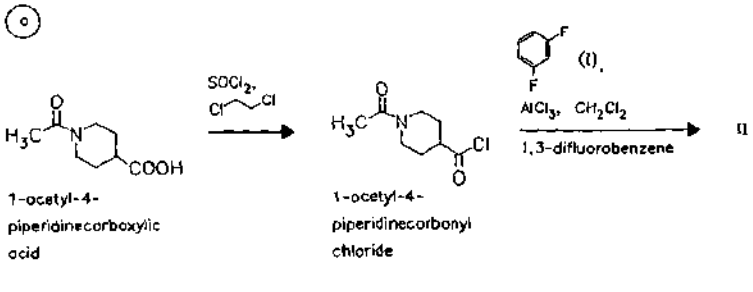
Formulation(s): tabl. 30 mg (as sodium hemipentahydrate)

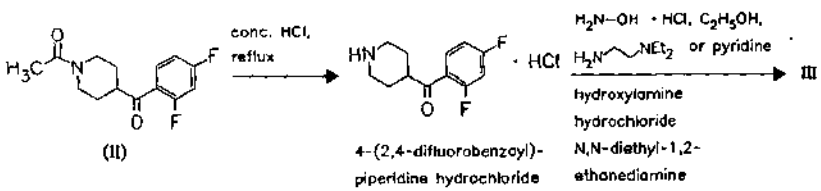
Trade Name(s):
USA: Actonel (Procter & Gamble; 1998)

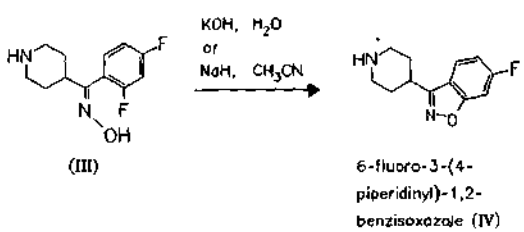
Risperidone

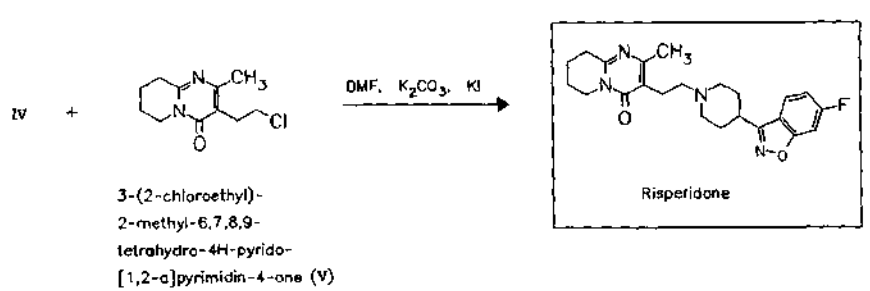
ATC: N05AX08
Use: antipsychotic, 5-HT_2-antagonist, dopamine-D_2-antagonist

RN: 106266-06-2 MF: $C_{23}H_{27}FN_4O_2$ MW: 410.49
LD_{50}: 34.3 mg/kg (R, i. v.); 56.6 mg/kg (R, p. o.); 26.9 mg/kg (M, i. v.); 63.1 mg/kg (M, p. o.); 14.1 mg/kg (dog, i. v.); 18.3 mg/kg (dog, p. o.)
CN: 3-[2-[4-(6-Fluoro-1,2-benzisoxazol-3-yl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-4*H*-pyrido[1,2-*a*]pyrimidin-4-one

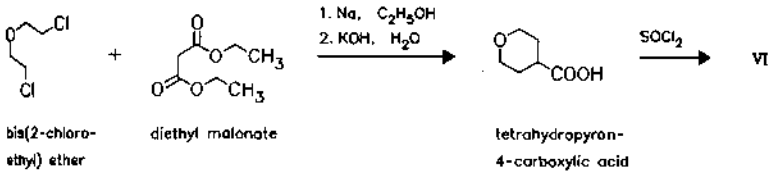








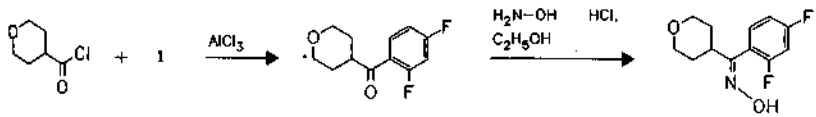
(b)



bis(2-chloro-ethyl) ether

diethyl malonate

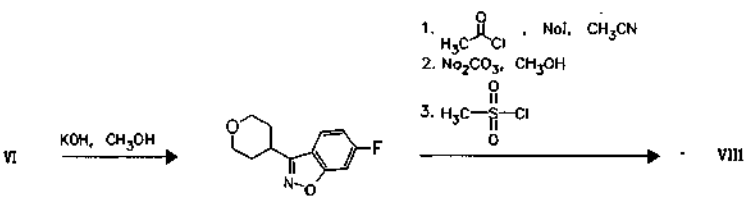
tetrahydropyran-4-carboxylic acid



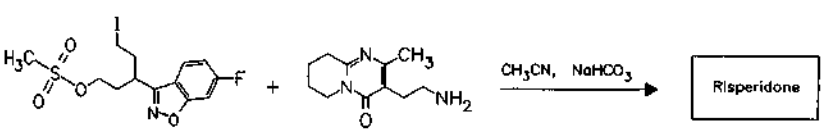
tetrahydropyran-4-carbonyl chloride (VI)

(2,4-difluorophenyl)-(tetrahydropyran-4-yl)methanone

(VII)

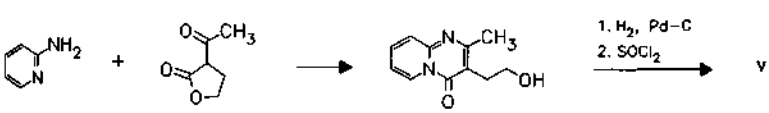


6-fluoro-3-(tetrahydropyron-4-yl)-1,2-benz-isoxazole



(VIII) (IX)

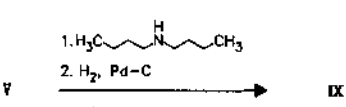
preparation of intermediates V and IX



2-amino-pyridine

2-acetyl-butyrolactone

3-(2-hydroxyethyl)-2-methyl-4H-pyrido-[1,2-a]pyrimidin-4-one



Reference(s):

a EP 196 132 (Janssen Pharmaceutica N. V.; appl. 13.3.1986; USA-prior. 27.3.1985; 5.2.1986).

b ES 2 074 966 (Vita-invest, S. A.; appl. 16.9.1995; E-prior. 11.2.1994).

preparation of 1-acetyl-4-piperidinecarbonylchloride:
Strupczewski, J.T.; Allen, R.C.; Gardner, B.A.; Schmid, B.L.; Stache, U.: J. Med. Chem. (JMCMAR) **28** (6), 761 (1985).

preparation of tetrahydropyran-4-carboxylic acid:
Radiszewski, J.G.; Kaszynski, P.; Littmann, D.; Balaji, V; Hess, B.A.; Michl, J.: J. Am. Chem. Soc. (JACSAT) **115** (18), 8401 (1993)
Straessler, C.; Linden, A.; Heimgartner, H.: Helv. Chim. Acta (HCACAV) **80** (5), 1528 (1997)
Angelastro, M.R.; Baugh, L.E; Bey, P.; Burkhardt, J.P; Chen Teng-Man; J. Med. Chem. (JMCMAR) **37** (26), 4538 (1994)

preparation of 3-(2-hydroxyethyl)-2-methylpyrido[1,2-*a*]pyrimidin-4-one:
Willenbrock et al.: Justus Liebigs Ann. Chem. (JLACBF) **1973**, 107, 108, 109

Formulation(s): f. c. tabl. 1 mg, 2 mg, 3 mg, 4 mg; sol. 100 ml 1 mg/ml

Trade Name(s):

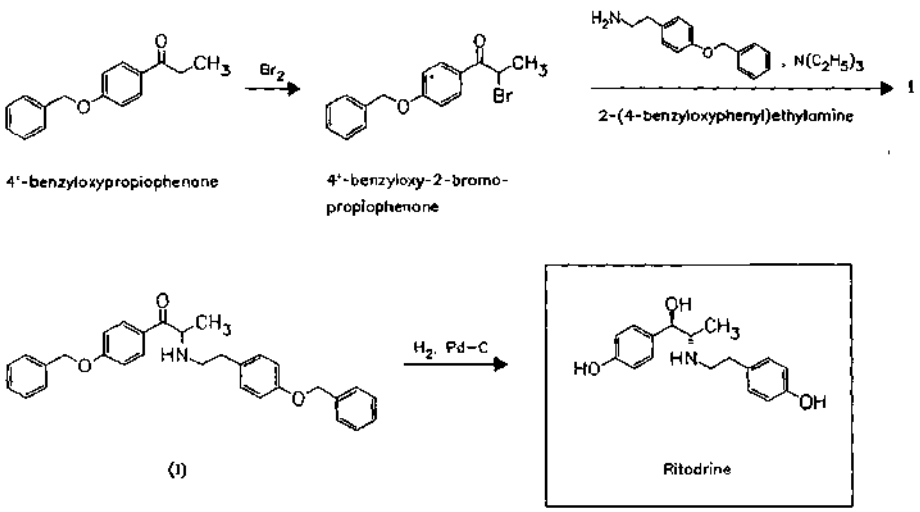
D:	Risperdal (Janssen-Cilag) Risperdal (Organon)	I:	Belivon (Organon) Risperdal (Janssen-Cilag)	USA:	Risperdal (Janssen Pharmac.)
GB:	Risperdal (Janssen-Cilag)	J:	Risperdal (Janssen-Kyowa)		

Ritodrine

ATC: G02CA01
Use: uterus relaxant

RN: 26652-09-5 MF: $C_{17}H_{21}NO_3$ MW: 287.36 EINECS: 247-879-9
CN: (*R**,*S**)-4-hydroxy-α-[1-[[2-(4-hydroxyphenyl)ethyl]amino]ethyl]benzenemethanol

hydrochloride
RN: 23239-51-2 MF: $C_{17}H_{21}NO_3 \cdot HCl$ MW: 323.82 EINECS: 245-514-8
LD_{50}: 69 mg/kg (M, i.v.); 687 mg/kg (M, p.o.);
83 mg/kg (R, i.v.); 1840 mg/kg (R, p.o.);
128 mg/kg (dog, i.v.); 2458 mg/kg (dog, p.o.)



Reference(s):
US 3 410 944 (Philips; 12.11.1968; NL-prior. 27.2.1964).

Formulation(s): amp. 50 mg/5 ml; s. r. cps. 40 mg; tabl. 10 mg (as hydrochloride)

Trade Name(s):

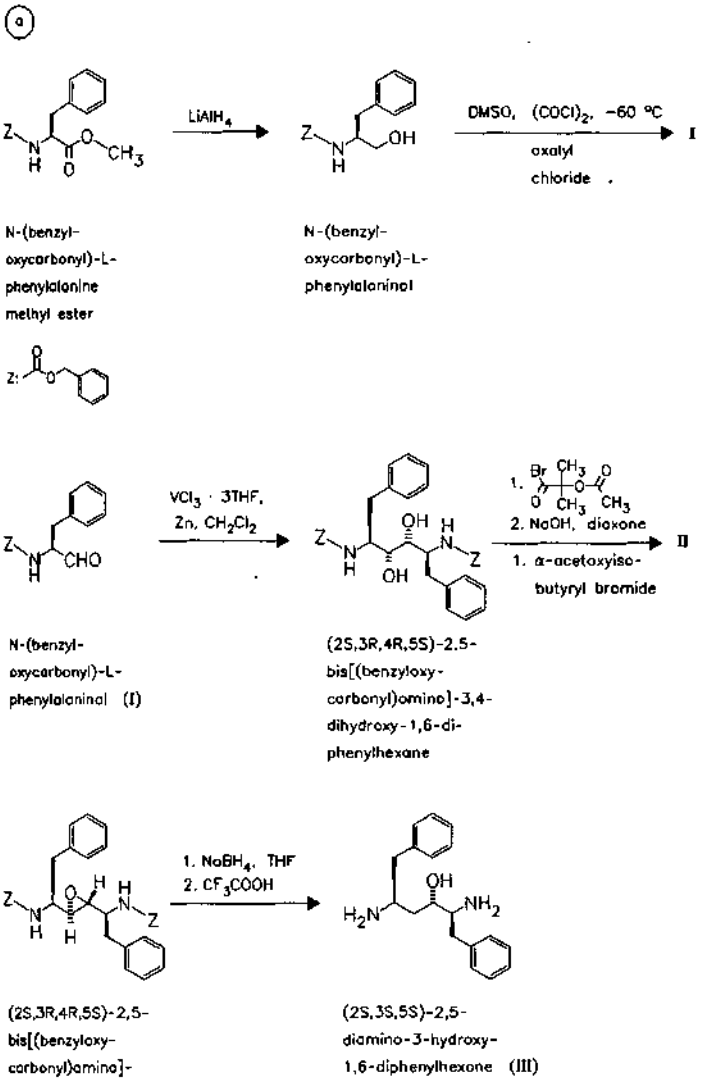
D:	Pre-par (Solvay Arzneimittel)	GB:	Yutopar (Solvay)	J:	Miolene (Lusofarmaco) Utemerin (Kissei)
F:	Pre-Par (Solvay Pharma)	I:	Miolene (Lusofarmaco) Prepar (Solvay Pharma)	USA:	Yutopar (Astra)

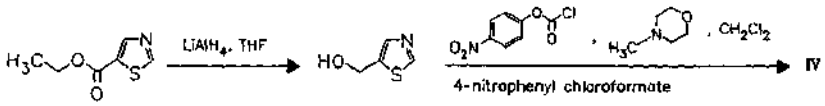
Ritonavir

(A-84538; ABT-538)

ATC: J05AE03
Use: antiviral, HIV-1-protease inhibitor

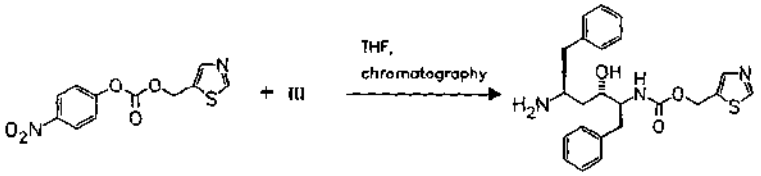
RN: 155213-67-5 MF: $C_{37}H_{48}N_6O_5S_2$ MW: 720.96
CN: [5*S*-(5*R**,8*R**,10*R**,11*R**)]-10-hydroxy-2-methyl-5-(1-methylethyl)-1-[2-(1-methylethyl)-4-thiazolyl]-3,6-dioxo-8,11-bis(phenylmethyl)-2,4,7,12-tetraazatridecan-13-oic acid 5-thiazolylmethyl ester





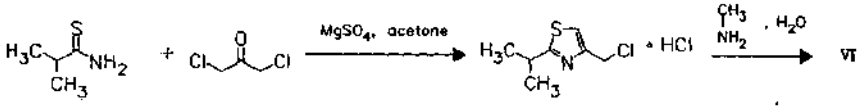
ethyl thiazole-5-carboxylate

5-(hydroxymethyl)-thiazole



5-thiazolylmethyl 4-nitrophenyl carbonate (IV)

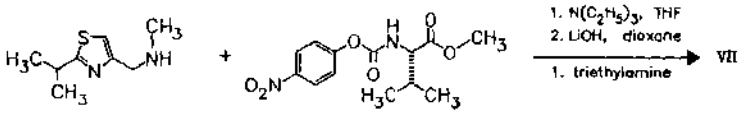
(2S,3S,5S)-5-amino-2-(5-thiazolylmethoxycarbonylamino)-3-hydroxy-1,6-diphenylhexane (V)



2-methylpropanethioamide

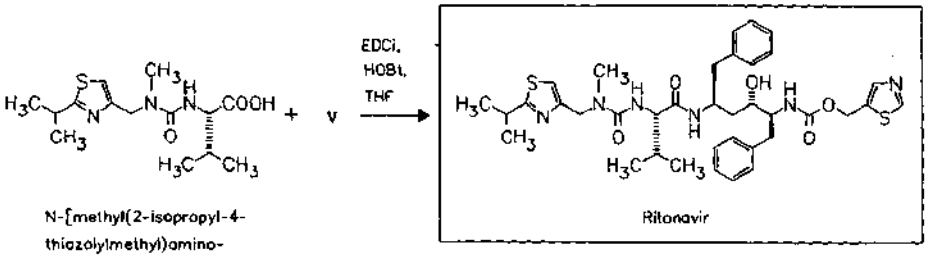
1,3-dichloroacetone

4-(chloromethyl)-2-isopropylthiazole hydrochloride

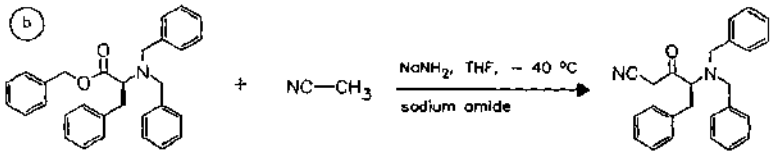


2-isopropyl-4-(methylaminomethyl)thiazole (VI)

N-(4-nitrophenyloxycarbonyl)-L-valine methyl ester

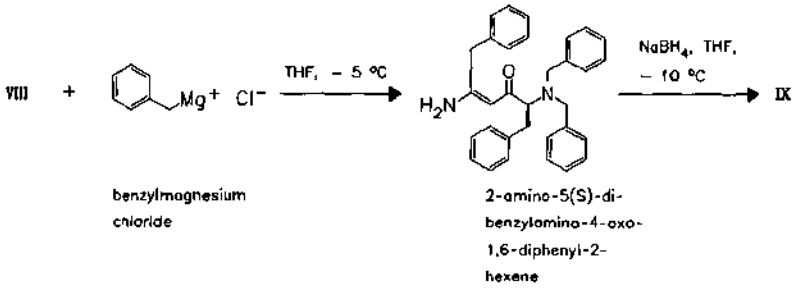


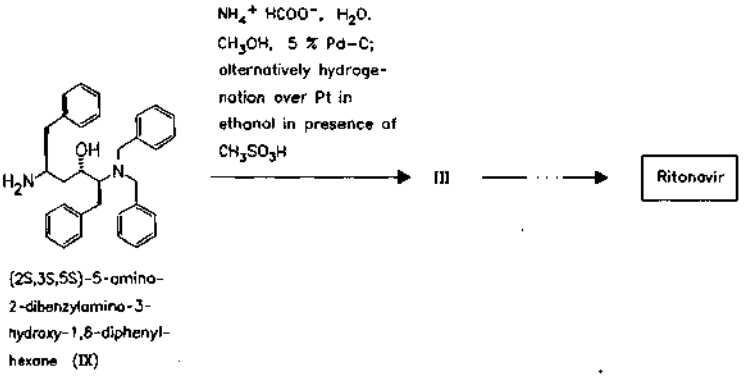
N-[methyl(2-isopropyl-4-thiazolylmethyl)aminocarbonyl]-L-valine (VII)

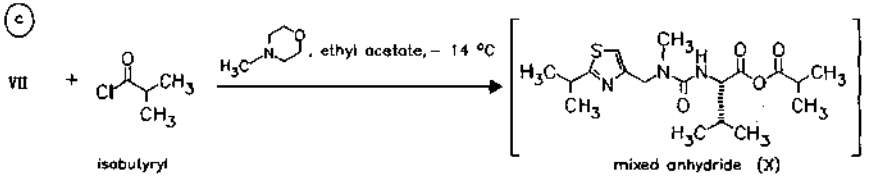


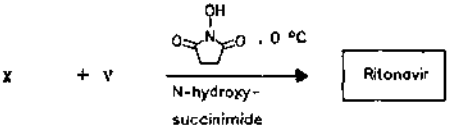
N,N-dibenzyl-L-phenylalanine benzyl ester

4(S)-dibenzylamino-3-oxo-5-phenylpentanenitrile (VIII)









Reference(s):

a,b WO 9 414 436 (Abbott Labs.; appl. 16.12.1993; USA-prior. 29.12.1992, 2.12.1993).

b WO 9 511 224 (Abbott Labs.; appl. 26.9.1994; USA-prior. 22.10.1993, 27.7.1994).
WO 9 604 232 (Abbott Labs.; appl. 17.7.1995; USA-prior. 29.7.1994).

c US 5 567 823 (Abbott Labs; 22.10.1996; appl. 6.6.1995; USA-prior. 6.6.1995).
Kempf, D.J. et al.: J. Med. Chem. (JMCMAR) **41**, 602 (1998).

pharmaceutical composition in alcoholic/organic solvent:

WO 9 507 696 (Abbott Labs.; appl. 30.4.1994; USA-prior. 13.9.1993, 28.1.1994, 15.8.1994).
WO 9 520 384 (Abbott Labs.; appl. 3.1.1995; USA-prior. 29.7.1994, 28.1.1994, 12.5.1995).

use for treating HIV:

WO 9 701 349 (Abbott Labs.; appl. 28.6.1996; USA-prior. 15.9.1995, 29.6.1995).

combination with lamivudine:

WO 9 626 734 (Glaxo; appl. 22.2.1996; GB-prior. 25.2.1995).

combination of HIV protease inhibitors:
WO 9 604 913 (Merck & Co.; appl. 7.8.1995; USA-prior. 20.7.1995, 11.8.1994, 14.11.1994).
EP 691 345 (Bristol-Myers Squibb; appl. 5.7.1995; USA-prior. 17.5.1995, 5.7.1994, 31.7.1987).

pharmaceutical composition with improved oral bioavailability:
WO 9 509 614 (Abbott Labs.; appl. 9.9.1994; USA-prior. 31.8.1994).

Formulation(s): cps. 100 mg wfm; sol. 600 mg/7.5 ml

Trade Name(s):

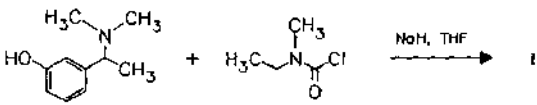
D:	Norvir (Abbott)	GB:	Norvir (Abbott)	USA:	Norvir (Abbott)
F:	Norvir (Abbott)	I:	Norvir (Abbott)		

Rivastigmine

(SDZ 212-713; ENA 713)

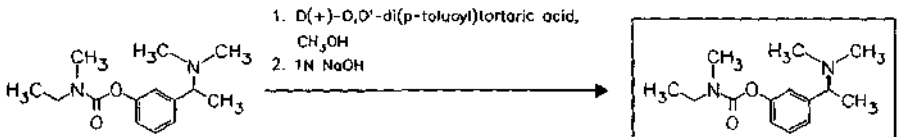
ATC: N06DA03
Use: cognition enhancer, alzheimer treatment, acetylcholinesterase inhibitor

RN: 123441-03-2 MF: $C_{14}H_{22}N_2O_2$ MW: 250.34
CN: (*S*)-Ethylmethylcarbamic acid 3-[1-(dimethylamino)-ethyl]phenyl ester



(±)-3-[1-(dimethylamino)ethyl]phenol

N-ethyl-N-methylcarbamoyl chloride



(±)-N-ethyl-N-methylcarbamic acid 3-[1-(dimethylamino)-ethyl]phenyl ester (I)

Reference(s):
Amstutz, R. et al.: Helv. Chim. Acta (HCACAV) **73** (3), 739-753 (1990).
DE 3 805 744 (Sandoz; appl. 24.2.1988; D-prior. 4.3.1987).

systemic transdermal administration:
AT 392 587 (Sandoz; appl. 25.1.1989; A-prior. 3.3.1988).

Formulation(s): cps. 1 mg, 1.5 mg, 3 mg, 4.5 mg, 6 mg (as tartrate)

Trade Name(s):
D: Exelon (Novartis; 1998) GB: Exelon (Novartis)

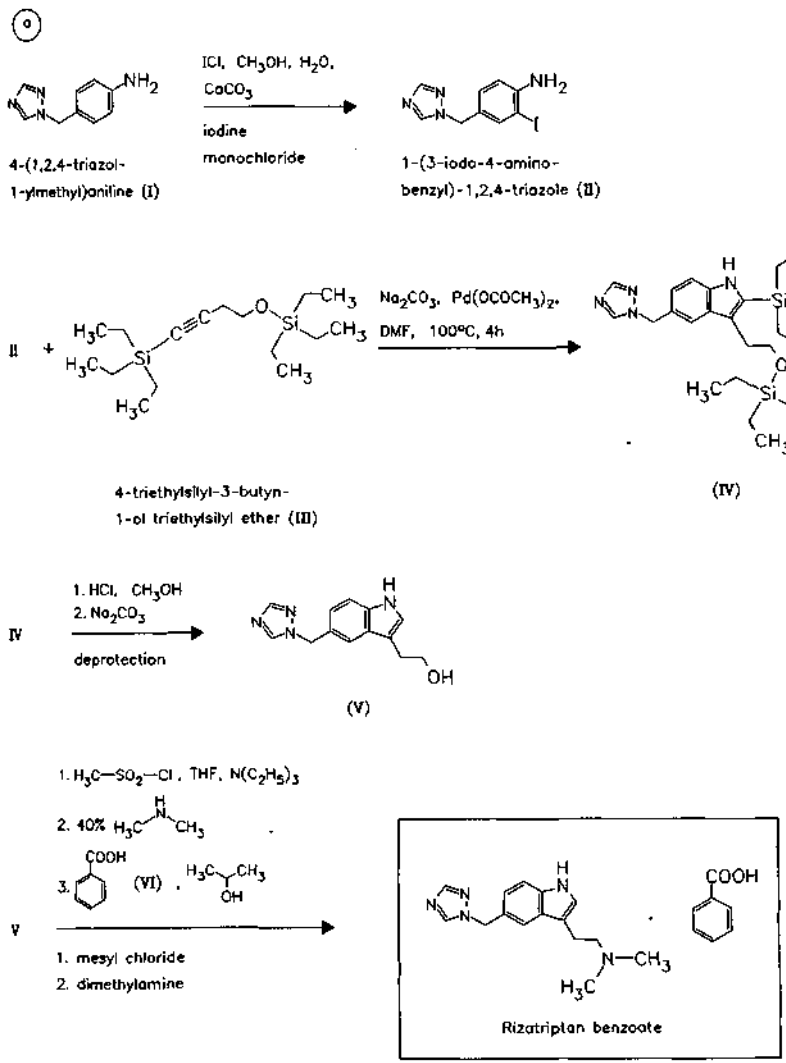
Rizatriptan benzoate

(MK-462)

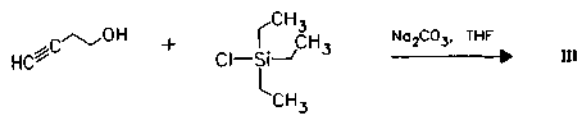
ATC: N02CC04
Use: antimigraine agent, selective 5-$HT_{1B/1D}$-agonist

RN: 145202-66-0 MF: $C_{15}H_{19}N_5 \cdot C_7H_6O_2$ MW: 391.48
CN: *N,N*-Dimethyl-5-(1*H*-1,2,4-triazol-1-ylmethyl)-1*H*-indole-3-ethanamine benzoate

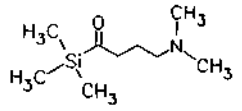
base
RN: 144034-80-0 MF: $C_{15}H_{19}N_5$ MW: 269.35



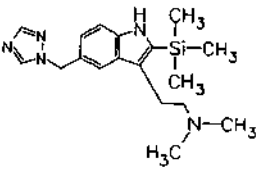
preparation of the silyl reagent III



(b)

II +  (VII)

DMF, 100–110°C,
DABCO (3eq.),
$Pd(OCOCH_3)_2$ (cat.)

 (VIII)

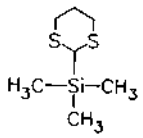
(see method (a))

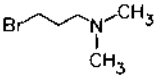
DABCO: 

VIII
1. 2n HCl, CH_3OH
2. Na_2CO_3
3. VI,

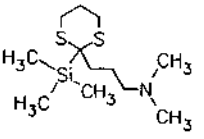
→ Rizatriptan benzoate

preparation of acyl silane VII


2-trimethylsilyl-
1,3-dithiane

+ 

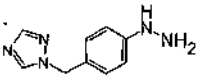
BuLi, THF →

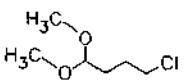
 (IX)

IX
HgO, $HgCl_2$,
CH_3CN/H_2O (8:2)
→ VII

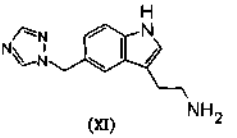
(c)

I
1. $NaNO_2$, HCl, 10°C
2. $SnCl_2$, HCl
3. aq. NaOH,

→ 
(X)

X + 
4-chlorobutonal
dimethyl acetal

C_2H_5OH/H_2O (5:1),
conc. HCl (1.2 eq.), reflux →

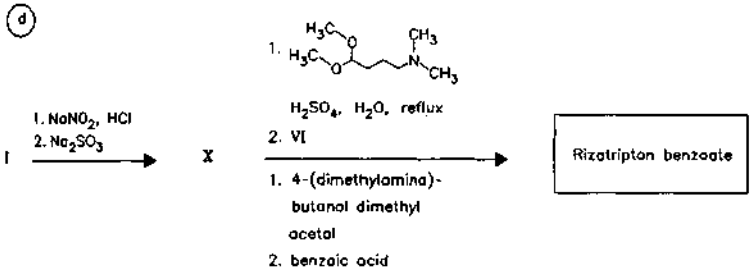

(XI)

XI + HCHO
form-
aldehyde

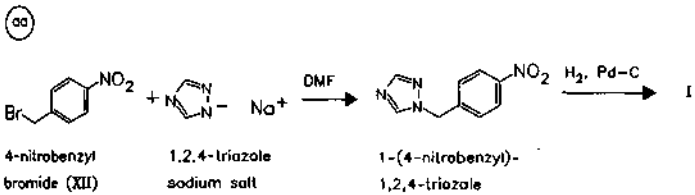
1. $NaBH_3CN$, CH_3COOH, CH_3OH
2. VI

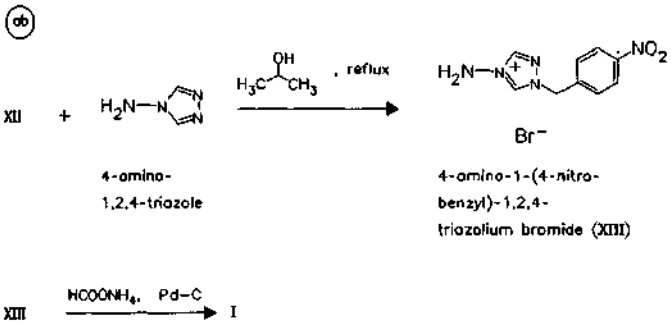
→ Rizatriptan benzoate

1. sodium cyanoborohydride
2. benzoic acid



preparation of 4-(1,2,4-triazol-1-ylmethyl)aniline I





Reference(s):

a WO 9 532 197 (Merck & Co.; appl. 19.5.1995; USA-prior. 24.5.1994).
Chen, C.-Y.: Tetrahedron Lett. (TELEAY) **35** (38), 6981 (1994).

b WO 9 806 725 (Merck & Co.; appl. 8.8.1997; USA-prior. 13.8.1996; GB-prior. 12.9.1996).

c EP 497 512 (Merck & Co.; appl. 24.1.1992; GB-prior. 1.2.1991).

d EP 573 221 (Merck Sharp & Dohme, Ltd.; appl. 28.5.1993; GB-prior. 5.6.1992).

preparation of 4-(1,2,4-triazol-1-ylmethyl)aniline (I)

c,d Street, L.J. et al.: J. Med. Chem. (JMCMAR) **38**, 1799 (1995).

Formulation(s): tabl. 5 mg, 10 mg (as benzoate)

Trade Name(s):

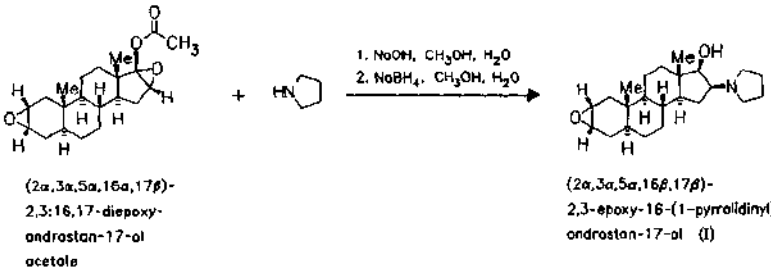
D:	MAXALT (Merck Sharp & Dohme)	GB:	Maxalt (Merck Sharp & Dohme)	USA:	Maxalt (Merck Sharp & Dohme; 1998)

Rocuronium bromide

(Org-9426)

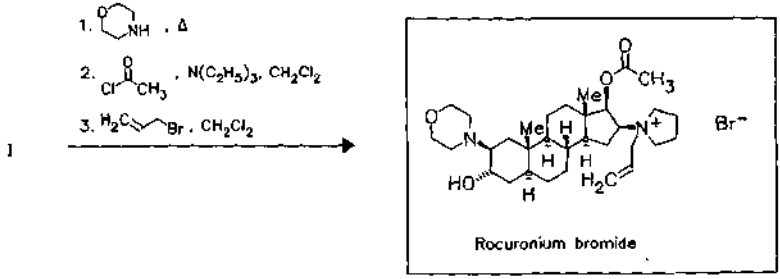
ATC: M03AC09
Use: neuromuscular blocker, non-depolarizing blocking drug

RN: 119302-91-9 MF: $C_{32}H_{53}BrN_2O_4$ MW: 609.69
CN: 1-[(2β,3α,5α,16β,17β)-17-(acetyloxy)-3-hydroxy-2-(4-morpholinyl)androstan-16-yl]-1-(2-propenyl)pyrrolidinium bromide



(2α,3α,5α,16α,17β)-2,3:16,17-diepoxy-androstan-17-ol acetate

(2α,3α,5α,16β,17β)-2,3-epoxy-16-(1-pyrrolidinyl)-androstan-17-ol (I)



Rocuronium bromide

Reference(s):
EP 287 150 (AKZO NV; appl. 19.10.1988; GB-prior. 14.4.1987).
Buckett, W.R. et al.: J. Med. Chem. (JMCMAR) **16**, 1116 (1973).
Meyer, M.; Doenicke, A.; Hofmann, A.; Angster, R.; Peter, K.: Anaesthesist (ANATAE) **40**(12), 668 (1991).

Formulation(s): amp. 50 mg/5 ml; vial 100 mg/100 ml

Trade Name(s):

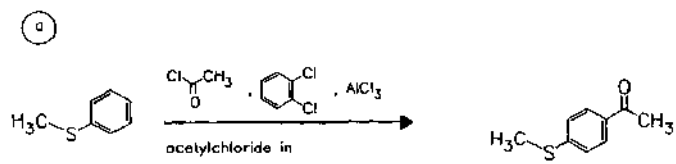
D:	Esmeron (Organon Teknika)	F:	Esmeron (Organon Teknika)	I:	Esmeron (Organon Teknika)
		GB:	Esmeron (Organon)	USA:	Zemuron (Organon)

Rofecoxib

(MK-966)

ATC: M01AH02
Use: anti-inflammatory, cyclooxygenase-2 inhibitor

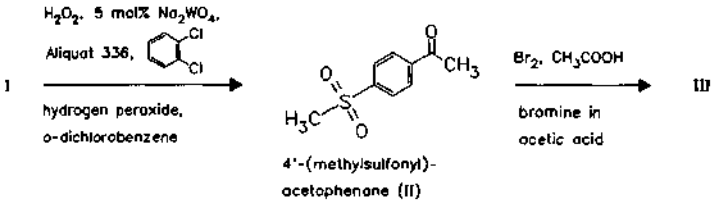
RN: 162011-90-7 MF: $C_{17}H_{14}O_4S$ MW: 314.36
CN: 4-[4-(Methylsulfonyl)phenyl]-3-phenyl-2(5*H*)-furanone

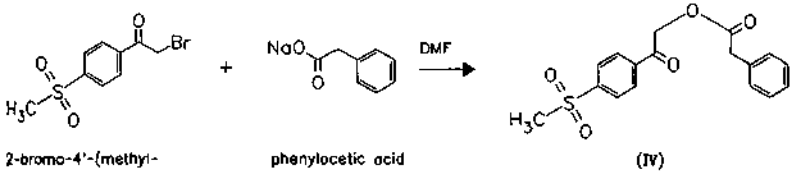


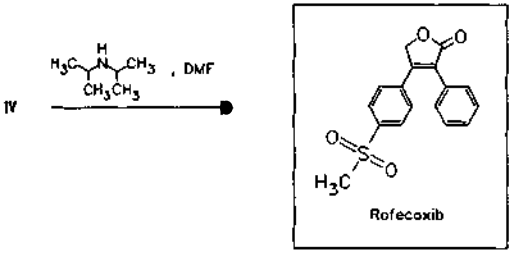
thioanisole

acetylchloride in o-dichlorobenzene

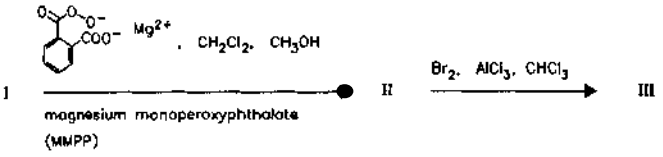
4'-methylthio-acetophenone (I)

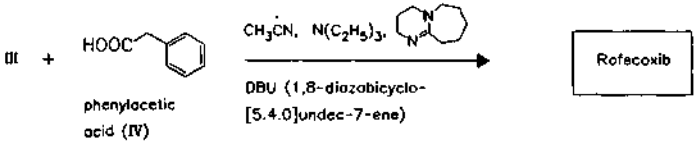

H_2O_2, 5 mol% Na_2WO_4,
Aliquat 336,
hydrogen peroxide,
o-dichlorobenzene
4'-(methylsulfonyl)-
acetophenone (II)
Br_2, CH_3COOH
bromine in
acetic acid
III


2-bromo-4'-(methyl-
sulfanyl)acetophenone (III)
phenylacetic acid
sodium salt
DMF
(IV)

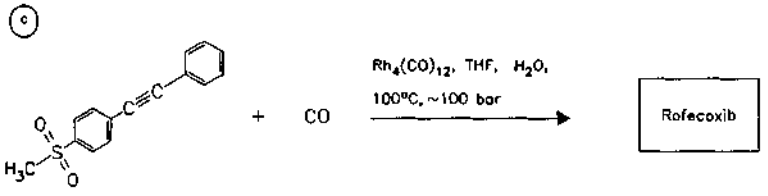

, DMF
Rofecoxib

(b)

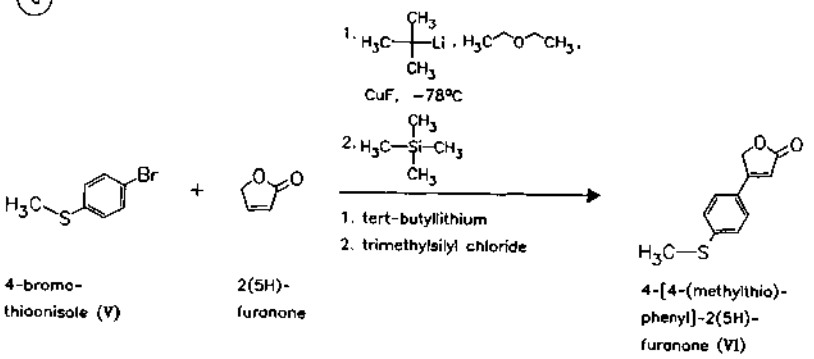

Mg^{2+}
, CH_2Cl_2, CH_3OH
magnesium monoperoxyphthalate
(MMPP)
II
Br_2, $AlCl_3$, $CHCl_3$
III


phenylacetic
acid (IV)
CH_3CN, $N(C_2H_5)_3$,
DBU (1,8-diazabicyclo-
[5.4.0]undec-7-ene)
Rofecoxib

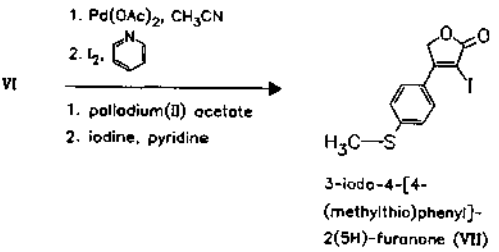
(c)


CO
$Rh_4(CO)_{12}$, THF, H_2O,
100°C, ~100 bar
Rofecoxib

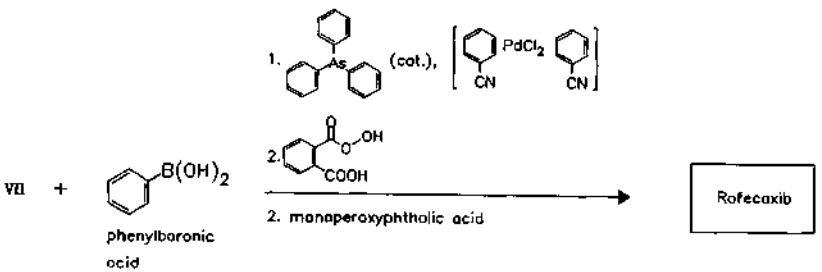
(d)



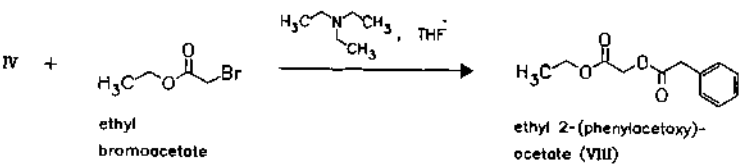
4-bromothioanisole (V) + 2(5H)-furanone → 4-[4-(methylthio)phenyl]-2(5H)-furanone (VI)

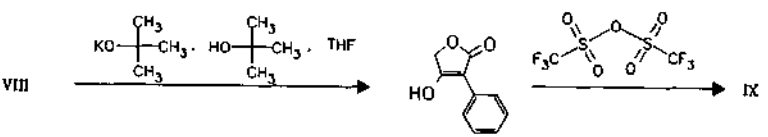


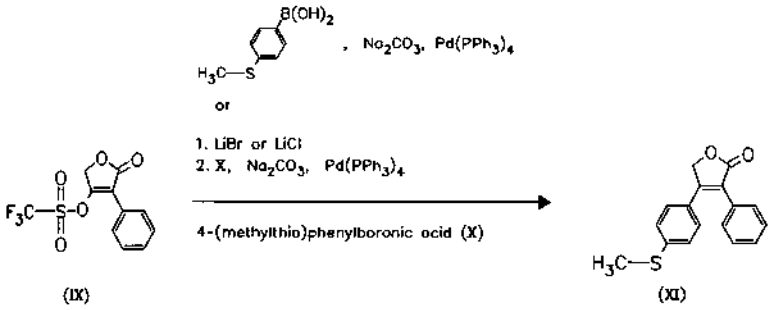
3-iodo-4-[4-(methylthio)phenyl]-2(5H)-furanone (VII)

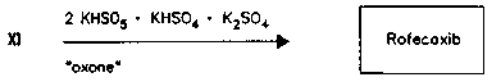


(e)

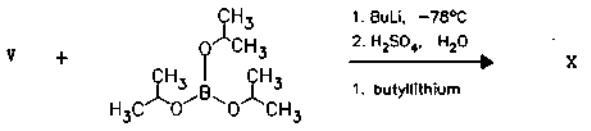








synthesis of 4-(methylthio)phenylboronic acid



triisopropyl borate

Reference(s):

a WO 9 800 416 (Merck & Co.; appl. 27.6.1997; GB-prior. 29.7.1996).
b WO 9 613 483 (Merck Frosst Canada; appl. 2.10.1994; USA-prior. 27.10.1994)
e WO 9 608 482 (Merck & Co.; appl. 12.9.1995; USA-prior. 16.9.1994).
a-e WO 9 500 501 (Merck Frosst Canada Inc.; appl. 15.5.1995; USA-prior. 24.6.1993, 10.1.1994).

The discovery of rofecoxib:

Prasit, P. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **9** (13), 1773 (1999).

alternative syntheses:

WO 9 636 623 (Merck Frosst Canada; appl. 15.5.1996; USA-prior. 18.5.1995).
GB 2 294 879 (Merck & Co.; appl. 9.10.1995; USA-prior. 19.10.1994).
WO 9 619 469 (Merck Frosst Canada; appl. 18.12.1995; USA-prior. 21.12.1994).

Formulation(s): susp. 25 mg; tabl. 12.5 mg

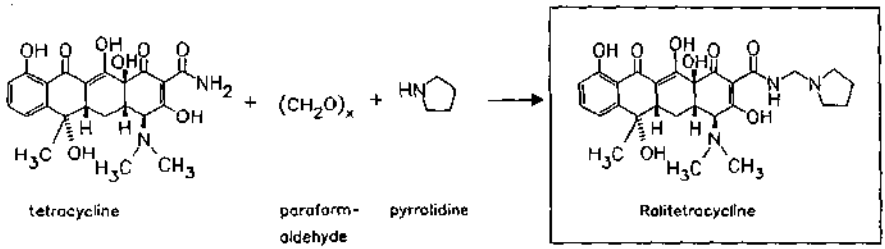
Trade Name(s):

D:	Vioxx (Merck Sharp & Dohme)	GB:	Vioxx (Merck Sharp & Dohme; 1999)	USA:	Vioxx (Merck Sharp & Dohme)

Rolitetracycline

ATC: J01AA09
Use: antibiotic, antibacterial

RN: 751-97-3 MF: $C_{27}H_{33}N_3O_8$ MW: 527.57 EINECS: 212-031-9
LD_{50}: 75 mg/kg (M, i.v.); 1320 mg/kg (M, p.o.);
93 mg/kg (dog, i.v.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-*N*-(1-pyrrolidinylmethyl)-2-naphthacenecarboxamide



Reference(s):
DE 1 044 806 (Hoechst; appl. 3.10.1956).
DE 1 063 598 (Hoechst; appl. 14.2.1957).
US 3 104 240 (Bristol-Myers; 17.9.1963; prior. 18.8.1958).
Gottstein, W.J. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1198 (1959).

Formulation(s): vial 275 mg

Trade Name(s):

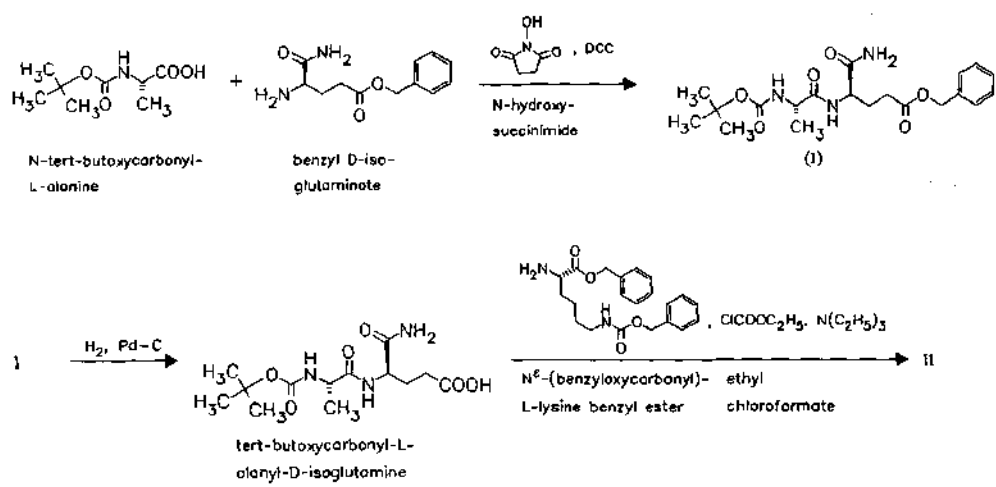
D:	Reverin (Hoechst); wfm	I:	Colbiocin (SIFI)-comb.		Hostacyclin-PRM
F:	Transcycline (Hoechst); wfm		Iducol (SIFI)-comb.		(Hoechst)
			Vitecaf (SIFI)-comb.		Velacycline (Squibb)
GB:	Tetrex PMT (Bristol)-comb.; wfm	J:	Bristacin (Bristre-Banyu)	USA:	Syntetrin (Bristol); wfm

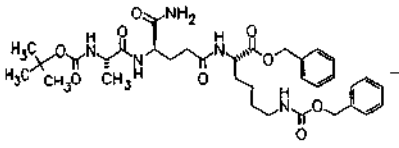
Romurtide

(Muroctasin; Nomurtide)

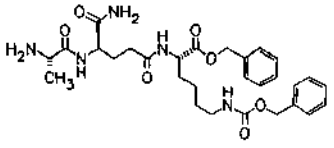
ATC: L03AX
Use: immunostimulant, muramyldipeptide derivative, treatment of leukopenia associated with cancer radiotherapy

RN: 78113-36-7 MF: $C_{43}H_{78}N_6O_{13}$ MW: 887.13
LD_{50}: >600 mg/kg (M, p.o.); 436 mg/kg (Mm, s.c.); 625 mg/kg (Mf, s.c.); >90 mg/kg (R, i.v.); >600 mg/kg (R, p.o.); 761 mg/kg (Rm, s.c.); 801 mg/kg (Rf, s.c.); >200 mg/kg (dog, s.c.)
CN: N^2-[N^2-[*N*-(*N*-acetylmuramoyl)-L-alanyl]-D-α-glutaminyl]-N^6-(1-oxooctadecyl)-L-lysine



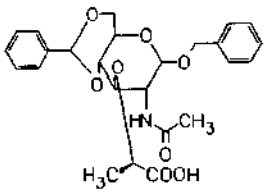


(II)

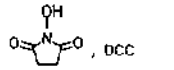


L-olonyl-D-isoglutaminyl-N^{ε}-(benzyloxycarbonyl)-L-lysine benzyl ester (III)

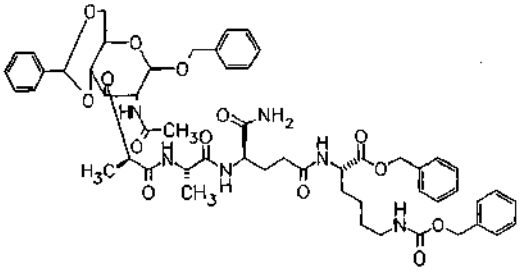
III +



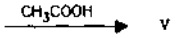
1-O-benzyl-4,6-O-benzylidene-N-acetylmuramic acid



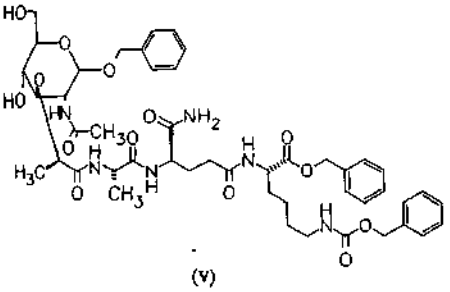
IV



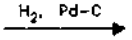
(IV)



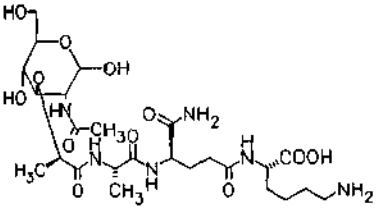
V



(V)

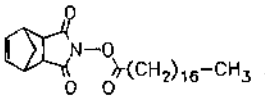


VI

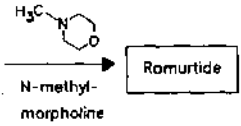


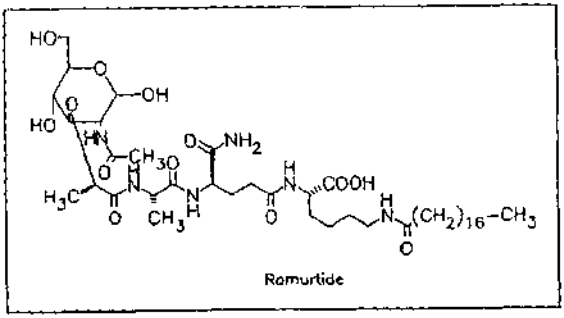
N^{α}-(N-acetylmuramoyl-L-alanyl-D-isoglutaminyl)-L-lysine (VI)

+



N-(stearoyloxy)-5-norbornene-2,3-dicarboximide





Reference(s):
EP 21 367 (Daiichi; appl. 20.6.1980; J-prior. 21.6.1979).
US 4 317 771 (Daiichi; 2.3.1982; appl. 23.6.1980; J-prior. 21.6.1979).

medical use for treatment of thrombopenia:
EP 331 756 (Daiichi; appl. 2.9.1988; J-prior. 2.9.1987).

medical use as analgesic/anti-inflammatory:
JP 63 093 724 (Daiichi; appl. 9.10.1986).

Formulation(s): vial 200 µg

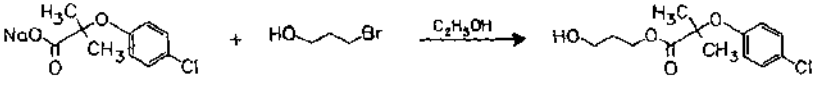
Trade Name(s):
J: Nopia (Daiichi; 1991)

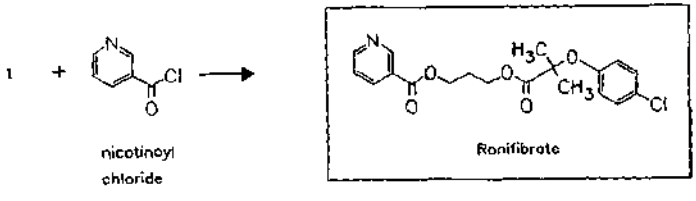
Ronifibrate

ATC: C10AB07
Use: antihyperlipoproteinemic, fibrate
serum antihyperlipidemic

RN: 42597-57-9 MF: $C_{19}H_{20}ClNO_5$ MW: 377.82
LD_{50}: 3100-4080 mg/kg (M, p.o.)
CN: 3-pyridinecarboxylic acid 3-[2-(4-chlorophenoxy)-2-methyl-1-oxopropoxy]propyl ester

hydrochloride
RN: 42749-78-0 MF: $C_{19}H_{20}ClNO_5 \cdot HCl$ MW: 414.29





Reference(s):
JP 49 030 377 (Kowa; appl. 19.7.1972); C.A. (CHABA8) **81**, 135984s.
JP 4 840 777 (Yamanouchi; appl. 5.10.1971); C.A. (CHABA8) **79**, 66180w (1973).

Formulation(s): cps. 250 mg, 500 mg

Trade Name(s):
I: Cloprane (Sankyo Pharma)

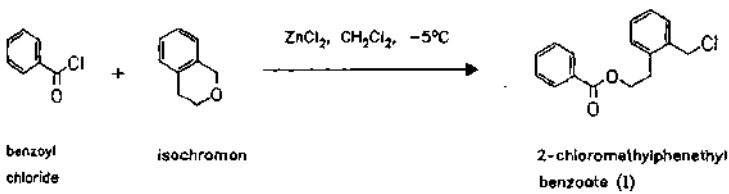
Ropinirole

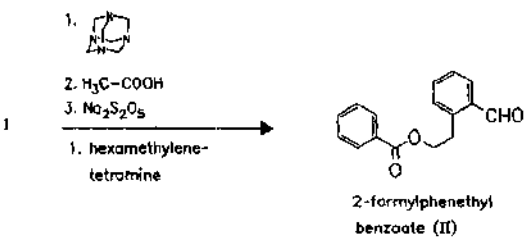
(SK & F-101468; SK & F-101468A)

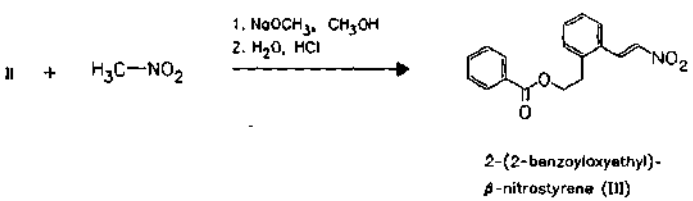
ATC: N04BC04
Use: dopamine-D_2-agonist, antiparkinsonian

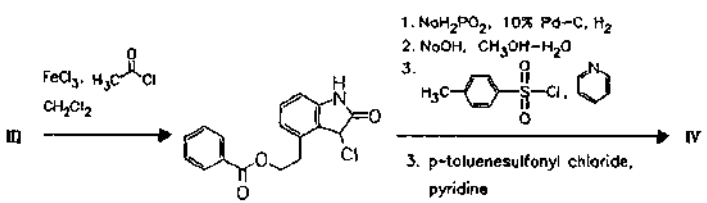
RN: 91374-21-9 MF: $C_{16}H_{24}N_2O$ MW: 260.38
CN: 4-[2-(dipropylamino)ethyl]-1,3-dihydro-2-indol-2-one

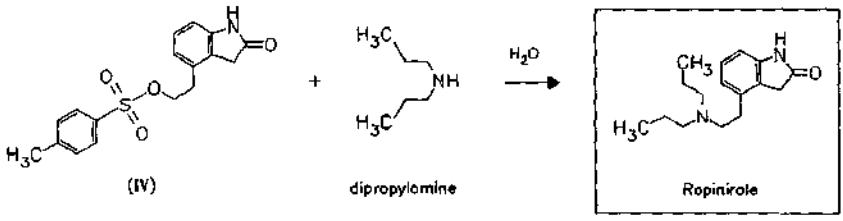
hydrochloride
RN: 91374-20-8 MF: $C_{16}H_{24}N_2O \cdot HCl$ MW: 296.84











Reference(s):
synthesis of ropinirole:
WO 9 116 306 (Smith Kline & French; appl. 15.4.1991; GB-prior. 17.4.1990).
EP 113 964 (Smith Kline & French; appl. 30.11.1983; USA-prior. 7.12.1982).
Hayler, J.D. et al.: Org. Process Res. Dev. (OPRDFK) **2**, 3 (1998).

synthesis of 2-formylphenethyl benzoate:
Hayler, H.D.; Howie, S.L.B.; Negus, A.; Oxley, P.W.: J. Heterocycl. Chem. (JHTCAD) **32** (3), 875 (1995).

dihydroindolinones as cardiovascular agents:
US 4 997 954 (Smith Kline & French; 25.1.1989; GB-prior. 19.6.1987).
EP 300 614 (Smith Kline & French; appl. 16.6.1988; GB-prior. 19.6.1987).
AU 8 777 615 (Smith Kline & French; appl. 25.1.1989; GB-prior. 30.8.1986).
US 4 452 808 (Smith Kline & French; appl. 5.6.1984; USA-prior. 7.12.1982).
WO 9 415 918 (Smith Kline & French; appl. 21.7.1994; GB-prior. 8.1.1993).

use for treatment of Parkinson's disease:
EP 299 602 (Smith Kline & French; appl. 18.1.1989; GB-prior. 21.5.1987).
WO 9 711 696 (Cygnus Inc.; appl. 6.9.1996; USA-prior. 29.9.1995, 4.9.1996).
WO 9 639 136 (SmithKline Beecham; appl. 12.12.1996; GB-prior. 6.6.1995).
WO 9 323 035 (SmithKline Beecham; appl. 25.11.1993; GB-prior. 18.5.1992).
WO 9 200 735 (SmithKline Beecham; appl. 8.7.1991; GB-prior. 9.7.1990).
WO 9 706 786 (Scherer Ltd.; appl. 16.8.1996; GB-prior. 18.18.1995).

Formulation(s): tabl. 0.25 mg, 0.5 mg, 1 mg, 2 mg, 3 mg, 4 mg, 5 mg (as hydrochloride)

Trade Name(s):

D:	Requib (SmithKline Beecham)	GB:	ReQuip (SmithKline Beecham; 1996)	USA:	Requib (SmithKline Beecham)
F:	Requib (SmithKline Beecham)	I:	Requib (SmithKline Beecham)		

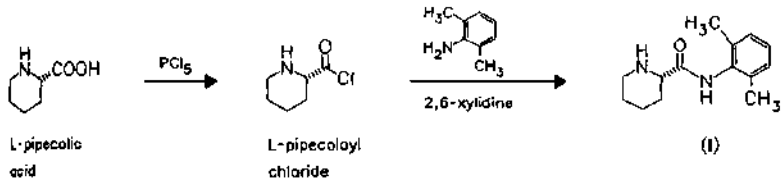
Ropivacaine hydrochloride

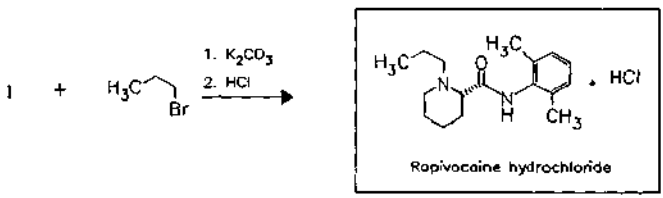
(LEA-103)

ATC: N01BB09
Use: local anesthetic

RN: 98717-15-8 MF: $C_{17}H_{26}N_2O \cdot HCl$ MW: 310.87
CN: (*S*)-*N*-(2,6-dimethylphenyl)-1-propyl-2-piperidinecarboxamide monohydrochloride

monohydrate
RN: 132112-35-7 MF: $C_{17}H_{26}N_2O \cdot HCl \cdot H_2O$ MW: 328.88
base
RN: 84057-95-4 MF: $C_{17}H_{26}N_2O$ MW: 274.41





Reference(s):
WO 8 500 599 (Apothekernes Lab., Astra; WO-prior. 1.8.1983).

preparation of optically-enriched pipecolic acid:
WO 9 611 185 (Chiroscience; appl. 9.10.1995; GB-prior. 7.10.1994).

storage stable optically pure hydrochloride monohydrate:
AU 8 666 449 (Astra, Nissan; appl. 12.12.1986; S-prior. 3.1.1986).
WO 9 636 606 (Astra; appl. 30.4.1996; S-prior. 16.5.1995).

composition with long/medium chain triglycerides:
EP 770 387 (Braun Melsungen; prior. 28.10.1995).

sustained release formulation:
WO 9 641 616 (Euroceltique; USA-prior. 9.6.1996).

combination with β-blocker:
WO 9 527 511 (Astra; appl. 24.3.1995; S-prior. 7.4.1994).

composition containing hydroxypropyl-β-cyclodextrin:
WO 9 505 198 (F. M. Borgbjerg; appl. 16.8.1994; DK-prior. 17.8.1993).

injection suspension:
WO 9 401 087 (Astra; appl. 24.6.1993; S-prior. 9.7.1992).

Formulation(s): amp. 2 mg/ml, 5 mg/ml, 7.5 mg/ml, 10 mg/ml (as monohydrate)

Trade Name(s):

D:	Naropin (Astra)	GB:	Naropin (Astra)	USA:	Naropin (Astra)
F:	Naropeine (Astra)	I:	Naropina (Astra)		

Rosiglitazone

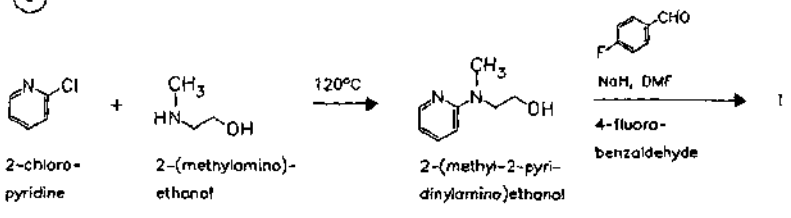
(BRL 49653)

ATC: A10BG02
Use: antidiabetic, insulin enhancer

RN: 122320-73-4 MF: $C_{18}H_{19}N_3O_3S$ MW: 357.43
CN: 5-[[4-[2-(Methyl-2-pyridinylamino)ethoxy]phenyl]methyl]-2,4-thiazolidinedione

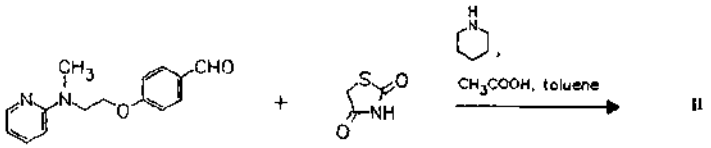
(*R*)-(+)-form
RN: 163860-16-0 MF: $C_{18}H_{19}N_3O_3S$ MW: 357.43
maleate
RN: 155141-29-0 MF: $C_{18}H_{19}N_3O_3S \cdot C_4H_4O$ MW: 425.51

(a)

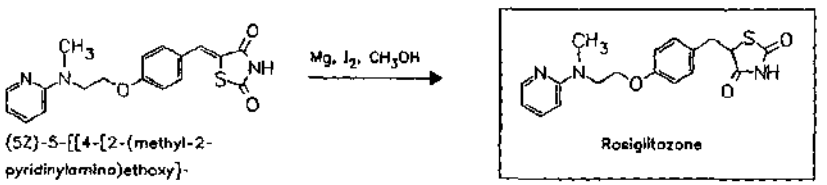


2-chloro-pyridine + 2-(methylamino)-ethanol → 2-(methyl-2-pyridinylamino)ethanol

2-(methyl-2-pyridinylamino)ethanol + 4-fluorobenzaldehyde → I

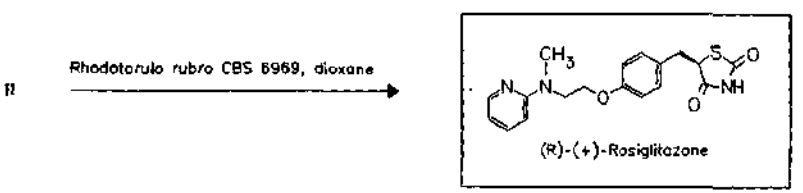


4-[2-(methyl-2-pyridinylamino)ethoxy]benzaldehyde (I) + 2,4-thiazolidinedione → II

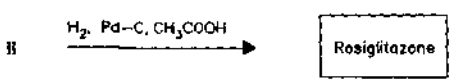


(5Z)-5-[[4-[2-(methyl-2-pyridinylamino)ethoxy]-phenyl]methylene]-2,4-thiazolidinedione (II)

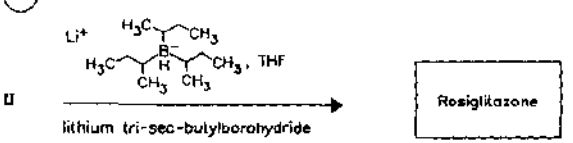
(b) alternative biocatalytic reduction of II and synthesis of the (R)-(+)-enantiomer



(c)



(d)



Reference(s):

a Cantello, B.C.C. et al.: J. Med. Chem. (JMCMAR) **37**, 3977-3985 (1994).
Cantello, B.C.C. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **4** (1), 29. (1994).
EP 306 228 (Beecham; appl. 26.8.1988; GB-prior. 4.9.1987).

b Cantello, B.C.C. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1994**, 3319.
Heath, C.M. et al.: J. Chem. Technol. Biotechnol. (JCTBED) **68** (3), 324-330 (1997).
WO 9 310 254 (SmithKline Beecham; appl. 19.11.1992; GB-prior. 19.11.1991).

c WO 9 923 095 (SmithKline Beecham; appl. 27.10.1998; GB-prior. 4.11.1997).

d WO 9 837 073 (SmithKline Beecham; appl. 13.2.1998; GB-prior. 18.2.1997).

maleate salt and other derivatives:
WO 9 405 659 (SmithKline Beecham; appl. 1.9.1993; GB-prior. 5.9.1992).

treatment of diabetes with insulin and rosiglitazone:
WO 9 837 073 (SmithKline Beecham; appl. 15.6.1998; GB-prior. 18.6.1997).

Formulation(s): tabl. 2 mg, 4 mg, 8 mg

Trade Name(s):
USA: Avandia (SmithKline Beecham; 1999)

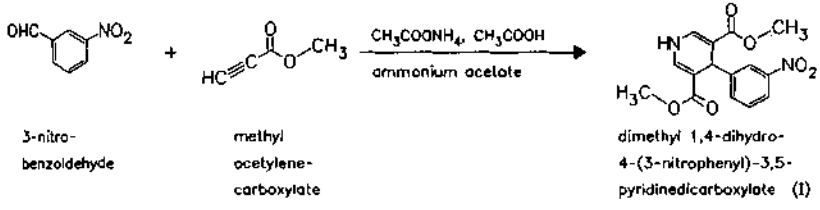
Rosoxacin

(Acrosoxacin)

ATC: J01MB01
Use: antibiotic

RN: 40034-42-2 MF: $C_{17}H_{14}N_2O_3$ MW: 294.31 EINECS: 254-758-4
CN: 1-ethyl-1,4-dihydro-4-oxo-7-(4-pyridinyl)-3-quinolinecarboxylic acid

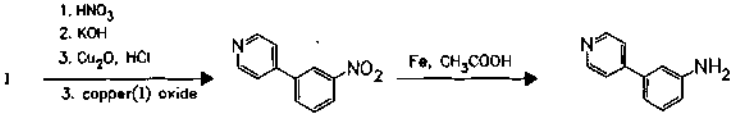
sodium salt
RN: 40035-08-3 MF: $C_{17}H_{13}N_2NaO_3$ MW: 316.29



3-nitro-benzoldehyde

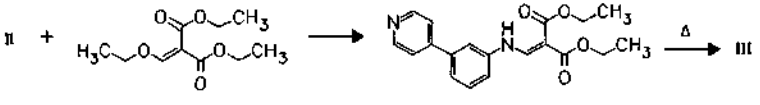
methyl ocetylene-carboxylate

dimethyl 1,4-dihydro-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate (I)



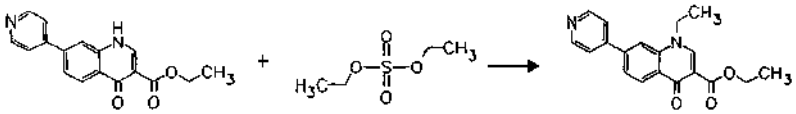
4-(3-nitrophenyl)-pyridine

4-(3-aminophenyl)-pyridine (II)



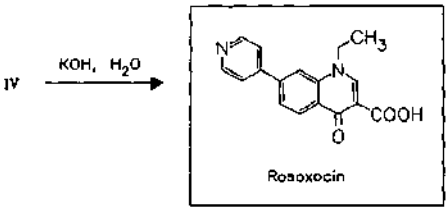
diethyl ethoxymethylene-malonate

diethyl [3-(4-pyridyl)-anilinomethylene]malonate



ethyl 4-oxo-7-(4-pyridyl)-1,4-dihydroquinoline-3-carboxylate (III)

ethyl 1-ethyl-4-oxo-7-(4-pyridyl)-1,4-dihydroquinoline-3-carboxylate (IV)



Reference(s):
US 3 753 993 (Sterling Drug; 21.8.1973; prior. 17.5.1971).
US 3 907 808 (Sterling Drug; 23.9.1975; prior. 17.5.1971, 3.5.1973).
US 3 922 278 (Sterling Drug; 25.11.1975; prior. 12.6.1972).

alternative synthesis:
US 4 107 167 (Sterling Drug; 15.8.1978; prior. 17.6.1974).

Formulation(s): cps. 150 mg

Trade Name(s):

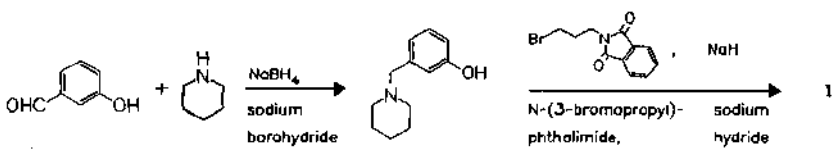
D:	Winuron (Winthrop); wfm	GB:	Eradacin (Sterling); wfm
F:	Ésacine (Sanofi Winthrop)	USA:	Eradocil (Winthrop); wfm

Roxatidine acetate

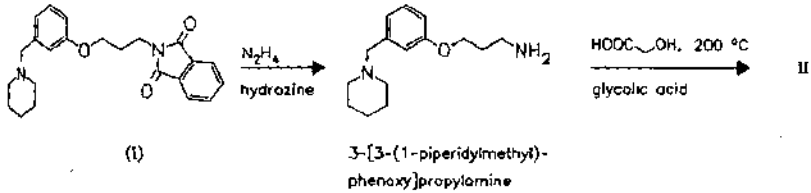
ATC: A02BA06
Use: histamine H_2-receptor antagonist, ulcer therapeutic

RN: 78628-28-1 MF: $C_{19}H_{28}N_2O_4$ MW: 348.44
LD_{50}: 1 g/kg (M, p.o.)
CN: 2-(acetyloxy)-*N*-[3-[3-(1-piperidinylmethyl)phenoxy]propyl]acetamide

monohydrochloride
RN: 93793-83-0 MF: $C_{19}H_{28}N_1O_4 \cdot HCl$ MW: 370.90
LD_{50}: 83 mg/kg (M, i.v.); 509 mg/kg (M, p.o.); 384 mg/kg (M, s.c.);
227 mg/kg (R, i.p.); 755 mg/kg (R, p.o.); 595 mg/kg (R, s.c.);
900 mg/kg (rabbit, p.o.);
75 mg/kg (dog, i.v.); 100 mg/kg (dog, p.o.);
50 mg/kg (monkey, p.o.)

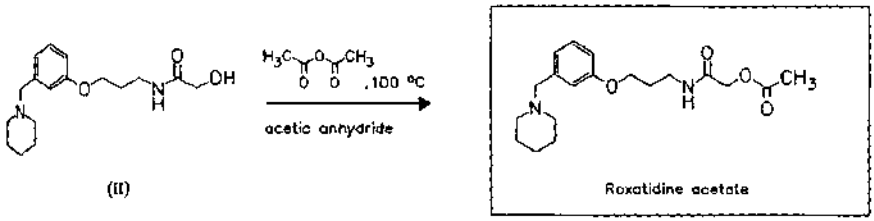


3-hydroxybenzaldehyde piperidine



(I)

3-[3-(1-piperidylmethyl)-phenoxy]propylamine



(II)

Reference(s):
EP 24 510 (Teikoku Hormone; appl. 1.7.1980; J-prior. 3.7.1979, 20.2.1980).
US 4 293 557 (Teikoku Hormone; 10.6.1981; appl. 30.6.1980; J-prior. 3.7.1979, 20.2.1980).

combination with serotonin antagonists:
EP 275 669 (Glaxo; appl. 16.12.1987; GB-prior. 17.12.1986).

Formulation(s): s. r. cps. 75 mg, 150 mg; tabl. 75 mg, 150 mg (as hydrochloride)

Trade Name(s):

D:	Roxit (Albert-Roussel; Hoechst Marion Roussel; 1989)	I:	Gastralgin (Ist. De Angeli) Neoh 2 (Boehringer Ing.) Roxit (Hoechst Marion)	J:	Altat (Teikoku; Takeda; Sumitomo; 1986)

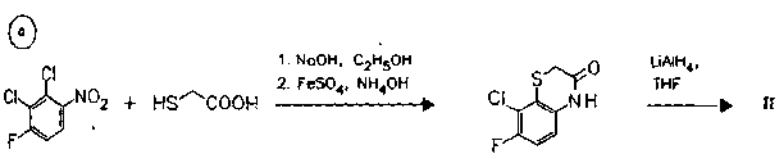
Rufloxacin hydrochloride

(ISF-09334; MF 934)

ATC: J01MA10
Use: antibacterial

RN: 106017-08-7 MF: $C_{17}H_{18}FN_3O_3S \cdot HCl$ MW: 399.87
CN: 9-Fluoro-2,3-dihydro-10-(4-methyl-1-piperazinyl)-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzothiazine-6-carboxylic acid monohydrochloride

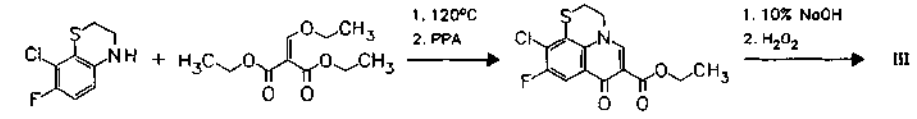
base
RN: 101363-10-4 MF: $C_{17}H_{18}FN_3O_3S$ MW: 363.41



2,3-dichloro-4-fluoro-1-nitrobenzene

thioglycolic acid (I)

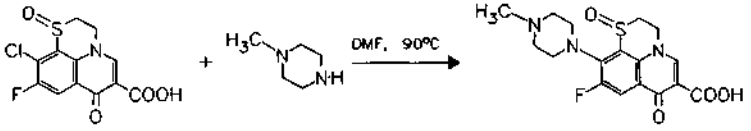
8-chloro-7-fluoro-3-oxo-3,4-dihydro-2H-1,4-benzothiazine



8-chloro-7-fluoro-3,4-dihydro-2H-1,4-benzothiazine (II)

diethyl ethoxymethylenemalonate

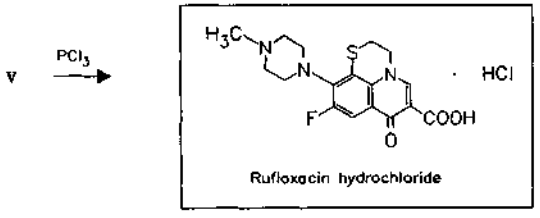
ethyl 10-chloro-9-fluoro-7-oxo-2,3-dihydro-7H-pyrido[1,2,3-de]-1,4-benzothiazine-6-carboxylate



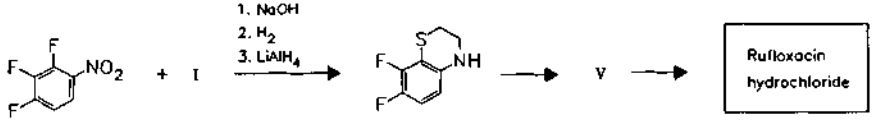
(III)

N-methylpiperazine (IV)

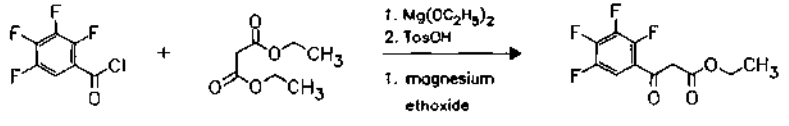
(V)



b



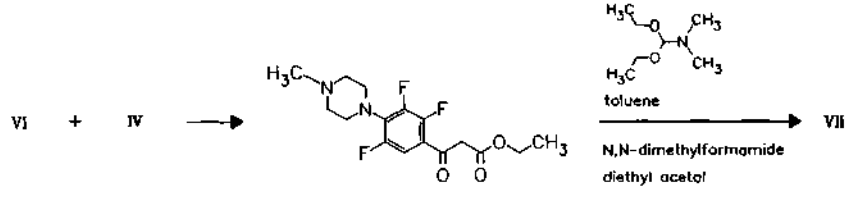
c



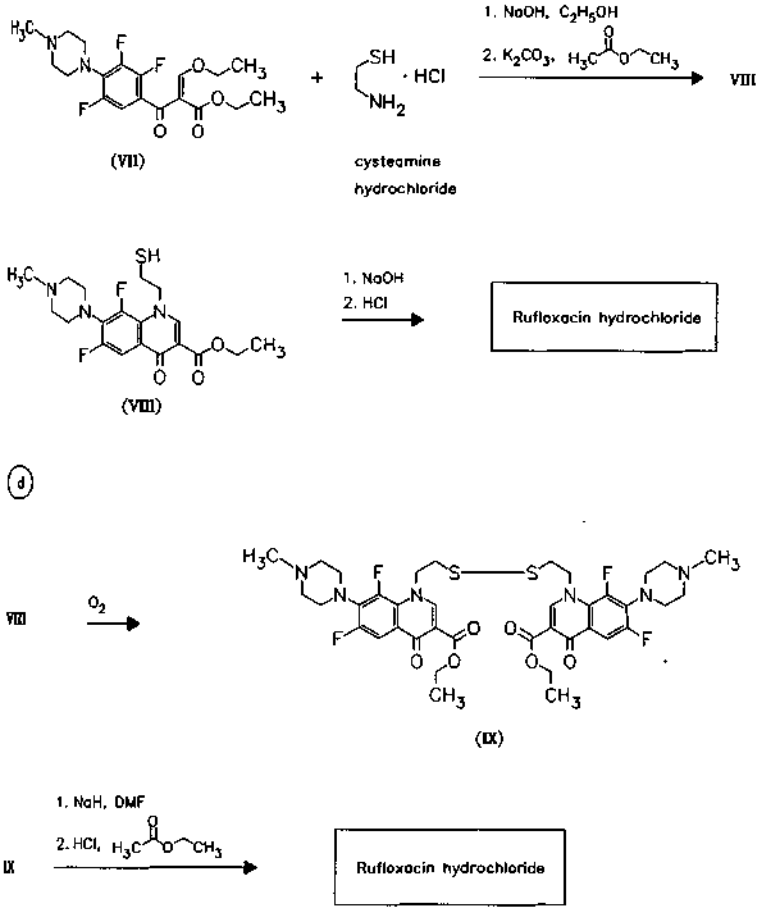
2,3,4,5-tetrafluorobenzoyl chloride

diethyl malonate

(VI)



ethyl 2,3,5-trifluoro-4-(4-methyl-1-piperazinyl)benzoylacetate



Reference(s):

a Cecchetti, V. et al.: J. Med. Chem. (JMCMAR) **30**, 465-473 (1987).
EP 165 375 (Mediolanum Farm.; appl. 21.2.1985; I-prior. 24.2.1984).
EP 252 352 (Mediolanum Farm.; appl. 22.6.1987; I-prior. 1.7.1986).

b Wang, E. et al.: Zhongguo Yaoke Daxue Xuebao (ZHXYE9) **28** (1), 5-8 (1997).

c EP 522 277 (Mediolanum Farm.; appl. 29.5.1992; I-prior. 7.6.1991).
Cecchetti, V. et al.: Synth. Commun. (SYNCAV) **21** (22), 2301-2308 (1991).

d WO 9 511 907 (Archimica; appl. 26.10.1994; I-prior. 27.10.1993).
WO 9 511 886 (Archimica; appl. 26.10.1994; I-prior. 27.10.1993).

Formulation(s): cps. 150 mg, 200 mg; tabl 150 mg, 200 mg (as hydrochloride)

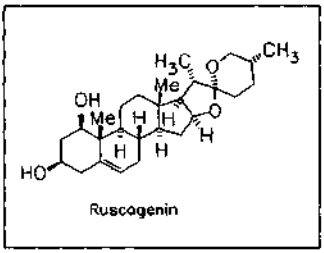
Trade Name(s):

I:	Monos (SmithKline Beecham)	Qari (Mediolanum)
		Tebraxin (Bracco)

Ruscogenin

ATC: C05AX
Use: vein therapeutic, hemorrhoidal therapeutic

RN: 472-11-7 MF: $C_{27}H_{42}O_4$ MW: 430.63 EINECS: 207-447-2
CN: (1β,3β,25*R*)-spirost-5-ene-1,3-diol



Ruscogenin

a Hydrolyzation of *Ruscus aculeatus*,
b hydrolyzation of *Tribulus terrestris*,
c hydrolyzation of *Ruscus hyrcanus*.

Reference(s):
Iskenderov, G.B.: Farmatsiya (Moscow) (FRMTAL) **38** (1), 42-46 (1989).
Panova, D.; Nikolov, St.; Minkov, Cr.: Farmatsiya (Sofia) (FMTYA2) **30** (4), 33-35 (1980).
Panova, D.; Nikolov, St.: Farmatsiya (Sofia) (FMTYA2) **29** (6), 25-29 (1979).
Ilarionov, J.; Panova, D.; Nikolov, St.: Farmatsiya (Sofia) (FMTYA2) **33** (1), 18-24 (1983).
a Panova, D.; Nikolov, St.: Farmatsiya (Sofia) (FMTYA2) **21**, 43 (1971).
Sannié, C.; Lapin, H.: Bull. Soc. Chim. Fr. (BSCFAS) **1957**, 301, 1237.
Sannié, C.; Lapin, H.: C. R. Hebd. Seances Acad. Sci. (COREAF) **241**, 1498 (1955).
b Iskenderov, G.B.: Khim. Prir. Soedin. (KPSUAR) **6**, 488 (1970); **3**, 216 (1967).
c Iskenderov, G.B.: Farmatsiya (Moscow) (FRMTAL) **17**, 37 (1968).

use as anti-inflammatory:
FR-M 2 366 (C. P. Roux, D. R. Torossiar; appl. 3.4.1964).
FR 2 104 911 (Inv. Scientifiques Pharm.; appl. 3.9.1970).

structure:
Benn, W.R. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 3920 (1957).
Burn, D. et al.: J. Chem. Soc. (JCSOA9) **1958**, 795.
Burn, D. et al.: Proc. Chem. Soc., London (PCSLAW) **1957**, 119.
Lapin, H.: C. R. Hebd. Seances Acad. Sci. (COREAF) **244**, 3065 (1957).

Formulation(s): ointment 800 mg/100g; suppos. 8 mg

Trade Name(s):

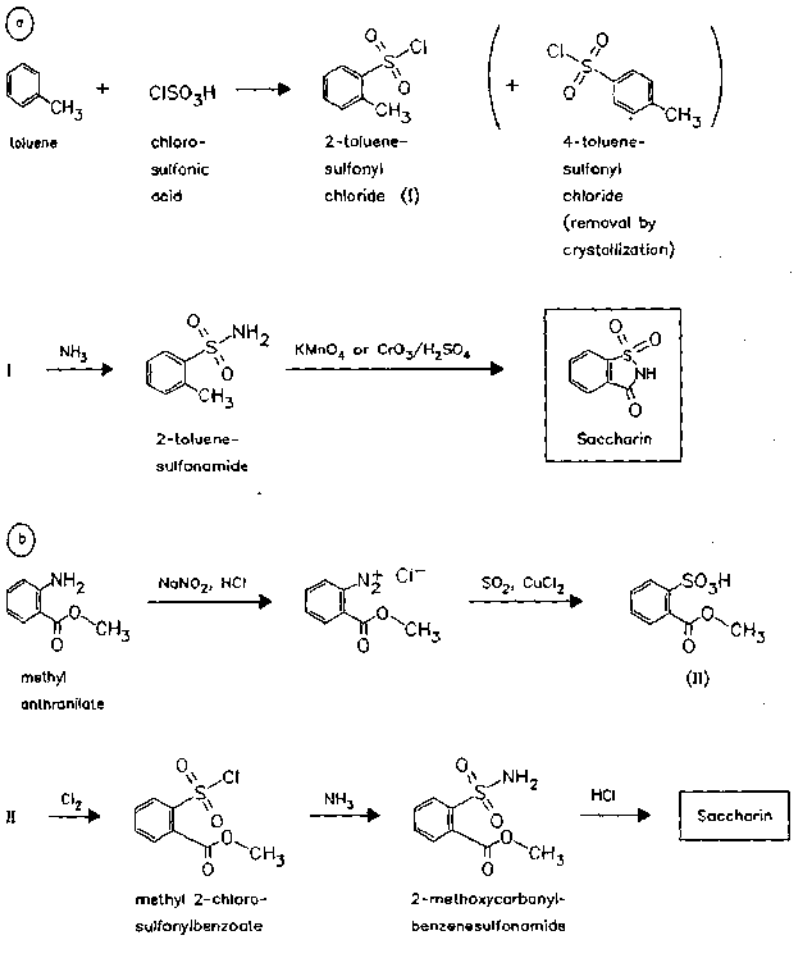
D:	Ruscorectal (Heumann) Venobiase (Fournier Pharma)-comb.	F:	Calmoroïde (Phygiène) Proctolog (Jouvcinal)-comb.	I:	Ruscoroid (Inverni della Beffa)-comb.

Saccharin

Use: non-caloric sweetener

RN: 81-07-2 MF: $C_7H_5NO_3S$ MW: 183.19 EINECS: 201-321-0
LD_{50}: 17 g/kg (M, p.o.)
CN: 1,2-benzisothiazol-3(2*H*)-one 1,1-dioxide

calcium salt
RN: 6485-34-3 MF: $C_{14}H_8CaN_2O_6S_2$ MW: 404.44 EINECS: 229-349-9
calcium salt hydrate (4:7)
RN: 6381-91-5 MF: $C_{14}H_8CaN_2O_6S_2 \cdot 7/2H_2O$ MW: 934.98
sodium salt
RN: 128-44-9 MF: $C_7H_4NNaO_3S$ MW: 205.17 EINECS: 204-886-1
LD_{50}: 17.5 g/kg (M, p.o.);
1.28 g/kg (R, p.o.)
sodium salt dihydrate
RN: 6155-57-3 MF: $C_7H_4NNaO_3S \cdot 2H_2O$ MW: 241.20
LD_{50}: 17.5 g/kg (M, i.p.)



Reference(s):
review:
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **22**, 356.
a DRP 35 211 (Fahlberg-List; appl. 1884).
US 1 601 505 (J. W. Orelup; 1926; appl. 1921).
oxidation of 2-toluenesulfonamide *with oxygen*:
US 3 759 936 (Rhône-Poulenc, ert. 18.9.1973; F-prior. 1.4.1970, 16.11.1970).
b DOS 3 044 112 (BASF; appl. 24.11.1980).
US 4 464 537 (BASF; 7.8.1984; D-prior. 24.11.1980).

other methods:
US 2 667 503 (Maumee Dev.; 1954; appl. 1951).

purification:
DOS 2 730 861 (Chimicasa; appl. 8.7.1977; LUX-prior. 2.8.1976).

Formulation(s): tabl. 16.2 mg, 32.4 mg, 64.8 mg

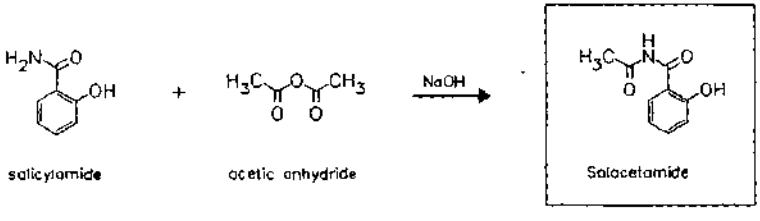
Trade Name(s):

D:	numerous combination preparations		Saccar (Schiapparelli Farm.)
I:	Diet Sucaryl (Abbott)	USA:	Sweetaste (Purepac)

Salacetamide
(Acetsalicylamide)

ATC: N02B
Use: antipyretic, antirheumatic

RN: 487-48-9 MF: $C_9H_9NO_3$ MW: 179.18 EINECS: 207-656-9
LD_{50}: >5 g/kg (M, p.o.);
2 g/kg (R, p.o.)
CN: *N*-acetyl-2-hydroxybenzamide



Reference(s):
DRP 177 054 (Kalle; appl. 1905).
DAS 2 509 481 (Bayer; appl. 5.3.1975).

Formulation(s): tabl. 100 mg

Trade Name(s):

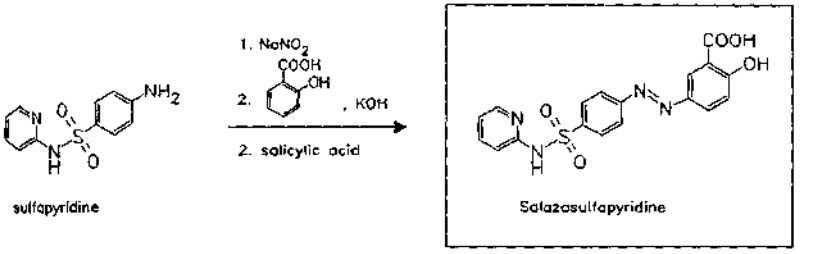
D:	Eu-Med (Novartis Consumer Health)-comb.; wfm	Octadon (Thiemann)-comb.; wfm

Salazosulfapyridine

(Salicylazosulfapyridine; Sulphasalazine; Sulfasalazine)

ATC: A07EC01
Use: chemotherapeutic (colitis), intestinal anti-inflammatory (ulcerative colitis, Crohn's disease)

RN: 599-79-1 MF: $C_{18}H_{14}N_4O_5S$ MW: 398.40 EINECS: 209-974-3
LD_{50}: 1096 mg/kg (M, i.v.); 12500 mg/kg (M, p.o.); 1520 mg/kg (R, i.v.); 15600 mg/kg (R, p.o.)
CN: 2-hydroxy-5-[[4-[(2-pyridinylamino)sulfonyl]phenyl]azo]benzoic acid



Reference(s):
US 2 396 145 (AB Pharmacia; 1946; S-prior. 1940).

Formulation(s): drg. 500 mg; f. c. tabl. 500 mg; suppos. 500 mg; susp. 3 g/100 ml; tabl. 500 mg

Trade Name(s):

D:	Azulfidine (Pharmacia & Upjohn)	F:	Salazopyrine (Pharmacia)		Salisulf gastroprotetto (Gipharmex)
	Colo-Pleon (Henning Berlin)	GB:	Salazopyrin (Pharmacia & Upjohn)	USA:	Azulfidine (Pharmacia & Upjohn)
	Sulfasalazin-Heyl (Heyl)	I:	Salazopyrin (Pharmacia & Upjohn)		

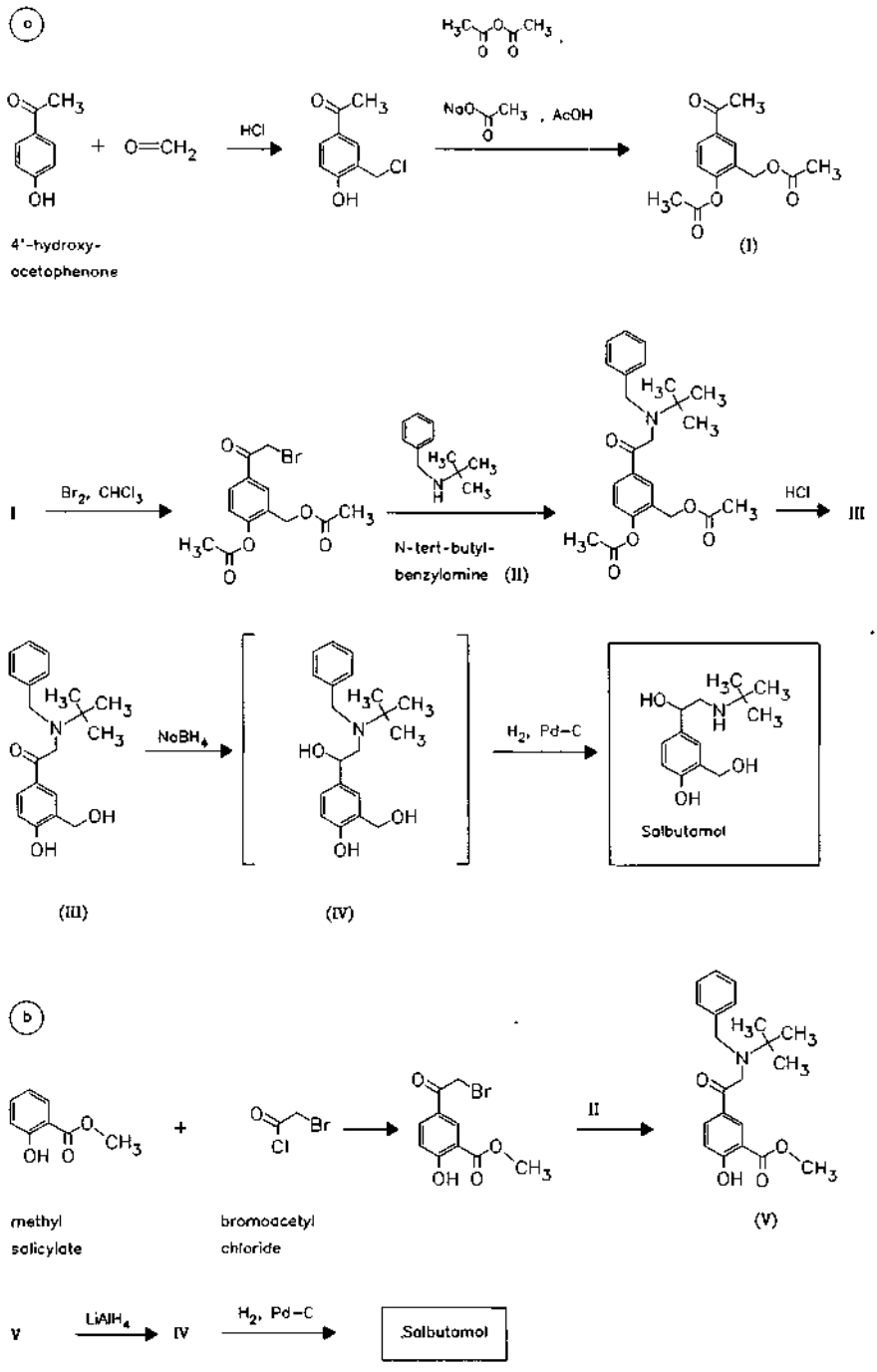
Salbutamol

(Albuterol)

ATC: R03AC02; R03AK04; R03CC02
Use: bronchodilator

RN: 18559-94-9 MF: $C_{13}H_{21}NO_3$ MW: 239.32 EINECS: 242-424-0
LD_{50}: 48.7 mg/kg (M, i.v.); 2707 mg/kg (M, p.o.); 57.1 mg/kg (R, i.v.); 660 mg/kg (R, p.o.)
CN: α^1-[[(1,1-dimethylethyl)amino]methyl]-4-hydroxy-1,3-benzenedimethanol

sulfate (2:1)
RN: 51022-70-9 MF: $C_{13}H_{21}NO_3 \cdot 1/2H_2SO_4$ MW: 576.71 EINECS: 256-916-8
LD_{50}: 48.7 mg/kg (M, i.v.); 1950 mg/kg (M, p.o.); 59.1 mg/kg (R, i.v.); >2500 mg/kg (R, p.o.)



Reference(s):

DE 1 643 224 (Allen & Hanburys; prior. 22.9.1967).
US 3 644 353 (Allen & Hanburys; 15.2.1972; prior. 23.9.1966).
Collin, D.T. et al.: J. Med. Chem. (JMCMAR) **13**, 674 (1970).
GB 1 200 886 (Allen & Hanburys; appl. 23.9.1966; valid from 21.4.1967).
a US 3 642 896 (Allen & Hanburys; 15.2.1972; GB-prior. 21.4.1967).
b US 3 705 233 (Allen & Hanburys; 5.12.1972; GB-prior. 23.9.1966).

alternative synthesis:
DAS 2 340 189 (Polfa; appl. 8.8.1973).

R(−)-enantiomer:
DE 2 128 258 (Allen & Hanburys; appl. 7.6.1971; GB-prior. 17.6.1970).
Effenberger, F., Jäger, J.: J. Org. Chem. (JOCEAH) **62**, 3867 (1997).

stable aqueous formulation:
DOS 3 319 356 (Glaxo; appl. 27.5.1983; GB-prior. 27.5.1982).

Formulation(s): amp. 0.6 mg/ml, 1.5 mg/2.5 ml, 3 mg/2.5 ml, 6 mg/5 ml; metered-dose aerosol 0.1 mg; metered-dose aerosol 0.12 mg; powder 0.12 mg, 0.24 mg; sol. 6 mg/g, 6 mg/ml; s. r. tabl. 4.82 mg, 9.64 mg; syrup 2.4 mg/5 ml (as sulfate)tabl. 2.4 mg, 4.8 mg

Trade Name(s):

D: Apsomol (Farmasan)
Arubendol (Farmasan)
Bronchospray (Klinge)
Epaq (ASTA Medica AWD; 3M Medica)
Loftan (Glaxo Wellcome/ Cascan)
Salbulair (ASTA Medica AWD; 3M Medica)
Sultanol (Glaxo; 1971)
Volmac (Glaxo Wellcome)

F: Combivent (Boehringer Ing.)-comb.
Salbumol (Glaxo Wellcome)
Spréor (Inava)
Ventodisks (Glaxo Wellcome)
Ventoline (Glaxo Wellcome; 1971)

GB: Asmasal (Evans)
Combivent (Boehringer Ing.)-comb.
Salamol Steri-Neb (Baker)
Ventide (A. & H.)-comb.
Ventolin (Allen & Hanburys; 1969)
Volmax (Allen & Hanburys)

I: Breva (Valeas)-comb.
Broncovaleas (Valeas)
Clenil (Chiesi)- comb.
Perventil (Malesci)-comb.
Salbutard (Lusofarmaco)
Ventolin (Glaxo Wellcome)
Volmax (Glaxo Allen)

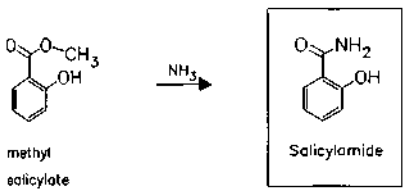
J: Asmidon (Dainippon)
Sultanol (Nippon Glaxo)
Ventoli (Sankyo)

USA: Proventil (Schering; 1981)
Ventolin (Glaxo Wellcome; 1981)
Volumax (Muro)

Salicylamide

ATC: N02BA05
Use: analgesic, antipyretic, antirheumatic

RN: 65-45-2 MF: $C_7H_7NO_2$ MW: 137.14 EINECS: 200-609-3
LD_{50}: 313 mg/kg (M, i.v.); 300 mg/kg (M, p.o.); 980 mg/kg (R, p.o.)
CN: 2-hydroxybenzamide



Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **13**, 91.

Formulation(s): gel 4 g/100 g; tabl. 200 mg, 400 mg

Trade Name(s):

D: Coffalon (Stark, Konstanz)-comb.
Girheulit (Pflüger)-comb.
Glutisal (Ravensberg)-comb.
Salistoperm (Ursapharm)-comb.
numerous combination preparations

F: Percutalgine (Besins-Iscovesco)-comb.

GB:	Intralgin (3M Health Care)	J:	Saliamin (Yoshitomi)	USA:	Lobac (Seatrace)-comb.
I:	Azerodol (Edmond)		Salimid (Yoshitomi)		
	Tuscalman Berna (Berna)-comb.		numerous combination preparations		

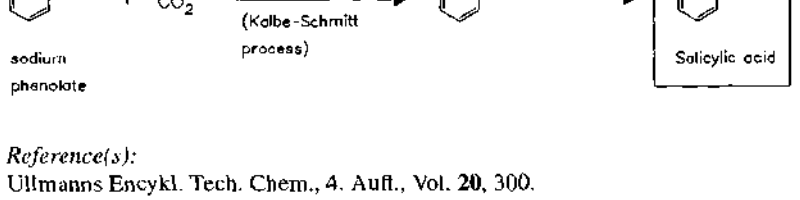
Salicylic acid

(Acidum salicylicum; Spiroylsäure; Spirsäure)

ATC: D01AE12
Use: keratolytic, antipyretic, antirheumatic

RN: 69-72-7 MF: $C_7H_6O_3$ MW: 138.12 EINECS: 200-712-3
LD_{50}: 184 mg/kg (M, i.v.); 480 mg/kg (M, p.o.);
891 mg/kg (R, p.o.)
CN: 2-hydroxybenzoic acid

monosodium salt
RN: 54-21-7 MF: $C_7H_5NaO_3$ MW: 160.10 EINECS: 200-198-0
LD_{50}: 560 mg/kg (M, i.v.); 540 mg/kg (M, p.o.);
930 mg/kg (R, p.o.);
562 mg/kg (dog, i.v.)
calcium salt (2:1)
RN: 824-35-1 MF: $C_{14}H_{10}CaO_6$ MW: 314.31 EINECS: 212-525-4
magnesium salt
RN: 18917-89-0 MF: $C_{14}H_{10}MgO_6$ MW: 298.53 EINECS: 242-669-3



Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **20**, 300.

fluid bed process:
EP 89 565 (Bayer; appl. 11.3.1983; D-prior. 23.3.1982).

Formulation(s): cream 40 g/100 g; eye drops 1 mg/ml; gel 10 g/100 g; ointment 3 g/100 g, 2 g/100 g; plaster 4 mg, 23 mg, 32 mg, 0.81 g, 1.39 g; sol. 0.1 g/g, 10 g/100 g

Trade Name(s):

D:	Gehwol (Gerlach)		Diprosalic (Schering-Plough)		Diprosalic (Schering-Plough)-comb.
	Guttaplast (Beiersdorf)		Eau Précieuse (Phygiène)		Duofilm (Stiefel)-comb.
	Hansaplast Footcare (Beiersdorf)		Génésérine (Amido)		Gelcosal (Quinoderm)-comb.
	Mobilat (Sankyo)		Pansoral (Pierre Fabre)		Ionil T (Galderma)-comb.
	Squamasol Gel (Ichthyol)		Verrucosal (Novartis)		Meted (Euroderma)
	Urgo Hühneraugenpflaster (Fournier Pharma)		numerous combination preparations		Monphytol (L.A.B.)-comb.
	numerous combination preparations	GB:	Acnisal (Euroderma)		Movelat (Sankyo)-comb.
F:	Algipan (Darcy)		Aserbine (Goldshield)-comb.		Occlusal (Euroderma)
	Betnesalic (Glaxo Wellcome)		Capasal (Dermal)		Phytex (Pharmax)-comb.
	Coricide Le Diable (Sodia)		Cocois (Evans)		Posalfilin (Norgine)-comb.
			Cuplex (S & N)-comb.		Pragmatar (Bioglan)-comb.
					Pyralvex (Norgine)-comb.
					Salactol (Dermal)-comb.

	Verrugon (Pickles)		Halciderm (Bristol-Myers Squibb)-comb.		Speel Plaster (Nichiban)
I:	Apsor (IDI Farmaceutici)-comb.		Locorten-(Novartis)	USA:	DHS Sal (Person & Covey)-comb.
	Collodio All'acido Salicilico (Afom)-comb.		Losalen (Novartis)		Hydrisalic Gel (Pedinol)
	Dermatar (IDI Farmaceutici)-comb.		Mobilat (Sankyo Pharma)-comb.		Occlusal-HP (GenDerm)-comb.
	Diprosalic (Schering-Plough)		Pyralvex (Norgine Italia)-comb.		SalAc (GenDerm)
	Donalg (Dynacren)-comb.	J:	numerous preparations		Sal-Acid (Pedinol)
	Giovanardi bruciaporri (Giovanardi)-comb.		Salicylic acid-Vaseline (Iwaki; Maruishi; Ono; Toho-Sankyo; Tsukishima; Yoshida)		Salactic Film (Pedinol)-comb.
					Sal-Plant (Pedinol)

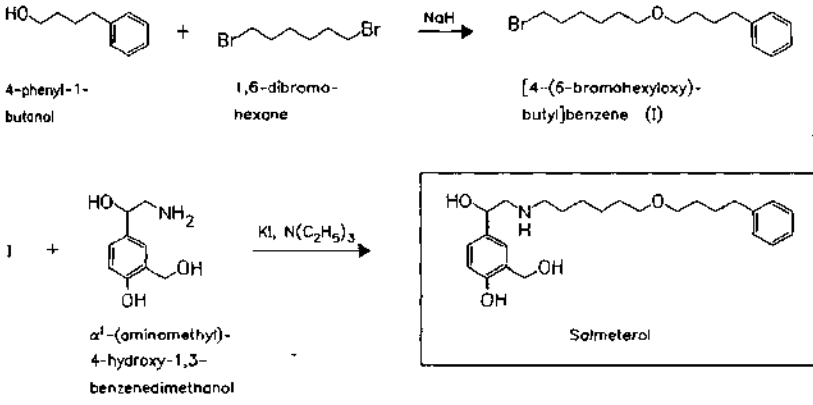
Salmeterol

(Salmaterol)

ATC: R03AC12
Use: long acting β_2-adrenoceptor agonist

RN: 89365-50-4 MF: $C_{25}H_{37}NO_4$ MW: 415.57
CN: (±)-4-hydroxy-α[1]-[[[6-(4-phenylbutoxy)hexyl]amino]methyl]-1,3-benzenedimethanol

xinafoate (1-hydroxy-2-naphthoate)
RN: 94749-08-3 MF: $C_{25}H_{37}NO_4 \cdot C_{11}H_8O_3$ MW: 603.76



Reference(s):
DOS 3 414 752 (Glaxo; appl. 23.8.1989; GB-prior. 18.4.1983, 23.6.1983, 4.11.1983, 25.1.1984).
US 4 992 474 (Glaxo; 12.2.1991; appl. 23.8.1989; GB-prior. 18.4.1984, 19.11.1986; GB-prior. 18.4.1983, 23.6.1983, 4.11.1983, 25.1.1984).

medical use for treatment of inflammation:
EP 416 925 (Glaxo; appl. 6.9.1990; GB-prior. 7.9.1989).

combination with beclometasone:
EP 416 950 (Glaxo; appl. 7.9.1990; GB-prior. 8.9.1989; 20.10.1989).

combination with fluticasone:
EP 416 951 (Glaxo; appl. 7.9.1990; GB-prior. 8.9.1989, 20.10.1989).

Formulation(s): dose aerosol 0.025 mg/85 mg; powder for inhalation 0.05 mg/12.5 mg (as xinafoate)

Trade Name(s):

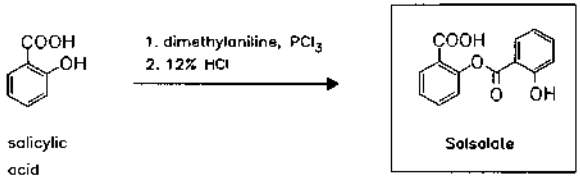
D:	aeromax (Glaxo Wellcome/ Cascan)	F:	Serevent (Glaxo Wellcome)	GB:	Serevent (Allen & Hanburys)
			Serevent (Glaxo Wellcome)		

I: Arial (Dompe)
Salmetedur (Menarini)
Serevent (Glaxo Wellcome)
USA: Serevent (Glaxo Wellcome)

Salsalate

ATC: N02BA
Use: analgesic, antirheumatic, urinary antiseptic

RN: 552-94-3 MF: $C_{14}H_{10}O_5$ MW: 258.23 EINECS: 209-027-4
LD_{50}: 1020 mg/kg (M, s.c.)
CN: 2-hydroxybenzoic acid 2-carboxyphenyl ester



salicylic acid

Reference(s):
DRP 211 403 (C. F. Boehringer Mannh.; appl. 1907).

Formulation(s): cps. 500 mg; tabl. 500 mg, 750 mg

Trade Name(s):

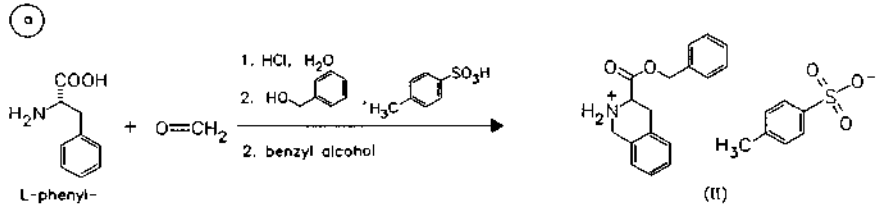
D:	Disalgesic (Kettelhack-Riker); wfm	USA:	Disalcid (3M)	generic
			Mono-Gesic (Schwarz)	
GB:	Disalcid (Riker); wfm		Salflex (Carnrick)	

Saquinavir
(Ro-31-8959)

ATC: J05AE01
Use: antiviral, HIV-1-protease inhibitor

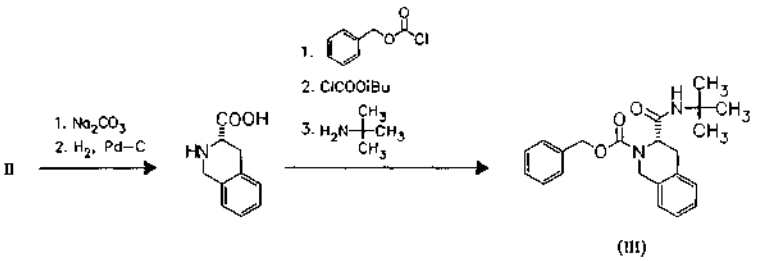
RN: 127779-20-8 MF: $C_{38}H_{50}N_6O_5$ MW: 670.86
CN: [3*S*-[2[1*R**(*R**),2*S**],3α,4aβ,8aβ]]-*N*^{1}-[3-[3-[[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1*H*)-isoquinolinyl]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]butanediamide

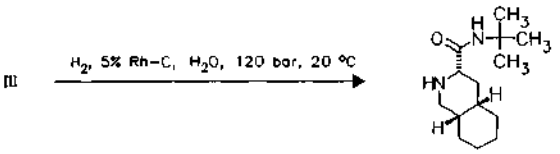
monomesylate
RN: 149845-06-7 MF: $C_{38}H_{50}N_6O_5 \cdot CH_4O_3S$ MW: 766.96



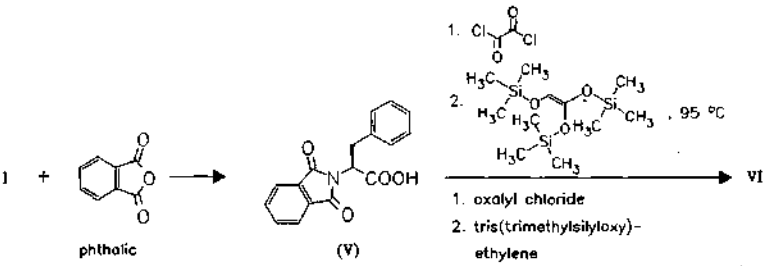
L-phenylalanine (I)

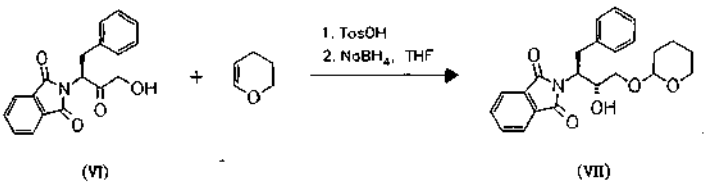
(II)

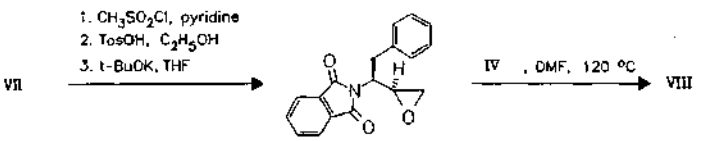




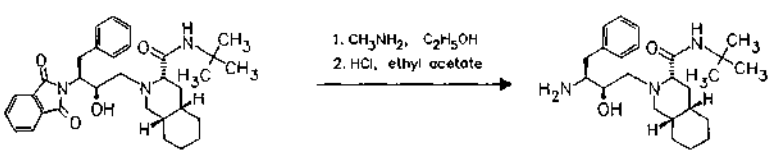
N-tert-butyldecahydro-(4aS,8aS)-isoquinoline-3(S)-carboxamide (IV)





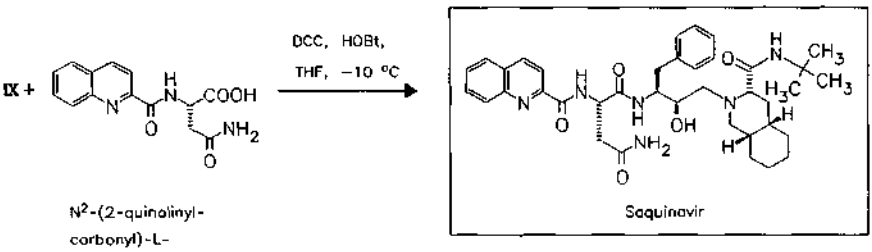


2(S)-[2-phenyl-1(S)-phthalimidoethyl]-oxirone



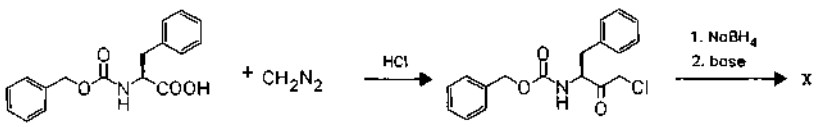
N-tert-butyl-2-[2(R)-hydroxy-4-phenyl-3(S)-phthalimido-butyl]decahydro-(4aS,8aS)-isoquinoline-3(S)-carboxamide (VIII)

N-tert-butyl-2-[3(S)-amino-2(R)-hydroxy-4-phenylbutyl]-decahydro-(4aS,8aS)-isoquinoline-3(S)-carboxamide (IX)



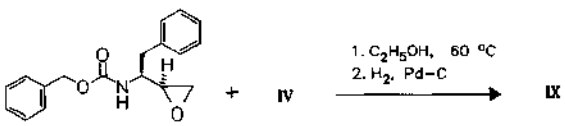
N^2-(2-quinolinyl-carbonyl)-L-asparagine

Ⓑ

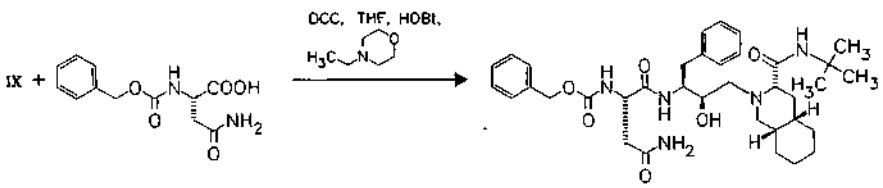


N-benzyloxycarbonyl-L-phenylalanine

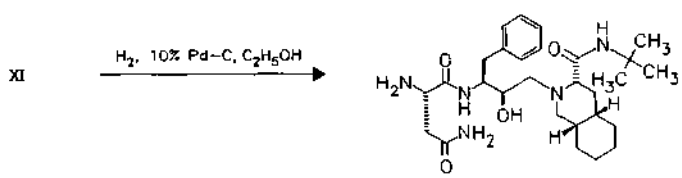
diazomethane



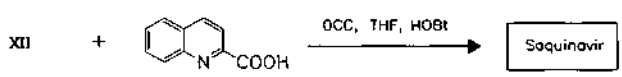
3(S)-benzyloxycarbonylamino-1,2(S)-epoxy-4-phenylbutane (X)



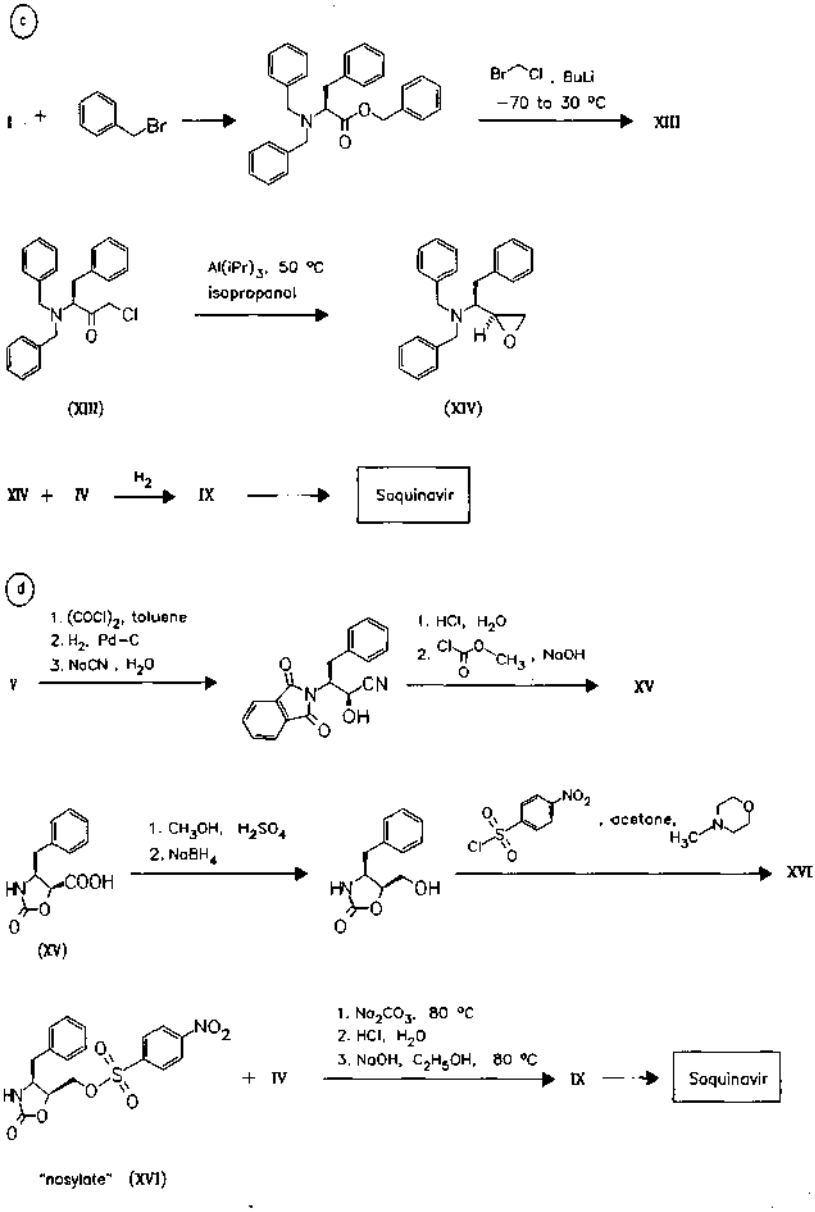
N^2-benzyloxycarbonyl-L-asparagine



2-[3(S)-(L-asparaginylamino)-2(R)-hydroxy-4-phenylbutyl]-N-tert-butyldecahydro-(4aS,8aS)-isoquinoline-3(S)-carboxamide (XII)



quinaldic acid



Reference(s):

a Parkes, K.E.B. et al.: J. Org. Chem. (JOCEAH) **59**, 3656 (1994).
EP 346 847 (Hoffmann-La Roche; appl. 13.6.1989; GB-prior. 13.6.1988, 10.4.1989).
EP 432 694 (Hoffmann-La Roche; appl. 10.12.1992; GB-prior. 11.12.1989).
b EP 432 695 (Hoffmann-La Roche; appl. 10.12.1990; GB-prior. 11.12.1989, 10.12.1990).

combinations:

WO 9 419 008 (Merrell Dow Pharm.; appl. 18.1.1994; GB-prior. 22.2.1993).
EP 513 917 (Glaxo; appl. 11.5.1992; GB-prior. 16.5.1991, 8.10.1991, 6.11.1991).
EP 691 345 (Bristol-Myers Squibb; appl. 5.7.1995; USA-prior. 17.5.1995, 5.7.1994).
WO 9 533 464 (Searle & Co.; appl. 2.6.1995; USA-prior. 3.6.1994).

Formulation(s): cps. 200 mg (as mesylate)

Trade Name(s):

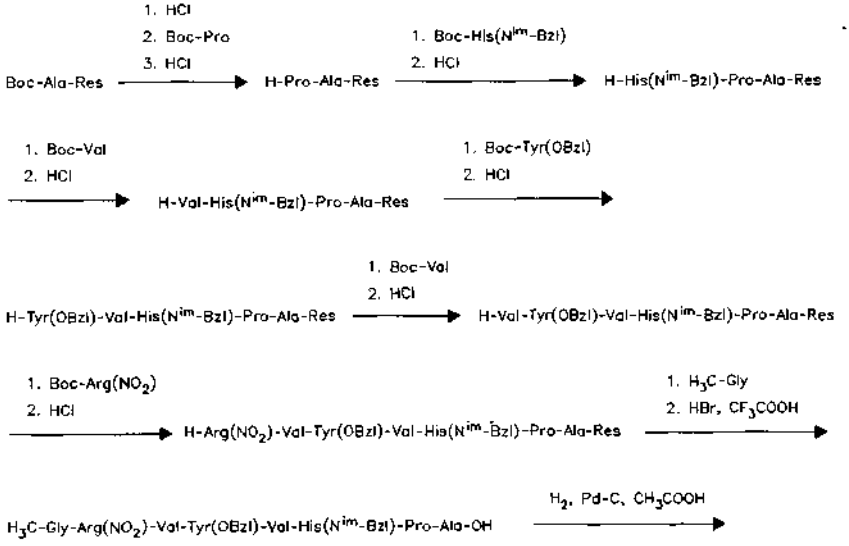
D:	FORTOVASE (Roche) Invirase (Roche)	GB:	Fortovase (Roche) Invirase (Roche; 1996)	USA:	Invirase (Roche)
F:	Invirase (Roche; 1996)	I:	Invirase (Roche)		

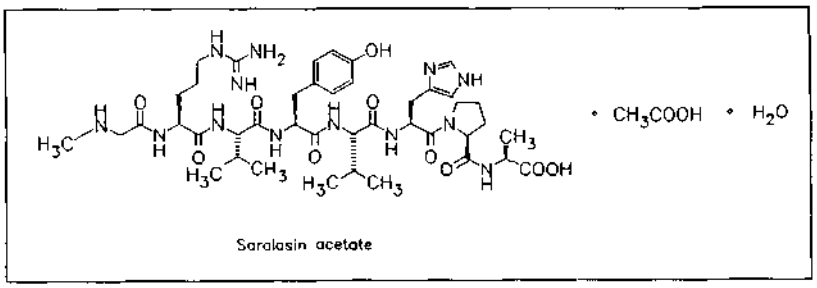
Saralasin acetate

ATC: C09
Use: antihypertensive, diagnostic (renin-dependent hypertension)

RN: 39698-78-7 MF: $C_{42}H_{65}N_{13}O_{10} \cdot xC_2H_4O_2 \cdot xH_2O$ MW: unspecified
LD_{50}: 1171 mg/kg (M, i.v.)
CN: 1-(*N*-methylglycine)-5-L-valine-8-L-alanineangiotensin II acetate (salt) hydrate

saralasin
RN: 34273-10-4 MF: $C_{42}H_{65}N_{13}O_{10}$ MW: 912.06
monoacetate
RN: 60173-70-8 MF: $C_{42}H_{65}N_{13}O_{10} \cdot C_2H_4O_2$ MW: 972.12





Saralasin acetate

N^{im}-Bzl: N-benzylation in the imidazole ring

Res: resin ester

Reference(s):
DOS 2 127 393 (Norwich; appl. 2.6.1971; USA-prior. 12.2.1971).
GB 1 320 104 (Norwich; valid from 20.5.1971).
ZA 7 103 182 (Norwich; appl. 29.9.1971).

subcutaneously applicable pharmaceutical formulation:
US 3 932 624 (Morton-Norwich; 13.1.1976; prior. 17.6.1974).

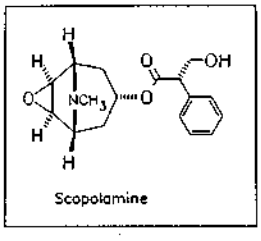
Trade Name(s):
D: Sarenin (Röhm Pharma); wfm
USA: Sarenin (Norwich Pharm.); wfm

Scopolamine
(Hyoscine)

ATC: A04AD01; N05CM05; S01FA02
Use: mydriatic, parasympatholytic, sedative, antispasmodic

RN: 51-34-3 MF: $C_{17}H_{21}NO_4$ MW: 303.36 EINECS: 200-090-3
LD_{50}: 100 mg/kg (M, i.v.); 1275 mg/kg (M, p.o.); 2650 mg/kg (R, p.o.)
CN: [7(*S*)-(1α,2β,4β,5α,7β)]-α-(hydroxymethyl)benzeneacetic acid 9-methyl-3-oxa-9-azatricyclo[3.3.1.$0^{2,4}$]non-7-yl ester

hydrobromide
RN: 114-49-8 MF: $C_{17}H_{21}NO_4 \cdot HBr$ MW: 384.27 EINECS: 204-050-6
LD_{50}: 203 mg/kg (M, i.v.); 1880 mg/kg (M, p.o.); 1270 mg/kg (R, p.o.)
hydrobromide trihydrate
RN: 6533-68-2 MF: $C_{17}H_{21}NO_4 \cdot HBr \cdot 3H_2O$ MW: 438.32



Scopolamine

a From mother liquors of hyoscyamine production (atropine, q. v.).
b By extraction of Scopolia drugs, as *Datura metel* and *Duboisia*.

Reference(s):
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. 3, 204.

Formulation(s): amp. 0.3 mg/ml, 0.5 mg/ml, 1 mg/ml; eye drops 0.25 % (as hydrobromide trihydrate); eye drops 2.5 mg/g (as borate); plaster 1.5 mg/2.5 cm^2

Trade Name(s):
D: Boro-Scopol (Winzer)
Neurovegetalin (Verla)-comb.
Scopoderm TTS (Novartis Pharma)
Scopolamin. hydrobromic. Dispersa (Dispersa); wfm
Scopolamin. hydrobromic. Dispersa Baeschlin (Baeschlin); wfm
F: Génoseopolamine (Amido)
Scopoderm TTS (Novartis)
GB: Buscopan (Boehringer Ing.)
Hypal 2 (S & N)
I: Buscopan (Boehringer Ing.)
Scopolamina Bromidrato (Biologici Italia)
Spasmeridan (UCB)
Transcop 4 sistemi transderm (Recordati)
combination preparations
J: numerous generic preparations

USA: Atrohist Plus (Medeva; as hydrobromide) | Bellatal (Richwood; as hydrobromide) | Donnatal (Robins; as hydrobromide) | Transderm Scop (Novartis)

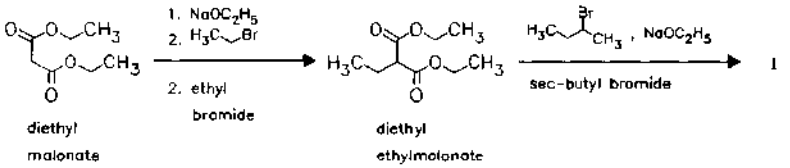
Secbutabarbital

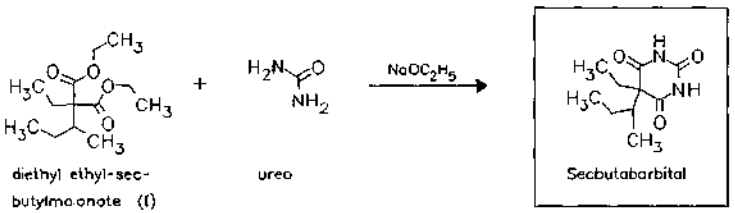
(Butabarbital; Secbutobarbitone; Sodium Butabarbital; Butethal)

ATC: N05CA
Use: sedative, hypnotic

RN: 125-40-6 MF: $C_{10}H_{16}N_2O_3$ MW: 212.25 EINECS: 204-738-6
LD_{50}: 175 mg/kg (M, i.v.)
CN: 5-ethyl-5-(1-methylpropyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt
RN: 143-81-7 MF: $C_{10}H_{15}N_2NaO_3$ MW: 234.23 EINECS: 205-611-8
LD_{50}: 70 mg/kg (R, i.v.); 78 mg/kg (R, p.o.); 90 mg/kg (dog, i.v.)





Reference(s):
US 1 856 792 (Eli Lilly; 1932; prior. 1929).

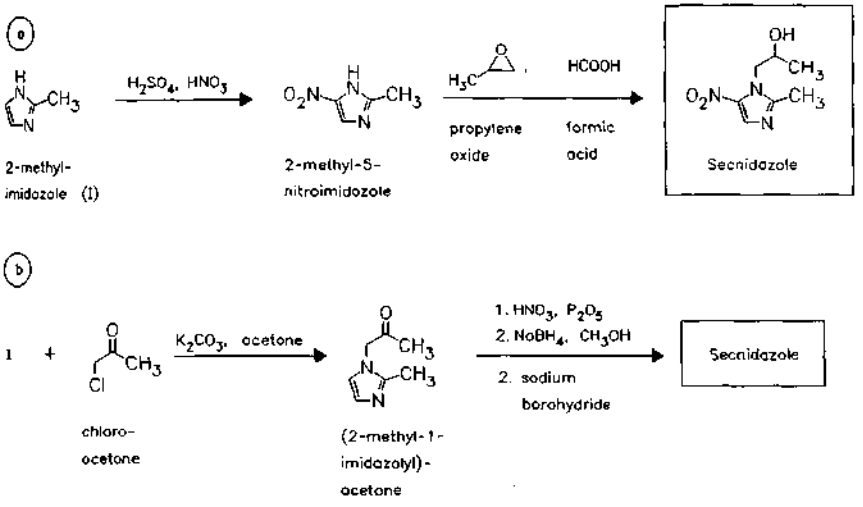
Formulation(s): tabl. 15 mg, 30 mg, 50 mg, 100 mg (as sodium salt)

Trade Name(s):
D: Dormilfo (Wachter)-comb.; wfm; Nervolitan (Kettelhack)-comb.; wfm
F: Resedorm (Lappe)-comb. with aprobarbital; wfm; Butobarbital Dipharma (Amido)
GB: Soneryl (Concord)
USA: Barbased (Major); Butisol Sodium (Wallace); Hypnasmine (Élerté)-comb.

Secnidazole

ATC: P01AB07
Use: chemotherapeutic, amoebicide

RN: 3366-95-8 MF: $C_7H_{11}N_3O_3$ MW: 185.18 EINECS: 222-134-0
CN: α,2-dimethyl-5-nitro-1*H*-imidazole-1-ethanol



Reference(s):

a Cosar, C. et al.: Arzneim.-Forsch. (ARZNAD) **16**, 23 (1966).
FR-M 3 270 (Rhône-Poulenc; appl. 30.12.1963).
FR 1 427 627 (Rhône-Poulenc; appl. 10.10.1963).

b DOS 2 107 423 (Rhône-Poulenc; appl. 16.2.1971; F-prior. 16.2.1970).
GB 1 278 758 (Rhône-Poulenc; valid from 19.4.1971; F-prior. 16.2.1970).
GB 1 265 466 (Rhône-Poulenc; valid from 15.7.1970; F-prior. 16.2.1970).

alternative syntheses:

DOS 2 107 405 (Rhône-Poulenc; appl. 16.2.1971; F-prior. 16.2.1970).
GB 1 278 757 (Rhône-Poulenc; valid from 19.4.1971; F-prior. 16.2.1970).

Formulation(s): tabl. 500 mg

Trade Name(s):

F: Flagentyl (Specia; Rhône-Poulenc)

Secobarbital

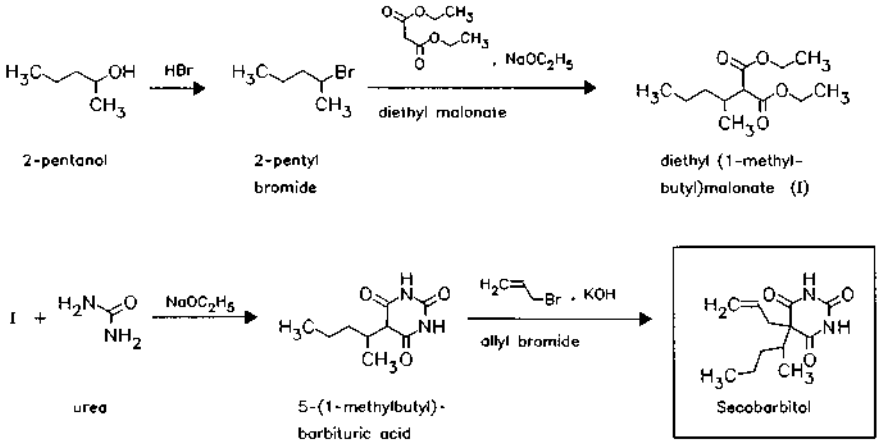
(Quinalbarbitone)

ATC: N05CA06
Use: hypnotic

RN: 76-73-3 MF: $C_{12}H_{18}N_2O_3$ MW: 238.29 EINECS: 200-982-2
LD_{50}: 145 mg/kg (M, p.o.);
80 mg/kg (R, i.v.)
CN: 5-(1-methylbutyl)-5-(2-propenyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione

monosodium salt

RN: 309-43-3 MF: $C_{12}H_{17}N_2NaO_3$ MW: 260.27 EINECS: 206-218-4
LD_{50}: 110 mg/kg (M, i.v.);
65 mg/kg (R, i.v.); 125 mg/kg (R, p.o.);
48 mg/kg (dog, i.v.); 85 mg/kg (dog, p.o.)



Reference(s):
US 1 954 429 (Eli Lilly; 1934; CDN-prior. 1930).

Formulation(s): cps. 50 mg, 100 mg; powder 50 mg (as sodium salt)

Trade Name(s):

D: Dormilfo (Wachter)-comb.; wfm
Medinox (Pfleger)-comb.; wfm
Optipyrin (Pfleger)-comb.; wfm
Solamin (Ardeypharm)-comb.; wfm
Tempidorm (Roland)-comb.; wfm
Tempidorm N (Roland)-comb.; wfm
Trisomin (Asche)-comb.; wfm
Vesparax (UCB)-comb.; wfm

F: Binoctal (Houdé)-comb.; wfm
Dinoctin (Spret-Mauchant)-comb.; wfm
Divinoctal (I.S.H.)-comb.; wfm
Imménoctal (Houdé); wfm
Imménoctal (I.S.H.); wfm
Insomnyl (Elerté)-comb.; wfm
Noctadiol (Millot-Solac)-comb.; wfm
Reposal (Martinet)-comb.; wfm
Sonuctane (Bottu)-comb.; wfm
Supponoctal (Houdé)-comb.; wfm
combination preparations; wfm

GB: Seconal Sodium (Lilly)

I: Immenox (Roussel-Maestretti); wfm
Neogratusminal (Simes)-comb.; wfm
Vesparax (UCB)-comb.; wfm

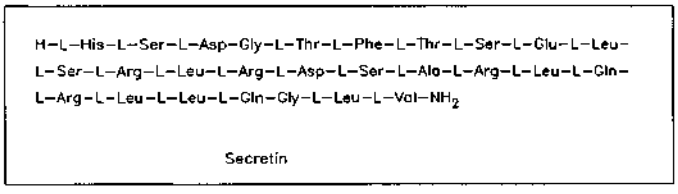
J: Ional Sodium (Yoshitomi)

USA: Seconal Sodium (Lilly)
Tuinal (Lilly)-comb.

Secretin

ATC: V04CK01
Use: diagnostic, hormon (pancreatic)

RN: 1393-25-5 MF: unspecified MW: unspecified EINECS: 215-733-3
LD_{50}: >5000 iu/kg (M, i.v.); >5000 iu/kg (M, p.o.); >5000 iu/kg (R, i.v.); >5000 iu/kg (R, p.o.)
CN: secretin



From hog duodenummucosa.

Reference(s):
Jorpes, J.E.; Mutt, V.: Acta Chem. Scand. (ACHSE7) **15**, 1790 (1961).

synthesis:
US 3 767 639 (Squibb; 23.10.1973; prior. 12.4.1968, 17.4.1970).
Bodanszky, M. et al.: J. Am. Chem. Soc. (JACSAT) **89**, 685; 6753 (1967).
Ondetti, M.A. et al.: J. Am. Chem. Soc. (JACSAT) **90**, 4711 (1968).
Wuensch, E. et al.: Chem. Ber. (CHBEAM) **104**, 2430, 2445, 3854 (1971); **105**, 2508 (1972).

purification:
Wuensch, E. et al.: Chem. Ber. (CHBEAM) **105**, 2515 (1972).

structure:
Mutt, V.; Jorpes, J.E.: Eur. J. Biochem. (EJBCAI) **15**, 513 (1970).

Formulation(s): amp. 0.029 mg (as hydrochloride)

Trade Name(s):

D:	Sekretolin (Hoechst)	F:	Sécrétine Sinbio (Fimex); wtm	J:	Secrepan (Eisai)
				USA:	Secretin-Ferring (Ferring)

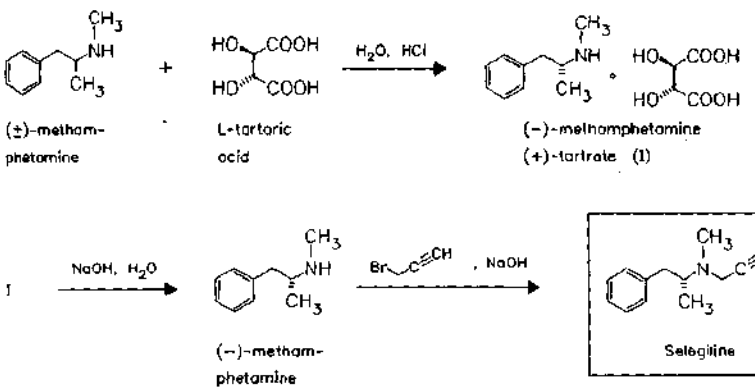
Selegiline

(L-Deprenil; L-Deprenyl)

ATC: N04BD01
Use: antiparkinsonian

RN: 14611-51-9 MF: $C_{13}H_{17}N$ MW: 187.29
CN: (*R*)-*N*,α-dimethyl-*N*-2-propynylbenzeneethanamine

hydrochloride
RN: 14611-52-0 MF: $C_{13}H_{17}N \cdot HCl$ MW: 223.75



Reference(s):
DOS 1 568 277 (Chinoin; appl. 30.4.1966; H-prior. 3.5.1965).

alternative syntheses:
GB 1 031 425 (Chinoin; Complete Specification 26.3.1963; H-prior. 30.3.1962).
EP 344 675 (Farmakon; appl. 29.5.1989; CS-prior. 30.5.1988).

methamphetamine *racemate resolution:*
Li Chiang: J. Chin. Chem. Soc. (Peking) (JCCOAV) **18**, 161 (1951).
Jung et al.: J. Am. Chem. Soc. (JACSAT) **75**, 4664 (1953).

Formulation(s): tabl. 5 mg, 10 mg (as hydrochloride)

Trade Name(s):

D:	Amindan (Desitin)		Selepark (betapharm)		Jumex (Chiesi)
	Antiparkin (ASTA Medica AWD)		Seletop (Azupharma)		Seledat (Master Pharma)
	Deprenyl (Sanofi Winthrop)	F:	Déprényl (Schering-Plough)		Selpar (Therabel Pharma)
	Movergan (Orion Pharma)	GB:	Eldepryl (Orion)	USA:	Atapryl (Athena)
	Selegam (Neuro Hexal)		Vivapryl (ASTA Medica)		Eldepryl (Somerset)
		I:	Egibren (Chiesi)		generics

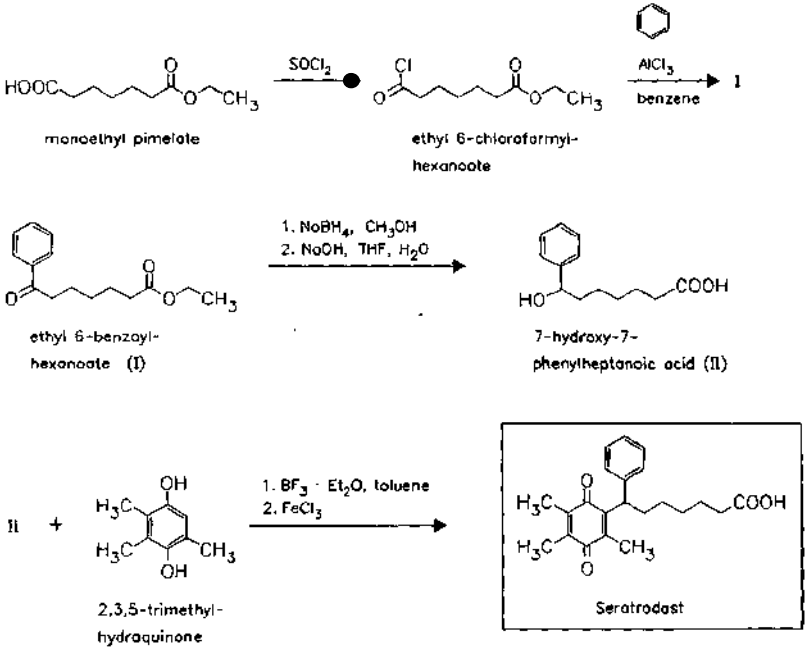
Seratrodast

(AA-2414; A-73001; ABT-001)

ATC: R03DC
Use: antiallergic, antiasthmatic, thromboxane A_2/leukotriene antagonist

RN: 112665-43-7 MF: $C_{22}H_{26}O_4$ MW: 354.45
LD_{50}: 1520 mg/kg (M, p.o.);
3750 mg/kg (R, p.o.)
CN: ζ-(2,4,5-trimethyl-3,6-dioxo-1,4-cyclohexadien-1-yl)benzeneheptanoic acid

(+)-*R*-enantiomer
RN: 103187-09-3 MF: $C_{22}H_{26}O_4$ MW: 354.45
(–)-*S*-enantiomer
RN: 103196-89-0 MF: $C_{22}H_{26}O_4$ MW: 354.45



Reference(s):
Shiraishi, M. et al.: J. Med. Chem. (JMCMAR) **32** (9), 2214-2221 (1989).
EP 171 251 (Takeda Chem.; appl. 30.7.1985; prior. 1.8.1984).

medical use as thromboxane A_2 *antagonist:*
EP 645 137 (Takeda Chem.; appl. 19.9.1994; J-prior. 21.9.1993).
EP 719 552 (Takeda Chem.; appl. 22.12.1995; J-prior. 26.12.1994).
JP 02 273 625 (Takeda Chem.; J-prior. 14.4.1989).

composition for treatment/prophylaxis of circulatory disorders:
JP 63 101 322 (Takeda Chem.; J-prior. 17.10.1986).

Formulation(s): gran. 100 mg/g (10 %); tabl. 40 mg, 80 mg

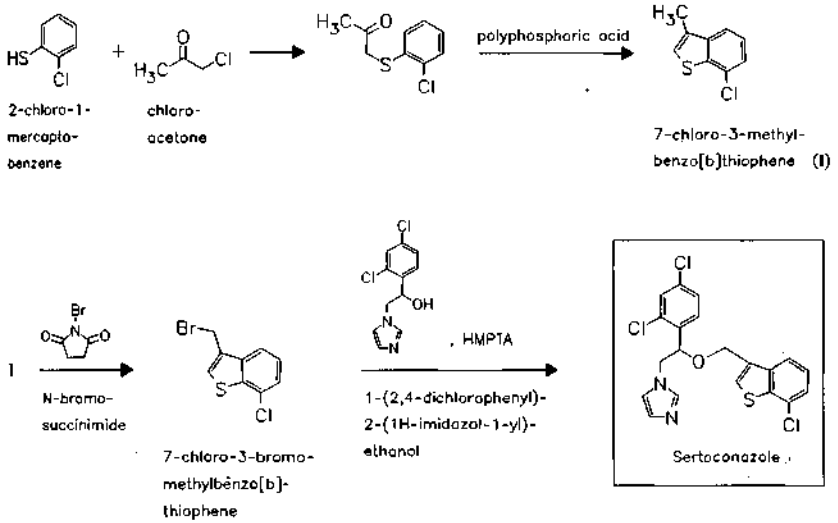
Trade Name(s):
J: Bronica (Takeda; Grelan)

Sertaconazole

ATC: D01AC
Use: antifungal

RN: 99592-32-2 MF: $C_{20}H_{15}Cl_3N_2OS$ MW: 437.78
CN: 1-[2-[(7-chlorobenzo[*b*]thien-3-yl)methoxy]-2-(2,4-dichlorophenyl)ethyl]-1*H*-imidazole

mononitrate
RN: 99592-39-9 MF: $C_{20}H_{15}Cl_3N_2OS \cdot HNO_3$ MW: 500.79



Reference(s):
EP 151 477 (Ferrer; appl. 2.1.1985; E-prior. 8.6.1984, 2.2.1984, 6.1.1984).
Raga, M.M. et al.: Arzneim.-Forsch. (ARZNAD) **42**, 691 (1992).

Formulation(s): cream 20 mg/g

Trade Name(s):
D: Zalain (Trommsdorff)

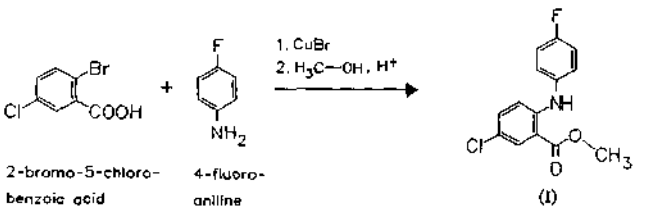
Sertindole

(LU-23-174; S-1991)

ATC: N05AE03
Use: antipsychotic, dopamine D_2-antagonist, 5-HT_2-antagonist

RN: 106516-24-9 MF: $C_{24}H_{26}ClFN_4O$ MW: 440.95
CN: 1-[2-[4-[5-chloro-1-(4-fluorophenyl)-1*H*-indol-3-yl]-1-piperidinyl]ethyl]-2-imidazolidinone

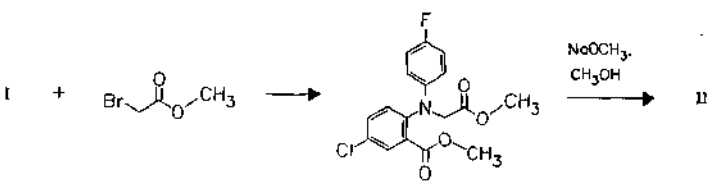
maleate
RN: 106516-25-0 MF: $C_{24}H_{26}ClFN_4O \cdot C_4H_4O_4$ MW: 557.02

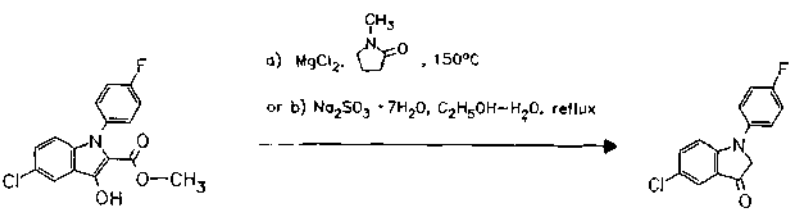


2-bromo-5-chloro-benzoic acid

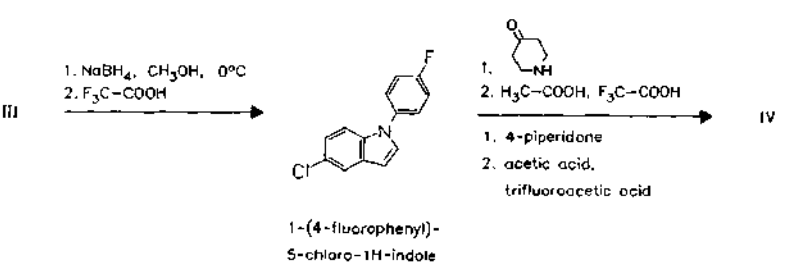
4-fluoro-aniline

(I)



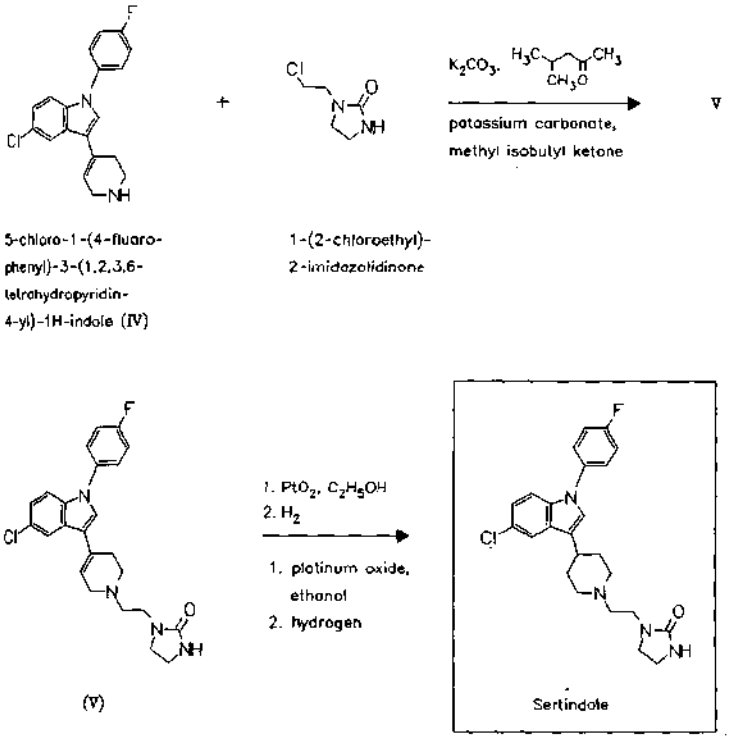


methyl 5-chloro-1-(4-fluorophenyl)-3-hydroxy-1H-indole-2-carboxylate (II)



1-(4-fluorophenyl)-5-chloro-1H-indole

1. 4-piperidone
2. acetic acid, trifluoroacetic acid



5-chloro-1-(4-fluoro-phenyl)-3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (IV)

1-(2-chloroethyl)-2-imidazolidinone

(V)

Reference(s):
EP 200 322 (Lundbeck; appl. 5.11.1986; GB-prior. 10.4.1985).

synthesis of 1-(4-fluorophenyl)-5-chloro-1*H*-indole:
Perregaard, J.K. et al.: J. Med. Chem. (JMCMAR) **35**, 1092 (1992).
Anderssen, K. et al.: J. Med. Chem. (JMCMAR) **39**, 3723 (1996).
WO 9 200 070 (Lundbeck; appl. 9.1.1992; DK-prior. 22.6.1990).

use of sertindole *for the treatment of schizophrenia:*
EP 392 959 (Lundbeck; appl. 17.10.1990; GB-prior. 11.4.1989).

use of sertindole *as serotonin-2/dopamine-2-receptor-blocking agent for the treatment of mental disorders:*
EP 730 865 (Sumitomo Pharm.; appl. 11.9.1996; J-prior. 12.1.1995).

use of sertindole *for the treatment of cognitive disorders, for the treatment of addiction and alleviating, relieving or suppressing cocaine, diazepame, nicotine or alcohol addictions:*
WO 9 215 303 (Lundbeck; appl. 17.9.1992; DK-prior. 1.3.1991).

use of sertindole *for treating of hypertension and peripheral vascular diseases:*
WO 9 215 301 (Lundbeck; appl. 17.9.1992; J-prior. 1.3.1991).

Formulation(s): f. c. tabl. 4 mg, 12 mg, 16 mg, 20 mg

Trade Name(s):

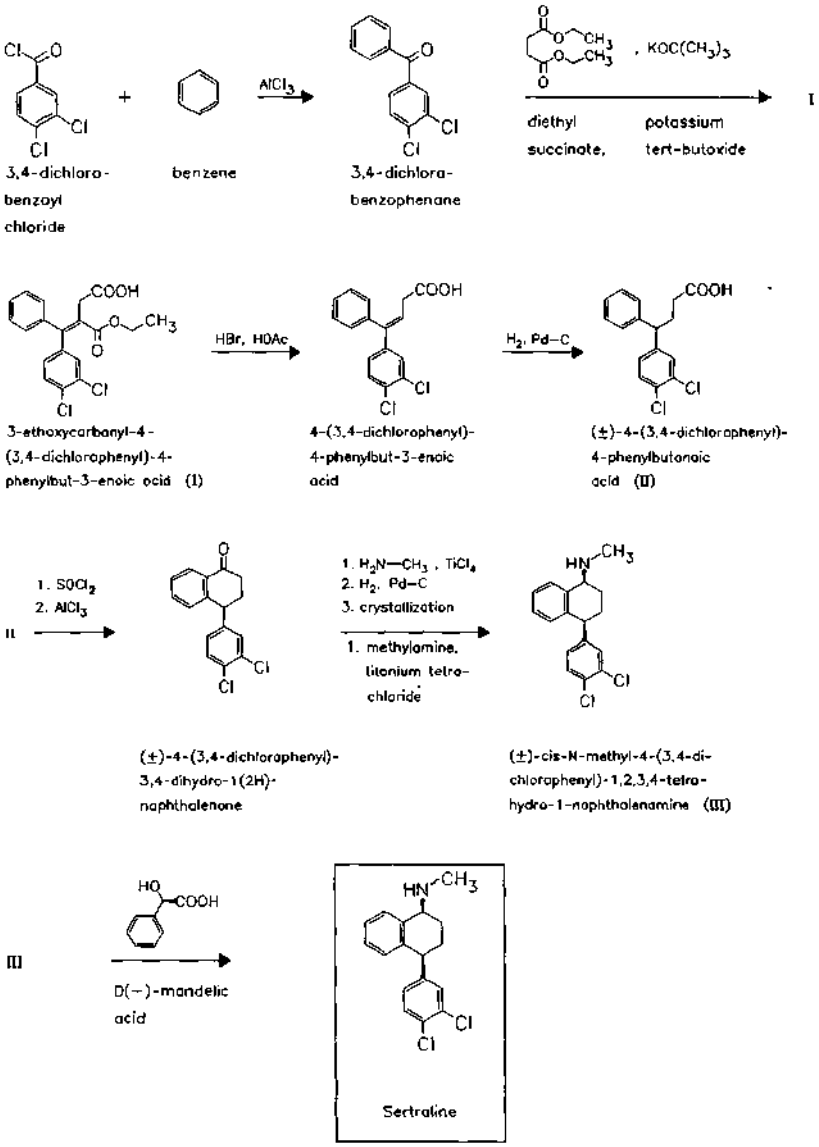
D:	Serdolect (Promonta Lundbeck)	GB:	Serdolect (Lundbeck)

Sertraline

ATC: N06AB06
Use: antidepressant, selective competitive inhibitor of synaptosomal serotonin-uptake

RN: 79617-96-2 MF: $C_{17}H_{17}Cl_2N$ MW: 306.24
CN: (1*S*-*cis*)-4-(3,4-dichlorophenyl)-1,2,3,4-tetrahydro-*N*-methyl-1-naphthalenamine

hydrochloride
RN: 79559-97-0 MF: $C_{17}H_{17}Cl_2N \cdot HCl$ MW: 342.70



Reference(s):
EP 30 081 (Pfizer; appl. 28.10.1980; USA-prior. 1.11.1979).
US 4 536 518 (Pfizer; 20.8.1985; appl. 1.11.1979).
Welch, W.M. et al.: J. Med. Chem. (JMCMAR) **27**, 1508 (1984).

alternative synthesis:
US 4 839 104 (Pfizer; 13.6.1989; appl. 16.6.1988; prior. 11.6.1987).
EP 295 050 (Pfizer; appl. 7.6.1988; USA-prior. 11.6.1987).
Lautens, M.; Rovis, T.: J. Org. Chem. (JOCEAH) **62**, 5246 (1977)
WO 9 827 050 (Richter Gedeon Vegyeszeti Gyar Rt.; appl. 15.12.1997; HU-prior. 18.12.1996).
WO 9 815 516 (Egis Gyogyszergyar Rt.; appl. 8.10.1997; HU-prior. 9.10.1996).
Corey, E.J., Gant, T.G.: Tetrahedron Lett. (TELEAY) **35** (30), 5373 (1994).
WO 9 515 299 (Pfizer; appl. 2.9.1994; USA-prior. 30.11.1993).
WO 9 301 162 (Pfizer; appl. 3.9.1992; GB-prior. 11.7.1991).
Williams, M.; Quallich, G.: Chem. Ind. (London) (CHINAG) **1990** (10), 315

synthesis of trans-isomer:
US 4 556 676 (Pfizer; 3.12.1985; appl. 1.11.1979).
EP 28 901 (Pfizer; appl. 28.10.1980; USA-prior. 1.11.1979, 5.9.1980).

preparation of sertraline intermediates:
WO 9 312 062 (Pfizer; appl. 15.9.1992; USA-prior. 13.12.1991).
WO 9 301 161 (Pfizer; appl. 3.7.1992; GB-prior. 11.7.1991).
Quallich, G.J.; Williams, M.T; Friedmann, R.C.: J. Org. Chem. (JOCEAH) **55** (16), 4971 (1990).

process for converting trans to cis isomer:
US 5 082 970 (Pfizer; 21.1.1992; USA-prior. 6.3.1991).

sertraline *polymorphism:*
US 5 248 699 (Pfizer; 28.9.1993; USA-prior. 13.8.1992).
US 5 734 083 (Torcan Chemical Ltd.; 31.3.1998; USA-prior. 17.5.1996).

controlled-release formulation:
EP 259 113 (Pfizer; appl. 28.8.1987; USA-prior. 4.9.1986).
EP 357 369 (Pfizer; appl. 29.8.1989; USA-prior. 30.8.1988).

medical use for treatment of anxiety:
US 4 962 128 (Pfizer; 9.10.1990; appl. 2.11.1989).
EP 429 189 (Pfizer; appl. 29.10.1990; USA-prior. 2.11.1989).

medical use for treatment of psychosis:
US 4 981 870 (Pfizer; 1.1.1991; appl. 7.3.1989).
EP 386 997 (Pfizer; appl. 6.3.1990; USA-prior. 7.3.1989).

medical use for treatment of dependency:
EP 415 612 (Pfizer; appl. 17.8.1990; USA-prior. 30.8.1989).

Formulation(s): f. c. tabl. 50 mg, 100 mg (as hydrochloride)

Trade Name(s):

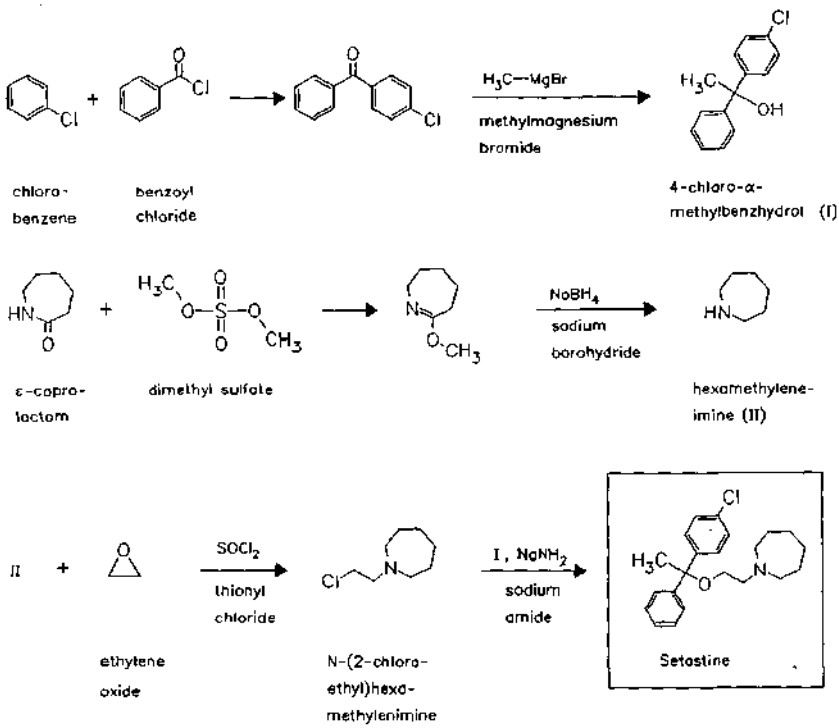
D:	Gladem (Boehringer Ing.) Zoloft (Pfizer)	GB:	Lustral (Invicta; Pfizer; 1990)		Tatig (Bioindustria) Zoloft (Roerig)
F:	Zoloft (Pfizer)	I:	Serad (Boehringer Mannh.)	USA:	Zoloft (Pfizer; 1991)

Setastine

ATC: R06AB
Use: antihistaminic

RN: 64294-95-7 MF: $C_{22}H_{28}ClNO$ MW: 357.93
CN: 1-[2-[1-(4-chlorophenyl)-1-phenylethoxy]ethyl]hexahydro-1*H*-azepine

hydrochloride
RN: 59767-13-4 MF: $C_{22}H_{28}ClNO \cdot HCl$ MW: 394.39
LD_{50}: 510 mg/kg (M, p.o.)



Reference(s):
DE 2 528 194 (Egyt; appl. 24.6.1975; H-prior. 24.6.1974).
GB 1 463 038 (Egyt; appl. 24.6.1975; H-prior. 24.6.1974).

Formulation(s): tabl. 1 mg (as hydrochloride)

Trade Name(s):
H: Loderix (EGIS; 1988)

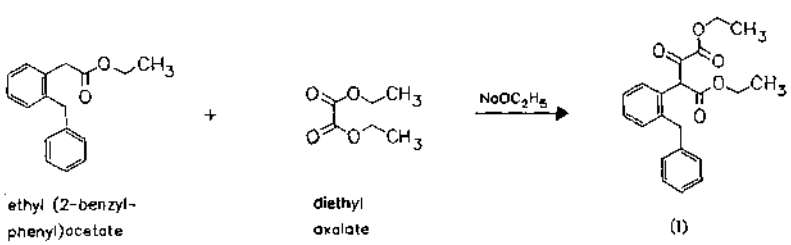
Setiptiline

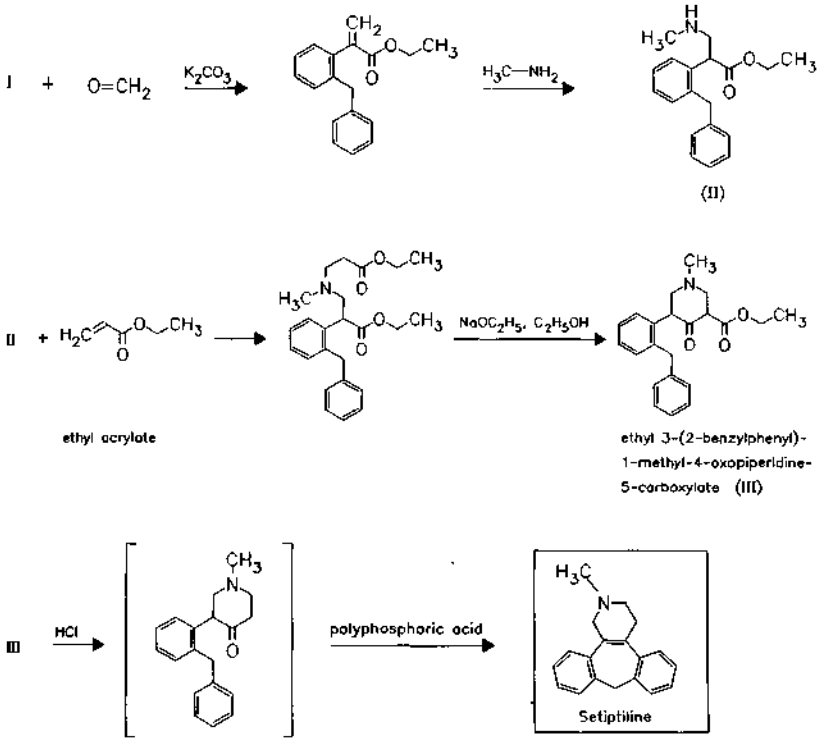
(Teciptiline)

ATC: N06AX
Use: antidepressant, mianserin analog

RN: 57262-94-9 MF: $C_{19}H_{19}N$ MW: 261.37 EINECS: 260-653-4
LD_{50}: 423 mg/kg (M, p.o.);
554 mg/kg (R, p.o.)
CN: 2,3,4,9-tetrahydro-2-methyl-1*H*-dibenzo[3,4:6,7]cyclohepta[1,2-*c*]pyridine

maleate (1:1)
RN: 85650-57-3 MF: $C_{19}H_{19}N \cdot C_4H_4O_4$ MW: 377.44 EINECS: 288-065-3





Reference(s):
DE 2 503 407 (Akzo; appl. 28.1.1975; NL-prior. 31.1.1974).
US 4 002 632 (Akzo; 11.1.1977; appl. 22.1.1975; NL-prior. 31.1.1974).

preparation of ethyl (2-benzylphenyl)acetate:
Kenyon, W.G. et al.: J. Org. Chem. (JOCEAH) **28**, 3108 (1963).
Yoshioka, M.; Osawa, H.; Fukuzawa, S.: Bull. Chem. Soc. Jpn. (BCSJA8) **55** (3), 877 (1982).
Weizmann et al.: J. Org. Chem. (JOCEAH) **15**, 918, 920, 926 (1950).
McElvain; Kent; Stevens: J. Am. Chem. Soc. (JACSAT) **68**, 1922 (1946).
Meyer: Ber. Dtsch. Chem. Ges. (BDCGAS) **21**, 1313 (1888).

medical use for treatment of gastric ulcers:
US 4 447 437 (Mochida; 8.5.1984; appl. 24.5.1982; J-prior. 3.6.1981).

Formulation(s): tabl. 1 mg

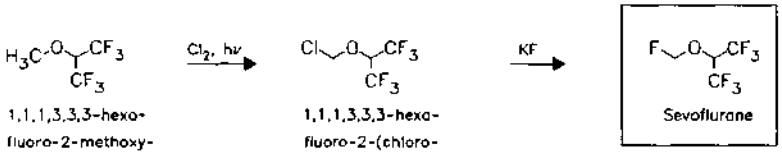
Trade Name(s):
J: Tecipul (Mochida; 1989)

Sevoflurane

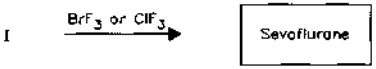
ATC: N01AB08
Use: anesthetic (inhalation)

RN: 28523-86-6 MF: $C_4H_3F_7O$ MW: 200.05
LD_{50}: 18.2 g/kg (M, p.o.); 28300 ppm/3H (M, inhal.);
10.8 g/kg (R, p.o.); 28800 ppm/3H (R, inhal.)
CN: 1,1,1,3,3,3-hexafluoro-2-(fluoromethoxy)propane

(a)



(b)



Reference(s):

a DE 1 954 268 (Baxter; appl. 28.10.1969; USA-prior. 29.10.1968).
US 3 683 092 (Baxter; 8.8.1972; appl. 31.7.1970; prior. 28.10.1968).
EP 341 005 (BOC; appl. 28.4.1989; USA-prior. 6.5.1988).
US 4 874 901 (BOC; 17.10.1989; appl. 6.5.1988).

b US 4 874 902 (BOC; 17.10.1989; appl. 20.5.1988).

alternative synthesis:

EP 42 412 (Baxter Travenol; appl. 10.12.1980; USA-prior. 26.12.1979).

Formulation(s): inhalation sol. **1 ml**

Trade Name(s):

D:	Sevorane (Abbott)	J:	Sevofrane (Maruishi; 1990)	Ultane (Abbott)
I:	Sevorane (Abbott)	USA:	Sevorane (Abbott)	

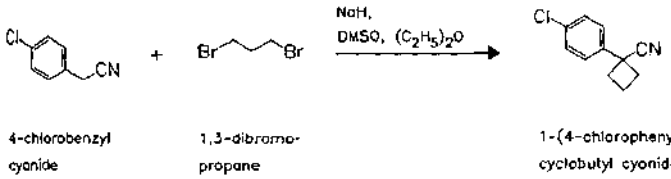
Sibutramine hydrochloride

(BTS-54524)

ATC: A08AA
Use: antidepressant, anorexic

RN: 125494-59-9 MF: $C_{17}H_{26}ClN \cdot HCl \cdot H_2O$ MW: 334.33
CN: (±)-1-(4-chlorophenyl)-*N*,*N*-dimethyl-α-(2-methylpropyl)cyclobutanemethanamine hydrochloride monohydrate

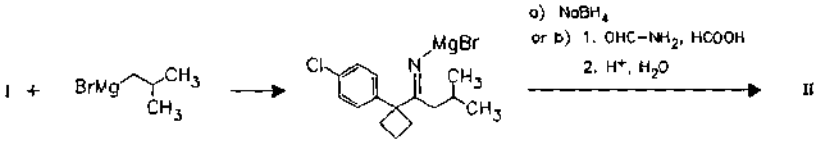
(±)-base
RN: 106650-56-0 MF: $C_{17}H_{26}ClN$ MW: 279.86
(±)-anhydrous hydrochloride
RN: 84485-00-7 MF: $C_{17}H_{26}ClN \cdot HCl$ MW: 316.32
(+)-base
RN: 154752-44-0 MF: $C_{17}H_{26}ClN$ MW: 279.86
(+)-hydrochloride
RN: 154752-45-1 MF: $C_{17}H_{26}ClN \cdot HCl$ MW: 316.32
(–)-base
RN: 153341-22-1 MF: $C_{17}H_{26}ClN$ MW: 279.86
(–)-hydrochloride
RN: 153341-23-2 MF: $C_{17}H_{26}ClN \cdot HCl$ MW: 316.32



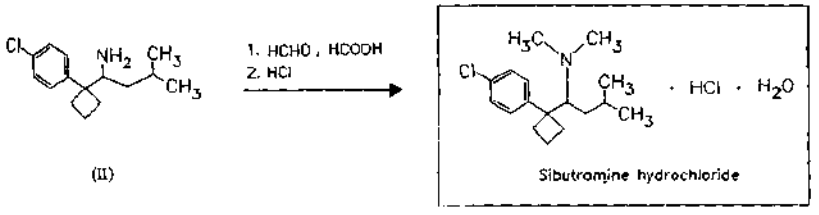
4-chlorobenzyl cyanide

1,3-dibromopropane

1-(4-chlorophenyl)-cyclobutyl cyanide (I)



2-methylpropylmagnesium bromide



(II)

Sibutramine hydrochloride

Reference(s):
DE 3 212 682 (Boots; appl. 21.10.1982; GB-prior. 6.4.1981).
WO 9 720 810 (Knoll AG; appl. 12.6.1997; GB-prior. 2.12.1996).
US 4 929 629 (Boots; 29.5.1990; GB-prior. 17.12.1985).

synthesis of 1-(4-chlorophenyl)cyclobutyl cyanide:
Butler, D.E.; Pollatz, J.C.: J. Org. Chem. (JOCEAH) **36**, 1308 (1971).

use for treating depression:
GB 2 184 122 (Boots; appl. 17.6.1987; GB-prior. 17.12.1985).

use for treatment of Parkinson's disease:
WO 8 806 444 (Boots; appl. 7.9.1988; GB-prior. 28.2.1987).

use for treatment of obesity:
WO 9 006 110 (Boots; appl. 14.6.1990; USA-prior. 29.11.1988).

use to lower lipid levels:
WO 9 813 034 (Knoll AG; appl. 2.4.1998; GB-prior. 25.9.1996).

Formulation(s): cps. 5 mg, 10 mg, 15 mg

Trade Name(s):
D: Reductil (Knoll; 1999) USA: Meridia (Knoll; 1998)

Sildenafil

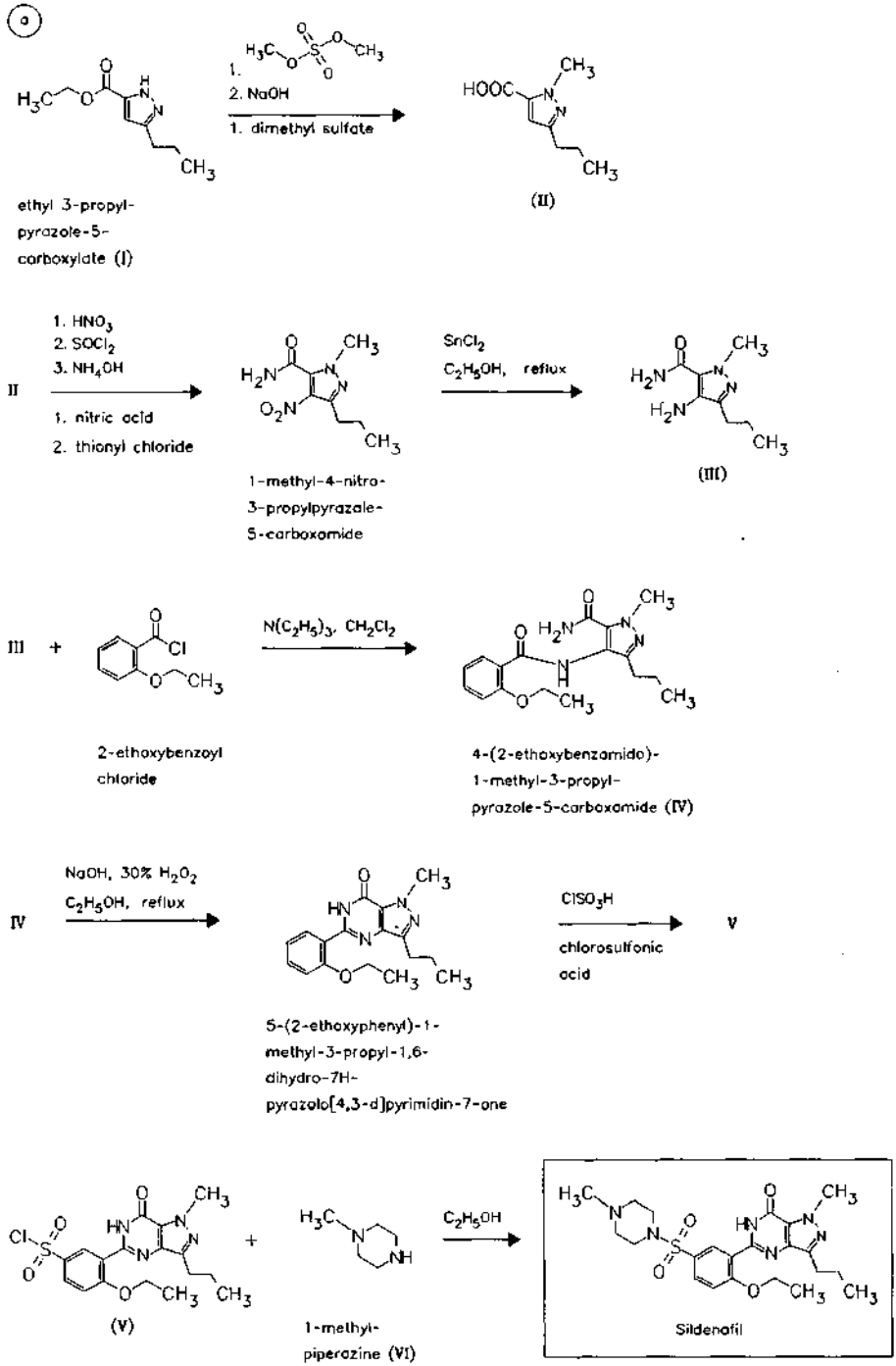
(UK-92480)

ATC: G04C
Use: male erectile dysfunction, PDE 5-inhibitor

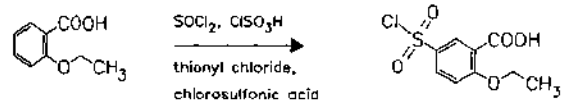
RN: 139755-83-2 MF: $C_{22}H_{30}N_6O_4S$ MW: 474.59
CN: 1-[[3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1*H*-pyrazolo [4,3-*d*]pyrimidin-5-yl)-4-ethoxyphenyl]sulfonyl]-4-methylpiperazine

citrate

RN: 171599-83-0 MF: $C_{22}H_{30}N_6O_4S \cdot C_6H_8O_7$ MW: 666.71

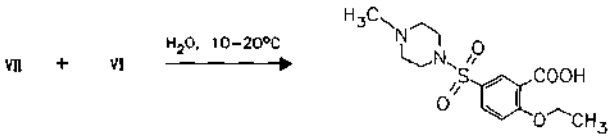


(b)

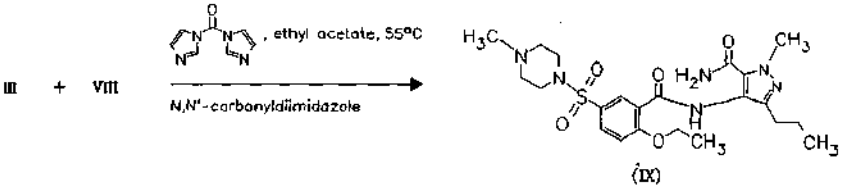


2-ethoxy-benzoic acid

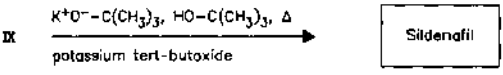
5-chlorosulfonyl-2-ethoxybenzoic acid (VII)



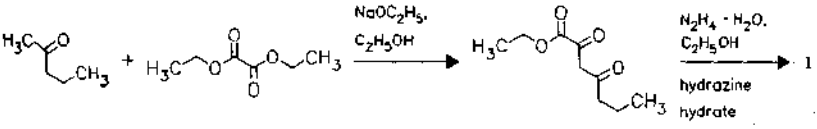
2-ethoxy-5-(4-methyl-piperazin-1-ylsulfonyl)-benzoic acid (VIII)



(IX)



preparation of ethyl 3-propylpyrazole-5-carboxylate



2-pentanone

diethyl oxalate

ethyl 2,4-dioxo-heptanoate

Reference(s):

a EP 463 756 (Pfizer Inc.; appl. 7.6.1991; GB-prior. 20.6.1990).
Terrett, N.K. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **6**, 1819-1824 (1996).
Palmer, E.: Chem. Brit. (CHMBAY) **1999**, 24

b EP 812 845 (Pfizer Corp.; appl. 4.6.1997; GB-prior. 14.6.1996).
Dale, D.J. et al.: Org. Process Res. Dev. (OPRDFK) **4**, 17-22 (2000).

preparation of ethyl 3-propylpyrazole-5-carboxylate:

Terrett, N.K; Bell, A.S.; Brown, D., Ellis, P.: Bioorg. Med. Chem. Lett. (BMCLE8) **6** (15), 1819 (1996).

preparation of ethyl 2,4-dioxoheptanoate:

Lapworth; Hann: J. Chem. Soc. (JCSOA9) **81**, 1490 (1902).
Libermann et al.: Bull. Soc. Chim. Fr. (BSCFAS) **1958**, 687, 690.
Burch, H.A.; Gray, J.E.: J. Med. Chem. (JMCMAR) **15**, 429 (1972).

use for treatment of impotence:

WO 9 428 902 (Pfizer Inc; appl. 13.5.1994; GB-prior. 9.6.1993).

Formulation(s): f. c. tabl. 25 mg, 50 mg, 100 mg (as citrate)

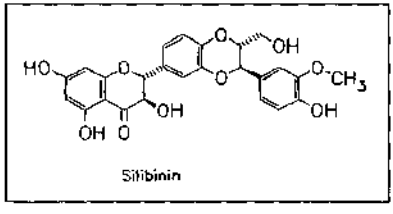
Trade Name(s):

D:	Viagra (Pfizer; 1999)	GB:	Viagra (Pfizer; 1999)	USA:	Viagra (Pfizer; 1998)
F:	Viagra (Pfizer; 1999)	I:	Viagra (Pfizer; 1999)		

Silibinin

ATC: A05
Use: liver therapeutic

RN: 22888-70-6 MF: $C_{25}H_{22}O_{10}$ MW: 482.44 EINECS: 245-302-5
LD_{50}: 1056 mg/kg (M, i.v.)
CN: [2*R*-[2α,3β,6(2*R**,3*R**)]]-2-[2,3-dihydro-3-(4-hydroxy-3-methoxyphenyl)-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]-2,3-dihydro-3,5,7-trihydroxy-4*H*-1-benzopyran-4-one



Silibinin

By extraction of the fruits of *Silybum marianum* Gaertn. (milk thistle) and column chromatographic purification.

Reference(s):
Wagner, H. et al.: Arzneim.-Forsch. (ARZNAD) **18**, 688 (1968); **24**, 466 (1974).
DOS 1 767 666 (Madaus; appl. 1.6.1968)
DAS 1 923 082 (Madaus; appl. 6.5.1969)
DOS 3 537 656 (Madaus; appl. 23.10.1985; D-prior. 22.11.1984).

derivatives and salts:
DRP 1 963 318 (ATO Investment; appl. 17.12.1969).
DAS 2 302 593 (Madaus; appl. 19.1.1973).

Formulation(s): cps. 35 mg, 70 mg, 140 mg, 150 mg, 200 mg; f. c. tabl. 70 mg, 140 mg; gran. 200 mg; susp. 0.43 g/100 g

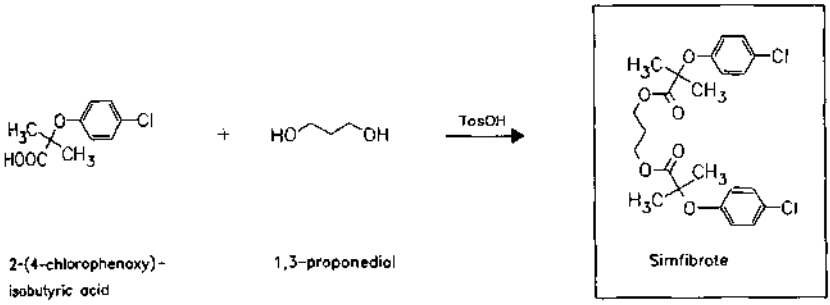
Trade Name(s):

D:	durasilymarin (durachemie)	F:	Légalon (Madaus)	Silimarin B (Benedetti)-comb.
	Legalon (Madaus)	I:	Eparsil (Pulitzer)	Silirex (Lampugnani)
	Silymarin (Ziethen; ct-Arzneimittel)		Legalon (IBI)	Silliver (Abbott)
			Silepar (Ibirn)	

Simfibrate

ATC: C01AB06
Use: antiarteriosclerotic (hypolipemic)

RN: 14929-11-4 MF: $C_{23}H_{26}Cl_2O_6$ MW: 469.36 EINECS: 238-998-7
LD_{50}: 3300 mg/kg (M, p.o.);
7300 mg/kg (R, p.o.)
CN: 2-(4-chlorophenoxy)-2-methylpropanoic acid 1,3-propanediyl ester



2-(4-chlorophenoxy)-isobutyric acid (cf. clofibrate synthesis)

1,3-propanediol

Reference(s):
US 3 494 957 (Yoshitomi; 10.2.1970; J-prior. 5.1.1965).

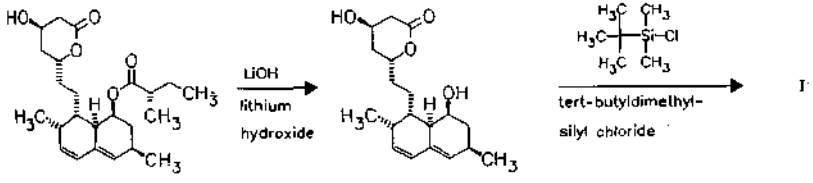
Formulation(s): cps. 250 mg

Trade Name(s):
I: Cholesolvin (Cyanamid); wfm
Liposolvin (Tosi-Novara); wfm
Sinfibrex (Isnardi); wfm
J: Cholesorbin (Takeda)

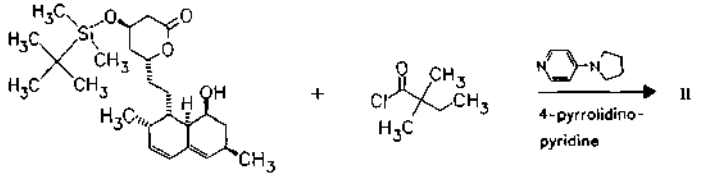
Simvastatin
(MK-733; Synvinolin)

ATC: C10AA01
Use: antihyperlipidemic cholesterol synthesis inhibitor, HMG-CoA-reductase inhibitor

RN: 79902-63-9 MF: $C_{25}H_{38}O_5$ MW: 418.57
LD_{50}: 3 g/kg (M, p.o.);
4438 mg/kg (R, p.o.);
>5 g/kg (dog, p.o.)
CN: [1*S*-[1α,3α,7β,8β(2*S**,4*S**),8aβ]]-2,2-dimethylbutanoic acid 1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-(tetrahydro-4-hydroxy-6-oxo-2*H*-pyran-2-yl)ethyl]-1-naphthalenyl ester

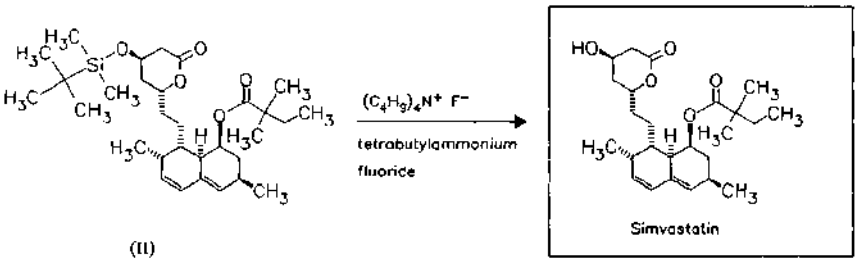


lovastatin (q. v.)



(I)

2,2-dimethyl-butyryl chloride



(II)

Reference(s):
US 4 444 784 (Merck & Co.; 24.4.1984; prior. 5.8.1980, 4.2.1980).
US 4 450 171 (Merck & Co.; 22.5.1984; prior. 14.6.1982, 18.12.1980, 5.8.1980, 4.2.1980).
Hoffmann, W.F. et al.: J. Med. Chem. (JMCMAR) **29**, 849 (1986).

alternative syntheses:
US 5 159 104 (Merck & Co.; 27.10.1992; appl. 1.5.1991).
GB 2 255 974 (Merck & Co.; 25.11.1992; USA-prior. 24.5.1991).
WO 9 812 188 (Brantford; 5.9.1996; CA-prior. 19.9.1996).
US 5 763 653 (Ranbaxy; 9.6.1998; appl. 13.3.1997).
US 5 763 646 (Ranbaxy; 9.6.1998; appl. 13.3.1997).
US 5 393 893 (Apotex; 28.2.1995; appl. 8.11.1993).
EP 33 538 (Merck & Co.; appl. 2.2.1981; USA-prior. 4.2.1980, 5.8.1980).
Thaper, R.K. et al.: Org. Process Res. Dev. (OPRDFK) **3**, 476-479 (1999).

controlled-release formulation:
EP 302 693 (Merck & Co.; appl. 1.8.1988; USA-prior. 3.8.1987, 31.8.1987).

Formulation(s): f. c. tabl. 5 mg, 10 mg, 20 mg, 40 mg; tabl. 5 mg, 10 mg, 20 mg, 40 mg

Trade Name(s):

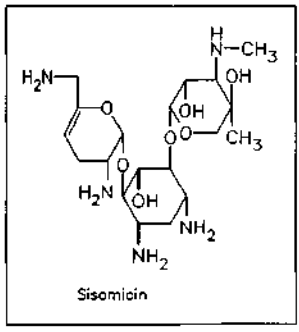
D:	Denan (Boehringer Ing.; 1990) Zocor (Dieckmann; 1990)	GB:	Zocor (Merck Sharp & Dohme; 1989)		Sivastin (Sigma-Tau) Zocor (Neopharmed)
F:	Lodalès (Sanofi Winthrop) Zocor (MSD-Chibret; 1989)	I:	Liponorm (Gentili) Medipo (Mediolanum) Sinvacor (Merck Sharp & Dohme)	J: USA:	Lipovas (Banyu) Zocor (Merck)

Sisomicin

ATC: J01GB08
Use: antibiotic

RN: 32385-11-8 MF: $C_{19}H_{37}N_5O_7$ MW: 447.53 EINECS: 251-018-2
LD_{50}: 34 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
32 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: *O*-3-deoxy-4-*C*-methyl-3-(methylamino)-β-L-arabinopyrasoyl-(1→6)-*O*-[2,6-diamino-2,3,4,6-tetradeoxy-α-D-*glycero*-hex-4-enopyranosyl-(1→4)]-2-deoxy-D-streptamine

sulfate (2:5)
RN: 53179-09-2 MF: $C_{19}H_{37}N_5O_7 \cdot 5/2H_2SO_4$ MW: 1385.46 EINECS: 258-414-4
LD_{50}: 34 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
49 mg/kg (R, i.v.); >5 g/kg (R, p.o.)



From fermentation solutions of *Micromonospora inyoensis* (NRRL 3292).

Reference(s):
DOS 1 932 309 (Scherico; appl. 26.6.1969; USA-prior. 27.6.1968, 16.12.1968).
US 3 832 286 (Schering Corp.; 27.8.1974; prior. 16.12.1968, 27.6.1968, 26.6.1973).
US 3 907 771 (Schering Corp.; 23.9.1975; prior. 3.2.1971, 16.12.1968, 27.6.1968).
US 4 009 328 (Scherico; 22.2.1977; prior. 2.5.1975).
Wagman, G.H. et al.: J. Antibiot. (JANTAJ) **23**, 551, 555 (1970).
Schmidt-Kastner, G.; Reimann, H.: Infection (Munich) (IFTNAL) **4**, (Suppl. 4), 292 (1976).

structure:
Reimann, H. et al.: J. Org. Chem. (JOCEAH) **39**, 1451 (1974).
Cleophax, J. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **1975**, 11.

synthesis:
Davis, D.H. et al.: J. Med. Chem. (JMCMAR) **21**, 189 (1978).

Formulation(s): amp. 20 mg/2 ml, 100 mg/2 ml, 75 mg/1.5 ml (as sulfate)

Trade Name(s):

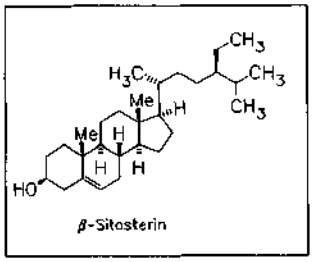
D:	Extramycin (Bayer; 1976); wfm Pathomycin (Byk Essex; 1976); wfm	F:	Sisolline (Schering Plough); wfm Sisolline (Unilabo-Cétrane); wfm	I:	Mensiso (Menarini) Sisomin (Max)
				USA:	Siseptin (Schering); wfm

β-Sitosterin

(β-Sitosterol; α-Phytosterol)

ATC: C10AX; G04C
Use: prostata adenoma therapeutic (benign prostate hypertrophy, BPH), antihypercholesterolemic

RN: 83-46-5 MF: $C_{29}H_{50}O$ MW: 414.72 EINECS: 201-480-6
CN: (3β)-stigmast-5-en-3-ol



From wheat seeds, soybeans etc.

Reference(s):
The Merck Index, 12th Ed., 1467 (1996).
US 4 153 622 (Medipolar Oy; 8.5.1979; prior. 18.5.1978).

use in combination with chenodeoxycholic acid *for disintegration of gallstones:*
DOS 2 618 854 (Fresenius; appl. 29.4.1976).

Formulation(s): cps. 10 mg, 65 mg; gran. 1.76 g/2 g; tabl. 75 mg, 100 mg

Trade Name(s):

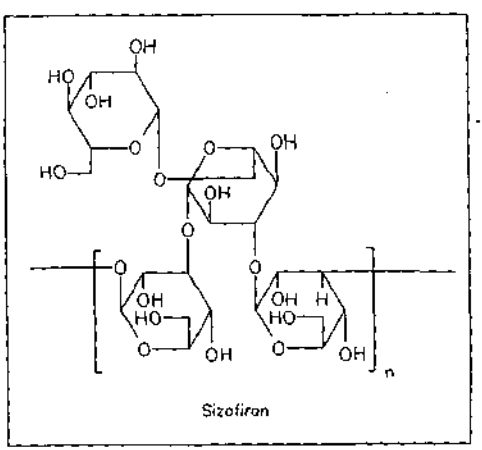
D:	Azuprostat Kapseln (Azuchemie)-comb.	Liposit Merz (Merz & Co.)			Triastonal (Intermuti)
	Cinchol Kapseln (Evers); wfm	LP-Truw (Truw)		F:	Sitostérol Delalande (Delalande); wfm
	Flemun (Intermuti)	Prostasal Kapseln (TAD)		USA:	Cytellin (Lilly); wfm
	Harzol (Hoyer)	Sito-Lande (Synthelabo)			
		Sitosterin Prostata Kapseln (Intermuti)			

Sizofiran

(Schizophyllan)

ATC: A06A; L03A
Use: antineoplastic, immunomodulator

RN: 9050-67-3 MF: $[C_{24}H_{40}O_{20}]x$ MW: unspecified
LD_{50}: >300 mg/kg (M, i.v.); >1 g/kg (M, p.o.);
>300 mg/kg (R, i.v.); >500 mg/kg (R, p.o.);
>100 mg/kg (dog, i.v.)
CN: poly[3→{*O*-β-D-glucopyranosyl-(1→3)-*O*-[β-D-glucopyranosyl-(1→6)-*O*-β-D-glucopyranosyl-(1→3)]-*O*-β-D-glucopyranosyl]→1]



Preparation by fermentation of *Schizophyllum commune*.

Reference(s):
JP 71/37 873 (Taito; appl. 20.7.1968).
Kozima, T. et al.: Int. J. Immunopharmacol. (IJIMDS) **2** (3), 49 (1980).

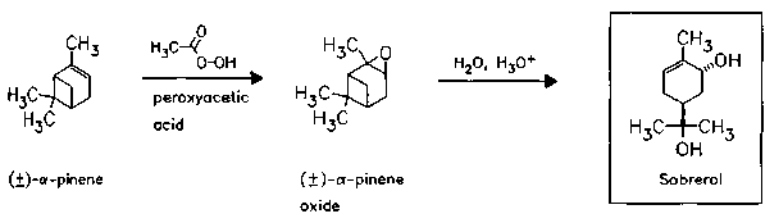
Formulation(s): amp. 40 mg

Trade Name(s):
J: Sonifilan (Taito Pfizer)

Sobrerol
(Pinolhydrat)

ATC: R05CB07
Use: respiratory stimulant, secretolytic, mucolytic

RN: 498-71-5 MF: $C_{10}H_{18}O_2$ MW: 170.25 EINECS: 207-868-1
LD_{50}: 580 mg/kg (M, i.v.)
CN: 5-hydroxy-α,α,4-trimethyl-3-cyclohexene-1-methanol



Reference(s):
US 2 815 378 (Glidden; 3.12.1957; appl. 12.6.1953).
DE 1 096 348 (FMC; appl. 26.10.1959).
DE 2 114 138 (C. Corvi; appl. 24.3.1971; I-prior. 17.4.1970).

medical use:
DE 2 166 355 (Camillo Corvi; appl. 24.3.1971; I-prior. 17.4.1970).
GB 1 176 817 (C. Corvi; appl. 8.12.1967; NL-prior. 9.12.1966).

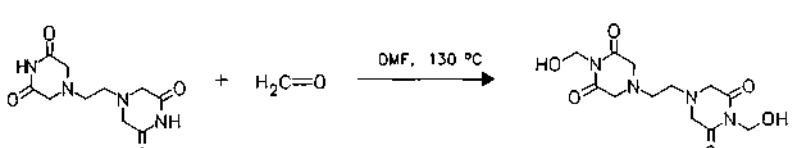
Formulation(s): cps. 200 mg; gran. 100 mg, 300 mg; suppos. 20 mg, 100 mg, 200 mg; syrup 0.8 %

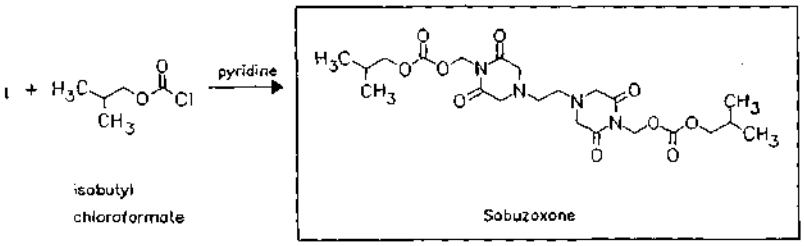
Trade Name(s):
I: Fluental (Corvi)-comb.
Polimucil (Poli)-comb.
Sobrepin (Roche)
Sopulmin (Scharper)

Sobuzoxane
(MST 16)

ATC: L01
Use: antineoplastic, topoisomerase II-inhibitor

RN: 98631-95-9 MF: $C_{22}H_{34}N_4O_{10}$ MW: 514.53
LD_{50}: >1 g/kg (M, p.o.);
>5 g/kg (R, p.o.);
>3 g/kg (dog, p.o.)
CN: carbonic acid 1,2-ethanediylbis[(2,6-dioxo-4,1-piperazinediyl)methylene] bis(2-methylpropyl) ester





Reference(s):
EP 140 327 (Zenyaku Kogyo Co.; appl. 23.10.1984; J-prior. 31.10.1983).

Formulation(s): sachets containing gran. 400 mg, 800 mg, 1200 mg, 1600 mg

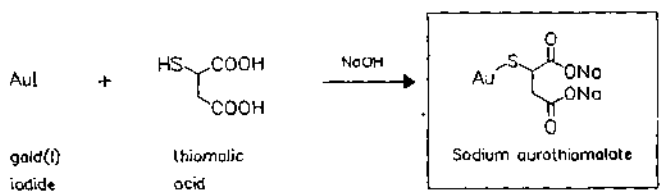
Trade Name(s):
J: Perazolin (Zenyaku Kogyo)

Sodium aurothiomalate
(Gold Sodium Thiomalate)

ATC: M01CB01
Use: gold therapeutic (antirheumatic, antiarthritic)

RN: 12244-57-4 MF: $C_4H_5AuO_4S \cdot xNa$ MW: unspecified EINECS: 235-479-7
CN: sodium [mercaptobutanedioato(2–)]aurate(2–)

free acid
RN: 24145-43-5 MF: $C_4H_5AuO_4S$ MW: 346.14 EINECS: 246-034-1



Reference(s):
US 1 994 213 (Rhône-Poulenc; 1935; GB-prior. 1933).

Formulation(s): amp. 10 mg, 20 mg, 50 mg

Trade Name(s):
D: Tauredon (Byk Gulden; Byk Tosse)
GB: Myocrisin (IHC)
J: Kidon (Ono)
USA: Myochrysine (Merck)

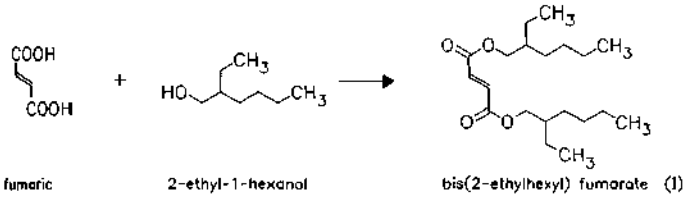
Sodium dioctyl sulfosuccinate
(Dioctyl sodium sulfosuccinate; Docusate sodium)

ATC: A06A
Use: laxative, detergent, emulgator, cerumenolytic

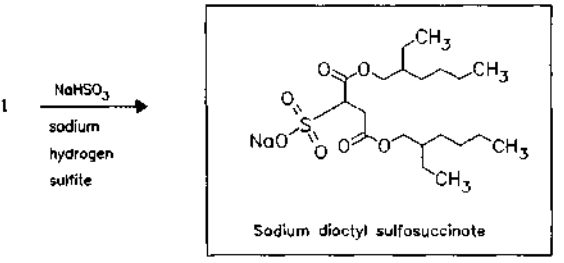
RN: 577-11-7 MF: $C_{20}H_{37}NaO_7S$ MW: 444.57 EINECS: 209-406-4
CN: sulfobutanedioic acid 1,4-bis(2-ethylhexyl) ester sodium salt

free acid
RN: 10041-19-7 MF: $C_{20}H_{38}O_7S$ MW: 422.58 EINECS: 233-124-0

calcium salt
RN: 128-49-4 MF: $C_{40}H_{74}CaO_{14}S_2$ MW: 883.23 EINECS: 204-889-8
potassium salt
RN: 7491-09-0 MF: $C_{20}H_{37}KO_7S$ MW: 460.67 EINECS: 231-308-5



fumaric acid | 2-ethyl-1-hexanol | bis(2-ethylhexyl) fumarate (I)



Reference(s):
US 2 028 091 (American Cyanamid; 1936; appl. 1933).
US 2 176 423 (American Cyanamid; 1939; appl. 1936).

calcium salt:
US 3 035 973 (Lloyd Brothers Inc.; 1962; appl. 1958).

Formulation(s): drg. 5 mg; drinking amp. 50 mg, 100 mg; suppos. 10 mg; syrup 20 mg/5 ml; tabl. 2.5 mg, 5 mg, 50 mg

Trade Name(s):

D: Agaroletten (Warner-Lambert)-comb.
Florisan (Boehringer Ing.)-comb.
Laxagetten (ct-Arzneimittel)-comb.
Otowaxol (Norgine)-comb.
Potsilo (Stark, Konstanz)-comb.
Tirgon (Woelm)-comb.
further combination preparations

F: Jamylène (Expanpharm)
Norgalax (Norgine Pharma)

GB: Klyx (Ferring); wfm
Solivax (Concept); wfm
numerous combination preparations

I: Dorbantyl (Robins)-comb.; wfm
Fisiolax (Manetti Roberts)-comb.; wfm
Ikelax (Iketon)-comb.; wfm
Lambanol (Zilliken)-comb.; wfm
Sorbiclis (Pharkos)-comb.; wfm
Tipicol (Biomedica Foscama)-comb.; wfm

J: Bulkosol (Eisai)

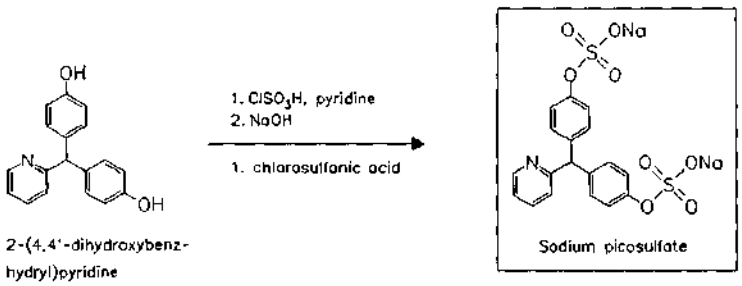
USA: Colace (Roberts)
Modane Plus (Savage)
Modane Soft (Savage)
Peri-Colace (Roberts)
Senokot-S (Purdue Frederick)
generics and further combination preparations

Sodium picosulfate

(Natrium-picosulfat; Picosulfate sodium; Sodium picosulphate)

ATC: A06AB08
Use: laxative

RN: 10040-45-6 MF: $C_{18}H_{13}NNa_2O_8S_2$ MW: 481.41 EINECS: 233-120-9
LD_{50}: 1600 mg/kg (M, i.v.); 14.5 g/kg (M, p.o.);
1450 mg/kg (R, i.v.); 17 g/kg (R, p.o.)
CN: 4,4'-(2-pyridinylmethylene)bisphenol bis(hydrogen sulfate)(ester) disodium salt



2-(4,4'-dihydroxybenzhydryl)pyridine
(cf. bisacodyl)

Reference(s):
US 3 528 986 (De Angeli; 15.9.1970; appl. 22.8.1966).

alternative synthesis (with amidosulfonic acid *or pyridine sulfur trioxide adduct):*
DOS 1 904 322 (Dr. K. Thomae; appl. 29.1.1969).

Formulation(s): drg. 5 mg; drops 7.5 mg/ml; tabl. 1.25 mg, 5 mg

Trade Name(s):

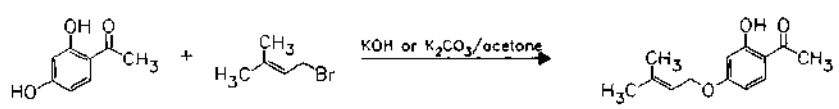
D:	Agiolax (Madaus)		Regulax (Krewel Meuselbach)	Gocce Antonetto (Antonetto)
	Dalcolax (Boehringer Ing.)			
	Laxoberal (Boehringer Ing.)	GB:	Laxoberal (Windsor)	Gocce Lassative Aicardi (SIT)
			Picolax (Ferring)-comb.	
	Mandrolax Pico (Dolorgiet)	I:	Falquigut (Falqui)	Guttalax (Fher)
	Midro (Midro)			

Sofalcone

(SU-88)

ATC: A02B
Use: ulcer therapeutic

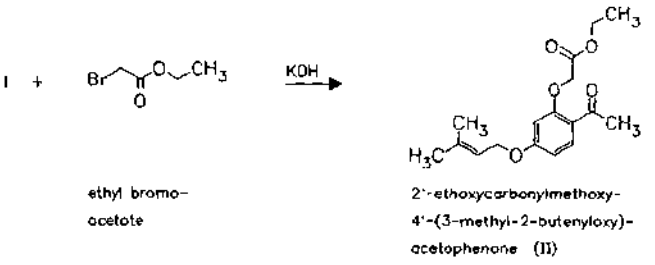
RN: 64506-49-6 MF: $C_{27}H_{30}O_6$ MW: 450.53
LD_{50}: 131 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
105 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
>20 g/kg (dog, p.o.)
CN: [5-[(3-methyl-2-butenyl)oxy]-2-[3-[4-[(3-methyl-2-butenyl)oxy]phenyl]-1-oxo-2-propenyl]phenoxy]acetic acid



2',4'-dihydroxyacetophenone

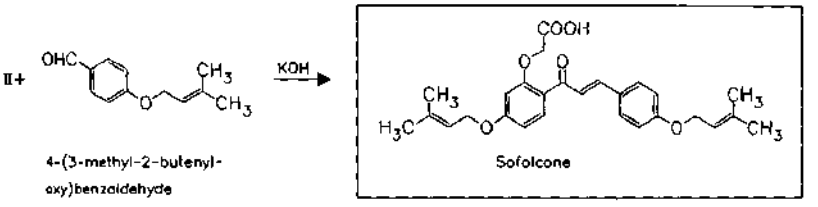
prenyl bromide

2'-hydroxy-4'-(3-methyl-2-butenyloxy)acetophenone (I)



ethyl bromo-acetate

2'-ethoxycarbonylmethoxy-4'-(3-methyl-2-butenyloxy)-acetophenone (II)



4-(3-methyl-2-butenyl-oxy)benzaldehyde

Sofalcone

Reference(s):
DE 2 705 603 (Taisho; appl. 10.2.1977; J-prior. 13.2.1976).
US 4 085 135 (Taisho; 18.4.1978; appl. 11.2.1977; J-prior. 13.2.1976).

synthesis of intermediate I:
Kyogoku, K. et al.: Chem. Pharm. Bull. (CPBTAL) **27**, 2943 (1979).

Formulation(s): cps. 50 mg, 100 mg; gran. 10 %

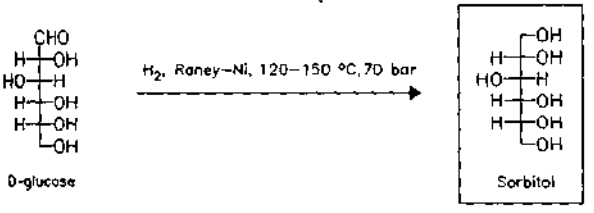
Trade Name(s):
J: Solon (Taisho; 1984); wfm

Sorbitol

(D-Glucitol)

ATC: A06AG07; B05CX02; V04CC01
Use: osmotic, laxative

RN: 50-70-4 MF: $C_6H_{14}O_6$ MW: 182.17 EINECS: 200-061-5
CN: D-glucitol



D-glucose

Sorbitol

Reference(s):
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **24**, 772.

Formulation(s): sol. 13,4 g/67.5 ml, 400 g/1000 ml; clysma 200 g/1000 ml; susp. 48 g/120 ml, 96 g/240 ml

Trade Name(s):
D: 1 x klysma Sorbit Klistier (Pharmacia & Upjohn)
Mikroklist (Pharmacia & Upjohn)-comb.
Sorbitol-Infusionslösung 40 (Braun Melsungen)
Yal (Trommsdorff)
F: numerous combination preparations
Arnilose (ATC Pharma)

	Hépagrume (Rosa-Phytopharma) Hépargitol (Elerté) Sorbitol Aguettant (Aguettant) Sorbitol Delalande (Synthelabo) numerous combination preparations	GB:	Glandosane (Fresenius)-comb. Relaxit (Crawford)-comb. combination preparations only	J:	Sorbit Inj. (Nikken Kagaku) D-Sorbitol Solution (Maruishi) Sorbit TS Inj. (Termo)
		I:	Sorbilande (Delalande) numerous combination preparations	USA:	Actidose (Paddock)-comb. Sorbitol Sodium (Pharmaceutical Associates)

Sorivudine

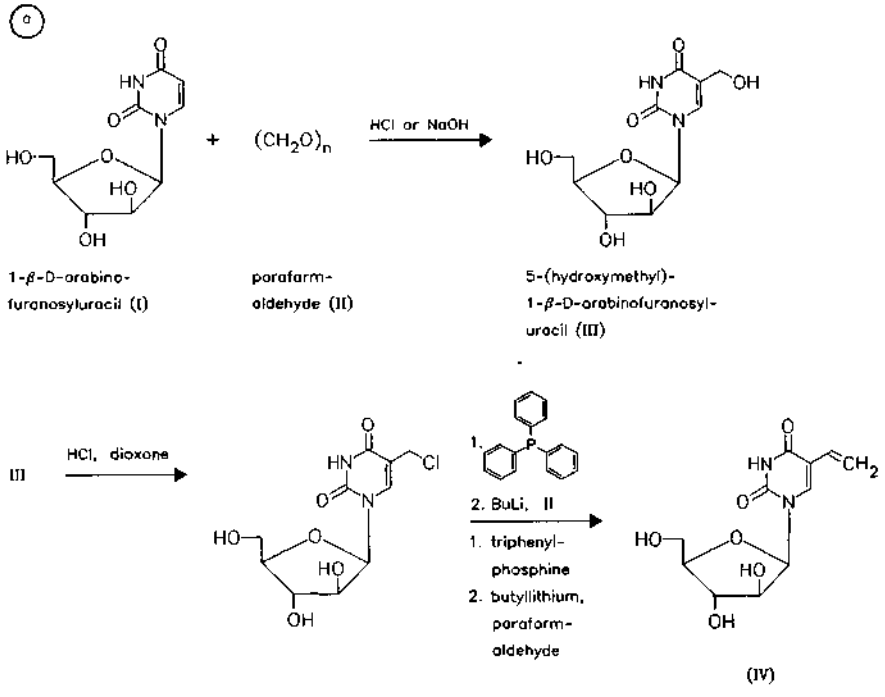
Use: antiviral

(BVAU)

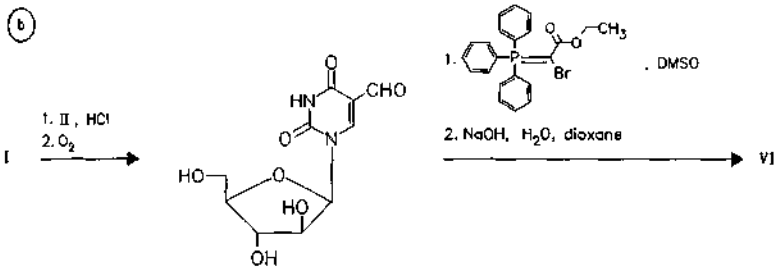
RN: 77181-69-2 MF: $C_{11}H_{13}BrN_2O_6$ MW: 349.14

LD_{50}: >8 g/kg (R, p. o.); >2 g/kg (R, s. c.);
>10 g/kg (M, p. o.); >5 g/kg (M, s. c.);
>5 g/kg (dog, p. o.)

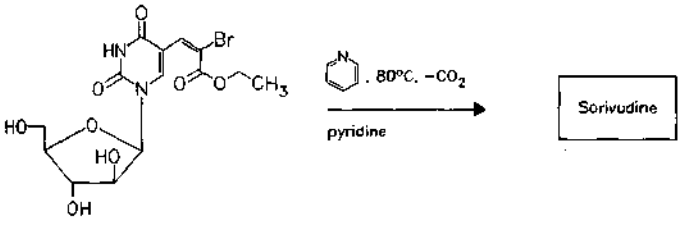
CN: (*E*)-1-β-D-Arabinofuranosyl-5-(2-bromoethenyl)-2,4(1*H*,3*H*)-pyrimidinedione



(b)

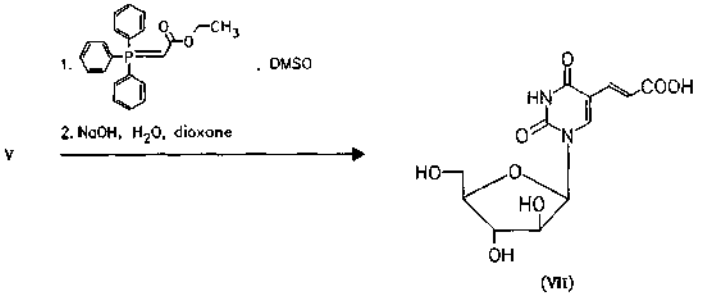


5-formyl-1-β-D-arabinofuranosyl-uracil (V)

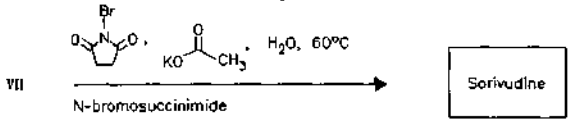


(VI)

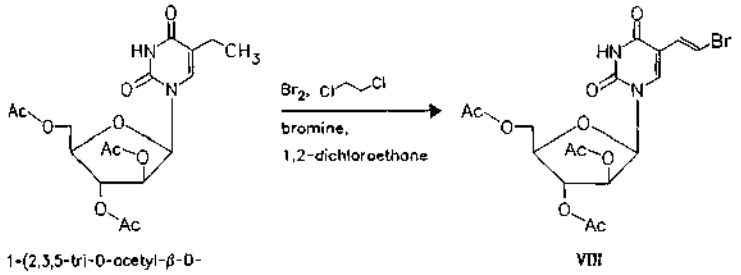
(c)



(VII)

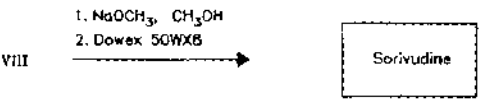


(d)



1-(2,3,5-tri-O-acetyl-β-D-arabinofuranosyl)-5-ethyl-uracil

VIII



Reference(s):
a EP 031 128 (Yamasa Shoyu; appl. 1.7.1981; J-prior. 19.12.1979).
b JP 59 163 395 (Yamasa Shoyu Co.; appl. 14.9.1984; J-prior. 8.3.1983).
c JP 58 062 195 (Yamasa Shoyu Co.; appl. 14.4.1983; J-prior. 8.10.1981).
d DD 280 763 (Akademie der Wissenschaften der DDR; appl. 18.7.1990; DD-prior. 4.8.1981).

nucleic acid related compounds:
Robins, M.J.; Manfredini, S.: Tetrahedron Lett. (TELEAY) **31** (39), 5633 (1990).

facile access to 2'-O-acetyl prodrugs of 1-(β-D-arabinofuranosyl)-5(*E*)-(2-bromovinyl)uracil:
Baraldi, P.G.; Bazzanini, R.; Manfredini, S.; Simoni, D.; Robins, M.J.: Tetrahedron Lett. (TELEAY) **34** (19), 3177 (1993).

synthesis and antiviral activity of (*E*)-5-(2-bromovinyl)uracil:
De Clercq, E. et al.: J. Med. Chem. (JMCMAR) **29**, 213 (1986).

Formulation(s): tabl. 50 mg

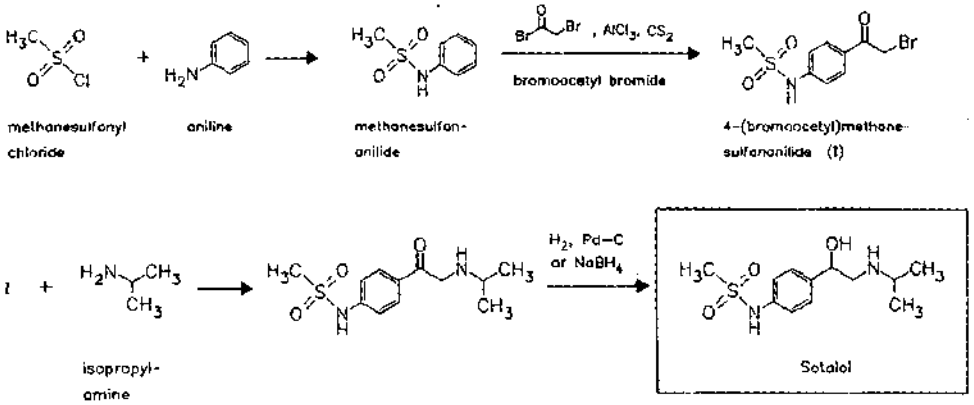
Trade Name(s):
J: Usevir (Nippon Shoji Kaisha/Eisai; 1993); wfm

Sotalol

ATC: C07AA07
Use: beta blocking agent, antianginal, antihypertensive

RN: 3930-20-9 MF: $C_{12}H_{20}N_2O_3S$ MW: 272.37
LD_{50}: 166 mg/kg (M, i.v.)
CN: *N*-[4-[1-hydroxy-2-[(1-methylethyl)amino]ethyl]phenyl]methanesulfonamide

monohydrochloride
RN: 959-24-0 MF: $C_{12}H_{20}N_2O_3S \cdot HCl$ MW: 308.83 EINECS: 213-496-0
LD_{50}: 2600 mg/kg (M, p.o.);
3450 mg/kg (R, p.o.)



Reference(s):
Uloth, R.H. et al.: J. Med. Chem. (JMCMAR) **9**, 88 (1966).

Formulation(s): amp. 20 mg/2 ml, 40 mg/4 ml; tabl. 40 mg, 80 mg, 160 mg, 240 mg

Trade Name(s):

D: CorSotalol (durachemie)
Darob (Knoll)
Gilucor (Solvay Arzneimittel)
Sotalex (Bristol-Myers Squibb)
Sotaziden (Bristol)-comb.
Tachytalol (ASTA Medica AWD)
various generics

F: Sotalex (Bristol-Myers Squibb)

GB: Beta-Cardone (Evans)
Sotacor (Bristol-Myers Squibb)
Sotazide (Bristol-Myers)-comb.; wfm

I: Betades (Farmades)
Sotalex (Bristol-Myers Squibb)
Tolerzide (Bristol-Myers)-comb.; wfm

USA: Betapace (Berlex; as hydrochloride)

Sparfloxacin

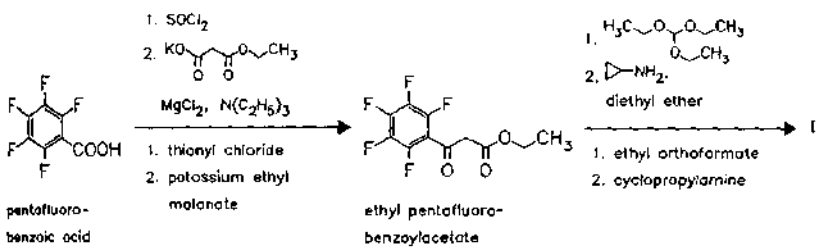
(AT-4140; Ci-978; CP 103826; PD-131501; RP-64206)

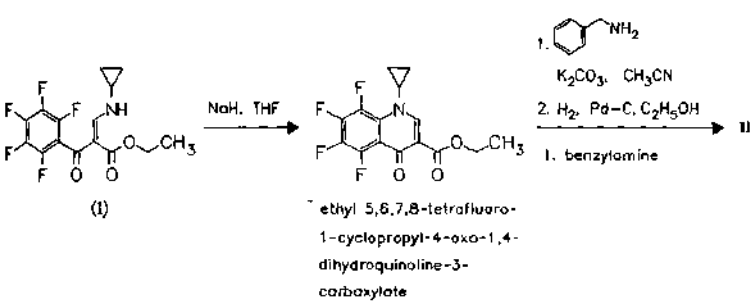
ATC: J01MA09
Use: antibacterial

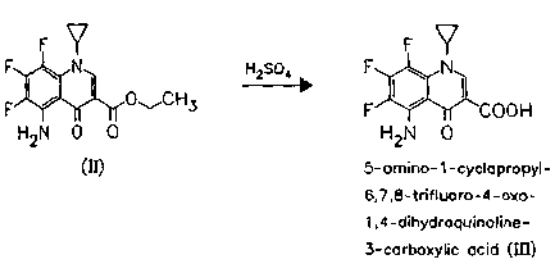
RN: 110871-86-8 MF: $C_{19}H_{22}F_2N_4O_3$ MW: 392.41

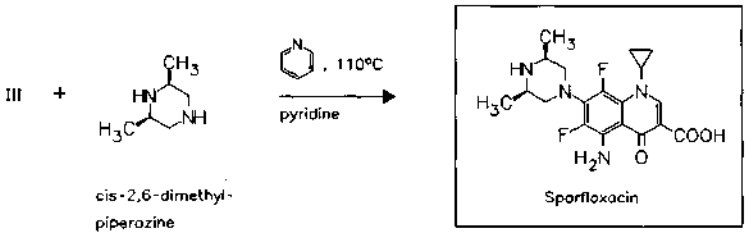
LD_{50}: >5 g/kg (R, p. o.); >2 g/kg (R, s. c.);
>2 g/kg (M, p. o.); >2 g/kg (M, s. c.);
> 600 mg/kg (dog, p. o.)

CN: *cis*-5-Amino-1-cyclopropyl-7-(3,5-dimethyl-1-piperazinyl)-6,8-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid









Reference(s):
Miyamoto, T. et al.: J. Med. Chem. (JMCMAR) **33**, 1645-1656 (1990).
EP 221 463 (Dainippon; appl. 23.10.1986; J-prior. 29.10.1985).

synthesis of ethyl pentafluorobenzoylacetate:
Clay, R.J.; Collom, T.A.; Karride, G.L.; Wemple, J.: Synthesis (SYNTBF) **3**, 290 (1993)

Formulation(s): f. c. tabl. 200 mg; tabl. 100 mg, 150 mg

Trade Name(s):

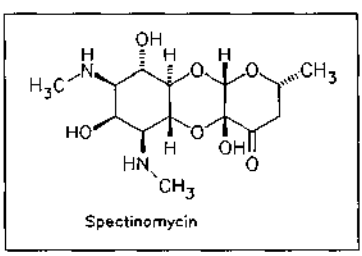
D:	Zagam (Rhône-Poulenc Rorer)	J:	Spara (Dainippon) Zagam (Dainippon)	USA:	Zagam (Rhône-Poulenc Rorer)
F:	Zagam (Specia)				

Spectinomycin
(Actinospectacin)

ATC: J01XX04
Use: antibiotic

RN: 1695-77-8 MF: $C_{14}H_{24}N_2O_7$ MW: 332.35 EINECS: 216-911-3
LD_{50}: 2 g/kg (M, i.v.);
>5 g/kg (R, p.o.)
CN: [2*R*-(2α,4aβ,5aβ,6β,7β,8β,9α,9aα,10aβ)]-decahydro-4a,7,9-trihydroxy-2-methyl-6,8-bis(methylamino)-4*H*-pyrano[2,3-*b*][1,4]benzodioxin-4-one

dihydrochloride pentahydrate
RN: 22189-32-8 MF: $C_{14}H_{24}N_2O_7 \cdot 2HCl \cdot 5H_2O$ MW: 495.35
LD_{50}: >10 mg/kg (M, p.o.);
>5 g/kg (R, p.o.)



From culture of *Streptomyces spectabilis*.

Reference(s):
US 3 206 360 (Upjohn; 14.9.1965; prior. 18.6.1962).
US 3 234 092 (Upjohn; 8.2.1966; prior. 20.10.1959).
US 3 272 706 (Upjohn; 13.9.1966; prior. 2.8.1961).
US 3 819 485 (Abbott; 25.6.1974; appl. 3.7.1972).

Formulation(s): vial 3 g (as dihydrochloride pentahydrate)

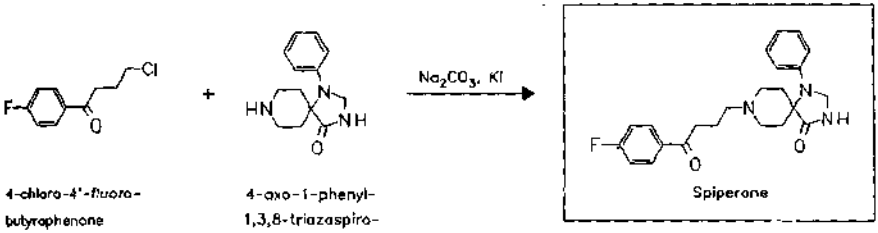
Trade Name(s):

D:	Stanilo (Pharmacia & Upjohn)	GB:	Trobicin (Pharmacia & Upjohn)	J:	Trobicin (Nihon Upjohn)
F:	Trobicine (Pharmacia & Upjohn)	I:	Trobicin (Pharmacia & Upjohn)	USA:	Trobicin (Upjohn); wfm

Spiperone

ATC: N05C
Use: neuroleptic

RN: 749-02-0 MF: $C_{23}H_{26}FN_3O_2$ MW: 395.48 EINECS: 212-024-0
LD_{50}: 25.5 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
14 mg/kg (R, i.v.); >1 g/kg (R, p.o.);
>20 mg/kg (dog, i.v.); >100 mg/kg (dog, p.o.)
CN: 8-[4-(4-fluorophenyl)-4-oxobutyl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one



4-chloro-4'-fluoro-butyrophenone (cf. haloperidol synthesis)

4-oxo-1-phenyl-1,3,8-triazaspiro-[4.5]decane (cf. fluspirilene synthesis)

Reference(s):
US 3 155 669 (Janssen; 3.11.1964; appl. 22.6.1962).
US 3 155 670 (Janssen; 3.11.1964; appl. 22.6.1962).
US 3 161 644 (Janssen; 15.12.1964; appl. 22.6.1962).

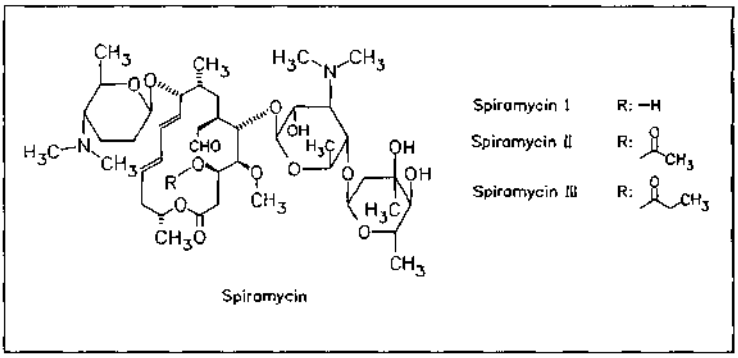
Formulation(s): tabl. 0.25 mg; vial 3 mg

Trade Name(s):
J: Spiropitan (Eisai)

Spiramycin

ATC: J01FA02
Use: antibiotic

RN: 8025-81-8 MF: unspecified MW: unspecified EINECS: 232-429-6
LD_{50}: 130 mg/kg (M, i.v.); 2900 mg/kg (M, p.o.);
170 mg/kg (R, i.v.); 3550 mg/kg (R, p.o.);
5200 mg/kg (dog, p.o.)
CN: spiramycin



From culture of *Streptomyces ambofaciens*.

Reference(s):
US 2 943 023 (Rhône-Poulenc; 28.6.1960; F-prior. 30.5.1956).
US 2 978 380 (Rhône-Poulenc; 4.4.1961; F-prior. 30.11.1955).
US 3 000 785 (Rhône-Poulenc; 19.9.1961; F-prior. 31.7.1953).
US 3 011 947 (Rhône-Poulenc; 5.12.1961; F-prior. 30.11.1955).
Pinnert-Sindico, S. et al.: Antibiot. Annu. (ABANAE) **1954-1955**, 724.

Formulation(s): f. c. tabl. 187.5 mg, 250 mg, 375 mg, 500 mg

Trade Name(s):

D:	Rovamycine (Rhône-Poulenc Rorer) Selectomycin (Grünenthal)	GB:	Rovamycine (Specia) Rovamycin (May & Baker); wfm	I:	Rovamicina (Rhône-Poulenc Rorer)
F:	Rodogyl (Specia)-comb.				

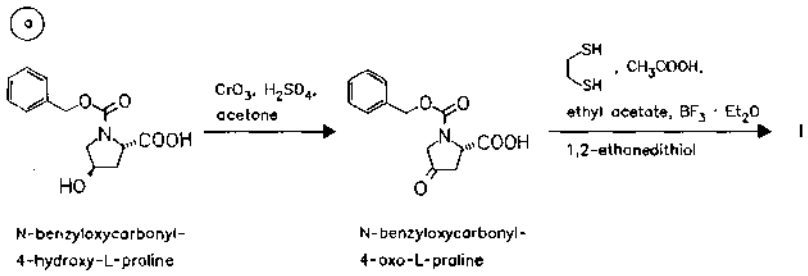
Spirapril

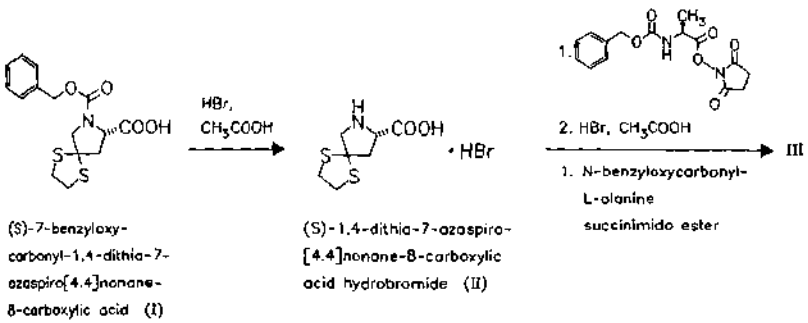
(Sch-33844)

ATC: C09AA11
Use: antihypertensive (ACE inhibitor)

RN: 83647-97-6 MF: $C_{22}H_{30}N_2O_5S_2$ MW: 466.62
LD_{50}: >2500 mg/kg (M, p.o.);
>2500 mg/kg (R, p.o.)
CN: [8*S*-7[*R**(*R**)],8*R**]]-7-[2-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]-1,4-dithia-7-azaspiro[4.4]nonane-8-carboxylic acid

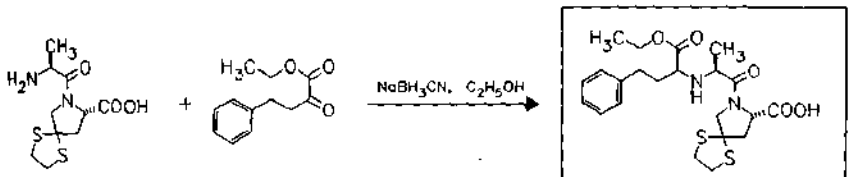
monohydrochloride
RN: 94841-17-5 MF: $C_{22}H_{30}N_2O_5S_2 \cdot HCl$ MW: 503.08
maleate (2:1)
RN: 94799-76-5 MF: $C_{22}H_{30}N_2O_5S_2 \cdot 1/2C_4H_4O_4$ MW: 1049.32





(S)-7-benzyloxy-carbonyl-1,4-dithia-7-azaspiro[4.4]nonane-8-carboxylic acid (I)

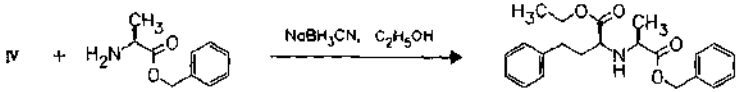
(S)-1,4-dithia-7-azaspiro-[4.4]nonane-8-carboxylic acid hydrobromide (II)



(III)

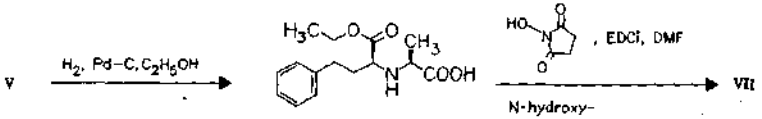
ethyl 2-oxo-4-phenyl-butanoate (IV)

(b)



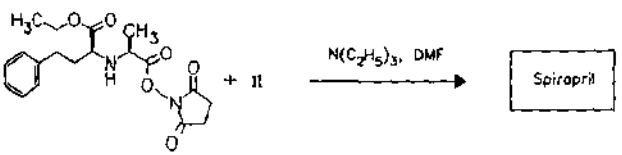
L-alanine *benzyl ester*

N-[1(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanine benzyl ester (V)



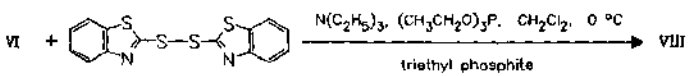
N-[1(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanine (VI)

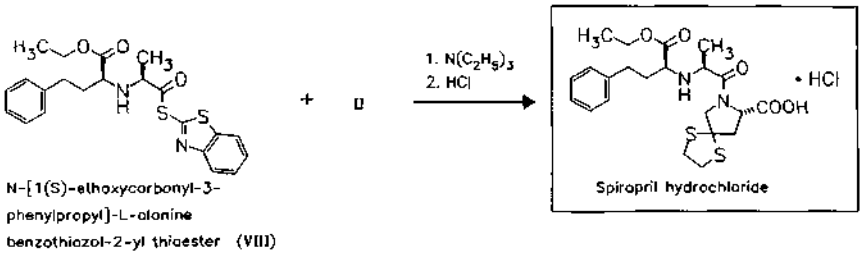
N-hydroxy-succinimide



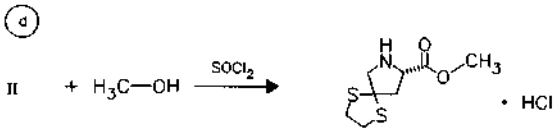
(VII)

(c)



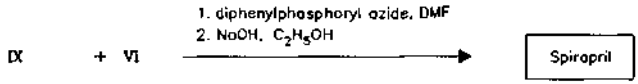


N-[1(S)-ethoxycarbonyl-3-phenylpropyl]-L-alanine benzothiazol-2-yl thioester (VIII)



methanol

methyl (S)-1,4-dithia-7-azaspiro[4.4]nonane-8-carboxylate hydrochloride (IX)



Reference(s):
a,b US 4 470 972 (Schering Corp.; appl. 6.12.1982; USA-prior. 23.10.1980).
c US 4 847 384 (Sandoz Pharm.; appl. 12.3.1987; USA-prior. 12.3.1987).
d US 4 462 943 (Squibb & Sons; appl. 28.9.1981; USA-prior. 24.11.1980).

topical composition for reducing intraocular pressure:
EP 114 333 (Schering Corp.; appl. 19.12.1983; USA-prior. 27.12.1982, 23.7.1986).
WO 8 702 585 (Schering Corp.; appl. 31.10.1986; USA-prior. 1.11.1985).

combinations:
EP 254 032 (Schering Corp.; appl. 17.6.1987; USA-prior. 20.6.1986, 27.3.1987, 11.5.1988).
DE 3 736 505 (Sandoz; appl. 28.10.1987; GB-prior. 3.11.1986, 8.6.1987).
DE 4 020 133 (Sandoz; appl. 25.6.1990; GB-prior. 4.7.1989).

formulations:
EP 468 929 (Sandoz; appl. 23.7.1991; USA-prior. 25.7.1990).
US 5 403 593 (Sandoz; appl. 4.3.1991; USA-prior. 4.3.1991).
US 5 178 867 (Alza Corp.; appl. 19.8.1991; USA-prior. 19.8.1991).
Patchett, A.A.; Witkop, B.: J. Am. Chem. Soc. (JACSAT) **79**, 185 (1957).

alternative oxidation reagents:
Blanco, M.J. et al.: Tetrahedron Lett. (TELEAY) **35** (45), 8493-8496 (1994).
Dornoy, J.R. et al.: Synthesis (SYNTBF) **1986**, 81.
Barraclough, P. et al.: Tetrahedron (TETRAB) **51** (14), 4195-4212 (1995).

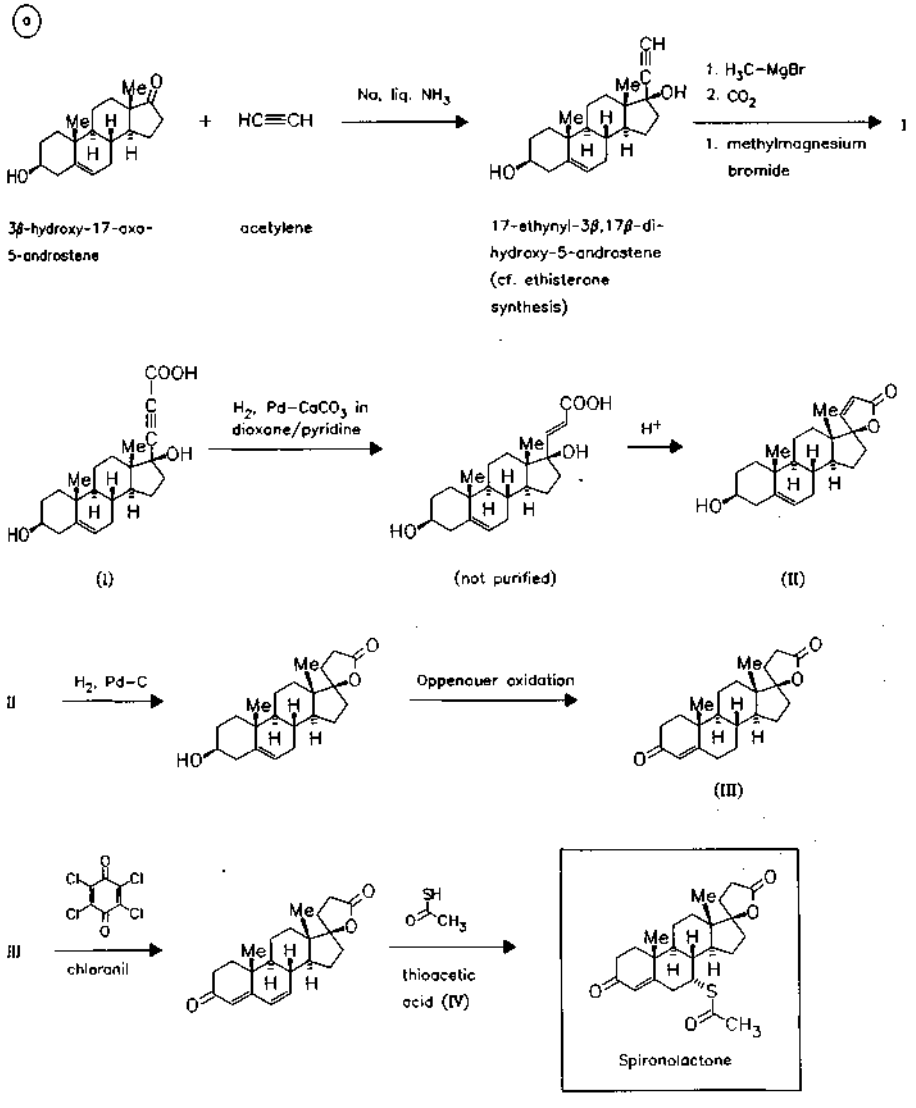
Formulation(s): tabl. 6 mg (as hydrochloride)

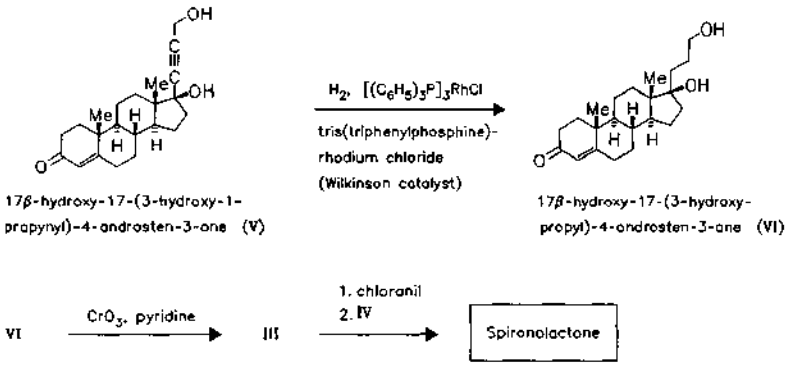
Trade Name(s):
D: Quadropril (ASTA Medica AWD; as hydrochloride)
I: Setrilan (Essex Italia)

Spironolactone

ATC: C03DA01
Use: diuretic (aldosterone antagonist)

RN: 52-01-7 MF: $C_{24}H_{32}O_4S$ MW: 416.58 EINECS: 200-133-6
LD_{50}: >1 g/kg (M, p.o.);
>1 g/kg (R, p.o.)
CN: (7α,17α)-7-(acetylthio)-17-hydroxy-3-oxopregn-4-ene-21-carboxylic acid γ-lactone





Reference(s):

a US 3 013 012 (Searle; 12.12.1961; appl. 22.12.1960; prior. 12.12.1958).
DE I 121 610 (Searle; appl. 10.12.1959; USA-prior. 12.12.1958).
Cella, J.A. et al.: J. Org. Chem. (JOCEAH) **24**, 1109 (1959).
Dodson, R.M. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1224 (1959).
US 3 137 690 (Searle; 16.6.1964; appl. 26.9.1963).
improved methods for precursors:
US 3 270 008 (Searle; 30.8.1966; GB-prior. 1.10.1963).
US 3 738 983 (Searle; 12.6.1973; appl. 6.8.1971).
improved thioacetic acid *addition*:
DOS 2 809 838 (Mitsubishi Chemical; appl. 7.3.1978; J-prior. 17.3.1977).

b DE 2 327 448 (Schering AG; appl. 25.5.1973).

alternative syntheses:
GB 1 444 272 (Hoechst; appl. 27.7.1973; D-prior. 28.7.1972).
GB 1 447 247 (Hoechst; appl. 22.10.1973; D-prior. 20.10.1972).
GB 1 450 425 (Hoechst; appl. 4.10.1973; D-prior. 5.10.1972).
GB 1 450 693 (Hoechst; appl. 4.10.1973; D-prior. 5.10.1972).
DAS 1 250 818 (Searle; appl. 30.9.1964; GB-prior. 1.10.1963).
DOS 2 852 145 (Searle; appl. 1.12.1978; USA-prior. 2.12.1977).
GB 1 548 259 (Ciba-Geigy; appl. 11.6.1976; CH-prior. 13.6.1975).

Formulation(s): cps. 100 mg; drg. 25 mg, 50 mg; tabl. 25 mg, 50 mg, 100 mg

Trade Name(s):

D:
Aldactone (Boehringer Mannh.)
Aldactone (Boehringer Mannh.-Searle)
Aldactone-Saltucin (Boehringer Mannh.)-comb.
Aquareduct (Azupharma)
Duraspiron (durachemie)
Osyrol (Hoechst)
Risicordin (Heumann)-comb.
Sali-Aldopur (Hormosan)-comb.
Spiro comp. forte (ratiopharm)-comb.
Spironolacton (ratiopharm; Stada)
Spironothiazid (Henning Berlin)-comb.
Spirostada (Stada)-comb.
various generics and combination preparations

F:
Aldactazine (Monsanto)-comb.
Aldactone (Monsanto)
Aldalix (Monsanto)-comb.
Flumach (Mayoly-Spindler)
Practazin (Cardel)-comb.
Practon 50 (Cardel)
Prinactizide (Dakota)-comb.
Spiroctan (Boehringer Mannh.)
Spiroctazine (Boehringer Mannh.)-comb.
Spironolactone (GNR-pharma)
Spironone Microfine (EG Labo)

GB:
Aldactide 50 (Searle)-comb.
Lasilactone (Hoechst)-comb.
Spiroctan (Boehringer Mannh.)

I:
Aldactone (Lepetit)
Lasitone (Hoechst Marion Roussel)-comb.
Spiridazide (SIT)-comb.
Spiroderm (Monsanto)
Spirofur (Bruno Farmaceutici)-comb.
Spirolang (SIT)
Uractone (SPA)

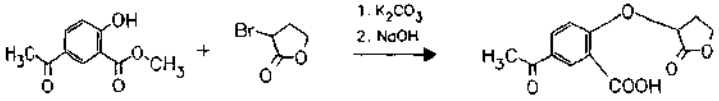
J: Aldactone-A (Dainippon; Searle-Marupi)
Alexan (Sanwa)
Almatol (Fujisawa)
Alpamed (Sawai)
Apolasnon (Nihon Iyakuhin)
Dairopeal (Daito Koeki)
Dira (Kakenyaku Kako)
Lacalmin (Tatsumi)
Nefurofan (Maruko)
Osyrol (Hoechst)
Suracton (Toho Iyaku)
USA: Aldactazide (Searle)-comb.
Aldactone (Searle)
generics and combination preparations

Spizofurone

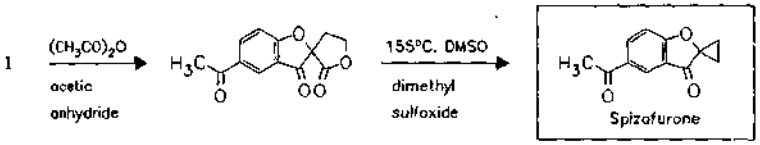
(AG-629)

ATC: A02B
Use: ulcer therapeutic

RN: 72492-12-7 MF: $C_{12}H_{10}O_3$ MW: 202.21
LD_{50}: 1740 mg/kg (M, p.o.);
5440 mg/kg (R, p.o.)
CN: 5-acetylspiro[benzofuran-2(3*H*),1'-cyclopropan]-3-one



methyl 5-acetyl-salicylate | α-bromo-γ-butyrolactone | α-[(2-carboxy-4-acetylphenyl)oxy]-γ-butyrolactone (I)



Reference(s):
US 4 284 644 (Takeda; 18.8.1981; J-prior. 6.11.1978).
EP 3 084 (Takeda; appl. 27.12.1978; J-prior. 27.12.1977, 19.6.1978, 6.11.1978).
DE 2 861 651 (Takeda; 25.7.1979; J. prior. 27.12.1977, 19.6.1978, 6.11.1978).
Kawada, M. et al.: Chem. Pharm. Bull. (CPBTAL) **32**, 3532 (1984).

one step synthesis:
Watanabe, M. et al.: Chem. Pharm. Bull. (CPBTAL) **32**, 3373 (1984).

Formulation(s): tabl. 80 mg

Trade Name(s):
J: Maon (Takeda; 1987)

Stallimycin

(Distamycin A)

ATC: D06BB
Use: antibiotic, antiviral

RN: 636-47-5 MF: $C_{22}H_{27}N_9O_4$ MW: 481.52
LD_{50}: 75 mg/kg (M, i.v.)
CN: *N*-[5-[[(3-amino-3-iminopropyl)amino]carbonyl]-1-methyl-1*H*-pyrrol-3-yl]-4-[[[4-(formylamino)-1-methyl-1*H*-pyrrol-2-yl]carbonyl]amino]-1-methyl-1*H*-pyrrole-2-carboxamide

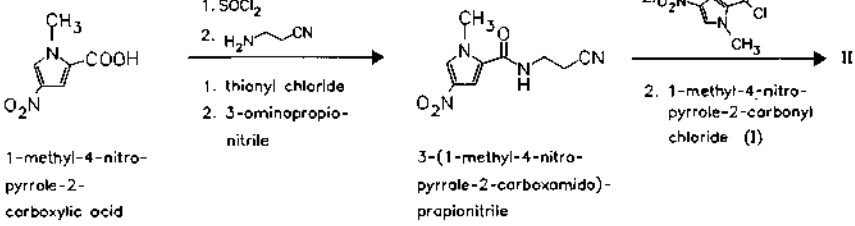
monohydrochloride

RN: 6576-51-8 MF: $C_{22}H_{27}N_9O_4 \cdot HCl$ MW: 517.98 EINECS: 229-505-6

LD_{50}: 75 mg/kg (M, i.v.)

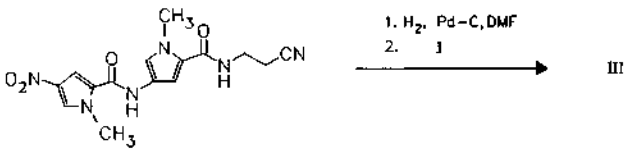
(a) isolation from fermentation solutions of Streptomyces distallicus

(b)

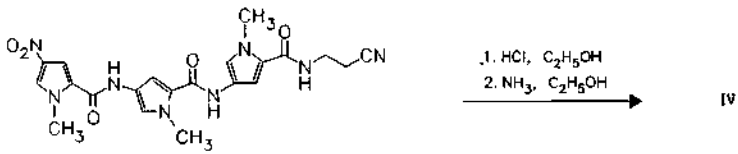


1-methyl-4-nitro-pyrrole-2-carboxylic acid

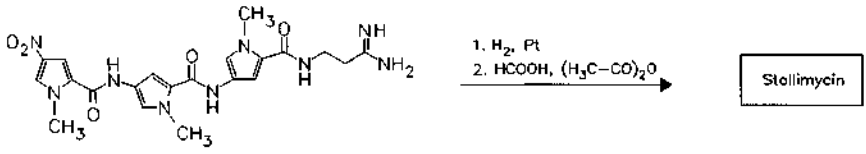
3-(1-methyl-4-nitro-pyrrole-2-carboxamido)-propionitrile



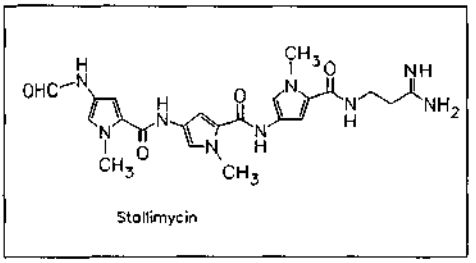
3-[1-methyl-4-(1-methyl-4-nitropyrrole-2-carboxamido)-pyrrole-2-carboxamido]-propionitrile (II)



3-[1-methyl-4-[1-methyl-4-(1-methyl-4-nitropyrrole-2-carboxamido)pyrrole-2-carboxamido]pyrrole-2-carboxamido]-propionitrile (III)



(IV)



Reference(s):

a US 3 190 801 (Farmitalia; 22.6.1965; I-prior. 12.12.1956).
Arcamone, F. et al.: Gazz. Chim. Ital. (GCITA9) **97**, 1097 (1967).

b DE 1 470 284 (Farmitalia; appl. 22.7.1964; I-prior. 26.7.1963).
US 3 420 844 (Farmitalia; 7.1.1969; I-prior. 26.7.1963).
Arcamone, F. et al.: Nature (London) (NATUAS) **203**, 1064 (1964).

starting material:

Weiss, M.J. et al.: J. Am. Chem. Soc. (JACSAT) **79**, 1266 (1957).

Trade Name(s):

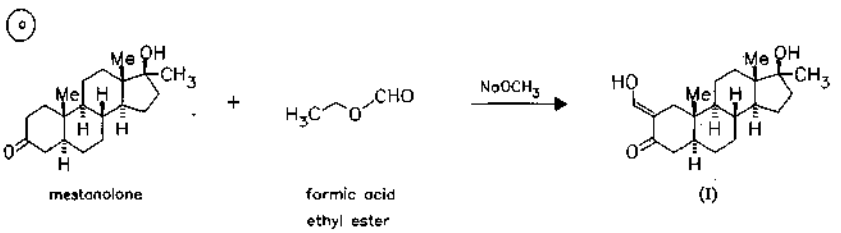
I: Herperal (Carlo Erba); wfm

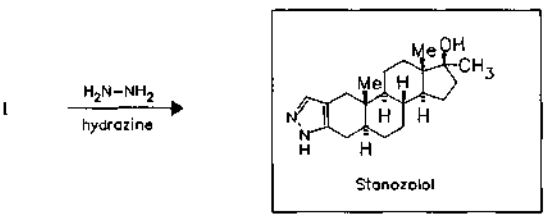
Stanozolol

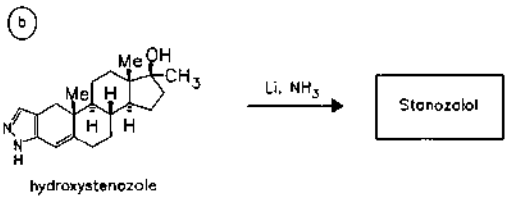
(Stanazol)

ATC: A14AA02
Use: anabolic steroid

RN: 10418-03-8 MF: $C_{21}H_{32}N_2O$ MW: 328.50 EINECS: 233-894-8
CN: (5α,17β)-17-methyl-2'*H*-androst-2-eno[3,2-*c*]pyrazol-17-ol







Reference(s):

a Clinton, R.O. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1513 (1959).
Clinton, R.O. et al.: J. Am. Chem. Soc. (JACSAT) **83**, 1478 (1961).
b US 3 030 358 (Sterling Drug; 17.4.1962; appl. 11.5.1961; prior. 16.2.1959).

starting material:

Clinton, R.O.: J. Am. Chem. Soc. (JACSAT) **83**, 1478 (1961).

Formulation(s): tabl. 2 mg, 5 mg, 15 mg

Trade Name(s):

D:	Stromba (Winthrop); wfm Strombaject (Winthrop); wfm		Strombaject (Winthrop)-comb.; wfm		Winstrol (Zambon); wfm
		GB:	Stromba (Sanofi Winthrop)	J:	Winstrol (Yamanouchi)
F:	Stromba (Winthrop); wfm	I:	Anasyth (Causyth); wfm	USA:	Winstrol (Sanofi Winthrop)

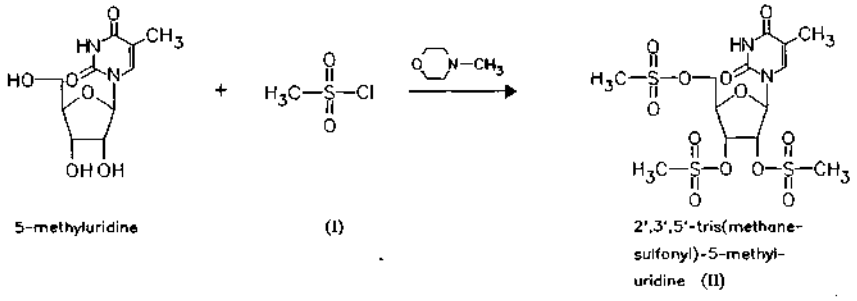
Stavudine

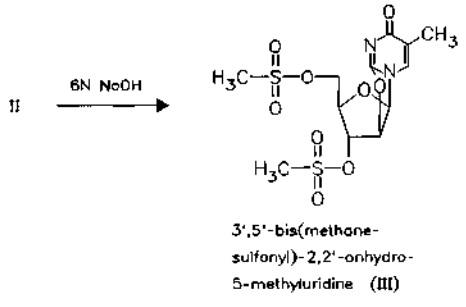
(BMY-27857; dde Thd; DTH; D4T)

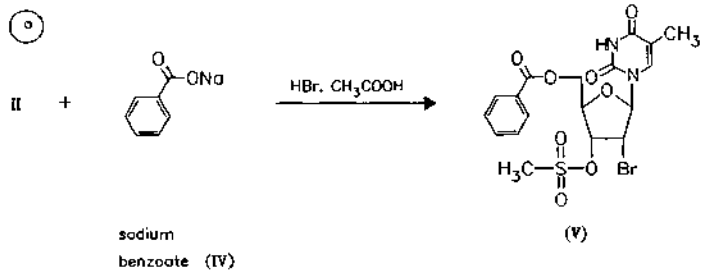
ATC: J05AF04
Use: anti-AIDS therapeutic

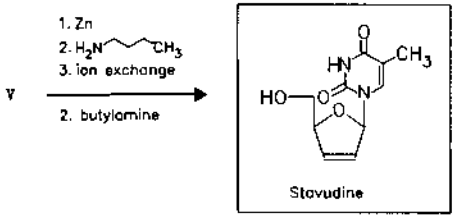
RN: 3056-17-5 MF: $C_{10}H_{12}N_2O_4$ MW: 224.22
CN: 2',3'-didehydro-3'-deoxythymidine

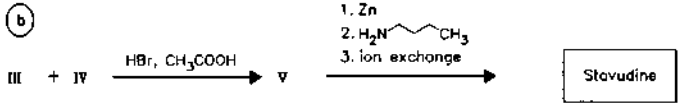
Intermediates II and III:

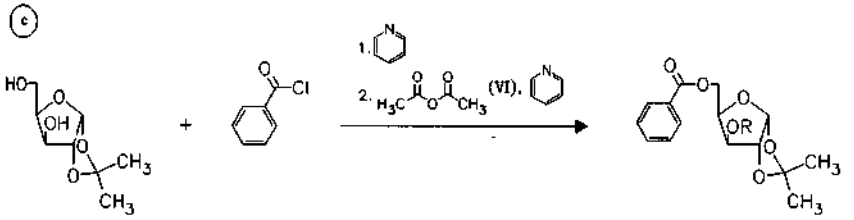


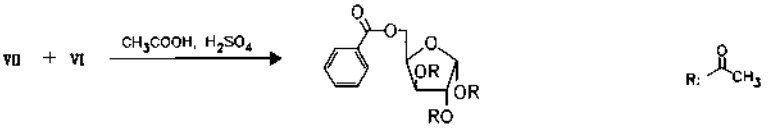


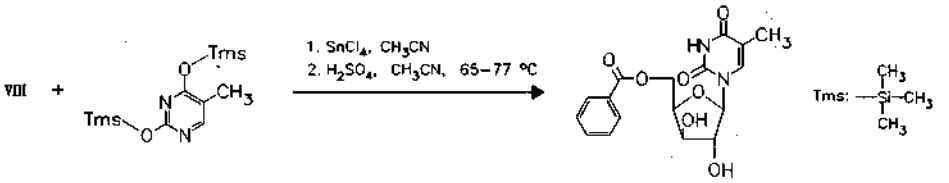


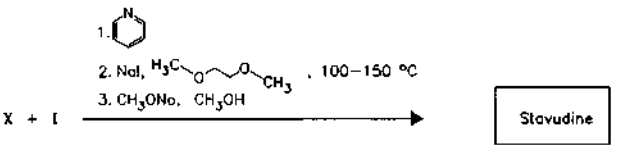

1. Zn
2. H_2N CH_3
3. ion exchange
2. butylamine
V
Stavudine


b
III + IV
HBr, CH_3COOH
V
1. Zn
2. H_2N CH_3
3. ion exchange
Stavudine

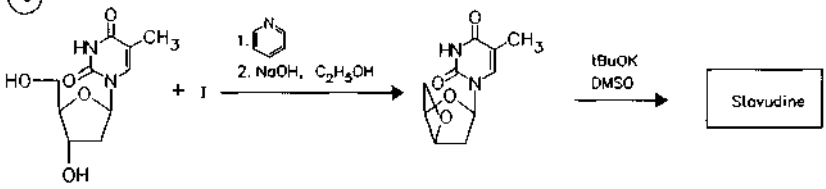

c
1.
2. (VI),
1,2-O-isopropylidene-α-D-xylofuranose
benzoyl chloride
(VII)


VII + VI
CH_3COOH, H_2SO_4
R:
1,2,3-tri-O-acetyl-5-O-benzoyl-α-D-xylofuranose (VIII)


VIII +
Tms
1. $SnCl_4$, CH_3CN
2. H_2SO_4, CH_3CN, 65–77 °C
Tms:
bis(trimethylsilyl)thymine (IX)
(X)

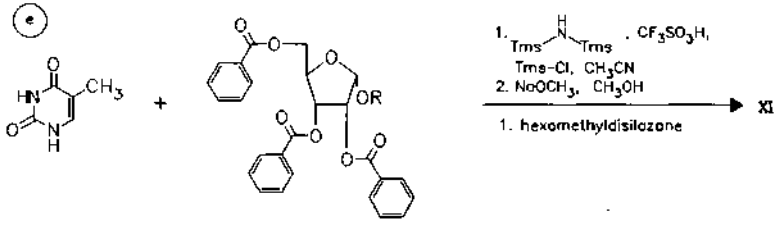

1.
2. NaI, , 100–150 °C
3. CH_3ONo, CH_3OH
X + I
Stavudine

d



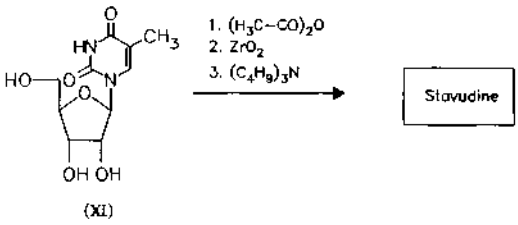
thymidine

e



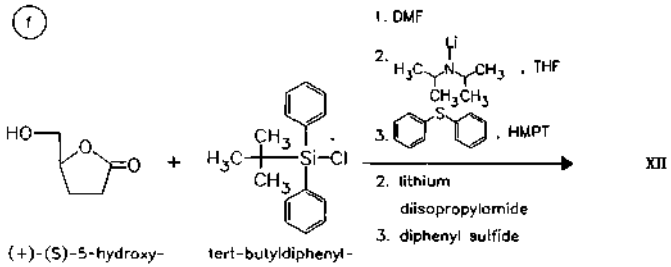
thymine

2,3,5-tri-O-benzoyl-α-D-ribofuranosyl acetate



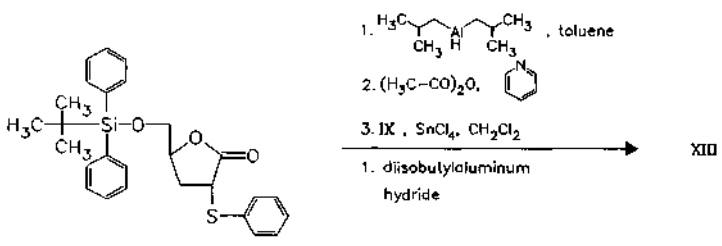
(XI)

f

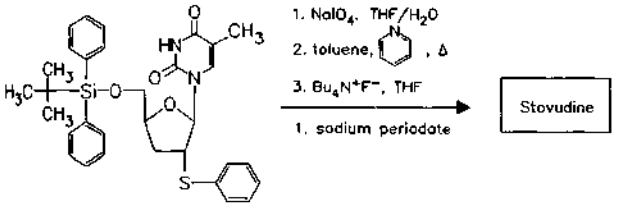


(+)-(S)-5-hydroxy-γ-valerolactone

tert-butyldiphenylsilyl chloride



(XII)



(XIII)

Reference(s):
synthesis:
EP 334 368 (Bristol-Myers Squibb; appl. 27.9.1989; USA-prior. 24.3.1988).
EP 653 436 (Bristol-Myers Squibb; appl. 17.5.1995; USA-prior. 15.11.1993, 3.11.1994, 23.9.1994).
RU 2 047 619 (Institut Organicheskoj Khimii Ufimskogo Nauchnogo Tsentra Ran.; appl. 10.11.1995; RU-prior. 14.4.1993).
JP 07 278 178 (Nippon Tobacco Sangyo; appl. 24.1.1995; J-prior. 1.4.1994).
EP 501 511 (Bristol-Myers Squibb; appl. 2.9.1992; USA-prior. 2.9.1992).
JP 04 054 193 (Nippon Tobacco Sangyo; appl. 20.2.1992; J-prior. 25.5.1990).
WO 9 202 516 (Japan Tobacco Inc.; appl. 26.6.1991; J-prior. 27.7.1990, 30.11.1990).
WO 9 209 599 (Yamasa Shoyu; appl. 11.6.1992; J-prior. 30.11.1990).
EP 519 464 (Ajinomoto Co.; appl. 23.12.1992; J-prior. 19.6.1991).
JP 04 226 976 (Japan Tobacco Inc.; appl. 17.8.1992; J-prior. 5.6.1990).
US 5 175 267 (University of Georgia Res. Found.; appl. 29.12.1992; USA-prior. 2.3.1990).
Horwitz, J.P. et al.: J. Org. Chem. (JOCEAH) **31**, 205 (1966).
Herdewijn, P. et al.: J. Med. Chem. (JMCMAR) **30** (8), 1270 (1987).
Lin, T.-S. et al.: J. Med. Chem. (JMCMAR) **30** (2), 440 (1987).

pharmaceutical compositions:
EP 273 277 (Yale University; appl. 6.7.1988; USA-prior. 17.12.1986).
JP 63 107 924 (Yamasa Shoyu Co.; appl. 12.5.1988; J-prior. 25.10.1986).
JP 04 038 727 (Yamasa Shoyu Co.; appl. 25.6.1992; J-prior. 25.10.1986).

in combination with
porphyrin *and* phthalocyanine:
WO 8 911 277 (Georgia State Univ. Found.; appl. 30.11.1989; USA-prior. 23.5.1988).

nucleoside derivatives:
WO 9 011 081 (Oncogene; appl. 16.3.1990; USA-prior. 22.3.1989, 17.3.1989).
EP 631 783 (Mitsubishi Kasei; appl. 31.5.1994; J-prior. 3.6.1993).

quinoxalines:
EP 657 166 (Hoechst AG; appl. 5.12.1992; D-prior. 9.12.1993).

aminopyridones:
EP 484 071 (Merck & Co.; appl. 6.5.1992; USA-prior. 1.11.1990, 25.1.1991).

Formulation(s): cps. 15 mg, 20 mg, 30 mg 40 mg; powder 200 mg (oral sol.)

Trade Name(s):

D:	Zerit (Bristol-Myers Squibb)	GB:	Zerit (Bristol-Myers Squibb)	USA:	Zerit (Bristol-Myers Squibb)
F:	Zerit (Bristol-Myers Squibb)	J:	Zerit (Green Cross)		

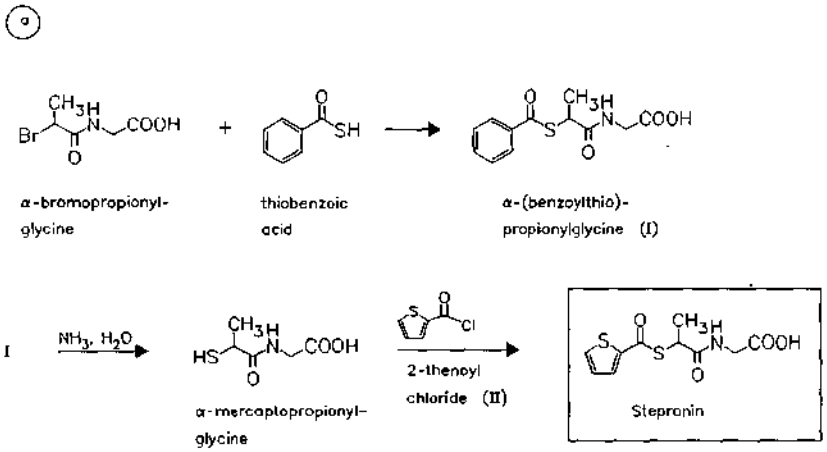
Stepronin

(Prostenoglycine; Tenoglicine; Tiofacic)

ATC: R05CB11
Use: mucolytic, hepatic protectant

RN: 72324-18-6 MF: $C_{10}H_{11}NO_4S_2$ MW: 273.33 EINECS: 276-587-4
LD_{50}: >1250 mg/kg (M, i.v.); 3336 mg/kg (M, p.o.);
1801 mg/kg (R, i.m.); >1250 mg/kg (R, i.v.); >2500 mg/kg (R, p.o.)
CN: *N*-[1-oxo-2-[(2-thienylcarbonyl)thio]propyl]glycine

sodium salt
RN: 78126-10-0 MF: $C_{10}H_{10}NNaO_4S_2$ MW: 295.32



Reference(s):

a DE 2 913 211 (Mediolanum; appl. 3.4.1979; I-prior. 11.4.1978).
US 4 242 354 (Mediolanum; 30.12.1980; appl. 4.4.1979; I-prior. 11.4.1978, 12.2.1979).
b DOS 3 120 592 (BTB Ind. Chimica; appl. 23.5.1981; I-prior. 3.6.1980).
c IT 1 193 195 (Mediolanum; appl. 20.7.1979).

synthesis of α-mercaptopropionylglycine:
JP 11 616 (Santen; appl. 1961); C.A. (CHABA8) **61**, 16155 (1962).
US 3 246 025 (Santen; 1965; appl. 1962; J-prior. 1961).

Formulation(s): cps. 420 mg; gran. 180 mg, 360 mg (as sodium salt); suppos. 180 mg, 360 mg, 720 mg (as sodium salt); vial 335 mg

Trade Name(s):

I: Broncoplus (Sigma-Tau; 1981 as sodium salt)
Masor (Formenti; as lysine salt)
Mucodil (Valeas; as lysine salt)
Tiase (Mediolanum)
Tioten (Mediolanum; as sodium salt)

Streptokinase

ATC: B01AD01
Use: fibrinolytic (plasminogen activator)

RN: 9002-01-1 MF: unspecified MW: unspecified EINECS: 232-647-1
LD_{50}: 3700 mg/kg (M, i.v.); >10 g/kg (M, p.o.); 2 g/kg (R, i.v.)
CN: streptokinase (enzyme-activating)

Co-enzyme obtained from cultures of various strains *of Streptococcus haemolyticus* and capable of changing plasminogen into plasmin (complex enzyme mixture of streptokinase, streptodornase and streptolysin "O"). From fermentation liquors of hemolytic streptococci species (*Streptococcus haemolyticus*), e. g. H 46 A.

Reference(s):
US 2 666 729 (Merck & Co.; 1954; appl. 1951).
US 2 701 227 (American Cyanamid; 1955; appl. 1951).
US 3 063 913 (Behringwerke; 13.11.1962; D-prior. 29.12.1959).
US 3 063 914 (Behringwerke; 13.11.1962; D-prior. 22.12.1959).

purification:
US 2 753 291 (American Cyanamid; 1956; appl. 1954).
US 3 016 337 (Ortho Pharmac. Corp.; 9.1.1962; appl. 27.4.1959).
US 3 042 586 (Merck & Co.; 3.7.1962; appl. 29.9.1959).
US 3 107 203 (Merck & Co.; 15.10.1963; appl. 24.11.1961).
US 3 138 542 (Behringwerke; 23.6.1964; D-prior. 31.12.1959).

Formulation(s): vial 100000 iu, 250000 iu, 600000 iu, 750000 iu, 1500000 iu.

Trade Name(s):

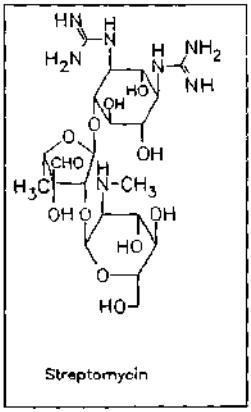
D: Kabikinase (Pharmacia & Upjohn)
Streptase (Hoechst)
Streptokinase (B/Braun)
Varidase (Lederle)-comb.
F: Kabikinase (Pharmacia & Upjohn)
Streptase (Hoechst)
GB: Kabikinase (Pharmacia & Upjohn)
Streptase (Hoechst)
Varidase (Wyeth)-comb.
I: Streptase (Hoechst Marion Roussel)
Varidase (Wyeth-Lederle)-comb.
J: Belsiene (Misshin-Yamagata)
Ceoluase (Maruko)
Gospelaze (Takeshima)
Pesiron (Towa)
Reoplase (Tabishi)
Screptase (Tenyo-Towa)
Seoritase (Choseido-Nihon Garen)
Stochemidaze (Chemix)
Twinnase (Taisho)
Varidase (Takeda)
USA: Streptase (Astra)

Streptomycin

ATC: A07AA04; J01GA01
Use: antibiotic

RN: 57-92-1 MF: $C_{21}H_{39}N_7O_{12}$ MW: 581.58 EINECS: 200-355-3
LD_{50}: 90.2 mg/kg (M, i.v.); 500 mg/kg (M, p.o.); 175 mg/kg (R, i.v.); 9 g/kg (R, p.o.)
CN: *O*-2-deoxy-2-(methylamino)-α-L-glucopyranosyl(1→2)-*O*-5-deoxy-3-*C*-formyl-α-L-lyxofuranosyl(1→4)-*N*,*N*'-bis(aminoiminomethyl)-D-streptamine

sulfate (2:3)
RN: 3810-74-0 MF: $C_{21}H_{39}N_7O_{12} \cdot 3/2H_2SO_4$ MW: 1457.39 EINECS: 223-286-0
LD_{50}: 90.2 mg/kg (M, i.v.); 430 mg/kg (M, p.o.);
430 mg/kg (R, p.o.)



Streptomycin

From fermentation solutions of *Streptomyces griseus*.

Reference(s):
US 2 449 866 (Rutgers Res. Found; 1948; prior. 1945).
Ehrhart, Ruschig **IV**, 317.

purification:
US 2 765 302 (Olin Mathieson; 1956; appl. 1953).
US 2 868 779 (Olin Mathieson; 1959; appl. 1956).

Formulation(s): amp. 1 g (as sulfate)

Trade Name(s):

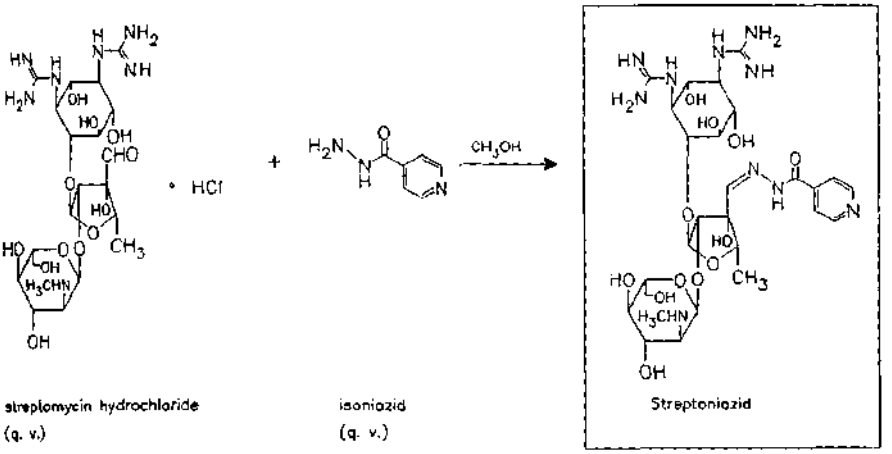
D:	Strepto-Fatol (Fatol) Streptomycin-Hefa (Hefa Pharma) generics	GB:	Orastrep (Dista); wfm Streptaguaine (Dista): wfm Streptotriad (May & Baker)-comb.; wfm	J:	Streptomycin Sulfate (Banyu; Dainippon; Kaken; Kyowa; Meiji; Nikken; Sankyo; Sanwa; Taito Pfizer; Takeda; Toyo Jozo)
F:	Streptomycine Diamant (Diamant); wfm generics; wfm	I:	Streptocol (Molteni) Streptomicina Solfato (Fisiopharma; ISF)	USA:	Streptomycin Sulfate (Pfizer)

Streptoniazid
(Streptonicozid)

ATC: D08; J04A
Use: tuberculostatic

RN: 4480-58-4 MF: $C_{27}H_{44}N_{10}O_{12}$ MW: 700.71
LD_{50}: 81.9 mg/kg (M, route unreported)
CN: 4-pyridinecarboxylic acid hydrazide, hydrazone with *O*-2-deoxy-2-(methylamino)-α-L-glucopyranosyl-(1→2)-*O*-5-deoxy-3-*C*-formyl-α-L-lyxofuranosyl-1(1→4)-*N*,*N*'-bis(aminoiminomethyl)-D-streptamine

sulfate (2:3)
RN: 5667-71-0 MF: $C_{27}H_{44}N_{10}O_{12} \cdot 3/2H_2SO_4$ MW: 1695.65 EINECS: 227-128-1



Reference(s):
Pennington, F.C. et al.: J. Am. Chem. Soc. (JACSAT) **75**, 2261 (1953).
DE 1 069 618 (Pfizer; appl. 1953; USA-prior. 1953, 1952).

use as tuberculostatic:
US 3 035 044 (Olin Mathieson; 15.5.1962; prior. 4.5.1956, 1.3.1952).

alternative synthesis:
FR 1 058 441 (Rhône-Poulenc; appl. 1952).

Trade Name(s):

F:	Streptoniacide "LeBrun" (LeBrun); wfm	I:	Nicostreptil Atral (Mastroeni); wfm	USA:	Streptohydrazid (Pfizer); wfm

Streptozocin

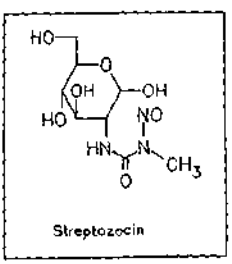
(Streptozotocin)

ATC: L01AD04
Use: antineoplastic

RN: 72521-89-2 MF: $C_8H_{15}N_3O_7$ MW: 265.22
CN: 2-deoxy-2-[[(methylnitrosoamino)carbonyl]amino]-D-glucopyranose

open-chain tautomer
RN: 18883-66-4 MF: $C_8H_{15}N_3O_7$ MW: 265.22 EINECS: 242-646-8
LD_{50}: 275 mg/kg (M, i.v.);
138 mg/kg (R, i.v.);
50 mg/kg (dog, i.v.)

From cultures of *Streptomyces achromogenes var. streptozoticus.*



Reference(s):
Vavra, J.J. et al.: Antibiot. Annu. (ABANAE). **1959-60**, 230.
DE 1 090 823 (Upjohn; appl. 29.7.1960; USA-prior. 1.8.1958).
US 3 027 300 (Upjohn; 27.3.1962; prior. 1.8.1958).

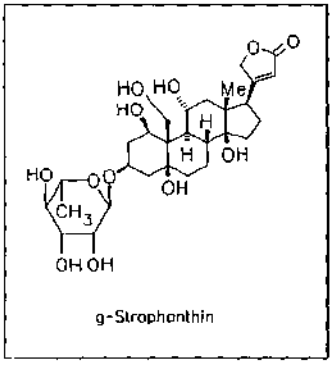
Formulation(s): vial 1 g

Trade Name(s):
F: Zanosar (Pharmacia & Upjohn)
USA: Zanosar (Pharmacia & Upjohn)

g-Strophanthin
(g-Strophantoside; Ouabain)

ATC: C01AC01
Use: cardiac glycoside

RN: 630-60-4 MF: $C_{29}H_{44}O_{12}$ MW: 584.66 EINECS: 211-139-3
LD_{50}: 2200 µg/kg (M, i.v.); 5 mg/kg (M, p.o.); 14 mg/kg (R, i.v.)
CN: (1β,3β,5β,11α)-3-[(6-deoxy-α-L-mannopyranosyl)oxy]-1,5,11,14,19-pentahydroxycard-20(22)-enolide



g-Strophanthin

a From *Strophantus gratus*.
b From *Acokanthera ouabaio* Cathel.

Reference(s):
a Arnaud, A.: C. R. Hebd. Seances Acad. Sci. (COREAF) **106**, 1011 (1888); **107**, 1162 (1888).
Mannich, C.; Siewert, G.: Ber. Dtsch. Chem. Ges. (BDCGAS) **75**, 737 (1942).
Reichstein, T. et al.: Helv. Chim. Acta (HCACAV) **50**, 179 (1967).
b Arnaud, A.: C. R. Hebd. Seances Acad. Sci. (COREAF) **106**, 1011 (1888); **107**, 1162 (1888).

review:
Podolsky, E.: Am. Prof. Pharm. (APPTAZ) **8**, 293 (1942).
Fieser, L.F.; Fieser, M.: Steroide, 845 (Weinheim 1961).
Ullmanns Encykl. Tech. Chem., 4. Aufl., Vol. **12**, 617.

Formulation(s): cps. 3 mg, 6 mg

Trade Name(s):
D: Strodival (Herbert)
F: Antally Ouabaïne (Bailly)-comb.; wfm
Digibaïne (Deglaude)-comb.; wfm
Ouabaïne Aguettant (Aguettant); wfm
Ouabaïne-Arnaud (Nativelle); wfm
GB: Oubaine Arnaud (Wilcox); wfm
J: Uabanin (Takeda)

k-Strophanthin

(*k*-Strophanthin-β + *k*-Strophanthoside)

ATC: C01AC
Use: cardiac glycoside

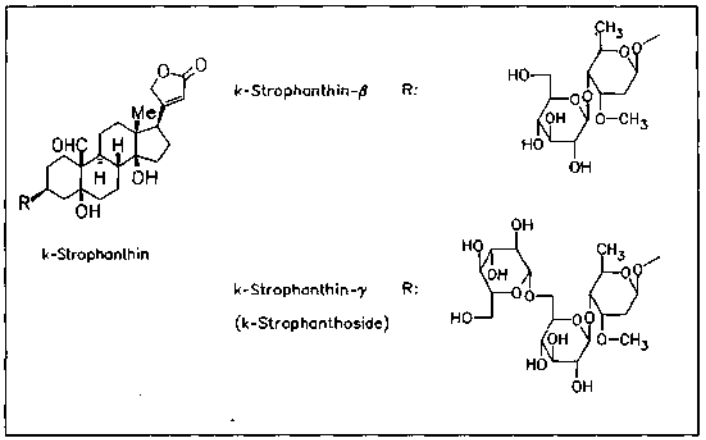
RN: 11005-63-3 MF: unspecified MW: unspecified
CN: strophanthin

k-Strophanthin-β

RN: 560-53-2 MF: $C_{36}H_{54}O_{14}$ MW: 710.81 EINECS: 209-210-9
LD_{50}: 1071 µg/kg (M, i.p.); 213 µg/kg (M, s.c.)
CN: (3β,5β)-3-[(2,6-dideoxy-4-*O*-β-D-glucopyranosyl-3-*O*-methyl-β-D-*ribo*-hexopyranosyl)oxy]-5,14-dihydroxy-19-oxocard-20(22)-enolide

k-Strophanthoside

RN: 33279-57-1 MF: $C_{42}H_{64}O_{19}$ MW: 872.96
CN: (3β,5β)-3-[(*O*-β-D-glucopyranosyl-(1→6)-*O*-β-D-glucopyranosyl-(1→4)-2,6-dideoxy-3-*O*-methyl-β-D-*ribo*-hexopyranosyl)oxy]-5,14-dihydroxy-19-oxocard-20(22)-enolide



From *Strophanthus kombé* and other Strophanthus species (preparation of *k*-strophanthin-α, q. v.).

Reference(s):
DRP 721 001 (Sandoz; appl. 1937; CH-prior. 1937).
DRP 737 540 (Sandoz; appl. 1937; CH-prior. 1937).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 232.
Ullmanns Encykl. Tech. Chem., 4. Aufl.; Vol. **12**, 617.

Formulation(s): amp. 0.125 mg, 0.25 mg

Trade Name(s):

D:	Kombetin (Boehringer Mannh.)	I:	Kombetin (Boehringer Biochemia)	USA:	Pasanol (Tilden Yates)-comb.; wfm

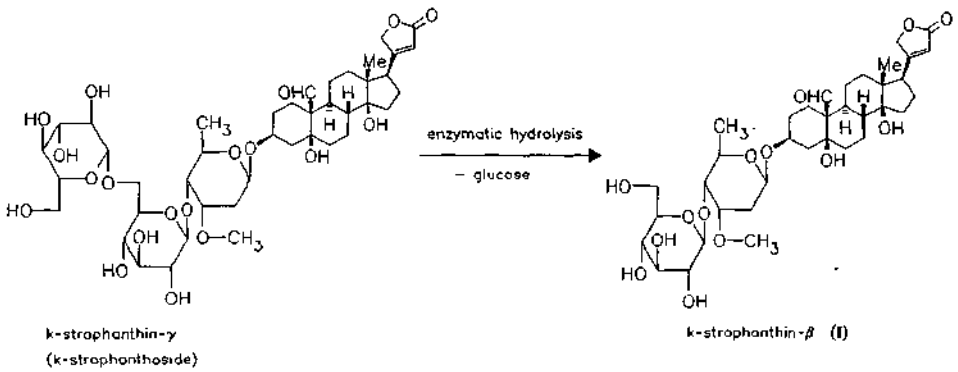
k-Strophanthin-α

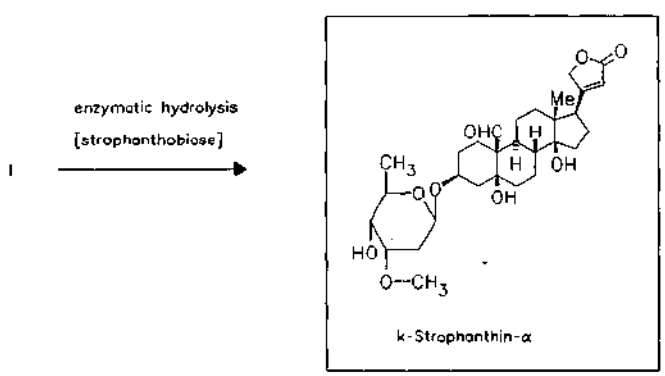
(Cymarin)

ATC: C01AC03
Use: cardiac glycoside

RN: 508-77-0 MF: $C_{30}H_{44}O_9$ MW: 548.67 EINECS: 208-087-9
LD_{50}: 2800 µg/kg (M, i.v.);
20 mg/kg (R, i.v.)
CN: (3β,5β)-3-[(2,6-dideoxy-3-*O*-methyl-β-D-*ribo*-hexopyranosyl)oxy]-5,14-dihydroxy-19-oxocard-20(22)-enolide

a From *Strophanthus kombé*.





b From *Castilloa elastica* Cerv.
c From *Apocynum cannabium*.

Reference(s):

a Stoll, A. et al.: Helv. Chim. Acta (HCACAV) **20**, 1484 (1937).
DRP 721 001 (Sandoz; appl. 1937; CH-prior. 1937).
DRP 737 540 (Sandoz; appl. 1937; CH-prior. 1937).
Ullmanns Encykl. Tech. Chem., 3. Aufl., Vol. **8**, 232.
DE 1 920 177 (Gödecke; appl. 21.4.1969).
DOS 2 050 457 (Gödecke; appl. 14.10.1972).

b GB 972 917 (Wellcome Foundation; appl. 20.4.1961).

c DD 35 688 (W. Grundmann, R. Giessner; appl. 26.3.1964).
DD 43 401 (W. Grundmann; R. Giessner; appl. 25.1.1965).

alternative syntheses:
The Merck Index, 12th Ed., 1512 (1996).

Formulation(s): amp. 0.125 mg, 0.25 mg

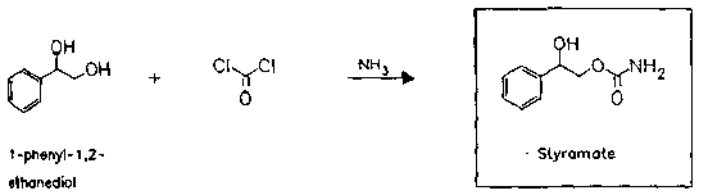
Trade Name(s):

D: Alvonal MR (Gödecke); wfm; Stabilocard (Gödecke); wfm; Theo-Alvonal (Gödecke); wfm

Styramate

ATC: M03BA04
Use: muscle relaxant, antispasmodic

RN: 94-35-9 MF: $C_9H_{11}NO_3$ MW: 181.19 EINECS: 202-326-0
LD_{50}: 1240 mg/kg (M, p.o.)
CN: 1-phenyl-1,2-ethanediol 2-carbamate



Reference(s):
GB 841 626 (Armour; appl. 1956; USA-prior. 1955).

Formulation(s): tabl. 200 mg

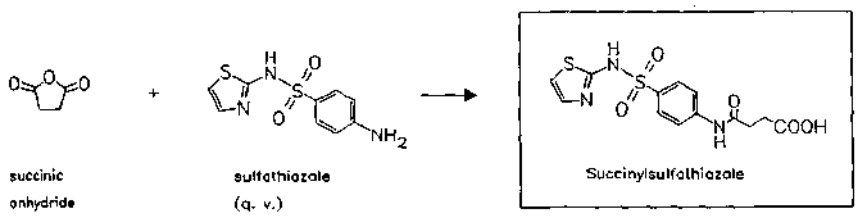
Trade Name(s):

GB: Sinaxar (Armour); wfm J: Menfula (Taisho)-comb. Sinaxar (Tokyo Tanabe)

Succinylsulfathiazole

ATC: A07AB04
Use: chemotherapeutic, antibacterial

RN: 116-43-8 MF: $C_{13}H_{13}N_3O_5S_2$ MW: 355.40 EINECS: 204-141-0
LD_{50}: 10 g/kg (M, i.v.)
CN: 4-oxo-4-[[4-[(2-thiazolylamino)sulfonyl]phenyl]amino]butanoic acid



Reference(s):
US 2 324 013 (Sharp & Dohme; 1943; appl. 1941).
US 2 324 014 (Sharp & Dome; 1943; appl. 1941).

Formulation(s): tabl. 500 mg

Trade Name(s):

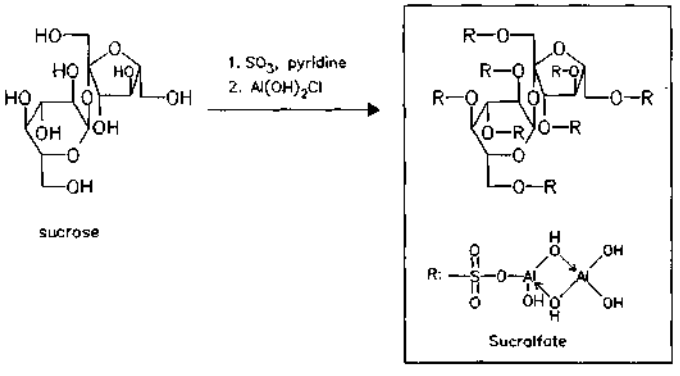
F: Thiacyl (Théraplix); wfm GB: Cremomycin (Merck Sharp & Dohme)-comb.; wfm; Cremostrep (Merck Sharp & Dohme)-comb.; wfm

	Cremosuxidine (Merck Sharp & Dohme); wfm	I:	Cremosulfa strept. (Angelini)-comb.; wfm
	Sulfasuxidine (Merck Sharp & Dohme); wfm		Streptoguanidin (Lisapharma)-comb.; wfm

Sucralfate

ATC: A02BX02
Use: ulcer therapeutic

RN: 54182-58-0 MF: $C_{12}H_{54}Al_{16}O_{75}S_8$ MW: 2086.73 EINECS: 259-018-4
LD_{50}: >8 g/kg (M, p.o.);
>12 g/kg (R, p.o.)
CN: hexadeca-μ-hydroxytetracosahydroxy[μ_8-[[1,3,4,6-tetra-*O*-sulfo-β-D-fructofuranosyl α-D-glucopyranoside tetrakis(sulfato-κ*O*')](8-)]]hexadecaaluminum



Reference(s):
DE 1 568 346 (Chugai; appl. 31.10.1966; J-prior. 5.11.1965).
US 3 432 489 (Chugai; 11.3.1969; J-prior. 5.11.1965).
FR 1 500 571 (Chugai; appl. 3.11.1966; S-prior. 5.11.1965).
Nagashima, R.; Yoshida, N.: Arzneim.-Forsch. (ARZNAD) **29**, 1668 (1979).

formulations with amino acids:
EP 107 209 (Chugai; appl. 26.10.1983; J-prior. 27.10.1982).

Formulation(s): chewing tabl. 1 g; gran. 1 g; susp. 1 g/5 ml; tabl. 0.5 g, 1 g

Trade Name(s):

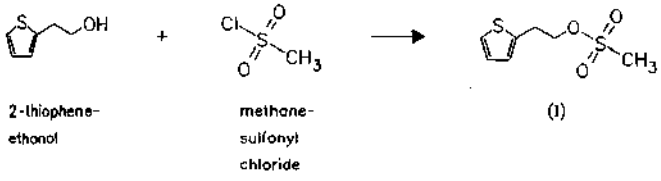
D:	Sucrabest (Hexal)		Sucrager (Ripari-Gero)		Ritaalumin (Hotta)
	Sucralfat-ratiopharm (ratiopharm)		Sucral (Bioprogress)		Shualmin (Rorer-Funai)
			Sucralfin (Inverni della Beffa)		Sibonarl (Mohan-Wakamoto)
	Sucraphil (Philopharm)				
	Ulcogant (Lipha; Merck)		Sucramal (Sanofi Winthrop)		Tredol (Kaigai-Nippon Kayaku)
F:	Kéal (EG Labo)				
	Sucralfate (GNR-pharma)		Sucrate (Lisapharma)		Ulban-A (Toho)
	Ulcar (Houdé)		Suril (Ibirn)		Ulcerlmin (Chugai)
GB:	Antepsin (Wyeth)	J:	Adopilon (Kantoishi)		Yuwan-S (Sawai-Meiji)
I:	Antepsin (Baldacci)		Altsamin (Taiyo-Sanwa)	USA:	Carafate (Hoechst Marion Roussel)
	Crafilm (Francia Farm.)		Bingast (Maruko)		
	Gastrogel (Giuliani)		Bisma (Sana)		generics

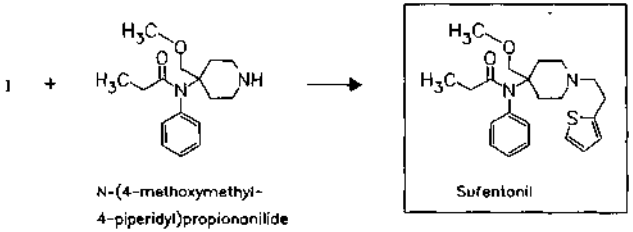
Sufentanil

ATC: N01AH03
Use: narcotic, analgesic

RN: 56030-54-7 MF: $C_{22}H_{30}N_2O_2S$ MW: 386.56
CN: *N*-[4-(methoxymethyl)-1-[2-(2-thienyl)ethyl]-4-piperidinyl]-*N*-phenylpropanamide

citrate (1:1)
RN: 60561-17-3 MF: $C_{22}H_{30}N_2O_2S \cdot C_6H_8O_7$ MW: 578.68 EINECS: 262-295-4
LD_{50}: 18.7 mg/kg (M, i.v.);
17.9 mg/kg (R, i.v.);
14.1 mg/kg (dog, i.v.)





Reference(s):
DE 2 610 228 (Janssen; prior. 11.3.1976).
US 3 998 834 (Janssen; 21.12.1976; prior. 14.3.1975, 13.1.1976, 13.9.1976).
Daele, P.G.H. van et al.: Arzneim.-Forsch. (ARZNAD) **26**, 1521 (1976).

Formulation(s): amp. 50 µg/ml, 100 µg/2 ml, 500 µg/5 ml (as citrate)

Trade Name(s):

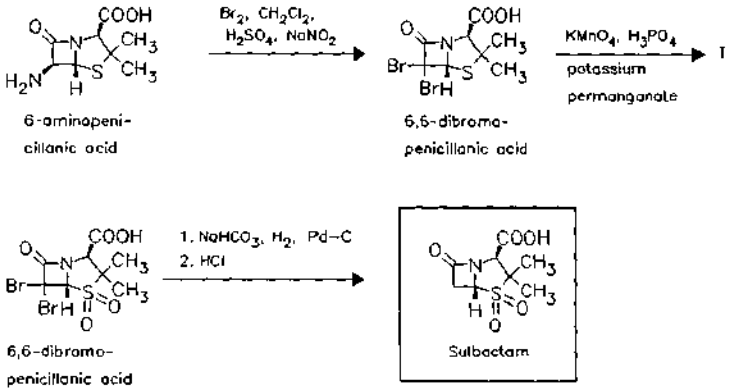
D:	Sulfenta (Janssen-Cilag)	F:	Sulfenta (Janssen-Cilag; as citrate)	I:	Fentatienil (Angelini)
				USA:	Sufenta (Janssen; 1984)

Sulbactam
(CP-45899-2)

ATC: J01CG01
Use: antibacterial in combination with β-lactam antibiotics, semisynthetic β-lactamase inhibitor

RN: 68373-14-8 MF: $C_8H_{11}NO_5S$ MW: 233.24 EINECS: 269-878-2
CN: (2*S*-*cis*)-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid 4,4-dioxide

sodium salt
RN: 69388-84-7 MF: $C_8H_{10}NNaO_5S$ MW: 255.23 EINECS: 273-984-4



Reference(s):
Volkmann, R.A. et al.: J. Org. Chem. (JOCEAH) **47**, 3344 (1982).
US 4 234 579 (Pfizer; 18.11.1980; appl. 5.3.1979; USA-prior. 7.6.1977, 21.2.1978, 29.3.1978).
US 4 420 426 (Pfizer; 13.12.1983; appl. 9.12.1980; USA-prior. 5.3.1979).
DE 2 824 535 (Pfizer; appl. 5.6.1978; USA-prior. 7.6.1977, 21.2.1978).
DE 2 912 511 (Pfizer; appl. 29.3.1979; USA-prior. 29.3.1978, 27.11.1978).

combination with cefoperazone:
US 4 276 285 (Pfizer; 30.6.1981; appl. 5.3.1978; USA-prior. 7.6.1977, 21.2.1978, 29.3.1978, 27.11.1978).

Formulation(s): vial 750 mg, 1 g, 1.5 g, 3 g (as sodium sulfate)

Trade Name(s):

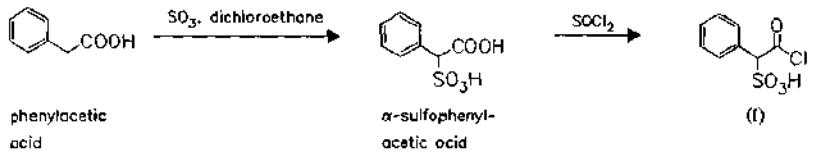
D:	Combactam 1,0 g (Pfizer) Unacid (Pfizer; 1987)-comb. with ampicillin		Unacim (Jouveinal; as sodium salt)-comb. with ampicillin		Unasyn (Pfizer)-comb. with ampicillin
F:	Bétamaze (Pfizer; as sodium salt)	I:	Bethacil (Bioindustria)-comb. with ampicillin Loricin (Sigma-Tau)-comb. with ampicillin	J:	Sulperazone (Pfizer Taito; 1986)-comb.
				USA:	Unasyn (Pfizer; 1987)-comb. with ampicillin

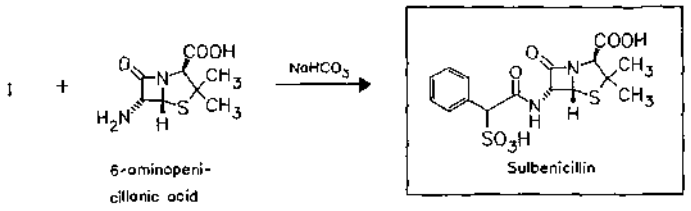
Sulbenicillin

ATC: J01CA16
Use: antibiotic

RN: 34779-28-7 MF: $C_{16}H_{18}N_2O_7S_2$ MW: 414.46 EINECS: 252-209-3
CN: [2*S*-(2α,5α,6β)]-3,3-dimethyl-7-oxo-6-[(phenylsulfoacetyl)amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

disodium salt
RN: 28002-18-8 MF: $C_{16}H_{16}N_2Na_2O_7S_2$ MW: 458.42 EINECS: 248-769-3
LD_{50}: 7900 mg/kg (M, i.v.); >15 g/kg (M, p.o.);
6 g/kg (R, i.v.); >15 g/kg (R, p.o.)





Reference(s):
DE 1 933 629 (Takeda; prior. 2.7.1969).
DOS 1 948 943 (Takeda; appl. 27.9.1969; J-prior. 28.9.1968).
DAS 1 966 850 (Takeda; appl. 27.9.1969; J-prior. 28.9.1968).
US 3 660 379 (Takeda; 2.5.1972; appl. 29.9.1969; J-prior. 28.9.1968).
US 3 891 763 (Takeda; 24.6.1975; prior. 18.1.1972).

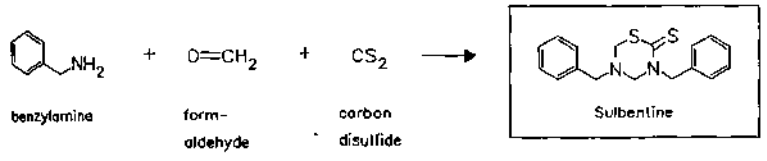
Formulation(s): vial 1 g, 2 g, 4 g (as disodium salt)

Trade Name(s):
I: Kedacillina (Bracco; 1982) J: Kedacillin (Takeda) Lilacillin (Takeda)

Sulbentine
(Dibenzthione)

ATC: D01AE09
Use: antifungal

RN: 350-12-9 MF: $C_{17}H_{18}N_2S_2$ MW: 314.48 EINECS: 206-497-2
LD_{50}: 1100 mg/kg (M, i.p.)
CN: tetrahydro-3,5-bis(phenylmethyl)-2*H*-1,3,5-thiadiazine-2-thione



Reference(s):
DD 20 634 (appl. 17.8.1958).

Formulation(s): gel 3 g/100 g; ointment 3 g/100 g; sol. 3 g/100 g

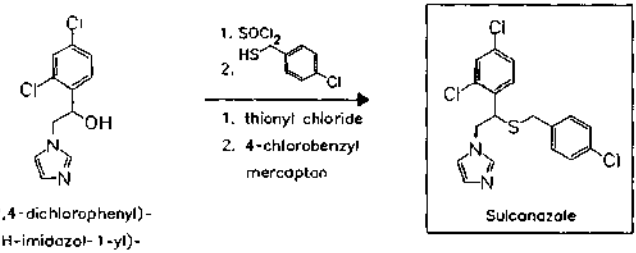
Trade Name(s):
D: Fungiplex (Hermal); wfm I: Fungiplex (Bruschettini); wfm J: Dampa D (Nippon Shinyaku)

Sulconazole

ATC: N01AH03
Use: antifungal

RN: 61318-90-9 MF: $C_{18}H_{15}Cl_3N_2S$ MW: 397.76
CN: (±)-1-[2-[[(4-chlorophenyl)methyl]thio]-2-(2,4-dichlorophenyl)ethyl]-1*H*-imidazole

mononitrate
RN: 61318-91-0 MF: $C_{18}H_{15}Cl_3N_2S \cdot HNO_3$ MW: 460.77
LD_{50}: 2475 mg/kg (M, p.o.);
1741 mg/kg (R, p.o.)



1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)-ethanol
(cf. miconazole synthesis)

Reference(s):
DOS 2 541 833 (Syntex; appl. 19.9.1975; USA-prior. 23.9.1974, 7.7.1975).
US 4 055 652 (Syntex; 25.10.1977; appl. 8.3.1976; prior. 7.7.1975).

Formulation(s): cream 1 %; sol. 1 % (as nitrate)

Trade Name(s):

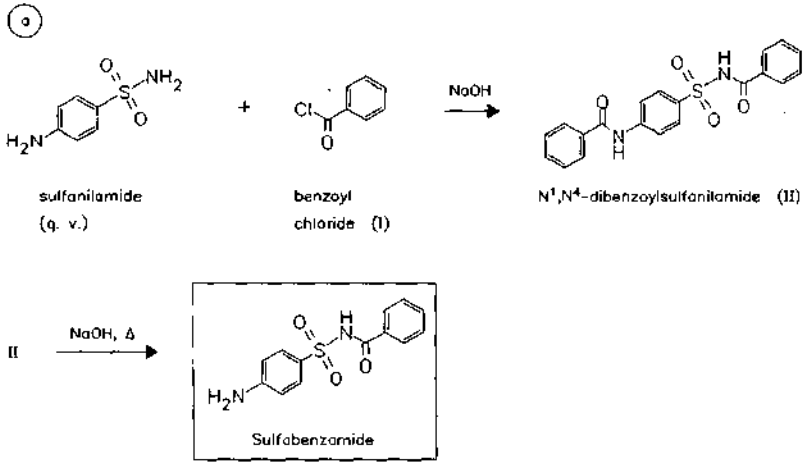
F:	Myk (Cassenne)	I:	Exelderm (Schwarz)	USA:	Exelderm (Westwood-Squibb)
GB:	Exelderm (Zeneca; 1985)	J:	Exelderm (Tanabe; 1986)		

Sulfabenzamide

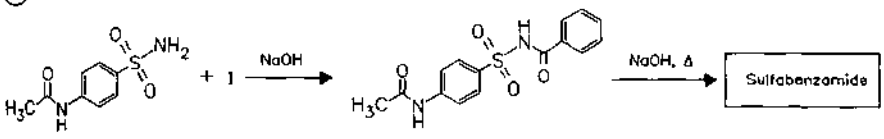
(Benzoylsulfanilamide; Sulphabenzamide)

ATC: D08; J01E
Use: chemotherapeutic (sulfonamide), antibacterial

RN: 127-71-9 MF: $C_{13}H_{12}N_2O_3S$ MW: 276.32 EINECS: 204-859-4
LD_{50}: 320 mg/kg (M, i.v.)
CN: *N*-[(4-aminophenyl)sulfonyl]benzamide



(b)



4-acetamido-benzenesulfonamide (cf. sulfanilamide synthesis)

Reference(s):

a Siebenmann, C.; Schnitzer, R.J.: J. Am. Chem. Soc. (JACSAT) **65**, 2126 (1943).

b US 2 240 496 (Monsanto; 1941; appl. 1939).
GB 541 958 (Schering AG; appl. 1938; D-prior. 1938).

Formulation(s): pessaries 185 mg; vaginal cream 37 mg/g

Trade Name(s):

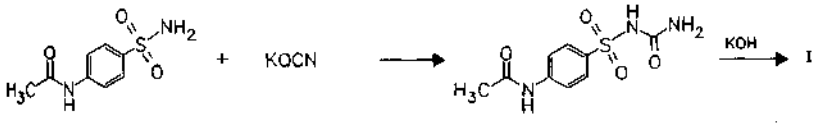
D:	Neosultrin (Cilag)-comb.; wfm	GB:	Sultrin (Janssen-Cilag)-comb.	USA:	Sultrin (Ortho-McNeil Pharmaceutical)-comb.

Sulfacarbamide

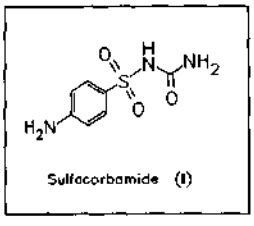
(Sulphaurea; Sulfanylurea)

ATC: D08; J01E
Use: chemotherapeutic, antibacterial

RN: 547-44-4 MF: $C_7H_9N_3O_3S$ MW: 215.23 EINECS: 208-922-7
LD_{50}: 405 mg/kg (M, i.p.)
CN: 4-amino-*N*-(aminocarbonyl)benzenesulfonamide



4-acetamidobenzene-sulfonamide | potassium cyanate | (4-acetamidobenzene-sulfonyl)urea



Sulfacarbamide (I)

Reference(s):
US 2 411 661 (Geigy; 1946; CH-prior. 1939).

Formulation(s): drg. 0.5 g; syrup 0.1 g/ml

Trade Name(s):

D: Cysto-Myacyne O.W.G. (Schur)-comb.; wfm
Euvernil (Heyden); wfm
Spasmo-Euvernil (Heyden)-comb.; wfm

GB: Uromide (Consolidated Chemicals)-comb.; wfm

Sulfacetamide

(N'-acetylsulfanilamide; Sulphacetamide)

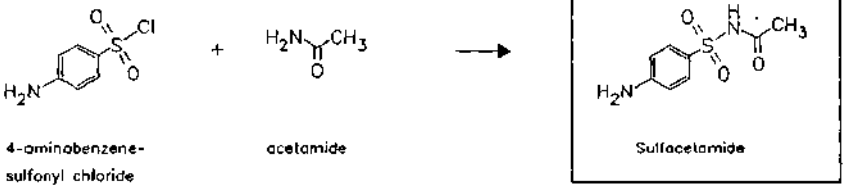
ATC: S01AB04
Use: chemotherapeutic (eye infection), antibacterial

RN: 144-80-9 MF: $C_8H_{10}N_2O_3S$ MW: 214.25 EINECS: 205-640-6
LD_{50}: 16.5 g/kg (M, p.o.);
6.6 g/kg (R, i.v.)
CN: *N*-[(4-aminophenyl)sulfonyl]acetamide

monosodium salt

RN: 127-56-0 MF: $C_8H_9N_2NaO_3S$ MW: 236.23 EINECS: 204-848-4
LD_{50}: 6 g/kg (M, s.c.)

a)



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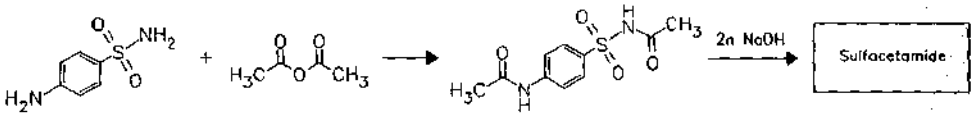


Reference(s):

US 2 411 495 (Schering Corp.; 1946; D-prior. 1938).

Formulation(s): eye drops 100 mg/ml; ophthalmic ointment 2 mg/g, 100 mg/g (as sodium salt); pessaries 143.75 mg

Trade Name(s):

D: Albucid (Chauvin ankerpharm)
Blefcon (Alcon)-comb.
Blephamide (Pharm-Allergan)-comb.
Combiamid (Winzer)-comb.

F: Antébor (Lab. Biol. de l'Ile-De-France)-comb.

GB: Sultrin (Janssen-Cilag)-comb.

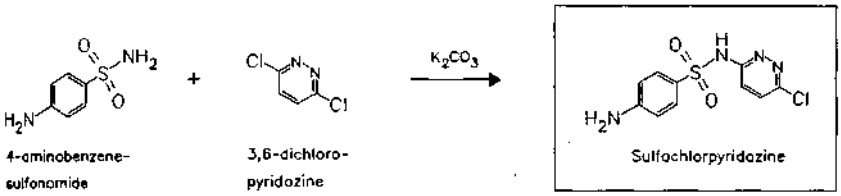
I: Antisettico Astr. Sed. (Bruschettini)-comb.
Aureomix (SIT)-comb.
Brumeton (Bruschettini)-comb.
Chemyterral (SIT)-comb.
Cosmiciclina (Alfa Intes)-comb.
Prontamid (SIT)
Rinosulfargolo (Bruschettini)-comb.
Visublefarite (Pharmec)-comb.

J: Neo-Gerison (Yamanouchi) Sultrin (Ortho-McNeil
USA: Blephamide (Allergan) Pharmaceutical)-comb.

Sulfachlorpyridazine

ATC: D08; J01ED
Use: chemotherapeutic (urogenital tract infections), antibacterial

RN: 80-32-0 MF: $C_{10}H_9ClN_4O_2S$ MW: 284.73 EINECS: 201-269-9
CN: 4-amino-*N*-(6-chloro-3-pyridazinyl)benzenesulfonamide



Reference(s):
US 2 790 798 (American Cyanamid; 1957; prior. 1955).

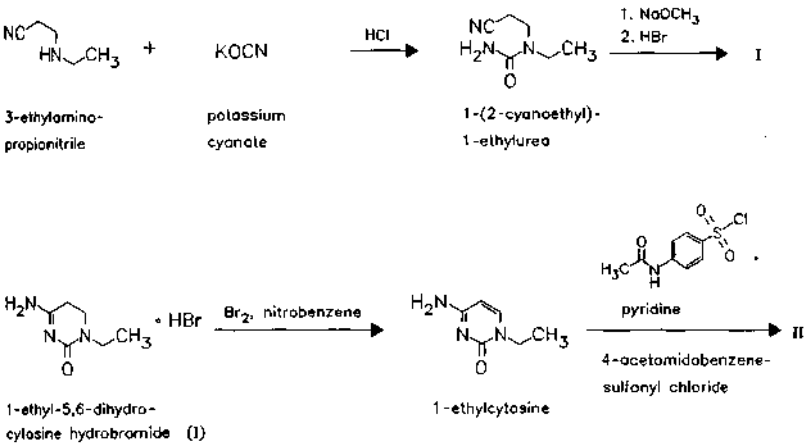
Formulation(s): tabl. 500 mg

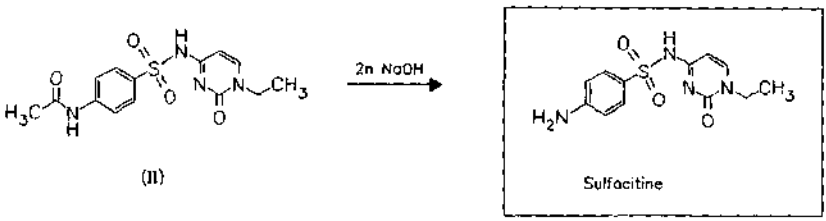
Trade Name(s):
I: Durasulf (Dessy); wfm
Sulfaclorazina (Ellem); wfm
USA: Consulid (Ciba-Geigy); wfm
Nefrosul (Riker); wfm
Sonilyn (Mallinckrodt); wfm

Sulfacitine
(Sulfacytine)

ATC: J01E
Use: chemotherapeutic (depot sulfonamide), antibacterial

RN: 17784-12-2 MF: $C_{12}H_{14}N_4O_3S$ MW: 294.34
CN: 4-amino-*N*-(1-ethyl-1,2-dihydro-2-oxo-4-pyrimidinyl)benzenesulfonamide





Reference(s):
US 3 375 247 (Parke Davis; 26.3.1968; appl. 2.8.1965).
DE 1 620 140 (Parke Davis; appl. 1.8.1966; USA-prior. 2.8.1965).
Doub, L. et al.: J. Med. Chem. (JMCMAR) **13**, 242 (1970).

Formulation(s): tabl. 250 mg

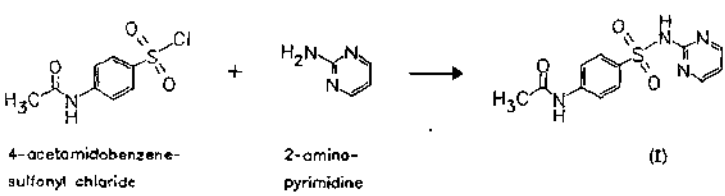
Trade Name(s):
USA: Renoquid (Parke Davis);
wfm

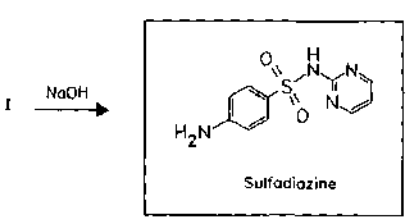
Sulfadiazine
(Sulphadiazine)

ATC: J01EC02
Use: chemotherapeutic

RN: 68-35-9 MF: $C_{10}H_{10}N_4O_2S$ MW: 250.28 EINECS: 200-685-8
LD_{50}: 180 mg/kg (M, i.v.); 1500 mg/kg (M, p.o.);
880 mg/kg (R, i.v.)
CN: 4-amino-*N*-2-pyrimidinylbenzenesulfonamide

silver salt
RN: 22199-08-2 MF: $C_{10}H_9AgN_4O_2S$ MW: 357.14





Reference(s):
US 2 407 966 (Sharp & Dohme; 1946).
US 2 410 793 (American Cyanamid; 1946).

Formulation(s): cream 1 %; tabl. 500 mg (as silver salt)

Trade Name(s):
D: Brandiazine (medphano) Flammazine (Solvay Arzneimittel)

Sterinor (Heumann)-comb. with textroprim
Sulfadiazin (Heyl)
Urospasmon (Heumann)-comb.

F: Adiazine (Doms-Adrian)
Antrima (Doms-Adrian)-comb.
Flamenacerium (Solvay Pharma; as silver salt)-comb.
Flammazine (Solvay Pharma; as silver salt)
Sicazine (Smith & Nephew; as silver salt)

GB: Flamazine (Smith & Nephew; as silver salt); wfm

Streptotriad (M. & B.)-comb.; wfm

I: Connettivina (Fidia; as silver salt)
Kombinax (Bracco)-comb.
Oxosint (Medivis)-comb.
Sofargen (Sofar; as silver salt)
Sterinor (ABC Farmaceutici)-comb.
Sulfadiazina (Ecobi; IFI)
Sulfadiazina Sodica (Salf; as sodium salt)

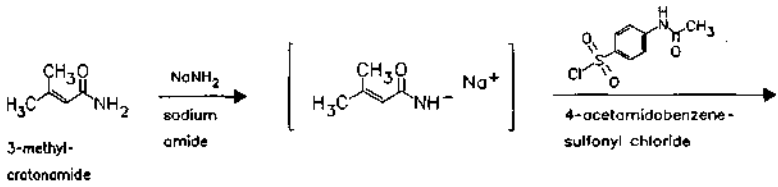
J: Theradia (Daiichi)
Theradiazine (Daiichi)

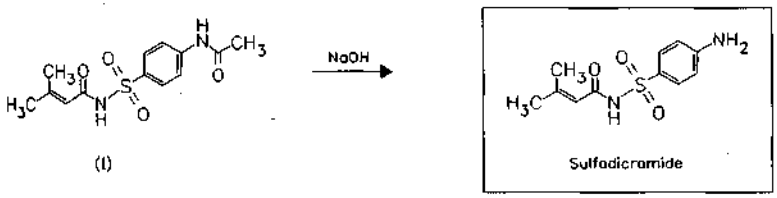
USA: Coco-Diazine (Lilly); wfm
Neotrizine (Lilly)-comb.; wfm
Silvadene (Marion Labs.; as silver salt); wfm
Sodium Sulfadiazine (City Chem.); wfm
Sodium Sulfadiazine (Lederle); wfm
Sulfonamides Duplex (Lilly); wfm
Sulfose (Wyeth)-comb.; wfm
Terfonyl (Squibb)-comb.; wfm
Triple Sulfas (Lederle)-comb.; wfm
Trisem (Beecham)-comb.; wfm
further combination preparations; wfm

Sulfadicramide

ATC: S01AB03
Use: chemotherapeutic

RN: 115-68-4 MF: $C_{11}H_{14}N_2O_3S$ MW: 254.31 EINECS: 204-099-3
CN: *N*-[(4-aminophenyl)sulfonyl]-3-methyl-2-butenamide





Reference(s):
US 2 417 005 (Geigy; 1947; CH-prior. 1943).

Formulation(s): ophthalmic ointment 150 mg/g

Trade Name(s):

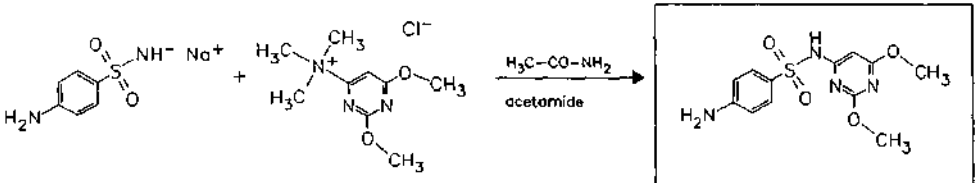
D: Irgamid Augensalbe (Dispersa); wfm
Irgamid Augensalbe (Zyma-Blaes); wfm

Sulfadimethoxine

ATC: J01ED01
Use: chemotherapeutic

RN: 122-11-2 MF: $C_{12}H_{14}N_4O_4S$ MW: 310.33 EINECS: 204-523-7
LD_{50}: 844 mg/kg (M, i.v.);
>3200 mg/kg (dog, p.o.)
CN: 4-amino-*N*-(2,6-dimethoxy-4-pyrimidinyl)benzenesulfonamide

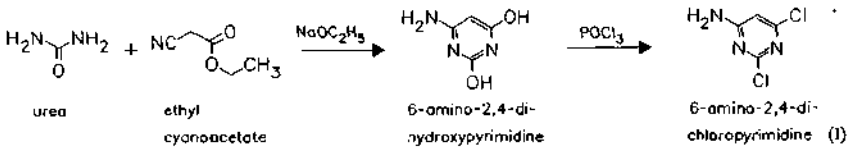
(a)



4-aminobenzenesulfonamide sodium salt

2,4-dimethoxy-6-trimethylammoniopyrimidine chloride

(b)

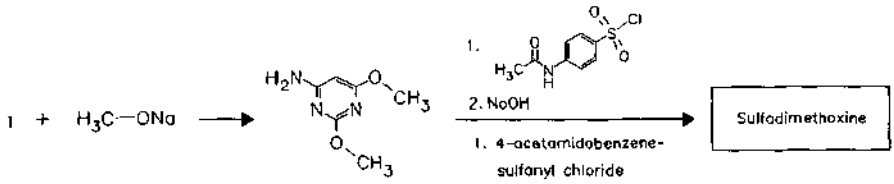


urea

ethyl cyanoacetate

6-amino-2,4-dihydroxypyrimidine

6-amino-2,4-dichloropyrimidine (I)



6-amino-2,4-dimethoxypyrimidine

1. 4-acetamidobenzenesulfonyl chloride

Reference(s):

a US 2 703 800 (Österr. Stickstoffwerke; 1955; A-prior. 1951).
b Bretschneider, H. et al.: Monatsh. Chem. (MOCMB7) **87**, 136 (1956); **92**, 75 (1961); **92**, 128 (1961).

Formulation(s): drops 200 mg/ml; syrup 250 mg/5 ml; tabl. 250 mg, 500 mg

Trade Name(s):

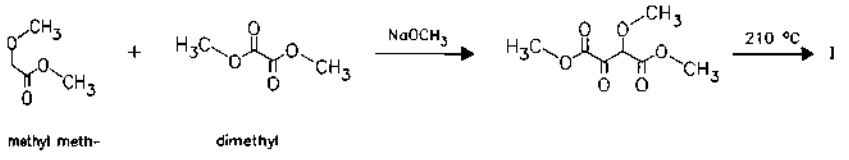
D: Madribon (Roche); wfm
F: Madribon (Roche); wfm
GB: Madribon (Roche); wfm
I: Sulfadimetossina (IFI)
J: Abcid (Daiichi)
Asthoxin (Kobayashi)
Dimetoxin (Nissin)
Dimexin (Fuso)
Hachimetoxin (Toyo S.-Ono)
Melfa (Tanabe)
Mition-D (Taisho)
Omunibon (Yamanouchi)
Sulfalon (Sumitomo)
Sulmethon (Mohan)
Sulmetoxyn (Nichiiko)
Sulxin (Chugai)
Sumetamin (Samva)
USA: Albon (Roche); wfm

Sulfadoxine

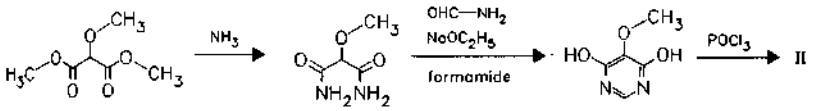
(Sulformetoxinum; Sulforthomidine; Sulformethoxine)

ATC: P01BD51
Use: chemotherapeutic (sulfonamide)

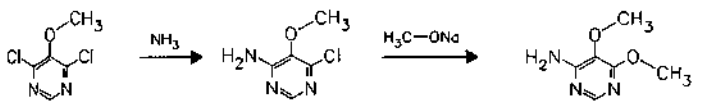
RN: 2447-57-6 MF: $C_{12}H_{14}N_4O_4S$ MW: 310.33 EINECS: 219-504-9
LD_{50}: 5200 mg/kg (M, p.o.)
CN: 4-amino-*N*-(5,6-dimethoxy-4-pyrimidinyl)benzenesulfonamide



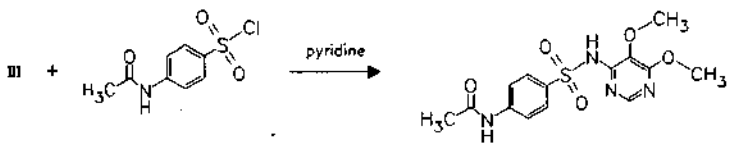
methyl methoxyacetate + dimethyl oxalate



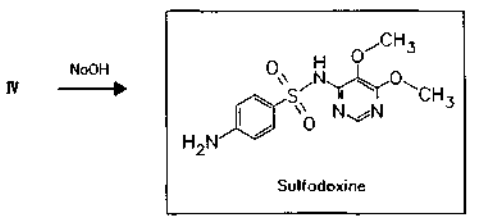
dimethyl methoxymalonate (I) → methoxymalonamide



4,6-dichloro-5-methoxypyrimidine (II) → 4-amino-6-chloro-5-methoxypyrimidine → 4-amino-5,6-dimethoxypyrimidine (III)



4-acetamidobenzenesulfonyl chloride



Reference(s):
US 3 132 139 (Roche; 1964; CH-prior. 1961).
Grüssner, A. et al.: Monatsh. Chem. (MOCMB7) **96**, 1676 (1965).
Bretschneider, H. et al.: Monatsh. Chem. (MOCMB7) **96**, 1661 (1965).

Formulation(s): amp. 400 mg; tabl. 500 mg (in comb. with 25 mg pyrimethamine)

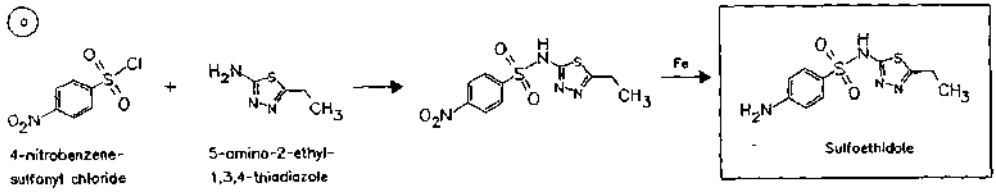
Trade Name(s):

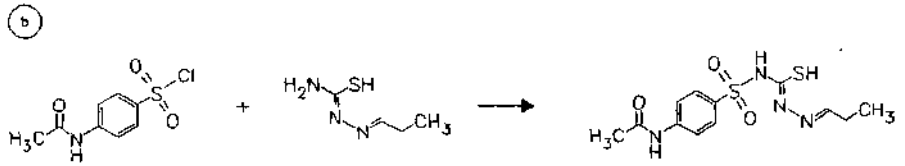
D:	Fansidar (Roche)-comb.; wfm	GB:	Fansidar (Roche)-comb.	USA:	Fansidar (Roche)
F:	Fansidar (Roche)-comb.	I:	Fanasil (Roche); wfm		
		J:	Fansidar (Roche)-comb.		

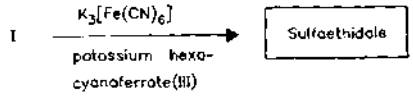
Sulfaethidole

ATC: G04A
Use: chemotherapeutic

RN: 94-19-9 MF: $C_{10}H_{12}N_4O_2S_2$ MW: 284.36 EINECS: 202-312-4
LD_{50}: 1300 mg/kg (R, i.v.)
CN: 4-amino-*N*-(5-ethyl-1,3,4-thiadiazol-2-yl)benzenesulfonamide







Reference(s):
a US 2 358 031 (American Cyanamid; 1944; prior. 1940).
DE 957 841 (Schering AG; appl. 1940).
b US 2 447 702 (Lundbeck; 1948; DK-prior. 1942).

Formulation(s): drg. 150 mg (in comb. with 350 mg sulfamethiozole)

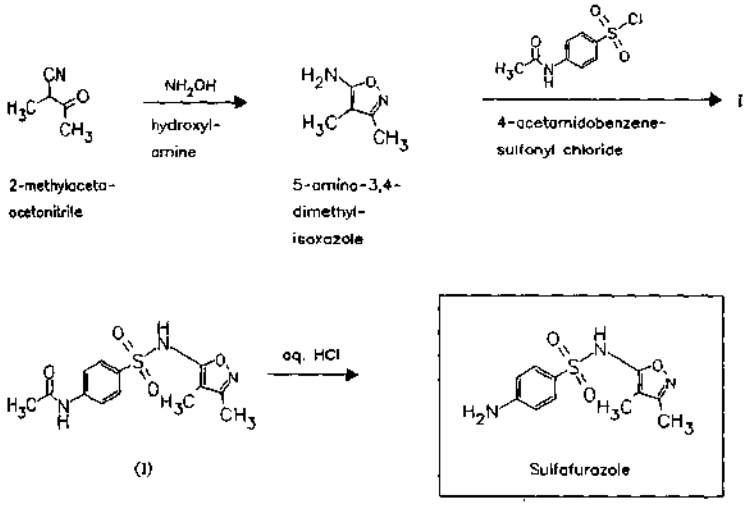
Trade Name(s):
D: Harnosal (TAD)-comb.

Sulfafurazole

(Sulfisoxazole; Sulphafurazole)

ATC: J01EB05; S01AB02
Use: chemotherapeutic

RN: 127-69-5 MF: $C_{11}H_{13}N_3O_3S$ MW: 267.31 EINECS: 204-858-9
LD_{50}: 2500 mg/kg (M, i.v.); 6800 mg/kg (M, p.o.); 10 g/kg (R, p.o.)
CN: 4-amino-*N*-(3,4-dimethyl-5-isoxazolyl)benzenesulfonamide



Reference(s):
US 2 430 094 (Hoffmann-La Roche; 1947; prior. 1944).
DE 819 855 (Hoffmann-La Roche; USA-prior. 1944).

Formulation(s): amp. 4 mg/ml; eye drops 4 %; tabl. 500 mg

Trade Name(s):

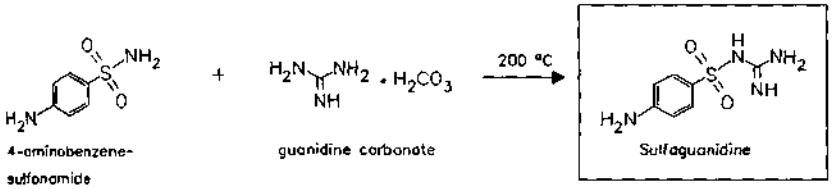
D:	Gantrisin (Roche); wfm		Thiasin (Yamanouchi)
F:	Gantrisine (Roche); wfm	USA:	Azo-Gantrisin (Roche)-comb.; wfm
	Pédiazole (Abbott)-comb. with erythromycine		Dow-Sulfisoxazole (Dow); wfm
GB:	Gantrisin (Roche); wfm		Erythromycin Ethylsuccinate / Sulfisoxazole Acetate (Warner Chilcott)
I:	Fultrexin (Zambon)-comb.; wfm		Gantrisin (Roche); wfm
	Pancid (Lister); wfm		Koro-Sulf (Holland-Rantos); wfm
J:	Isoxamin (Fuso)		SK-Soxazole (Smith Kline & French); wfm
	Sulfazin (Shionogi)		Sosol (McKesson); wfm
			Soxomide (Upjohn); wfm
			Sulfalar (Parke Davis); wfm
			combination preparations and generics

Sulfaguanidine

(Sulphaguanidine)

ATC: A07AB03
Use: chemotherapeutic

RN: 57-67-0 MF: $C_7H_{10}N_4O_2S$ MW: 214.25 EINECS: 200-345-9
CN: 4-amino-*N*-(aminoiminomethyl)benzenesulfonamide



Reference(s):
US 2 218 490 (American Cyanamid; 1940; appl. 1940).
US 2 229 784 (American Cyanamid; 1941; appl. 1940).
US 2 233 569 (American Cyanamid; 1941; appl. 1940).

Formulation(s): tabl. 0.5 g (as hydrate)

Trade Name(s):

D: Diarönt (Chephasaar)-comb.; wfm
Enterastrept (Heyl)-comb.; wfm
Guabeta (OTW); wfm
Jacosulfon (Giulini)-comb.; wfm
Resulfon-S (Nordmark); wfm

F: Litoxol (SmithKline Beecham)

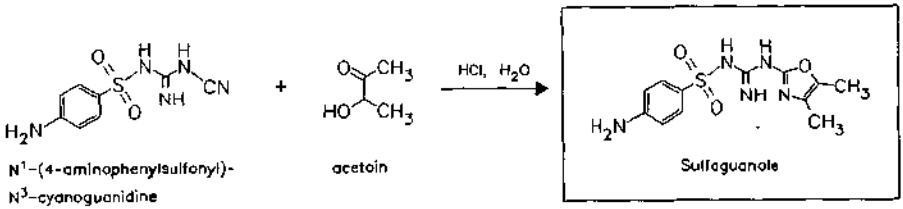
I: Aseptil-Guanidina (Wassermann); wfm
Kinol (Lafare)-comb.; wfm
Streptoguanidin (Lisapharma)-comb.; wfm combination preparations; wfm

J: Aterian (Takeda)

Sulfaguanole

ATC: D08
Use: chemotherapeutic (depot sulfonamide)

RN: 27031-08-9 MF: $C_{12}H_{15}N_5O_3S$ MW: 309.35 EINECS: 248-175-4
CN: 4-amino-*N*-[[(4,5-dimethyl-2-oxazolyl)amino]iminomethyl]benzenesulfonamide



Reference(s):
US 3 562 258 (Nordmark; 9.2.1971; prior. 10.2.1969).
GB 1 185 139 (Nordmark; appl. 13.2.1969).

Formulation(s): drg. 400 mg

Trade Name(s):

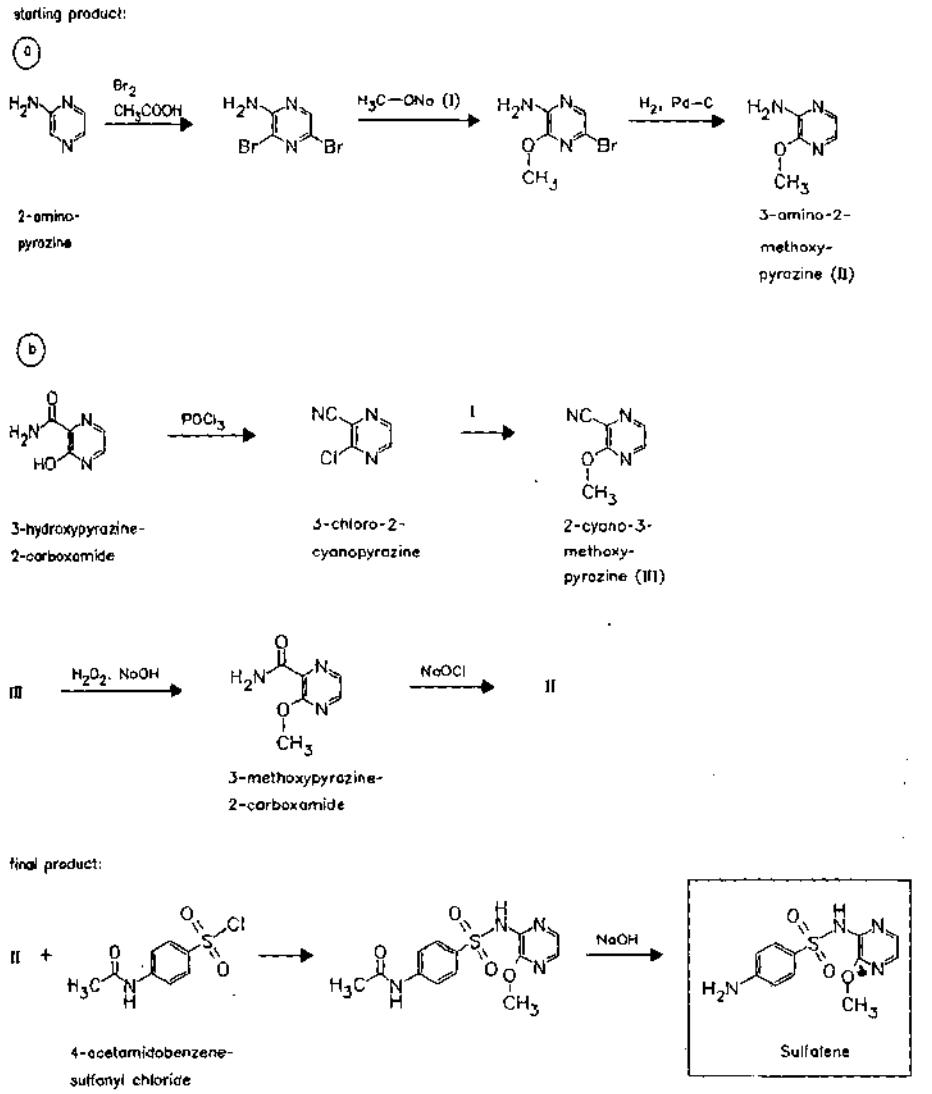
D: Enterocura (Nordmark); wfm

I: Asorec (Radiumfarma); wfm
Enterocura (De Angeli); wfm

Sulfalene
(Sulfametopyrazine)

ATC: J01ED02
Use: chemotherapeutic (depot sulfonamide)

RN: 152-47-6 MF: $C_{11}H_{12}N_4O_3S$ MW: 280.31 EINECS: 205-804-7
LD_{50}: 893 mg/kg (M, i.v.); 1292 mg/kg (M, p.o.); 1790 mg/kg (R, i.v.); 2739 mg/kg (R, p.o.)
CN: 4-amino-*N*-(3-methoxypyrazinyl)benzenesulfonamide



Reference(s):
US 3 098 069 (Carlo Erba; 16.7.1963; GB-prior. 14.7.1959).

Formulation(s): tabl. 2 g

Trade Name(s):

D:	Longum (Pharmacia & Upjohn)	I:	Kelfiprim (Pharmacia & Upjohn)-comb.
GB:	Kelfizine-W (Pharmacia & Upjohn)		Kelfizina (Pharmacia & Upjohn)

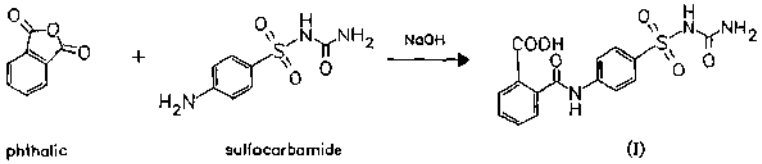
Sulfaloxic acid

(Sulphaloxate; Sulphaloxic Acid)

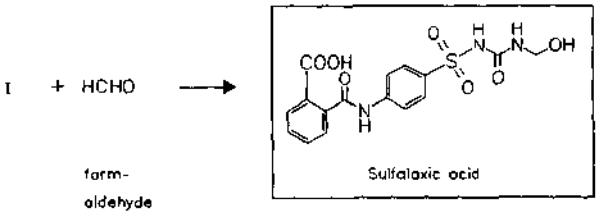
ATC: D08
Use: chemotherapeutic (sulfonamide), antibacterial

RN: 14376-16-0 MF: $C_{16}H_{15}N_3O_7S$ MW: 393.38 EINECS: 238-348-2
CN: 2-[[[4-[[[[(hydroxymethyl)amino]carbonyl]amino]sulfonyl]phenyl]amino]carbonyl]benzoic acid

calcium salt
RN: 97259-91-1 MF: $C_{32}H_{28}CaN_6O_{14}S_2$ MW: 824.81



phthalic anhydride | sulfocarbamide (q. v.) | (I)



form-aldehyde

Reference(s):
DE 960 190 (Chem. Fabrik von Heyden; appl. 1954).
DAS 1 002 319 (Chem. Fabrik von Heyden; appl. 15.6.1954).

Formulation(s): tabl. 0.55 g (as calcium salt)

Trade Name(s):

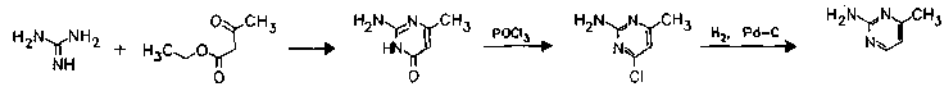
D:	Intestin-Euvernil (Heyden) Myacine (Schur)-comb.; wfm	Sulfa-Adsorgan (Combustin); wfm	GB:	Enteromide (Consolidated; as calcium salt); wfm	

Sulfamerazine
(Methylsulfadiazine)

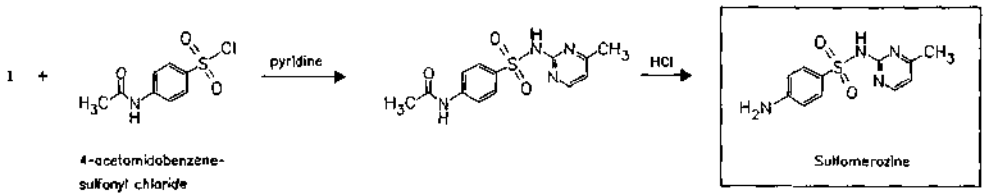
ATC: J01ED07
Use: chemotherapeutic, antibacterial

RN: 127-79-7 MF: $C_{11}H_{12}N_4O_2S$ MW: 264.31 EINECS: 204-866-2
LD_{50}: 25 g/kg (M, p.o.);
1100 mg/kg (R, i.v.)
CN: 4-amino-*N*-(4-methyl-2-pyrimidinyl)benzenesulfonamide

sodium salt
RN: 127-58-2 MF: $C_{11}H_{11}N_4NaO_2S$ MW: 286.29



guanidine | ethyl acetoacetate | 2-amino-4-methyl-pyrimidine (I)



Reference(s):
US 2 407 966 (Sharp & Dohme; 1946; appl. 1940).

Formulation(s): susp. 60 mg/5 ml; tabl. 120 mg (as sodium salt)

Trade Name(s):

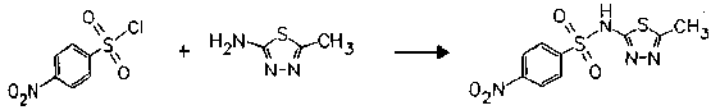
D:	Dosulfin (Geigy)-comb.; wfm	F:	Dosulfine (Gomenol)-comb.; wfm Solumedine (Specia); wfm	I:	Polagin (De Angeli)-comb.; wfm
				J:	Romezin (Tanabe)

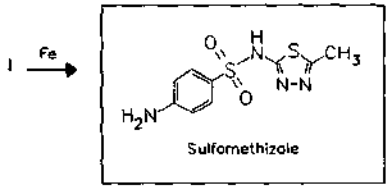
Sulfamethizole
(Sulphamethizole)

ATC: B05CA04; D06BA04; J01EB02; S01AB01
Use: chemotherapeutic, antibacterial

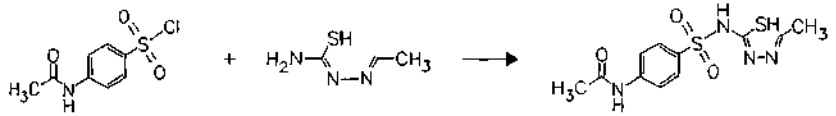
RN: 144-82-1 MF: $C_9H_{10}N_4O_2S_2$ MW: 270.34 EINECS: 205-641-1
LD_{50}: 1820 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
2710 mg/kg (R, i.v.); 3500 mg/kg (R, p.o.)
CN: 4-amino-*N*-(5-methyl-1,3,4-thiadiazol-2-yl)benzenesulfonamide

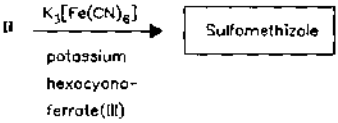
(a)





(b)





Reference(s):
a US 2 358 031 (American Cyanamid; 1944; prior. 1940).
b US 2 447 702 (Lundbeck & Co.; 1948; DK-prior. 1942).

Formulation(s): cps. 250 mg in comb. with oxytetracycline.HCl (250 mg) and phenazopyridine.HCl (50 mg); drg. 350 mg in comb. with sulfaethidiole

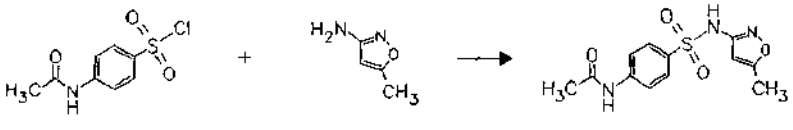
Trade Name(s):

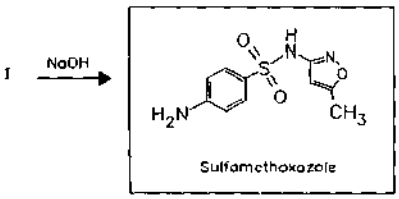
D:	Harnosal (TAD)-comb.		Thiosulfil (Ayerst); wfm		Urosol (Kanto)
F:	Rufol (Débat)	J:	Harnway (Nichiiko)		Urosul (Mohan)
GB:	Urolucosil (Warner); wfm		Salimol (Maruishi-Kanebo)	USA:	Urobiotic-250 (Pfizer)-comb.
I:	Rufol (Roussel-Maestretti); wfm		Urokinon (Chugai)		
			Urokizol (Chugai)		

Sulfamethoxazole
(Sulphamethoxazole)

ATC: J01EC01
Use: antipneumocystis, chemotherapeutic (urogenital tract infections)

RN: 723-46-6 MF: $C_{10}H_{11}N_3O_3S$ MW: 253.28 EINECS: 211-963-3
LD_{50}: 1460 mg/kg (M, i.v.); 2300 mg/kg (M, p.o.); 6200 mg/kg (R, p.o.)
CN: 4-amino-*N*-(5-methyl-3-isoxazolyl)benzenesulfonamide





Reference(s):
US 2 888 455 (Shionogi; 26.5.1959; J-prior. 4.9.1956).

Formulation(s): amp. 400 mg/5 ml, 800 mg/3 ml; susp. 200 mg/5 ml; syrup 200 mg/5 ml, 400 mg/5 ml; tabl. 100 mg, 400 mg, 800 mg, 960 mg

Trade Name(s):

D:	Bactoreduct (Azupharma)-comb. with trimethoprim	Bactrim/forte (Roche)-comb. with trimethoprim	Berlocid (Berlin-Chemie)-comb. with trimethoprim

Cotrim (Heumann; ct-Arzneimittel; Holsten; BASF; Hefa; PUREN; ratiopharm; Hexal)-comb. with trimethoprim
Cotrim-Diolan/-forte (Engelhard)-comb. with trimethoprim
Cotrim-EuRho (Eu Rho Arznei)-comb. with trimethoprim
Cotrimoxazol (Aluid Pharma)-comb. with trimethoprim
Cotrimoxazol/-forte (Fatol)-comb. with trimethoprim
Cotrimox-Wolff (Wolff)-comb. with trimethoprim
Drylin (Merckle)-comb. with trimethoprim
Eusaprine (Glaxo Wellcome)-comb. with trimethoprim
Jenamoxazol (Jenapharm)-comb. with trimethoprim
Kepinol (Pfleger)-comb. with trimethoprim
Microtrim (Rosen Pharma)-comb.
Sijaprim (Kytta-Siegfried)-comb.

F: Bactrim (Roche)
Cotrimazol Forte
Ratiopharm (Lafon-ratiopharm)
Eusaprim (Glaxo Wellcome)
Gantanol (Roche)

GB: Chemotrim (Rosemont)-comb.
Gantanol (Roche)
Septrin (Glaxo Wellcome)-comb.

I: Abacin (Benedetti)-comb.
Bacterial (CT)-comb.
Bactrim (Dompé)-comb.
Chemitrim (Biomedica Foscama)-comb.
Eusaprim (Glaxo Wellcome)-comb.
Gantrim (Geymonat)-comb.
Isotrim (Ghimas)-comb.

J: Sinomin (Shionogi)

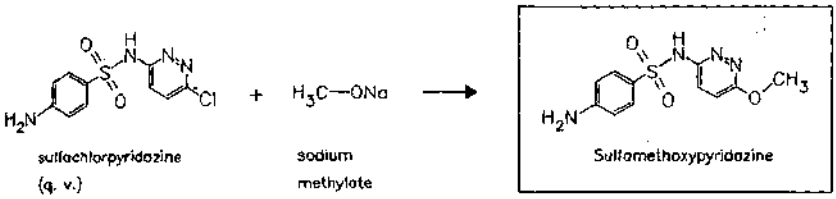
USA: Bactrim (Roche)-comb.
Gantanol (Roche)
Septra (Glaxo Wellcome)-comb.
generics

Sulfamethoxypyridazine

(Sulphamethoxypyridazine)

ATC: J01ED05
Use: chemotherapeutic

RN: 80-35-3 MF: $C_{11}H_{12}N_4O_3S$ MW: 280.31 EINECS: 201-272-5
LD_{50}: 1 g/kg (M, i.v.); 1700 mg/kg (M, p.o.); 2739 mg/kg (R, p.o.)
CN: 4-amino-*N*-(6-methoxy-3-pyridazinyl)benzenesulfonamide



Reference(s):
US 2 712 012 (American Cyanamid; 1955; prior. 1954).

N'-acetyl derivative:
US 2 833 761 (American Cyanamid; 1958; appl. 1957).

Formulation(s): cps. 120 mg in comb. with trimethoprim; suppos. 60 mg, 200 mg, 400 mg in comb. with trimethoprim; syrup 75 mg in comb. with trimethoprim; tabl. 250 mg, 500 mg;

Trade Name(s):

D: Davosin (Parke Davis); wfm
Lederkyn (Novalis Arzn.); wfm

F: Sulmidal (Roger Bellon)-comb.; wfm
Sultiréne (Specia); wfm

GB: Lederkyn (Lederle); wfm
Midicel (Parke Davis); wfm

I: Velaten (Camillo Corvi)-comb.

J: Lederkyn (Lederle)
Oroxin (Otsuka)

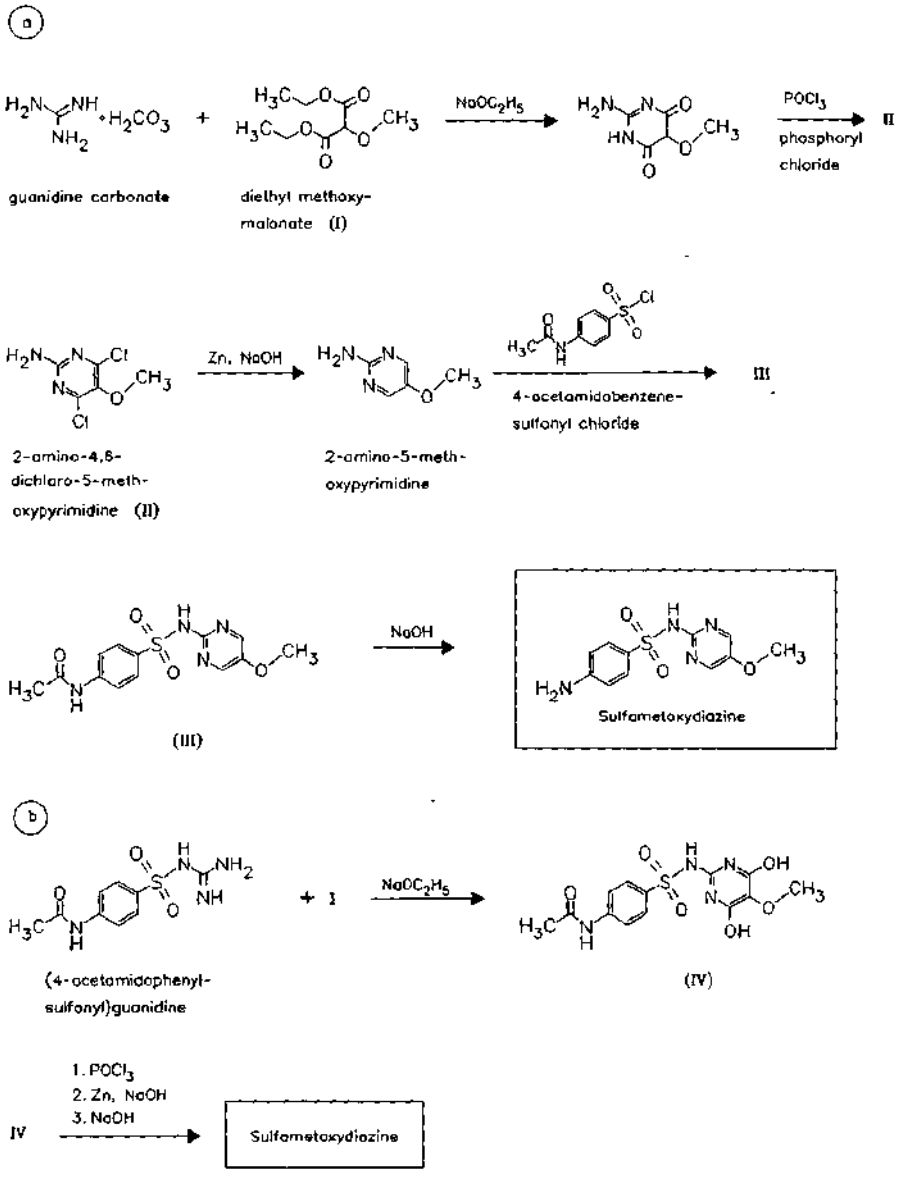
USA: Midicel (Parke Davis); wfm

Sulfametoxydiazine

(Sulfameter)

ATC: D08; J01ED
Use: chemotherapeutic (depot sulfonamide)

RN: 651-06-9 MF: $C_{11}H_{12}N_4O_3S$ MW: 280.31 EINECS: 211-480-8
LD_{50}: 1 g/kg (M, i.v.); 16 g/kg (M, p.o.);
1 g/kg (R, i.v.); 6 g/kg (R, p.o.);
1 g/kg (dog, p.o.)
CN: 4-amino-*N*-(5-methoxy-2-pyrimidinyl)benzenesulfonamide



Reference(s):
DE 1 101 428 (Schering AG; appl. 8.7.1959).

Formulation(s): syrup 200 mg/5 ml; tabl. 0.5 g

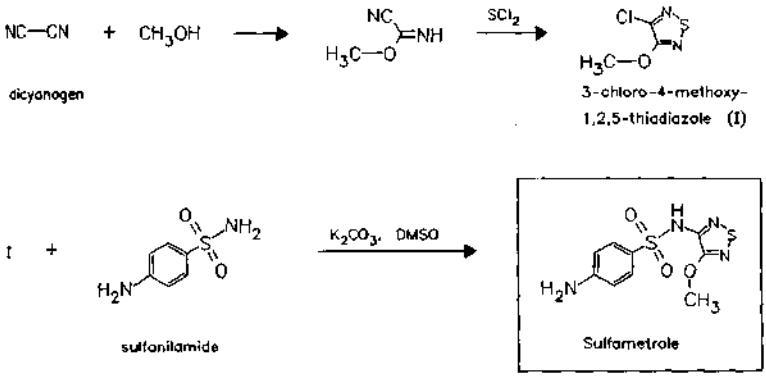
Trade Name(s):

D:	Durenat (Bayer-Schering); wfm	F:	Bayrena (Bayer-Pharma); wfm	I:	Kiron (Schering); wfm
		GB:	Durenate (Bayer); wfm	USA:	Sulla (Robins); wfm

Sulfametrole

ATC: J01EA
Use: chemotherapeutic (in combination with trimethoprim)

RN: 32909-92-5 MF: $C_9H_{10}N_4O_3S_2$ MW: 286.34 EINECS: 251-288-1
CN: 4-amino-*N*-(4-methoxy-1,2,5-thiadiazol-3-yl)benzenesulfonamide



Reference(s):
BE 862 952 (Chemie Linz; appl. 16.1.1978; D-prior. 17.1.1977).
DOS 2 701 632 (Lentia; appl. 17.1.1977).
US 4 151 164 (Chemie Linz; 24.4.1979; D-prior. 17.1.1977).

alternative syntheses:
US 3 247 193 (Österr. Stickstoffwerke; 19.4.1966; A-prior. 14.3.1962).
US 3 636 209 (Merck & Co.; 18.1.1972; prior. 15.10.1965, 16.9.1966, 1.8.1969, 11.4.1965).

Formulation(s): f. c. tabl. 800 mg; vial 800 mg; tabl. 400 mg

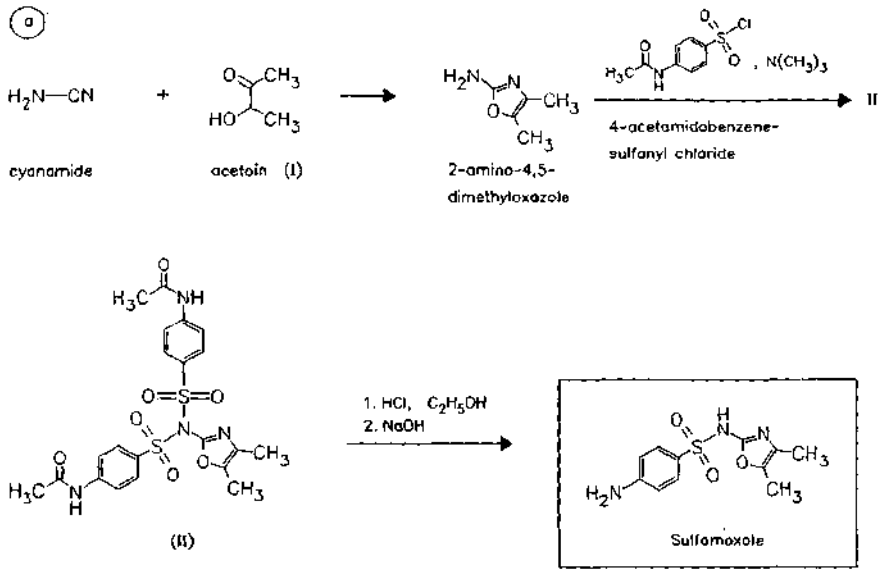
Trade Name(s):

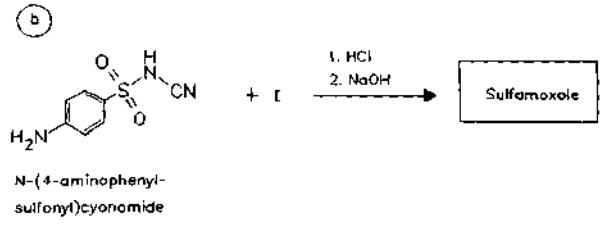
D:	Lidaprim (Hormon-Chemie)-comb. with trimethoprim; wfm	I:	Lidaprim (Lisapharma)-comb. with trimethoprim

Sulfamoxole
(Sulphamoxole)

ATC: J01EC03
Use: chemotherapeutic

RN: 729-99-7 MF: $C_{11}H_{13}N_3O_3S$ MW: 267.31 EINECS: 211-982-7
LD_{50}: 1 g/kg (M, i.v.); 15.2 g/kg (M, p.o.); >12.5 g/kg (R, p.o.)
CN: 4-amino-*N*-(4,5-dimethyl-2-oxazolyl)benzenesulfonamide





Reference(s):

a DE 1 003 737 (Nordmark: appl. 28.7.1955).
US 2 809 966 (Nordmark; 1957; D-prior. 1955).

b DE 1 121 052 (Nordmark; appl. 1.2.1960).
DE 1 128 429 (Nordmark; appl. 19.5.1960; addition to DE 1 121 052).

Formulation(s): susp. 200 mg; tabl. 400 mg .

Trade Name(s):

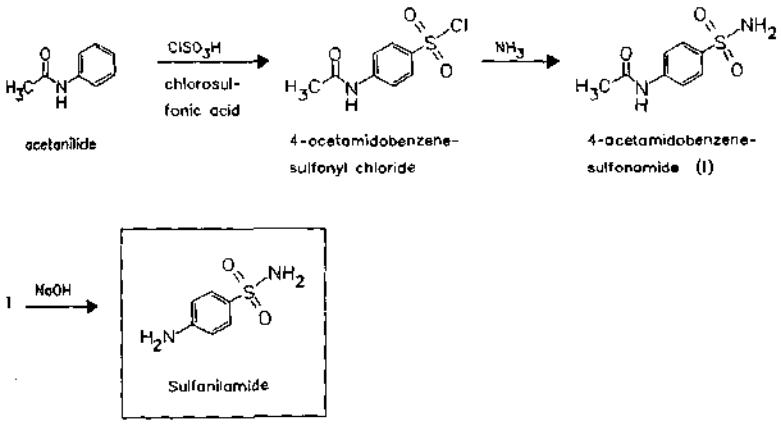
D: Sulfuno (Nordmark); wfm
Tardamide (Grünenthal); wfm
F: Justamil (Hépatrol); wfm
I: Supristol (Gallier)-comb. with trimethoprim; wfm
Oxasulfa (Trinum); wfm
USA: Sulmen (Menarini)-comb. with trimethoprim; wfm
Naprin (Upjohn); wfm

Sulfanilamide

(Sulphanilamide)

ATC: J01EB06
Use: chemotherapeutic

RN: 63-74-1 MF: $C_6H_8N_2O_2S$ MW: 172.21 EINECS: 200-563-4
LD_{50}: 500 mg/kg (M, i.v.); 3 g/kg (M, p.o.);
1400 mg/kg (R, i.v.); 3900 mg/kg (R, p.o.);
2 g/kg (dog, p.o.)
CN: 4-aminobenzenesulfonamide



Reference(s):
US 2 132 178 (Mietzsch, Klarer; 1938).
US 2 276 664 (Mietzsch, Klarer; 1942).

Formulation(s): vaginal ointment 15 %; vaginal suppos. 1.05 g

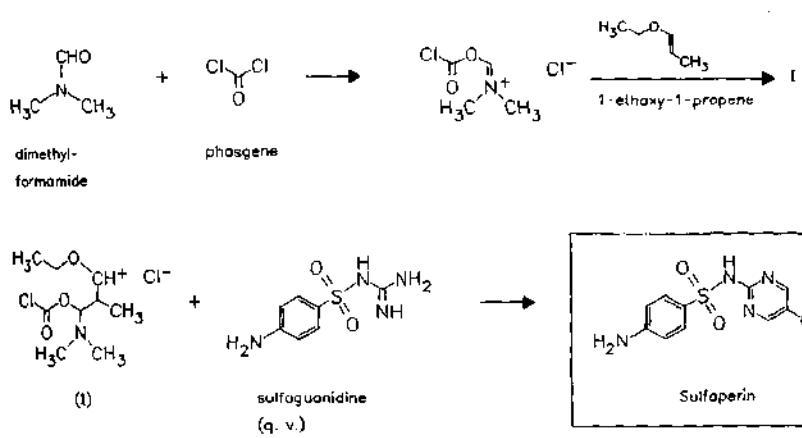
Trade Name(s):

D:	Pyodental (Artesan); wfm Sulfonamid-Spuman (Luitpold); wfm combination preparations; wfm	Exoseptoplix (Théraplix); wfm Pulvi-bactéramide (Bailly); wfm Rhinamide (Bailly)-comb.; wfm Tablamide (Bureau)	I:	Chemiovis (SIT)-comb.; wfm Rinocorfene (Ottolenghi)-comb.; wfm	
F:	Anafluose (Techni-Pharma)-comb.; wfm		J:	Neo-Gerison (Yamanouchi)	
			USA:	AVC (Hoechst Marion Roussel)-comb. generic	

Sulfaperin

ATC: J01ED06
Use: chemotherapeutic

RN: 599-88-2 MF: $C_{11}H_{12}N_4O_2S$ MW: 264.31 EINECS: 209-976-4
LD_{50}: >8 g/kg (M, s.c.)
CN: 4-amino-*N*-(5-methyl-2-pyrimidinyl)benzenesulfonamide



Reference(s):
DE 1 117 587 (BASF; appl. 25.10.1958)-method.

Formulation(s): styl. I g

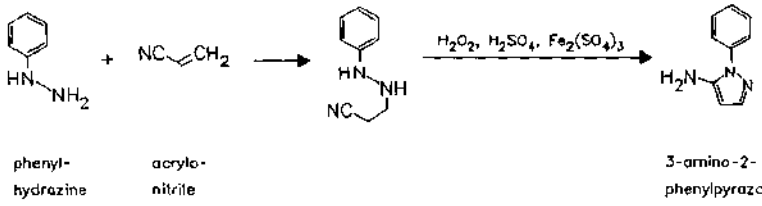
Trade Name(s):

D:	Pallidin (Merck); wfm Palliopen (Merck)-comb.	Rexulfa (Medici); wfm Sintosulfa (AFI); wfm	Sulfixone (Ital. Suisse); wfm
I:	Ipersulfidin (Francia Farm.); wfm Palidin (Bracco); wfm Retardsulf (Virgiliano); wfm	Sulfalest (Farmochimica Ital.); wfm Sulfapenta (Savoma); wfm Sulfatreis (Ecobi); wfm	Sulfopiran (Panthox & Burck); wfm Sulfopirimidina (Terapeutico M.R.); wfm

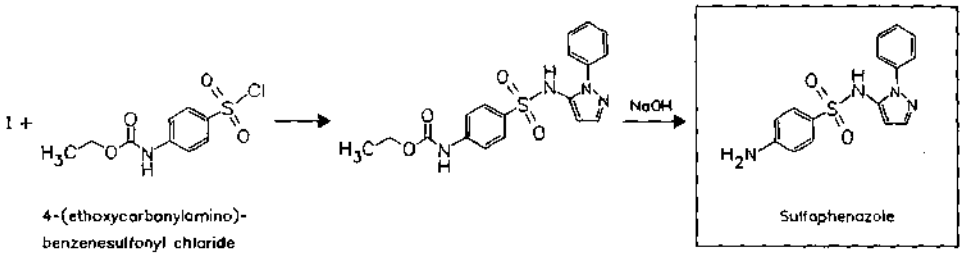
Sulfaphenazole
(Sulphaphenazole)

ATC: J01ED08
Use: chemotherapeutic

RN: 526-08-9 MF: $C_{15}H_{14}N_4O_2S$ MW: 314.37 EINECS: 208-384-3
LD_{50}: 470 mg/kg (M, i.v.); 3016 mg/kg (M, p.o.);
525 mg/kg (R, i.v.)
CN: 4-amino-*N*-(1-phenyl-1*H*-pyrazol-5-yl)benzenesulfonamide



phenyl-hydrazine — acrylo-nitrile — 3-amino-2-phenylpyrazole (I)



4-(ethoxycarbonylamino)-benzenesulfonyl chloride

Reference(s):
DE 1 049 384 (Ciba; appl. 30.4.1957; CH-prior. 7.5.1956).
US 2 858 309 (Ciba; 28.10.1958; CH-prior. 7.5.1956).

3-amino-2-phenylpyrazole:
DE 1 065 850 (Ciba; appl. 26.3.1958; CH-prior. 5.4.1957, 29.8.1957).

Formulation(s): tabl. 500 mg

Trade Name(s):

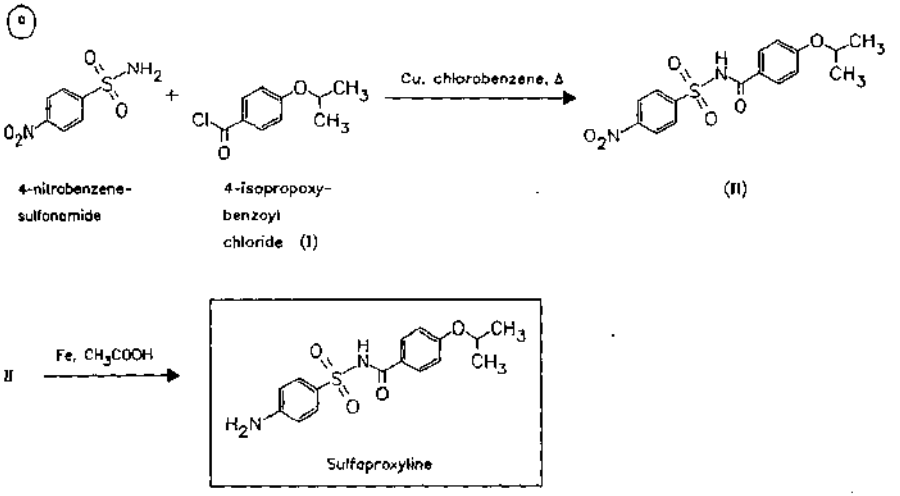
D:	Orisul (Ciba); wfm	Sulfapirina (Biopharma)-comb.; wfm	Temoxa (Chinoin)-comb.; wfm
GB:	Orisulf (Ciba); wfm	Sulforal (Farber-Ref); wfm	combination preparations; wfm
I:	Fenazolo (Sam); wfm Sulfapadil (Padil); wfm		

J: Merian (Dainippon) Sulfenal (Kanto) Sulphena (Nisshin) USA: Sulfabid (Purdue Frederick); wfm

Sulfaproxyline

ATC: D08; J01ED
Use: chemotherapeutic (sulfonamide)

RN: 116-42-7 MF: $C_{16}H_{18}N_2O_4S$ MW: 334.40 EINECS: 204-140-5
CN: *N*-[(4-aminophenyl)sulfonyl]-4-(1-methylethoxy)benzamide



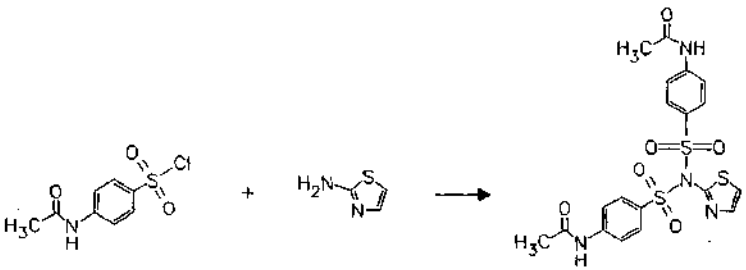
Reference(s):
US 2 503 820 (Geigy; 1950; CH-prior. 1947).

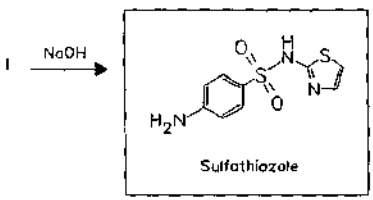
Trade Name(s):
F: Dosulfine (Gomenol)-comb.; wfm

Sulfathiazole
(Sulphathiazole)

ATC: D06BA02; J01EB07
Use: chemotherapeutic

RN: 72-14-0 MF: $C_9H_9N_3O_2S_2$ MW: 255.32 EINECS: 200-771-5
LD_{50}: 990 mg/kg (M, i.v.); 4500 mg/kg (M, p.o.); 1370 mg/kg (R, i.v.)
CN: 4-amino-*N*-2-thiazolylbenzenesulfonamide





Reference(s):
DRP 742 753 (Ciba; appl. 1938; CH-prior. 1938).

soluble form:
US 4 070 356 (MBH Chemical Corp.; 24.1.1978; appl. 22.1.1976).

Formulation(s): cream 3.42 %; pessaries 172.5 mg (in comb. with sulfacetamide, sulfabenzamide)

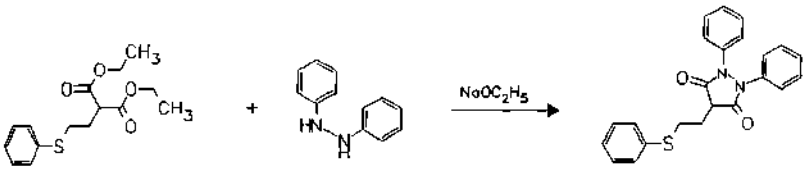
Trade Name(s):

D:	Cibazol (Ciba); wfm		Tampovagan (AGM)-comb.; wfm	I:	Streptosil Neomicina (Fher)-comb.
	Neosultrin (Cilag)-comb.; wfm	F:	Thiazomide (Specia); wfm	J:	Sulzol (Yoshitomi)
	Peniazol (Winzer)-comb.; wfm	GB:	Thiazamide (May & Baker); wfm	USA:	Sultrin (Ortho-McNeil)-comb.

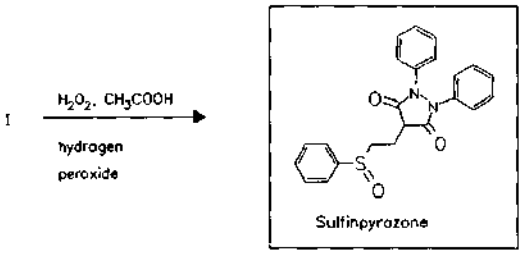
Sulfinpyrazone
(Sulphinpyrazone)

ATC: M04AB02
Use: antiarthritic, uricosuric agent, platelet aggregation inhibitor (for descent of postinfarct mortality)

RN: 57-96-5 MF: $C_{23}H_{20}N_2O_3S$ MW: 404.49 EINECS: 200-357-4
LD_{50}: 240 mg/kg (M, i.v.); 298 mg/kg (M, p.o.); 154 mg/kg (R, i.v.); 358 mg/kg (R, p.o.)
CN: 1,2-diphenyl-4-[2-(phenylsulfinyl)ethyl]-3,5-pyrazolidinedione



diethyl 2-phenylthioethylmalonate + hydrazobenzene → (I)



Reference(s):
DE 903 578 (Geigy; appl. 1951; CH-prior. 1950).
US 2 700 671 (Geigy; 1955; CH-prior. 1950).
CH 303 938 (Geigy; appl. 1950).
Pfister, R.; Häfliger, F.: Helv. Chim. Acta (HCACAV) **44**, 232 (1961).

Formulation(s): drg. 200 mg; tabl. 100 mg

Trade Name(s):

D:	Anturano (Geigy); wfm	I:	Enturen (CIBA Vision)	USA:	Anturane (Geigy); wfm
F:	Anturan (Geigy); wfm	J:	Anturan (Ciba-Geigy-Fujisawa)		
GB:	Anturan (Novartis)				

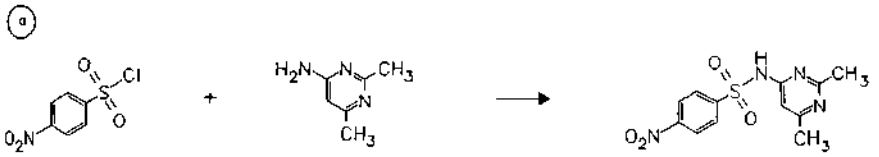
Sulfisomidine

(Sulphasomidine)

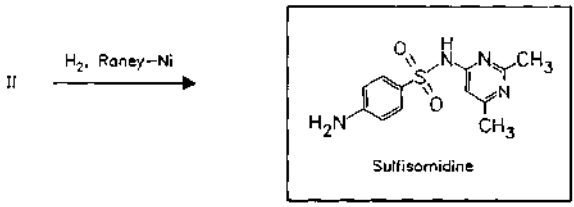
ATC: J01E
Use: chemotherapeutic

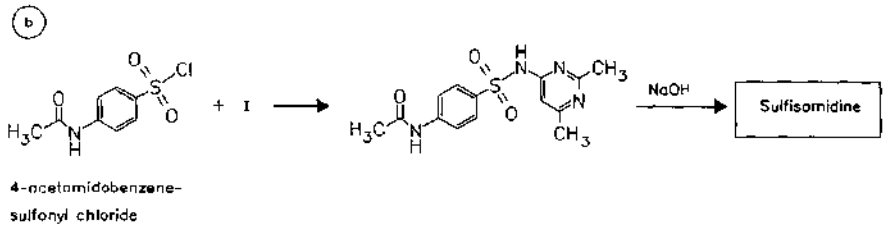
RN: 515-64-0 MF: $C_{12}H_{14}N_4O_2S$ MW: 278.34 EINECS: 208-204-3
LD_{50}: 50 g/kg (M, p.o.)
CN: 4-amino-*N*-(2,6-dimethyl-4-pyrimidinyl)benzenesulfonamide

sodium salt hydrate
RN: 2462-17-1 MF: $C_{12}H_{13}N_4NaO_2S \cdot H_2O$ MW: 318.33



4-nitrobenzenesulfonyl chloride + 6-amino-2,4-dimethylpyrimidine (I) → (II)





Reference(s):
US 2 351 333 (Geigy; 1944; CH-prior. 1940).

Formulation(s): eye drops 114.4 mg; ophthalmic ointment 100 mg (as sodium salt hydrate)

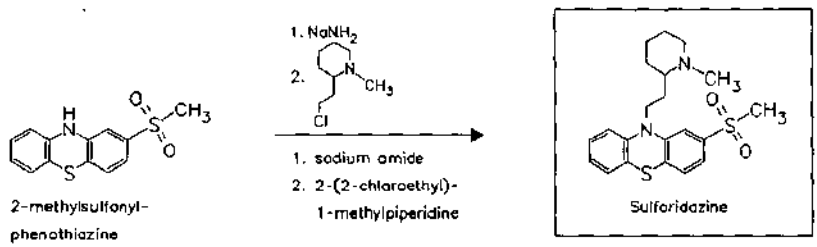
Trade Name(s):

D:	Aristamid (Nordmark); wfm Elkosin (Ciba); wfm	F:	Elcosine (Ciba); wfm	USA:	Elkosin (Ciba); wfm Elkosin (Ciba-Geigy); wfm
		J:	Domian (Dainippon) Entamidine (Nippon Shoji)		

Sulforidazine

ATC: N05C
Use: neuroleptic

RN: 14759-06-9 MF: $C_{21}H_{26}N_2O_2S_2$ MW: 402.58 EINECS: 238-818-7
LD_{50}: 29 mg/kg (M, i.v.); 520 mg/kg (M, p.o.);
24 mg/kg (R, i.v.)
CN: 10-[2-(1-methyl-2-piperidinyl)ethyl]-2-(methylsulfonyl)-10*H*-phenothiazine



Reference(s):
FR 1 459 476 (Sandoz; appl. 30.11.1965; CH-prior. 15.9.1965).

alternative synthesis:
FR 1 363 683 (Sandoz; appl. 17.7.1963; CH-prior. 19.7.1962, 23.10.1962).

Formulation(s): drg. 50 mg

Trade Name(s):
D: Inofal (Sandoz); wfm

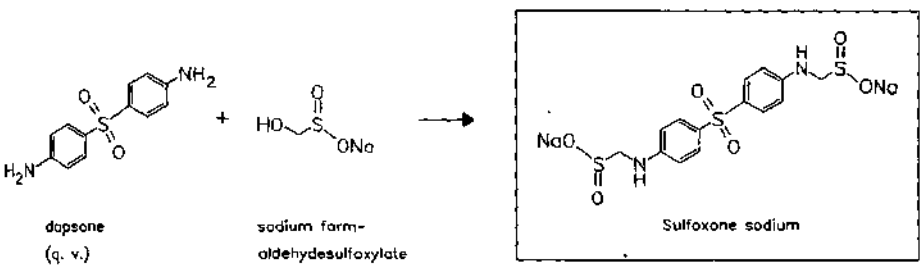
Sulfoxone sodium

(Aldesulfon Natrium)

ATC: D08
Use: chemotherapeutic (leprosy)

RN: 144-75-2 MF: $C_{14}H_{14}N_2Na_2O_6S_3$ MW: 448.45
LD_{50}: 10 g/kg (M, p.o.)
CN: [sulfonylbis(4,1-phenyleneimino)]bis[methanesulfinic acid] disodium salt

free acid
RN: 144-76-3 MF: $C_{14}H_{16}N_2O_6S_3$ MW: 404.49



dapsone (q. v.) + sodium formaldehydesulfoxylate

Reference(s):
US 2 234 981 (US-Secretary of the Treasury; 1941; appl. 1938).

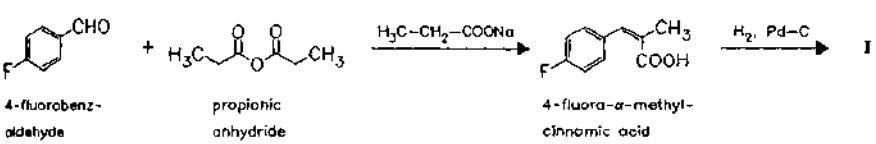
Formulation(s): tabl. 330 mg

Trade Name(s):
J: Diazon (Joshitomi)
USA: Diasone Sodium (Abbott); wfm

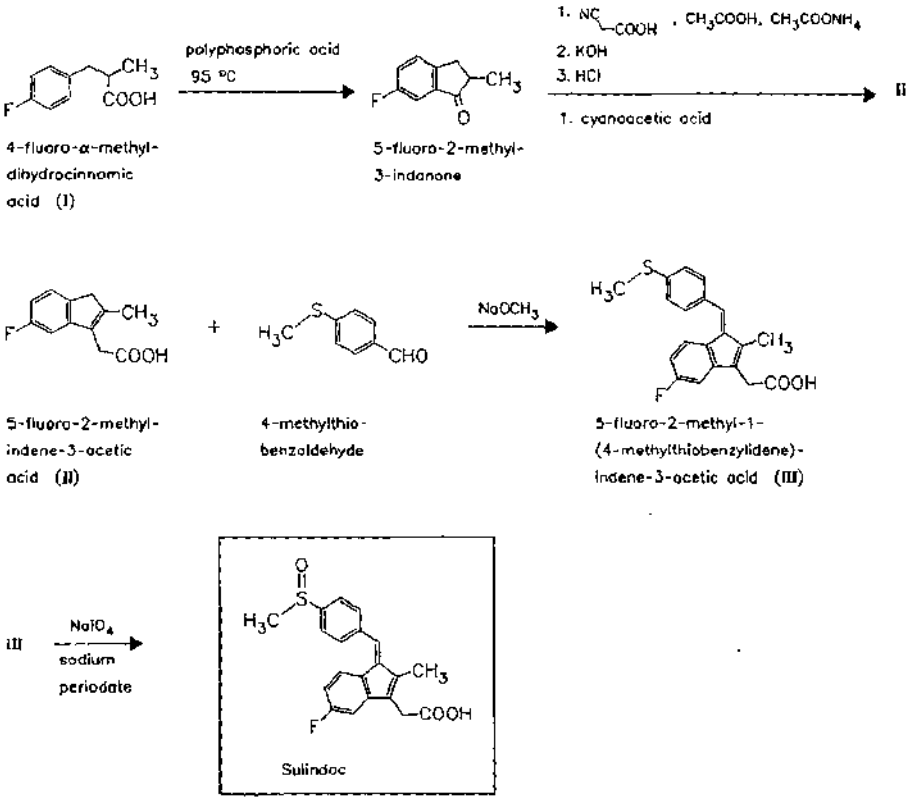
Sulindac

ATC: M01AB02
Use: anti-inflammatory, analgesic, antipyretic

RN: 38194-50-2 MF: $C_{20}H_{17}FO_3S$ MW: 356.42 EINECS: 253-819-2
LD_{50}: 507 mg/kg (M, p.o.);
264 mg/kg (R, p.o.)
CN: (Z)-5-fluoro-2-methyl-1-[[4-(methylsulfinyl)phenyl]methylene]-1*H*-indene-3-acetic acid



4-fluorobenzaldehyde + propionic anhydride → 4-fluoro-α-methylcinnamic acid → I



Reference(s):
DE 2 039 426 (Merck & Co.; appl. 7.8.1970; USA-prior. 8.8.1969, 1.5.1970).
US 3 654 349 (Merck & Co.; 4.4.1972; prior. 8.8.1969, 1.5.1970).
US 3 647 858 (Merck & Co.; 7.3.1972; prior. 19.11.1969, 1.5.1970).
US 3 725 548 (Merck & Co.; 3.4.1973; prior. 6.10.1971).
US 3 882 239 (Merck & Co.; 6.5.1975; prior. 21.1.1971, 6.9.1972, 13.6.1974).

Formulation(s): tabl. 150 mg, 200 mg

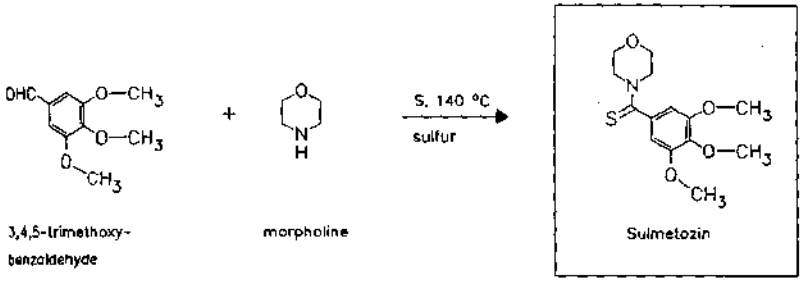
Trade Name(s):

D:	Imbaral (Merck Sharp & Dohme; 1977); wfm	Citereuma (CT)		Sulinol (ICT)
F:	Arthrocine (Merck Sharp & Dohme-Chibret; 1977)	Clinoril (Neopharmed)	J:	Chinoril (Merck-Banyu)
GB:	Clinoril (Merck Sharp & Dohme; 1977)	Lyndac (Eurofarmaco)	USA:	Clinoril (Merck Sharp & Dohme; 1978)
I:	Algocetil (Francia Farm.)	Sulartrene (NGSN)		
		Sulen (Farmacologico Milanese)		
		Sulic (Crosara)		

Sulmetozin
(Trithiozine)

ATC: A02BX
Use: gastric acid secretion inhibitor, peptic ulcer therapeutic

RN: 35619-65-9 MF: $C_{14}H_{19}NO_4S$ MW: 297.38 EINECS: 252-645-4
LD_{50}: 3 g/kg (M, p.o.);
670 mg/kg (R, p.o.)
CN: 4-[thioxo(3,4,5-trimethoxyphenyl)methyl]morpholine



3,4,5-trimethoxy-benzaldehyde

morpholine

Sulmetozin

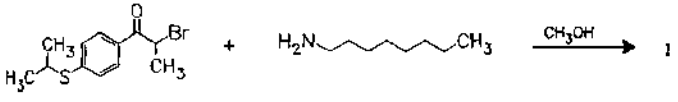
Reference(s):
DOS 2 102 246 (ISF; appl. 19.1.1971; I-prior. 31.7.1970).
Banfi, S. et al.: Chim. Ther. (CHTPBA) **4**, 462 (1973).

Trade Name(s):
I: Tresanil (Italseber); wfm

Suloctidil

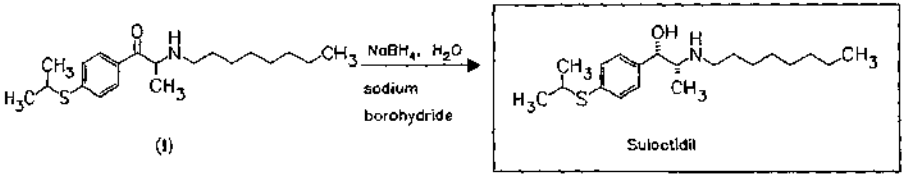
ATC: C01DX
Use: vasodilator

RN: 54767-75-8 MF: $C_{20}H_{35}NOS$ MW: 337.57 EINECS: 259-332-1
CN: (*R**,*S**)-4-[(1-methylethyl)thio]-α-[1-(octylamino)ethyl]benzenemethanol



2-bromo-4'-isopropyl-thiopropiophenone

octylamine



(I)

Suloctidil

Reference(s):
DOS 2 334 404 (Continental Pharma; appl. 6.7.1973; GB-prior. 9.4.1973)..
US 4 228 187 (Continental Pharma; 14.10.1980; appl. 30.8.1976; GB-prior. 9.4.1973).

alternative syntheses:
ES 460 766 (Lab. F. Bonet; appl. 14.7.1977).
JP 54 005 928 (Mitsubishi; appl. 15.6.1977).
JP 54 005 929 (Mitsubishi; appl. 15.6.1977).
JP 54 005 930 (Mitsubishi; appl. 15.6.1977).
JP 54 019 927 (Zambeletti; appl. 11.7.1977).

use as vasodilator:
JP 54 005 930 (Mitsubishi; appl. 1979).

Formulation(s): cps. 100 mg, tabl. 100 mg

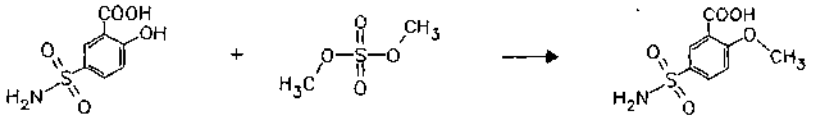
Trade Name(s):

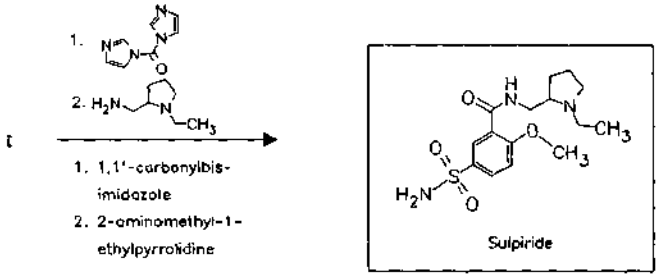
D:	Fluversin (Searle; 1980); wfm	Euvasal (Selvi); wfm	Polivasol (Coli); wfm
GB:	Duloctil (Searle); wfm	Llangene (Farmochimica Ital.); wfm	
I:	Cerebro (Sidus); wfm	Locton (Lepetit); wfm	

Sulpiride

ATC: N05AL01
Use: psychotropic drug, antispasmodic, anti-emetic, antidepressant, antipsychotic

RN: 15676-16-1 MF: $C_{15}H_{23}N_3O_4S$ MW: 341.43 EINECS: 239-753-7
LD_{50}: 48 mg/kg (M, i.v.); 1700 mg/kg (M, p.o.);
40 mg/kg (R, i.v.); 9800 mg/kg (R, p.o.);
137 mg/kg (dog, i.v.); 2 g/kg (dog, p.o.)
CN: 5-(aminosulfonyl)-*N*-[(1-ethyl-2-pyrrolidinyl)methyl]-2-methoxybenzamide





Reference(s):
DOS 1 595 915 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; appl. 8.1.1965; USA-prior. 13.1.1964).
DOS 1 795 723 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; appl. 8.1.1965; USA-prior. 13.1.1964).
US 3 342 826 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; 19.9.1967; appl. 13.1.1964).

alternative synthesis via the enamine from 2-aminomethyl-1-ethylpyrrolidine *and* acetylacetic acid methyl ester:
US 4 077 976 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; 7.3.1978; F-prior. 12.6.1975).

alternative synthesis:
GB 1 492 166 (Alkaloida Vegyeszetigyar; appl. 26.3.1976; H-prior. 28.3.1975).

preparation of 2-aminomethyl-1-ethylpyrrolidine *from* 1-ethyl- *resp.* 1-vinyl-2-pyrrolidinone *via* 1-ethyl-2-nitromethylpyrrolidine:
DAS 1 941 536 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; appl. 14.8.1969; J-prior. 19.8.1968, 20.5.1969, 9.6.1969).
DAS 1 966 195 (Soc. d'Etudes Scientif. et Ind. de l'Ile-de-France; appl. 14.8.1969; J-prior. 19.8.1968, 20.5.1969, 9.6.1969).

optically active "Levo"-sulpiride:
DOS 2 903 891 (Ravizza; appl. 1.2.1979; I-prior. 16.2.1978).

Formulation(s): amp. 100 mg/2 ml, 100 mg/3 ml; cps. 50 mg; tabl. 50 mg, 200 mg

Trade Name(s):

D: Arminol (Krewel Meuselbach)
Desulpid (Desitin)
Dogmatil (Synthelabo; 1972)
Meresa (Dolorgiet)
Neogama (Hormosan)
Sulp (Neuro Hexal)
Sulpirid-ratiopharm (ratiopharm)
Sulpivert (Hennig)
vertigo-neogama (Hormosan)

F: Aiglonyl (Fumouze)
Dogmatil (Synthélabo; 1969)
Synédil (Yamanouchi Pharma)

GB: Dolmatil (Delalande; 1983)
Sulparex (Bristol-Myers Squibb)

I: Championyl (Synthelabo)
Dobren (Ravizza)
Equilid (Bruno Farmaceutici)

J: Abilit (Sumitomo)
Coolspan (Hishiyama)
Dogmatyl (Fujisawa)
Miradol (Mitsui)
Omperan (Taiho)

Sultamicillin

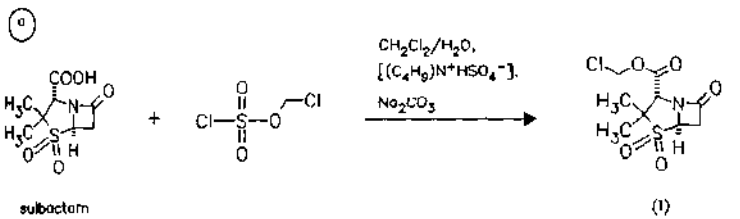
(CP-49952; VD-1827)

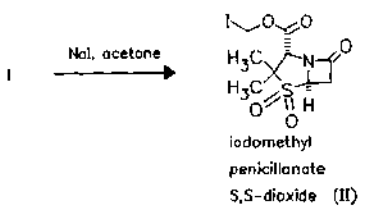
ATC: J01CR04
Use: antibacterial, semisynthetic β-lactam antibiotic (double ester of ampicillin and sulbactam)

RN: 76497-13-7 MF: $C_{25}H_{30}N_4O_9S_2$ MW: 594.67
CN: [2*S*-[2α(2*R**,5*S**),5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid [[(3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-2-yl)carbonyl]oxy]methyl ester *S*,*S*-dioxide

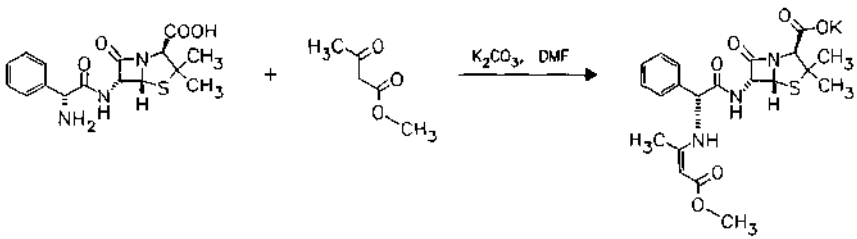
monotosylate

RN: 83105-70-8 MF: $C_{25}H_{30}N_4O_9S_2 \cdot C_7H_8O_3S$ MW: 766.87





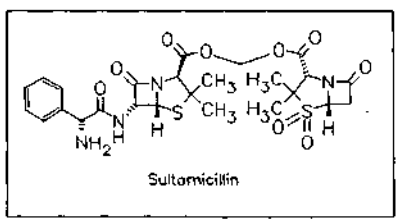
(b)



ampicillin (q. v.) + methyl acetoacetate → Dane salt of ampicillin (III)

(c)

II + III → 1. DMF, 5–10 °C; 2. H_2O, HCl



Reference(s):

Baltzer, B. et al.: J. Antibiot. (JANTAJ) **33**, 1183 (1980).
US 4 342 772 (Leo; 3.8.1982; UK-prior. 13.2.1979, 19.6.1979, 9.8.1979, 14.11.1979).
US 4 407 751 (Leo; 4.10.1983; UK-prior. 13.2.1979, 19.6.1979, 9.8.1979, 14.11.1979, 25.1.1980).
DOS 3 005 164 (Leo; appl. 12.2.1980; UK-prior. 13.2.1979, 19.6.1979, 9.8.1979, 14.11.1979).

Formulation(s): f. c. tabl. 375 mg (as tosylate); vial 375 mg

Trade Name(s):

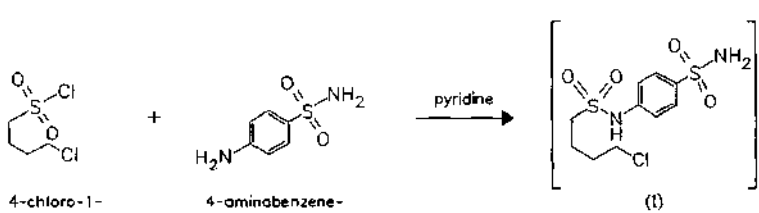
D: Unacid P Doral (Pfizer) J: Unasyn (Pfizer Taito; 1987) USA: Unasyn (Pfizer); wfm

Sultiame

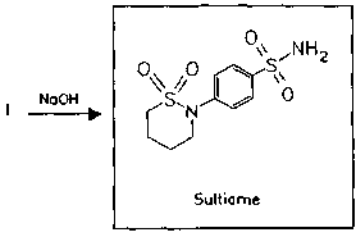
(Sulthiame)

ATC: N03AX03
Use: anticonvulsant, antiepileptic

RN: 61-56-3 MF: $C_{10}H_{14}N_2O_4S_2$ MW: 290.36 EINECS: 200-511-0
LD_{50}: 4852 mg/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: 4-(tetrahydro-2*H*-1,2-thiazin-2-yl)benzenesulfonamide *S*,*S*-dioxide



4-chloro-1-butanesulfonyl chloride + 4-aminobenzenesulfonamide → pyridine → (I)



Reference(s):
DE 1 111 191 (Bayer; appl. 28.3.1959).

Formulation(s): f. c. tabl. 50 mg, 200 mg

Trade Name(s):

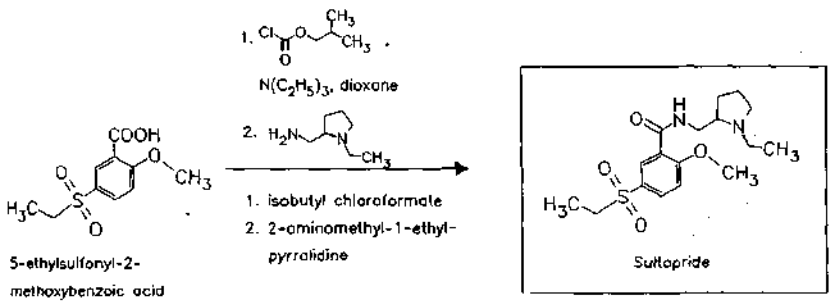
D:	Ospolot/mite (Bayer)	I:	Ospolot (Bayer); wfm	J:	Ospolot (Bayer)
F:	Elisal (Specia); wfm		Ospolot (Bayropharm);	USA:	Conadil (Riker); wfm
GB:	Ospolot (Bayer); wfm		wfm		Trolone (Riker); wfm

Sultopride

ATC: N05AL02
Use: anti-emetic, psychotropic drug, antidepressant

RN: 53583-79-2 MF: $C_{17}H_{26}N_2O_4S$ MW: 354.47 EINECS: 258-641-9
LD_{50}: 665 mg/kg (M, p.o.)
CN: *N*-[(1-ethyl-2-pyrrolidinyl)methyl]-5-(ethylsulfonyl)-2-methoxybenzamide

hydrochloride
RN: 23694-17-9 MF: $C_{17}H_{26}N_2O_4S \cdot HCl$ MW: 390.93



Reference(s):
DOS 2 327 192 (Soc. d'Etudes Scientifique et Industrielles de l'Ile-de-France; appl. 28.5.1973; F-prior. 1.6.1972, 12.6.1972, 3.4.1973).
DOS 2 327 193 (Soc. d'Etudes Scientifique et Industrielles de l'Ile-de-France; appl. 28.5.1973; F-prior. 1.6.1972, 2.6.1972).
FR 2 187 309 (Soc. d'Etudes Scientifique et Industrielles de l'Ile-de-France; appl. 1.6.1972).

Formulation(s): amp. 200 mg/2 ml; tabl. 400 mg (as hydrochloride)

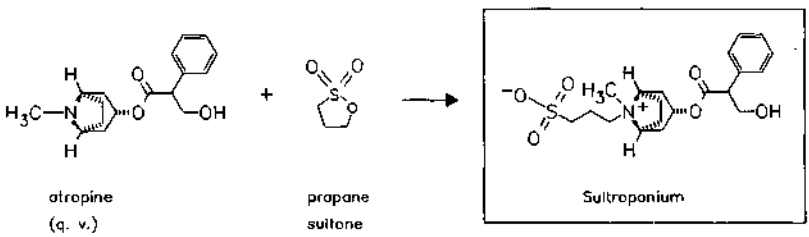
Trade Name(s):

F:	Barnétil (Synthélabo; as hydrochloride)	Sultopride Panpharma (Panpharma; as hydrochloride)	I:	Barnotil (Vita; as hydrochloride)
			J:	Barnetil (Mitsui)

Sultroponium

ATC: A03
Use: anticholinergic, antispasmodic

RN: 15130-91-3 MF: $C_{20}H_{29}NO_6S$ MW: 411.52
CN: *endo*-(±)-3-(3-hydroxy-1-oxo-2-phenylpropoxy)-8-methyl-8-(3-sulfopropyl)-8-azoniabicyclo[3.2.1]octane hydroxide inner salt



Reference(s):
GB 1 082 445 (J. P. M. Raudnitz, H. Wahl; appl. 2.12.1965; F-prior. 3.12.1964).

Formulation(s): amp. 5 mg; suppos. 25 mg; tabl. 15 mg

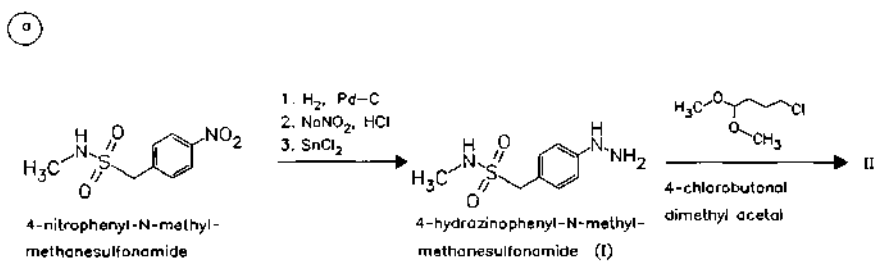
Trade Name(s):
F: Sultroponium B
(Biothérax); wfm

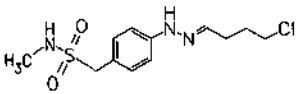
Sumatriptan

ATC: N02CC01
Use: antimigraine agent, selective 5-HT_1-receptor agonist

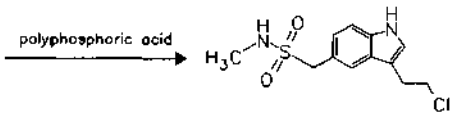
RN: 103628-46-2 MF: $C_{14}H_{21}N_3O_2S$ MW: 295.41
CN: 3-[2-(dimethylamino)ethyl]-*N*-methyl-1*H*-indole-5-methanesulfonamide

succinate (1:1)
RN: 103628-48-4 MF: $C_{14}H_{21}N_3O_2S \cdot C_4H_6O_4$ MW: 413.50
LD_{50}: 43.112 mg/kg (R, i.v.); >2.939 g/kg (R, p.o.)

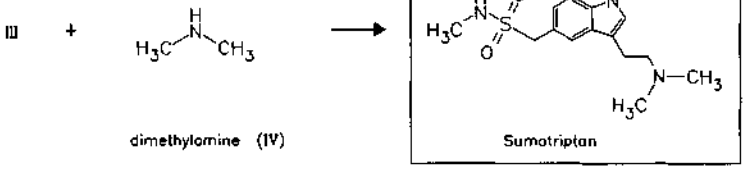




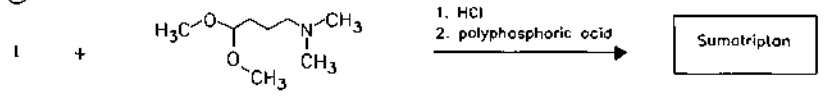
4-[2-(4-chlorobutylidene)hydrazino]-N-methylbenzenemethanesulfonamide (II)



(III)

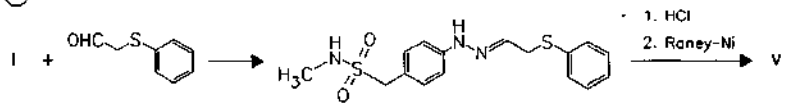


(b)



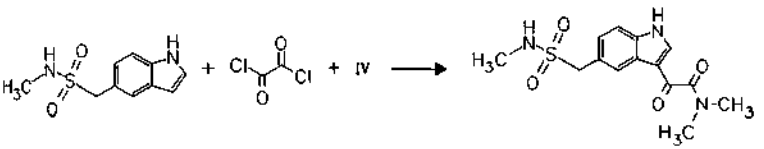
4-(dimethylamino)butanal dimethyl acetal

(c)



(phenylthio)-acetaldehyde

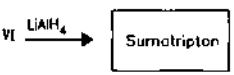
N-methyl-4-[2-[2-(phenylthio)-ethylidene]hydrazino]benzene-methanesulfonamide



N-methyl-1H-indole-5-methanesulfon-amide (V)

oxalyl chloride

(VI)



Reference(s):

a DOS 3 320 521 (Glaxo; appl. 6.7.1983; GB-prior. 6.7.1982).
GB 2 124 210 (Glaxo; appl. 7-6-1982).

b,c DOS 3 527 648 (Glaxo; appl. 8.1.1985; GB-prior. 8.1.1984).
GB 2 162 522 (Glaxo; appl. 8.1.1984).

Formulation(s): f. c. tabl. 50 mg, 100 mg; nasal spray 10 mg/0.1 ml, 20 mg/0.1 ml; suppos. 25 mg; syringe 6 mg; tabl. 25 mg, 50 mg; vial 6 mg/0.5 ml (as succinate)

Trade Name(s):

D:	Imigran (Glaxo Wellcome/ Cascan)	GB:	Imijekt (Glaxo Wellcome) Imigran (Glaxo Wellcome; 1991)	USA:	Imitrex (Glaxo Wellcome)
F:	Imigrane (Glaxo Wellcome)	I:	Imigran (Glaxo Wellcome)		

Suplatast tosilate

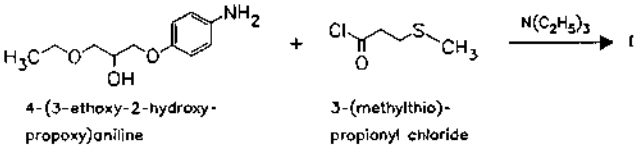
(IPD-1151T)

ATC: R03
Use: antiallergic, antiasthmatic

RN: 94055-76-2 MF: $C_{16}H_{26}NO_4S \cdot C_7H_7O_3$ MW: 467.58

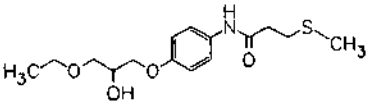
LD_{50}: 81 mg/kg (M, i.v.); >12.5 g/kg (M, p.o.);
93 mg/kg (R, i.v.); >10 g/kg (R, p.o.);
2124 mg/kg (dog, p.o.)

CN: [3-[[4-(3-ethoxy-2-hydroxypropoxy)phenyl]amino]-3-oxopropyl]dimethylsulfonium p-toluenesulfonate (1:1)

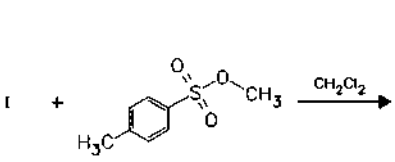


4-(3-ethoxy-2-hydroxy-propoxy)aniline

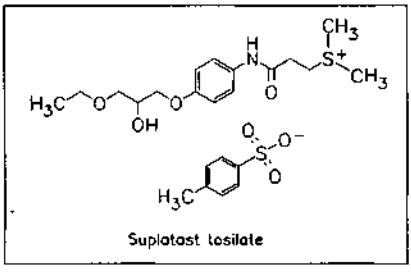
3-(methylthio)-propionyl chloride



(I)



methyl 4-toluene-sulfonate



Suplatast tosilate

Reference(s):
DE 3 408 708 (Taiho Pharm.; 13.9.1984; J-prior. 11.3.1983).

resolution:
JP 07 252 213 (Taiho Pharm. 3.1.1995; J-prior. 27.1.1994).

topical application:
EP 624 367 (Senju/Taiho Pharm.; 17.11.1994; J-prior. 14.5.1993).

Formulation(s): cps. 50 mg, 100 mg

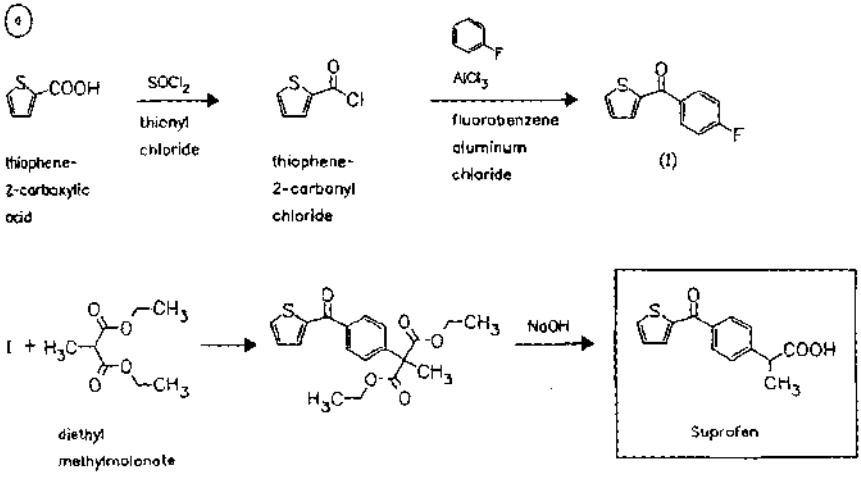
Trade Name(s):

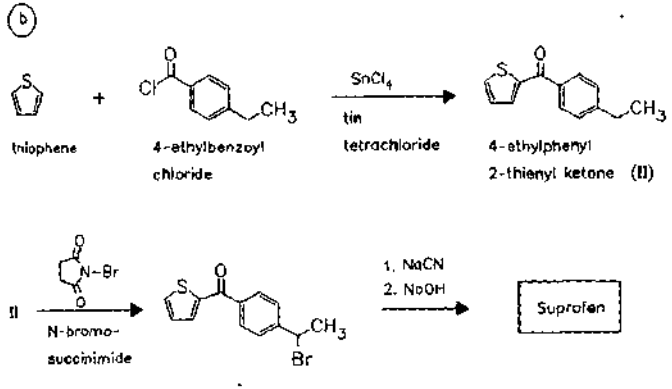
J:	IPD (Taiho)	MPD (Taiho)

Suprofen

ATC: M01AE07
Use: anti-inflammatory, analgesic

RN: 40828-46-4 MF: $C_{14}H_{12}O_3S$ MW: 260.31 EINECS: 255-096-9
LD_{50}: 185 mg/kg (M, i.v.); 590 mg/kg (M, p.o.);
226 mg/kg (R, i.v.); 70.6 mg/kg (R, p.o.);
>160 mg/kg (dog, p.o.)
CN: α-methyl-4-(2-thienylcarbonyl)benzeneacetic acid





Reference(s):
DOS 2 353 357 (Janssen; appl. 24.10.1973; USA-prior. 24.10.1972, 10.9.1973, 23.3.1974).
Daele, P.G.H. van et al.: Arzneim.-Forsch. (ARZNAD) **25**, 1495 (1975).

Formulation(s): cps. 200 mg

Trade Name(s):

GB:	Suprol (Cilag); wfm		Sufenide (Italfarmaco); wfm		Lindrax (Taiho)
J:	Erdol (Herdel); wfm				Mexaron (Toyo Yozo)
	Masterfin (Dompé); wfm		Suprol (Cilag); wfm		Sulplotin (Ichikawa Labs)
		J:	Lindral (Taiho)	USA:	Suprol (Ortho); wfm

Surfactant TA

(Beractant)

ATC: R07A
Use: surfactant (for treatment of respiratory distress syndrome)

RN: 108778-82-1 MF: unspecified MW: unspecified
LD_{50}: 2000 mg/kg (M, i.p.); 3000 mg/kg (M, p.o.)
CN: beractant

Production comprises (a) extracting mammalian lung slices with an electrolyte soln. (NaCl), (b) centrifuging the extract to collect a crude precipitation, (c) suspending the precipitate in water, adjusting specific gravity of the suspension with $CaCl_2$ and centrifuging the suspension to separate upper emulsion layer, (d) dialysing the emulsion and freeze-drying the dialysed soln., (e) treating the resultant powder with ethyl acetate, collecting the insoluble material and extracting the insoluble material with an organic solvent (CH_3OH, $CHCl_3$) and (f) concentrating the extract to give a solid.

Reference(s):
DE 3 021 006 (Tokyo Tanabe; appl. 30.5.1980; J-prior. 2.6.1979).
US 4 397 839 (Tokyo Tanabe; 9.8.1983; J-prior. 10.9.1981).

Formulation(s): vial 120 mg (lyo.)

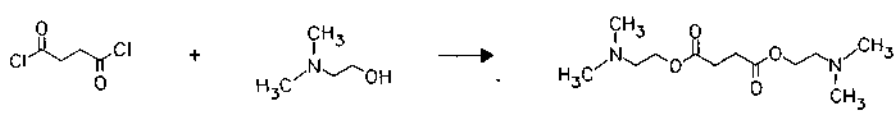
Trade Name(s):
J: Surfacten (Tokyo Tanabe; 1987)

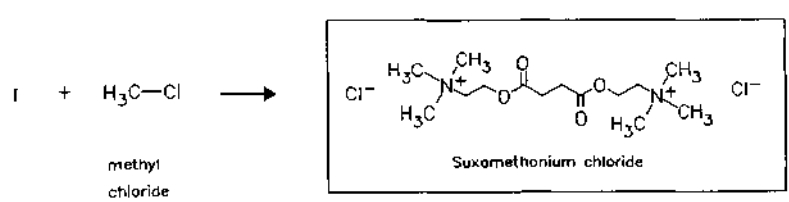
Suxamethonium chloride

(Succinylcholine chloride)

ATC: M03AB01
Use: muscle relaxant

RN: 71-27-2 MF: $C_{14}H_{30}Cl_2N_2O_4$ MW: 361.31 EINECS: 200-747-4
LD_{50}: 430 µg/kg (M, i.v.)
CN: 2,2'-[(1,4-dioxo-1,4-butanediyl)bis(oxy)]bis[*N*,*N*,*N*-trimethylethanaminium] dichloride





Reference(s):
Tammelin, L.E.: Acta Chem. Scand. (ACHSE7) **7**, 185 (1953).
Walker, J.: J. Chem. Soc. (JCSOA9) **1950**, 193.

suxamethonium-chloride – *dry ampules:*
US 2 957 501 (Burroughs Wellcome; 1960; appl. 1958).
US 2 957 609 (Burroughs Wellcome; 1960; appl. 1958).

Formulation(s): amp. 50 mg/5 ml, 100 mg/5 ml; vial 100 mg/10 ml, 200 mg/10 ml

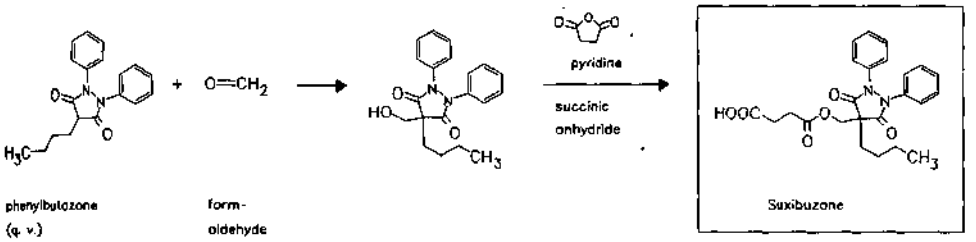
Trade Name(s):

D:	Lysthenon (Nycomed) Pantolax (Schwabe-Curamed) Succicuran (Rodleben)	GB:	Anectine (Glaxo Wellcome)	J:	Relaxin (Kyorin) Succin (Yamanouchi)
		I:	Midarine (Glaxo Wellcome) Myotenlis (Pharmacia & Upjohn)	USA:	Anectine (Glaxo Wellcome)
F:	Célocurine (Pharmacia & Upjohn)				

Suxibuzone

ATC: M02AA22
Use: antirheumatic

RN: 27470-51-5 MF: $C_{24}H_{26}N_2O_6$ MW: 438.48 EINECS: 248-477-6
LD_{50}: 285 mg/kg (M, i.v.); 1200 mg/kg (M, p.o.);
305 mg/kg (R, i.v.); 1700 mg/kg (R, p.o.);
373 mg/kg (dog, p.o.)
CN: butanedioic acid mono[(4-butyl-3,5-dioxo-1,2-diphenyl-4-pyrazolidinyl)methyl] ester



phenylbutazone (q. v.) + formaldehyde

Reference(s):
DE 1 936 747 (Lab. Dr. Esteve; appl. 18.7.1969; E-prior. 20.7.1968).

Formulation(s): cream 7 %

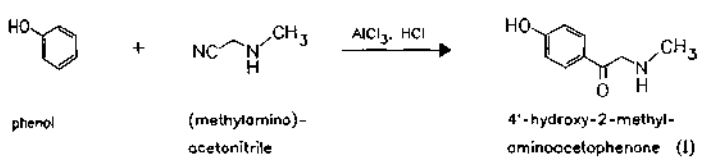
Trade Name(s):
D: Solurol (Delalande); wfm F: Calibene (Carrion); wfm J: Danicon (Taiho-Fujisawa)

Synephrine
(Oxedrine)

ATC: C01CA08
Use: sympathomimetic, adrenergic, vasopressor

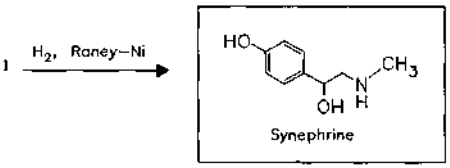
RN: 94-07-5 MF: $C_9H_{13}NO_2$ MW: 167.21 EINECS: 202-300-9
LD_{50}: 270 mg/kg (M, i.v.)
CN: 4-hydroxy-α-[(methylamino)methyl]benzenemethanol

tartrate (2:1)
RN: 16589-24-5 MF: $C_9H_{13}NO_2 \cdot 1/2C_4H_6O_6$ MW: 484.50 EINECS: 240-647-8



phenol + (methylamino)-acetonitrile

4'-hydroxy-2-methyl-aminoacetophenone (I)



Reference(s):
US 2 585 988 (Hartford Nat. Bank; 1952; NL-prior. 1948).
DRP 522 790 (H. Legerlotz; 1929).
DRP 566 578 (Boehringer Ing.; 1927).
DRP 569 149 (Boehringer Ing.; 1928).

Formulation(s): drg. 12.5 mg in comb.; eye drops 0.5 mg/ml, 1 mg/ml in comb.; nasal drops 1.5 mg/ml in comb.; sol. 100 mg/g

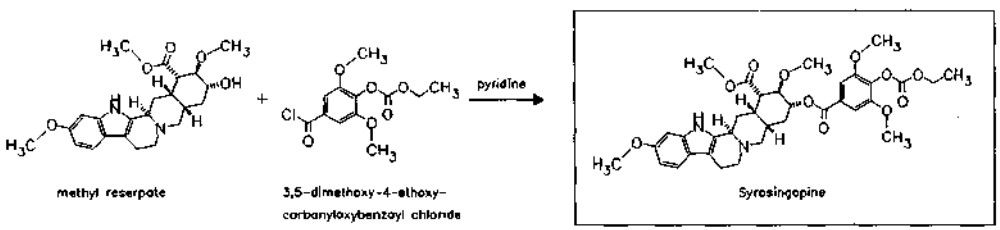
Trade Name(s):

D:	Corpivas (Pascoe)-comb.	F:	Antalyre (Boehringer Ing.)-comb.		Posine (Alcon)-comb.
	Dacrin (Chibret)-comb.		Dacryne (Martin-Johnson & Johnson-MSD)-comb.		Sédacollyre (Rhône-Poulenc Rorer Cooper)-comb.
	Ophtalmin (Winzer)-comb.		Dacryoboraline (Martin-Johnson & Johnson-MSD)-comb.	GB:	Sympatol (Lewis); wfm
	Pasgensin (Pascoe)-comb.			I:	Sympatol (Boehringer Ing.)
	Solupen (Winzer)				
	Sympatol (Boehringer Ing.)				

Syrosingopine

ATC: C02LA09
Use: antihypertensive

RN: 84-36-6 MF: $C_{35}H_{42}N_2O_{11}$ MW: 666.72 EINECS: 201-527-0
LD_{50}: 1293 mg/kg (M, p.o.);
50 mg/kg (R, i.v.); >2 g/kg (R, p.o.)
CN: (3β,16β,17α,18β,20α)-18[[4-[(ethoxycarbonyl)oxy]-3,5-dimethoxybenzoyl]oxy]-11,17-dimethoxyyohimban-16-carboxylic acid methyl ester



Reference(s):
US 2 813 871 (Ciba 1957; appl. 1954).
Lucas, R.A. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1928 (1959).

Formulation(s): tabl. 1 mg in comb. with hydrochlorothiazide (25 mg); tabl. 0.5 mg

Trade Name(s):

I: Flurizin (Savio IBN)-comb.; wfm
Ipodiuril (Ceccarelli)-comb.; wfm
Neoreserpan (Panthox & Burck); wfm
Novoserpina (Ghimas); wfm
Raunova (Zambeletti); wfm
Raunova Plus (Zambeletti)-comb.; wfm

J: Elumonon (Tatsumi)
Rosidil (Nippon Chemiphar)
Tesamurin (Zensei)

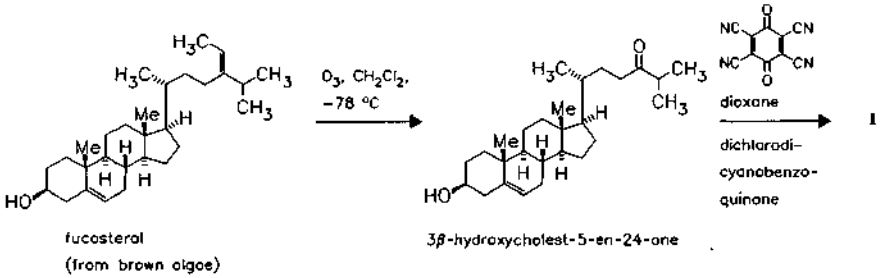
USA: Singoserp-Esidrix (Ciba); wfm

Tacalcitol

(TV-02)

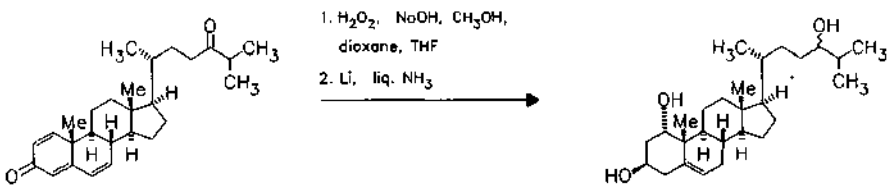
ATC: D05AX04
Use: antipsoriatic

RN: 57333-96-7 MF: $C_{27}H_{44}O_3$ MW: 416.65
CN: (1α,3β,5*Z*,7*E*,24*R*)-9,10-Secocholesta-5,7,10(19)-triene-1,3,24-triol



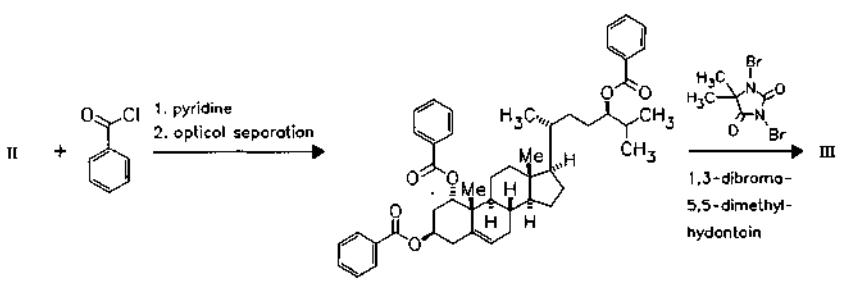
fucosterol (from brown algae)

3β-hydroxycholest-5-en-24-one

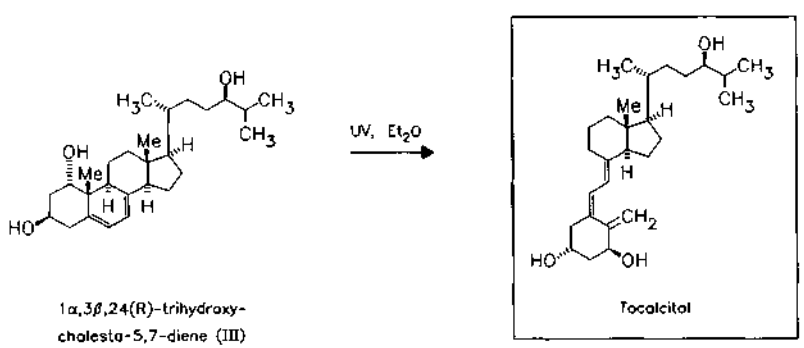


cholesta-1,4,6-triene-3,24-dione (I)

(II)



benzoyl chloride



1α,3β,24(R)-trihydroxycholesta-5,7-diene (III)

Reference(s):
DE 2526 981 (Teijin; 18.6.1975; J-prior. 18.6.1974).
Synform (SNFMDF) **5** (1), 1-8 (1987).
Morisaki, M. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1975**, 1421-1424.

Formulation(s): ointment 4.17 µg/g (as hydrate); ointment 0.0002%, 0.0004%

Trade Name(s):

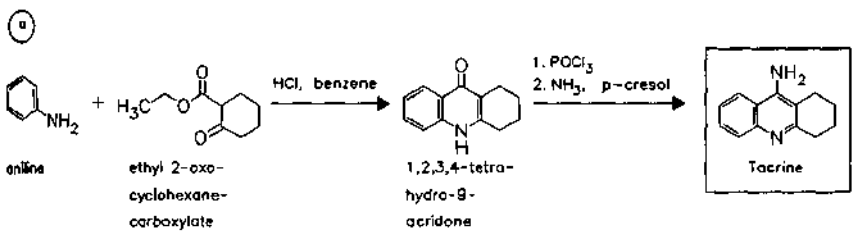
D:	Curatoderm (Hermal)	J:	Bonalfa (Teijin)
GB:	Curatoderm (Merck)		Bonealfa (Fujisawa)

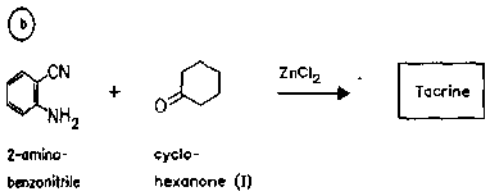
Tacrine

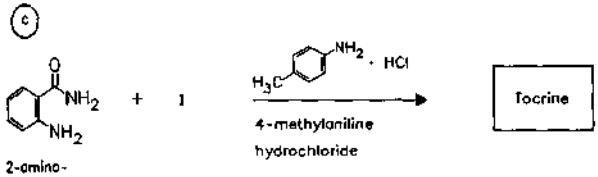
ATC: N06DA01
Use: acetylcholinesterase inhibitor, nootropic, antidementia

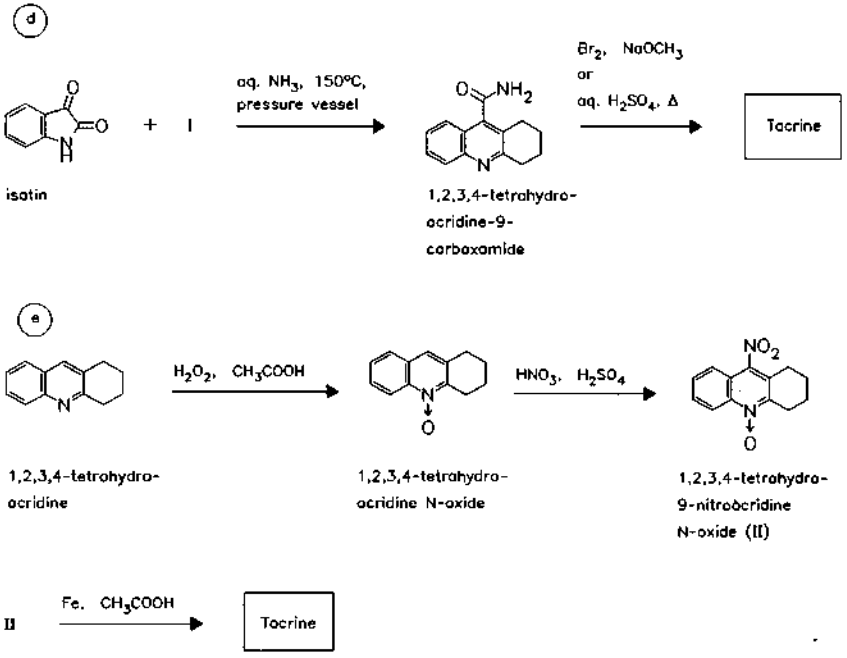
RN: 321-64-2 MF: $C_{13}H_{14}N_2$ MW: 198.27 EINECS: 206-291-2
LD_{50}: 20 mg/kg (R, i. v.); 70 mg/kg (R, p. o.); 39.8 mg/kg (M, p. o.); 25 mg/kg (M, s. c.)
CN: 1,2,3,4-Tetrahydro-9-acridinamine

hydrochloride
RN: 1684-40-8 MF: $C_{13}H_{14}N_2 \cdot HCl$ MW: 234.73 EINECS: 216-867-5
hydrochloride monohydrate
RN: 7149-50-0 MF: $C_{13}H_{14}N_2 \cdot HCl \cdot H_2O$ MW: 252.75









Reference(s):

a US 3 232 945 (S. E. Massengill Co.; 1.2.1966; USA-prior. 13.8.1962).
Albert; Gledhill: J. Soc. Chem. Ind., London (JSCIAN) **64**, 169 (1945).

b Moore, J.A.; Kornreich, L.D.: Tetrahedron (TETRAB) **20**, 127 (1963).
Goncharenko, S.B; Kaganskii, M.M.; Portnov, Yu.N.; Granik V.G.: Pharm. Chem. J. (Engl. Transl.) (PCJOAU) **26**, 769 (1992).

c Girgis, N.S.; Pedersen, E.B.: Synthesis (SYNTBF) **5**, 547 (1985).

d Ettel, V.; Neumann: Collect. Czech. Chem. Commun. (CCCCAK) **23**, 1319 (1958).

e SU 319 596 (Klimov, G.A.; Makar'eva, T.N.; Tilchenko, M.N.)

Formulation(s): cps. 10 mg, 20 mg, 30 mg, 40 mg (as hydrochloride)

Trade Name(s):

D: Cognex (Parke Davis) F: Cognex (Parke Davis) USA: Cognex (Parke Davis)

Tacrolimus

(FK-506; FR-900506; Fujimycin; L-679934)

ATC: L04AA05
Use: immunosuppressant

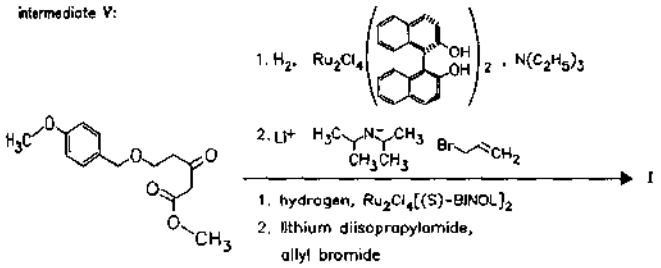
RN: 104987-11-3 MF: $C_{44}H_{69}NO_{12}$ MW: 804.03

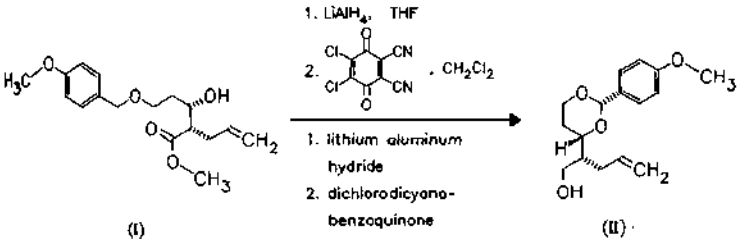
CN: [3*S*-[3*R**[*E*(1*S**,3*S**,4*S**)],4*S**,5*R**,8*S**,9*E*,12*R**,14*R**,15*S**,16*R**,18*S**,19*S**,26a*R**]]-5,6,8,11,12,13,14,15,16,17,18,19,24,25,26,26a-hexadecahydro-5,19-dihydroxy-3-[2-(4-hydroxy-3-methoxycyclohexyl)-1-methylethenyl]-14,16-dimethoxy-4,10,12,18-tetramethyl-8-(2-propenyl)-15,19-epoxy-3*H*-pyrido[2,1-*c*][1,4]oxaazacyclotricosine-1,7,20,21(4*H*,23*H*)-tetrone

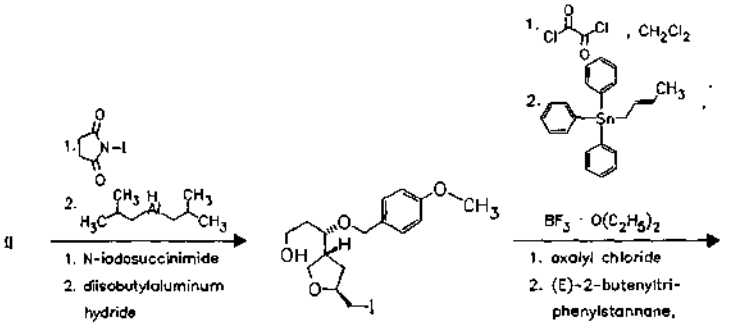
Isolation:

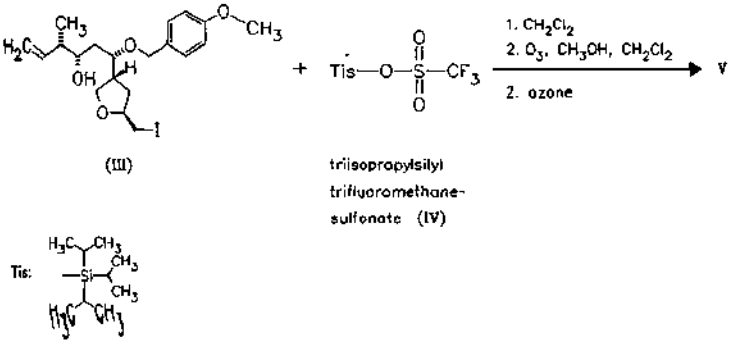
A fermentation broth of *Streptomyces tsukubaensis* No. 9993 is filtered and the mycelial cake is extracted with acetone. The filtrate is combined with the acetone extract and passed through a column of Diaion HP-20. The dilution with 75 % aqueous acetone, by evaporation gives an oily residue that is extracted with ethyl acetate and submitted to column chromatography over silica gel.

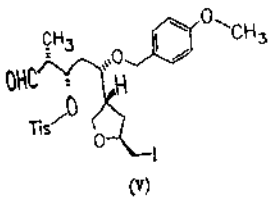
synthesis
intermediate V:



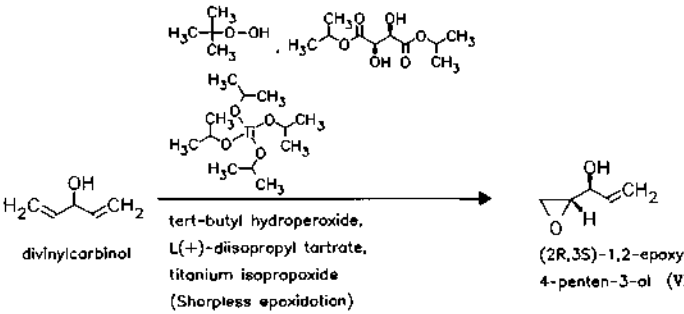


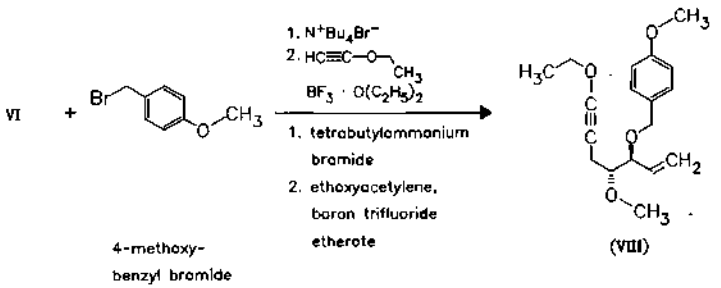


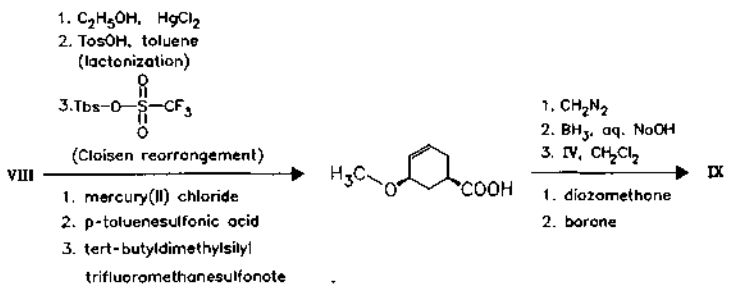


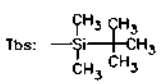


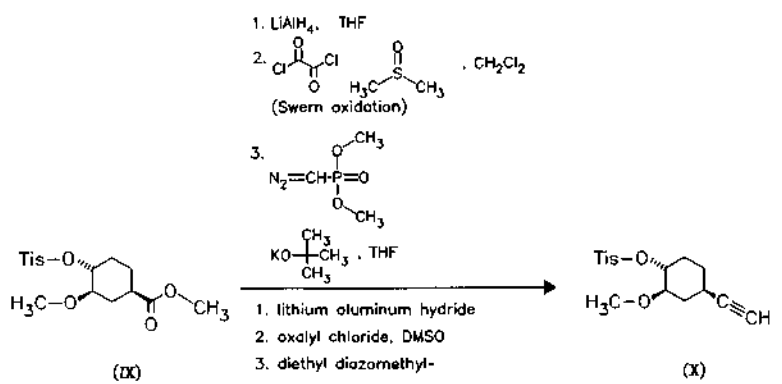
intermediate XI:

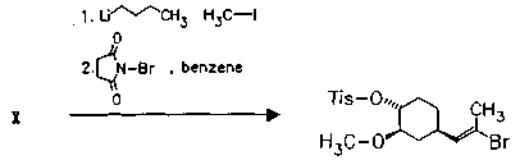






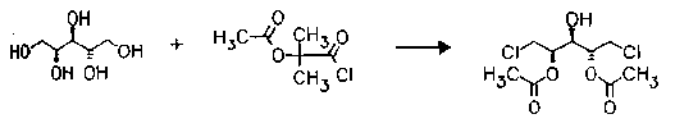






(XI)

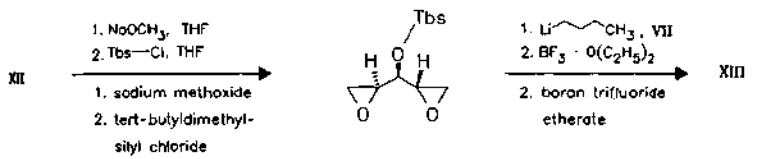
intermediate XIX:

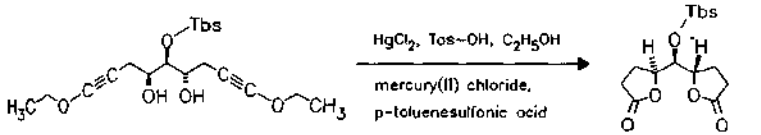


L-arabinitol

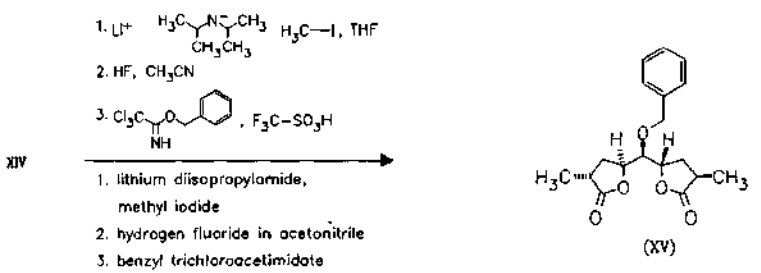
α-acetoxy-isobutyryl chloride

(XII)

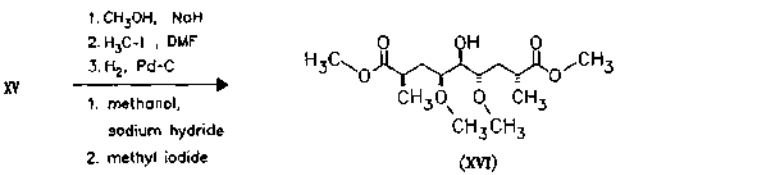




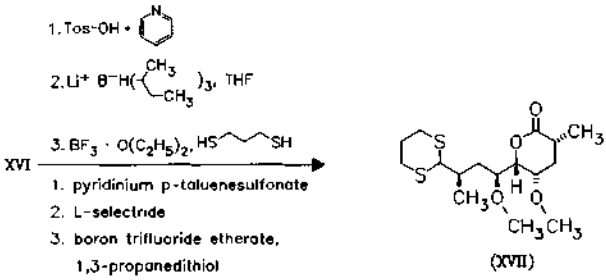
(XIII) (XIV)

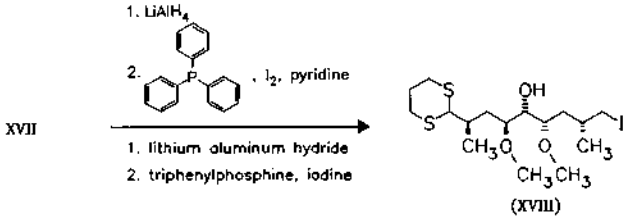


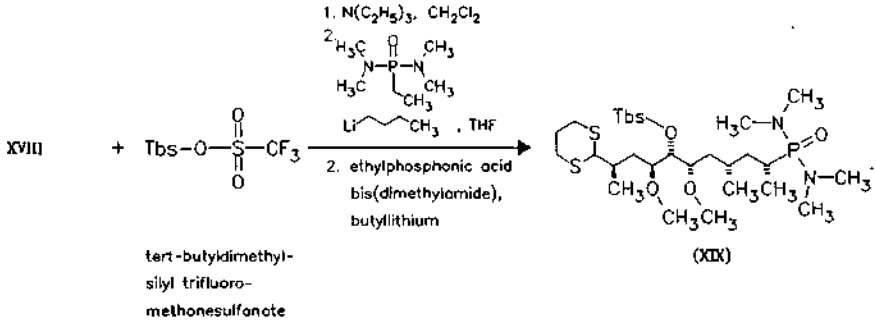
(XV)



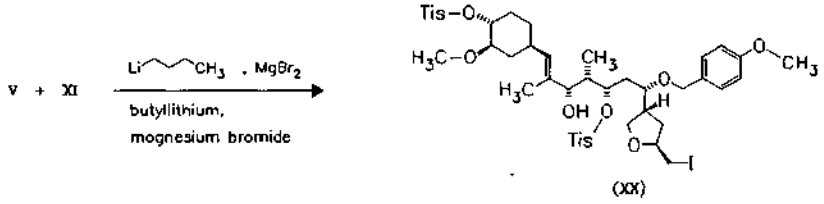
(XVI)

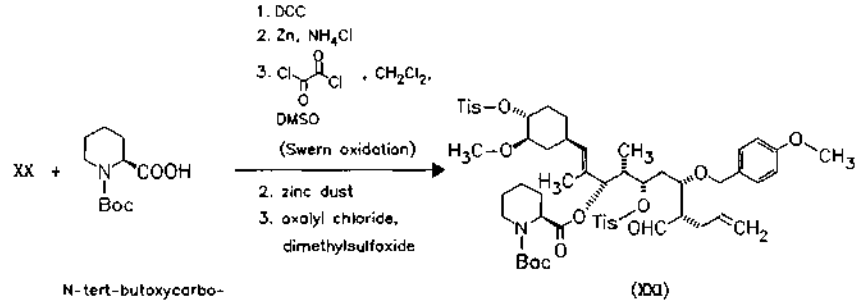






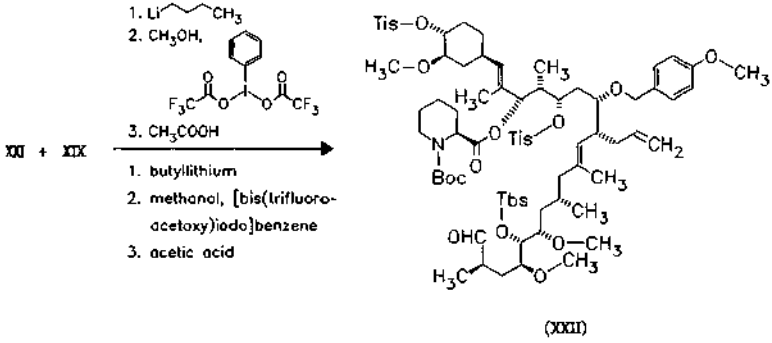
Tacrolimus:



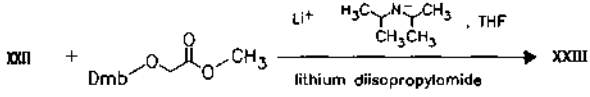


N-tert-butoxycarbo-
nylpiperidine-2(S)-
corboxylic acid

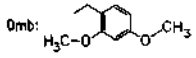
Boc:

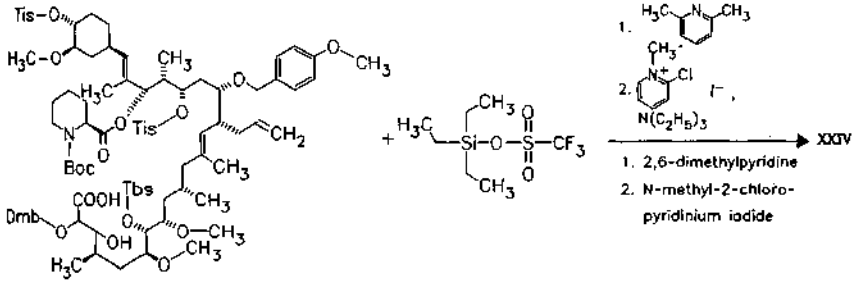


(XXII)

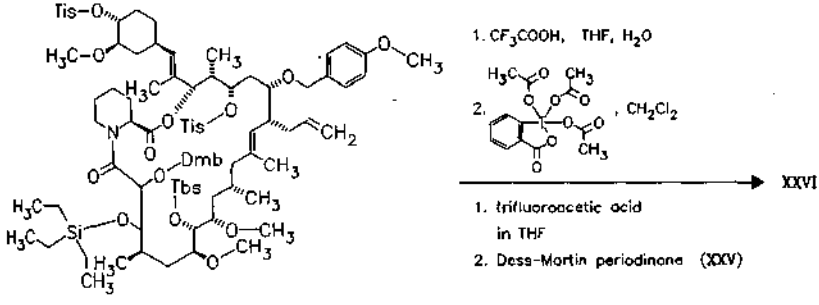


methyl 2-(2,4-dimethoxyben-zyloxy)acetate





(XXIII)



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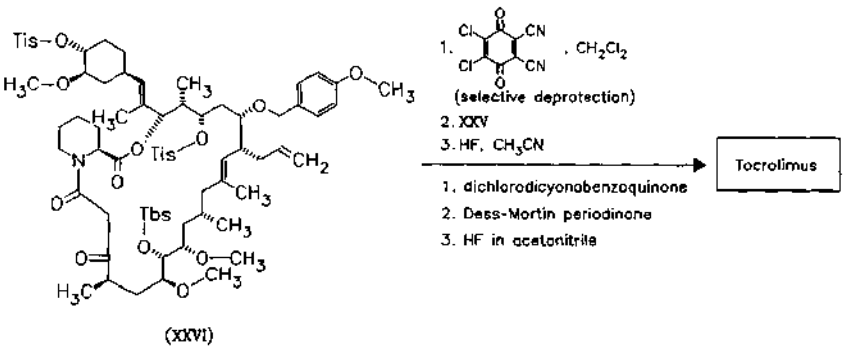
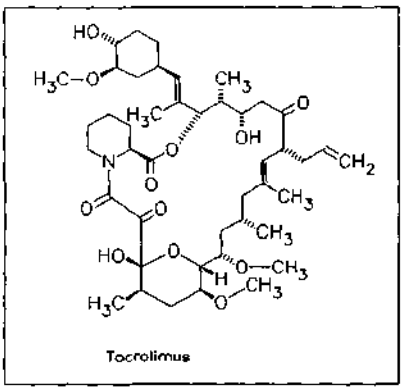




Reference(s):
production and a pharmaceutical composition; isolation:
EP 184 162 (Fujisawa Pharmaceutical; appl. 11.6.1986; GB-prior. 5.2.1985, 1.4.1985).

synthesis of FK-506:
EP 378 318 (Fujisawa Pharmaceutical; appl. 18.7.1990; USA-prior. 11.1.1989, 30.6.1989).
Ireland, R. et al.: J. Org. Chem. (JOCEAH) **61**, 6856 (1996).

synthesis of intermediates:
Danishefsky, S.J. et al.: J. Org. Chem. (JOCEAH) **55** (9), 2786 (1990).
Schreiber, S.L. et al.: J. Am. Chem. Soc. (JACSAT) **112** (4), 5583 (1990).
US 4 940 797 (Fujisawa Pharmaceutical; 10.7.1990; USA-prior. 23.3.1989).

alternative synthesis:
Shinkai, I. et al.: J. Am. Chem. Soc. (JACSAT) **111** (3), 1157 (1989).
Shinkai, I. et al.: Tetrahedron Lett. (TELEAY) **29** (3), 281 (1988).

Formulation(s): amp. 5 mg/ml; cps. 1 mg, 5 mg

Trade Name(s):

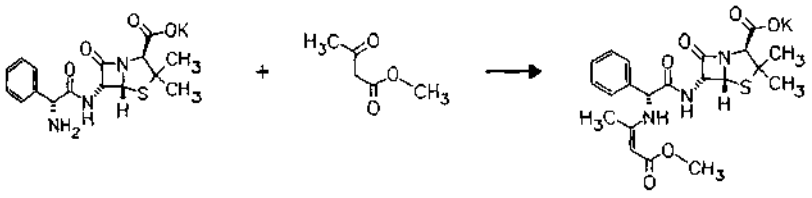
D:	Prograf (Fujisawa)	GB:	Prograf (Fujisawa)	USA:	Prograf (Fujisawa)
F:	Prograf (Fujisawa)	J:	Prograf (Fujisawa)		

Talampicillin

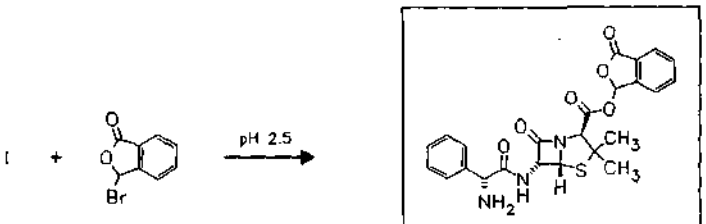
ATC: J01CA15
Use: antibiotic, antibacterial

RN: 47747-56-8 MF: $C_{24}H_{23}N_3O_6S$ MW: 481.53 EINECS: 256-332-3
CN: [2*S*-[2α,5α,6β(*S**)]]-6-[(aminophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid 1,3-dihydro-3-oxo-1-isobenzofuranyl ester

monohydrochloride
RN: 39878-70-1 MF: $C_{24}H_{23}N_3O_6S \cdot HCl$ MW: 517.99
LD_{50}: >1 g/kg (M, i.v.); >4 g/kg (M, p.o.);
786 mg/kg (R, i.v.); >1 g/kg (R, p.o.)



ampicillin potassium salt (q. v.) + methyl acetoacetate → (I)



(I) + 3-bromophthalide → Talampicillin

Reference(s):
US 3 860 579 (Beecham; 14.1.1975; GB-prior. 9.6.1971).
DAS 2 228 012 (Beecham; appl. 8.6.1972; GB-prior. 9.6.1971).
DOS 2 228 255 (Beecham; appl. 9.6.1972; GB-prior. 9.6.1971).
US 3 951 954 (Yamanouchi; 20.4.1976; J-prior. 5.6.1971, 15.6.1971, 25.6.1971, 10.8.1971, 11.3.1972).
DOS 2 225 149 (Yamanouchi; appl. 24.5.1972; J-prior. 5.6.1971, 15.6.1971, 25.6.1971, 10.8.1971, 11.3.1972).

Formulation(s): cps. 125 mg, 250 mg; tabl. 250 mg, 500 mg, 750 mg, 1 g (as hydrochloride)

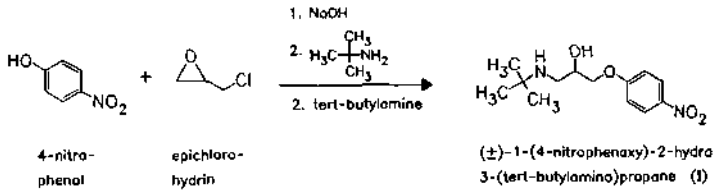
Trade Name(s):
GB: Talpen (Beecham; 1975); wfm
I: Ausotal (Ausonia); wfm
Precillin (Edmond); wfm
Talampicillina (Midy); wfm
J: Talat (Polifarma); wfm
Yamacillin (Beecham-Yamanouchi)

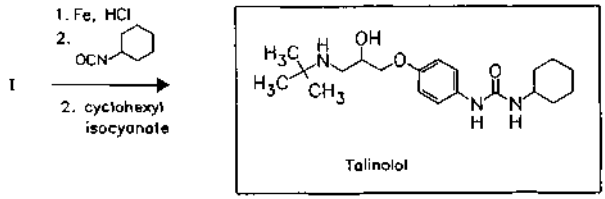
Talinolol

ATC: C07AA
Use: β-adrenoceptor antagonist, antihypertensive

RN: 57460-41-0 MF: $C_{20}H_{33}N_3O_3$ MW: 363.50
LD_{50}: 30 mg/kg (R, i.v.)
CN: (±)-*N*-cyclohexyl-*N'*-[4-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]phenyl]urea

monohydrochloride
RN: 38652-10-7 MF: $C_{20}H_{33}N_3O_3 \cdot HCl$ MW: 399.96





Reference(s):
DE 2 100 323 (VEB Arzneimittelwerk Dresden, Ciba-Geigy; appl. 5.1.1971; CH-prior. 8.10.1970, 13.11.1970).
US 4 120 978 (VEB Arzneimittelwerk Dresden, Ciba-Geigy; 17.10.1978).
DD 283 501 (VEB Arzneimittelwerk Dresden; appl. 29.7.1988).
DD 283 499 (VEB Arzneimittelwerk Dresden; appl. 29.7.1988).
DD 283 498 (VEB Arzneimittelwerk Dresden; appl. 29.7.1988).
DD 283 496 (VEB Arzneimittelwerk Dresden; appl. 29.7.1988).
DD 264 114 (VEB Arzneimittelwerk Dresden; appl. 25.5.1987).

synthesis of enantiomers:
DD 285 343 (VEB Arzneimittelwerk Dresden; appl. 29.6.1989).

Formulation(s): amp. 10 mg/5 ml; drg. 50 mg, 100 mg

Trade Name(s):
D: Cordanum (ASTA Medica AWD)

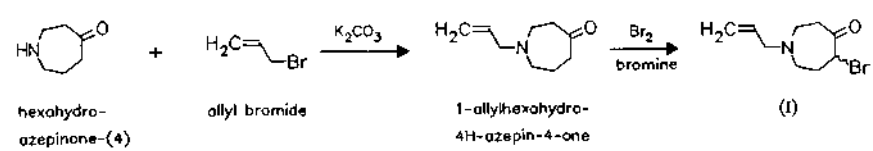
Talipexole

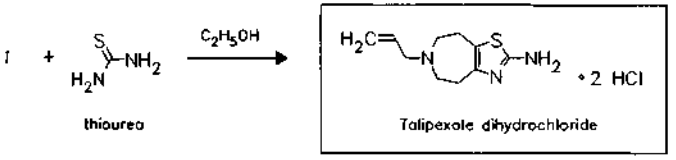
Use: antiparkinsonian

(B-HT-920)

RN: 101626-70-4 MF: $C_{10}H_{15}N_3S$ MW: 209.32
CN: 5,6,7,8-tetrahydro-6-(2-propenyl)-4*H*-thiazolo[4,5-*d*]azepin-2-amine

dihydrochloride
RN: 36085-73-1 MF: $C_{10}H_{15}N_3S \cdot 2HCl$ MW: 282.24
LD_{50}: 455 mg/kg (M, p.o.);
66 mg/kg (R, i.v.); 403 mg/kg (R, p.o.)





Reference(s):
EP 195 888 (Thomae GmbH; appl. 1.10.1986; D-prior. 25.1.1985).
DE 2 040 510 (Thomae GmbH, prior. 14.8.1970).
DE 3 642 066 (Boehringer Ing., appl. 19.6.1987; prior. 9.12.1986).
Anden, N.-E.; Grabowska-Anden, M.: J. Neural. Transm. (JNTMAH) **79** (3), 209-214 (1990).

Formulation(s): tabl. 0.4 mg

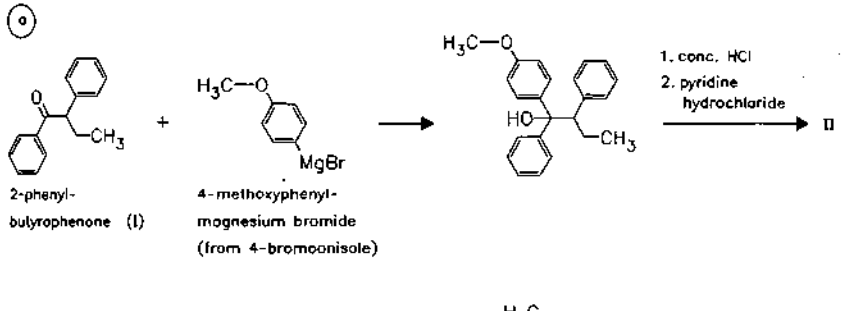
Trade Name(s):
J: Domin (Boehringer Ing.)

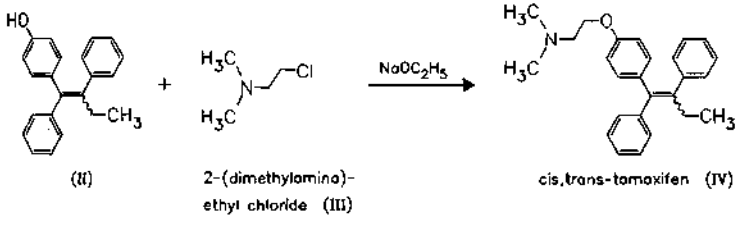
Tamoxifen

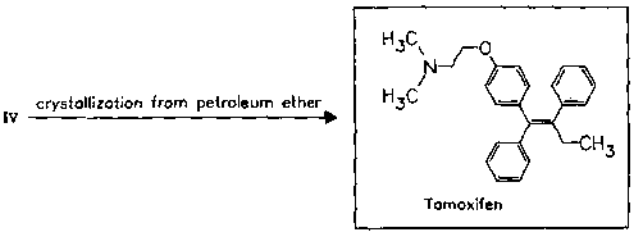
ATC: L02BA01
Use: antineoplastic, antiestrogen (palliative treatment of breast cancer)

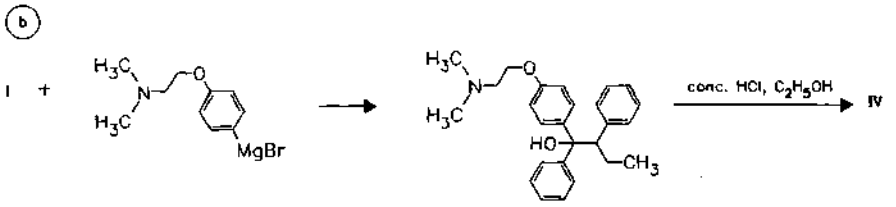
RN: 10540-29-1 MF: $C_{26}H_{29}NO$ MW: 371.52 EINECS: 234-118-0
LD_{50}: 2150 mg/kg (M, p.o.);
4100 mg/kg (R, p.o.)
CN: (*Z*)-2-[4-(1,2-diphenyl-1-butenyl)phenoxy]-*N*,*N*-dimethylethanamine

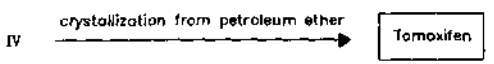
citrate (1:1)
RN: 54965-24-1 MF: $C_{26}H_{29}NO \cdot C_6H_8O_7$ MW: 563.65 EINECS: 259-415-2
LD_{50}: 62.5 mg/kg (M, i.v.); 3100 mg/kg (M, p.o.);
62.5 mg/kg (R, i.v.); 1190 mg/kg (R, p.o.)

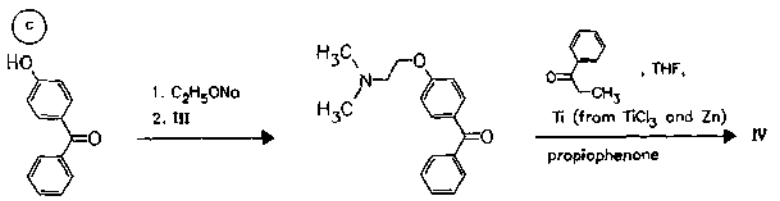


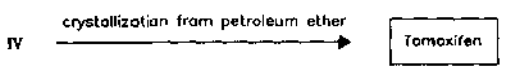












Reference(s):

US 4 536 516 (ICI)

a,b Harper, M.J.K.; Walpole, A.L.: Nature (London) (NATUAS) **212**, 87 (1966).
GB 1 013 907 (ICI; appl. 13.9.1962).
GB 1 064 629 (ICI; appl. 20.7.1965).

separation of isomers:

Bedford, G.R.; Richardson, D.N.: Nature (London) (NATUAS) **212**, 733 (1966).
DE 1 468 088 (ICI; appl. 5.9.1963; GB-prior. 13.9.1962, 21.8.1963).

c EP 126 470 (Bristol-Myers; appl. 18.5.1984; USA-prior. 19.5.1983, 22.2.1984).

similar process:

EP 168 175 (Nat. Res. Dev. Corp.; appl. 19.11.1987; GB-prior. 12.6.1984, 11.6.1985).

polymorphs of tamoxifen citrate:

Goldberg, I.; Becker, Y.: J. Pharm. Sci. (JPMSAE) **76**, 259 (1987).

percutaneous administration:
WO 85/03 228 (P. Mauvais-Jarvis and F. Kuttenn; appl. 21.12.1984; F-prior. 20.1.1984).
GB 1 013 907 (ICI; appl. 13.9.1962; valid from 21.8.1963).
GB 1 064 629 (ICI; appl. 20.7.1965; valid from 4.3.1966).
DE 1 468 088 (ICI; appl. 5.9.1963; GB-prior. 13.9.1962, 21.8.1963).

separation of isomers:
Bedford, G.R.; Richardson, D.N.: Nature (London) (NATUAS) **212**, 733 (1966).

Formulation(s): f. c. tabl. 10 mg, 20 mg, 30 mg, 40 mg; tabl. 10 mg, 20 mg, 30 mg, 40 mg (as citrate)

Trade Name(s):

D:	duratamoxifen (durachemie)		Tamoxasta (ASTA Medica AWD)	GB:	Nolvadex (Zeneca; 1973)
	Jenoxifen (Jenapharm)		Tamoxifen (Hexal; Heumann; ct-Arzneimittel; cell pharm; Aliud Pharma; biosyn; ratiopharm)		Tamofen (Pharmacia & Upjohn; as citrate)
	Kessar (Farmitalia)			I:	Nolvadex (Zeneca)
	Nolvadex (ICI-Pharma; 1976)			J:	Nolvadex (Zeneca-Sumitomo Chem.; 1981)
	Nourytan (Nourypharma)	F:	Kessar (Pharmacia & Upjohn)	USA:	Kessar (Pharmacia & Upjohn)
	Tamobeta (betapharm)		Nolvadex (Zeneca; 1977)		Ledertam (Wyeth-Lederle)
	Tamofen (Rhône-Poulenc Rorer)		Oncotam (Mayoly-Spindler)		Nolvadex (Zeneca; 1978)
	Tamox-GRY (Gry)		Tamofine (Rhône-Poulenc Rorer)		
	Tamox-PUREN (Isis Puren)				

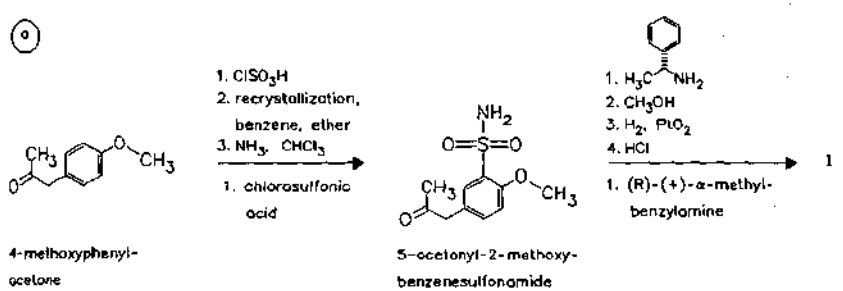
Tamsulosin hydrochloride

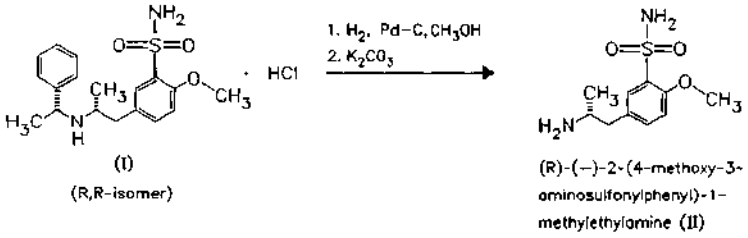
((–)-LY 253352; LY 253351; (–)-YM 12617; (*R*)-(–)-YM 12617)

ATC: G04BX08
Use: antihypertensive, BPH, α-blocker

RN: 106463-17-6 MF: $C_{20}H_{28}N_2O_5S \cdot HCl$ MW: 444.98
CN: (*R*)-5-[2-[[2-(2-Ethoxyphenoxy)ethyl]amino]propyl]-2-methoxybenzenesulfonamide monohydrochloride

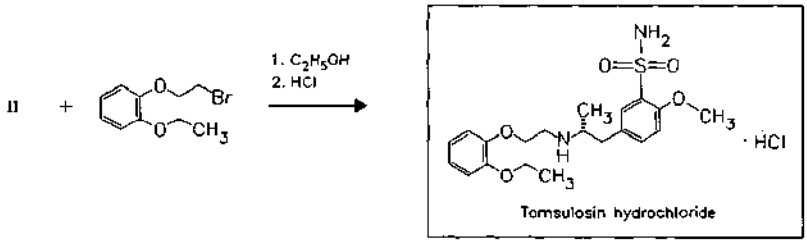
base
RN: 106133-20-4 MF: $C_{20}H_{28}N_2O_5S$ MW: 408.52
(+)-hydrochloride
RN: 106463-19-8 MF: $C_{20}H_{28}N_2O_5S \cdot HCl$ MW: 444.98
(±)-hydrochloride
RN: 80223-99-0 MF: $C_{20}H_{28}N_2O_5S \cdot HCl$ MW: 444.98





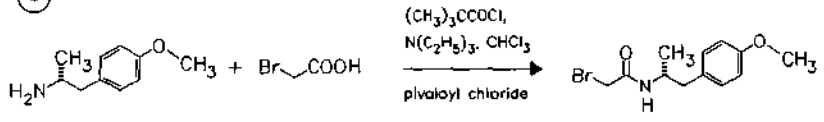
(I)
(R,R-isomer)

(R)-(–)-2-(4-methoxy-3-aminosulfonylphenyl)-1-methylethylamine (II)



Tamsulosin hydrochloride

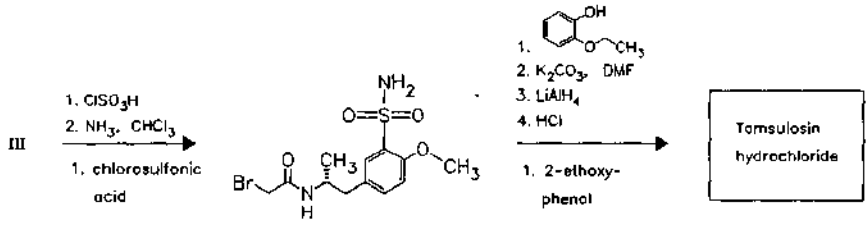
(b)



(R)-(–)-2-(4-methoxyphenyl)-1-methylethylamine

bromoacetic acid

(III)



(R)-(+)-N-[2-(3-aminosulfonyl-4-methoxyphenyl)-1-methylethyl]-2-bromoacetamide

Reference(s):
a EP 257 787 (Yamanouchi; 2.3.1988; appl. 21.7.1987; J-prior. 21.7.1986)
b JP 02 306 958 (Hokuriku; appl. 22.5.1988)

synthesis of racemic YM 12617:
EP 34 432 (Yamanouchi, 26.8.1981; appl. 2.2.1981; J-prior. 8.2.1980)

Formulation(s): cps. 0.1 mg, 0.2 mg, 0.4 mg

Trade Name(s):

D:	Alna (Boehringer Ingelheim) OMNIC (Yamanouchi)	F:	Josir (Boehringer Ingelheim) Omix (Yamanouchi)	GB:	Flomax (Boehringer Ingelheim)

I: Omnic (Yamanouchi) USA: Flomax (Boehringer Ingelheim; 1997)
J: Harnal (Yamanouchi)

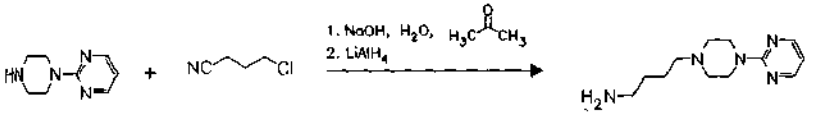
Tandospirone

(SM-3997 (as citrate))

ATC: N05BX
Use: anxiolytic, antidepressant

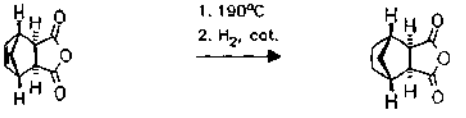
RN: 87760-53-0 MF: $C_{21}H_{29}N_5O_2$ MW: 383.50
CN: (3aα,4β,7β,7aα)-hexahydro-2-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-4,7-methano-1*H*-isoindole-1,3(2*H*)-dione

citrate
RN: 112457-95-1 MF: $C_{21}H_{29}N_5O_2 \cdot C_6H_8O_7$ MW: 575.62



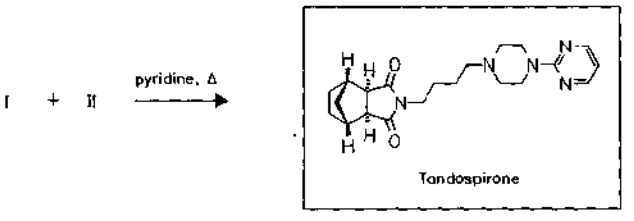
1-(pyrimidin-2-yl)-piperazine

4-[4-(pyrimidin-2-yl)-piperazin-1-yl]butylamine (I)



norbom-5-ene-2exo,3exo-dicarboxylic acid anhydride

norbornane-2exo,3exo-dicarboxylic acid anhydride (II)



Reference(s):
EP 82 402 (Sumitomo Chem.; appl. 29.6.1983; J-prior. 22.12.1981, 3.6.1982).
JP 60 087 262 (Sumitomo Chem.; appl. 16.5.1985; USA-prior. 19.1.1983).
JP 63 010 760 (Sumitomo Chem.; appl. 18.1.1988; J-prior. 1.7.1986).

use of tandospirone:
a) *treating depression:*
US 5 011 841 (Pfizer; appl. 30.4.1991; USA-prior. 14.11.1989).

b) *as psychotropic agents:*
US 5 521 313 (Bristol-Myers Squibb; appl. 28.5.1996; USA-prior. 5.5.1994).

c) *as 5-HT$_1$A-receptor agonist:*
WO 9 605 817 (Medinova SF; appl. 29.2.1996; GB-prior. 23.8.1994).

dosage forms:
US 5 330 762 (Alza Corp.; appl. 19.7.1994; USA-prior. 27.1.1993).
US 5 246 711 (Alza Corp.; appl. 21.9.1993; USA-prior. 27.2.1992, 10.9.1992).
US 5 246 710 (Alza Corp.; appl. 22.9.1993; USA-prior. 27.2.1992, 10.9.1992).
US 5 185 158 (Alza Corp.; appl. 9.2.1993; USA-prior. 27.2.1992).

synergistic compositions with 8-hydroxy-2-(dipropylamino)tetraline *and* idazoxan:
US 5 124 346 (Pfizer; appl. 23.6.1992; USA-prior. 23.4.1991).

synthesis of I:
Kikuo, I. et al.: Chem. Pharm. Bull. (CPBTAL) **39**, 2288 (1991).
Wu et al.: J. Med. Chem. (JMCMAR) **15**, 477 (1972).

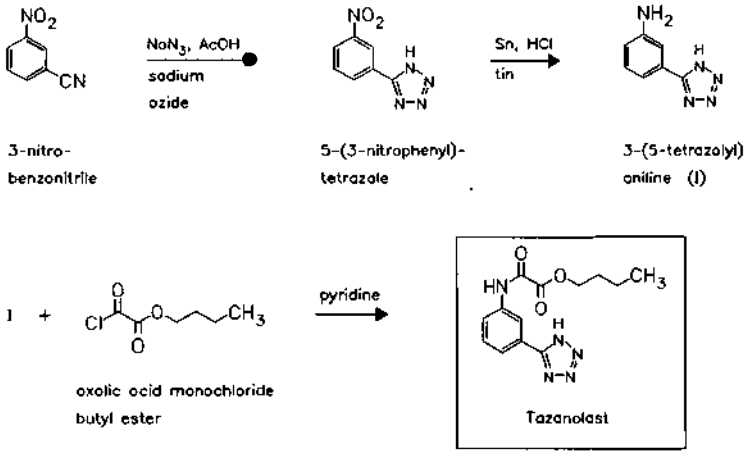
Formulation(s): tabl. 5 mg, 10 mg

Trade Name(s):
J: Sediel (Sumitomo)

Tazanolast

ATC: R03DX
Use: antiallergic, antiasthmatic

RN: 82989-25-1 MF: $C_{13}H_{15}N_5O_3$ MW: 289.30
LD_{50}: 1121 mg/kg (M, i.v.); >4 g/kg (M, p.o.);
1119 mg/kg (R, i.v.); >4 g/kg (R, p.o.);
>4 g/kg (dog, p.o.)
CN: oxo[[3-(1*H*-tetrazol-5-yl)phenyl]amino]acetic acid butyl ester



Reference(s):
JP 82 011 975 (Wakamoto; appl. 21.1.1982; J-prior. 25.6.1980).
JP 57 011 975 (Wakamoto; appl. 21.1.1982; J-prior. 21.1.1982; prior. 25.6.1980).

synthesis of 3-(5-tetrazolyl)aniline I:
McManus, J.M.; Herbst, R.M.: J. Org. Chem. (JOCEAH) **24**, 1044 (1959).

medical use as inhibitor of SRS-A-release:
DOS 3 530 780 (Wakamoto; appl. 28.8.1985; J-prior. 24.12.1984, 29.3.1985).
US 4 778 816 (Wakamoto; 18.10.1988; appl. 17.9.1985; J-prior. 24.12.1984, 29.3.1985).

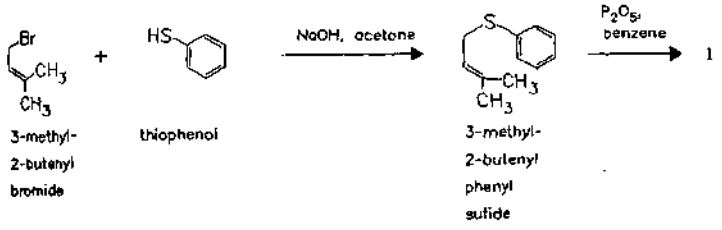
Formulation(s): cps. 75 mg

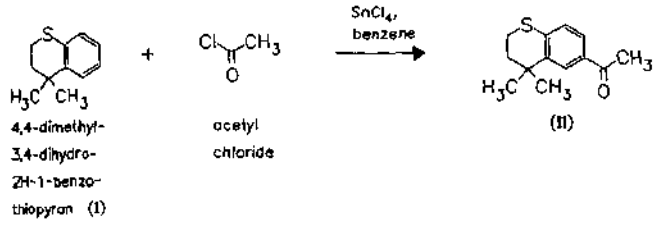
Trade Name(s):
J: Tazalest (Wakamoto; 1990). Tazanol (Torii; 1990).

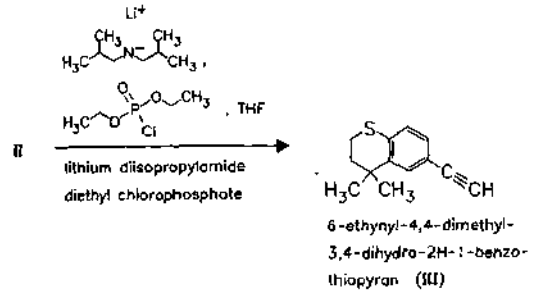
Tazarotene
(AGN-190168)

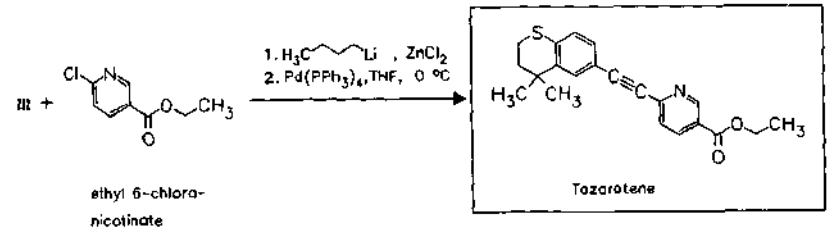
ATC: D05B
Use: antipsoriatic, acne therapeutic, retinoid

RN: 118292-40-3 MF: $C_{21}H_{21}NO_2S$ MW: 351.47
CN: 6-[(3,4-dihydro-4,4-dimethyl-2*H*-1-benzothiopyran-6-yl)ethynyl]-3-pyridinecarboxylic acid ethyl ester









Reference(s):
EP 284 261 (Allergan Inc.; USA-prior. 13.3.1987).
EP 284 288 (Allergan Inc.; USA-prior. 20.3.1987).
US 5 089 509 (Allergan Inc.; USA-prior. 20.3.1989).

Formulation(s): gel 0.5 mg/g, 1 mg/g

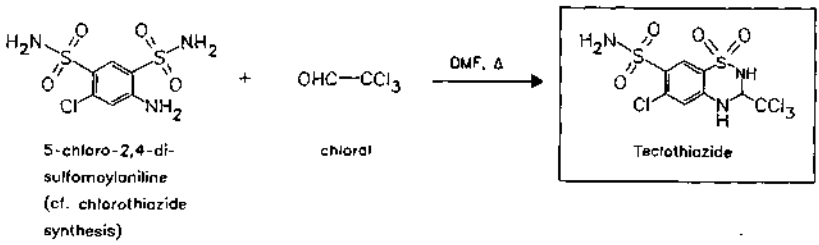
Trade Name(s):
D: Zorac (Pharm-Allergan) GB: Zorac (Allergan) USA: Tazorac (Allergan)

Teclothiazide

(Tetrachlormethiazide;
Trichlormethylhydrochlorothiazide)

ATC: C03AX
Use: diuretic

RN: 4267-05-4 MF: $C_8H_7Cl_4N_3O_4S_2$ MW: 415.11 EINECS: 224-253-3
CN: 6-chloro-3,4-dihydro-3-(trichloromethyl)-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
Novello, F.C. et al.: J. Org. Chem. (JOCEAH) **25**, 970 (1960).
Close, W.J. et al.: J. Am. Chem. Soc. (JACSAT) **82**, 1132 (1960).

Formulation(s): tabl. 18 mg

Trade Name(s):
F: Chymodrex (Pharmuka)-comb.; wfm

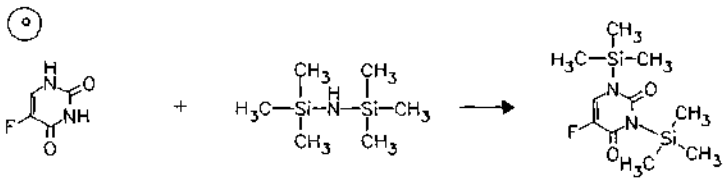
Tegafur

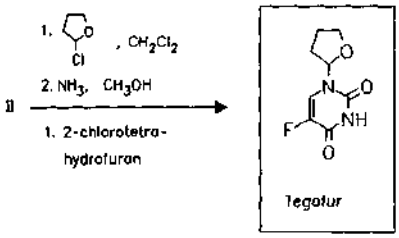
(Ftorafur)

ATC: L01BC03
Use: antineoplastic

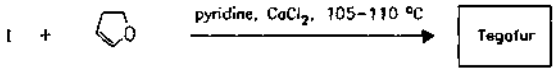
RN: 17902-23-7 MF: $C_8H_9FN_2O_3$ MW: 200.17 EINECS: 241-846-2
LD_{50}: 800 mg/kg (M, i.v.); 775 mg/kg (M, p.o.);
685 mg/kg (R, i.v.); 930 mg/kg (R, p.o.);.
34 mg/kg (dog, p.o.)
CN: 5-fluoro-1-(tetrahydro-2-furanyl)-2,4(1*H*,3*H*)-pyrimidinedione

monosodium salt
RN: 28721-46-2 MF: $C_8H_8FN_2NaO_3$ MW: 222.15





(b)



Reference(s):

a US 3 635 946 (S. A. Giller et al.; 18.1.1972; appl. 21.12.1967, 22.7.1969).
US 3 912 734 (S. A. Giller et al.; 14.10.1975; appl. 1.6.1973; SU-prior. 20.11.1972).
GB 1 168 391 (Inst. Organitschesk. sinteza, Riga; appl. 8.1.1968).
DAS 1 695 297 (Inst. Organitschesk. sinteza, Riga; appl. 10.1.1968).
US 4 039 546 (S. A. Giller et al.; 2.8.1977; appl. 28.4.1975).
GB 1 503 614 (Univ. of Utah; appl. 14.4.1975; USA-prior. 6.5.1974).
US 4 107 162 (Asahi; 15.8.1978; J-prior. 10.11.1975).
with dimethyldichlorosilane:
DOS 2 834 698 (Toshin Chemical; appl. 8.8.1978; J-prior. 19.9.1977, 14.12.1977).

b DOS 2 653 398 (Takeda; appl. 24.11.1976; J-prior. 28.11.1975; 19.1.1976; 13.7.1976).
DOS 2 657 709 (Takeda; appl. 20.12.1976; J-prior. 25.12.1975).
DOS 2 709 838 (Nikken Chemical; appl. 7.3.1977; J-prior. 26.6.1976, 28.8.1976).
US 4 121 037 (Nikken Chemical; 17.10.1978; J-prior. 26.6.1976, 28.8.1976).
DOS 2 709 839 (Nikken Chemical; appl. 7.3.1977; J-prior. 23.6.1976).
GB 1 522 860 (Mitsui Toatsu; appl. 7.12.1976; J-prior. 24.12.1975, 9.1.1976, 22.1.1976, 20.5.1976).
DOS 2 744 956 (ABIC; appl. 6.10.1977; IL-prior. 12.10.1976).
US 4 159 378 (Toshin Chemical; 26.6.1979; J-prior. 19.9.1977, 14.12.1977).

alternative synthesis (also suitable for optical antipodes):
DOS 2 723 450 (Roche; appl. 24.5.1977; A-prior. 28.5.1976).

further syntheses:
Yasumoto, M. et al.: J. Med. Chem. (JMCMAR) **21**, 738 (1978).
DOS 2 648 239 (Rikagaku Kenkyusho; appl. 25.10.1976; J-prior. 24.10.1975, 4.12.1975, 5.1.1976, 15.3.1976, 11.5.1976).

Formulation(s): tabl. 2.5 mg, 5 mg, 10 mg

Trade Name(s):

I: Citofur (Lusofarmaco)

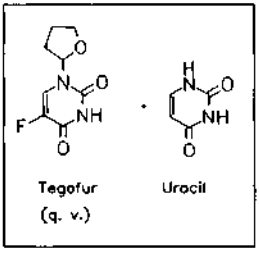
J: Coparogin (Nippon Chemiphar)
Daiyalose (Daito)
Exonal (Toyama)
Fental (Kanebo)
FH (Mitsui)
Filacul (Torii)
Flopholin (Tsuruhara)
Franroze (Hishiyama)
FTR (Tenyosha)
Fulaid (Takeda)
Fulfeel (Kyorin)
Furofluor (Green Cross)
Furofutran (Taiyo)
Futol-P (Teisan)
Futraful (Taiho)
Geen (Tatumi)
Helpa (Teikoku)
Helpa Taito (Pfizer)
Icalus (Isei)
Lamar (Tokyo Tanabe)
Natira U (Mohan)
Neberk (Fuji)
Nitobanil (Kyowa)
Pharmic (Toyo Pharmar)
Rescrel (Nikken)

Rial (Toa Eiyo) Sinoflurol (Kaken) Tefsiel C (Towa)
Richina (Takata) Sunfural (Toyo Jozo) Youfural (Showa)

Tegafur-Uracil

ATC: L01BC03
Use: antineoplastic

RN: 74578-38-4 MF: $C_8H_9FN_2O_3 \cdot C_4H_4N_2O_2$ MW: 312.26
LD_{50}: 1275 mg/kg (M, p.o.);
1580 mg/kg (R, p.o.);
150 mg/kg (dog, p.o.)
CN: 5-fluoro-1-(tetrahydro-2-furanyl)-2,4(1*H*,3*H*)-pyrimidinedione mixt. with 2,4(1*H*,3*H*)-pyrimidinedione



Reference(s):
JP 56 046 813 (Taiho; appl. 27.9.1979).
Yamamoto, J. et al.: Arzneim.-Forsch. (ARZNAD) **31**, 1276 (1981).

Formulation(s): cps. 100 mg; drg. 100 mg in comb. with 224 mg uracil

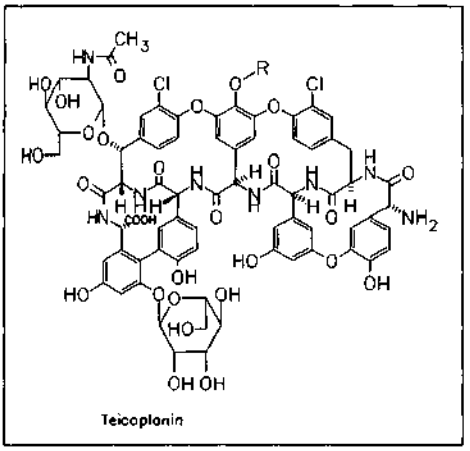
Trade Name(s):
J: UFT (Miguel-Otsuka)

Teicoplanin
(Teichomycin)

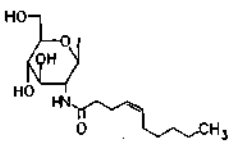
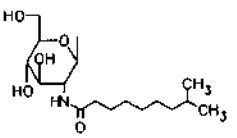
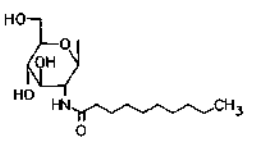
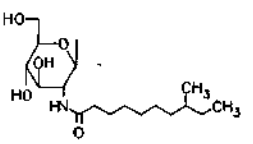
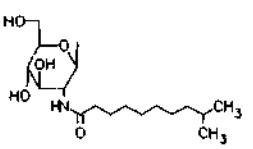
ATC: J01XA02
Use: antibacterial, antibiotic

RN: 61036-62-2 MF: $C_{41-43}H_{51-53}ClN_4O_{17}$ MW: unspecified
LD_{50}: 715 mg/kg (M, i.v.);
160 mg/kg (R, i.v.);
>900 mg/kg (dog, i.m.); 750 mg/kg (dog, i.v.)
CN: teicoplanin

Teichomycin A_1
RN: 61036-63-3 MF: unspecified MW: unspecified
Teichomycin A_2
RN: 61036-64-4 MF: unspecified MW: unspecified
LD_{50}: 275 mg/kg (M, i.v.); >1 g/kg (M, p.o.)



Teicoplanin

compound	R	formula
Teicoplanin A 2-1		$C_{88}H_{95}Cl_2N_9O_{33}$
Teicoplanin A 2-2		$C_{88}H_{97}Cl_2N_9O_{33}$
Teicoplanin A 2-3		$C_{88}H_{97}Cl_2N_9O_{33}$
Teicoplanin A 2-4		$C_{89}H_{99}Cl_2N_9O_{33}$
Teicoplanin A 2-5		$C_{89}H_{99}Cl_2N_9O_{33}$

Reference(s):
DE 2 608 216 (Lepetit; appl. 28.2.1976; GB-prior. 5.3.1975).
US 4 239 751 (Lepetit; 16.12.1980; GB-prior. 5.3.1975).
Parenti, F. et al.: J. Antibiot. (JANTAJ) **31**, 276 (1978).
Bardone, M.R. et al.: J. Antibiot. (JANTAJ) **31**, 170 (1978).
Coronelli, C. et al.: J. Antibiot. (JANTAJ) **37**, 621 (1984).
Borghi, A. et al.: J. Antibiot. (JANTAJ) **37**, 615 (1984).

isolation of teichomycin A_2 factors:
US 4 542 018 (Lepetit; 17.9.1985; appl. 7.6.1983; GB-prior. 8.6.1982).

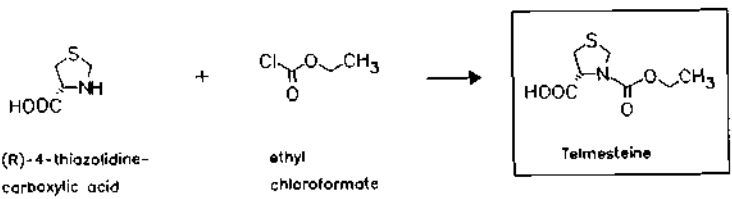
Formulation(s): vial 100 mg, 200 mg, 400 mg (lyo.)

Trade Name(s):
D: Targocid (Hoechst; 1989) GB: Targocid (Hoechst; 1990) I: Targosid (Hoechst Marion Roussel)
F: Targocid (Marion Merrell)

Telmesteine

ATC: R05CB
Use: mucolytic agent

RN: 122946-43-4 MF: $C_7H_{11}NO_4S$ MW: 205.23
LD_{50}: 415 mg/kg (R, i.p.); >4000 mg/kg (R, p.o.)
CN: (*R*)-3,4-thiazolidinedicarboxylic acid 3-ethyl ester



Reference(s):
US 4 874 776 (Yason; 17.10.1989; appl. 11.7.1988).

lysine salt:
EP 348 541 (Yason; appl. 29.6.1988).

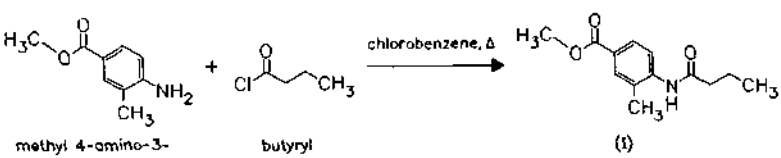
Formulation(s): sachets 300 mg; syrup 3 %

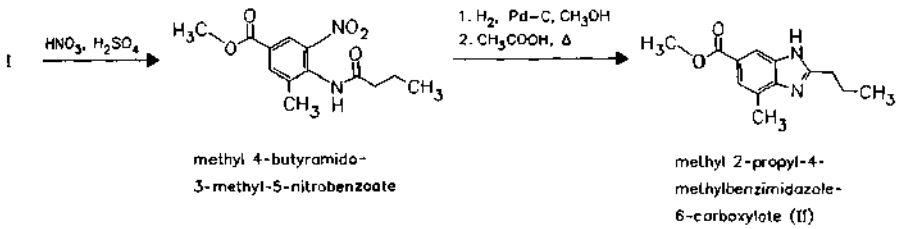
Trade Name(s):
I: Muconorm (Prospa Italia) Reolase (Pulitzer)

Telmisartan
(BIBR 277; BIBR 277SE)

ATC: C09CA07
Use: antihypertensive, angiotensin II receptor blocker

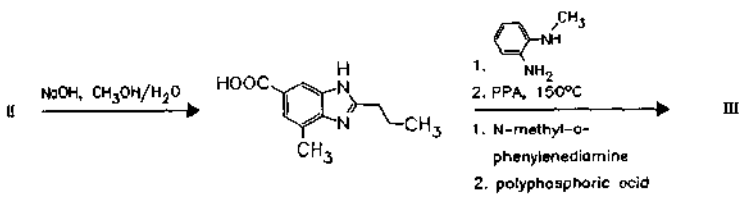
RN: 144701-48-4 MF: $C_{33}H_{30}N_4O_2$ MW: 514.63
CN: 4'-[(1,4'-Dimethyl-2'-propyl[2,6'-bi-1*H*-benzimidazol]-1'-yl)methyl][1,1'-biphenyl]-2-carboxylic acid

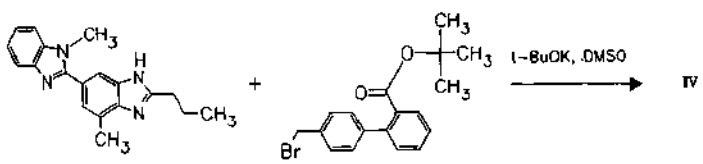




methyl 4-butyramido-3-methyl-5-nitrobenzoate

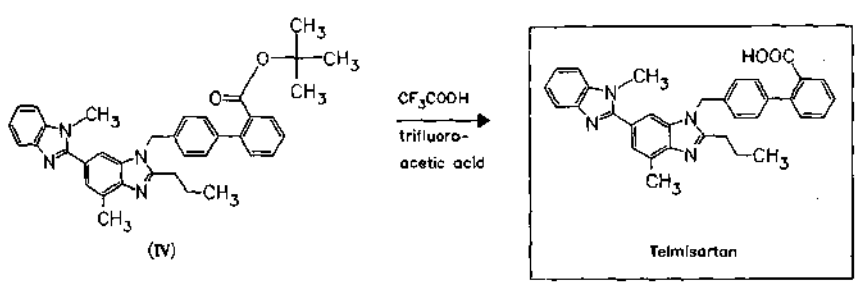
methyl 2-propyl-4-methylbenzimidazole-6-carboxylate (II)





4-methyl-6-(1-methylbenzimidazol-2-yl)-2-propylbenzimidazole (III)

tert-butyl 4'-(bromomethyl)biphenyl-2-carboxylate



Reference(s):
Ries, U. et al.: J. Med. Chem. (JMCMAR) **36**, 4040-4051 (1993)
EP 502 314 (Thomae; 9.9.1992; appl. 31.1.1992; D-prior. 6.2.1991)
DE 4 408 497 (Thomae; 21.9.1995; appl. 14.3.1994; D-prior. 14.3.1994)

use for the treatment of a condition associated with hypoxia or unpaired metabolic function:
WO 9 920 260 (Eurogene Ltd.; appl. 19.10.1998; GB-prior. 17.10.1997)

use to treat symptomatic heart failure:
WO 9 830 216 (Merck + Co.; appl. 7.1.1998; USA-prior. 10.1.1997)

method to treat cardiofibrosis with a combination of an ATII-antagonist and spironolactone:
WO 9 640 256 (G. D. Searle; appl. 5.6.1996; USA-prior. 7.6.1995)

Formulation(s): tabl. 40 mg, 80 mg

Trade Name(s):
D: Micardis (Boehringer Ingelheim; 1998)

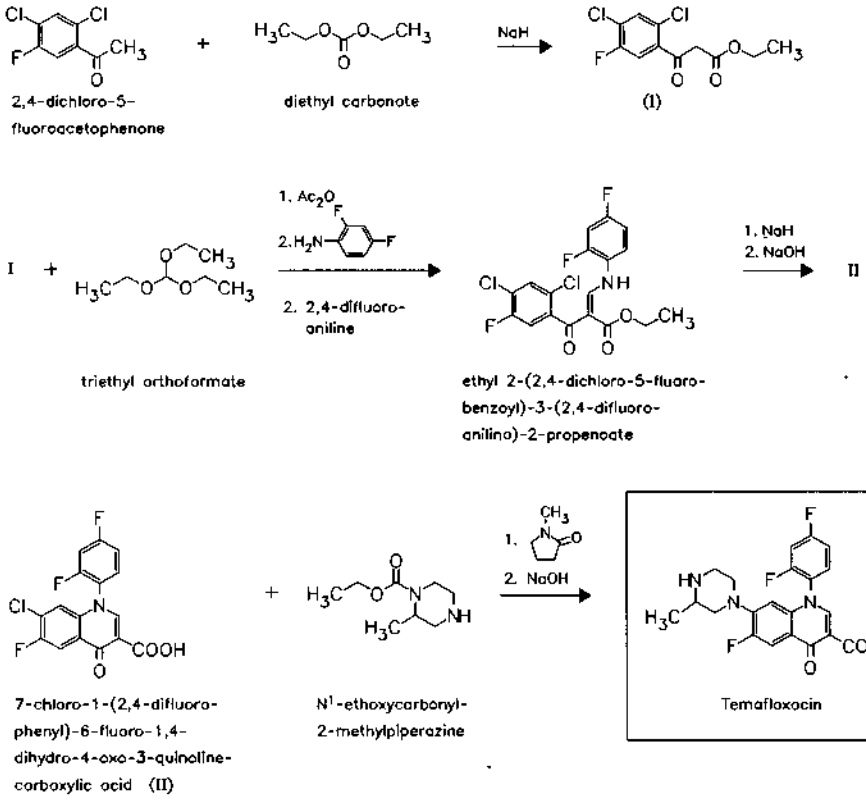
Temafloxacin

(TA-167)

ATC: J01MA05
Use: quinolone antibacterial, gyrase inhibitor

RN: 108319-06-8 MF: $C_{21}H_{18}F_3N_3O_3$ MW: 417.39
CN: 1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-7-(3-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid

monohydrochloride
RN: 105784-61-0 MF: $C_{21}H_{18}F_3N_3O_3 \cdot HCl$ MW: 453.85



Reference(s):
EP 131 839 (Abbott; appl. 3.7.1984; USA-prior. 18.7.1983).
US 4 730 000 (Abbott; 8.3.1988; prior. 18.7.1983; 9.4.1984, 6.12.1984, 7.10.1985, 4.8.1987).
EP 350 950 (Abbott; appl. 14.7.1989; USA-prior. 15.7.1988).

medical use for treatment of AIDS related infections:
EP 437 128 (Rhône-Poulenc; appl. 10.12.1990; F-prior. 11.12.1989).

i.v. formulation with improved tolerability:
WO 9 109 525 (Abbott; appl. 20.12.1990; USA-prior. 29.12.1989).

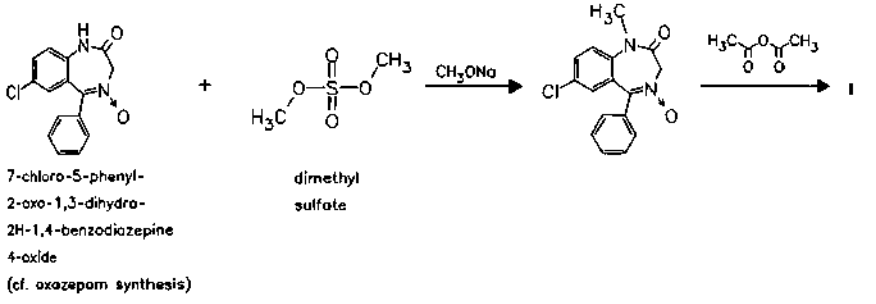
Formulation(s): tabl. 300 mg, 400 mg, 600 mg (as hydrochloride)

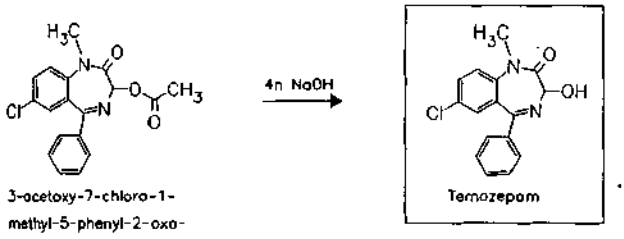
Trade Name(s):
GB: Teflox (Abbott; ICI; 1991); wfm
USA: Omniflox (Abbott-Zeneca); wfm

Temazepam
(Methyloxazepam)

ATC: N05CD07
Use: tranquilizer, anticonvulsant, sedative, hypnotic

RN: 846-50-4 MF: $C_{16}H_{13}ClN_2O_2$ MW: 300.75 EINECS: 212-688-1
LD_{50}: 370 mg/kg (M, p.o.);
2 g/kg (R, p.o.);
3620 mg/kg (dog, p.o.)
CN: 7-chloro-1,3-dihydro-3-hydroxy-1-methyl-5-phenyl-2*H*-1,4-benzodiazepin-2-one





Reference(s):
GB 1 022 642 (American Home; appl. 28.8.1962; USA-prior. 29.8.1961, 5.3.1962).
GB 1 022 645 (American Home; appl. 28.8.1962; USA-prior. 29.8.1961, 5.3.1962).

Formulation(s): cps. 7.5 mg, 10 mg, 15 mg, 20 mg, 30 mg

Trade Name(s):

D:	Neodorm (Knoll)		Remestan /-mite (Wyeth)	I:	Evipnos (Pharmacia & Upjohn)
	Norkotral (Desitin)		temazep (ct-Arzneimittel)		Levanxol (Carlo Erba);
	Planum /-mite (Pharmacia & Upjohn)	F:	Normison (Wyeth)		wfm
		GB:	Euhypnos (Montedison)		Normison (Wyeth-Lederle)
	Pronervon (Produpharm Lappe)		Normison (Wyeth)	USA:	Restoril (Novartis)

Temocapril
(RS-5142; CS 622)

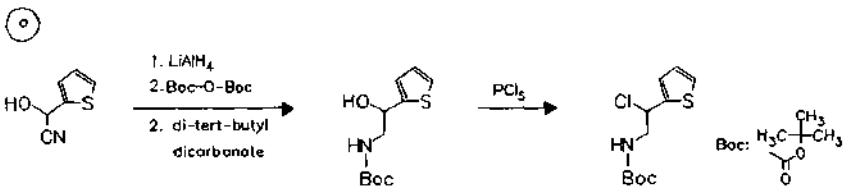
ATC: C09AA
Use: antihypertensive (ACE inhibitor)

RN: 111902-57-9 MF: $C_{23}H_{28}N_2O_5S_2$ MW: 476.62
CN: [2*S*-[2α,6β(*R**)]]-6-[[1-(ethoxycarbonyl)-3-phenylpropyl]amino]tetrahydro-5-oxo-2-(2-thienyl)-1,4-thiazepine-4(5*H*)-acetic acid

monohydrochloride

RN: 110221-44-8 MF: $C_{23}H_{28}N_2O_5S_2 \cdot HCl$ MW: 513.08

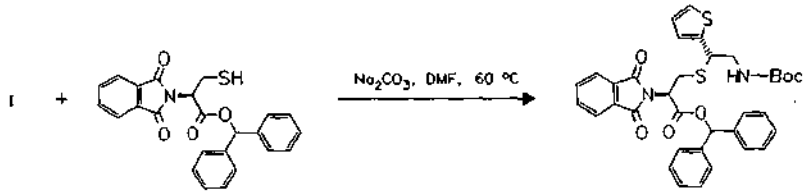
LD_{50}: >5 g/kg (M, p.o.);
>5 g/kg (R, p.o.)



α-hydroxy-2-thiophene-acetonitrile

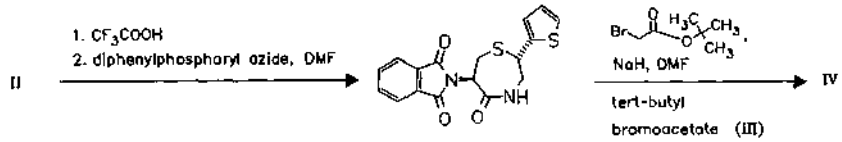
2-tert-butoxycarbonylamino-1-(2-thienyl)-ethanol

2-tert-butoxycarbonylamino-1-chloro-1-(2-thienyl)ethane (I)



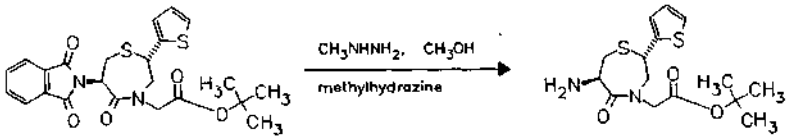
N-phthaloyl-L-cysteine benzhydryl ester

S-[2-tert-butoxycarbonylamino-1(S)-(2-thienyl)ethyl]-N-phthaloyl-L-cysteine benzhydryl ester (II)



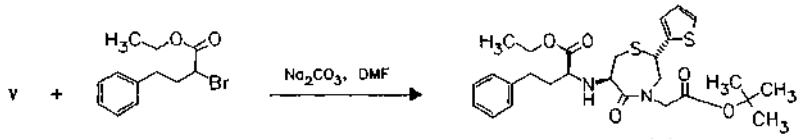
(2S,6R)-5-oxo-6-phthalimido-2-(2-thienyl)perhydro-1,4-thiazepine

tert-butyl bromoacetate (III)



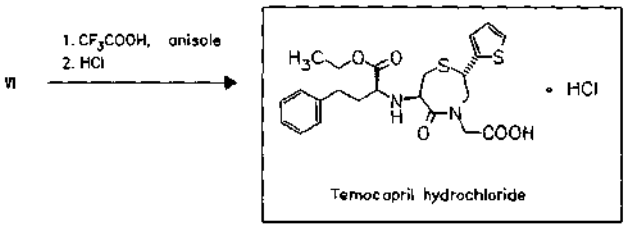
tert-butyl (2S,6R)-5-oxo-6-phthalimido-2-(2-thienyl)-perhydro-1,4-thiazepine-4-acetate (IV)

tert-butyl (2S,6R)-6-amino-5-oxo-2-(2-thienyl)-perhydro-1,4-thiazepine-4-acetate (V)

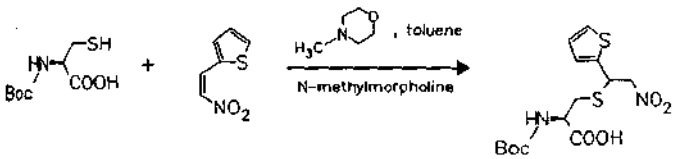


ethyl 2-bromo-4-phenylbutyrate

(VI)



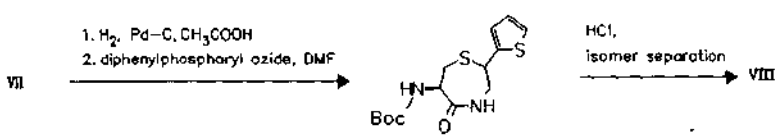
(b)



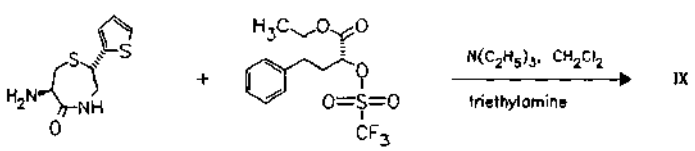
N-tert-butoxycarbonyl-L-cysteine

2-(2-nitroethenyl)-thiophene

S-[2-nitro-1-(2-thienyl)ethyl]-N-tert-butoxycarbonyl-L-cysteine (VII)



(2RS,6R)-6-tert-butoxycarbonylamino-2-(2-thienyl)-5-oxoperhydro-1,4-thiazepine



(2S,6R)-6-amino-5-oxo-2-(2-thienyl)perhydro-1,4-thiazepine (VIII)

ethyl 2(R)-trifluoromethylsulfonyloxy-4-phenylbutyrate

(2S,6R)-6-[[1(S)-ethoxycarbonyl-3-phenylpropyl]-amino]-5-oxo-2-(2-thienyl)perhydro-1,4-thiazepine (IX)

(X)

Reference(s):
Yanagisawa, H. et al.: J. Med. Chem. (JMCMAR) **30**, 1984-1991 (1987).
EP 161 801 (Sankyo; 21.11.1985; J-prior. 10.4.1984).

process patent:
JP 62 161 775 (Sankyo; 17.7.1987; J-prior. 12.9.1985).

synergistic combination with thromboxane A2 inhibitors:
WO 9 206 713 (Farmitalia; appl. 16.10.1991; I-prior. 16.10.1990).

combination with diuretics:
WO 9 317 685 (Merck & Co.; appl. 3.3.1993; USA-prior. 11.3.1992).

Formulation(s): tabl. 1 mg, 2 mg, 4 mg (as hydrochloride)

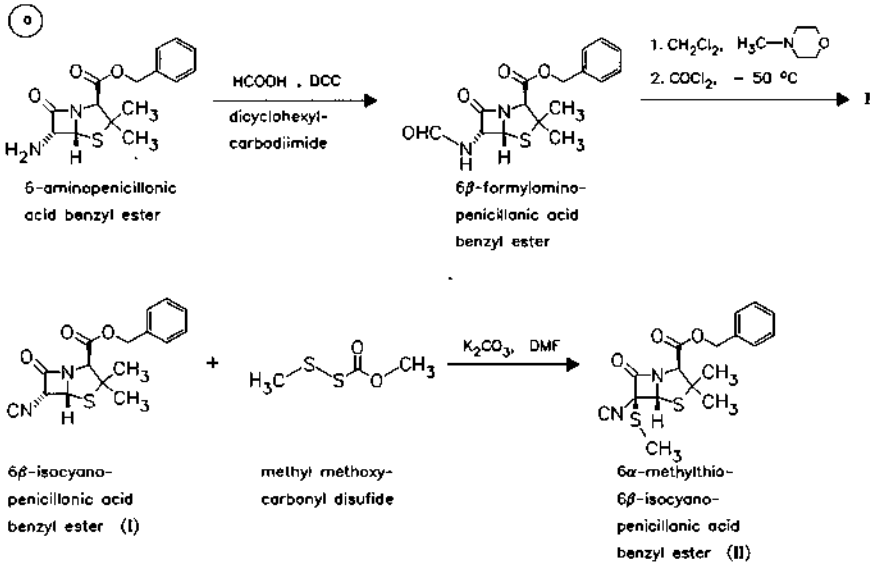
Trade Name(s):
J: Acecol (Sankyo/Nippon Boehringer Ing.)

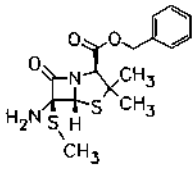
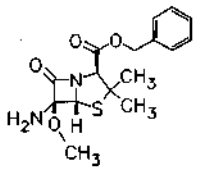
Temocillin

ATC: J01CA17
Use: β-lactam antibiotic (penicillin derivative)

RN: 66148-78-5 MF: $C_{16}H_{18}N_2O_7S_2$ MW: 414.46 EINECS: 266-184-1
CN: [2*S*-(2α,5α,6α)]-6-[(carboxy-3-thienylacetyl)amino]-6-methoxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

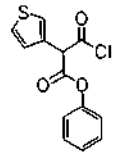
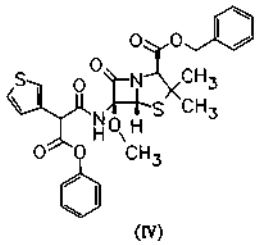
disodium salt
RN: 61545-06-0 MF: $C_{16}H_{16}N_2Na_2O_7S_2$ MW: 458.42 EINECS: 262-835-9



II $\xrightarrow{CHCl_3,\ TosOH}$  $\xrightarrow[Hg_2Cl_2/pyridine\ or\ AgNO_3]{H_3C{-}OH,\ DMF}$ 

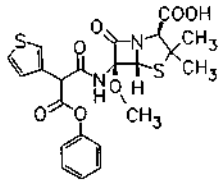
6α-methylthio-6β-aminopenicillanic acid benzyl ester

6α-methoxy-6β-aminopenicillanic acid benzyl ester (III)

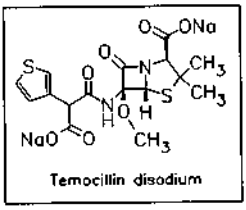
III +  $\xrightarrow[2.\ H_2O,\ HCl\quad 3.\ NaHCO_3]{1.\ CH_2Cl_2,\ pyridine}$ 

3-thienylmalonic acid monophenyl ester monochloride

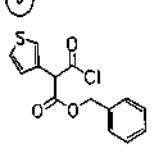
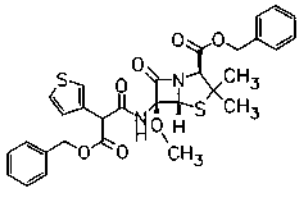
(IV)

IV $\xrightarrow{H_2,\ Pd{-}C,\ C_2H_5OH,\ H_2O,\ NaHCO_3}$ 

(V)

V $\xrightarrow{Na_2B_4O_7\cdot 10\ H_2O,\ H_2O,\ 20\ ^\circ C}$ 

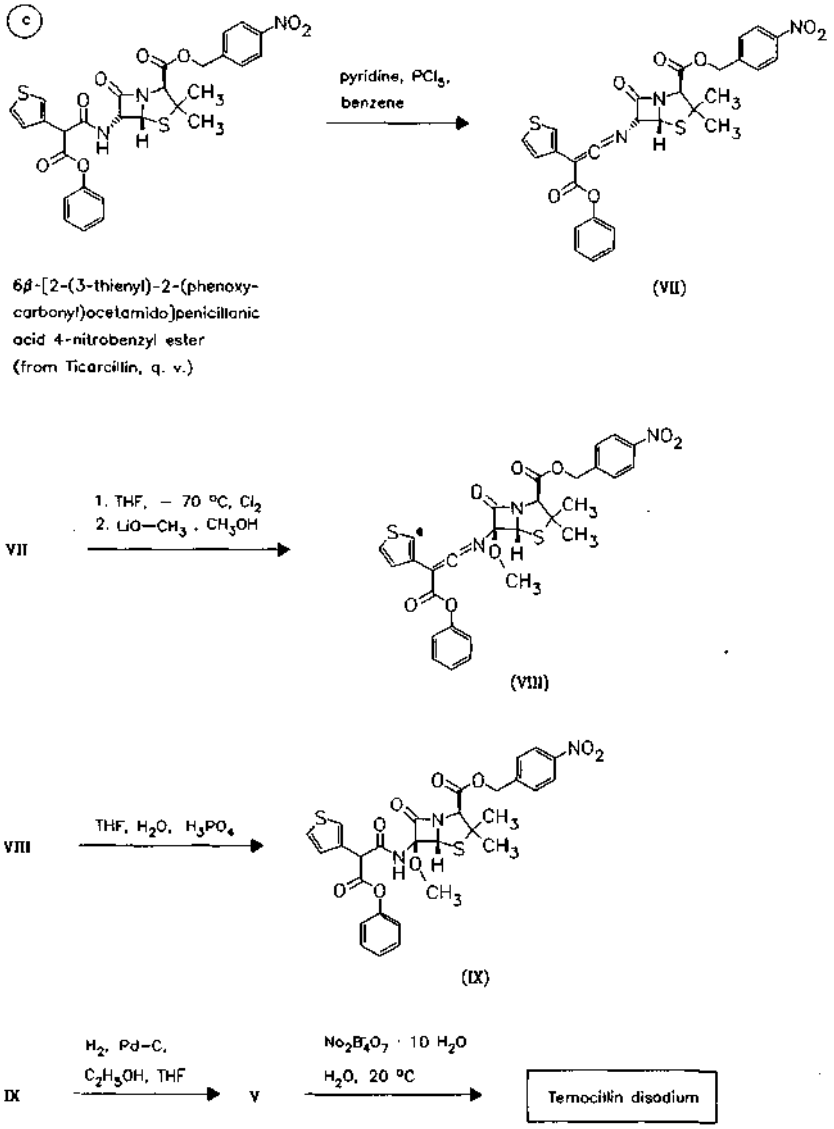
Temocillin disodium

b  + III $\xrightarrow{CH_2Cl_2,\ pyridine}$ 

3-thienylmalonic acid monobenzyl ester monochloride

(VI)

VI $\xrightarrow{H_2,\ Pd{-}C,\ C_2H_5OH,\ H_2O,\ NaHCO_3}$ Temocillin disodium



Reference(s):

a,b DOS 2 600 866 (Beecham; appl. 12.1.1976; GB-prior. 17.1.1975, 17.6.1975, 16.8.1975).
US 4 048 320 (Beecham; 13.9.1977; GB-prior. 17.1.1975, 17.6.1975, 16.8.1975).
6α-methylthio- resp. 6α-methoxy-6β-aminopenicillanic acid ester:
DOS 2 407 000 (Beecham; appl. 14.2.1974).
Jen, T. et al: J. Org. Chem. (JOCEAH) **38**, 2857 (1973).
Slusarchyk, W.A. et al.: J. Org. Chem. (JOCEAH) **38**, 943 (1973).
Baldwin, J.E. et al.: J. Am. Chem. Soc. (JACSAT) **95**, 2401 (1973).
6-isocyanopenicillanic acid derivatives:
Bentley, P.H. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1979**, 2455.

c DOS 2 728 601 (Beecham; appl. 24.8.1977; GB-prior. 26.6.1976).
US 41 82-710 (Beecham; 8.1.1980; GB-prior. 26.6.1976).
US 4 185 014 (Beecham; 22.1.1980; GB-prior. 26.6.1976).

Formulation(s): vial 500 mg, 1 g, 2 g (as disodium salt)

Trade Name(s):

D: Temopen (Beecham-Wülfing); wfm

GB: Temopen (Bencard)

Teniposide

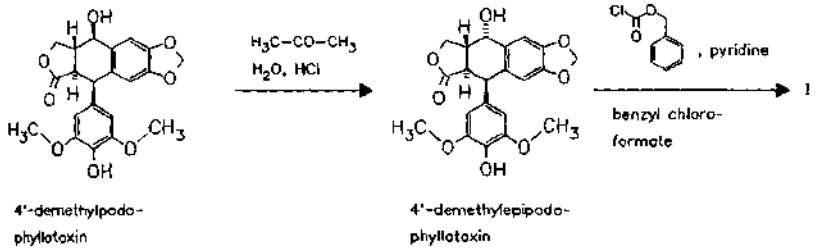
ATC: L01CB02

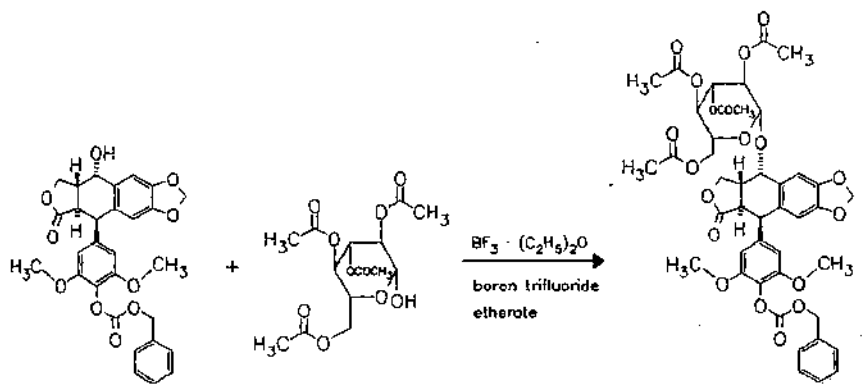
Use: antineoplastic (leucemia)

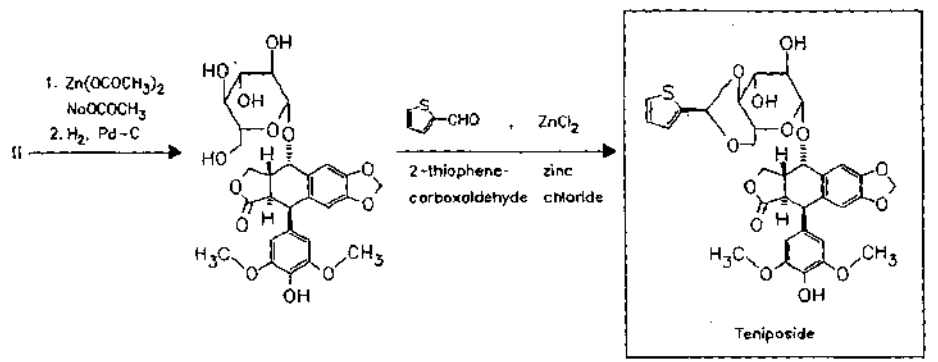
RN: 29767-20-2 MF: $C_{32}H_{32}O_{13}S$ MW: 656.66 EINECS: 249-831-2

LD_{50}: 29.57 mg/kg (M, i.p.); 31.56 mg/kg (M, s.c.)

CN: [5*R*-[5α,5aβ,8aα,9β(*R**)]]-5,8,8a,9-tetrahydro-5-(4-hydroxy-3,5-dimethoxyphenyl)-9-[[4,6-*O*-(2-thienylmethylene)-β-D-glucopyranosyl]oxy]furo[3',4':6,7]naphtho[2,3-*d*]-1,3-dioxol-6(5a*H*)-one







Reference(s):
DOS 1 543 890 (Sandoz; appl. 10.12.1966; CH-prior. 14.12.1966, 12.10.1966, 14.12.1965).
Keller-Juslen, C. et al.: J. Med. Chem. (JMCMAR) **14**, 936 (1971).
FR 1 518 706 (Sandoz AG; appl. 15.6.1967; CH-prior. 12.10.1966, 14.12.1965).

toxicity reduction by addition of lithium carbonate:
FR 2 320 104 (Sandoz; appl. 6.8.1975).

Formulation(s): amp. 50 mg

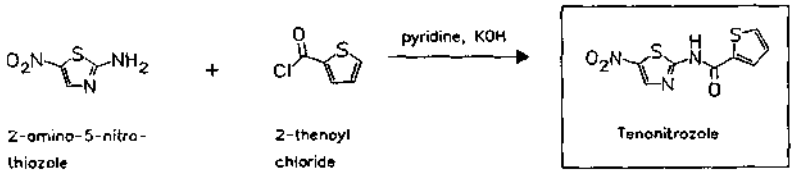
Trade Name(s):

D:	VM-26-Bristol (Bristol-Myers Squibb)	I:	Vumon (Bristol-Myers Squibb)	USA:	Vumon (Bristol-Myers Squibb)
F:	Véhem-Sandoz (Novartis)				

Tenonitrozole
(Thenitrazolum)

ATC: P01AX08
Use: antiparasitic agent, antifungal, chemotherapeutic (trichomonas), antiprotozoal

RN: 3810-35-3 MF: $C_8H_5N_3O_3S_2$ MW: 255.28 EINECS: 223-282-9
CN: *N*-(5-nitro-2-thiazolyl)-2-thiophenecarboxamide



Reference(s):
FR-M 715 (H. R. Chantereau; appl. 1961).

Formulation(s): drg. 100 mg

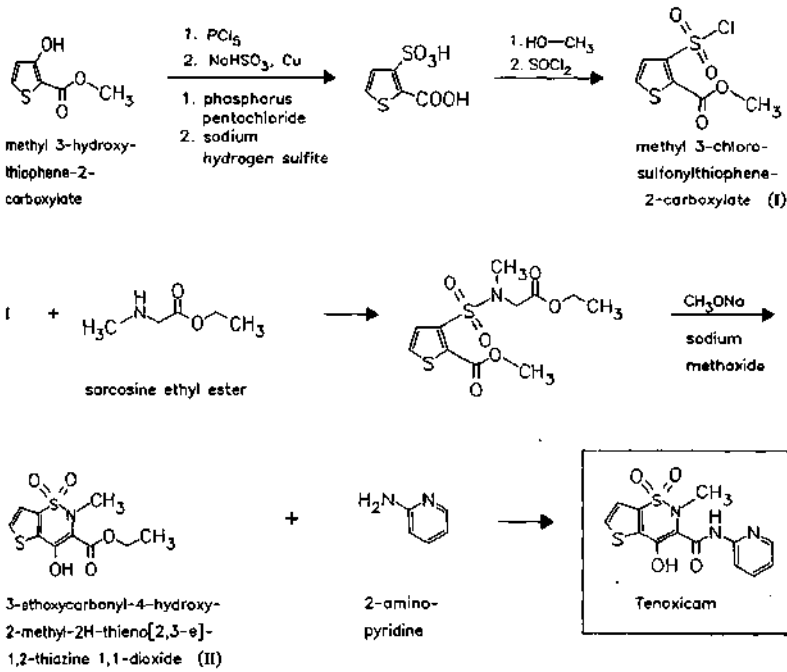
Trade Name(s):

D:	Moniflagon (Schur); wfm	F:	Atrican (Innotech International)	I:	Atrican (Bouty); wfm

Tenoxicam

ATC: M01AC02
Use: anti-inflammatory, analgesic analog of piroxicam

RN: 59804-37-4 MF: $C_{13}H_{11}N_3O_4S_2$ MW: 337.38
LD_{50}: 297 mg/kg (M, p.o.);
79 mg/kg (R, p.o.);
>128 mg/kg (dog, p.o.)
CN: 4-hydroxy-2-methyl-*N*-2-pyridinyl-2*H*-thieno[2,3-*e*]-1,2-thiazine-3-carboxamide 1,1-dioxide



Reference(s):
DOS 2 537 070 (Hoffmann-La Roche; appl. 20.8.1975; CH-prior. 26.8.1974, 9.9.1974).
GB 1 519 812 (Hoffmann-La Roche; appl. 22.8.1975).
GB 1 519 811 (Hoffmann-La Roche; appl. 22.8.1975; CH-prior. 9.9.1974).
US 4 076 709 (Roche; 28.2.1978; appl. 21.8.1975; CH-prior. 9.9.1974).

Formulation(s): amp. 20 mg; powder 20 mg; suppos. 20 mg; tabl. 10 mg, 20 mg

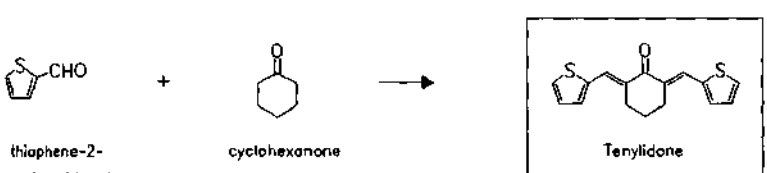
Trade Name(s):

D:	Liman (Solvay Arzneimittel; 1990) Tilcotil (Roche; 1990)	GB:	Mobiflex (Roche; 1988)	J:	Tilcotil (Nihon Roche-Kyorin)
F:	Tilcotil (Roche; 1988)	I:	Dolmen (Sigma-Tau; 1989) Rexalgan (Dompé) Tilcotil (Roche; 1989)		

Tenylidone

ATC: A05BA
Use: liver therapeutic

RN: 893-01-6 MF: $C_{16}H_{14}OS_2$ MW: 286.42 EINECS: 212-969-9
CN: 2,6-bis(2-thienylmethylene)cyclohexanone



Reference(s):
FR-M 64 (R. Blaise; appl. 1960).

Formulation(s): gran. 50 %

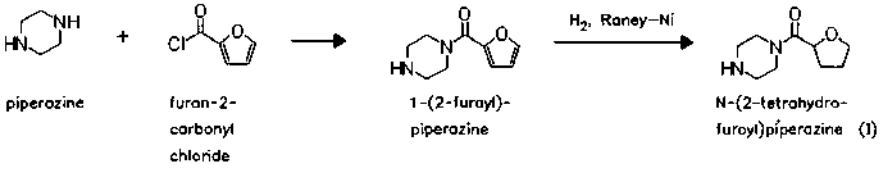
Trade Name(s):
F: Margéryl (Marinier); wfm Thiofantile (Ana); wfm Vanitile (Ana); wfm

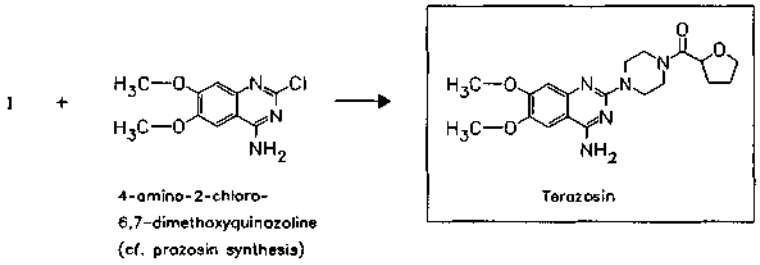
Terazosin

ATC: G04CA03
Use: antihypertensive, α-blocker

RN: 63590-64-7 MF: $C_{19}H_{25}N_5O_4$ MW: 387.44
CN: 1-(4-amino-6,7-diethoxy-2-quinazolinyl)-4-[(tetrahydro-2-furanyl)carbonyl]piperazine

hydrochloride
RN: 63074-08-8 MF: $C_{19}H_{25}N_5O_4 \cdot HCl$ MW: 423.90
monohydrochloride dihydrate
RN: 70024-40-7 MF: $C_{19}H_{25}N_5O_4 \cdot HCl \cdot 2H_2O$ MW: 459.93
LD_{50}: 237 mg/kg (M, i.v.); >8 g/kg (M, p.o.);
255 mg/kg (R, i.v.); 5500 mg/kg (R, p.o.)





Reference(s):
DOS 2 646 186 (Abbott; appl. 13.10.1976; USA-prior. 14.10.1975).
US 4 026 894 (Abbott; 31.5.1977; prior. 14.10.1975).
US 4 112 097 (Abbott; 5.9.1978; prior. 21.1.1977).

hydrochloride hydrate:
DOS 2 831 112 (Abbott; appl. 14.7.1978; USA-prior. 4.8.1977).

dihydrate via form IV:
US 5 504 207 (Abbott; 2.4.1996; USA-prior. 18.10.1994).

dihydrate:
WO 9 925 715 (Teva; appl. 12.11.1998; USA-prior. 14.11.1997).

manufacture of form I:
EP 845 461 (Alfa Chem; appl. 17.10.1997; I-prior. 29.11.1996).
EP 845 462 (Alfa Chem; appl. 17.10.1997; I-prior. 29.11.1996).

form III:
US 5 412 905 (Abbott; appl. 20.5.1994; USA-prior. 29.4.1993).

process for a polymorph:
CA 2 173 407 (Acic; appl. 3.4.1993).
WO 9 721 705 (Uetikon; appl. 12.12.1996; D-prior. 13.12.1995).
US 5 587 377 (Invamed; USA-prior. 24.10.1995).

capsules:
WO 9 805 308 (Novartis; appl. 31.7.1997; USA-prior. 1.8.1996).

pharmaceutical composition for treating glaucoma:
WO 9 531 200 (Senju Pharm.; appl. 15.5.1995; J-prior. 18.5.1994).

(*R*)-(+)-terazosin:
WO 9 200 073 (Abbott; appl. 26.6.1991; USA-prior. 29.6.1990).

Formulation(s): cps. (USA) 1 mg, 2 mg, 5 mg, 10 mg; tabl. 1 mg, 2 mg, 5 mg, 10 mg (as monohydrochloride dihydrate)

Trade Name(s):

D:	Flotrin Start (Abbott)		Hytrine (Abbott)		Vasomet (Mitsubishi)
	Heitrin (Abbott; 1985)	GB:	Hytrin (Abbott; 1987)	USA:	Hytrine (Abbott; 1987)
F:	Dysalfa (Débat)	J:	Hytracin (Dainabot)		

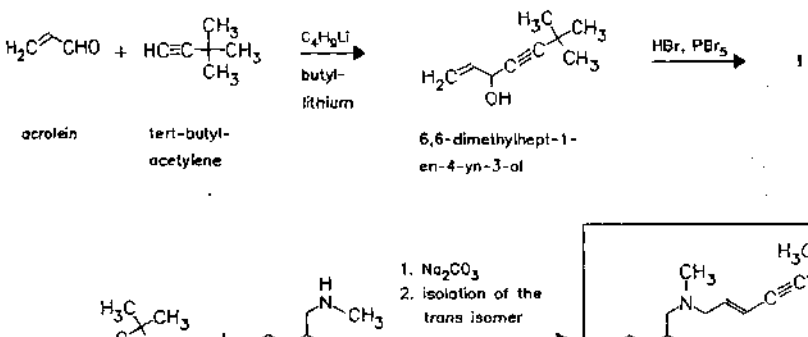
Terbinafine

(SF-86327)

ATC: D01AE15; D01BA02; J02AX
Use: orally and topically active antifungal

RN: 91161-71-6 MF: $C_{21}H_{25}N$ MW: 291.44
LD_{50}: 393 mg/kg (M, i.v.); 4000 mg/kg (M, p.o.); >2 g/kg (M, s.c.);
213 mg/kg (R, i.v.); 4000 mg/kg (R, p.o.); >2 g/kg (R, s.c.); >2 g/kg (R, skin)
CN: (*E*)-*N*-(6,6-dimethyl-2-hepten-4-ynyl)-*N*-methyl-1-naphthalenemethanamine

hydrochloride
RN: 78628-80-5 MF: $C_{21}H_{25}N \cdot HCl$ MW: 327.90
LD_{50}: >2 g/kg (M, s.c.);
>2 g/kg (R, s.c.); >2 g/kg (R, skin)



Reference(s):
EP 24 587 (Sandoz; appl. 6.8.1980; CH-prior. 22.8.1979).
Stütz, A.; Petranyi, G.; J. Med. Chem. (JMCMAR) 27, 1539 (1984).

Formulation(s): cream 10 mg/g; tabl. 250 mg (as hydrochloride)

Trade Name(s):

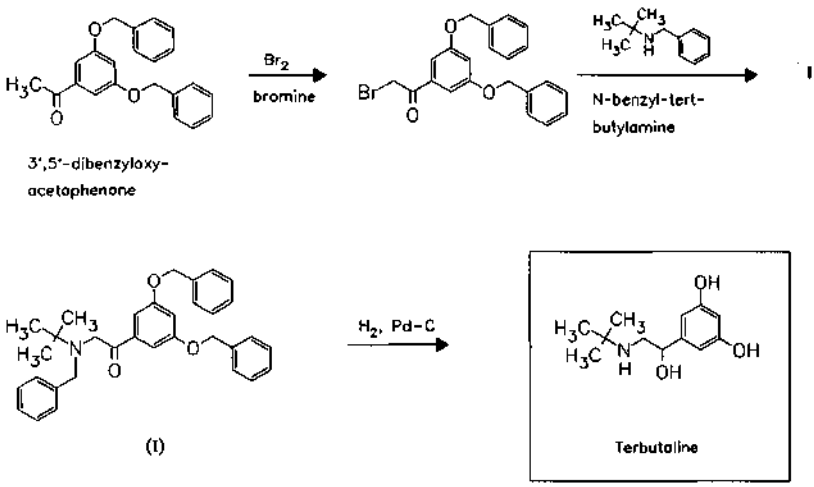
D:	Lamisil (Novartis; 1991)	I:	Daskil (LPB)	J:	Lamisil (Toko Yakuhin-Sandoz)
F:	Lamisil (Novartis)		Lamisil (Novartis)		
GB:	Lamisil (Novartis; 1990)			USA:	Lamisil (Novartis)

Terbutaline

ATC: R03AC03; R03CC03
Use: bronchodilator, tocolytic

RN: 23031-25-6 MF: $C_{12}H_{19}NO_3$ MW: 225.29 EINECS: 245-385-8
CN: 5-[2-[(1,1-dimethylethyl)amino]-1-hydroxyethyl]-1,3-benzenediol

sulfate (2:1)
RN: 23031-32-5 MF: $C_{12}H_{19}NO_3 \cdot 1/2H_2SO_4$ MW: 548.65 EINECS: 245-386-3
LD_{50}: 36 mg/kg (M, i.v.); 205 mg/kg (M, p.o.);
69 mg/kg (R, i.v.); 8700 mg/kg (R, p.o.);
116 mg/kg (dog, i.v.); 1520 mg/kg (dog, p.o.)



Reference(s):
DE 1 643 296 (Draco; prior. 17.10.1967).
GB 1 199 630 (Draco; Lund; appl. 18.10.1967; S-prior. 19.10.1966).
US 3 937 838 (Draco; 10.2.1976; prior. 18.10.1967).
US 4 011 258 (Draco; 8.3.1977; prior. 21.6.1973).

Formulation(s): amp. 0.5 mg/ml, 1 mg/ml; powder inhaler 0.5 mg/puff; sol. for inhalation 10 mg/ml (as sulfate); s. r. cps. 7.5 mg; s. r. tabl. 7.5 mg; tabl. 2.5 mg, 5 mg

Trade Name(s):

D:	Aerodur (Astra/pharma-stern)		Bricanyl (pharma-stern; 1971)		Terbul (Hexal)
	ARUBENDOL (Isis Pharma)		Bricanyl (Astra)-comb. with guaifenesin		Terbutalin (Mundipharma; Stada; Aluid Pharma; ratiopharm)
	Asthmo (Krewel Meuselbach)		Butaliret (Fatol)		Terbuturmant (Desitin)
	Asthmoprotect (Azupharma)		Butalitab (Fatol)Contimit (Lindopharm)	F:	Bricanyl (Astra; 1973)
			Eudur (Astra)-comb. with theophyllin		Bricanyl Turbohaler (Astra)
				GB:	Bricanyl (Astra; 1971)
				I:	Bricanyl (Astra)

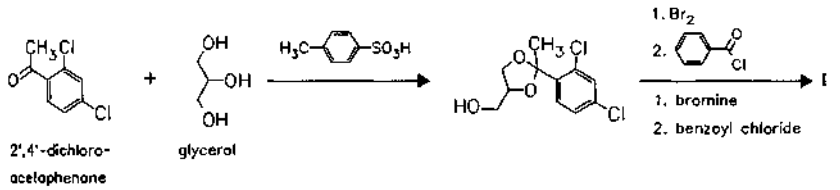
J: Bricanyl (Astra-Fujisawa; 1974) | Bristurin (Bristol) | USA: Brethine (Novartis; 1975) | Brinacyl (Hoechst Marion Roussel; 1974)

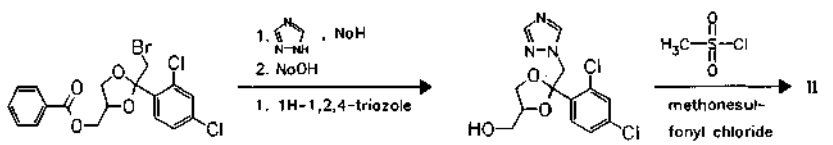
Terconazole

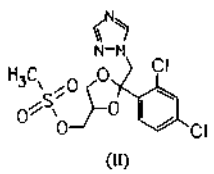
(Triconazole)

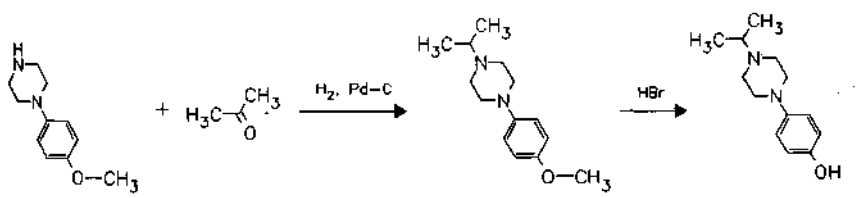
ATC: G01AG02
Use: antifungal

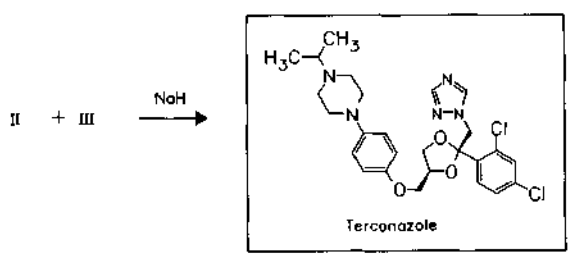
RN: 67915-31-5 MF: $C_{26}H_{31}Cl_2N_5O_3$ MW: 532.47 EINECS: 267-751-6
LD_{50}: 1741 mg/kg (Rm, p.o.); 849 mg/kg (Rf, p.o.)
CN: *cis*-1-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-4-(1-methylethyl)piperazine






(II)





Reference(s):
DE 2 804 096 (Janssen; appl. 3.8.1978; prior. 31.1.1978).
US 4 358 449 (Janssen; 9.11.1982; prior. 21.11.1977).
US 4 144 346 (Janssen; 13.3.1979; prior. 21.11.1977, 31.1.1977).
US 4 223 036 (Janssen; 16.9.1980; prior. 8.1.1979, 21.11.1977, 31.1.1977).
Heeres, J. et al.: J. Med. Chem. (JMCMAR) **26**, 611 (1983).

synthesis of 2-bromomethyl-2-(2,4-dichlorophenyl)-4-(benzoyloxymethyl)-1,3-dioxolane:
Heeres, J. et al.: J. Med. Chem. (JMCMAR) **22**, 1003 (1979).

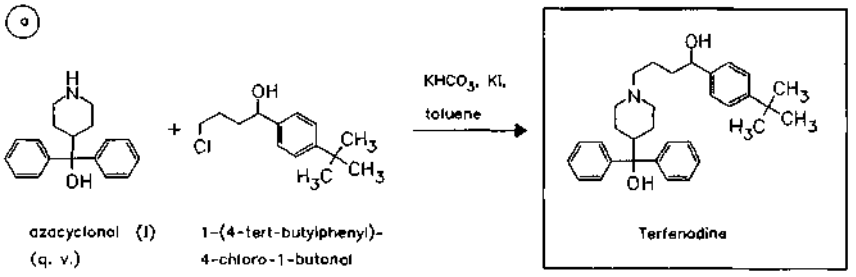
Formulation(s): suppos. 80 mg; vaginal cream 0.4 %, 0.8 %; vaginal tabl. 80 mg

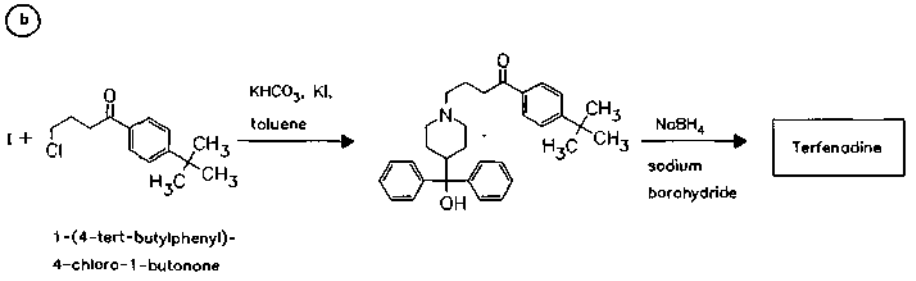
Trade Name(s):
D: Tercospor (Cilag; 1985); wfm
I: Terconal (Italchimici)
USA: Terazol (Ortho-McNeil; 1988)

Terfenadine

ATC: R06AX12
Use: antihistaminic, antiallergic

RN: 50679-08-8 MF: $C_{32}H_{41}NO_2$ MW: 471.69 EINECS: 256-710-8
LD_{50}: 5 g/kg (M, p.o.);
5 g/kg (R, p.o.)
CN: α-[4-(1,1-dimethylethyl)phenyl]-4-(hydroxydiphenylmethyl)-1-piperidinebutanol





Reference(s):
DOS 2 303 305 (Richardson-Merrell; appl. 24.1.1973; USA-prior. 28.1.1972).
DOS 2 503 362 (Richardson-Merrell; appl. 28.1.1975; USA-prior. 8.2.1974).
addition to DOS 2 303 306 (Richardson-Merrell; appl. 28.1.1975; USA-prior. 8.2.1974).
GB 1 412 605 (Richardson-Merrell; valid from 15.12.1972; USA-prior. 28.1.1972).
US 3 878 217 (Richardson-Merrell; 15.4.1975; appl. 12.7.1973; prior. 28.1.1972).

Formulation(s): susp. 30 mg/5 ml; s. r. tabl. 60 mg in comb. with pseudoephedrine.HCl; tabl. 60 mg, 120 mg

Trade Name(s):

D:	Balkis (Dolorgiet)		Terfium (Hexal)	J:	Triludan (Merrell Dow-Shionogi)
	Hisfedine (Wolff)		Vividrin (Mann)		
	Histaterfen (Azupharma)	F:	Teldane (Merrell); wfm	USA:	Seldane (Hoechst Marion Roussel; 1985)
	Teldane (Hoechst; 1982)		Teldane (Richardson-Merrell); wfm		
	Terfedura (durachemie)				
	Terfemundin (Mundipharma)	GB:	Triludan (Hoechst)		
		I:	Teldane (Lepetit); wfm		

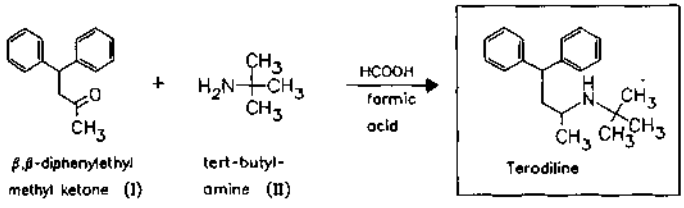
Terodiline

ATC: G04BD05
Use: antianginal, calcium antagonist, anticholinergic, treatment of urinary frequency and incontinence

RN: 15793-40-5 MF: $C_{20}H_{27}N$ MW: 281.44
CN: *N*-(1,1-dimethylethyl)-α-methyl-γ-phenylbenzenepropanamine

hydrochloride
RN: 7082-21-5 MF: $C_{20}H_{27}N \cdot HCl$ MW: 317.90
LD_{50}: 28 mg/kg (M, i.v.); 330 mg/kg (M, p.o.); 170 mg/kg (M, s.c.)
27 mg/kg (R, i.v.); 465 mg/kg (R, p.o.); 370 mg/kg (R, s.c.)
63.3 g/kg (dog, p.o.)

a



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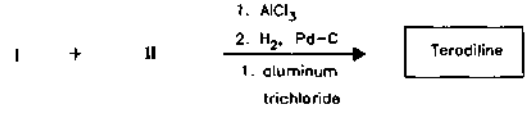


Reference(s):
a DE 1 170 417 (Aktiebolaget Recip.; appl. 8.11.1961; GB-prior. 8.11.1960).
b HU T32 331 (Richter Gedeon Vegyeszeti Gyar; appl. 30.7.1984; HU-prior. 21.9.1982).

Formulation(s): f. c. tabl. 12.5 mg, 25 mg (as hydrochloride)

Trade Name(s):

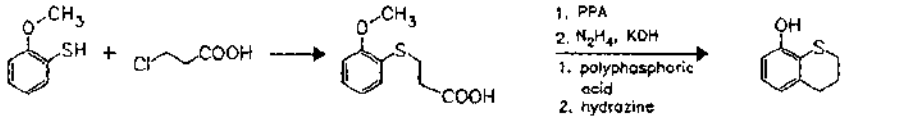
D:	Mictrol (Fresenius; 1990); wfm	GB:	Micturin (Pharmacia & Upjohn); wfm		Midurin (Uabi; 1986); wfm
				J:	Mictrol (Kissei; 1988)

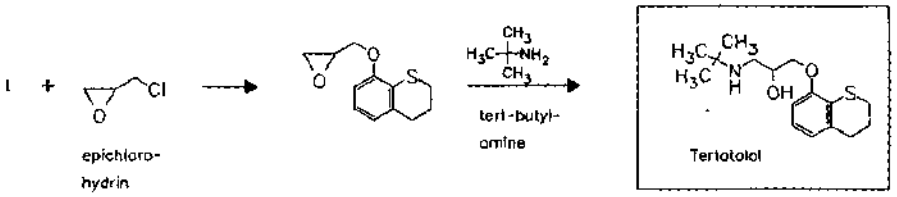
Tertatolol

ATC: C07AA16
Use: β-adrenoceptor antagonist, antihypertensive

RN: 34784-64-0 MF: $C_{16}H_{25}NO_2S$ MW: 295.45
CN: (±)-1-[(3,4-dihydro-2*H*-1-benzothiopyran-8-yl)oxy]-3-[(1,1-dimethylethyl)amino]-2-propanol

hydrochloride
RN: 33580-30-2 MF: $C_{16}H_{25}NO_2S \cdot HCl$ MW: 331.91 EINECS: 251-578-8
LD_{50}: 120 mg/kg (M, i.p.); 37 mg/kg (M, i.v.);
90 mg/kg (R, i.p.); 40 mg/kg (R, i.v.)





Reference(s):
DE 2 115 201 (Science Union et Cie.; appl. 29.3.1971; GB-prior. 6.4.1970).
US 3 960 891 (Science Union et Cie.; 1.6.1976; GB-prior. 6.4.1970).
US 4 032 648 (Science Union et Cie.; 28.6.1977; GB-prior. 6.4.1970).

alternative synthesis:
GB 1 561 153 (Science Union et Cie.; appl. 23.8.1976).

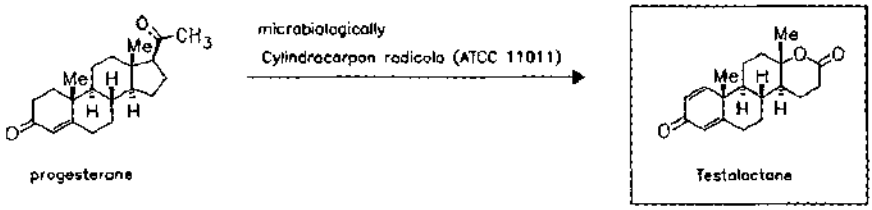
Formulation(s): f. c. tabl. 5 mg (as hydrochloride)

Trade Name(s):
D: Prenalex (Servier; 1990) F: Artex (Servier; Therval; 1990)

Testolactone

ATC: L02AX
Use: antineoplastic (mamma carcinoma)

RN: 968-93-4 MF: $C_{19}H_{24}O_3$ MW: 300.40 EINECS: 213-534-6
CN: D-homo-17a-oxaandrosta-1,4-diene-3,17-dione



Reference(s):
US 2 744 120 (Olin Mathieson; 1956; appl. 1953).

Formulation(s): tabl. 50 mg

Trade Name(s):

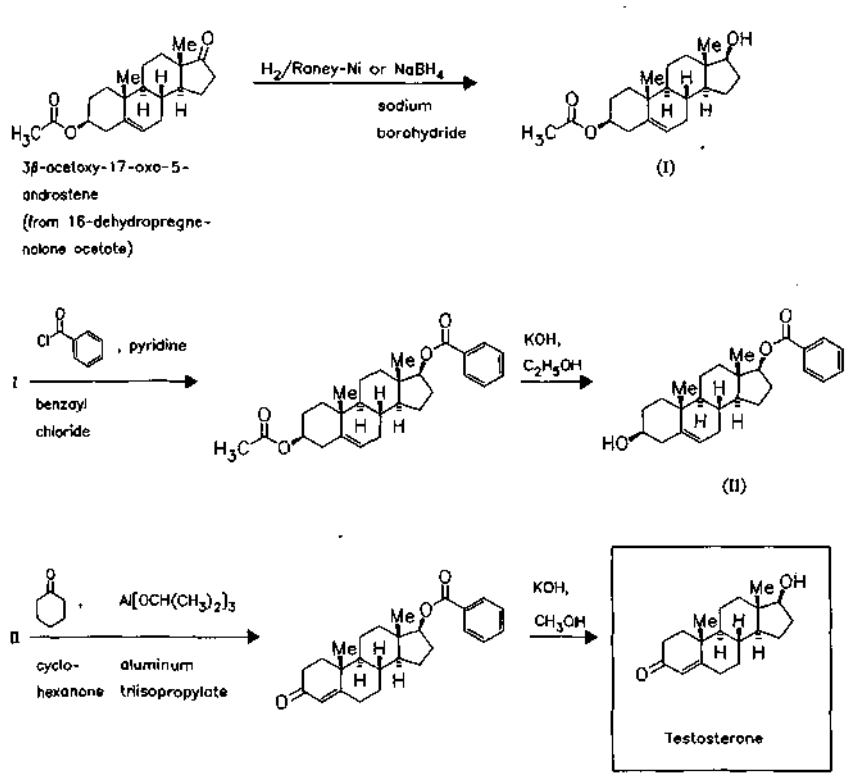
D:	Fludestrin (Bristol-Myers Squibb)	I:	Teslac (Squibb); wfm	USA:	Teslac (Bristol-Myers Squibb)

Testosterone

ATC: G03BA03
Use: androgen

RN: 58-22-0 MF: $C_{19}H_{28}O_2$ MW: 288.43 EINECS: 200-370-5
LD_{50}: >5 g/kg (M, p.o.)
CN: (17β)-17-hydroxyandrost-4-en-3-one

undecanoate
RN: 5949-44-0 MF: $C_{30}H_{48}O_3$ MW: 456.71



Reference(s):
US 2 308 833 (Ciba; 1943; CH-prior. 1935).
US 2 308 834 (Ciba; 1943; CH-prior. 1935).
US 2 379 832 (Schering Corp.; D-prior. 1936).
US 2 387 469 (Ciba; 1945; CH-prior. 1935).

starting material:
The Merck Index, 12th Ed., 1569 (1996).

alternative syntheses:
US 2 236 574 (Schering Corp.; 1941, D-prior. 1937).
US 2 341 110 (Schering Corp.; 1944, CS-prior. 1939).

Formulation(s): cps. 40 mg (as undecanoate); plaster 2.5 mg/37 cm^2, 4 mg/40 cm^2, 5 mg/44 cm^2, 6 mg/60 cm^2

Trade Name(s):

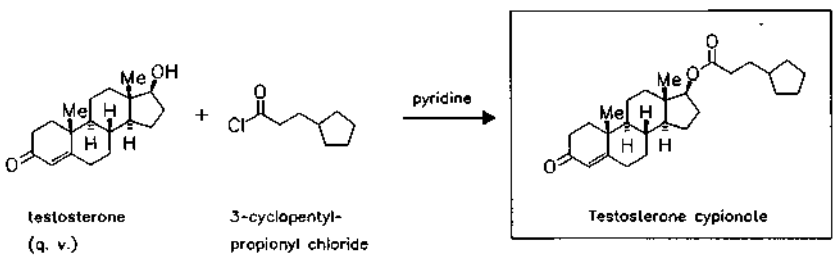
D:	Andriol (Organon)	I:	Mydrotest (Ayerst); wfm		Testoviron Depot (Nihon Schering)-comb.
F:	Pantestone (Organon SA)		Testosterone Tarrico (Mitim); wfm	USA:	Androderm (SmithKline Beecham)
	Trotoseptine (Boehringer Ing.)-comb.	J:	Androgen Depot (Santen-Yamanouchi)		Testoderm (Alza)
GB:	Menopax (Nicholas)-comb.; wfm		Enarmon (Teikoku Zoki)		
	Testoral (Organon); wfm		Tes-Hol "Z" (Nippon Zoki)		

Testosterone cypionate

(Testosterone cyclopentylpropionate)

ATC: G03EA02
Use: depot androgen

RN: 58-20-8 MF: $C_{27}H_{40}O_3$ MW: 412.61 EINECS: 200-368-4
LD_{50}: >1 g/kg (M, i.p.)
CN: (17β)-17-(3-cyclopentyl-1-oxopropoxy)androst-4-en-3-one



Reference(s):
US 2 566 358 (Upjohn; 1951; prior. 1949).
DE 896 805 (Upjohn; appl. 1951).
Gould, D. et al.: J. Am. Chem. Soc. (JACSAT) 79, 4472 (1957).

alternative syntheses:
US 2 625 556 (Upjohn; 1953; prior. 1949).
ES 241 206 (Alter; appl. 1958).
US 2 742 485 (Francesco Vismara; 1956; prior. 1954).

Formulation(s): vial 200 mg/ml (10 ml)

Trade Name(s):

D:	Femovirin Amp. (Albert-Roussel)-comb.; wfm		Trioestrine-Retard Théramex (Théramex)-comb.; wfm		Clym depositum (Poli)-comb.
F:	Ch. P. T. Théramex (Théramex); wfm		Trioestrine-Retard Théramex (Théramex; as cyclohexylpropionate)-comb.; wfm		Ginandrolo Depositum (Lusofarmaco)-comb.
	Testostérone retard Théramex (Théramex; as cyclohexylpropionate); wfm				Pertestis-Dep. (Orma)
		I:	Ciclosterone (Farmigea)		Testorit-Dep. (Gallo)
					Testosterone Depositum (Lusofarmaco)

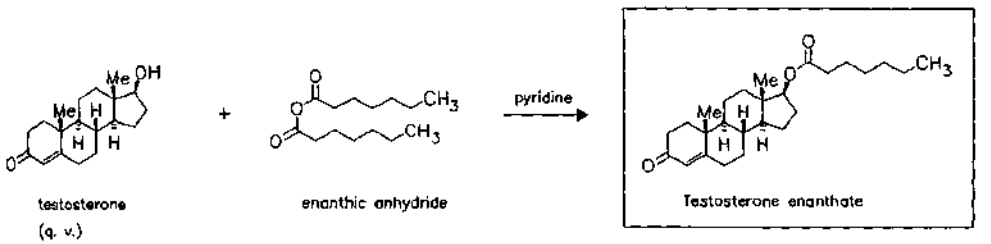
J: Depo Testosteron (Upjohn); wfm

USA: Virilon (Star)

Testosterone enanthate

ATC: G03EB
Use: androgen

RN: 315-37-7 MF: $C_{26}H_{40}O_3$ MW: 400.60 EINECS: 206-253-5
LD_{50}: 4 mg/kg (M, i.p.);
2 g/kg (R, i.p.)
CN: (17β)-17-[(1-oxoheptyl)oxy]androst-4-en-3-one



Reference(s):
US 2 840 508 (Schering AG; 1958; D-prior. 1951).

Formulation(s): amp. 250 mg/ml; vial 200 mg/ml, 1 g/5 ml

Trade Name(s):

D: Testosteron-Depot Jenapharm (Jenapharm)
Testosteron Depot-Rotexmedica (Rotexmedica)
Testoviron Depot (Schering)-comb.

F: Androtardyl (Schering)

GB: Primoteston Depot (Schering Chemicals); wfm

I: Testo Enant (Geymonat)

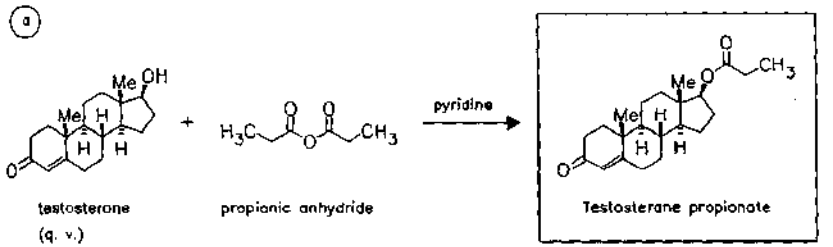
J: Enarmon Depot (Teikoku Zoki)
Primodian Depot (Nihon Schering)-comb.
Testoviron Depot (Nihon Schering)-comb.

USA: Delatestryl (Bio-Technology)

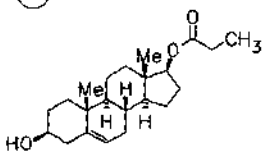
Testosterone propionate

ATC: G03BA03
Use: androgen

RN: 57-85-2 MF: $C_{22}H_{32}O_3$ MW: 344.50 EINECS: 200-351-1
LD_{50}: 1350 mg/kg (M, p.o.);
1 g/kg (R, p.o.)
CN: (17β)-17-(1-oxopropoxy)androst-4-en-3-one



(b)



androstenediol 17-propionate

microbiological dehydrogenation
Acetobacter pasteurianum

Testosterone propionate

Reference(s):

a CH 206 119 (Ciba; appl. 1936) addition to CH 203 257.
DRP 661 384 (Ciba; appl. 1936; CH-prior. 1935).

b US 2 236 574 (Schering Corp; 1941; D-prior. 1937).

starting material:

The Merck Index, 12th Ed., 107 (1996).

alternative syntheses:

US 2 311 067 (Ciba; 1943; CH-prior. 1939).
US 2 374 369 (Ciba; 1945; CH-prior. 1939).
US 2 374 370 (Ciba; 1945; CH-prior. 1939).

Formulation(s): amp. 10 mg, 25 mg, 50 mg, 100 mg; amp. 50 mg/ml, 100 mg/ml (in comb.); ointment 80 mg/100 mg (in comb.)

Trade Name(s):

D: Tachynerg (Eberth)-comb.
Testosteron Propionat
"Eifelfango" (Eifelfango)
Testoviron (Schering)-comb.

F: Fadiamone (CS)-comb.

GB: Sustanon (Organon)-comb.
Virormone (Ferring)

I: Testovis (SIT)

J: Enarmon Susp. (Teikoku Zoki)
Forton (Shionogi)
Primodian Inj. (Nihon Schering)
Sonybod M Inj. (Sonybod-Torii)
Testinon (Mochida)

USA: Androlan (Lannett); wfm
Androlin (Lincoln); wfm
Andrusol-P (Smith, Miller & Patch); wfm
Gynetone (Schering)-comb.; wfm
Neo-Hombreol (Organon); wfm
Neutron (Myers-Carter)-comb.; wfm
Oreton Propionate (Schering); wfm
Synandrol (Pfizer); wfm
Synerone (Dow); wfm
Testex (Pasadena Res.); wfm
Testodet (Merck Sharp & Dohme); wfm
Testonate (Kay); wfm

Tetracaine

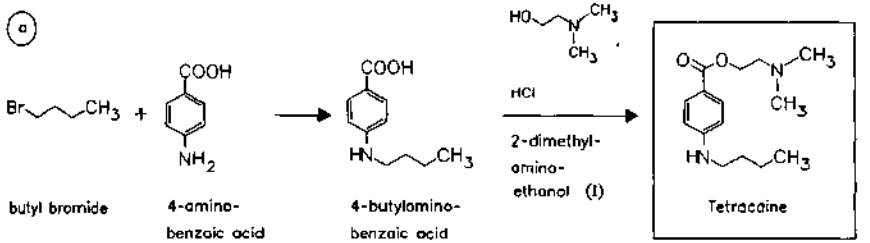
(Amethocaine)

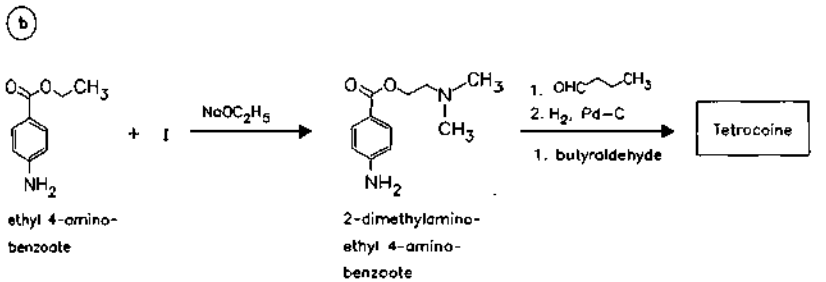
ATC: C05AD02; D04AB06; N01BA03; S01HA03
Use: local anesthetic

RN: 94-24-6 MF: $C_{15}H_{24}N_2O_2$ MW: 264.37 EINECS: 202-316-6
LD_{50}: 6 mg/kg (M, i.v.); 300 mg/kg (M, p.o.); 6 mg/kg (R, i.v.)
CN: 4-(butylamino)benzoic acid 2-(dimethylamino)ethyl ester

monohydrochloride

RN: 136-47-0 MF: $C_{15}H_{24}N_2O_2 \cdot HCl$ MW: 300.83 EINECS: 205-248-5
LD_{50}: 6600 µg/kg (M, i.v.); 160 mg/kg (M, p.o.); 4500 µg/kg (R, i.v.)





Reference(s):
US 1 889 645 (Winthrop; 1932; CH-prior. 1930).

Formulation(s): ear drops 5 mg/g; in combination preparations: eye drops 6 mg/g; sol. 1 g/100 g; spray 5 mg/ml, 2 % (as hydrochloride)

Trade Name(s):

D: Acoin (Combustinwerk)-comb.
Gingicain M Spray (Hoechst)-comb.
Herviros (Hermal)-comb.
Ophtocain (Winzer)-comb.
Oto-Flexiole (Mann)

F: only combination preparations:
Amygdospray (Merck-Clévenot)
Broncorinol Maux de gorge (Roche Nicholas SA)
Cantalène (RPR Cooper)
Eludril (Inava)
Hexomédine (Théraplix)
Lysofon (L. Laton)
Oromédine (Sanofi Winthrop)
Otylol (Bridoux)
Solutricine Tétracaïne (Théraplix SA)
Tyrcine (Oberlin)

GB: Eludril (Chefaro)-comb.
Minims amethocaine (Chauvin)

I: only combination preparations:
Corizzina (SIT)
Donalg (Dinacren)

J: Butylcain (Tanabe)
Recto-reparil (Naturwaren Madaus)
Ruscoroid (Inverni della Beffa)
Tetocaine (Kyorin)

USA: Cetacaine (Cetylite)

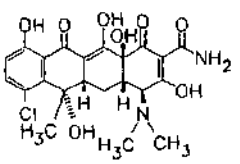
Tetracycline

ATC: A01AB13; D06AA04; J01AA07; S01AA09; S02AA08; S03AA02
Use: antibiotic, antibacterial, antiamebic, antitrichettisal

RN: 60-54-8 MF: $C_{22}H_{24}N_2O_8$ MW: 444.44 EINECS: 200-481-9
LD_{50}: 157 mg/kg (M, i.v.); 678 mg/kg (M, p.o.); 129 mg/kg (R, i.v.); 807 mg/kg (R, p.o.)
CN: [4*S*-(4α,4aα,5aα,6β,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,6,10,12,12a-pentahydroxy-6-methyl-1,11-dioxo-2-naphthacene carboxamide

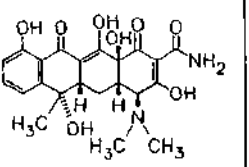
monohydrochloride
RN: 64-75-5 MF: $C_{22}H_{24}N_2O_8 \cdot HCl$ MW: 480.90 EINECS: 200-593-8
LD_{50}: 157 mg/kg (M, i.v.); 2759 mg/kg (M, p.o.);
128 mg/kg (R, i.v.); 6443 mg/kg (R, p.o.)
phosphate
RN: 1336-20-5 MF: unspecified MW: unspecified EINECS: 215-646-0

(a)



chlortetracycline
(q. v.)

H_2, Pd–C



Tetracycline

(b) from fermentation solutions of Streptomyces viridifaciens or aureofaciens

Reference(s):
a US 2 699 054 (L. H. Conover; 1955; prior. 1953).
US 3 005 023 (American Cyanamid; 17.10.1961; appl. 5.4.1957).
Boothe, J.H. et al.: J. Am. Chem. Soc. (JACSAT) **75**, 4621 (1953).
Conover, L.H. et al.: J. Am. Chem. Soc. (JACSAT) **75**, 4622 (1963).
b US 2 734 018 (American Cyanamid; 1956; prior. 1953).
US 2 712 517 (Bristol; 1955; appl. 1954).
US 2 886 595 (Bristol; 1959; appl. 1958).
US 3 019 173 (American Cyanamid; 30.1.1962; appl. 4.6.1956).

purification:
US 3 301 899 (Bristol-Myers; 31.1.1967; appl. 27.11.1963).

synthesis of pure tetracycline hydrochloride:
DE 2 504 347 (DSO, Pharmachim, Sofia; appl. 3.2.1975).

complex with metaphosphoric acid:
US 3 053 892 (American Cyanamid; 11.9.1962; appl. 27.4.1960).

Formulation(s): cps. 250 mg, 500 mg; cream 30 mg/g; f. c. tabl. 500 mg; ointment 30 mg/g; vial 500 mg

Trade Name(s):

D: Achromycin (Lederle)
Imex (Merz & Co.)
Mysteclin (Bristol-Myers Squibb)-comb. with amphotericine
Polcorton (mephano)-comb. with triamcinolone acetonide
Supramycin N (Grünenthal)
Tefilin (Hermal)
Tetracyclin (Heyl; ratiopharm; Wolff)
Tetralution (Merckle)

F: Amphocycline (Squibb)-comb.
Colicort (Merck Sharp & Dohme-Chibret)-comb.
Florocycline (SmithKline Beecham)-comb.
Tétracycline Diamant (Diamant)
numerous combination preparations

GB: Achromycin (Wyeth; as hydrochloride)
Detecto (Wyeth)-comb.
Topicycline (Monmouth)

I: Ambramicina (Scharper)
Calociclina (ISI)
Pensulvit (SIFI)-comb.
Spaciclina (SPA)
combination preparations

J: Achromycin (Lederle)
Cosa-Tetracyn (Taito Pfizer)
Cytome (Tokyo Tanabe)
Junmycin V (Tanabe)
Neocycline (Meiji)

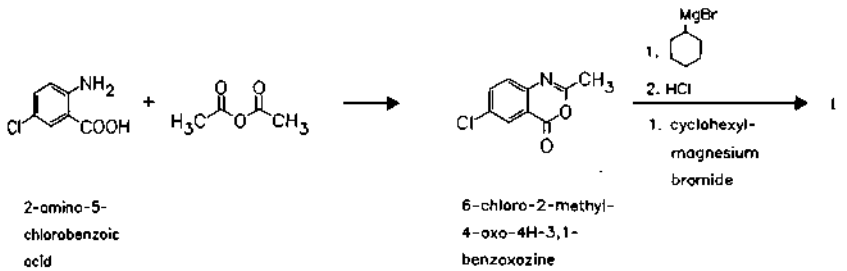
USA: Achromycin (Lederle) Helidac (Procter & Gamble)-comb.

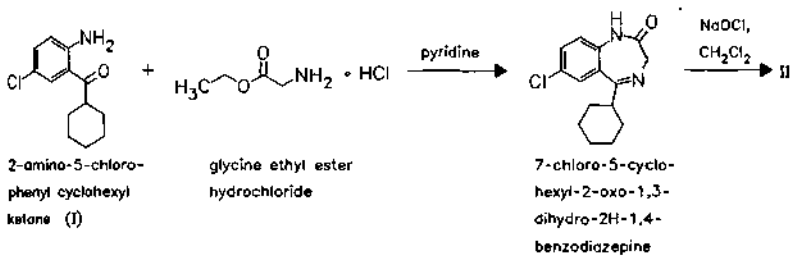
Tetrazepam

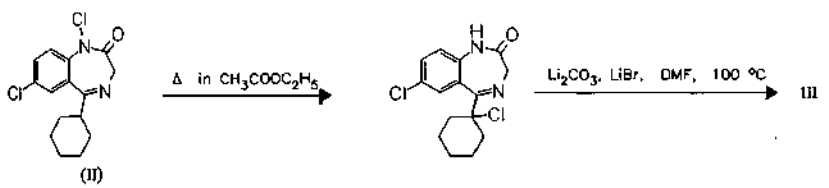
(Tetrahydrodiazepam)

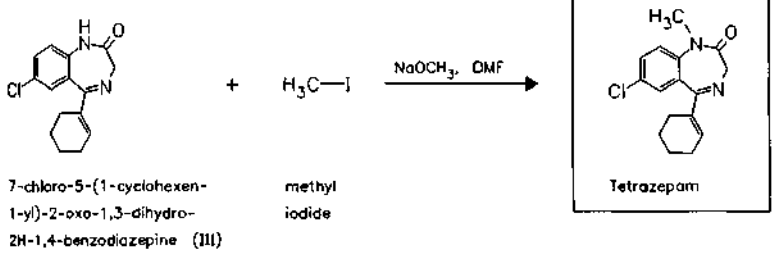
ATC: M03BX07
Use: tranquilizer, skeletal muscle relaxant

RN: 10379-14-3 MF: $C_{16}H_{17}ClN_2O$ MW: 288.78 EINECS: 233-837-7
LD_{50}: 2 g/kg (M, p.o.)
CN: 7-chloro-5-(1-cyclohexen-1-yl)-1,3-dihydro-1-methyl-2*H*-1,4-benzodiazepin-2-one









Reference(s):

DE 1 670 620 (Clin-Byla; prior. 5.1.1966).
US 3 426 014 (Clin-Byla; 4.2.1969; F-prior. 9.1.1965, 9.4.1965).
US 3 551 412 (Clin-Byla; 29.12.1970; F-prior. 8.8.1967).

intermediate (7-chloro-5-cyclohexyl-2-oxo-1,3-dihydro-2*H*-1,4-benzodiazepine):
US 3 268 586 (Roche; 23.8.1966; prior. 23.8.1960, 16.8.1961).

Formulation(s): f. c. tabl. 25 mg, 50 mg; tabl. 50 mg

Trade Name(s):

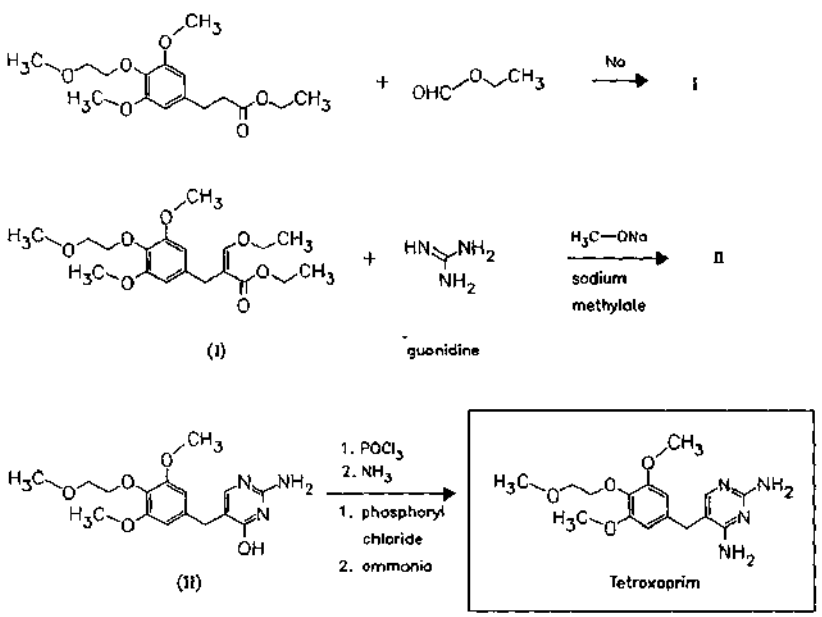
D: Mobiforton (Sanofi Winthrop)
Musapam (Krewel Meuselbach)
Musaril (Sanofi Winthrop; 1981)
Muskelat (Azupharma)
Myospasmal (TAD)
Rilex (Lindopharm)
Tepam-BASF (BASF)
Tethexal (Hexal)
Tetra-saar (Chephasaar)
Tetramdura (durachemie)
tetrazep (ct-Arzneimittel)

F: Myolastan (Sanofi Winthrop; 1969)
Panos (Lederle)

Tetroxoprim

ATC: J01
Use: chemotherapeutic, antibacterial

RN: 53808-87-0 MF: $C_{16}H_{22}N_4O_4$ MW: 334.38 EINECS: 258-789-4
LD_{50}: 192 mg/kg (M, i.v.); 1060 mg/kg (M, p.o.);
300 mg/kg (R, i.v.); 1172 mg/kg (R, p.o.)
CN: 5-[[3,5-dimethoxy-4-(2-methoxyethoxy)phenyl]methyl]-2,4-pyrimidinediamine



(I) (II)

Reference(s):
DOS 2 313 361 (Heumann; appl. 17.3.1973).
(also further methods)
Liebenow, W.; Prikryl, J.: J. Antimicrob. Chemother. (JACHDX) **5**, (Suppl. B), 15 (1979).

Formulation(s): sol. 25 mg/5 ml, 100 mg/5 ml; tabl. 100 mg (in comb. with sulfadiazine)

Trade Name(s):

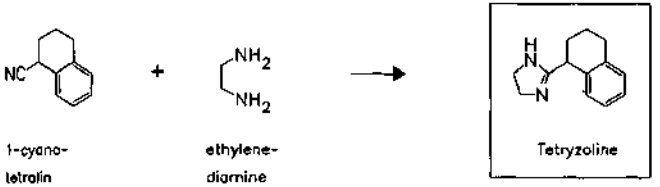
D: Sterinor (Heumann)-comb.
I: Oxosint (Medivis)-comb.
Sterinor (ABC)-comb.

Tetryzoline
(Tetrahydrozoline)

ATC: R01AA06; R01AB03; S01GA02
Use: vasoconstrictor

RN: 84-22-0 MF: $C_{13}H_{16}N_2$ MW: 200.29 EINECS: 201-522-3
LD_{50}: 48.1 mg/kg (M, i.v.); 335 mg/kg (M, p.o.)
CN: 4,5-dihydro-2-(1,2,3,4-tetrahydro-1-naphthalenyl)-1*H*-imidazole

monohydrochloride
RN: 522-48-5 MF: $C_{13}H_{16}N_2 \cdot HCl$ MW: 236.75 EINECS: 208-329-3
LD_{50}: 39 mg/kg (M, i.v.); 345 mg/kg (M, p.o.);
35 mg/kg (R, i.v.); 785 mg/kg (R, p.o.)



Reference(s):
US 2 731 471 (Sahyun Labs.; 1956; prior. 1954).

Formulation(s): eye drops 0.5 mg/ml; nasal drops/nasal spray 5 mg/10 ml, 10 mg/10 ml, 100 mg/100 ml

Trade Name(s):

D: Allergopos (Ursapharm)
Berberil (Mann)
Caltheon (Cephasaar)
Diabenyl (Chauvin ankerpharm)
Efemolin (CIBA Vision)-comb. with fluorometholone
Exrhinin (Pharma Wernigerode)
Rhinopront Spray/ Nasentropfen (Mack, Illert.)
Spersadeloxin (CIBA Vision)-comb.
Spersallerg (CIBA Vision)-comb. with antazoline hydrochloride
Tetrilin (MIP Pharma)
Tyzine (Pfizer)
Vasapos (Ursapharm)
Vidiseptal (Mann)
Yxin (Pfizer)

F: Constrilia (Alcon SA)

I: Demetil (Farmila)-comb.
Flumetol (Farmila)-comb.
Ischemol A (Farmila)-comb.
Stilla (Angelini)
Tetramil (Farmigea)-comb.
Vasorinil (Farmila)
Vasosterone (Angelini)-comb.
Visine (Pfizer)
Visublefarite (Pharmec)-comb.
Visumetazone (Pharmec)-comb.
Visumicina (Pharmec)-comb.
Visustrin (Merck Sharp & Dohme)-comb.

J: Narbel (Chugai)

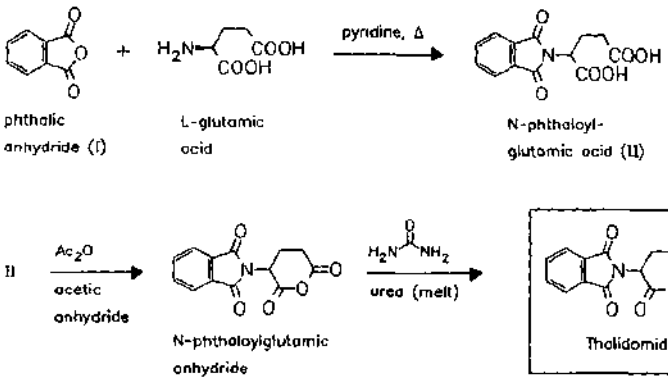
USA: Collirium Wyeth (Wyeth)-comb.; wfm
Murine (Ross)-comb.; wfm
Tyzine (Key Pharm.); wfm
Tyzine (Pfizer); wfm

Thalidomide
(K-17; NSC-66847)

Use: anti-inflammatory, immunomodulator, blocker of TNF-production, sedative, treatment of erythema nodosum leprosum

RN: 50-35-1 MF: $C_{13}H_{10}N_2O_4$ MW: 258.23 EINECS: 200-031-1
LD_{50}: >5000 mg/kg (M, p. o.)
CN: 2-(2,6-Dioxo-3-piperidinyl)-1*H*-isoindole-1,3(2*H*)-dione

a



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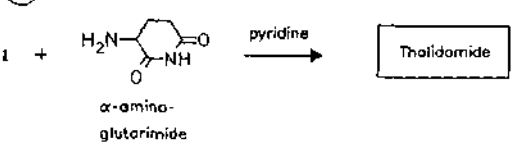

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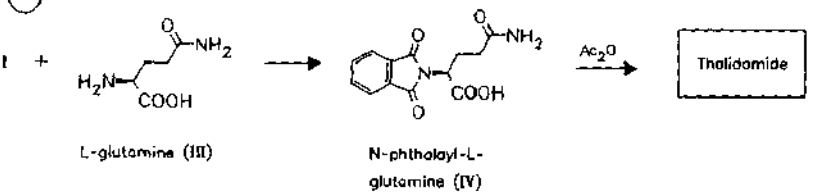

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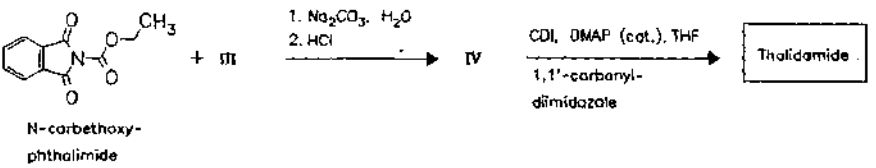


Reference(s):

a GB 768 821 (Chemie Grünenthal; 20.2.1957).
Kunz, W. et al.: Arzneim.-Forsch. (ARZNAD) **6**, 426-430 (1956).
b JP 5 071 (Dainippon; 13.5.1960).
c King, F.E. et al.: J. Chem. Soc. (JCSOA9) **1957**, 873-880
d Muller, G.W. et al.: Org. Process Res. Dev. (OPRDFK) 3, 139-140 (1999)

intravenous administration form for treatment of immunologic diseases:
EP 908 176 (Grünenthal; appl. 18.9.1998; D-prior. 6.10.1997)

pharmaceutical comp. for the treatment of melanomas:
US 5 731 325 (Andrulis Pharm.; 24.3.1998; USA-prior. 6.6.1995).

use for treating neurocognitive disorders:
WO 9 517 154 (Andrulis Pharm.; appl. 22.12.1994; USA-prior. 23.12.1993).

treatment of rheumatoid arthritis:
WO 9 504 533 (Andrulis Pharm.; appl. 3.8.1994; USA-prior. 4.8.1993).

controlling abnormal concentration of TNF-α:
WO 9 214 455 (Rockefeller Univ.; appl. 14.2.1992; USA-prior. 14.2.1991).

Formulation(s): cps. 50 mg

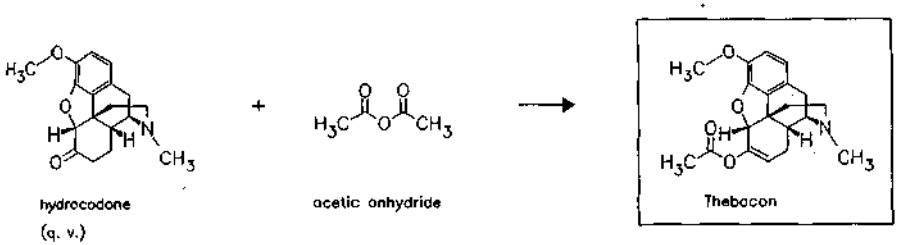
Trade Name(s):
USA: Thalomid (Celgene; 1998)

Thebacon
(Acethydrocodone)

ATC: R05DA10
Use: narcotic, analgesic

RN: 466-90-0 MF: $C_{20}H_{23}NO_4$ MW: 341.41 EINECS: 207-377-2
LD_{50}: 81 mg/kg (M, s.c.)
CN: (5α)-6,7-didehydro-4,5-epoxy-3-methoxy-17-methylmorphinan-6-ol acetate (ester)

hydrochloride
RN: 20236-82-2 MF: $C_{20}H_{23}NO_4 \cdot HCl$ MW: 377.87 EINECS: 243-623-5



Reference(s):
a Ehrhart-Ruschig **I**, 120.
b US 1 731 152 (C. Schopf; 1929).

Formulation(s): tabl 5 mg (as hydrochloride)

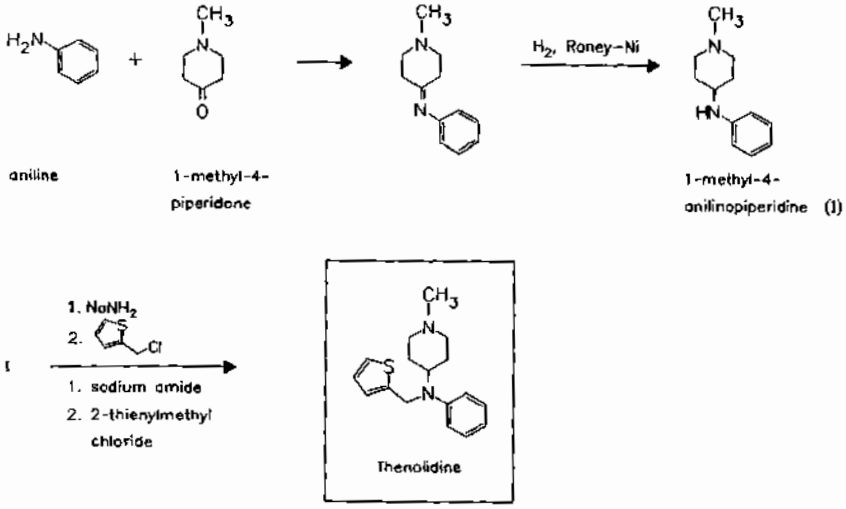
Trade Name(s):
D: Acedicon (Boehringer Ing.); wfm
I: Acedicon (Boehringer Ing.); wfm

Thenalidine
(Thenaldine)

ATC: D04AA03; R06AX03
Use: antihistaminic

RN: 86-12-4 MF: $C_{17}H_{22}N_2S$ MW: 286.44 EINECS: 201-651-5
LD_{50}: 42 mg/kg (M, i.v.); 165 mg/kg (M, p.o.);
42 mg/kg (R, i.v.); 1060 mg/kg (R, p.o.)
CN: 1-methyl-*N*-phenyl-*N*-(2-thienylmethyl)-4-piperidinamine

tartrate (1:1)
RN: 2784-55-6 MF: $C_{17}H_{22}N_2S \cdot C_4H_6O_6$ MW: 436.53 EINECS: 220-493-8



Reference(s):
US 2 717 251 (Sandoz; 1955; CH-prior. 1951).

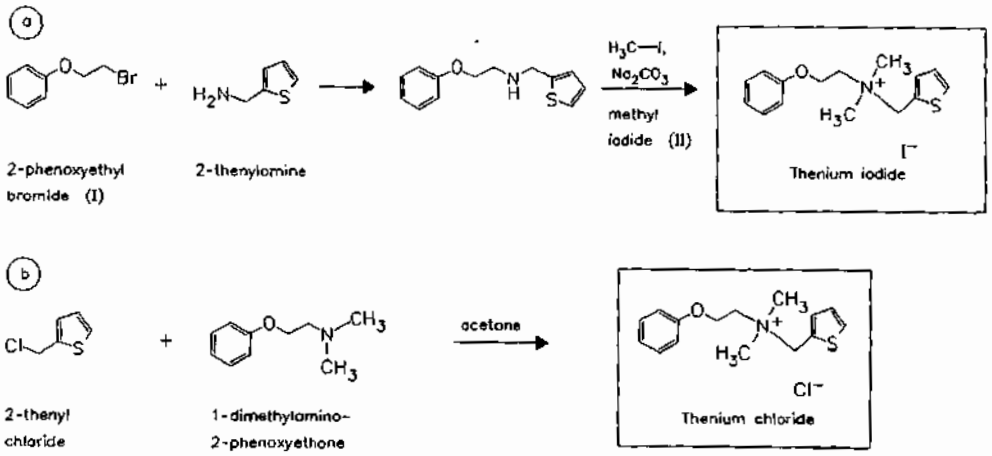
Formulation(s): drg. 25 mg (as tartrate)

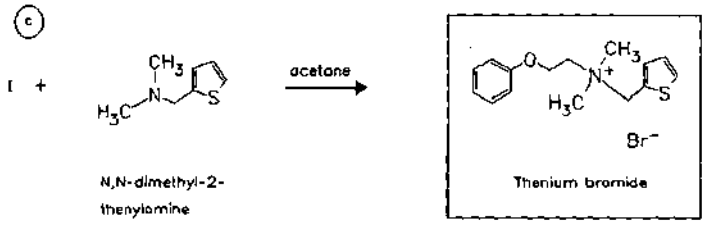
Trade Name(s):
D: Sandosten-Calcium (Sandoz); wfm
F: Sandosténe (Sandoz); wfm

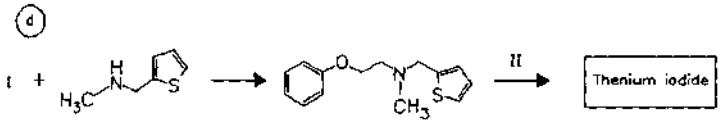
Thenium closilate
(Theniumclosylat)

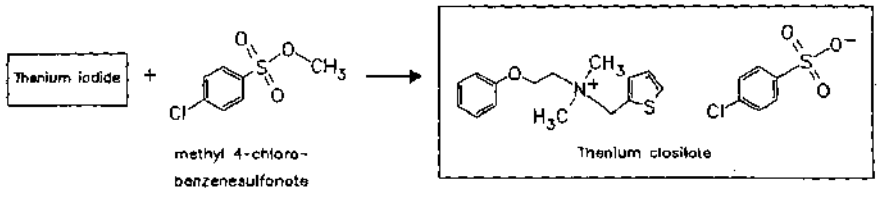
ATC: P02
Use: anthelmintic

RN: 4304-40-9 MF: $C_{15}H_{20}NOS \cdot C_6H_4ClO_3S$ MW: 454.01 EINECS: 224-318-6
CN: *N,N*-dimethyl-*N*-(2-phenoxyethyl)-2-thiophenemethanaminium salt with 4-chlorobenzenesulfonic acid (1:1)









Reference(s):
GB 864 885 (Wellcome Found.; valid from 1958; prior. 1957).

use:
GB 994 742 (Wellcome Found.; valid from 1961; prior. 1960).

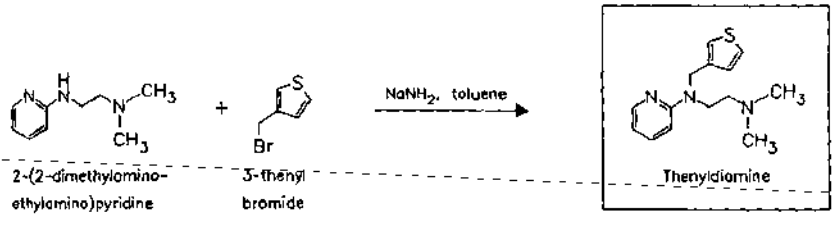
Trade Name(s):
USA: Bancaris (Burroughs Wellcome); wfm

Thenyldiamine

ATC: R06
Use: antihistaminic

RN: 91-79-2 MF: $C_{14}H_{19}N_3S$ MW: 261.39 EINECS: 202-098-2
LD_{50}: 77 mg/kg (M, i.p.)
CN: *N,N*-dimethyl-*N'*-2-pyridinyl-*N'*-(3-thienylmethyl)-1,2-ethanediamine

monohydrochloride
RN: 958-93-0 MF: $C_{14}H_{19}N_3S \cdot HCl$ MW: 297.85 EINECS: 213-490-8
LD_{50}: 12.2 mg/kg (M, i.v.); 277 mg/kg (M, p.o.);
15 mg/kg (R, i.v.); 525 mg/kg (R, p.o.);
10 mg/kg (dog, i.v.); 60 mg/kg (dog, p.o.)



Reference(s):
Campaigne, E.; Le Suer, W.M.: J. Am. Chem. Soc. (JACSAT) **71**, 333 (1949).

starting material:
US 2 581 868 (Monsanto; 1952; prior. 1946).

Formulation(s): drops 1 mg/ml (as hydrochloride)

Trade Name(s):

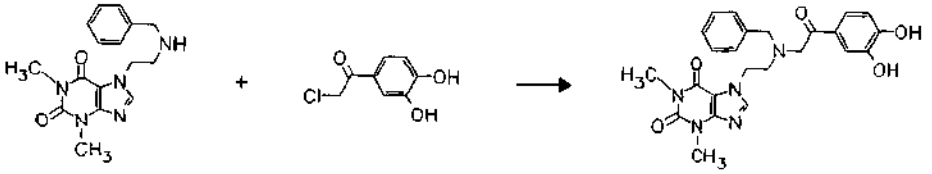
D:	Nebdosator (Winthrop); wfm	GB:	Bronchilator (Izal)-comb.; wfm	I:	N.T.R. (Teofarma)-comb.
F:	Arhumyl (Sterling Winthrop)-comb.; wfm		Haphryn (Winthrop)-comb.; wfm	USA:	Thenfadil (Winthrop Stearns); wfm

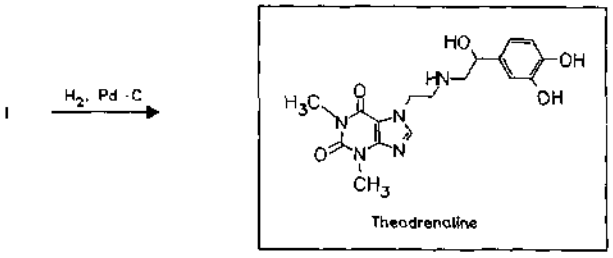
Theodrenaline

ATC: C01CA23
Use: circulatory analeptic, diuretic, cardiotonic

RN: 13460-98-5 MF: $C_{17}H_{21}N_5O_5$ MW: 375.39
LD_{50}: 1140 mg/kg (M, i.p.)
CN: 7-[2-[[2-(3,4-dihydroxyphenyl)-2-hydroxyethyl]amino]ethyl]-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione

monohydrochloride
RN: 2572-61-4 MF: $C_{17}H_{21}N_5O_5 \cdot HCl$ MW: 411.85 EINECS: 219-920-0





Reference(s):
DE 1 119 868 (Degussa; appl. 5.5.1959).
US 3 112 313 (Degussa; 26.11.1963; D-prior. 5.5.1959).

Formulation(s): amp. 10 mg/2 ml, 50 mg/10 ml; f. c. tabl. 5 mg (as hydrochloride in comb. with cafedrine hydrochloride)

Trade Name(s):

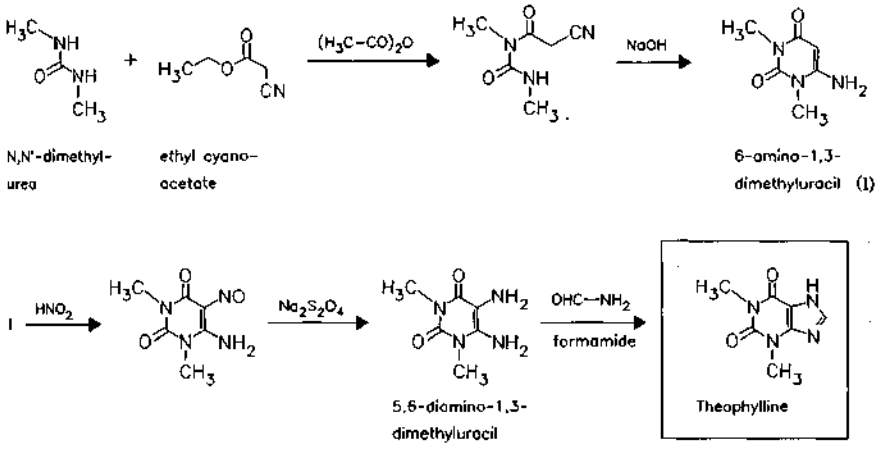
D:	Akrinor (ASTA Medica AWD)-comb. with cafedrine	F:	Praxinor (Lipha Santé)-comb. with cafedrine
		I:	Akrinor (Sir)-comb.; wfm

Theophylline

ATC: R03DA04
Use: cardiotonic, diuretic

RN: 58-55-9 MF: $C_7H_8N_4O_2$ MW: 180.17 EINECS: 200-385-7
LD_{50}: 136 mg/kg (M, i.v.); 235 mg/kg (M, p.o.); 225 mg/kg (R, p.o.)
CN: 3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione

monohydrate
RN: 5967-84-0 MF: $C_7H_8N_4O_2 \cdot H_2O$ MW: 198.18



Reference(s):
DE 834 105 (Boehringer Ing.; appl. 1949).

Formulation(s): amp. 104 mg/ml, 200 mg/10 ml, 208 mg/5 ml, 420 mg/60 ml, 500 mg/20 ml; drops 104 mg/ml; s. r. cps. 125 mg, 200 mg, 250 mg, 300 mg, 375 mg, 400 mg, 500 mg; s. r. tabl. 200 mg, 300 mg, 600 mg; suppos. 50 mg

Trade Name(s):

D:
Aerobin (Farmasan)
Afonilum (Knoll)
Afpred (Hefa Pharma)
Broncho-Euphyllin (Byk Gulden)-comb. with ambroxol hydrochloride
Bronchoretard (Klinge)
Contiphyllin (Lindopharm)
Cronasma (Orion Pharma)
Duraphyllin (durachemie)
Eudur (Astra)-comb. with terbutaline sulfate
Euphyllin (Byk Gulden)
Euphylong (Byk Gulden)
Flui-Theophyllin (Zambon)
Neobiphyllin-Clys (Trommsdorff)-comb.
Perasthman (Polypharm)
Pulmidur (pharma-stern)
Pulmo-Timelets (Temmler)
Solosin (Hoechst)
theo (ct-Arzneimittel)
Theolair (3M Medica)
Theophyllard (OPW)
Theophyllin (Heumann; Stada)
Theophyllin retard ratiopharm (ratiopharm)
Tromphyllin (Trommsdorff)
Unilair (3M Medica)
Uniphyllin (Mundipharma)

F:
Dilatrane (Labomed)
Euphylline L.A. (Byk France SA)
Hypnasmine (Elerté)-comb.
Pneumogéine (Labomed)-comb.
Tédralan (Labomed)-comb.
Théolair (3M Pharma)
Théostat (Inava)
Uromil (Iprad)-comb.
Xanthium (Galephar)
generic

GB: Franol (Sanofi Winthrop)-comb.
Labophylline (Labs. for Applied Biology)
Lasma (Pharmax)
Nuelin (3M Health Care)
Slo-Phyllin (Lipha)
Theo-Dur (Astra)
Uniphyllin Continus (Napp)

I: numerous combination preparations
Aminomal (Malesci)
Diffumal (Malesci)
Euphyllina (Byk Gulden)
Respicur (Byk Gulden)
Tefamin (Recordati)
Teobid (Vita)
Teonova (Camillo Corvi)
Theo-dur (Recordati)

J: Theolair (Synthelabo)
Theophyllol (Sanko)
generic and numerous combination preparations

USA: Aerolate (Fleming)
Elixophyllin (Forest)
Theolair (3M)

Theophylline ethylenediamine

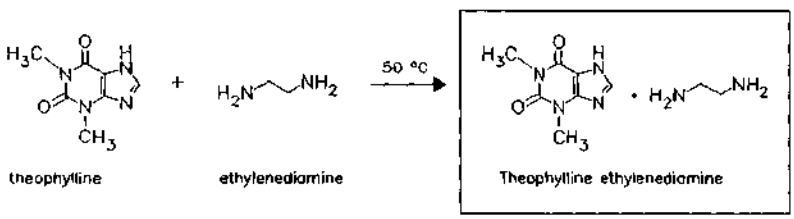
(Aminophylline; Teofyllamin; Theophyllamin)

ATC: R03DA04
Use: cardiotonic, diuretic, bronchodilator

RN: 317-34-0 MF: $C_7H_8N_4O_2 \cdot 1/2C_2H_8N_2$ MW: 420.43 EINECS: 206-264-5
LD_{50}: 125 mg/kg (M, i.v.); 150 mg/kg (M, p.o.); 104 mg/kg (R, i.v.); 243 mg/kg (R, p.o.)
CN: 3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione compd. with 1,2-ethanediamine (2:1)

dihydrate

RN: 5897-66-5 MF: $C_{14}H_{16}N_4O_2 \cdot C_6H_8N_2 \cdot 2H_2O$ MW: 416.48



theophylline ethylenediamine Theophylline ethylenediamine

Reference(s):
DRP 223 695 (Byk; appl. 1907).

stabilized solutions:
US 4 073 907 (Abbott; 14.2.1978; appl. 1.6.1976).

Formulation(s): amp. 120 mg/ml, 240 mg/10 ml; s. r. tabl. 225 mg, 350 mg; tabl. 125 mg (as dihydrate)

Trade Name(s):

D: Afonilum (Knoll)
Aminophyllin (OPW)
Limptar (Cassella-med)-comb.
Phyllotemp (Mundipharma)
Theophyllin-Aethylendiamin ratiopharm (ratiopharm)

F: Aminophylline Lobica (Opodex); wfm
Campho-pneumine aminophylline (Merrell)-comb.; wfm
Cardiophylline (Lefranca)-comb.; wfm
Inophyline (Millot); wfm
Eusédyl aminophylline (Chanteau)-comb.; wfm
Inophyline (Millot-Solac); wfm
Planphylline (Plantier); wfm
Sédo-caréna (Delagrange)-comb.; wfm
combination preparations; wfm

GB: Cardophylin (Fisons); wfm
Delaminoph (BM Labs.); wfm
Phyllocontin (Napp); wfm
Theodrox (Riker)-comb.; wfm

I: Aminomal (Malesci); wfm
Amminophilline (Farber-Ref); wfm
Asmarectal (Serpero)-comb.; wfm
Euphyllina (Byk Gulden); wfm
Tefamin (Recordati); wfm
numerous combination preparations; wfm

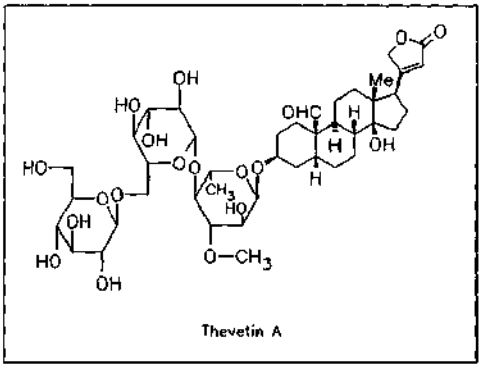
J: Kyophyllin (Kyorin) Novophyllin (Torii) USA: Aminophylline (Roxane)
Neophyllin (Eisai) generic preparations

Thevetin A

(Tevosid)

ATC: C01A
Use: cardiac glycoside

RN: 37933-66-7 MF: $C_{42}H_{66}O_{19}$ MW: 872.96 EINECS: 253-722-5
LD_{50}: 85 µg/kg (cat, i.v.)
CN: (3β,5β)-3-[(*O*-β-D-glucopyranosyl-(1→6)-*O*-D-glucopyranosyl(1→4)-6-deoxy-3-*O*-methyl-α-L-glucopyranosyl)oxy]-14-hydroxy-19-oxocard-20(22)-enolide



Thevetin A

From *Thevetia neriifolia* Juss., *Apocynaceae*, separation from thevetin B by extraction.

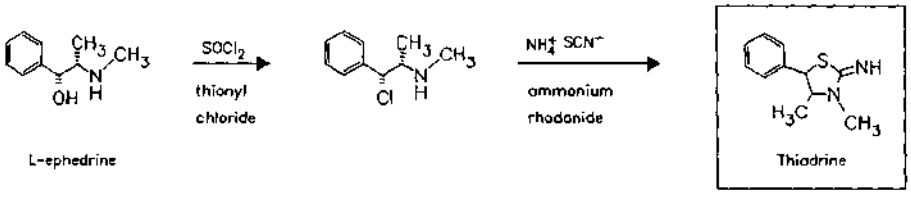
Reference(s):
Bloch, R. et al.: Helv. Chim. Acta (HCACAV) **43**, 652 (1960).
US 3 030 355 (M. Delalande, J. Baisse; 17.4.1962; prior. 16.5.1960).
US 3 043 829 (M. Delalande, J. Baisse; 10.7.1962; prior. 31.5.1960).

Trade Name(s):
I: Tevosid (Zanardi); wfm

Thiadrine

Use: antitussive

RN: 14007-67-1 MF: $C_{11}H_{14}N_2S$ MW: 206.31
CN: 3,4-dimethyl-5-phenyl-2-thiazolidinimine



L-ephedrine
Thiadrine

Reference(s):
US 2 558 068 (Knoll; 1951; prior. 1949).
GB 690 238 (Knoll; appl. 1950; USA-prior. 1949).

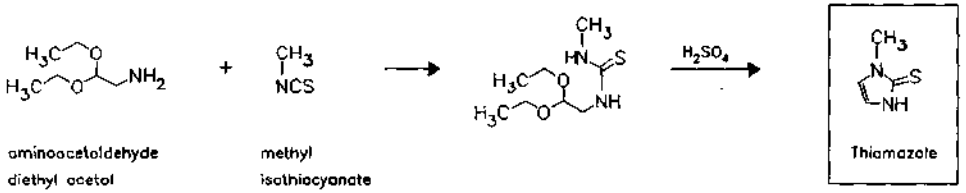
Formulation(s): tabl.

Trade Name(s):
D: Priatan (Minden)-comb.;
wfm

Thiamazole
(Methimazole)

ATC: H03BB02
Use: thyreostatic, antihyperthyroid

RN: 60-56-0 MF: $C_4H_6N_2S$ MW: 114.17 EINECS: 200-482-4
LD_{50}: 860 mg/kg (M, p.o.);
2250 mg/kg (R, p.o.)
CN: 1,3-dihydro-1-methyl-2*H*-imidazole-2-thione



Reference(s):
Wohl, A. et al.: Ber. Dtsch. Chem. Ges. (BDCGAS) **22**, 1354 (1889).
Jones, R.G. et al.: J. Am. Chem. Soc. (JACSAT) **71**, 4000 (1949).

Formulation(s): amp. 40 mg/ml; tabl. 5 mg, 10 mg, 20 mg

Trade Name(s):
D: Favistan (ASTA Medica AWD)
Methizol (Philopharm)
Thiamazol-Henning (Henning Berlin)
Thyrozol (Merck)
F: Basolan (Diamant); wfm
I: Bromazolo (Baldacci)-comb.
J: Mercazole (Chugai)
USA: Tapazole (Jones Medical Industries)

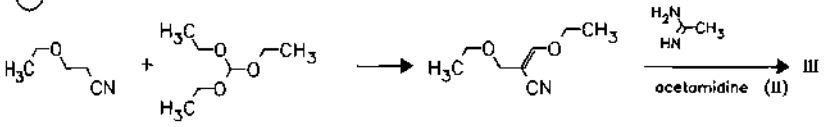
Thiamine
(Aneurine; Vitamin B_1)

ATC: A11DA01
Use: vitamin

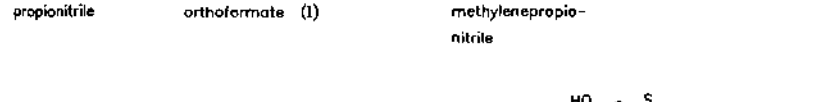
RN: 59-43-8 MF: $C_{12}H_{17}ClN_4OS$ MW: 300.81 EINECS: 200-425-3
LD_{50}: 301 mg/kg (M, s.c.)
CN: 3-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-5-(2-hydroxyethyl)-4-methylthiazolium chloride

monohydrochloride
RN: 67-03-8 MF: $C_{12}H_{17}ClN_4OS \cdot HCl$ MW: 337.28 EINECS: 200-641-8
LD_{50}: 74 mg/kg (M, i.v.); 8224 mg/kg (M, p.o.);
118 mg/kg (R, i.v.); 3710 mg/kg (R, p.o.)
nitrate
RN: 532-43-4 MF: $C_{12}H_{16}N_4OS \cdot HNO_3$ MW: 327.37

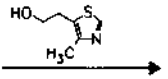
(a)



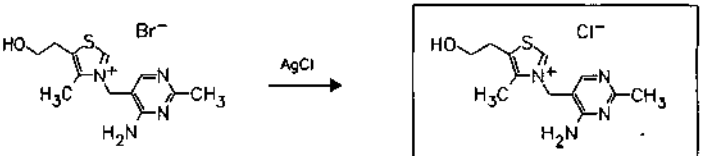
3-ethoxy-propionitrile + triethyl orthoformate (I) → 3-ethoxy-2-ethoxy-methylenepropio-nitrile → (acetamidine (II)) III



4-amino-5-ethoxy-methyl-2-methyl-pyrimidine (III) —HBr→ 4-amino-5-bromomethyl-2-methylpyrimidine hydrobromide

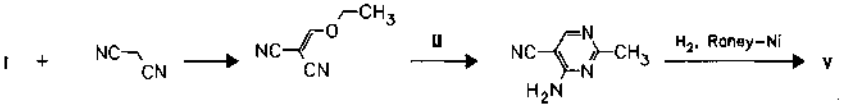


(5-(2-hydroxyethyl)-4-methylthiazole) → IV

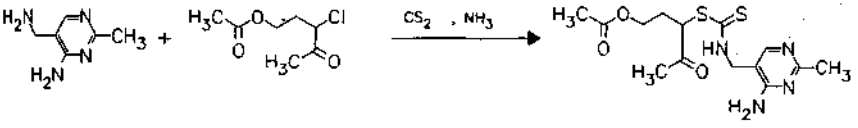


thiamine bromide (IV) —AgCl→ Thiamine

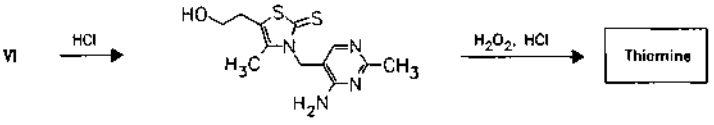
(b)



I + malononitrile → ethoxymethylene-malononitrile —II→ 4-amino-5-cyano-2-methylpyrimidine —H_2, Raney-Ni→ V



4-amino-5-aminomethyl-2-methyl-pyrimidine (V) + 5-acetoxy-3-chloro-penton-2-one —CS_2, NH_3→ (VI)



VI —HCl→ —H_2O_2, HCl→ Thiamine

Reference(s):

a Williams, R.R.; Cline, J.K.: J. Am. Chem. Soc. (JACSAT) **58**, 1504 (1936).
US 2 216 574 (Research Corp.; 1938).
US 2 166 233 (Research Corp.; 1938).
US 2 184 964 (Research Corp.; 1937).

b Grewe, R.: Hoppe-Seyler's Z. Physiol. Chem. (HSZPAZ) **242**, 89 (1936).
DRP 671 787 (I. G. Farben; appl. 1936).
US 2 592 930 (Takeda; 1952; J-prior. 1950).

synthesis of 5-(2-hydroxyethyl)-4-methylthiazole *and* 5-acetoxy-3-chloropentan-2-one:
Buchman, E.R.: J. Am. Chem. Soc. (JACSAT) **58**, 1803 (1936).
DRP 678 153 (Roche; appl. 1938; CH-prior. 1937).

full review of patent literature up to 1952 for thiamine synthesis:
Vogel, H.: Chemie und Technik der Vitamine, 3. Aufl., Vol. **2**, I. Part, p. 96 ff; F. Enke Verlag, Stuttgart 1955.

Formulation(s): amp. 25 mg/2 ml, 25 mg/ml, 100 mg/ml, 100 mg/2 ml, 200 mg/2 ml; drg. 100 mg; f. c. tabl. 500 mg; tabl. 10 mg, 250 mg, 300 mg (as hydrochloride); tabl. 100 mg (as nitrate)

Trade Name(s):

D: Aneurin A.S. (A.S.)
Betabion (Merck)
Lophakomp (Lomapharm)
Vitamin B_1 Hevert (Hevert)
Vitamin B_1-Injektopas (Pascoe)
Vitamin B_1 JENAPHARM (Jenapharm)
Vitamin B_1 Kattwiga (Kattwiga)
Vitamin B_1-ratiopharm (ratiopharm)
numerous combination preparations

F: Bénerva (Roche)
Bévitine (Specia)
and circa 70 combination preparations

GB: Benerva (Roche)
numerous combination preparations: multivitamins

I: Benexol B_{12} (Roche)-comb.
Dobetin (Angelini)-comb.
Fibronevrina (Ceccarelli)-comb.
Fosfoutipi Vit. (Terapeutico M.R.)-comb.
Neurobionta (Bracco)-comb.
Neuroftal (Alfa Intes)-comb.
Triferon (Salus)-comb.
Trinevrina (Guidotti)-comb.

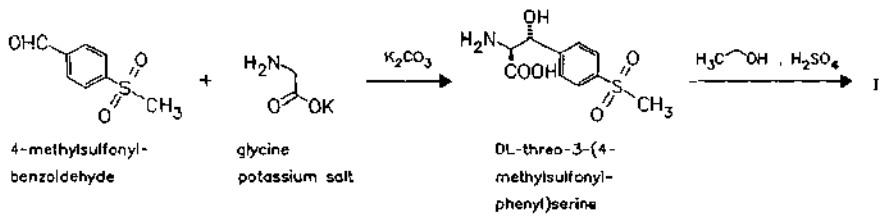
USA: Mega-B (Arco; as mononitrate)-comb.

Thiamphenicol

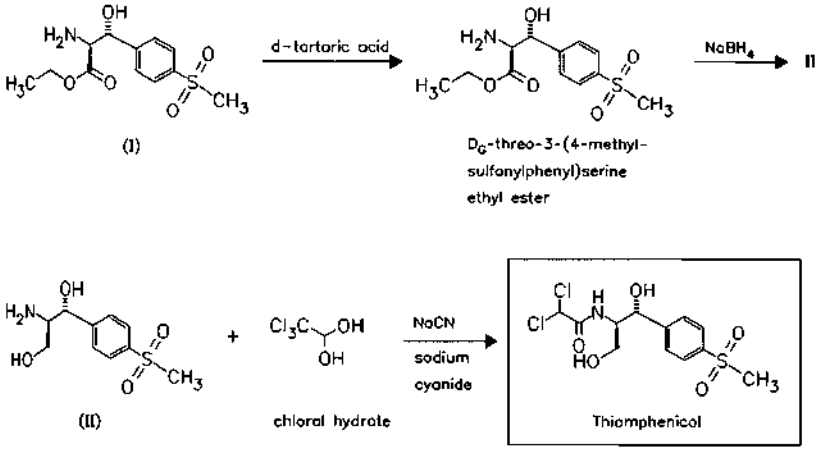
ATC: J01BA02; J01BA52
Use: antibiotic

RN: 15318-45-3 MF: $C_{12}H_{15}Cl_2NO_5S$ MW: 356.23 EINECS: 239-355-3
LD_{50}: 368 mg/kg (M, i.v.); >7 g/kg (M, p.o.);
339 mg/kg (R, i.v.); >7 g/kg (R, p.o.)
CN: [*R*-(*R**,*R**)]-2,2-dichloro-*N*-[2-hydroxy-1-(hydroxymethyl)-2-[4-(methylsulfonyl)phenyl]ethyl]acetamide

glycinate hydrochloride
RN: 2611-61-2 MF: $C_{14}H_{18}Cl_2NO_6S \cdot HCl$ MW: 435.73



4-methylsulfonylbenzoldehyde — glycine potassium salt — DL-threo-3-(4-methylsulfonylphenyl)serine



Reference(s):
DE 1 938 513 (Sumitomo; appl. 29.7.1969) - only methods.

older methods:
US 2 721 207 (Parke Davis; 1955; prior. 1952).
US 2 726 266 (Du Pont; 1955; prior. 1951).
US 2 759 927 (Sterling Drug; 1956; prior. 1951, 1955).
US 2 759 970 (Sterling Drug; 1956; appl. 1956).
US 2 759 971 (Sterling Drug; 1956; appl. 1951).
US 2 816 915 (Du Pont; 1957; prior. 1951).
Cutler, R.A. et al.: J. Am. Chem. Soc. (JACSAT) **74**, 5475 (1952).
Suter, C.M. et al.: J. Am. Chem. Soc. (JACSAT) **75**, 4330 (1953).

Formulation(s): amp. 500 mg, 750 mg; cps. 125 mg, 250 mg, 500 mg; suppos. 125 mg, 250 mg, 500 mg; vial 500 mg (as glycinate hydrochloride)

Trade Name(s):

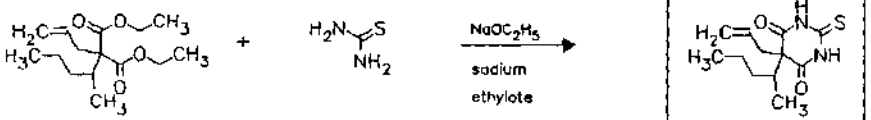
D: Urfamicina (Inpharzam); wfm
F: Fluimucil antibiotic 750 (Zambon)
Thiophénicol (Sanofi Winthrop)
I: Flogotisol (Zambon)
Fluimucil Antib. (Zambon)-comb.
Glitisol (Zambon)
J: Chlomic S (Kowa Shinyaku)
Efnicol (Nichizo)
Fricol (SS)
Hyrazin (Kowa Yakuhin)
Igralin (Kotobuki Seiyaku-Zeria)
Neomyson (Eisai)
Racenicol (Kissei)
Rigelon (Dojin)
Rincrol (Tokyo Tanabe)
Roseramin (Takata)
Synticol (Nisshin)
Thiamcol (Morishita)
Thiancol (Kakenyaku)
Thiofact (Showa Yakuhin)
Thionicol (Mohan)
Thiophenicol (Hishiyama)
Thiotal (Sumitomo)
Tiozon (Mitsui)
Unaseran-D (Isei)
Urophenil (Iwaki)

Thiamylal

ATC: N01AF
Use: ultrashort narcotic, anesthetic (intravenous)

RN: 77-27-0 MF: $C_{12}H_{18}N_2O_2S$ MW: 254.35 EINECS: 201-018-3
CN: dihydro-5-(1-methylbutyl)-5-(2-propenyl)-2-thioxo-4,6(1*H*,5*H*)-pyrimidinedione

monosodium salt
RN: 337-47-3 MF: $C_{12}H_{17}N_2NaO_2S$ MW: 276.34 EINECS: 206-415-5
LD_{50}: 85 mg/kg (M, i.v.); 180 mg/kg (M, p.o.);
51 mg/kg (R, i.v.);
32 mg/kg (dog, i.v.); 134 mg/kg (dog, p.o.)



diethyl allyl-(1-methylbutyl)-malonate + thiourea → Thiamylal

Reference(s):
US 2 153 729 (Abbott; 1939; prior. 1934).
US 2 876 225 (Abbott; 1959; appl. 1956).

Formulation(s): vial 1 g, 5 g (as sodium salt)

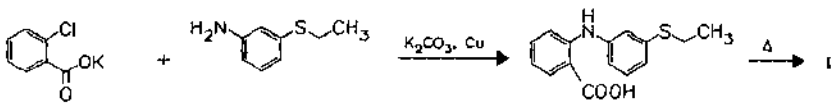
Trade Name(s):
J: Citosol (Kyorin) Isozol (Yoshitomi) USA: Surital (Parke Davis); wfm

Thiethylperazine

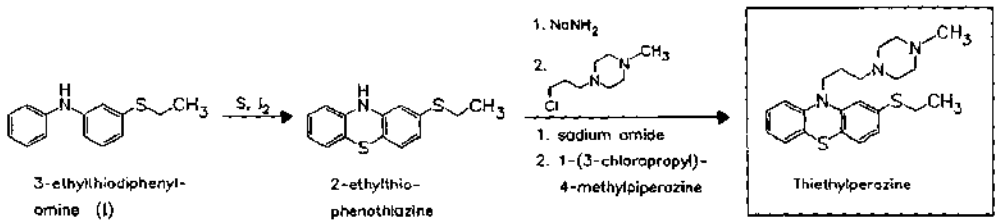
ATC: R06AD03
Use: anti-emetic, antivertignosant

RN: 1420-55-9 MF: $C_{22}H_{29}N_3S_2$ MW: 399.63 EINECS: 215-819-0
LD_{50}: 71.6 mg/kg (M, i.v.); 680 mg/kg (M, p.o.)
CN: 2-(ethylthio)-10-[3-(4-methyl-1-piperazinyl)propyl]-10*H*-phenothiazine

dimaleate
RN: 1179-69-7 MF: $C_{22}H_{29}N_3S_2 \cdot 2C_4H_4O_4$ MW: 631.77 EINECS: 214-648-9
LD_{50}: 93 mg/kg (M, i.v.); 680 mg/kg (M, p.o.);
90 mg/kg (R, i.v.); 1260 mg/kg (R, p.o.)



2-chlorobenzoic acid potassium salt + 3-ethylthio-aniline → N-(3-ethylthiophenyl)-anthranilic acid → I



3-ethylthiodiphenylamine (I) → 2-ethylthio-phenothiazine → Thiethylperazine

Reference(s):
US 3 336 197 (Sandoz; 15.8.1967; CH-prior. 19.4.1956).
Bourquin, J.P. et al.: Helv. Chim. Acta (HCACAV) **41**, 1072 (1958).

Formulation(s): amp. 10 mg/2 ml; drg. 6.5 mg; tabl. 10 mg (as dimaleate)

Trade Name(s):

D:	Torecan (Novartis Pharma)	GB:	Torecan (Sandoz); wfm	J:	Toresten (Sandoz-Sankyo)
F:	Torécan (Sandoz); wfm	I:	Torecan (Lpd)	USA:	Torecan (Roxane)

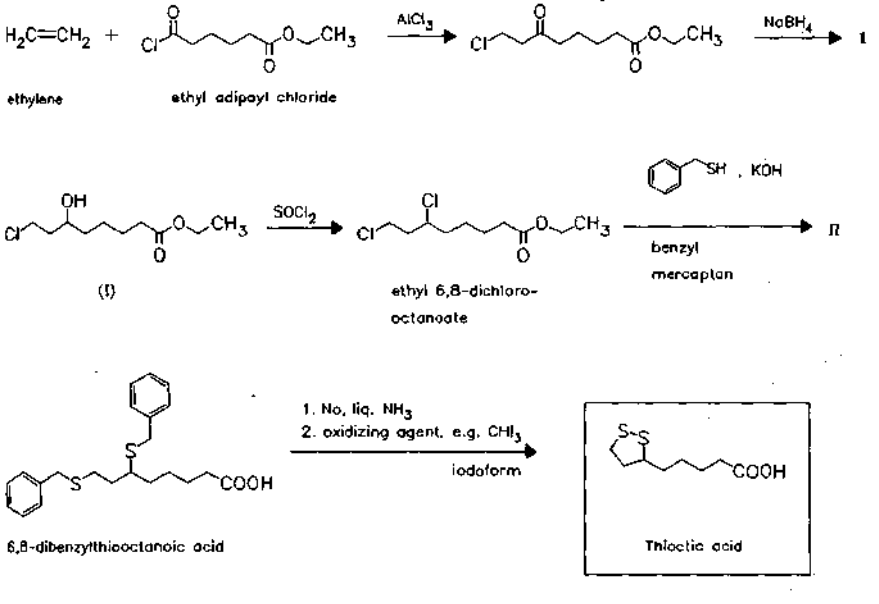
Thioctic acid

(Thioctacid; α-Lipoic acid)

ATC: N07XX
Use: detoxicant, liver protective drug, lipotropic, growth factor

RN: 62-46-4 MF: $C_8H_{14}O_2S_2$ MW: 206.33 EINECS: 200-534-6
LD_{50}: 197 mg/kg (M, i.v.); 502 mg/kg (M, p.o.); 180 mg/kg (R, i.v.); 1130 mg/kg (R, p.o.)
CN: 1,2-dithiolane-3-pentanoic acid

sodium salt
RN: 2319-84-8 MF: $C_8H_{13}NaO_2S_2$ MW: 228.31
LD_{50}: 197 mg/kg (M, i.v.)



Reference(s):
Bullock et al.: J. Am. Chem. Soc. (JACSAT) **74**, 3455 (1952).
US 2 980 716 (Research Corp.; 1961; appl. 1954).

alternative method:
US 2 752 373 (Du Pont; 1956; appl. 1952).
US 2 752 374 (Du Pont; 1956; appl. 1952).
US 2 792 406 (Du Pont; 1957; appl. 1954).
US 3 049 549 (Research Corp. ert. 1962; appl. 1954).
US 3 223 712 (Yamanouchi; 14.12.1965; J-prior. 18.7.1960, 25.7.1960, 29.7.1960, 18.8.1960, 1.9.1960, 12.10.1960, 26.12.1960, 12.6.1961).
Tsuji, J. et al.: J. Org. Chem. (JOCEAH) **43**, 3606 (1978).
Bullock et al.: J. Am. Chem. Soc. (JACSAT) **74**, 1868 (1952).

Formulation(s): amp. 150 mg, 300 mg, 600 mg; cps. 200mg, 250 mg, 300 mg; drg. 20 mg, 25 mg; f. c. tabl. 100 mg, 200 mg, 300 mg, 600 mg

Trade Name(s):

D: Alpha-Lipon Stada (Stada); alpha-Vibolex (Chephasaar); Azulipont (Azupharma); Berlithion (Berlin-Chemie); biomolipon (biomo); duralipon (durachemie); espalipon (esparma); Fenint (Pharmacia & Upjohn); Liponsäure-ratiopharm (ratiopharm); Neurium (Hexal); Pleomix-Alpha (Illa); Thioctacid (ASTA Medica AWD); Thiogamma (Wörwag); Verla-Lipon (Verla); Zeel (Heel)-comb.

I: Atoxan (Lagap)-comb.; wfm

J: Thioctsan (Otsuka)

Hepatosten (Chimipharma); wfm; Lipoatox (Salus); wfm; Piruvasi (Bruco)-comb.; wfm; Tioctamina (Morgan); wfm; Tioctidasi (ISI); wfm; Trofepar (Malesci)-comb.; wfm

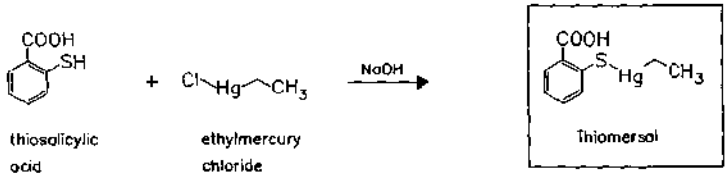
Thiomersal

(Mercurothiolate sodique; Thimerosal)

ATC: D08AK06
Use: antiseptic

RN: 148-61-8 MF: $C_9H_{10}HgO_2S$ MW: 382.83 EINECS: 205-719-5
CN: ethyl(2-mercaptobenzoato-*S*)mercury

sodium salt
RN: 54-64-8 MF: $C_9H_9HgNaO_2S$ MW: 404.82 EINECS: 200-210-4
LD_{50}: 45 mg/kg (M, i.v.); 91 mg/kg (M, p.o.); 75 mg/kg (R, p.o.)



Reference(s):
US 1 672 615 (M. S. Kharasch; 1928; appl. 1927).

stabilization:
US 1 862 896 (M. S. Kharasch; 1932; appl. 1931).
US 2 012 820 (Lilly; 1935; appl. 1934).

with EDTA:
US 2 864 844 (Lilly; 1958; appl. 1955).

use in ophthalmic preparations:
US 3 767 788 (Burton, Parsons Chemicals; 23.10.1973; prior. 6.11.1968, 1.12.1969, 8.6.1970).

topical use for herpes infections:
US 4 083 991 (Burton, Parsons & Comp.; 11.4.1978; appl. 6.5.1977).

Formulation(s): sol. 0.01 mg/ml

Trade Name(s):

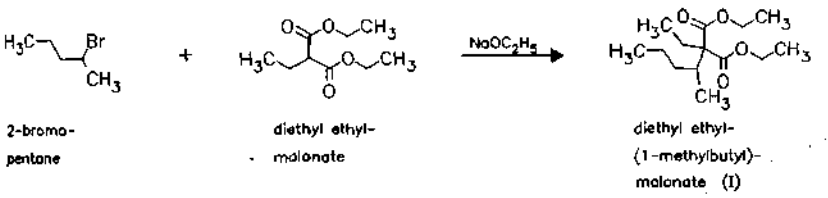
D:	Oxysept (Pharm-Allergan)-comb.	Dermachrome (Synthélabo)-comb.	GB:	Merthiolate (Lilly); wfm	
F:	Collyrex (SmithKline Beecham)-comb.	Polyclean (Alcon SA)-comb.		Otopred (Typharm); wfm	
	Constrilia (Alcon SA)-comb.	Soaclens (Alcon SA)	I:	Lacrigel (Farmigea)-comb.	
		Vitaseptol (CIBA Vital Ophthalmics)	J:	Merzonin (Takeda)	
			USA:	Merthiolate (Lilly); wfm	

Thiopental

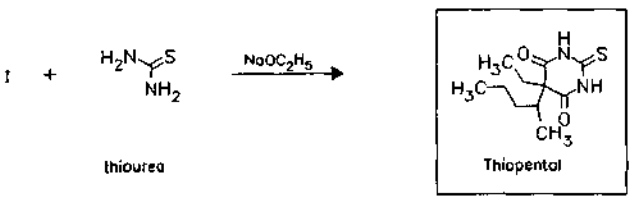
ATC: N01AF03; N05CA19
Use: narcotic

RN: 76-75-5 MF: $C_{11}H_{18}N_2O_2S$ MW: 242.34 EINECS: 200-984-3
LD_{50}: 70 mg/kg (M, i.v.); 600 mg/kg (M, p.o.)
CN: 5-ethyldihydro-5-(1-methylbutyl)-2-thioxo-4,6(1*H*,5*H*)-pyrimidinedione

monosodium salt
RN: 71-73-8 MF: $C_{11}H_{17}N_2NaO_2S$ MW: 264.33 EINECS: 200-763-1
LD_{50}: 57 mg/kg (M, i.v.); 208 mg/kg (M, p.o.);
43.6 mg/kg (R, i.v.); 117 mg/kg (R, p.o.);
36 mg/kg (dog, i.v.)



2-bromo-pentane + diethyl ethyl-malonate → diethyl ethyl-(1-methylbutyl)-malonate (I)



I + thiourea → Thiopental

Reference(s):
US 2 153 729 (Abbott; 1939; appl. 1934).
US 2 876 225 (Abbott; 1959; appl. 1956).

Formulation(s): vial 0.5 g/20 ml, 1 g/20 ml, 2.5 g/100 ml, 5 g/200 ml

Trade Name(s):

D:	Thiopental "Hycomed" (Hycomed)	Penthiobarbital Sodique Adrian (Adrian-Marinier); wfm	GB:	Intraval (May & Baker); wfm	
	Trapanal (Byk Gulden)			Pentothal (Abbott); wfm	
F:	Nesdonal (Specia); wfm	Pentothal (Abbott); wfm	I:	Farmotal (Pharmacia & Upjohn; as sodium salt)	

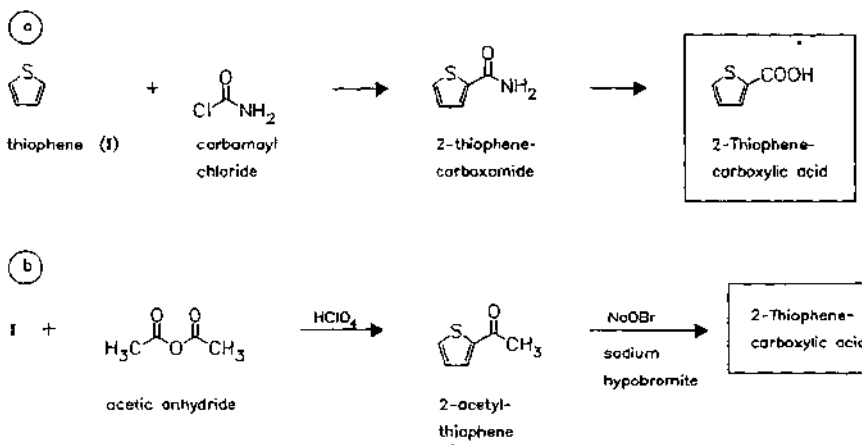
	Pentothal (Abbott; as sodium salt)	J:	Ravonal (Tanabe) Thiobal (Daiichi)	USA:	Pentothal Sodium (Ohmeda)

2-Thiophenecarboxylic acid

ATC: R01AX10
Use: antiallergic

RN: 527-72-0 MF: $C_5H_4O_2S$ MW: 128.15 EINECS: 208-423-4
LD_{50}: 1670 mg/kg (M, i.v.)
CN: 2-thiophenecarboxylic acid

lithium salt
RN: 59753-16-1 MF: $C_5H_3LiO_2S$ MW: 134.08 EINECS: 261-914-5
sodium salt
RN: 25112-68-9 MF: $C_5H_3NaO_2S$ MW: 150.13
potassium salt
RN: 33311-43-2 MF: $C_5H_3KO_2S$ MW: 166.24
magnesium salt
RN: 36292-28-1 MF: $C_{10}H_6MgO_4S_2$ MW: 278.59



Reference(s):
a DD 13 495 (VEB Hydrierwerk Zeitz; appl. 15.7.1957).
b Sy, M.; de Malleray, B.: Bull. Soc. Chim. Fr. (BSCFAS) **1963**, 1276.

alternative syntheses:
Gross, H. et al.: Chem. Ber. (CHBEAM) **96**, 1382 (1963).
DE 1 146 055 (Deutsche Akademie der Wissenschaft; appl. 10.3.1961; DDR-prior. 10.3.1961).
Voerman, M.G.L.: Recl. Trav. Chim. Pays-Bas (RTCPA3) **26**, 293 (1907).

use of the magnesium salt as liver protective drug:
FR 2 043 477 (Invest. Scientif. Pharmac.; appl. 20.5.1969).

Formulation(s): cps. 300 mg (as sodium salt); nasal drops 2.3 % (as sodium salt); tabl. 200 mg (as lithium salt)

Trade Name(s):

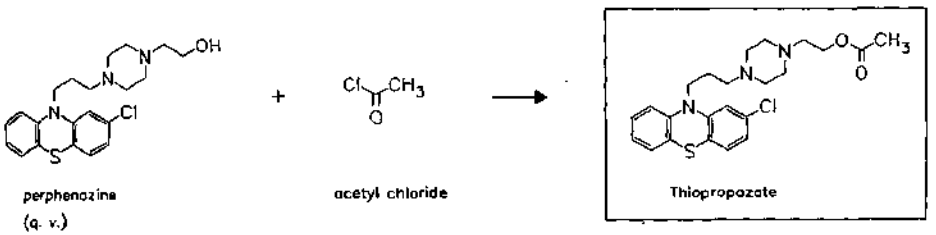
F:	Soufrane (Roland-Marie)-comb.; wfm	Thiophéol (Biogalénique); wfm Thiophéol (Inava); wfm	Trophirés (Roland-Marie)-comb.; wfm

Thiopropazate

ATC: N05AB05
Use: neuroleptic

RN: 84-06-0 MF: $C_{23}H_{28}ClN_3O_2S$ MW: 446.02 EINECS: 201-513-4
LD_{50}: 1100 mg/kg (M, s.c.)
CN: 4-[3-(2-chloro-10*H*-phenothiazin-10-yl)propyl]-1-piperazineethanol acetate (ester)

dihydrochloride
RN: 146-28-1 MF: $C_{23}H_{28}ClN_3O_2S \cdot 2HCl$ MW: 518.94 EINECS: 205-666-8
LD_{50}: 279 mg/kg (M, p.o.)



Reference(s):
US 2 766 235 (J. W. Cusic; 1956; prior. 1956).

Formulation(s): tabl. 5 mg, 10 mg (as dihydrochloride)

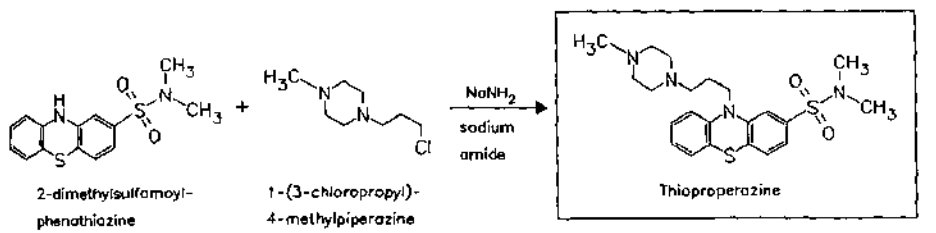
Trade Name(s):
D: Vesitan (Boehringer Mannh.); wfm
GB: Dartalan (Searle); wfm

Thioproperazine
(Thioperazine)

ATC: N05AB08
Use: neuroleptic, anti-emetic

RN: 316-81-4 MF: $C_{22}H_{30}N_4O_2S_2$ MW: 446.64 EINECS: 206-262-4
LD_{50}: 70 mg/kg (M, i.v.); 830 mg/kg (M, p.o.);
25 mg/kg (R, i.v.)
CN: *N,N*-dimethyl-10-[3-(4-methyl-1-piperazinyl)propyl]-10*H*-phenothiazine-2-sulfonamide

dimesylate
RN: 2347-80-0 MF: $C_{22}H_{30}N_4O_2S_2 \cdot 2CH_4O_3S$ MW: 638.85 EINECS: 219-074-2
LD_{50}: 70 mg/kg (M, i.v.); 800 mg/kg (M, p.o.);
45 mg/kg (R, i.v.); 750 mg/kg (R, p.o.)



Reference(s):
GB 814 512 (Rhône-Poulenc; appl. 15.7.1957; F-prior. 1.8.1956, 18.12.1956).
DE 1 088 964 (Rhône-Poulenc; appl. 17.7.1957; F-prior. 1.8.1956, 18.12.1956).

Formulation(s): drops 1 mg/drop; tabl. 10 mg, 25 mg (as bismethanesulfonate)

Trade Name(s):

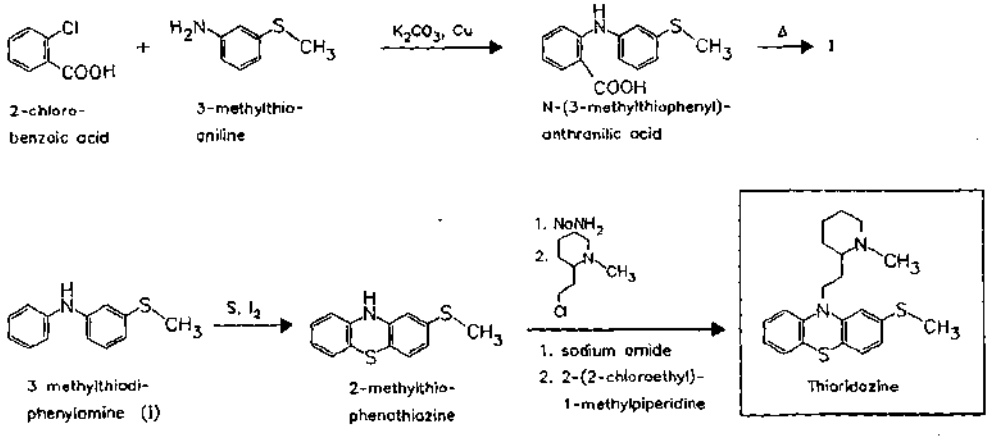
D:	Mayeptil (Rhodia Pharma); wfm	GB:	Majeptil (May & Baker); wfm	USA:	Vontil (Smith Kline & French); wfm
F:	Majeptil (Rhône-Poulenc Rorer Specia)	J:	Cephalmin (Shionogi)		

Thioridazine

ATC: N05AC02
Use: neuroleptic

RN: 50-52-2 MF: $C_{21}H_{26}N_2S_2$ MW: 370.59 EINECS: 200-044-2
LD_{50}: 385 mg/kg (M, p.o.);
71 mg/kg (R, i.v.); 995 mg/kg (R, p.o.)
CN: 10-[2-(1-methyl-2-piperidinyl)ethyl]-2-(methylthio)-10*H*-phenothiazine

monohydrochloride
RN: 130-61-0 MF: $C_{21}H_{26}N_2S_2 \cdot HCl$ MW: 407.05 EINECS: 204-992-8
LD_{50}: 33 mg/kg (M, i.v.); 360 mg/kg (M, p.o.);
71 mg/kg (R, i.v.); 1060 mg/kg (R, p.o.);
160 mg/kg (dog, p.o.)
tartrate
RN: 1257-76-7 MF: $C_{21}H_{26}N_2S_2 \cdot xC_4H_6O_6$ MW: unspecified



Reference(s):
US 3 239 514 (Sandoz; 8.3.1966; CH-prior. 19.4.1956).
Bourquin, J.P. et al.: Helv. Chim. Acta (HCACAV) **41**, 1072 (1958).

Formulation(s): drg. 10 mg, 25 mg, 100 mg; f. c. tabl. 100 mg, 200 mg; s. r. tabl. 30 mg, 200 mg (as hydrochloride); USA: sol. 30 mg/ml (as free base); tabl. 10 mg, 15 mg, 25 mg, 50 mg, 100 mg, 150 mg, 200 mg (as hydrochloride)

Trade Name(s):

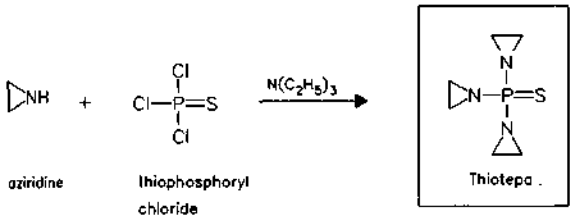
D:	Melleretten (ASTA Medica AWD)	Melleril (Novartis Pharma)	Thioridazine-neuraxpharm (neuraxpharm)

F: Melleril (Sandoz)
GB: Melleril (Novartis)
I: Mellerette (Novartis)
Melleril (Novartis)
J: Melleril (Sandoz-Sankyo)
USA: Thioridazine HCl (Geneva)

Thiotepa

ATC: L01AC01
Use: antineoplastic

RN: 52-24-4 MF: $C_6H_{12}N_3PS$ MW: 189.22 EINECS: 200-135-7
LD_{50}: 14500 µg/kg (M, i.v.); 38 mg/kg (M, p.o.);
9400 µg/kg (R, i.v.)
CN: 1,1',1''-phosphinothioylidynetrisaziridine



Reference(s):
US 2 670 347 (American Cyanamid; 1954; prior. 1952).

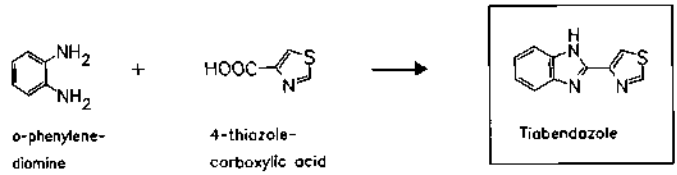
Formulation(s): vial 15 mg

Trade Name(s):
D: Thiotepa "Lederle" (Lederle)
F: Thiotépa Lederle (Lederle)
GB: Thio-Tepa (Lederle); wfm
I: Onco-Tiotepa (Simes); wfm
J: Tespamin (Sumitomo)
USA: Thioplex (Immunex)

Tiabendazole
(Thiabendazole)

ATC: D01AC06; P02CA02
Use: anthelmintic

RN: 148-79-8 MF: $C_{10}H_7N_3S$ MW: 201.25 EINECS: 205-725-8
LD_{50}: 1300 mg/kg (M, p.o.);
2080 mg/kg (R, p.o.)
CN: 2-(4-thiazolyl)-1*H*-benzimidazole



Reference(s):
US 3 017 415 (Merck & Co.; 16.1.1962; prior. 18.1.1960).

alternative methods:
US 3 262 939 (Merck & Co.; 26.7.1966; prior. 2.8.1961, 4.6.1965).
US 3 274 208 (Merck & Co.; 20.9.1966; prior. 18.7.1961, 17.1.1964, 30.8.1965).

use as fungicide:
US 3 370 957 (Merck & Co.; 27.2.1968; prior. 23.5.1963, 12.5.1964).

hypophosphite salt:
US 3 535 331 (Merck & Co.; 20.10.1970; appl. 26.7.1967).

lactate salt:
US 3 658 827 (Merck Sharp & Dohme; 25.4.1972; prior. 26.6.1967, 15.6.1970).

glycolate:
US 4 160 029 (Merck & Co.; 3.7.1979; NL-prior. 10.5.1976).

thiazole-4-carboxylic acid:
US 3 274 207 (Merck & Co.; 20.9.1966; appl. 2.10.1961).

Formulation(s): chewing tabl. 500 mg; susp. 500 mg/5 ml

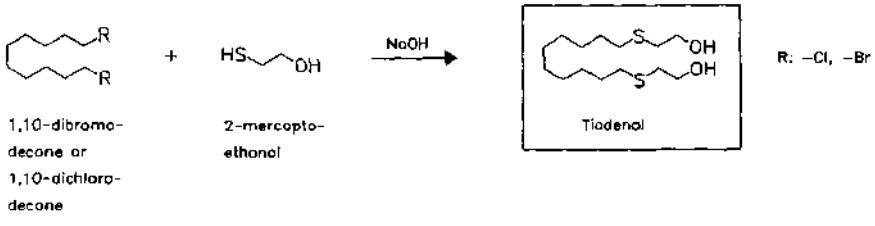
Trade Name(s):

D:	Minzolum (Sharp & Dohme); wfm	GB:	Mintezol (Merck Sharp & Dohme)	J:	Mintezol (Banyu)
		I:	Tiabendazolo (IFI)	USA:	Mintezol (Merck Sharp & Dohme)

Tiadenol

ATC: C10AX03
Use: antihyperlipidemic

RN: 6964-20-1 MF: $C_{14}H_{30}O_2S_2$ MW: 294.52 EINECS: 230-165-6
CN: 2,2'-[1,10-decanediylbis(thio)]bis[ethanol]



Reference(s):
DOS 2 038 836 (Orsymonde; appl. 5.8.1970; GB-prior. 8.8.1969).

Formulation(s): tabl. 400 mg, 600 mg, 800 mg

Trade Name(s):

F:	Fonlipol (Lafon)	Tiabrenolo (NCSN)
I:	Eulip (SIT)	Tiaden (Malesci)

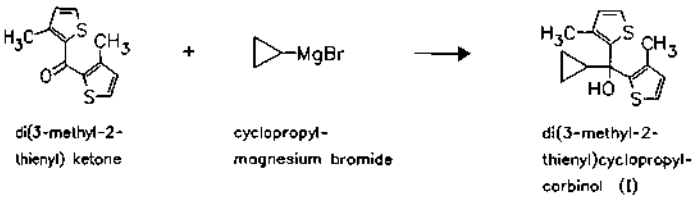
Tiagabine
(ABT-569; NO-05-0328)

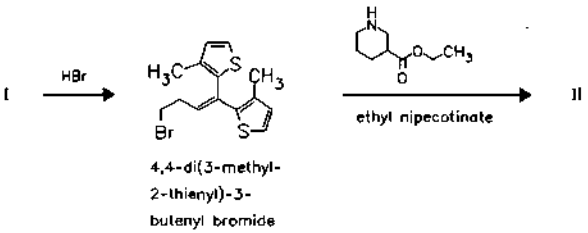
ATC: N03AG06
Use: anticonvulsant, GABA uptake inhibitor

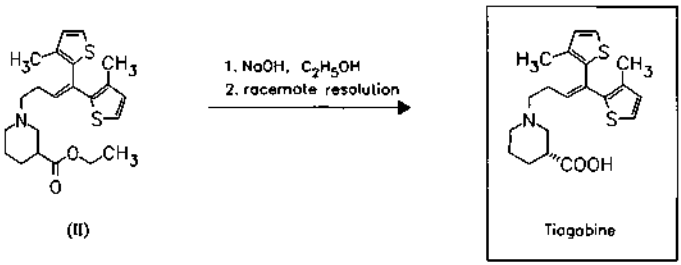
RN: 115103-54-3 MF: $C_{20}H_{25}NO_2S_2$ MW: 375.56
CN: (*R*)-1-[4,4-bis(3-methyl-2-thienyl)-3-butenyl]-3-piperidinecarboxylic acid

hydrochloride
RN: 145821-59-6 MF: $C_{20}H_{25}NO_2S_2 \cdot HCl$ MW: 412.02
hydrochloride monohydrate
RN: 145821-57-4 MF: $C_{20}H_{25}NO_2S_2 \cdot HCl \cdot H_2O$ MW: 430.03
***S*-enantiomer**
RN: 115103-55-4 MF: $C_{20}H_{25}NO_2S_2$ MW: 375.56

S-enantiomer hydrochloride
RN: 145264-34-2 MF: $C_{20}H_{25}NO_2S_2 \cdot HCl$ MW: 412.02
racemate
RN: 127254-36-8 MF: $C_{20}H_{25}NO_2S_2$ MW: 375.56







Reference(s):
WO 8 700 171 (Novo Industri; appl. 26.6.1986; DK-prior. 26.6.1985).

preparation of crystalline R-isomer:
WO 9 217 473 (Novo Nordisk; appl. 23.3.1992; DK-prior. 4.2.1991).

composition with improved stability:
WO 96 344 606 (Novo Nordisk; appl. 29.4.1996; DK-prior. 5.5.1995).

transdermal delivery system:
WO 9 531 976 (Novo Nordisk; appl. 17.5.1995; DK-prior. 20.5.1994).

slow release formulation:
WO 9 529 665 (Alza Corp.; appl. 14.4.1995; USA-prior. 28.4.1994).

Formulation(s): cps. 4 mg, 12 mg, 16 mg, 20 mg; tabl. 5 mg, 10 mg, 15 mg (as hydrochloride)

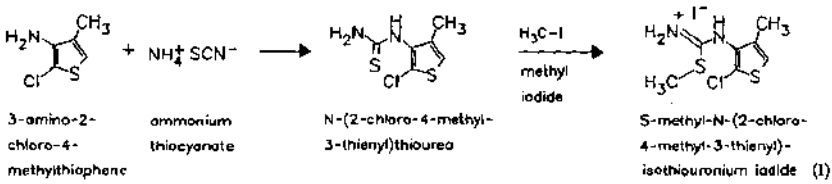
Trade Name(s):
D: Gabitril (Novo Nordisk) F: Gabitril (Novo Nordisk) USA: Gabitril (Abbott)

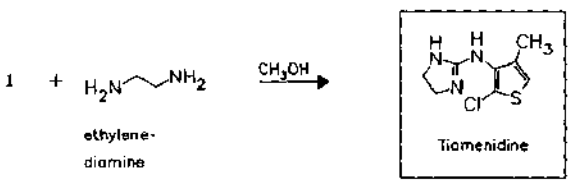
Tiamenidine

ATC: C02AC
Use: antihypertensive

RN: 31428-61-2 MF: $C_8H_{10}ClN_3S$ MW: 215.71
CN: *N*-(2-chloro-4-methyl-3-thienyl)-4,5-dihydro-1*H*-imidazol-2-amine

monohydrochloride
RN: 51274-83-0 MF: $C_8H_{10}ClN_3S \cdot HCl$ MW: 252.17 EINECS: 257-100-4
LD_{50}: 45 mg/kg (M, i.v.); 400 mg/kg (M, p.o.); 170 mg/kg (M, s.c.); 40 mg/kg (R, i.v.)





Reference(s):
DE 1 941 761 (Hoechst AG; appl. 16.8.1969).
US 3 758 476 (Hoechst AG; 11.9.1973; D-prior. 16.8.1969).

Formulation(s): tabl. 0.5 mg, 1 mg (as hydrochloride)

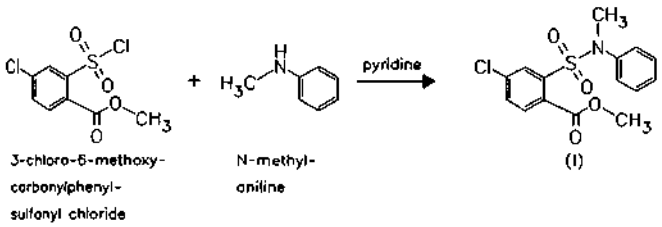
Trade Name(s):
D: Sundralen (Delalande; 1988)

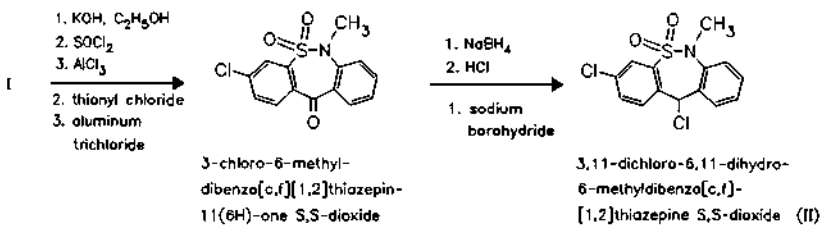
Tianeptine sodium

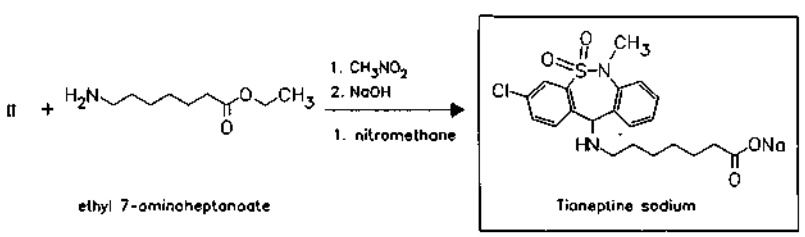
ATC: N06AX14
Use: tricyclic antidepressant

RN: 30123-17-2 MF: $C_{21}H_{24}ClN_2NaO_4S$ MW: 458.94 EINECS: 250-059-3
LD_{50}: 450 mg/kg (M, i.p.); 900 mg/kg (M, p.o.)
CN: 7-[(3-chloro-6,11-dihydro-6-methyl-5,5-dioxidodibenzo[*c,f*][1,2]thiazepin-11-yl)amino]heptanoic acid monosodium salt

free acid
RN: 66981-73-5 MF: $C_{21}H_{25}ClN_2O_4S$ MW: 436.96







Reference(s):
DOS 2 011 806 (Science Union et Cie.; appl. 12.3.1970; GB-prior. 27.3.1969).
DE 2 065 635 (Science Union et Cie.; appl. 12.3.1970; GB-prior. 27.3.1969).
US 3 758 528 (Science Union et Cie.; 11.9.1973; appl. 13.3.1970; GB-prior. 27.3.1969).
DE 2 065 636 (Science Union et Cie.; appl. 12.3.1970; GB-prior. 27.3.1969).
US 3 821 249 (Science Union et Cie.; 28.6.1974; appl. 30.10.1972).

synthesis of 3-chloro-6-methyldibenzo[*c,f*][1,2]thiazepin-11(6*H*)-one *S,S*-dioxide:
GB 1 179 109 (Science Union et Cie.; appl. 19.12.1966).

medical use for treatment of stress:
FR 2 635 461 (ADIR; appl. 18.8.1988).

Formulation(s): tabl. 12.5 mg

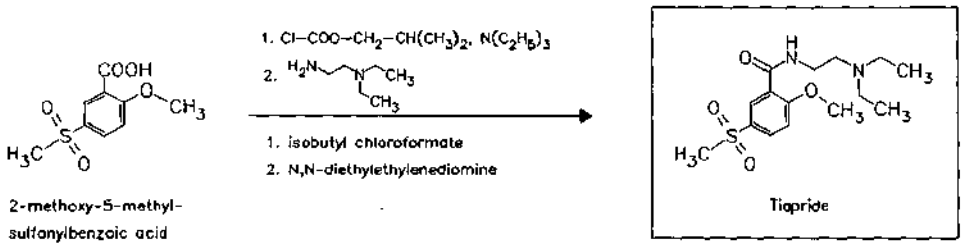
Trade Name(s):
F: Stablon (Ardix; 1988)

Tiapride

ATC: N05AL03
Use: anti-emetic, neuroleptic, antidyskinetic

RN: 51012-32-9 MF: $C_{15}H_{24}N_2O_4S$ MW: 328.43 EINECS: 256-907-9
CN: *N*-[2-(diethylamino)ethyl]-2-methoxy-5-(methylsulfonyl)benzamide

monohydrochloride
RN: 51012-33-0 MF: $C_{15}H_{24}N_2O_4S \cdot HCl$ MW: 364.89 EINECS: 256-908-4
LD_{50}: 189 mg/kg (M, i.v.); 1340 mg/kg (M, p.o.);
254 mg/kg (R, i.v.); 4840 mg/kg (R, p.o.);
240 mg/kg (dog, p.o.)



Reference(s):
DOS 2 327 192 (Soc. d'Etudes Scientif. et Industrielle de l'Ile-de-France; appl. 28.5.1973; F-prior. 1.6.1972, 12.6.1972, 3.4.1973).
DOS 2 327 193 (Soc. d'Etudes Scientif. et Industrielle de l'Ile-de-France; appl. 28.5.1973; F-prior. 1.6.1972, 2.6.1972).
FR 2 188 601 (Soc. d'Etudes Scientif. et Industrielle de l'Ile-de-France; appl. 2.6.1972).

2-methoxy-5-methylsulfonylbenzoic acid:
US 3 342 826 (Soc. d'Etudes Scientif. et Industrielle d l'Ile-de-France; 19.9.1967; appl. 13.1.1964).

Formulation(s): amp. 100 mg/2 ml; tabl. 100 mg (as hydrochloride)

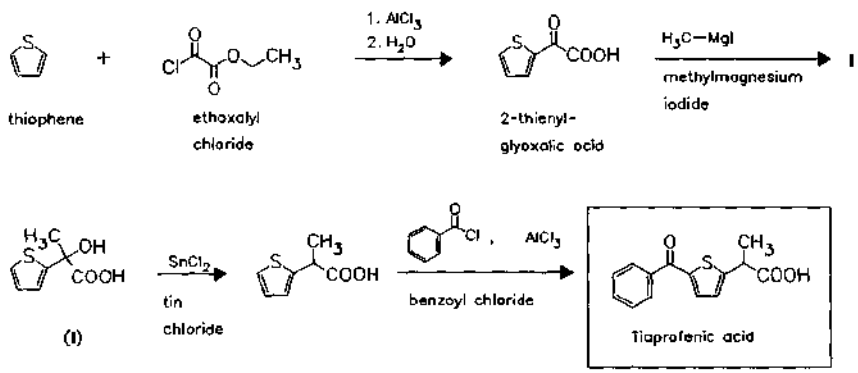
Trade Name(s):

D:	Tiapridex (Synthelabo; 1978)		Tiapridal (Synthélabo; 1977)		Luxoben (ASTA Medica) Sereprile (Synthelabo)
F:	Equilium (Fumouze)	I:	Italprid (Teofarma)	J:	Gramalil (Fujisawa)

Tiaprofenic acid

ATC: M01AE11
Use: anti-inflammatory

RN: 33005-95-7 MF: $C_{14}H_{12}O_3S$ MW: 260.31 EINECS: 251-329-3
LD_{50}: 690 mg/kg (M, p.o.);
181 mg/kg (R, p.o.)
CN: 5-benzoyl-α-methyl-2-thiopheneacetic acid



Reference(s):
DOS 2 055 264 (Roussel-Uclaf; appl. 10.11.1970; F-prior. 12.11.1969).
BE 758 741 (Roussel-Uclaf; appl. 10.5.1971; F-prior. 12.11.1969).
FR 2 112 111 (Roussel-Uclaf; appl. 4.11.1970).
US 4 159 986 (Roussel-Uclaf; 3.7.1979; prior. 25.2.1972).

calcium salt:
FR 2 268 522 (Roussel-Uclaf; appl. 12.11.1969).
Clémence, F. et al.: Eur. J. Med. Chem. (EJMCA5) **9**, 390 (1974).

salt with dibasic amino acids:
ES 460 926 (Lab. Cusi; appl. 21.7.1977).

Formulation(s): s. r. cps. 300 mg; suppos. 300 mg; tabl. 200 mg, 300 mg

Trade Name(s):

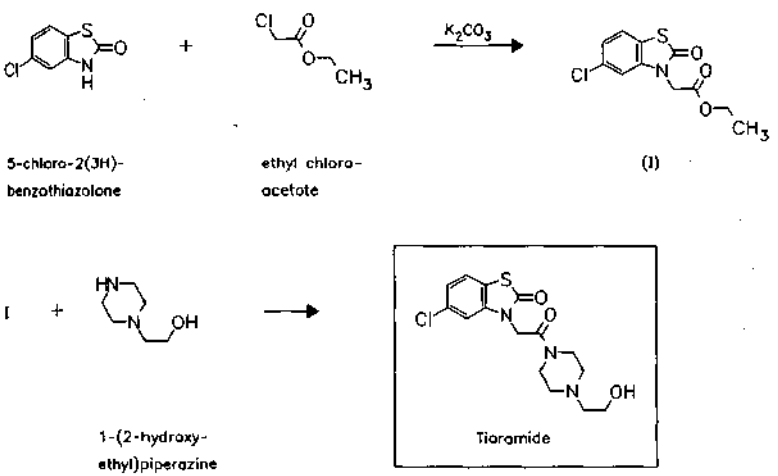
D:	Surgam (Albert-Roussel, Hoechst; 1981)	GB:	Surgam (Florizel; 1982)		Tioprofen (Scharper); wfm
F:	Surgam (Roussel; 1975)	I:	Surgamyl (Roussel-Maestretti); wfm	J:	Surgam (Roussel)

Tiaramide

ATC: M01; N02
Use: anti-inflammatory, analgesic, antipyretic

RN: 32527-55-2 MF: $C_{15}H_{18}ClN_3O_3S$ MW: 355.85 EINECS: 251-083-7
LD_{50}: 564 mg/kg (M, p.o.);
3600 mg/kg (R, p.o.)
CN: 4-[(5-chloro-2-oxo-3(2*H*)-benzothiazolyl)acetyl]-1-piperazineethanol

monohydrochloride
RN: 35941-71-0 MF: $C_{15}H_{18}ClN_3O_3S \cdot HCl$ MW: 392.31 EINECS: 252-802-7
LD_{50}: 178 mg/kg (M, i.v.); 564 mg/kg (M, p.o.);
203 mg/kg (R, i.v.); 2300 mg/kg (R, p.o.);
157 mg/kg (dog, i.v.); >4 g/kg (dog, p.o.)



Reference(s):
DE 1 770 571 (Fujisawa; prior. 5.6.1968).
US 3 661 921 (Fujisawa; 9.5.1972; J-prior. 5.6.1967, 30.9.1967).
US 3 755 327 (Fujisawa; 28.8.1973; J-prior. 5.6.1967).

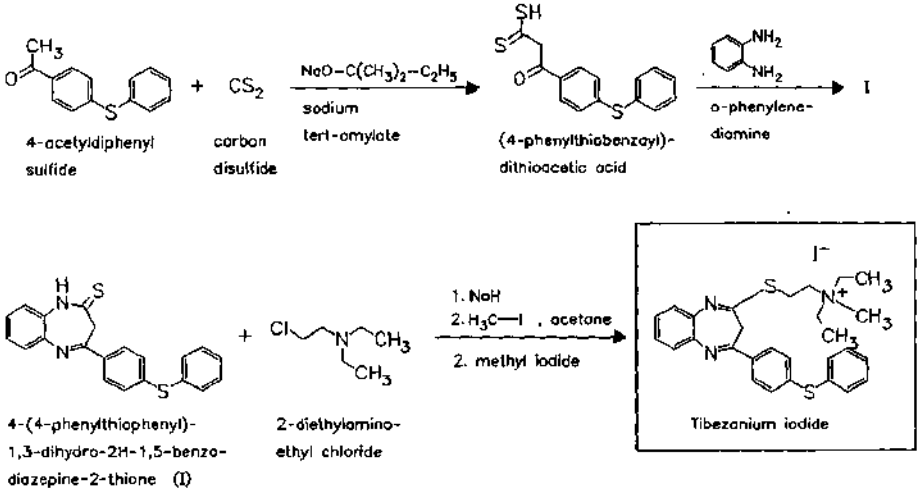
Formulation(s): tabl. 50 mg, 100 mg (as hydrochloride)

Trade Name(s):
I: Ventaval (Crinos); wfm J: Solantal (Fujisawa; 1975)

Tibezonium iodide
(Tiabenzazoniumjodid)

ATC: A01AB15
Use: chemotherapeutic, antiseptic, antibacterial

RN: 54663-47-7 MF: $C_{28}H_{32}IN_3S_2$ MW: 601.62 EINECS: 259-284-1
LD_{50}: 9 g/kg (M, p.o.);
>10 g/kg (R, p.o.)
CN: *N*,*N*-diethyl-*N*-methyl-2-[[4-[4-(phenylthio)phenyl]-3*H*-1,5-benzodiazepin-2-yl]thio]ethanaminium iodide



Reference(s):
US 3 933 793 (Recordati; 20.1.1976; I-prior. 19.10.1971, 18.5.1972).
GB 1 412 008 (Recordati; valid from 11.10.1972; I-prior. 19.10.1971, 18.5.1972).
GB 1 412 009 (Recordati; valid from 11.10.1972; I-prior. 19.10.1971, 18.5.1972), (addition to GB 1 412 008).

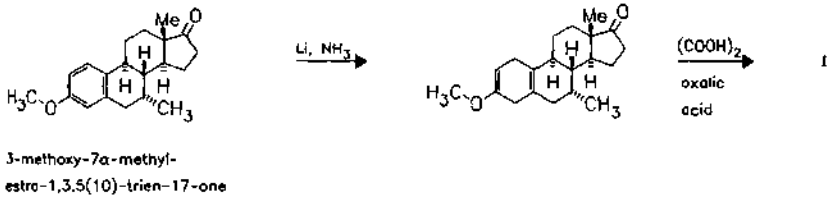
Formulation(s): collutorium 0.05 %; lozenge 5 mg

Trade Name(s):
I: Antoral (Recordati)

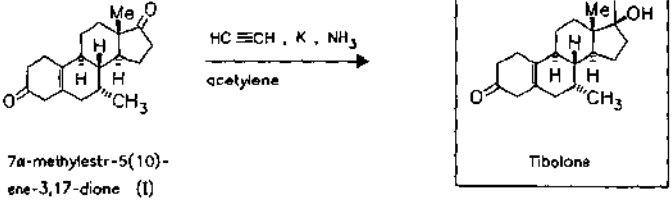
Tibolone

ATC: G03DC05
Use: anabolic, immunomodulating steroid, treatment of postmenopausal vasomotor symptoms

RN: 5630-53-5 MF: $C_{21}H_{28}O_2$ MW: 312.45 EINECS: 227-069-1
CN: (7α,17α)-17-hydroxy-7-methyl-19-norpregn-5(10)-en-20-yn-3-one



3-methoxy-7α-methyl-
estra-1,3,5(10)-trien-17-one



7α-methylestr-5(10)-
ene-3,17-dione (I)

Reference(s):
DOS 1 618 747 (Organon; appl. 23.6.1967; NL-prior. 24.6.1966).
US 3 340 279 (Organon; 5.9.1967; NL-prior. 16.6.1964).
US 3 475 465 (Organon; 28.10.1969; NL-prior. 24.6.1966).

alternative synthesis:
DE 1 543 273 (Organon; appl. 15.6.1965; NL-prior. 16.6.1964).

synthesis of 7α-methylestr-5(10)-ene-3,17-dione:
Anner, G. et al.: Chimia (CHIMAD) **20**, 434 (1966).

medical use as immunomodulator:
EP 159 739 (Akzo; appl. 20.3.1985; NL-prior. 21.3.1984).

combination with fluoride salts:
WO 8 909 058 (Akzo; appl. 17.3.1989; NL-prior. 25.3.1988).

Formulation(s): tabl 2.5 mg

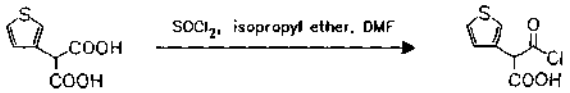
Trade Name(s):
GB: Livial (Organon; 1991) I: Livial (Organon)

Ticarcillin

ATC: J01CA13
Use: antibiotic, antibacterial

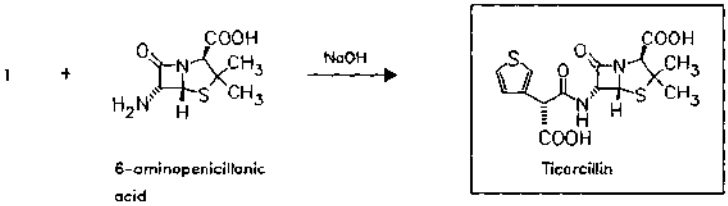
RN: 34787-01-4 MF: $C_{15}H_{16}N_2O_6S_2$ MW: 384.43 EINECS: 252-213-5
CN: [2*S*-(2α,5α,6β(*S**))]-6-[(carboxy-3-thienylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid

disodium salt
RN: 29457-07-6 MF: $C_{15}H_{14}N_2Na_2O_6S_2$ MW: 428.40 EINECS: 249-642-5
LD_{50}: 5200 mg/kg (M, i.v.); >16 g/kg (M, p.o.);
5350 mg/kg (R, i.v.); 16 g/kg (R, p.o.);
>4 g/kg (dog, i.v.)



3-thienyl-malonic acid

(I)



6-aminopenicillanic acid

Ticarcillin

Reference(s):
DE 1 295 558 (Beecham; appl. 23.4.1964; GB-prior. 23.4.1963).
US 3 282 926 (Beecham; 1.11.1966; GB-prior. 23.4.1963).
GB 1 004 670 (Beecham; appl. 23.4.1963; valid from 20.4.1964).
US 3 492 291 (Beecham; 27.1.1970; prior. 17.4.1964, 3.5.1966).
GB 1 197 973 (Beecham; appl. 18.4.1967; valid from 18.4.1968).
DAS 2 244 556 (Pfizer; appl. 11.9.1972; USA-prior. 1.10.1971).

ticarcillin α-benzyl ester *from the monobenzyl ester of 3-thienylmalonic acid (can be hydrogenated on Pd-C to* ticarcillin):
DAS 1 670 222 (Beecham; appl. 12.5.1967; GB-prior. 13.5.1966).
GB 1 125 557 (Beecham; appl. 13.5.1966; valid from 9.5.1967).

acylation via 3-thienylmalonic acid monophenyl ester monochloride:
GB 1 133 886 (Beecham; appl. 5.11.1966, 27.1.1967; valid from 30.10.1967).

alternative method (*via* 2,2-dimethyl-5-(3-thienyl)-1,3-dioxan-4,6-dione):
US 4 066 664 (Recherche et Industrie Thérapeutiques, Belg.; 3.1.1978; prior. 8.4.1975, 27.9.1976).

new method for 3-thienylmalonic acid (*resp.* 3-thienylacetic acid) *based on* 2,5-dichlorothiophene:
DOS 2 157 540 (Beecham; appl. 19.11.1971; GB-prior. 25.11.1970).

synthesis of 3-thienylmalonic acid monoalkyl esters by carboxylation of 3-thienylacetic acid esters:
GB 1 426 557 (Beecham; appl. 5.10.1972; valid from 10.9.1973).
DOS 2 348 473 (Beecham; appl. 26.9.1973; GB-prior. 5.10.1972).

from aliphatic precursors:
EP-appl. 633 (Beecham; appl. 12.7.1978; GB-prior. 23.7.1977).

from 3-halothiophenes:
GB 2 009 158 (Oce-Andeno; appl. 6.12.1977).

Formulation(s): amp. 1.5 g, 3 g, 5 g; vial 1 g, 3 g, 6 g

Trade Name(s):

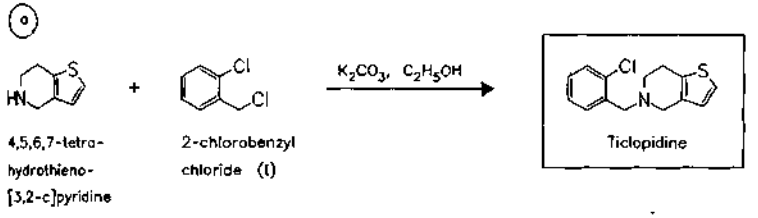
D:	Betabactyl (SmithKline Beecham)-comb.	GB:	Ticar (Beecham)	USA:	Ticar (SmithKline Beecham)
F:	Claventin (SmithKline Beecham)-comb.		Timentin (SmithKline Beecham)-comb.		Timentin (SmithKline Beecham)-comb.
	Ticarpen (SmithKline Beecham)	J:	Monapen (Fujisawa)		
			Ticarpenin (Beecham-Meiji Seika)		

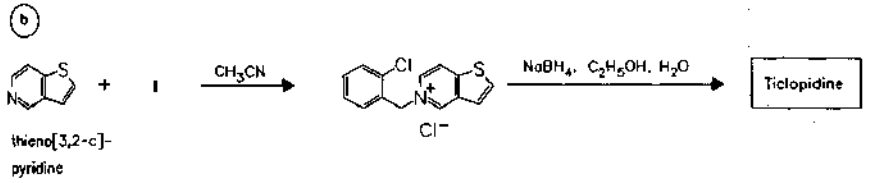
Ticlopidine

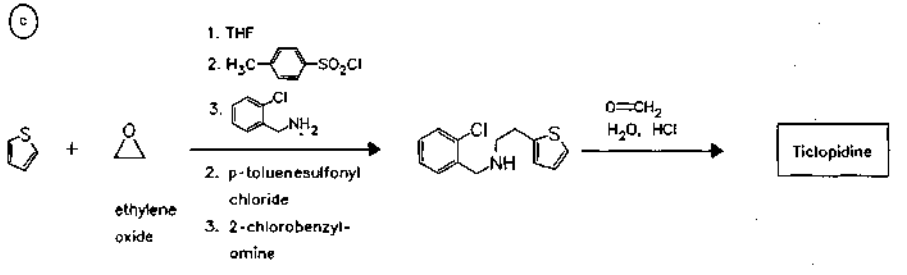
ATC: B01AC05
Use: platelet aggregation inhibitor

RN: 55142-85-3 MF: $C_{14}H_{14}ClNS$ MW: 263.79 EINECS: 259-498-5
LD_{50}: 88 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
70 mg/kg (R, i.v.); 1780 mg/kg (R, p.o.)
CN: 5-[(2-chlorophenyl)methyl]-4,5,6,7-tetrahydrothieno[3,2-*c*]pyridine

hydrochloride
RN: 53885-35-1 MF: $C_{14}H_{14}ClNS \cdot HCl$ MW: 300.25 EINECS: 258-837-4
LD_{50}: 55 mg/kg (M, i.v.); 600 mg/kg (M, p.o.);
70 mg/kg (R, i.v.); 1780 mg/kg (R, p.o.)







Reference(s):
DE 2 404 308 (Centre Etud. Ind. Pharm.; prior. 30.1.1974).
US 4 051 141 (Centre Etud. Ind. Pharm.; 27.9.1977; F-prior. 1.2.1973).
a,b Maffrand, J.P.; Eloy, F.: Eur. J. Med. Chem. (EJMCA5) **9**, 483 (1974).
starting material:
DOS 2 530 516 (Parcor; appl. 9.7.1975; F-prior. 16.7.1974).
c US 4 127 580 (Parcor; 28.11.1978; F-prior. 30.7.1975, 7.2.1975).

alternative syntheses:
US 4 174 448 (Parcor; 13.11.1979; F-prior. 6.6.1978).

use as cytostatic:
BE 873 326 (Sopharma; appl. 5.1.1979; J-prior. (Daiichi Seiyaku) 6.1.1978).

ticlopidine-aspirin-*combination:*
US 4 080 447 (Cent. Etud. Ind. Pharm.; 21.3.1978; F-prior. 29.3.1976).

use as antithrombotic:
JP 54 086 626 (Sopharma; appl. 21.12.1977).
JP 54 105 236 (Sopharma; appl. 6.2.1978).

Formulation(s): cps. 250 mg; drg. 250 mg; f. c. tabl. 250 mg (as hydrochloride); tabl. 250 mg

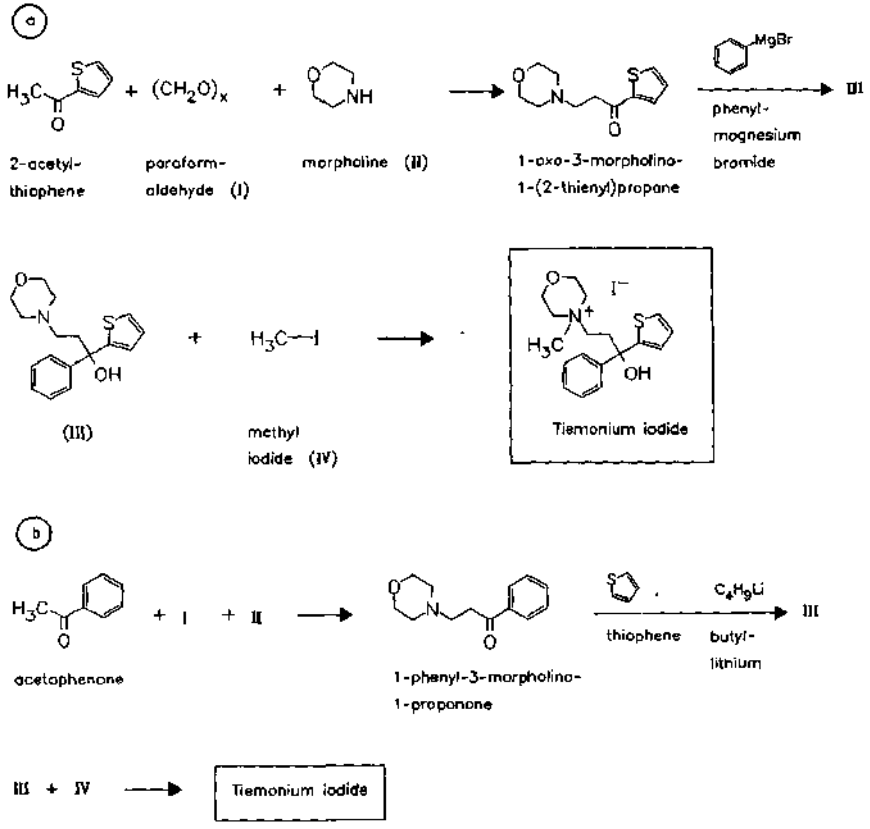
Trade Name(s):

D:	Tiklyd (Sanofi Winthrop; 1980)	Clox (Caber)		Ticlopidine Dorom (Dorom)
F:	Ticlid (Sanofi Winthrop; 1978)	Fluilast (Boniscontro & Gazzone)		Ticloproge (Proge Farm)
I:	Anagregal (Gentili)	Klodin (Savio IBN)		Tiklid (Sanofi Winthrop)
	Antigreg (Ptam)	Opteron (Therabel Pharma)	J:	Panaldin (Daiichi)
	Aplaket (Rottapharm)	Parsilid (Crinos)	USA:	Ticlid (Roche)
		Ticlodone (Sigma-Tau)		

Tiemonium iodide

ATC: A03AB17
Use: antispasmodic, analgesic, anticholinergic

RN: 144-12-7 MF: $C_{18}H_{24}INO_2S$ MW: 445.37 EINECS: 205-616-5
LD_{50}: 30 mg/kg (M, i.v.); 1800 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 2295 mg/kg (R, p.o.)
CN: 4-[3-hydroxy-3-phenyl-3-(2-thienyl)propyl]-4-methylmorpholinium iodide



Reference(s):

a GB 953 386 (Mauvernay; appl. 3.3.1961; F-prior. 17.8.1960).
FR-M 387 (Mauvernay; appl. 17.8.1960; prior. 4.3.1960).

b DOS 2 609 923 (Ravensberg; appl. 10.3.1976).

Formulation(s): syrup 0.2 %; tabl. 5 mg as combination preparation

Trade Name(s):

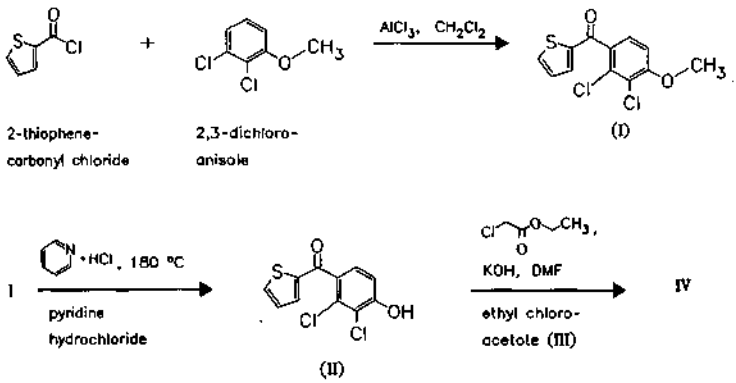
D: Coffalon (Stark, Konstanz)-comb.
F: Colchimax (Houdé)-comb. with colchicine
I: Ottimal (Fardeco; as methyl sulfate); wfm
Viscéralgine (Riom) combination preparations
Ottimal (ICT-Lodi); wfm
Visceralgina (Lirca); wfm
Visceralgina (SIT); wfm
J: Visceralgine (Nippon Zoki)

Tienilic acid

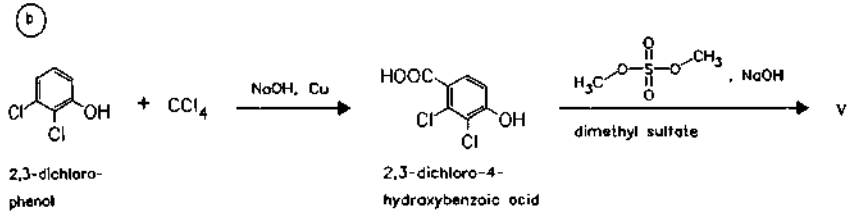
ATC: C03CC02
Use: diuretic, uricosuric agent

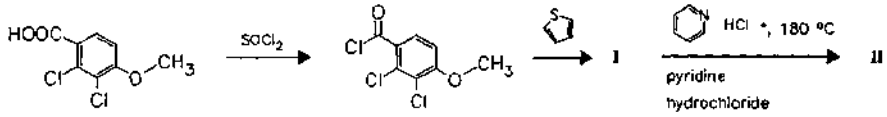
RN: 40180-04-9 MF: $C_{13}H_8Cl_2O_4S$ MW: 331.18 EINECS: 254-826-3
LD_{50}: 225 mg/kg (M, i.v.); 1275 mg/kg (M, p.o.)
CN: [2,3-dichloro-4-(2-thienylcarbonyl)phenoxy]acetic acid

a

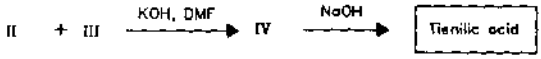


b





2,3-dichloro-4-methoxybenzoic acid (V)



Reference(s):

a DE 2 048 372 (CERPHA; appl. 1.10.1970; F-prior. 10.10.1969).
US 3 758 506 (CERPHA; 11.9.1973; F-prior. 10.10.1969).
US 4 107 179 (Smith Kline; 15.8.1978; prior. 22.8.1977).
Thuillier, G. et al.: Eur. J. Med. Chem. (EJMCA5) **9**, 625 (1974).
new method for 2,3-dichloroanisole:
FR-appl. 2 363 539 (Albert Rolland; appl. 31.8.1976).

b DOS 2 743 469 (Smith Kline; appl. 27.9.1977; USA-prior. 4.10.1976).
GB 1 545 639 (Smith Kline; appl. 26.9.1977; USA-prior. 4.10.1976).

acylation of thiophene *with* 4-carboxy-2,3-dichlorophenoxyacetic acid ethyl ester *in presence of* polyphosphoric acid:
BE 858 848 (Albert Rolland; appl. 19.9.1977; F-prior. 21.9.1976).

alternative syntheses:
FR 2 407 925 (Smith Kline; appl. 27.9.1978; USA-prior. 2.11.1977).
US 4 166 061 (Smith Kline; 28.8.1979; appl. 2.11.1977).

use as antihyperlipidemic:
US 3 969 508 (Smith Kline Corp.; 13.7.1976; appl. 27.11.1974).

Formulation(s): tabl. 250 mg

Trade Name(s):
F: Diflurex (Anphar); wfm

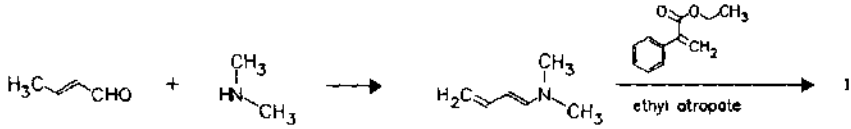
Tilidine

(Tilidate)

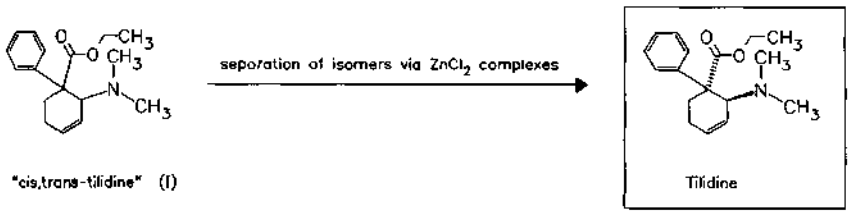
ATC: N02AX01
Use: analgesic, narcotic

RN: 51931-66-9 MF: $C_{17}H_{23}NO_2$ MW: 273.38 EINECS: 243-774-7
CN: *trans*-2-(dimethylamino)-1-phenyl-3-cyclohexene-1-carboxylic acid ethyl ester

hydrochloride
RN: 27107-79-5 MF: $C_{17}H_{23}NO_2 \cdot HCl$ MW: 309.84 EINECS: 248-226-0
LD_{50}: 52 mg/kg (M, i.v.); 437 mg/kg (M, p.o.);
74 mg/kg (R, i.v.); 418 mg/kg (R, p.o.);
500 mg/kg (dog, p.o.)



crotonaldehyde dimethylamine



Reference(s):
DE 1 518 959 (Gödecke; appl. 19.11.1965).
DE 1 618 476 (Gödecke; appl. 3.6.1967).
DE 1 618 482 (Gödecke; appl. 23.6.1967).
DE 1 768 704 (Gödecke; appl. 21.6.1968).
DAS 1 793 571 (Gödecke; appl. 19.11.1965).
DAS 1 907 909 (Gödecke; appl. 17.2.1969).
DOS 1 907 911 (Gödecke; appl. 17.2.1969).
DE 1 923 620 (Gödecke; appl. 8.5.1969).
US 3 557 126 (Warner-Lambert; 19.1.1971; D-prior. 19.11.1965, 8.6.1967, 23.6.1967, 21.6.1968, 17.2.1969).
Satzinger, G.: Justus Liebigs Ann. Chem. (JLACBF) **728**, 64 (1962).

Formulation(s): cps. 50 mg; inj. sol. 100 mg; sol./drops 50 mg/0.72 ml; suppos. 75 mg (as hydrochloride in comb. with naloxone)

Trade Name(s):

D: Findol (Mundipharma)-comb.
Grüntin (Grünenthal)-comb.
Tilidalor (Hexal)-comb.
Tiligetic-saar (Azupharma)-comb.
TIW-Puren (Isis Puren)-comb.
Valomerck (Merck Generika)-comb.
Valoron N (Gödecke)-comb. with naloxone

I: Analgesic (Isom); wfm
Lucayan (Corvi); wfm

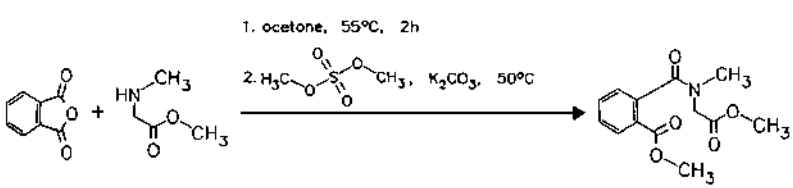
Tilisolol hydrochloride

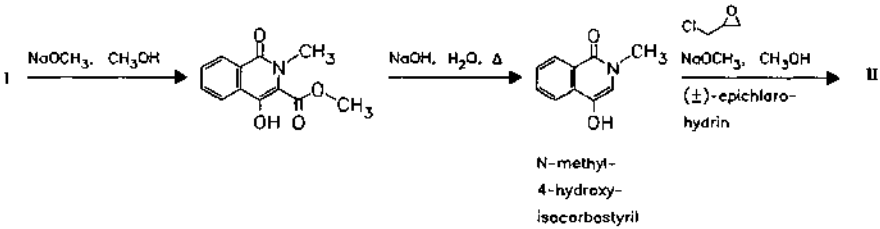
(N-696)

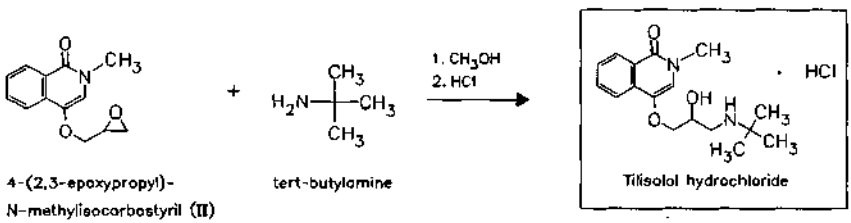
Use: antihypertensive and antiangina, β-adrenergic blocker

RN: 155346-82-0 MF: $C_{17}H_{24}N_2O_3 \cdot HCl$ MW: 340.85
CN: (±)-4-[3-[(1,1-Dimethylethyl)amino]-2-hydroxypropoxy]-2-methyl-1(2*H*)-isoquinolinone hydrochloride

(±)-base
RN: 85136-71-6 MF: $C_{17}H_{24}N_2O_3$ MW: 304.39







Reference(s):
GB 1 501 150 (Nisshin Flour Milling Co.; GB-prior. 11.7.1975)
DE 2 631 080 (Nisshin Flour Milling Co.; appl. 9.7.1976; GB-prior. 11.7.1975).

synthetic preparation of N-methyl-4-hydroxyisocarbostyril:
Lombardino, J.G.: J. Heterocycl. Chem. (JHTCAD) 7 (5), 1057 (1970).

synthetic preparation of phthalic acid monoamide diethyl esters:
JP 57 054 152 (Nisshin Flour Milling Co.; J-prior. 18.9.1980).
JP 0 108 595 (Nisshin Flour Milling Co.; J-prior. 2.9.1988).

Formulation(s): tabl. 10 mg, 20 mg (as hydrochloride)

Trade Name(s):
J: Daim (Nisshin Flour Milling/Maruho) Selecal (Toyama)

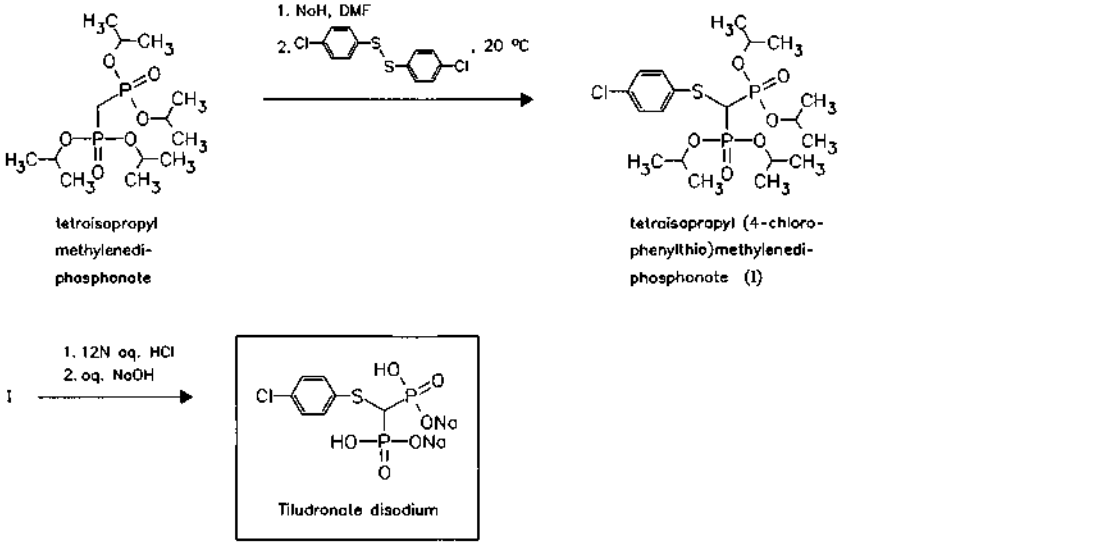
Tiludronate disodium

(CI-TMBP; ME-3737; SR-41319; SR-41319B)

ATC: M05BA05
Use: calcium regulator, antiarthritic, treatment of osteoporosis, bisphosphonate bone resorption inhibitor

RN: 149845-07-8 MF: $C_7H_7ClNa_2O_6P_2S$ MW: 362.57
CN: [[(4-chlorophenyl)thio]methylene]bis [phosphonic acid] disodium salt

monohydrate
RN: 155453-09-1 MF: $C_7H_7ClNa_2O_6P_2S \cdot H_2O$ MW: 380.59
hemihydrate
RN: 155453-10-4 MF: $C_7H_7ClNa_2O_6P_2S \cdot 1/2H_2O$ MW: 743.16
free acid
RN: 89987-06-4 MF: $C_7H_9ClO_6P_2S$ MW: 318.61



Reference(s):
Ohnishi, H.; Nakamura, T.; Tsurukami, H.; Murakami, H.; Abe, M.; Barbier, A.: Bone Miner. (BOMIET) **25** (Suppl. 1), Abstr. 11 (1994).

synthesis:
EP 100 718 (Sanofi; appl. 25.7.1983; F-prior. 29.7.1982).

monohydrate of the disodium salt:
EP 582 515 (Elf Sanofi; appl. 3.8.1993; F-prior. 5.8.1992).

pharmaceutical preparations:
WO 9 617 616 (Sanofi; appl. 5.12.1995; F-prior. 6.12.1994).
WO 9 641 618 (Sanofi Winthrop; appl. 4.6.1996; USA-prior. 8.6.1995).

pharmaceutical compositions:
WO 9 530 421 (Ciba-Geigy AG; appl. 16.11.1995; GB-prior. 4.5.1994).
JP 05 105 632 (Meiji Seika Kaisha; appl. 27.4.1993; J-prior. 6.6.1991).
EP 336 851 (Sanofi; appl. 11.10.1989; F-prior. 7.4.1988).

combinations:
with estrogenes for treatment of osteoporosis:
WO 9 214 474 (Norwich Easton Pharmaceuticals; appl. 3.9.1992; USA-prior. 26.2.1991).
WO 9 414 455 (Merck & Co.; appl. 7.7.1994; USA-prior. 23.12.1992).

with parathyroid hormone for treatment of osteoporosis:
WO 9 607 418 (Procter and Gamble Company; appl. 14.3.1996; USA-prior. 9.9.1994).
WO 9 607 417 (Procter and Gamble Company; appl. 14.3.1996; USA-prior. 9.9.1994).

with growth hormone secretagogues for treatment of osteoporosis:
WO 9 511 029 (Merck & Co.; appl. 27.4.1995; USA-prior. 19.10.1993).

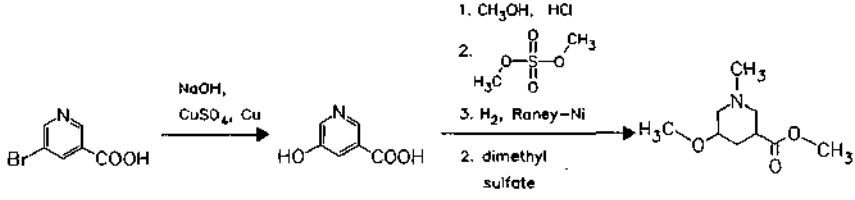
Formulation(s): tabl. 200 mg, 240 mg (as sodium salt hemihydrate)

Trade Name(s):
D: Skelid (Sanofi Winthrop) F: Skelid (Sanofi Winthrop) USA: Skelid (Sanofi)

Timepidium bromide

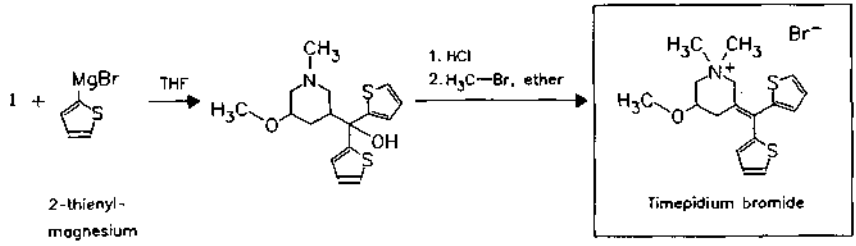
ATC: A03
Use: antispasmodic, anticholinergic

RN: 35035-05-3 MF: $C_{17}H_{22}BrNOS_2$ MW: 400.41
LD_{50}: 12 mg/kg (M, i.v.); 713 mg/kg (M, p.o.);
7 mg/kg (R, i.v.); 1213 mg/kg (R, p.o.)
CN: 3-(di-2-thienylmethylene)-5-methoxy-1,1-dimethylpiperidinium bromide



5-bromo-nicotinic acid

methyl N-methyl-5-methoxynipecotate (1)



2-thienyl-magnesium bromide

Timepidium bromide

Reference(s):
US 3 764 607 (Tanabe Seiyaku; 9.10.1973; appl. 3.6.1971; J-prior. 11.6.1970).
FR 2 100 750 (Tanabe Seiyaku; appl. 28.4.1972; J-prior. 11.6.1970).
DOS 2 128 808 (Tanabe Seiyaku; appl. 9.6.1971; J-prior. 11.6.1970).
Kawazu, M. et al.: J. Med. Chem. (JMCMAR) **15**, 914 (1972).

Formulation(s): cps. 30 mg; vial 7.5 mg

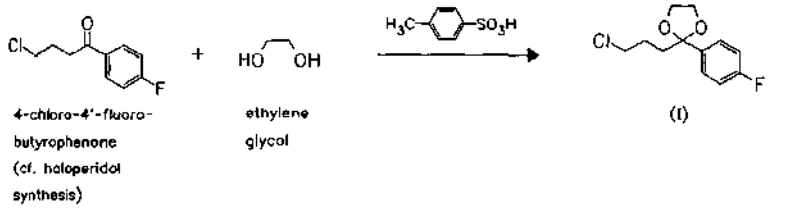
Trade Name(s):
I: Mepidium (Recordati; 1987); wfm
J: Sesden (Tanabe Seiyaku; 1976)

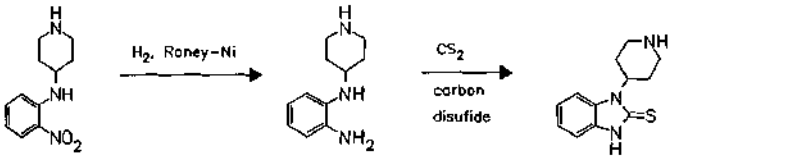
Timiperone

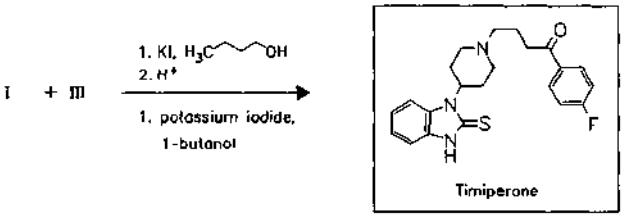
ATC: N05AK
Use: neuroleptic, antipsychotic

RN: 57648-21-2 MF: $C_{22}H_{24}FN_3OS$ MW: 397.52 EINECS: 260-880-9
LD_{50}: 500 mg/kg (M, p.o.);
>12.1 mg/kg (R, i.v.); 232 mg/kg (R, p.o.);
20 mg/kg (dog, i.v.); 85 mg/kg (dog, p.o.)
CN: 4-[4-(2,3-dihydro-2-thioxo-1*H*-benzimidazol-1-yl)-1-piperidinyl]-1-(4-fluorophenyl)-1-butanone

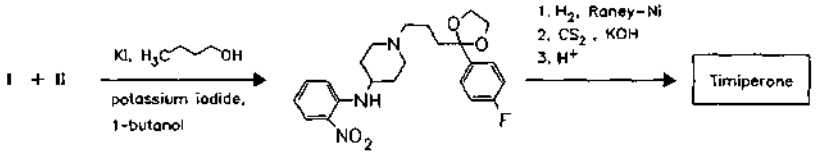
a







b



Reference(s):
DOS 2 526 393 (Daiichi Seiyaku; appl. 13.6.1975).
US 3 963 727 (Daiichi Seiyaku; 15.6.1976; J.-prior. 6.6.1975).
Sato, M. et al.: J. Med. Chem. (JMCMAR) **21**, 1116 (1978).

alternative syntheses:
Sato, M.; Arimoto, M.: Chem. Pharm. Bull. (CPBTAL) **30**, 719 (1982).

Trade Name(s):
J: Tolopelon (Daiichi Seiyaku; 1984)

Timolol

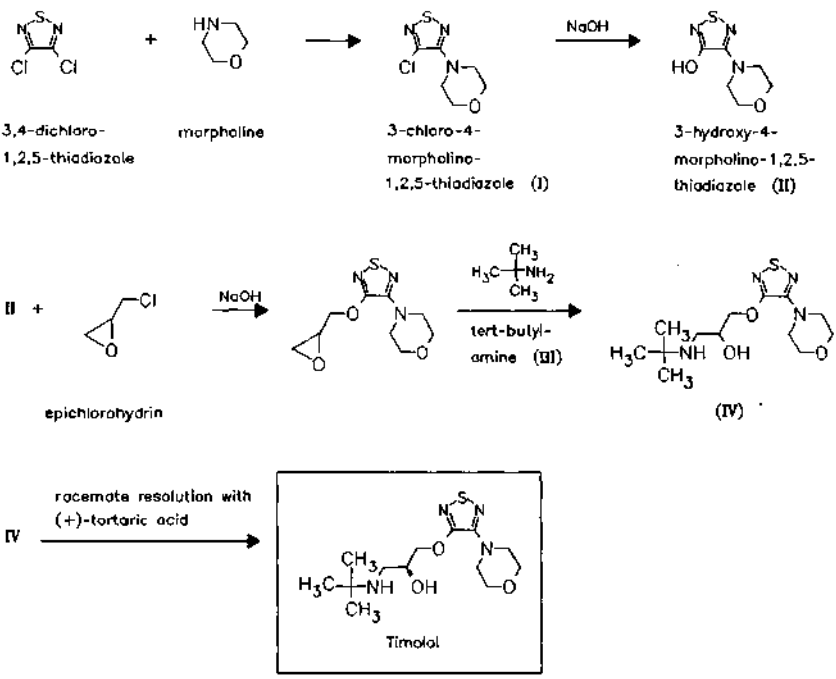
ATC: C07AA06; C07BA06; C07DA06; S01ED01
Use: beta blocking agent, antiglaucoma agent, antianginal, antiarrhythmic

RN: 26839-75-8 MF: $C_{13}H_{24}N_4O_3S$ MW: 316.43 EINECS: 248-032-6
CN: (*S*)-1-[(1,1-dimethylethyl)amino]-3-[[4-(4-morpholinyl)-1,2,5-thiadiazol-3-yl]oxy]-2-propanol

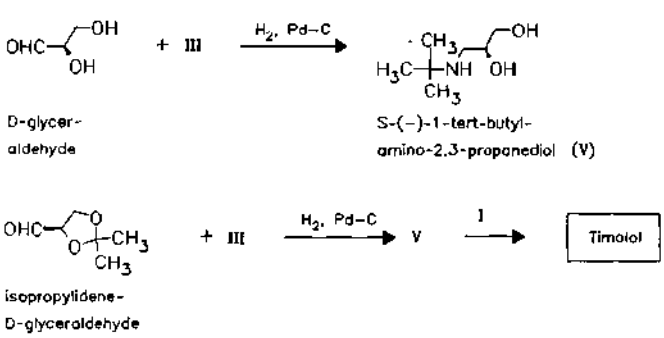
maleate

RN: 26921-17-5 MF: $C_{13}H_{24}N_4O_3S \cdot C_4H_4O_4$ MW: 432.50

(a)



(b)



Reference(s):

US 3 619 370 (C. E. Frosst & Co.; 9.11.1971; appl. 21.4.1969).
DOS 1 925 956 (C. E. Frosst & Co.; appl. 21.5.1969; USA-prior. 21.4.1969).
DOS 1 925 954 (C. E. Frosst & Co.; appl. 21.5.1969; USA-prior. 21.4.1969).
US 3 655 663 (C. E. Frosst & Co.; 11.4.1972; appl. 21.4.1969; prior. 22.5.1968).
DAS 1 925 956 (C. E. Frosst & Co.; appl. 21.5.1969; USA-prior. 22.5.1968, 21.4.1969).
DOS 1 925 955 (C. E. Frosst & Co.; appl. 21.5.1969; USA-prior. 21.4.1969).
US 3 657 237 (C. E. Frosst & Co.; 18.4. 1972; appl. 21.4.1969).
US 3 718 647 (C. E. Frosst & Co.; 27.2.1973; USA-prior. 21.4.1969, 16.8.1971).
US 3 729 469 (C. E. Frosst & Co.; 24.4.1973; prior. 22.5.1968, 21.4.1969, 9.9.1971).
US 3 812 182 (C. E. Frosst & Co.; 21.5.1974; prior. 21.4.1969, 16.8.1971, 18.6.1973).

alternative synthesis:
US 4 145 550 (Merck Sharp & Dohme; 20.3.1979; prior. 7.8.1975, 8.2.1977, 21.9.1977).

O-acyl-derivatives:
US 3 891 639 (Merck Sharp & Dohme; 24.6.1975; appl. 19.4.1973).
US 4 011 217 (Merck Sharp & Dohme; 8.3.1977; appl. 26.2.1975; prior. 19.4.1973).

combinations with diuretics:
US 4 178 374 (Merck & Co.; 11.12.1979; prior. 16.8.1974, 3.3.1975, 21.10.1976, 10.4.1978).
GB 1 495 034 (Merck & Co.; appl. 11.8.1975; USA-prior. 16.8.1974, 3.3.1975).

medical use for treatment of glaucoma:
GB 1 524 405 (Merck & Co.; appl. 23.9.1976; USA-prior. 26.9.1975).

Formulation(s): eye drops 1 mg/ml, 2.5 mg/ml, 5 mg/ml, 1 mg/ml (as maleate); tabl. 5 mg, 10 mg, 20 mg (as maleate); tabl. 25 mg in comb. with hydrochlorothiazide

Trade Name(s):

D: Arutimol (Chauvin ankerpharm)
Chibro-Timoptol (Chibret; 1979)
dispatim (CIBA Vision)
duratimol (durachemie)
Moducrin (Merck Sharp & Dohme; 1978)-comb.
Timo-COMOD (Ursapharm)
Timo EDO (Mann)
Timohexal (Hexal)
Timolol-ratiopharm (ratiopharm)
Timomann (Mann)
Tim-Ophthal (Winzer)
Timosine (Chibret)
Timo-Stulln (Pharma Stulln)

F: Digaol (Leurquin)
Gaoptol (Eurphta)
Moducren (Merck Sharp & Dohme-Chibret)-comb.
Nyolol (CIBA Vision Ophthalmics)
Ophtim (Théa)
Timabak (Théa)
Timacor (Merck Sharp &-Dohme-Chibret)
Timoptol (Merck Sharp & Dohme-Chibret)
numerous combination preparations

GB: Betim (Leo; as maleate)
Blocadren (Merck Sharp & Dohme; 1974)
Glaucol (Baker Norton)
Moducren (Morson)-comb.
Prestim (Leo)-comb.
Timoptol (Merck Sharp & Dohme)

I: Blocadren (Merck Sharp & Dohme)
Cusimolol (Alcon)
Droptimol (Farmigea)
Equiton (Bruschettini)-comb.
Oftimolo (Farmila)
Timicon (Merck Sharp & Dohme)-comb.
Timoptol (Merck Sharp & Dohme)

J: Timoptol (Merck-Banyu)

USA: Blocadren (Merck Sharp & Dohme; 1983)
Timolide (Merck Sharp & Dohme; 1981)
Timoptic (Merck Sharp & Dohme; 1978)
generics

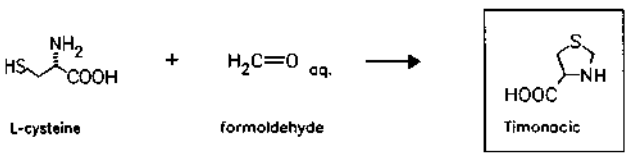
Timonacic

(Thiazolidincarbonsäure)

ATC: A05
Use: liver therapeutic, choleretic

RN: 444-27-9 MF: $C_4H_7NO_2S$ MW: 133.17 EINECS: 207-146-6
LD_{50}: 400 mg/kg (M, p.o.);
875 mg/kg (R, p.o.)
CN: 4-thiazolidinecarboxylic acid

arginine salt
RN: 57631-15-9 MF: $C_4H_7NO_2S \cdot C_6H_{14}N_4O_2$ MW: 307.38



Reference(s):
FR-M 3 184 (Sogespar; appl. 4.2.1963).

Formulation(s): drinking amp. 100 mg (as arginine salt); tabl. 400 mg

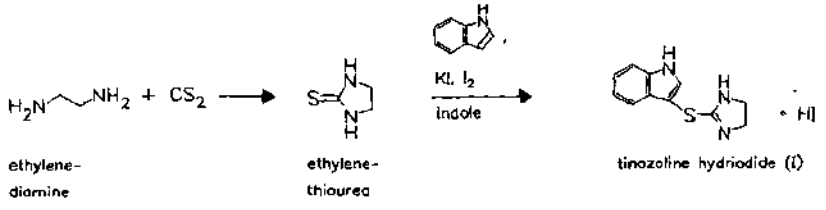
Trade Name(s):
F: Hépalidine (Riker-Mediarik)
Thiobiline (Riker); wfm
I: Tiadilon (Dexo; as arginine salt)
Sulfile (Poli)
Tiazolidin (Solvay Pharma)

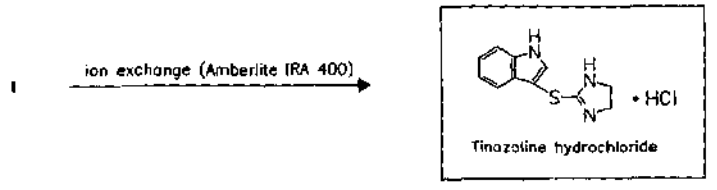
Tinazoline hydrochloride

ATC: R01A
Use: vasoconstrictor, nasal decongestant

RN: 55107-60-3 MF: $C_{11}H_{11}N_3S \cdot HCl$ MW: 253.76
CN: 3-[(4,5-dihydro-1*H*-imidazol-2-yl)thio]-1*H*-indole monohydrochloride

tinazoline
RN: 62882-99-9 MF: $C_{11}H_{11}N_3S$ MW: 217.30





Reference(s):
DOS 2 427 207 (Ciba-Geigy; appl. 6.5.1974; CH-prior. 14.6.1973).
Nagarajan, N. et al.: Indian J. Chem. (IJOCAP) **20B**, 672 (1981).

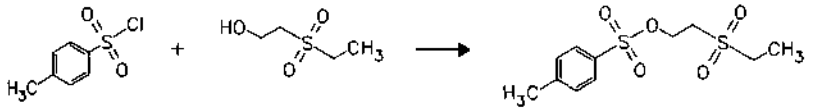
synthesis of ethylenethiourea:
DOS 2 703 312 (Bayer, appl. 27.1.1977).

Trade Name(s):
IN: Varsyl (Ciba-Geigy; 1988)

Tinidazole

ATC: J01XD02; P01AB02
Use: chemotherapeutic (trichomonas), antiprotozoal, antiamebia

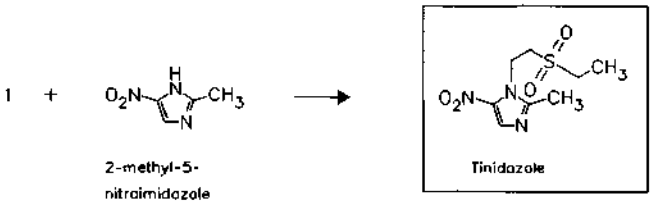
RN: 19387-91-8 MF: $C_8H_{13}N_3O_4S$ MW: 247.28 EINECS: 243-014-4
LD_{50}: >250 mg/kg (M, i.v.); 3200 mg/kg (M, p.o.);
>250 mg/kg (R, i.v.); 2710 mg/kg (R, p.o.)
CN: 1-[2-(ethylsulfonyl)ethyl]-2-methyl-5-nitro-1*H*-imidazole



p-toluenesulfonyl chloride

2-(ethylsulfonyl)-ethanol

2-(ethylsulfonyl)ethyl p-toluenesulfonate (I)



2-methyl-5-nitroimidazole

Reference(s):
US 3 376 311 (Pfizer; 2.4.1968; appl. 5.8.1966; prior. 26.10.1964).
DAS 1 745 780 (Pfizer; appl. 8.2.1967; USA-prior. 5.8.1966).
Miller, M.W. et al.: J. Med. Chem. (JMCMAR) **13**, 849 (1970).

Formulation(s): f. c. tabl. 1 g

Trade Name(s):

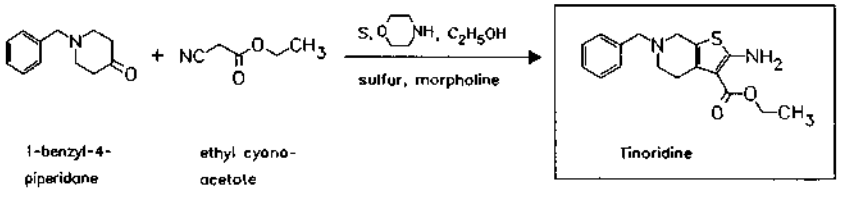
D:	Simplotan (Pfizer; 1971)	I:	Fasigin N (Pfizer)	USA:	Fasigyn (Pfizer); wfm
F:	Fasigyne 500 (Pfizer)		Trimonase (Tosi-Novara)		Simplotan (Pfizer); wfm
GB:	Fasigyn (Pfizer; 1982)	J:	Fasigyn (Pfizer Taito)		

Tinoridine

ATC: M01; N02
Use: analgesic, anti-inflammatory

RN: 24237-54-5 MF: $C_{17}H_{20}N_2O_2S$ MW: 316.43 EINECS: 246-102-0
LD_{50}: 5.4 g/kg (M, p.o.);
>10.2 g/kg (R, p.o.)
CN: 2-amino-4,5,6,7-tetrahydro-6-(phenylmethyl)thieno[2,3-*c*]pyridine-3-carboxylic acid ethyl ester

monohydrochloride
RN: 25913-34-2 MF: $C_{17}H_{20}N_2O_2S \cdot HCl$ MW: 352.89 EINECS: 247-342-9
LD_{50}: 1601 mg/kg (M, p.o.);
1200 mg/kg (R, p.o.)



1-benzyl-4-piperidone

ethyl cyanoacetate

Reference(s):
DE 1 812 404 (Yoshitomi; appl. 3.12.1968; J-prior. 4.12.1967).
US 3 563 997 (Yoshitomi; 16.2. 1971; J-prior. 4.12.1967).

Formulation(s): cps. 50 mg, 100 mg (as hydrochloride)

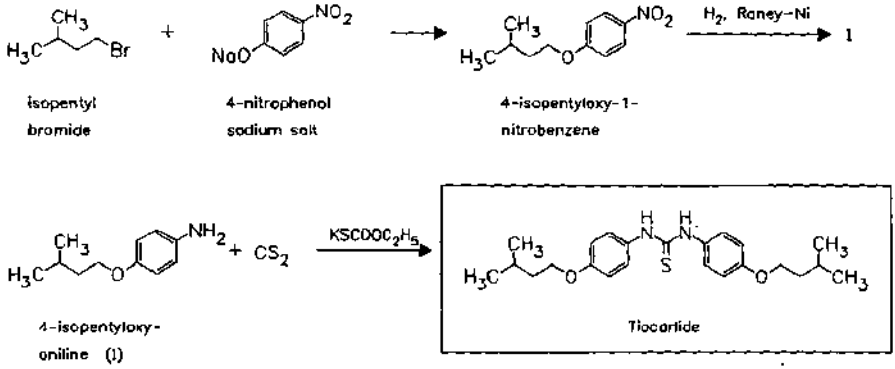
Trade Name(s):
J: Nonflamin (Yoshitomi)

Tiocarlide

(Thiocarlide)

ATC: J04AD02
Use: tuberculostatic, leprostatic

RN: 910-86-1 MF: $C_{23}H_{32}N_2O_2S$ MW: 400.59 EINECS: 213-006-5
CN: *N,N'*-bis[4-(3-methylbutoxy)phenyl]thiourea



Reference(s):
US 2 703 815 (Ciba; 1955; appl. 1951).

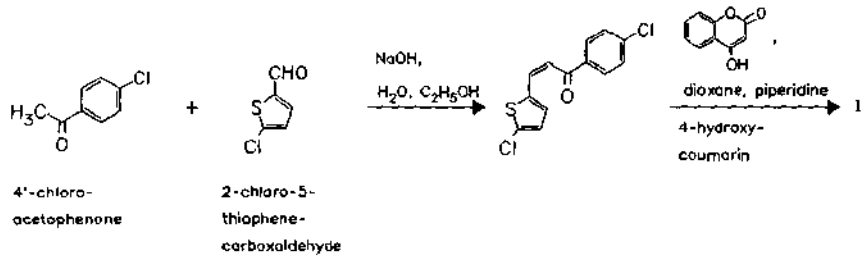
Formulation(s): tabl. 500 mg

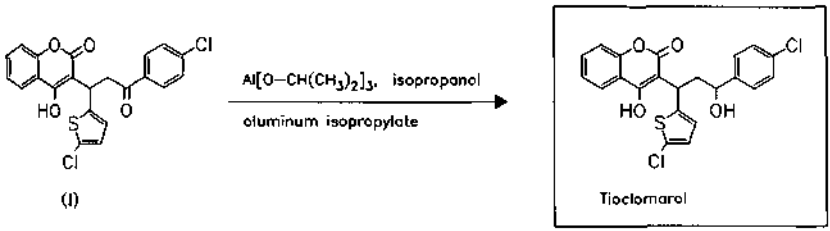
Trade Name(s):
GB: Isoxyl (Continental Pharma); wfm
I: Isoxyl (Lusofarmaco); wfm

Tioclomarol

ATC: B01AA11
Use: anticoagulant

RN: 22619-35-8 MF: $C_{22}H_{16}Cl_2O_4S$ MW: 447.34 EINECS: 245-132-1
CN: 3-[3-(4-chlorophenyl)-1-(5-chloro-2-thienyl)-3-hydroxypropyl]-4-hydroxy-2*H*-1-benzopyran-2-one





(I)

Reference(s):
ZA 6 707 267 (Lipha; appl. 7.8.1968; F-prior. 13.11.1967; 13.12.1966).

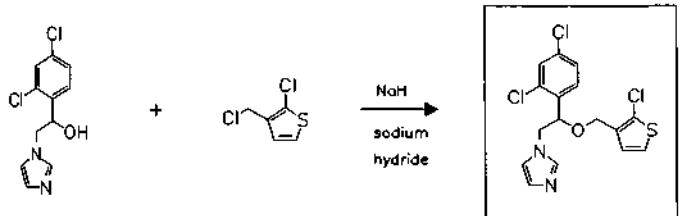
Formulation(s): tabl. 4 mg

Trade Name(s):
F: Apegmone (Lipha Santé)

Tioconazole

ATC: D01AC07; G01AF08
Use: antimycotic, topical antifungal

RN: 65899-73-2 MF: $C_{16}H_{13}Cl_3N_2OS$ MW: 387.72 EINECS: 265-973-8
LD_{50}: 1870 mg/kg (M, p.o.);
770 mg/kg (R, p.o.)
CN: 1-[2-[(2-chloro-3-thienyl)methoxy]-2-(2,4-dichlorophenyl)ethyl]-1*H*-imidazole



1-(2,4-dichlorophenyl)-2-(1H-imidazol-1-yl)-ethanol (cf. miconazole synthesis)

2-chloro-3-(chloromethyl)-thiophene

Reference(s):
DE 2 619 381 (Pfizer; appl. 30.4.1976; GB-prior. 30.4.1975).
US 4 062 996 (Pfizer; 13.12.1977; appl. 30.4.1976; GB-prior. 30.4.1975).

Formulation(s): cream 10 mg/g; lotion 10 mg/g; ointment vaginal 6.5 %; powder 1 g/100g; spray 1 g/100g

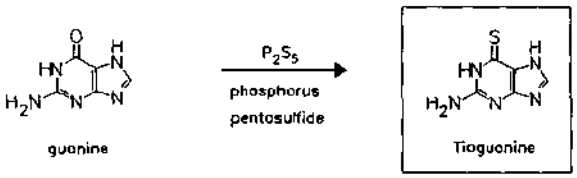
Trade Name(s):

D:	Mykontral (LAW) Trosyl (Pfizer); wfm	GB:	Trosyd (Pfizer; 1986) Trosyl (Pfizer; 1988)	J:	Trosy (Taito Pfizer; 1984)
F:	Gyno-Trosyd (Pfizer; 1986)	I:	Trosyd (Roerig) (Irbi)	USA:	Vagistat (Bristol-Myers Squibb)

Tioguanine
(Thioguanine)

ATC: L01BB03
Use: antineoplastic

RN: 154-42-7 MF: $C_5H_5N_5S$ MW: 167.20 EINECS: 205-827-2
LD_{50}: 160 mg/kg (M, p.o.)
CN: 2-amino-1,7-dihydro-6*H*-purin-6-thione



Reference(s):
US 2 697 709 (Burroughs Wellcome; 1954; GB-prior. 1951).
US 2 884 667 (Burroughs Wellcome; 1959; prior. 1955).
US 2 800 473 (Burroughs Wellcome; 1957; appl. 1955).
US 3 019 224 (Burroughs Wellcome; 1962; appl. 1955).
US 3 132 144 (Burroughs Wellcome; 5.5.1964; appl. 10.7.1959).
Elion, G.B.; Hitchings, G.H.: J. Am. Chem. Soc. (JACSAT) 77, 1676 (1955).

Formulation(s): tabl. 40 mg

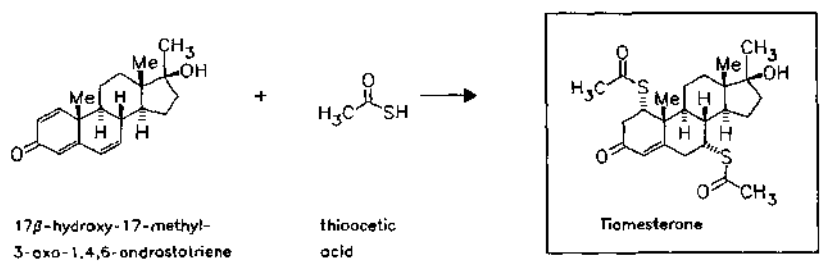
Trade Name(s):

D:	Thioguanin-Wellcome (Glaxo Wellcome)	I:	Thioguanine Wellcome (Glaxo Wellcome)	USA:	Thioguanine Tabloid (Glaxo Wellcome)
GB:	Lanvis (Glaxo Wellcome)				

Tiomesterone
(Thiomesterone)

ATC: A14
Use: anabolic, androgen

RN: 2205-73-4 MF: $C_{24}H_{34}O_4S_2$ MW: 450.66 EINECS: 218-614-4
CN: (1α,7α,17β)-1,7-bis(acetylthio)-17-hydroxy-17-methylandrost-4-en-3-one



Reference(s):
US 3 087 942 (Merck AG; 30.4.1963; D-prior. 29.10.1960).
Kramer, J.M. et al.: Chem. Ber. (CHBEAM) **96**, 2803 (1963).

starting material:
GB 854 343 (British Drug Houses; valid from 4.3.1959; prior. 13.3.1958).

Formulation(s): 15 mg, 30 mg

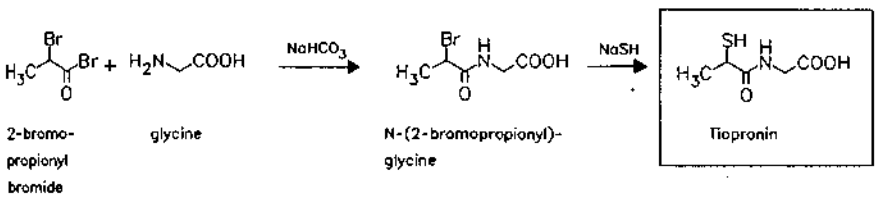
Trade Name(s):
D: Emdabol (Merck); wfm
Gerantabol (Merck)-comb.; wfm

Tiopronin
(Mercamidum)

ATC: R05CB12
Use: detoxicant, liver therapeutic, hepatoprotectant, mucolytic

RN: 1953-02-2 MF: $C_5H_9NO_3S$ MW: 163.20 EINECS: 217-778-4
LD_{50}: 1733 mg/kg (M, i.v.); 2330 mg/kg (M, p.o.); 1300 mg/kg (R, p.o.)
CN: *N*-(2-mercapto-1-oxopropyl)glycine

monosodium salt
RN: 2015-25-0 MF: $C_5H_8NNaO_3S$ MW: 185.18
LD_{50}: 2100 mg/kg (M, i.v.)



Reference(s):
FR 1 491 204 (Santen; appl. 10.8.1962; J-prior. 2.11.1961).
FR-M 3 081 (Santen; appl. 22.10.1962; J-prior. 2.11.1961).
GB 1 023 003 (Santen; appl. 14.9.1962).

use as mucolytic agent:
GB 1 482 651 (Lab. Cassenne; appl. 16.9.1974; USA-prior. 14.9.1973).
US 3 857 951 (Lab. Cassenne; 31.12.1974; appl. 14.9.1973).

against nosotoxicosa:
US 3 897 480 (Santen; 29.7.1975; J-prior. 3.10.1972, 11.5.1973).

sodium salt:
DOS 2 924 231 (P. Gargani; appl. 15.6.1979; I-prior. 16.6.1978).

Formulation(s): amp. 100 mg, 250 mg; drg. 100 mg, 250 mg; gran. 150 mg, 350 mg; tabl. 100 mg

Trade Name(s):
D: Captimer (Fresenius)
F: Acadione (Cassenne)
I: Mucolysin (Farmila)
J: Thiola (Santen)
Thiola (Coop. Farm.)
Thiosol (Coop. Farm.)
USA: Thiola (Mission)

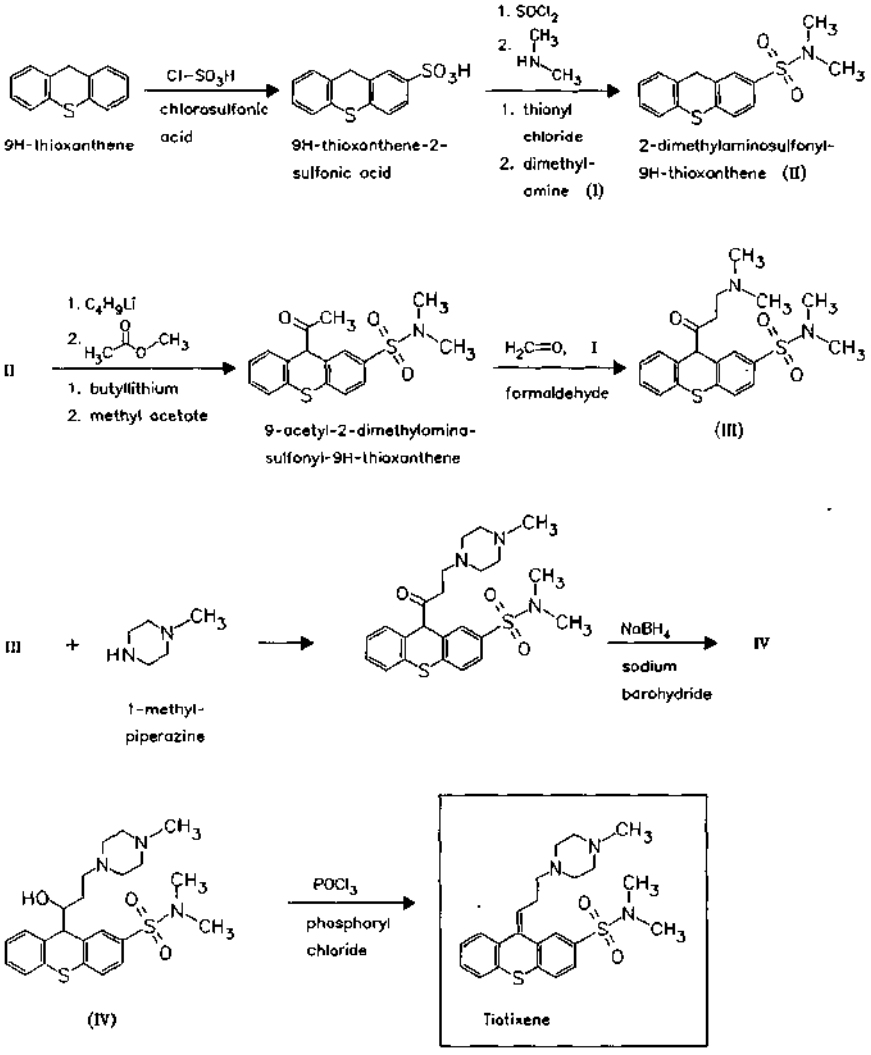
Tiotixene
(Thiothixene)

ATC: N05AF04
Use: neuroleptic

RN: 3313-26-6 MF: $C_{23}H_{29}N_3O_2S_2$ MW: 443.64
LD_{50}: 100 mg/kg (M, i.p.); 55 mg/kg (R, i.p.)
CN: (*Z*)-*N*,*N*-dimethyl-9-[3-(4-methyl-1-piperazinyl)propylidene]-9*H*-thioxanthene-2-sulfonamide

dihydrochloride dihydrate

RN: 22189-31-7 MF: $C_{23}H_{29}N_3O_2S_2 \cdot 2HCl \cdot 2H_2O$ MW: 552.59



Reference(s):

US 3 310 553 (Pfizer; 21.3.1967; appl. 26.4.1963; prior. 25.9.1962).

DE 1 470 157 (Pfizer; appl. 24.9.1963; USA-prior. 25.9.1962; 26.4.1963).

Muren, J.F.; Bloom, B.M.: J. Med. Chem. (JMCMAR) **13**, 17 (1970).

Formulation(s): cps. 1 mg, 2 mg, 4 mg, 5 mg, 10 mg; tabl. 10 mg; vial 4 mg, 10 mg (as hydrochloride)

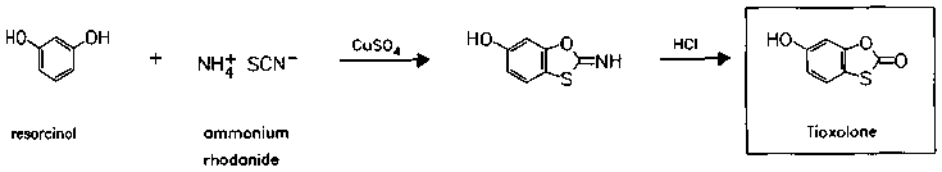
Trade Name(s):

D:	Orbinamon (Pfizer); wfm	I:	Navane (Pfizer); wfm	USA:	Navane (Pfizer); wfm
GB:	Navane (Pfizer); wfm	J:	Navane (Taito Pfizer)		

Tioxolone

ATC: D10AB03
Use: antiseborrheic

RN: 4991-65-5 MF: $C_7H_4O_3S$ MW: 168.17 EINECS: 225-653-0
CN: 6-hydroxy-1,3-benzoxathiol-2-one



Reference(s):
US 2 332 418 (Winthrop; 1943; D-prior. 1938).

use:
US 2 886 488 (Thomae; 12.5.1959; D-prior. 2.7.1955).

Formulation(s): sol. 200 mg/100 g in comb. with 100 mg benzoxonium chloride

Trade Name(s):

D:	Loscon (Galderma)-comb.	I:	Wasacne (IFI); wfm	J:	Vikura (Eisai)
F:	Gélacnine (Lab. du D'Furt); wfm		Wasacne (Wassermann); wfm		

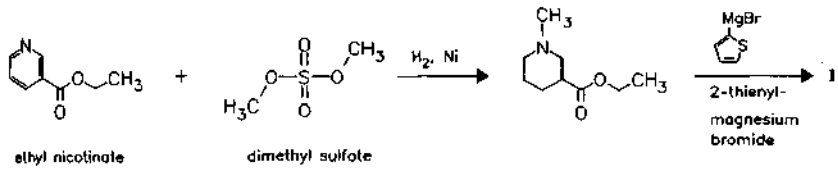
Tipepidine

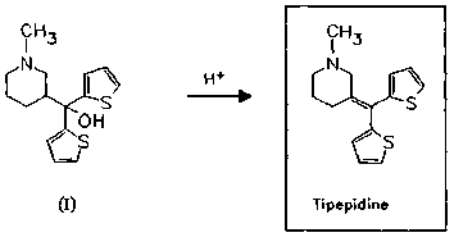
ATC: R05DB24
Use: antitussive

RN: 5169-78-8 MF: $C_{15}H_{17}NS_2$ MW: 275.44
LD_{50}: 55 mg/kg (M, i.v.); 867 mg/kg (M, p.o.);
44 mg/kg (dog, i.v.)
CN: 3-(di-2-thienylmethylene)-1-methylpiperidine

4'-hydroxybenzophenone 2-carboxylate (1:1)
RN: 31139-87-4 MF: $C_{15}H_{17}NS_2 \cdot C_{14}H_{10}O_4$ MW: 517.67 EINECS: 250-481-8
LD_{50}: 10 g/kg (M, p.o.);
10 g/kg (R, p.o.)

citrate
RN: 5169-77-7 MF: $C_{15}H_{17}NS_2 \cdot xC_6H_8O_7$ MW: unspecified





Reference(s):
ES 272 195 (A. Gallardo; appl. 20.11.1961).
(also citrate)
further literature see under citrate below

4'-hydroxybenzophenone-2-carboxylate (hibenzate):
JP 17 591 (62') (Tanabe Seiyaku; appl. 27.10.1962; prior. 19.10.1960).
GB 924 544 (Tanabe Seiyaku; valid from 7.12.1961; J-prior. 19.12.1960).

citrate:
Ponomarev, A.A.; Martemjanova, N.I.: Khim. Geterotsikl. Soedin. (KGSSAQ) **1957**, 174.
SU 176 903 (Ponomarev, Martemjanova; appl. 27.10.1962).

Formulation(s): powder 10 %; syrup 25 mg (as hibenzate); tabl. 10 mg (as citrate)

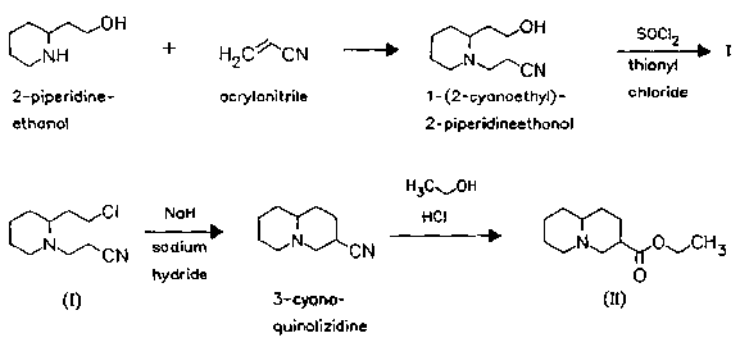
Trade Name(s):

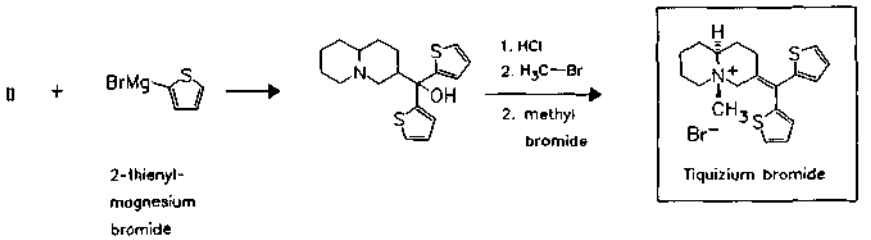
I:	Asverin (ISF); wfm	Asverin-H (Tanabe; as hibenzate)	Hustopan-Syr. (Ohta)-comb.
	Asverin (Searle); wfm		
J:	Asverine (Tanabe Seiyaku)	Hustopan (Ohta)-comb.	

Tiquizium bromide

ATC: A03
Use: antispasmodic

RN: 71731-58-3 MF: $C_{19}H_{24}BrNS_2$ MW: 410.44
LD_{50}: 10.3 mg/kg (M, i.v.); 578 mg/kg (M, p.o.);
11.4 mg/kg (R, i.v.); 1177 mg/kg (R, p.o.);
14.2 mg/kg (dog, i.v.); 662 mg/kg (dog, p.o.)
CN: *trans*-3-(di-2-thienylmethylene)octahydro-5-methyl-2*H*-quinolizinium bromide





Reference(s):
US 4 205 074 (Hokuriku; 27.5.1980; appl. 1.3.1979; prior. 10.5.1978).
DOS 2 820 687 (Hokuriku Pharm.; appl. 11.5.1978; J-prior. 16.5.1977, 9.11.1977, 8.12.1977, 21.12.1977, 28.2.1978).
Koshinaka, E. et al.: Chem. Pharm. Bull. (CPBTAL) **27**, 1454 (1979).

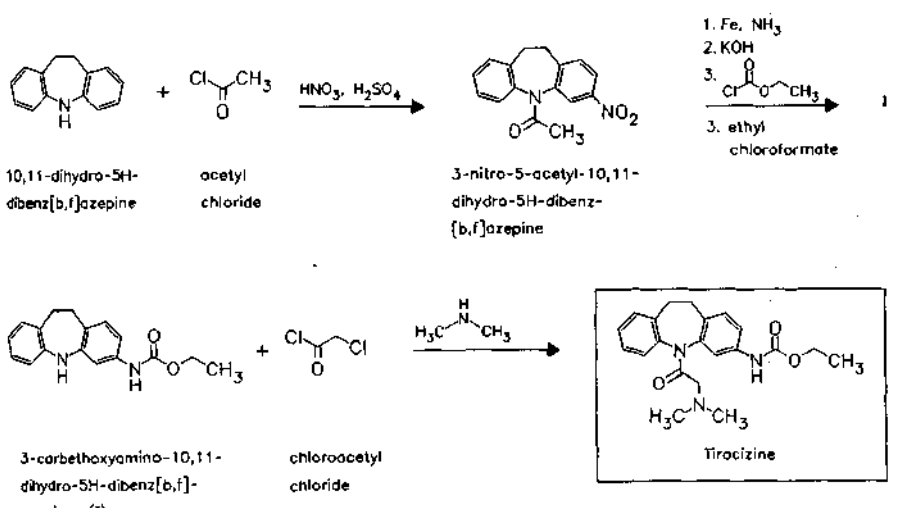
Trade Name(s):
J: Thiaton (Hokuriku; 1984)

Tiracizine

ATC: C01EB11
Use: antiarrhythmic

RN: 83275-56-3 MF: $C_{21}H_{25}N_3O_3$ MW: 367.45
CN: [5-[(dimethylamino)acetyl]-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepin-3-yl]carbamic acid ethyl ester

monohydrochloride
RN: 78816-67-8 MF: $C_{21}H_{25}N_3O_3 \cdot HCl$ MW: 403.91
LD_{50}: 5.4 mg/kg (M, i.v.); 48 mg/kg (M, p.o.);
10.9 mg/kg (R, i.v.); 78 mg/kg (R, p.o.)



Reference(s):
DE 3 040 085 (VEB Arzneimittelwerk Dresden; appl. 24.10.1980; DDR-prior. 5.11.1971).
FR 2 493 314 (VEB Arzneimittelwerk Dresden; appl. 5.11.1980).
DD 258 224 (VEB Arzneimittelwerk Dresden; appl. 5.3.1987).
Skoldinov, A.P. et al.: Khim. Farm. Zh. (KHFZAN) **24**, 51 (1990).
DD 267 630 (VEB Arzneimittelwerk Dresden; appl. 25.5.1987).

alternative synthesis of 3-amino-5-acetyl-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine: US 3 056 774 (Geigy; 1962; appl. 1959; CH-prior. 1958).

Formulation(s): f. c. tabl. 50 mg, 100 mg

Trade Name(s):
D: Bonnecor (Arzneimittelwerk Dresden; 1990); wfm

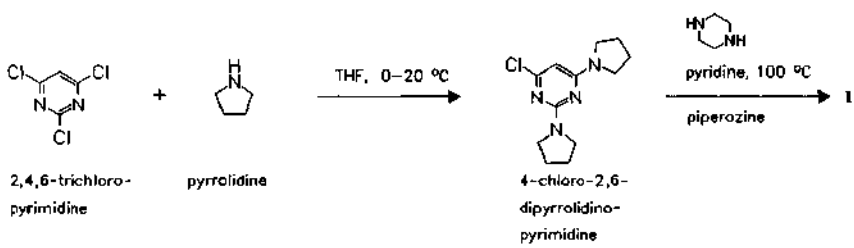
Tirilazad mesilate
(U-74006)

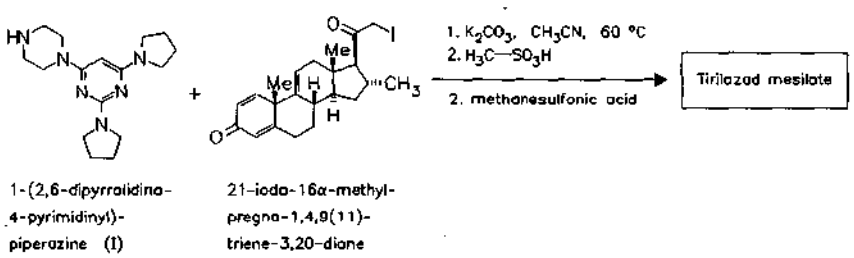
ATC: N07XX01
Use: lipid peroxidation inhibitor

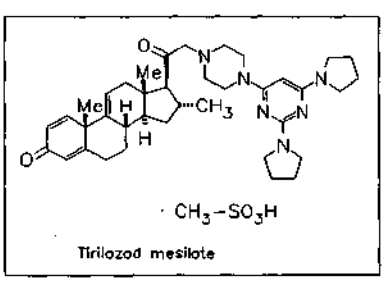
RN: 110101-67-2 MF: $C_{38}H_{52}N_6O_2 \cdot CH_4O_3S$ MW: 720.98
CN: (16α)-21-[4-(2,6-di-1-pyrrolidinyl-4-pyrimidinyl)-1-piperazinyl]-16-methylpregna-1,4,9(11)-triene-3,20-dione monomethanesulfonate

hydrate
RN: 111793-42-1 MF: $C_{38}H_{52}N_6O_2 \cdot CH_4O_3S \cdot H_2O$ MW: 739.00

tirilazad
RN: 110101-66-1 MF: $C_{38}H_{52}N_6O_2$ MW: 624.87







Reference(s):
WO 8 701 706 (Upjohn Co.; appl. 28.8.1986; USA-prior. 29.7.1986, 12.9.1985).

use in the treatment of ischemic diseases:
WO 9 412 185 (Upjohn Co.; appl. 2.12.1993; J-prior. 3.12.1992).

use for chemotherapy:
WO 9 218 089 (Upjohn Co.; appl. 27.3.1992; USA-prior. 9.4.1991).

use for treatment ophthalmic disorders:
WO 9 119 482 (Upjohn Co.; appl. 26.12.1991; USA-prior. 12.6.1990).

Formulation(s): amp. 45 mg/30 ml, 105 mg/70 ml; vial 45 mg, 105 mg (as hydrate)

Trade Name(s):
AU: Freedox (Pharmacia & Upjohn)

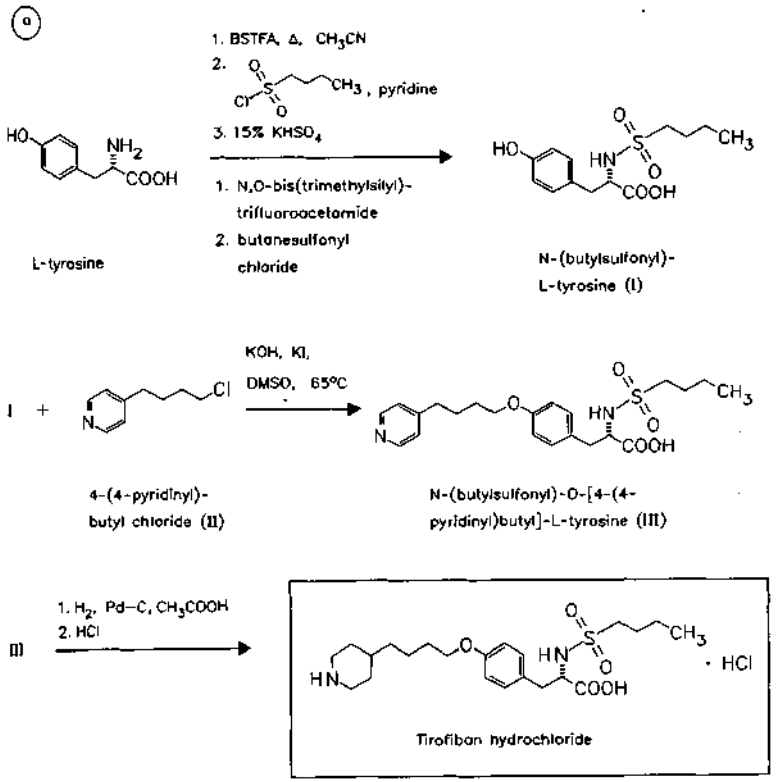
Tirofiban hydrochloride

(L 700462; MK 383)

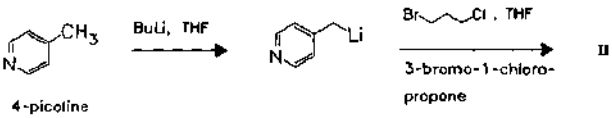
ATC: B01AC17
Use: platelet aggregation inhibitor, GPIIb/IIIa receptor antagonist

RN: 142373-60-2 MF: $C_{22}H_{36}N_2O_5S \cdot HCl$ MW: 477.07
CN: *N*-(Butylsulfonyl)-*O*-[4-(4-piperidinyl)butyl]-L-tyrosine hydrochloride

monohydrate
RN: 150915-40-5 MF: $C_{22}H_{36}N_2O_5S \cdot HCl \cdot H_2O$ MW: 495.08
base
RN: 144494-65-5 MF: $C_{22}H_{36}N_2O_5S$ MW: 440.61



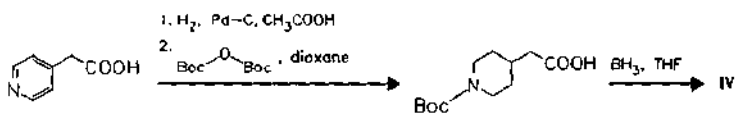
(aa) synthesis of intermediate II:



4-picoline

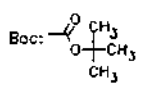
3-bromo-1-chloropropone

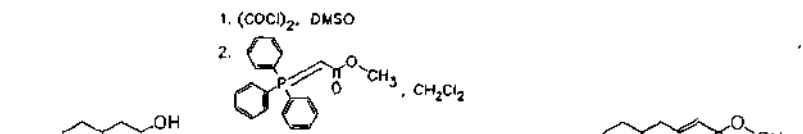
(b)



4-pyridineacetic acid

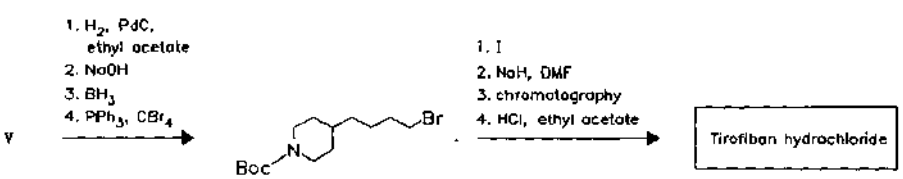
N-(tert-butyloxycarbonyl)-4-piperidineacetic acid





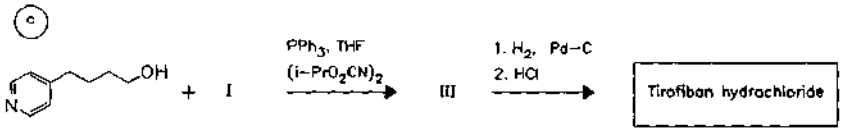
N-(tert-butyloxycarbonyl)-4-piperidineethanol (IV)

methyl 4-[N-(tert-butyloxycarbonyl)piperidin-4-yl]but-2-enoate (V)



4-[N-(tert-butyloxycarbonyl)piperidin-4-yl]butyl bromide

(c)



4-pyridinebutanol

Reference(s):

a US 5 206 373 (Merck + Co.; 1.9.1993; USA-prior. 28.2.1992).
Chung, J.Y. et al.: Tetrahedron (TETRAB) **49** (26), 5767 (1993).

b EP 478 363 (Merck + Co.; appl. 27.9.1991; USA-prior. 30.8.1990).
Egbertson, M.S. et al.: J. Med. Chem. (JMCMAR) **37**, 2537 (1994).

c WO 9 316 994 (Merck + Co.; appl. 24.2.1993; USA-prior. 28.2.1992).

alternative synthesis:
US 5 292 756 (Merck + Co.; 8.3.1994; USA-prior. 30.8.1991, 27.9.1990).

pharmaceutical compositions:
US 5 733 919 (Merck + Co.; 31.3.1998; USA-prior. 23.10.1996).
WO 9 715 328 (Merck + Co.; appl. 23.10.1996; USA-prior. 27.10.1995).

Formulation(s): sol. for inj. 0.05 mg/ml, 0.25 mg/ml; vial 50 ml, 0,25 mg/ml

Trade Name(s):

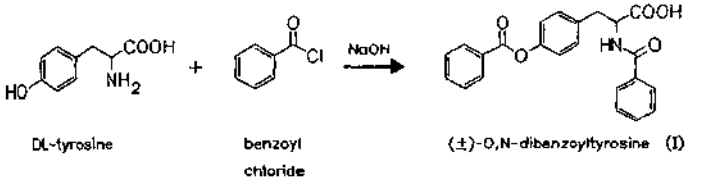
D:	Aggrastat (Merck Sharp & Dohme; 1998)	USA:	Aggrastat (Merck Sharp & Dohme; 1998)

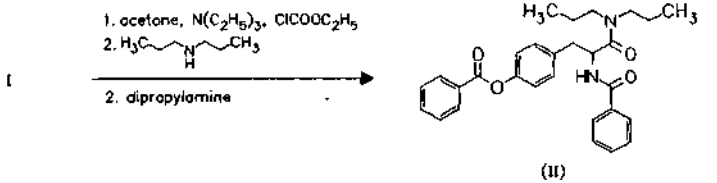
Tiropramide

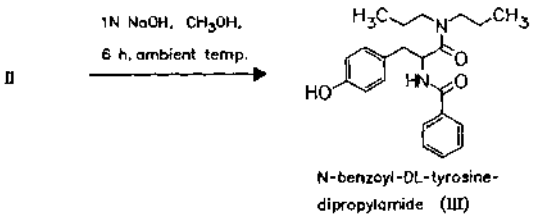
ATC: A03AC05
Use: antispasmodic

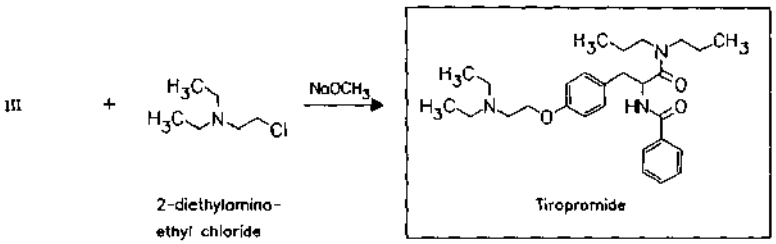
RN: 55837-29-1 MF: $C_{28}H_{41}N_3O_3$ MW: 467.65
LD_{50}: 40.5 mg/kg (M, i.v.); 550 mg/kg (M, p.o.);
33.9 mg/kg (R, i.v.); 800 mg/kg (R, p.o.)
CN: (±)-α-(benzoylamino)-4-[2-(diethylamino)ethoxy]-*N*,*N*-dipropylbenzenepropanamide

hydrochloride
RN: 57227-16-4 MF: $C_{28}H_{41}N_3O_3 \cdot xHCl$ MW: unspecified EINECS: 260-634-0
LD_{50}: 28 mg/kg (M, i.v.); 639 mg/kg (M, p.o.);
32 mg/kg (R, i.v.); 1074 mg/kg (R, p.o.)









Reference(s):
DOS 2 503 992 (Rotta Research; appl. 31.1.1975; I-prior. 1.2.1974).
US 4 004 008 (Rotta Research; 18.1.1977; I-prior. 1.2.1974).

Formulation(s): amp. 50 mg/3 ml; s. r. cps. 200 mg; suppos. 200 mg; tabl. 100 mg (as hydrochloride)

Trade Name(s):
D: Alfospas (Opfermann) I: Alfospas (Rottapharm) Maiorad (Rotta Research)

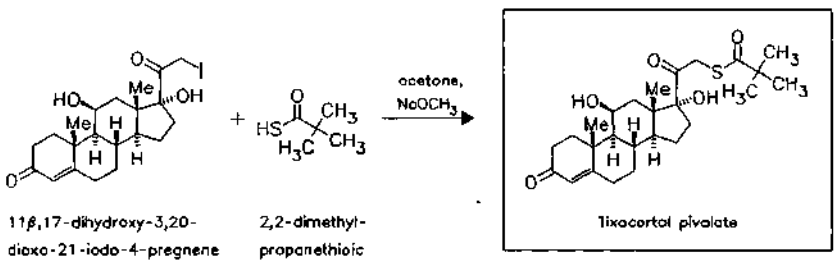
Tixocortol pivalate

(Tiocortisol pivalate; Tixocortol trimethylacetate)

ATC: A07EA05; R01AD07
Use: glucocorticoid

RN: 55560-96-8 MF: $C_{26}H_{38}O_5S$ MW: 462.65 EINECS: 259-706-4
CN: (11β)-21-[(2,2-dimethyl-1-oxopropyl)thio]-11,17-dihydroxypregn-4-ene-3,20-dione

tixocortol
RN: 61951-99-3 MF: $C_{21}H_{30}O_4S$ MW: 378.53



Reference(s):
DOS 2 357 778 (Jouveinal; appl. 20.11.1973; F-prior. 30.5.1973).

synthesis of tixocortol:
Schaub, R.E.; Weiss, M.J.: J. Org. Chem. (JOCEAH) **26**, 1223 (1961).

Formulation(s): clysma 250 mg; nasal spray 1g/100 g; susp. 1 g/100 g

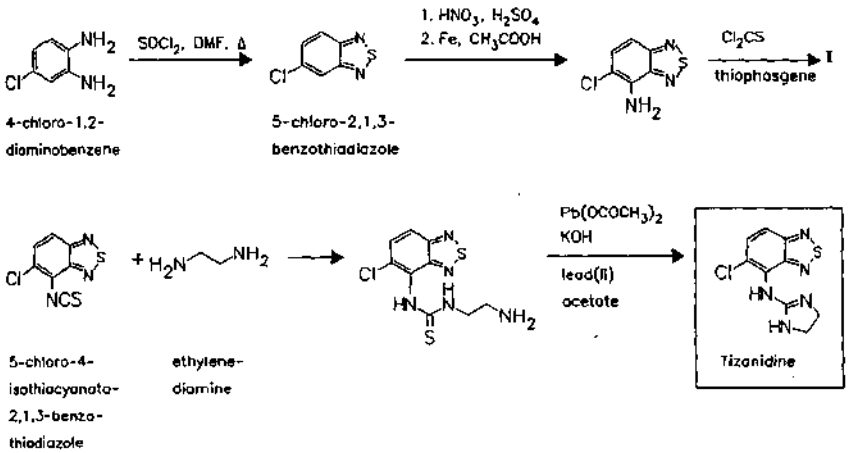
Trade Name(s):
D: Tiovalon (Intersan; 1986); wfm
F: Dontopivalone (Jouveinal)-comb.
Oropivalone-Bacitracine (Jouveinal)-comb.
Pivalone Nasale (Jouveinal; 1978)
Pivalone Néomycine (Jouveinal)-comb.
Rectovalone (Jouveinal)
Thiovalone (Eurorga)-comb.

Tizanidine

ATC: M03BX02
Use: skeletal muscle relaxant

RN: 51322-75-9 MF: $C_9H_8ClN_5S$ MW: 253.72
LD_{50}: 235 mg/kg (M, p.o.);
600 mg/kg (R, p.o.)
CN: 5-chloro-*N*-(4,5-dihydro-1*H*-imidazol-2-yl)-2,1,3-benzothiadiazol-4-amine

hydrochloride
RN: 64461-82-1 MF: $C_9H_8ClN_5S \cdot HCl$ MW: 290.18



Reference(s):
DE 2 322 880 (Sandoz; appl. 22.11.1973; prior. 7.5.1973).
US 3 843 668 (Sandoz; 22.10.1974; appl. 8.5.1973; CH-prior. 9.5.1972).
CH 579 565 (Sandoz; appl. 15.3.1973).

synthesis of 4-amino-5-chloro-2,1,3-benzothiadiazole:
Pesin, V.G.;. Khaletskil, A.M.: Zh. Obshch. Khim. (ZOKHA4) **27**, 2599 (1957).
C.A. (CHABA8) **52**, 7292.
Smith, W.T.; Chen, W.-Y.: J. Org. Chem. (JOCEAH) **27**, 676 (1962).

Formulation(s): tabl. 2 mg, 4 mg, 6 mg (as hydrochloride)

Trade Name(s):
D: Sirdalud (Sanofi Winthrop; 1985)
GB: Zanaflex (Athena)
J: Teonelin (Sandoz)
USA: Zanaflex (Athena)

Tobramycin

ATC: J01GB01; S01AA12
Use: antibiotic

RN: 32986-56-4 MF: $C_{18}H_{37}N_5O_9$ MW: 467.52 EINECS: 251-322-5
LD_{50}: 72.5 mg/kg (M, i.v.); >11500 mg/kg (M, p.o.);
104 mg/kg (R, i.v.); >7500 mg/kg (R, p.o.)
CN: *O*-3-amino-3-deoxy-α-D-glucopyranosyl-(1→6)-*O*-[2,6-diamino-2,3,6-trideoxy-α-D-*ribo*-hexopyranosyl-(1→4)]-2-deoxy-D-streptamine

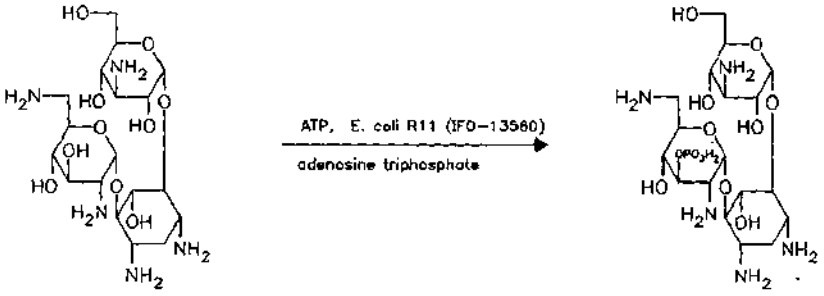
sulfate

RN: 49842-07-1 MF: $C_{18}H_{37}N_5O_9 \cdot xH_2SO_4$ MW: unspecified EINECS: 256-499-2

LD_{50}: 77 mg/kg (M, i.v.); >10500 mg/kg (M, p.o.);
126 mg/kg (R, i.v.)

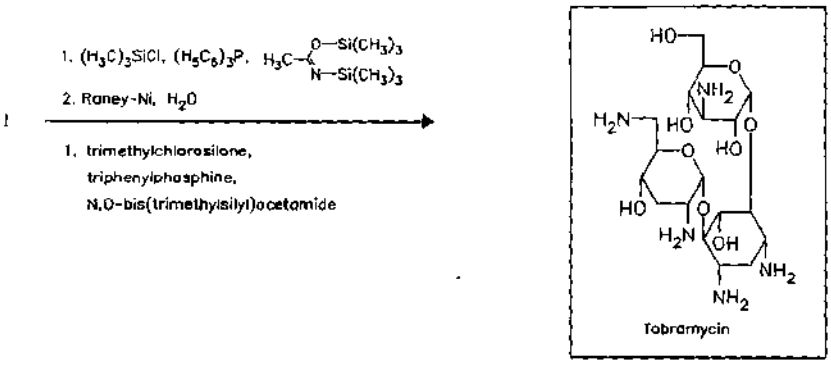
(a) from fermentation solutions of Streptomyces tenebrarius (ATCC 17920) or (ATCC 17921)

(b)



bekanamycin
(q. v.)

(I)



Reference(s):

a US 3 691 279 (Lilly; 12.9.1972; prior. 15.4.1970, 12.2.1969, 17.9.1965).
DE 1 792 819 (Lilly).

b DOS 2 514 985 (Takeda; appl. 5.4.1975; J-prior. 10.4.1974, 25.6.1974).
Okutani, T. et al.: J. Am. Chem. Soc. (JACSAT) **99**, 1278 (1977).

alternative syntheses:

DOS 2 361 159 (Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai; appl. 7.12.1973; J-prior. 8.12.1972).
DOS 2 533 985 (Meiji Seika Kaisha; appl. 30.7.1975; J-prior. 1.8.1974).
Tagaki, Y. et al.: J. Antibiot. (JANTAJ) **26**, 403 (1973).

total synthesis:

Tanabe, M. et al.: Tetrahedron Lett. (TELEAY) **1977**, 3607.

Formulation(s): amp. 40 mg, 80 mg (as sulfate); eye drops 3 mg/ml; ointment 3 mg/g; vial 20 mg, 40 mg, 80 mg (as sulfate)

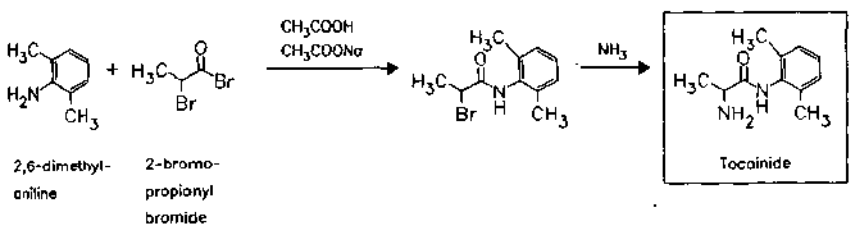
Trade Name(s):

D:	Burlamycin (medphano)		Tobrex (Alcon)	J:	Tobracin (Shionogi
	Gernebcin (Lilly; 1975)	GB:	Nebcin (King)		Seiyaku)
	TOBRA-cell (cell pharm)	I:	Nebicina (Lilly)	USA:	Nebcin (Lilly; 1975)
	Tobramaxin (Alcon; 1982)		Tobrex (Firma)		TobraDex (Alcon)
F:	Nebcine (Lilly)				Tobrex (Alcon)

Tocainide

ATC: C01BB03
Use: antiarrhythmic

RN: 41708-72-9 MF: $C_{11}H_{16}N_2O$ MW: 192.26 EINECS: 255-505-0
LD_{50}: 94 mg/kg (M, i.v.)
CN: 2-amino-*N*-(2,6-dimethylphenyl)propanamide



Reference(s):
US 4 218 477 (Astra; 19.8.1980; prior. 28.7.1971).
US 4 237 068 (Astra; 2.12.1980; prior. 8.1.1973).
DE 2 235 745 (Astra; appl. 21.7.1972; USA-prior. 28.7.1971).

enantiomers:
DOS 2 400 540 (Astra; appl. 7.1.1974; USA-prior. 8.1.1973).
GB 1 461 602 (Astra; appl. 7.1.1974; USA-prior. 8.1.1973).

Formulation(s): f. c. tabl. 400 mg, 600 mg (as hydrochloride)

Trade Name(s):

D:	Xylotocan (Astra; 1982)	GB:	Tonocard (Astra; 1981); wfm	USA:	Tonocard (Astra Merck; 1984)

α-Tocopherol
(Vitamin E)

ATC: A11HA03
Use: antisterility vitamin

RN: 10191-41-0 MF: $C_{29}H_{50}O_2$ MW: 430.72 EINECS: 233-466-0
CN: 3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2*H*-1-benzopyran-6-ol

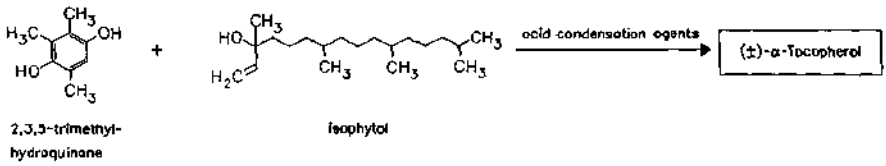
D-compound
RN: 59-02-9 MF: $C_{29}H_{50}O_2$ MW: 430.72 EINECS: 200-412-2

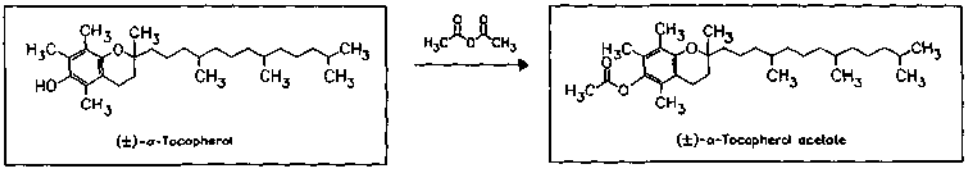
α-Tocopherol acetate
(Vitamin E acetate)

RN: 7695-91-2 MF: $C_{31}H_{52}O_3$ MW: 472.75 EINECS: 231-710-0
CN: 3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2*H*-1-benzopyran-6-ol acetate
D-6-acetate
RN: 58-95-7 MF: $C_{31}H_{52}O_3$ MW: 472.75 EINECS: 200-405-4

a

total synthesis

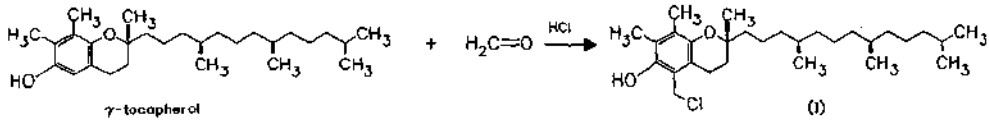


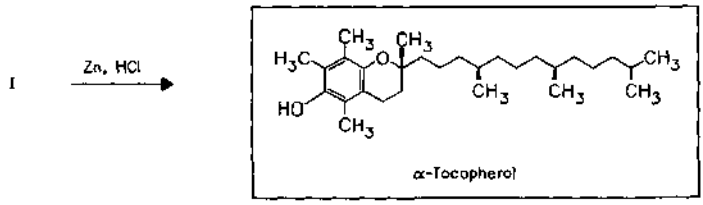


b

partial synthesis

(from β-, γ-, and δ-tocopherols, occurring in vegetable oils together with α-tocopherol), e.g. from γ-tocopherol





Reference(s):

a DRP 713 749 (Roche; appl. 1939; CH-prior. 1938).
DRP 731 972 (Roche; appl. 1938; CH-prior. 1938).
DE 960 720 (Roche; appl. 1955; USA-prior. 1954).
DAS 1 909 164 (Roche; appl. 24.2.1969; USA-prior. 27.2.1968, 19.11.1968).
DAS 2 208 795 (Diamond Shamrock; appl. 24.2.1972; USA-prior. 25.2.1971).
DOS 2 743 920 (Nisshin Flour Milling; appl. 29.9.1977; J-prior. 29.9.1976).
US 4 055 575 (SCM Corp.; 25.10.1977; prior. 20.3.1975).
US 4 115 466 (SCM Corp.; 19.9.1978; prior. 20.3.1975, 16.10.1975, 6.10.1977).

b US 2 519 863 (Eastman Kodak; 1950; appl. 1949).
DE 909 095 (Eastman Kodak; appl. 1950; USA-prior. 1946).
DE 911 732 (Eastman Kodak; appl. 1950; USA-prior. 1945).
DE 1 056 143 (Eastman Kodak; appl. 1956; USA-prior. 1955).
US 2 592 531 (Eastman Kodak; 1952; appl. 1949).
US 2 592 628 (Eastman Kodak; 1952; appl. 1949).
US 2 592 630 (Eastman Kodak; 1952; appl. 1949).
US 4 122 094 (Lever Brothers; 24.10.1978; prior. 9.6.1976, 13.5.1977).
DOS 2 606 830 (BASF; appl. 20.2.1976).

Formulation(s): amp. 100 mg/2 ml, 100 mg/ml; cps. 100 iu, 200 iu, 300 iu, 400 iu, 500 iu; drg. 100 mg (as tartrate)

Trade Name(s):

D:
Biopto-E (Jenapharm)
Equiday (Solvay Arzneimittel)
Evit-Geritan (Chefaro)
Malton-E (Sertürner)
Optovit/-forte/fortissimum (Hermes)
Pexan (Wörwag)
Puncto E (ASTA Medica AWD)
Tocorell (Sanorell)
Vitamin E-Dragees (Wiedemann)
Vit. E Stada (Stada)
numerous combination preparations

F:
Alvity (Solvay Pharma)-comb.
Capsules Pharmaton (Boehringer Ing.)-comb.
Carencyl (Riom)-comb.
Cirkan suppositoires (Sinbio)-comb.
Difrarel E (Leurquin)-comb.
Hydrosol polyvitaminé B.O.N. (Doms-Adrian)-comb.
Hydrosol polyvitaminé Roche (Roche)-comb.
Lofenalac Mead Johnson (Bristol-Myers Squibb)-comb.
Nutrigéne (GNR-pharma)-comb.
Survitine (Roche Nicholas)-comb.
Toco 500 (Pharma 2000)
Tocogestan (Théramex)-comb.
Tocomine (Eurorga)
Uvéstérol (Crinex)-comb.
Véliten (Wyeth-Lederle)-comb.
Vivamyne (Whitehall)-comb.
numerous combination preparations

GB:
Ketovite (Paines & Byrne)-comb.

I:
E-Vitum (Lipha)
Ephynal (Roche)
Evion (Bracco)
Evion Forte (Bracco)
Evitina (CT)
Midium (Teofarma)-comb.
Rovigon (Roche)-comb.
Salonpas (Farmila)-comb.
Tocalfa (ASTA Medica)-comb.

J:
Ephelon (Kowa)
Ephynal (Roche)
Eseblon (Seiko-Fuso)
Esuverol (Sanko)
Euvel (Nippon Chemiphar)
Inazin (Tanabe)
Ivet (Kuroishi-Nippon Shinyaku)
Juvelux (Eisai)
Juvevitan (Toyo Jozo)
Kenton (Sawai)
Magiron E (Choseido)
Nichivita E (Nichiiko)
Sunfull S (Maruishi)
Takaron (Shiki)
Tocophal (Chugai)
Tocorol (Daigo Eiyo)
Tokobera (Nakano)
Tokos-E (Nippon Shoji)
Welvin-E (Ono)
Yurica (Kobayashi Kako)

USA:
Cefol (Abbott)-comb.
Materna (Lederle)-comb.
Megadose (Arco)-comb.
combination preparations and generics

Todralazine

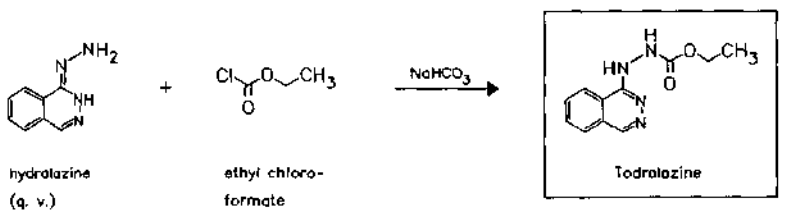
(Ecarazine)

ATC: C02
Use: antihypertensive

RN: 14679-73-3 MF: $C_{11}H_{12}N_4O_2$ MW: 232.24
LD_{50}: 60 mg/kg (M, i.v.);
110 mg/kg (R, i.v.); 318 mg/kg (R, p.o.)
CN: 2-(1-phthalazinyl)hydrazinecarboxylic acid ethyl ester

monohydrochloride

RN: 3778-76-5 MF: $C_{11}H_{12}N_4O_2 \cdot HCl$ MW: 268.70
LD_{50}: 300 mg/kg (M, i.v.); 516 mg/kg (M, p.o.);
240 mg/kg (R, i.v.); 598 mg/kg (R, p.o.)



Reference(s):
BE 647 722 (Polfa; appl. 11.5.1964; P-prior. 11.5.1963, 9.12.1963).

Formulation(s): powder 10 % (as hydrochloride); tabl. 10 mg, 30 mg

Trade Name(s):

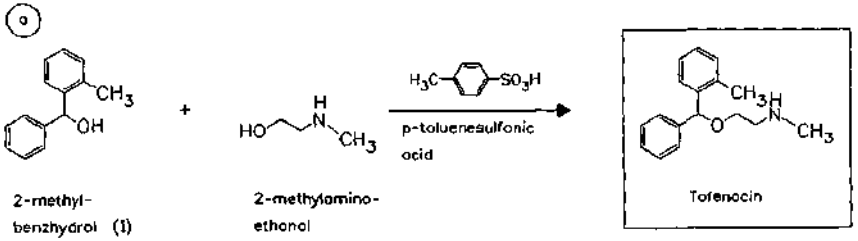
J:	Aperdor (Tokyo Tanabe)	Dypirecohl (Daito Koeki)	Hydrapron (Isei)
	Apiracohl (Kyowa)	Ecara (Toyo Pharmar)	Marukunan (Zensei)
	Atapren (Sumitomo)	Ecarocohl (Nihon	Mohazorin (Mohan)
	Bihyst (Ohta)	Iyakuhin)	Seirof (Maruko)
	Deprezid (Ono)	Ekahain (Towa)	

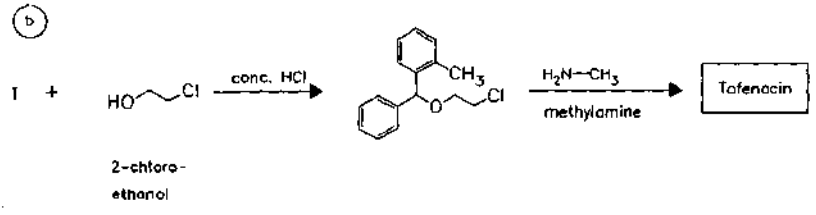
Tofenacin

ATC: N04; N06A
Use: antiparkinsonian, antidepressant

RN: 15301-93-6 MF: $C_{17}H_{21}NO$ MW: 255.36 EINECS: 239-338-0
CN: *N*-methyl-2-[(2-methylphenyl)phenylmethoxy]ethanamine

hydrochloride
RN: 10488-36-5 MF: $C_{17}H_{21}NO \cdot HCl$ MW: 291.82 EINECS: 234-011-9
LD_{50}: 32 mg/kg (M, i.v.); 182 mg/kg (M, p.o.);
15 mg/kg (R, i.v.); 400 mg/kg (R, p.o.);
90 mg/kg (dog, p.o.)





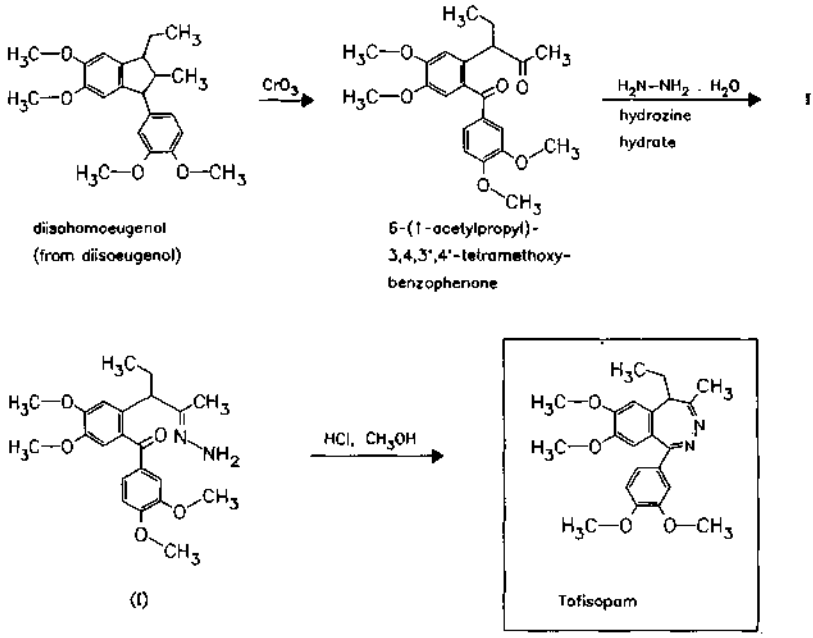
Reference(s):
US 3 407 258 (Brocades-Stheeman; 22.10.1968; GB-prior. 30.11.1962).

Trade Name(s):
GB: Elamol (Brocades); wfm

Tofisopam

ATC: N05BA23
Use: tranquilizer, anxiolytic

RN: 22345-47-7 MF: $C_{22}H_{26}N_2O_4$ MW: 382.46 EINECS: 244-922-3
LD_{50}: 415 mg/kg (M, i.v.); 3800 mg/kg (M, p.o.);
103 mg/kg (R, i.v.); 825 mg/kg (R, p.o.)
CN: 1-(3,4-dimethoxyphenyl)-5-ethyl-7,8-dimethoxy-4-methyl-5*H*-2,3-benzodiazepine



Reference(s):
DAS 1 670 642 (Egyt; appl. 8.12.1967; H-prior. 9.12.1966).

educt:
Doering, W. v. E.; Berson, J.A.: J. Am. Chem. Soc. (JACSAT) **72**, 1118 (1950).

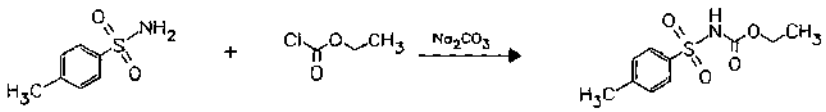
Formulation(s): tabl. 50 mg

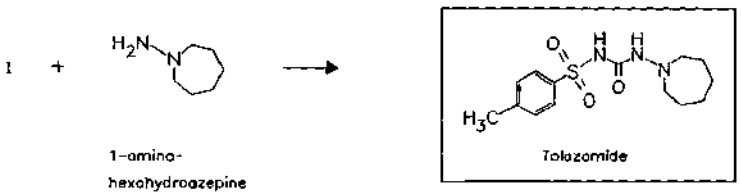
Trade Name(s):
F: Grandaxine (Ozothine); wfm
Seriel (Sinbio); wfm
J: Grandaxin (Mochida)

Tolazamide

ATC: A10BB05
Use: antidiabetic

RN: 1156-19-0 MF: $C_{14}H_{21}N_3O_3S$ MW: 311.41 EINECS: 214-588-3
LD_{50}: 1 g/kg (M, p.o.);
>5 g/kg (R, p.o.)
CN: *N*-[[(hexahydro-1*H*-azepin-1-yl)amino]carbonyl]-4-methylbenzenesulfonamide





Reference(s):
US 3 063 903 (Upjohn; 13.11.1962; appl. 29.3.1961; prior. 9.6.1959).
GB 887 886 (Upjohn; appl. 29.9.1960).
DE 1 196 200 (Hoechst; appl. 27.12.1961).
Wright, J.B.; Willette, R.E.: J. Med. Chem. (JMCMAR) **5**, 815 (1962).

Formulation(s): tabl. 250 mg, 500 mg

Trade Name(s):

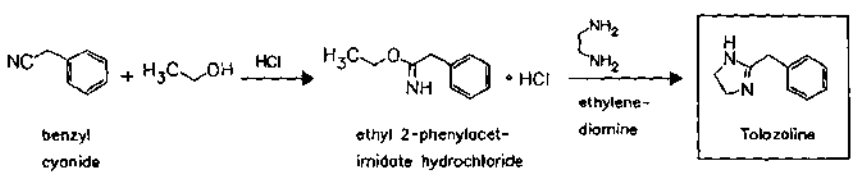
D:	Norglycin (Upjohn); wfm	I:	Diabewas (IBI); wfm	J:	Tolinase (Upjohn)
GB:	Tolanase (Pharmacia & Upjohn)		Diabewas (Wassermann); wfm	USA:	Tolazamide (Mylan) Tolinase (Upjohn); wfm

Tolazoline

ATC: C04AB02; M02AX02
Use: vasodilator, antiadrenergic

RN: 59-98-3 MF: $C_{10}H_{12}N_2$ MW: 160.22 EINECS: 200-448-9
LD_{50}: 40 mg/kg (M, i.v.); 350 mg/kg (M, p.o.)
CN: 4,5-dihydro-2-(phenylmethyl)-1*H*-imidazole

monohydrochloride
RN: 59-97-2 MF: $C_{10}H_{12}N_2 \cdot HCl$ MW: 196.68 EINECS: 200-447-3
LD_{50}: 56.7 mg/kg (M, i.v.); 400 mg/kg (M, p.o.);
85 mg/kg (R, i.v.); 1200 mg/kg (R, p.o.)



Reference(s):
US 2 161 938 (Ciba; 1939; D-prior. 1934).
DRP 615 227 (A. Sonn; 1934).

alternative syntheses:
DRP 687 196 (Ciba; appl. 1938; CH-prior. 1937).
DE 842 063 (Ciba; CH-prior. 1945).

Formulation(s): amp. 10 mg/ml

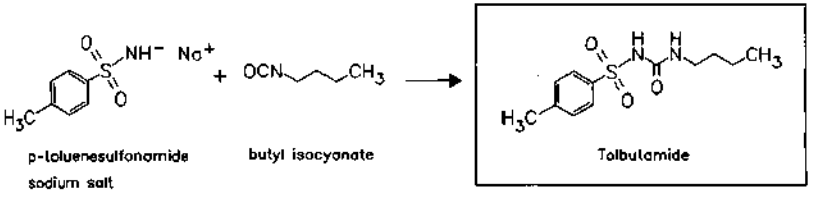
Trade Name(s):

D:	Priscol (CIBA Vision)	J:	Benzolin(Nissin)	USA:	Priscoline (Ciba); wfm
GB:	Priscol (Ciba); wfm		Imidalin (Yamanouchi)		
I:	Priscofen (Ciba)-comb.; wfm		Priscol (Ciba-Geigy-Takeda)		

Tolbutamide

ATC: A10BB03; V04CA01
Use: antidiabetic

RN: 64-77-7 MF: $C_{12}H_{18}N_2O_3S$ MW: 270.35 EINECS: 200-594-3
LD_{50}: 770 mg/kg (M, i.v.); 490 mg/kg (M, p.o.); 700 mg/kg (R, i.v.); 2490 mg/kg (R, p.o.)
CN: *N*-[(butylamino)carbonyl]-4-methylbenzenesulfonamide



Reference(s):
US 2 968 158 (Hoechst; Upjohn; 17.1.1961; D-prior. 8.8.1955).
DE 974 062 (Hoechst; appl. 9.8.1955).

alternative method:
DAS 2 053 740 (Brunnengraber; appl. 2.11.1970).
Ruschig, H. et al.: Arzneim.-Forsch. (ARZNAD) **8**, 448 (1958).

Formulation(s): tabl. 500 mg, 1 g

Trade Name(s):

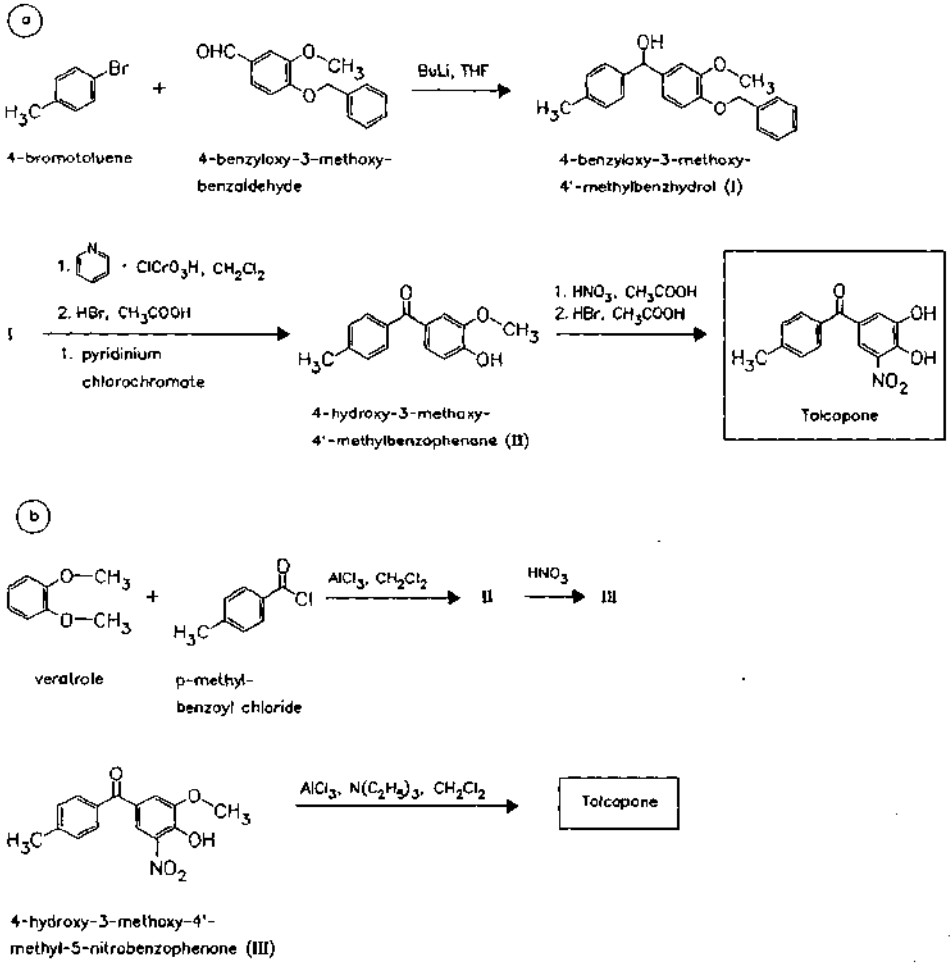
D:	Artosin (Boehringer Mannh.)	GB:	Rastinon (Hoechst)		Nigloid (Universal)
	Orabet (Berlin-Chemie)	I:	Glucosulfa (Lipha)-comb.		Rankmin (Maruishi)
	Rastinon (Hoechst)	J:	Abeformin T (Maruko)		Rastinon (Hoechst)
	Tolbutamid R.A.N. (R.A.N.)		Diabex-T (Funai)		Unimide 500 (Sanko)
			Dibetos (Kodama)		Urerubon (Seiko)
F:	Dolipol (Hoechst)		Insilange-D (Horita)	USA:	Tolbutamide Tablets (Mylan)
			Mellitos D (Ono)		

Tolcapone

(Ro-40-7592)

ATC: N04BX01
Use: antiparkinsonian, COMT inhibitor

RN: 134308-13-7 MF: $C_{14}H_{11}NO_5$ MW: 273.24
CN: (3,4-Dihydroxy-5-nitrophenyl)(4-methylphenyl)methanone



Reference(s):
a EP 237 929 (Hoffmann-La Roche; appl. 11.3.1987; CH-prior. 11.3.1986; 9.1.1987).
b EP 855 379 (Hoffmann-La Roche; appl. 15.1.1998; EP-prior. 22.1.1997).

process for the manufacture of a powdery preparation:
WO 9 816 204 (Hoffmann-La Roche; appl. 13.10.1997; EP-prior. 14.10.1996; CH-prior. 25.11.1996).

pharmaceutical composition for treating Parkinsons's disease:
WO 9 831 355 (Britannia Pharm.; appl. 14.1.1998; GB-prior. 16.1.1997).

Formulation(s): tabl. 100 mg, 200 mg

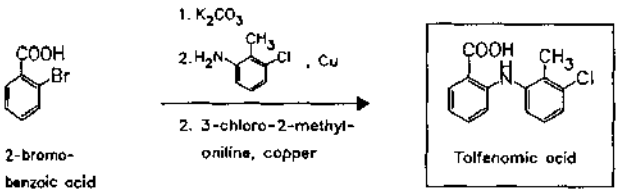
Trade Name(s):

D:	Tasmar (Hoffmann-La Roche; 1997); wfm	GB:	Tasmar (Roche); wfm	USA:	Tasmar (Roche; 1998); wfm
		I:	Tasmar (Roche); wfm		

Tolfenamic acid

ATC: M01AG02
Use: anti-inflammatory, analgesic

RN: 13710-19-5 MF: $C_{14}H_{12}ClNO_2$ MW: 261.71 EINECS: 237-264-3
LD_{50}: 280 mg/kg (M, p.o.);
225 mg/kg (R, p.o.)
CN: 3-[(3-chloro-2-methylphenyl)amino]benzoic acid



Reference(s):
US 3 313 848 (Parke Davis; 11.4.1967; prior. 18.6.1964).

Formulation(s): cps. 100 mg, 200 mg; s. r. tabl. 300 mg

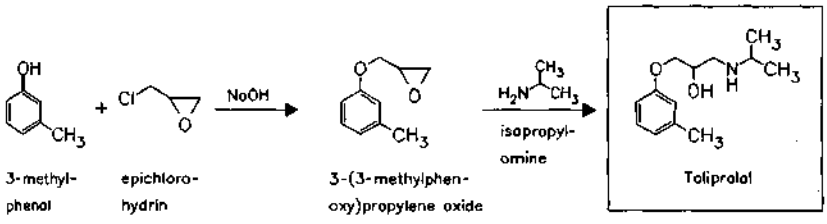
Trade Name(s):
J: Clotam (Tobishi Shingaku).

Toliprolol

ATC: C07AA
Use: antiarrhythmic, antihypertensive, antianginal

RN: 2933-94-0 MF: $C_{13}H_{21}NO_2$ MW: 223.32 EINECS: 220-905-6
LD_{50}: 28.2 mg/kg (M, i.v.)
CN: 1-[(1-methylethyl)amino]-3-(3-methylphenoxy)-2-propanol

hydrochloride
RN: 306-11-6 MF: $C_{13}H_{21}NO_2 \cdot HCl$ MW: 259.78 EINECS: 206-177-2
LD_{50}: 40 mg/kg (M, i.v.)



Reference(s):
DOS 1 493 454 (Boehringer Ing.; appl. 26.8.1963).
NL-appl. 6 409 883 (Boehringer Ing.; appl. 26.8.1963).

Formulation(s): tabl. 10 mg, 50 mg

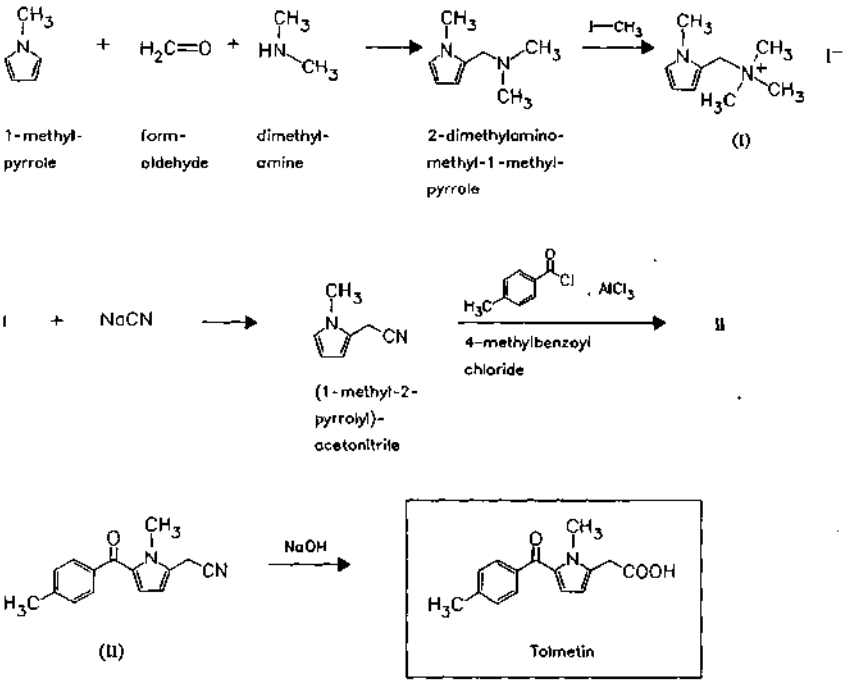
Trade Name(s):
D: Doberol (Boehringer Ing.);
wfm

Tolmetin

ATC: M01AB03; M02AA21
Use: anti-inflammatory

RN: 26171-23-3 MF: $C_{15}H_{15}NO_3$ MW: 257.29 EINECS: 247-497-2
LD_{50}: 680 mg/kg (M, i.v.); 914 mg/kg (M, p.o.);
293 mg/kg (R, p.o.)
CN: 1-methyl-5-(4-methylbenzoyl)-1*H*-pyrrole-2-acetic acid

sodium salt
RN: 35711-34-3 MF: $C_{15}H_{14}NNaO_3$ MW: 279.27 EINECS: 252-687-3
LD_{50}: >622 mg/kg (M, i.v.); 899 mg/kg (M, p.o.);
>724 mg/kg (R, i.v.); 914 mg/kg (R, p.o.);
>800 mg/kg (dog, p.o.)
sodium salt dihydrate
RN: 64490-92-2 MF: $C_{15}H_{14}NNaO_3 \cdot 2H_2O$ MW: 315.30



Reference(s):
US 3 752 826 (McNeil; 14.8.1973; prior. 26.7.1967, 1.7.1968).
DAS 1 770 984 (McNeil; appl. 25.7.1968; USA-prior. 26.7.1967, 1.7.1968).
Carson, J.R. et al.: J. Med. Chem. (JMCMAR) **14**, 646 (1971).
GB 1 428 272 (McNeil; appl. 12.7.1973; USA-prior. 3.8.1972).
DOS 2 102 746 (McNeil; appl. 21.1.1971; USA-prior. 26.1.1970).
DOS 2 339 140 (McNeil; appl. 2.8.1973; USA-prior. 3.8.1972).

Friedel-Crafts-synthesis without use of $AlCl_3$:
DAS 2 511 256 (Ethyl Corp.; appl. 14.3.1975; USA-prior. 18.3.1974).
GB 1 503 205 (Ethyl Corp.; appl. 19.5.1975; USA-prior. 17.6.1974).
GB 1 503 221 (Ethyl Corp.; appl. 6.3.1975; USA-prior. 18.3.1974).
GB 1 503 222 (Ethyl Corp.; appl. 6.3.1975; USA-prior. 18.3.1974).

alternative syntheses:
US 4 111 954 (McNeil; 5.9.1978; prior. 20.4.1977).
US 4 119 639 (McNeil; 10.10.1978; appl. 27.6.1977).
US 4 125 537 (McNeil; 14.11.1978; appl. 7.2.1977).
DOS 2 552 975 (Sagami; appl. 7.12.1978; J-prior. 8.12.1977).
ES 456 334 (Lab. Estedi S. L.; appl. 26.2.1977).

combination with acetaminophen *or* acetylsalicylic acid:
US 4 132 788 (McNeil; 2.1.1979; prior. 4.5.1976).

Formulation(s): cps. 200 mg, 400 mg; tabl. 200 mg, 600 mg (as sodium salt)

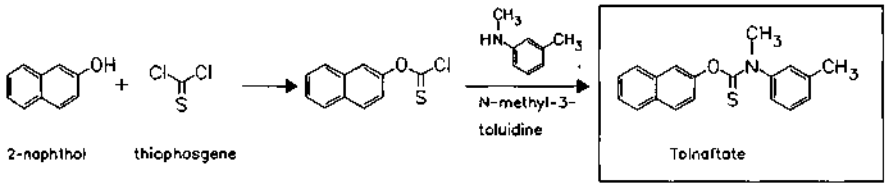
Trade Name(s):

D: Tolectin (Cilag-Chemie; 1977); wfm
GB: Tolectin (Ortho; 1979); wfm
I: Index (Edmond); wfm
Reutol (Errekappa Euroter.); wfm
Tolectin (Cilag); wfm
Tolectin (Cilag-Chemie); wfm
Tolmex (Biopharma); wfm
J: Tolectin (Dainippon)
USA: Tolectin (Ortho-McNeil; 1976)

Tolnaftate

ATC: D01AE18
Use: antimycotic, fungicide

RN: 2398-96-1 MF: $C_{19}H_{17}NOS$ MW: 307.42 EINECS: 219-266-6
LD_{50}: 4800 mg/kg (M, i.v.); 10 g/kg (M, p.o.);
>6 g/kg (R, p.o.);
>14 g/kg (dog, p.o.)
CN: methyl (3-methylphenyl)carbamothioic acid *O*-2-naphthalenyl ester



Reference(s):
US 3 334 126 (Nippon Soda; 1.8.1967; J-prior. 21.6.1961, 25.8.1961, 9.4.1962, 13.4.1962).
GB 967 897 (Nippon Soda; appl. 31.5.1962; J-prior. 21.6.1961, 25.8.1961, 9.4.1962, 13.4.1962).

Formulation(s): cream 10 mg/g; powder 5 mg/g; sol. 10 mg/ml

Trade Name(s):

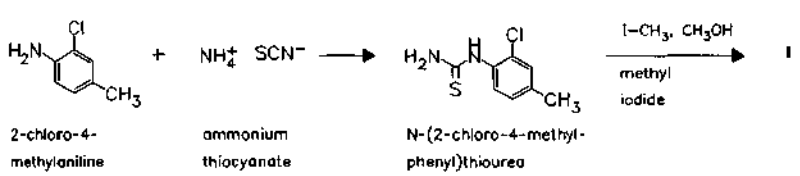
D: Sorgoa (Scheurich)
Tinatox (Brenner-Efeka)
Tolnaftat (Pharma Wernigerode)
Tonoftal (Essex Pharma)
F: Sporiline (Schering-Plough)
GB: Tinaderm-M (Schering-Plough)
I: Tinaderm (Schering-Plough)
J: Alarzin "Strong" (Yamanouchi)
Hi-Alarzin (Yamanouchi)-comb.
Separin T (Sumitomo)
USA: Tinactin (Schering); wfm

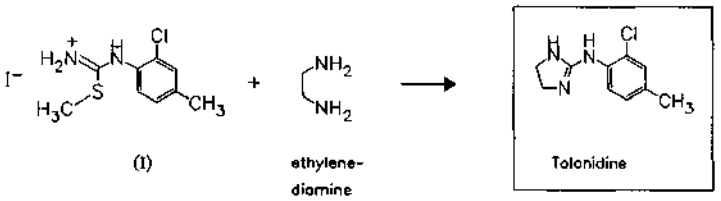
Tolonidine

ATC: C02AC04
Use: antihypertensive

RN: 4201-22-3 MF: $C_{10}H_{12}ClN_3$ MW: 209.68
CN: *N*-(2-chloro-4-methylphenyl)-4,5-dihydro-1*H*-imidazol-2-amine

nitrate
RN: 4201-23-4 MF: $C_{10}H_{12}ClN_3 \cdot xHNO_3$ MW: unspecified EINECS: 224-105-8





Reference(s):
GB 1 034 938 (Boehringer Ing.; valid from 28.9.1964; D-prior. 4.10.1963).
(also further methods)

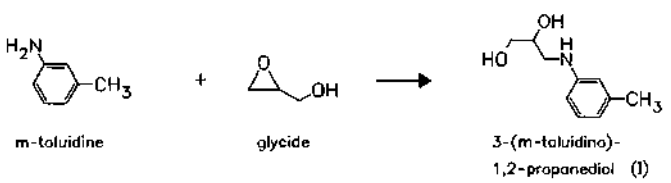
Formulation(s): vial 0.5 mg/ml (as nitrate)

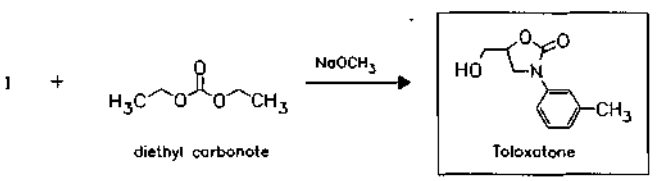
Trade Name(s):
F: Euctan (Delalande); wfm

Toloxatone

ATC: N06AG03
Use: antidepressant, monoaminoxidase inhibitor

RN: 29218-27-7 MF: $C_{11}H_{13}NO_3$ MW: 207.23 EINECS: 249-522-2
LD_{50}: 1300 mg/kg (M, p.o.);
1225 mg/kg (R, p.o.)
CN: 5-(hydroxymethyl)-3-(3-methylphenyl)-2-oxazolidinone





Reference(s):
DOS 2 011 333 (Delalande; appl. 10.3.1970; GB-prior. 18.3.1969).
DOS 2 012 120 (Delalande; appl. 13.13.1970; GB-prior. 18.3.1969).
Fauvan, C.; Douzon, C.: Chim. Ther. (CHTPBA) 3, 324 (1973).

Formulation(s): cps. 200 mg

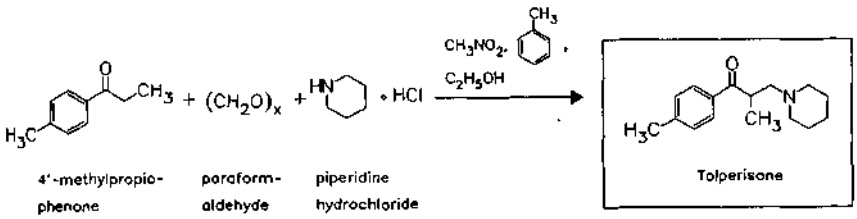
Trade Name(s):
F: Humoryl (Synthélabo; 1985)
I: Umoril (Synthelabo)

Tolperisone

ATC: M03BX04
Use: vasodilator, antispasmodic, skeletal muscle relaxant

RN: 728-88-1 MF: $C_{16}H_{23}NO$ MW: 245.37 EINECS: 222-876-5
CN: 2-methyl-1-(4-methylphenyl)-3-(1-piperidinyl)-1-propanone

hydrochloride
RN: 3644-61-9 MF: $C_{16}H_{23}NO \cdot HCl$ MW: 281.83
LD_{50}: 34 mg/kg (M, i.v.); 358 mg/kg (M, p.o.);
1450 mg/kg (R, p.o.);
45 mg/kg (dog, i.v.)



4'-methylpropiophenone — paraformaldehyde — piperidine hydrochloride

Reference(s):
JP 203 90/65 (Eisai; appl. 4.11.1961).
Ruddy, A.W.; Buckley, J.S.: J. Am. Chem. Soc. (JACSAT) **72**, 718 (1950).

injection solution:
DOS 2 362 337 (Gedeon Richter; appl. 14.12.1973; H-prior. 15.1.1973).

Formulation(s): amp. 100 mg; drg. 50 mg, 150 mg; tabl. 50 mg, 100 mg, 500 mg (as hydrochloride)

Trade Name(s):

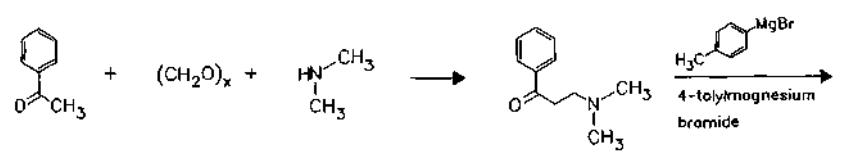
D:	Mydocalm (Strathmann)	Kineore (Showa)	Nichiperizone (Nissin)
F:	Mydocalm (Richter); wfm	Lasmon (Tanabe)	Roystajin (Zensei)
J:	Abbsa (Sanko)	Magnine (Toyo)	Sinorum (Towa)
	Atmosgen (Maruko)	Menopatol (Nippon Chemiphar)	
	Besnoline (Kotobuki-Kanebo)	Muscalm (Nippon Kayaku)	

Tolpropamine

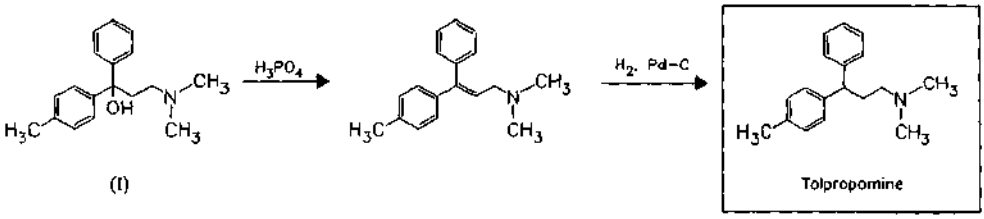
ATC: D04AA12
Use: antihistaminic, antiallergic

RN: 5632-44-0 MF: $C_{18}H_{23}N$ MW: 253.39 EINECS: 227-071-2
CN: *N,N*,4-trimethyl-γ-phenylbenzenepropanamine

hydrochloride
RN: 3339-11-5 MF: $C_{18}H_{23}N \cdot HCl$ MW: 289.85 EINECS: 222-082-9



acetophenone — paraformaldehyde — dimethylamine — 3-dimethylaminopropiophenone



(I)

Reference(s):
DE 925 468 (Hoechst; appl. 1941).

Formulation(s): gel 1 %

Trade Name(s):

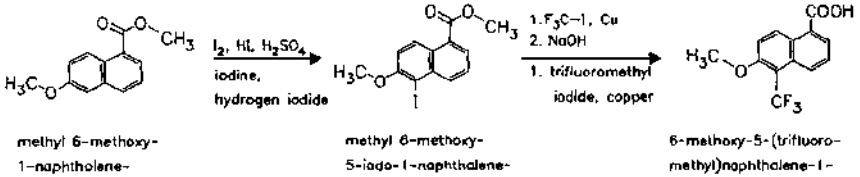
D:	Brondilat (Albert-Roussel)-comb.; wfm Brondiletten (Albert-Roussel)-comb.; wfm	I:	Pragman-Gelee (Albert-Roussel); wfm Pragman Gelee (Albert-Farma); wfm	J:	Pragman Gelee (Albert-Roussel); wfm Pragman Jelly (Tokyo Tanabe)

Tolrestat

(Tolrestatin)

ATC: A10XA01
Use: aldose reductase inhibitor (prophylaxis of diabetic neuropathy, retinopathy, cataract)

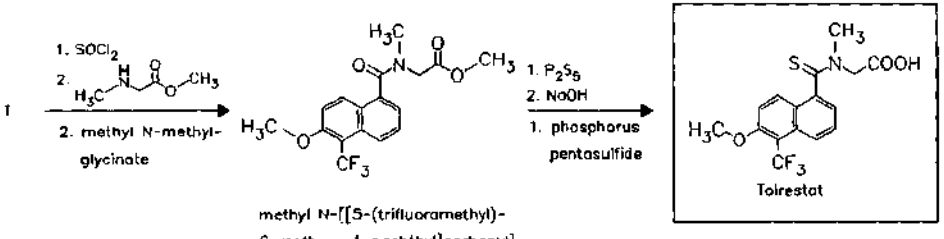
RN: 82964-04-3 MF: $C_{16}H_{14}F_3NO_3S$ MW: 357.35
CN: *N*-[[6-methoxy-5-(trifluoromethyl)-1-naphthalenyl]thioxomethyl]-*N*-methylglycine



methyl 6-methoxy-1-naphthalene-carboxylate

methyl 6-methoxy-5-iodo-1-naphthalene-carboxylate

6-methoxy-5-(trifluoro-methyl)naphthalene-1-carboxylic acid (I)



methyl N-[[5-(trifluoromethyl)-6-methoxy-1-naphthyl]carbonyl]-N-methylglycinate

Reference(s):
EP 59 596 (Ayerst; appl. 24.2.1982; CND-prior. 15.10.1981).
US 4 391 825 (Ayerst; appl. 5.7.1983; prior. 13.11.1981; CND-prior. 15.10.1981).
US 4 568 693 (Ayerst; 4.2.1986; appl. 9.9.1983; prior. 13.11.1981; CND-prior. 2.3.1981).
US 4 600 724 (Ayerst; 15.7.1986; appl. 17.7.1985; prior. 13.11.1981, 9.9.1983; CND-prior. 2.3.1981).
US 4 705 882 (Ayerst; 10.11.1987; appl. 28.3.1986; prior. 13.11.1981, 9.9.1983, 17.7.1985; CND-prior. 2.3.1981).
US 4 946 987 (Ayerst; 7.8.1990; appl. 20.6.1988; prior. 13.11.1981, 9.9.1983, 17.7.1985, 28.3.1986; CND-prior. 2.3.1981).
Sestanj, K. et al.: J. Med. Chem. (JMCMAR) **27**, 255 (1984).

synthesis of methyl 6-methoxy-1-naphthalenecarboxylate:
Price, C.C. et al.: J. Am. Chem. Soc. (JACSAT) **69**, 2261 (1947).

synthesis of 6-methoxy-5-(trifluoromethyl)naphthalene-1-carboxylic acid:
EP 245 679 (Ethyl Corp.; appl. 24.4.1987; USA-prior. 12.5.1986).
US 4 629 808 (Ethyl Corp.; 16.12.1986; appl. 20.6.1985).
US 4 590 010 (Ethyl Corp.; 20.5.1986; appl. 18.4.1985).
US 4 562 286 (Occidental Chem. Corp.; 31.12.1985; appl. 1.11.1984).
US 4 560 794 (Occidental Chem. Corp.; 24.12.1985; appl. 1.11.1984).
US 4 408 077 (Ayerst; 4.10.1983; appl. 13.11.1981).
EP 59 596 (Ayerst; appl. 24.2.1982; CND-prior. 15.10.1981, 2.3.1981).
Sestanj, K. et al.: J. Med. Chem. (JMCMAR) **27**, 255 (1984).

pharmaceutical composition for treatment of diabetic complications:
JP 61 078 725 (American Home; appl. 17.9.1985; USA-prior. 20.9.1984).

medical use to improve hearing in diabetics:
US 4 783 486 (American Home; 8.11.1988; appl. 6.11.1987).

medical use to improve wound healing:
US 4 751 243 (American Home; 14.6.1988; appl. 18.6.1986).

medical use for treatment of periodontal disease:
US 4 731 380 (American Home; 15.3.1988; appl. 26.8.1986).

medical use for stimulation of immune response:
EP 256 629 (American Home; appl. 9.6.1987; USA-prior. 12.6.1986).

medical use as antihypertensive in diabetics:
EP 245 951 (American Home; appl. 9.4.1987; USA-prior. 17.4.1986).

Formulation(s): cps. 200 mg; tabl. 200 mg

Trade Name(s):

I:	Alredase (Wyeth; 1990); wfm	J:	Tolrestat (Wyeth-Ayerst); wfm
	Lorestat (Recordati; 1990); wfm	USA:	Alredase (Wyeth-Ayerst); wfm

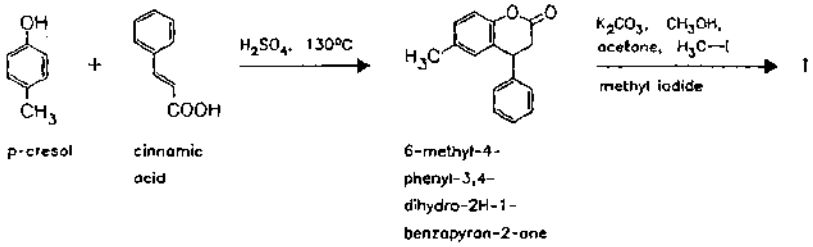
Tolterodine

(Kabi 2234; PNU-200583)

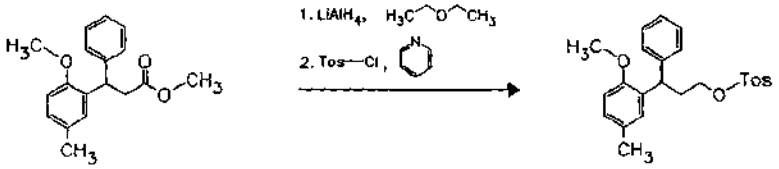
ATC: G04BD07
Use: agent for urinary incontinence, muscarinic receptor antagonist

RN: 124937-51-5 MF: $C_{22}H_{31}NO$ MW: 325.50
CN: (*R*)-2-[3-[Bis(1-methylethyl)amino]-1-phenylpropyl]-4-methylphenol

tartrate
RN: 124937-52-6 MF: $C_{22}H_{31}NO \cdot C_4H_6O_6$ MW: 475.58

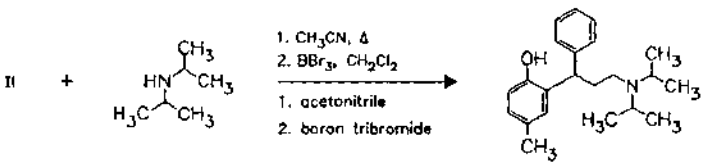


p-cresol cinnamic acid 6-methyl-4-phenyl-3,4-dihydro-2H-1-benzopyran-2-one

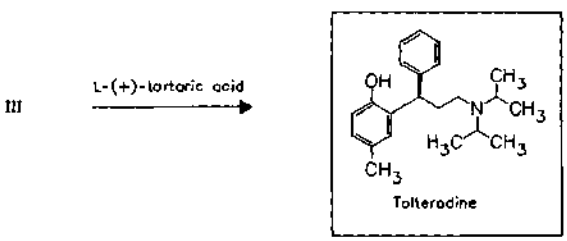


methyl 3-(2-methoxy-5-methylphenyl)-3-phenylpropionole (I)

(II)



diisopropylamine (III)



Reference(s):
EP 325 571 (Kabi Vitrum; appl. 20.1.1989; S-prior. 22.1.1988).

pharmaceutical compositions containing anti-incontinence agents:
WO 9 811 888 (American Home Products Corp.; appl. 17.9.1997; USA-prior. 19.9.1996).

Formulation(s): f. c. tabl. 1 mg, 2 mg (as maleate)

Trade Name(s):

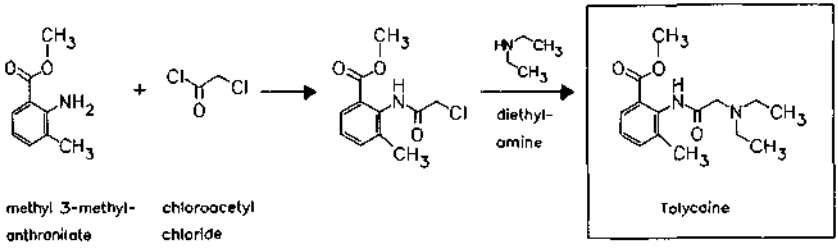
D:	Detrusitol (Pharmacia & Upjohn; 1998)	GB:	Detrusitol (Pharmacia & Upjohn)	USA:	Detrol (Pharmacia & Upjohn)
F:	Detrusitol (Pharmacia & Upjohn)	I:	Detrusitil (Pharmacia & Upjohn)		

Tolycaine

ATC: N01BB
Use: local anesthetic

RN: 3686-58-6 MF: $C_{15}H_{22}N_2O_3$ MW: 278.35 EINECS: 222-976-9
CN: 2-[[(diethylamino)acetyl]amino]-3-methylbenzoic acid methyl ester

monohydrochloride
RN: 7210-92-6 MF: $C_{15}H_{22}N_2O_3 \cdot HCl$ MW: 314.81 EINECS: 230-590-7
LD_{50}: 60 mg/kg (M, i.v.);
44 mg/kg (R, i.v.)



Reference(s):
DE I 018 070 (Bayer; appl. 26.9.1955).

Formulation(s): vial 0.08/4 ml (as hydrochloride)

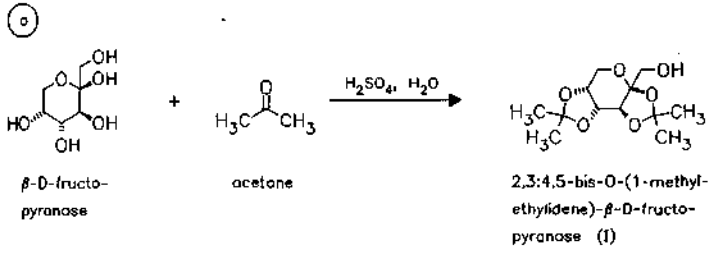
Trade Name(s):
D: Baycain (Bayer); wfm
Tardocillin (Bayer)-comb.
F: Campovit (Bayer-Pharma)-comb.; wfm
J: Baycain (Bayer)

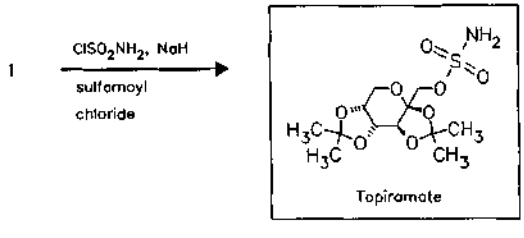
Topiramate

(KW-6485; McN-4853; RWJ-17021-000)

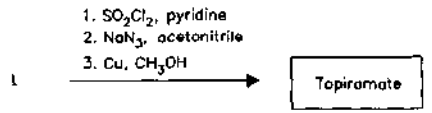
ATC: N03AX11
Use: anticonvulsant

RN: 97240-79-4 MF: $C_{12}H_{21}NO_8S$ MW: 339.37
LD_{50}: >1500 mg/kg (R, i.p.)
CN: 2,3:4,5-bis-*O*-(1-methylethylidene)-β-D-fructopyranose sulfamate





(b)



Reference(s):

a Maryanoff, B.E. et al.: J. Med. Chem. (JMCMAR) **30**, 880-887 (1987).
EP 138 441 (McNeillab Inc.; appl. 25.9.1984; USA-prior. 26.9.1983, 11.2.1985).
b EP 533 483 (McNeillab Inc.; appl. 18.9.1992; USA-prior. 19.9.1991, 5.8.1992).

Formulation(s): tabl. 50 mg, 100 mg, 200 mg

Trade Name(s):
GB: Topamax (Janssen-Cilag) USA: Topamax (Ortho-McNeil)

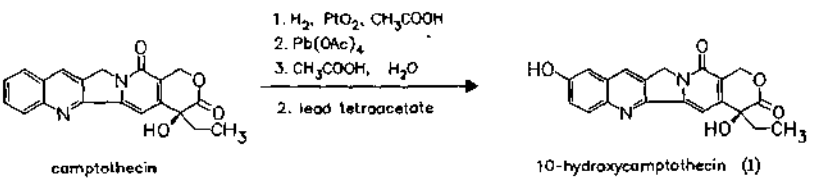
Topotecan

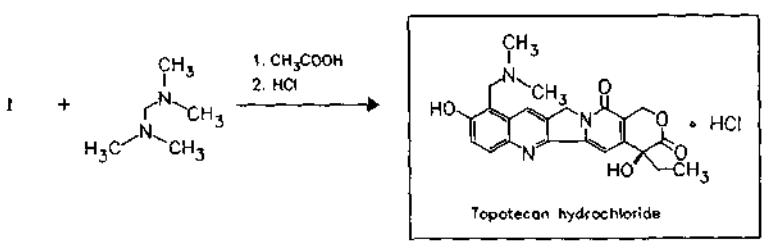
(NSC-609669; SK&F-S 104864-A)

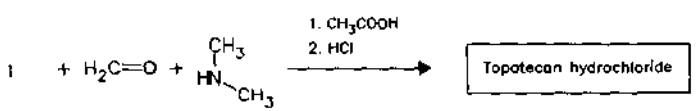
ATC: L01XX17
Use: antineoplastic, topoisomerase I-inhibitor

RN: 123948-87-8 MF: $C_{23}H_{23}N_3O_5$ MW: 421.45
CN: (*S*)-10-[(dimethylamino)methyl]-4-ethyl-4,9-dihydroxy-1*H*-pyrano[3',4':6,7]indolizino[1,2-*b*]quinoline-3,14(4*H*,12*H*)-dione

monohydrochloride
RN: 119413-54-6 MF: $C_{23}H_{23}N_3O_5 \cdot HCl$ MW: 457.91
acetate
RN: 123948-88-9 MF: $C_{23}H_{23}N_3O_5 \cdot C_2H_4O_2$ MW: 481.51
dihydrochloride
RN: 123949-07-5 MF: $C_{23}H_{23}N_3O_5 \cdot 2HCl$ MW: 494.38







Reference(s):
Kingsbury, W.D. et al.: J. Med. Chem. (JMCMAR) **34** (1), 98 (1991).
EP 321 122 (SmithKline Beecham; appl. 30.11.1988; USA-prior. 1.12.1987).
WO 9 205 785 (SmithKline Beecham; appl. 23.9.1991; USA-prior. 28.9.1990).

Formulation(s): vial 4 mg (as hydrochloride)

Trade Name(s):

D:	Hycamtin (SmithKline Beecham)	GB:	Hycamtin (SmithKline Beecham)	USA:	Hycamtin (SmithKline Beecham)
F:	Hycamtin (SmithKline Beecham)	I:	Hycamtin (SmithKline Beecham)		

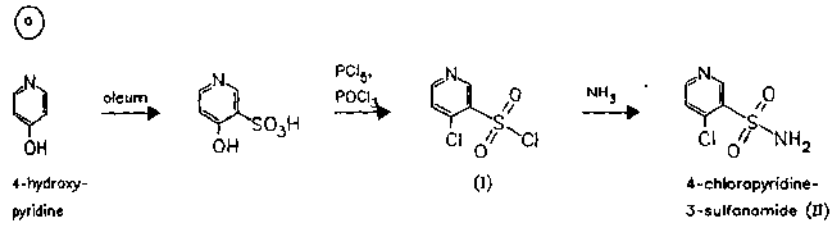
Torasemide

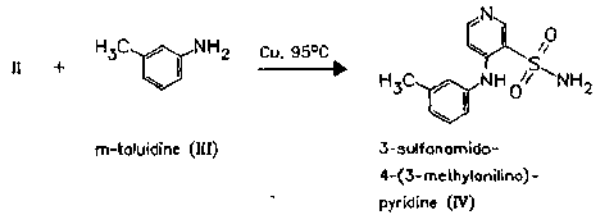
Use: antihypertensive, loop diuretic

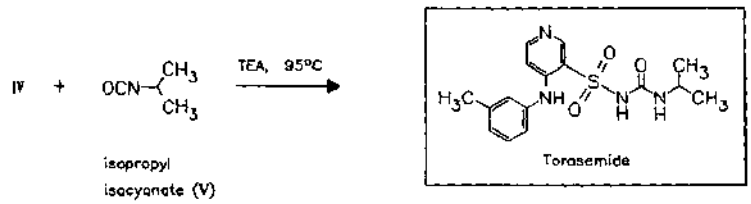
(AC 4464; BM 02015)

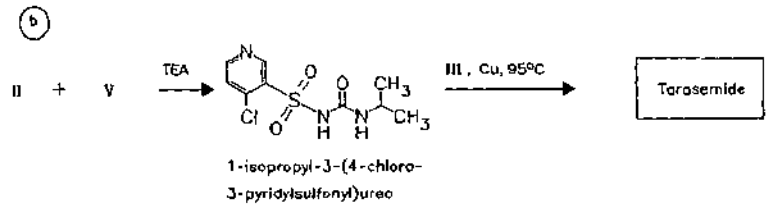
RN: 56211-40-6 MF: $C_{16}H_{20}N_4O_3S$ MW: 348.43
CN: *N*-[[(1-Methylethyl)amino]carbonyl]-4-[(3-methylphenyl)amino]-3-pyridinesulfonamide

sodium salt
RN: 72810-59-4 MF: $C_{16}H_{20}N_4O_3S \cdot xNa$ MW: unspecified









Reference(s):
a,b Delarge, J.: Arzneim.-Forsch. (ARZNAD) **38** (I), 1a (1988).
DE 2 516 025 (A. Christiaens; appl. 12.4.1975; GB-prior. 17.4.1974).

stable crystalline modification:
DE 3 623 620 (Boehringer Mannheim; appl. 17.8.1985; D-prior. 17.8.1985).

injections containing torasemide:
DE 3 623 620 (Boehringer Mannheim; D-prior. 12.7.1986).

rapidly disintegrating pellets:
WO 09 810 754 (Boehringer Mannheim; appl. 9.9.1997; D-prior. 12.9.1996).

polymorphism and control of the serum solubility of orally administered torasemide:
US 5 914 336 (Boehringer Mannheim; 22.6.1999; USA-prior. 2.6.1998).

tablets containing torasemide:
WO 9 300 097 (Boehringer Mannheim; appl. 25.6.1992; J-prior. 25.6.1991).

use for treatment of brain edema:
DE 4 113 820 (Boehringer Mannheim; D-prior. 27.4.1991).

Formulation(s): amp. 10.631 mg/2 ml, 21.262 mg/4 ml, 212.62 mg/20 ml (as sodium salt): tabl 2.5 mg, 5mg, 10 mg

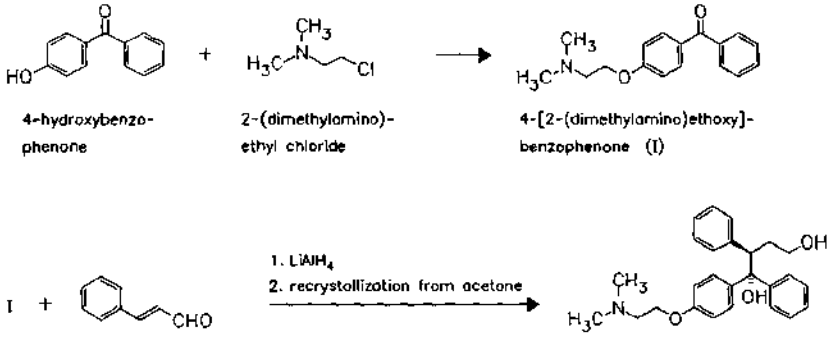
Trade Name(s):
D: Torem (Berlin-Chemie) Unat (Roche; 1999)

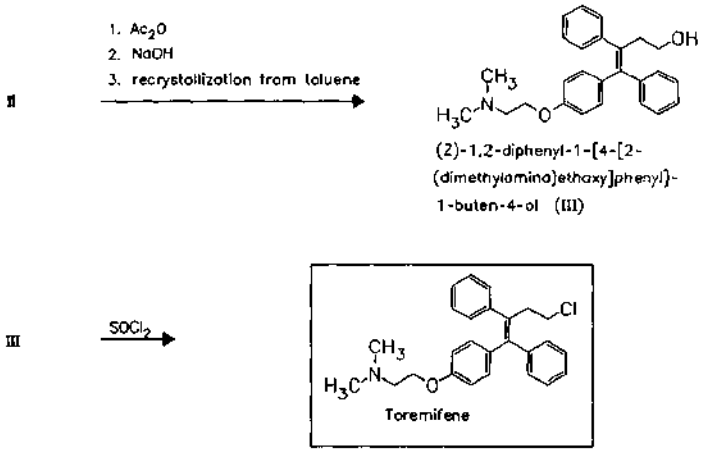
Toremifene
(FC-1157a)

ATC: L02BA02
Use: antiestrogen, antineoplastic

RN: 89778-26-7 MF: $C_{26}H_{28}ClNO$ MW: 405.97
LD_{50}: 1700 mg/kg (R, p.o.)
CN: (Z)-2-[4-(4-chloro-1,2-diphenyl-1-butenyl)phenoxy]-*N*,*N*-dimethylethanamine

citrate (1:1)
RN: 89778-27-8 MF: $C_{26}H_{28}ClNO \cdot C_6H_8O_7$ MW: 598.09
LD_{50}: 3 g/kg (R, p.o.)





Reference(s):
EP 95 875 (Farmos; appl. 20.5.1983; SF-prior. 27.5.1982).
US 4 696 949 (Farmos; 29.9.1987; appl. 29.1.1986; SF-prior. 27.5.1982, 9.5.1983).

Formulation(s): tabl. 20 mg, 60 mg (as citrate)

Trade Name(s):

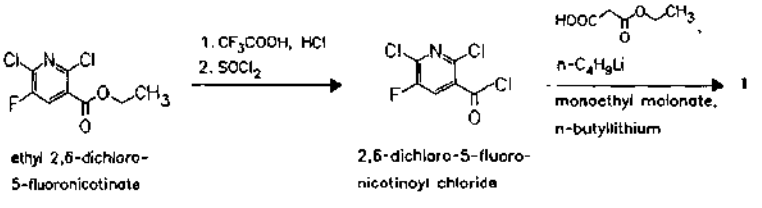
D:	Fareston (ASTA Medica AWD)	I:	Fareston (Schering-Plough)	USA:	Fareston (Schering-Plough)
GB:	Fareston (Orion)	J:	Fareston (Nippon Kayaku; as citrate)		

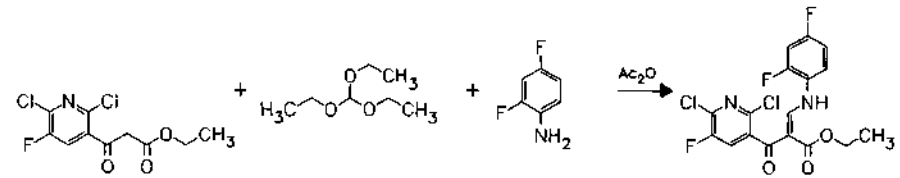
Tosufloxacin

Use: quinolone antibacterial, gyrase inhibitor

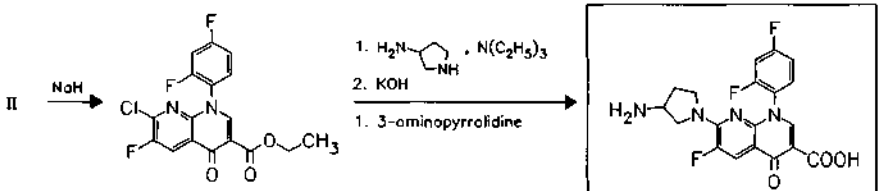
RN: 108138-46-1 MF: $C_{19}H_{15}F_3N_4O_3$ MW: 404.35
CN: (±)-7-(3-amino-1-pyrrolidinyl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acid

monotosylate
RN: 115964-29-9 MF: $C_{19}H_{15}F_3N_4O_3 \cdot C_7H_8O_3S$ MW: 576.55
LD_{50}: 196 mg/kg (M, i.v.); >6 g/kg (M, p.o.);
270 mg/kg (R, i.v.); >6 g/kg (R, p.o.);
>3 g/kg (dog, p.o.)





ethyl 2,6-dichloro-5-fluoro-nicotinoylacetate (I) + triethyl orthoformate + 2,4-difluoro-aniline → (II)



II → ethyl 7-chloro-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate → Tosufloxacin

Reference(s):
DE 3 514 076 (Toyama; appl. 31.10.1985; J-prior. 26.4.1984).
US 4 704 459 (Toyama; 3.11.1987; appl. 17.1.1986; J-prior. 23.1.1985, 18.2.1985, 7.3.1985, 3.4.1985, 8.5.1985, 14.6.1985).
Chu, D.T.W. et al.: J. Med. Chem. (JMCMAR) **29**, 2363 (1986).
Narita, H. et al.: Yakugaku Zasshi (YKKZAJ) **106**, 802 (1986).

synthesis of ethyl 2,6-dichloro-5-fluoronicotinate:
JP 82/72 981 (H. Matsumoto et al.; appl. 7.5.1982).

alternative synthesis:
EP 302 372 (Abbott; appl. 8.2.1989; USA-prior. 4.8.1987).
BE 904 086 (Toyama; appl. 14.6.1985; J-prior. 23.1.1985).

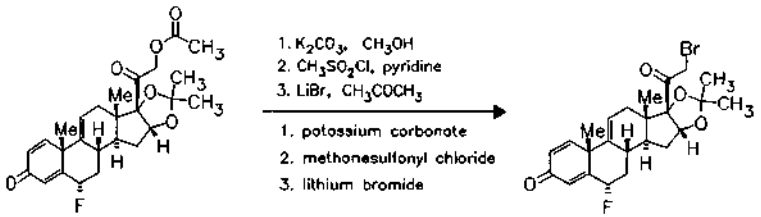
Formulation(s): tabl. 75 mg, 150 mg (as tosylate)

Trade Name(s):
J: Osex (Toyama; 1990) Tosuxacin (Dainabot; 1990)

Tralonide

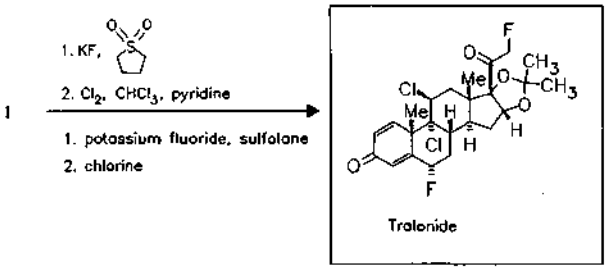
ATC: H02AB; R03BA
Use: glucocorticoid

RN: 21365-49-1 MF: $C_{24}H_{28}Cl_2F_2O_4$ MW: 489.39
CN: (6α,11β,16α)-9,11-dichloro-6,21-difluoro-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



21-acetoxy-3,20-dioxo-6α-fluoro-16α,17-isopropylidenedioxy-1,4,9(11)-pregnatriene (from fludroxycortide, q. v.)

(I)



Reference(s):
DOS 2 225 324 (Syntex; appl. 25.5.1972; USA-prior. 26.5.1971).

starting material:
US 3 282 929 (American Cyanamid; 1.11.1966; prior. 6.7.1964).

alternative synthesis:
US 3 409 613 (Syntex; 5.11.1968; prior. 28.7.1966).
ZA 680 282 (Syntex; appl. 15.1.1968).

medical use:
US 3 934 013 (Syntex; 20.1.1976; prior. 21.2.1975).

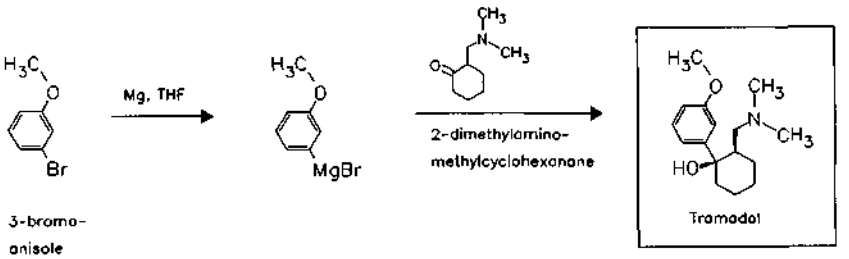
Trade Name(s):
USA: Talidan (Lilly); wfm

Tramadol

ATC: N02AX02
Use: analgesic

RN: 27203-92-5 MF: $C_{16}H_{25}NO_2$ MW: 263.38 EINECS: 248-319-6
LD_{50}: 228 mg/kg (R, p.o.)
CN: *cis*-(±)-2-[(dimethylamino)methyl]-1-(3-methoxyphenyl)cyclohexanol

hydrochloride
RN: 36282-47-0 MF: $C_{16}H_{25}NO_2 \cdot HCl$ MW: 299.84 EINECS: 252-950-2



Reference(s):
GB 997 399 (Grünenthal; appl. 1.4.1964; D-prior. 2.4.1963).

Formulation(s): amp. 50 mg/ml, 100 mg/2 ml; cps. 50 mg; drops 100 mg/ml; eff. tabl. 50 mg; s. r. tabl. 100 mg, 150 mg, 200 mg; suppos. 100 mg; tabl. 50 mg (as hydrochloride)

Trade Name(s):

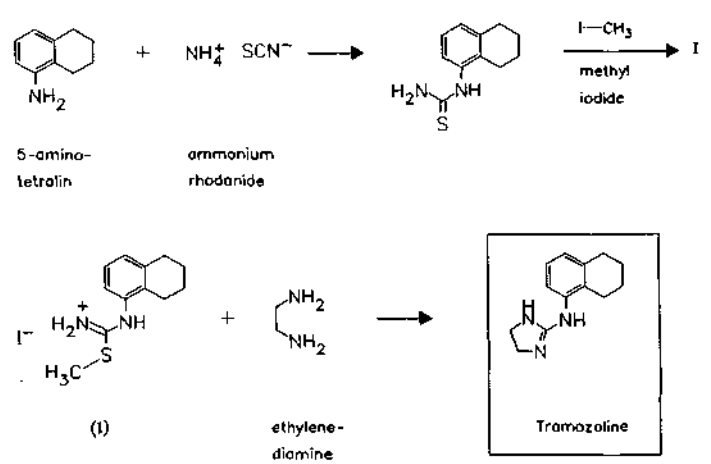
D:	Amadol (TAD)	Tramadura (durachemie)	F:	Topalgic (Hoechst Houdé)
	TRADOL-PUREN (Isis	Tramagetic (Azupharma)	GB:	Tramake (Galen)
	Puren)	Tramagit (Krewel		Zamadol SR (ASTA
	Trama (Kade)	Meuselbach)		Medica)
	Trama AbZ (AbZ-Pharma)	Tramal (Grünenthal)		Zyndol SR (Searle)
	Trama beta (betapharm)	Trama-Sanorania	I:	Contramol (Formenti)
	Tramadol (ASTA Medica	(Sanorania)		Fortradol (Bayer)
	AWD; Dolorgiet;	Tramdolar (Hexal)	J:	Crispin (Kowa)
	ratiopharm; Stada; ct-	Tramedphano (medphano)	USA:	Ultram (Ortho-McNeil)
	Arzneimittel)	Tramundin (Mundipharma)		

Tramazoline

ATC: R01AA09
Use: vasoconstrictor, rhinological therapeutic

RN: 1082-57-1 MF: $C_{13}H_{17}N_3$ MW: 215.30 EINECS: 214-105-6
CN: 4,5-dihydro-*N*-(5,6,7,8-tetrahydro-1-naphthalenyl)-1*H*-imidazol-2-amine

monohydrochloride
RN: 3715-90-0 MF: $C_{13}H_{17}N_3 \cdot HCl$ MW: 251.76 EINECS: 223-064-3
LD_{50}: 11.6 mg/kg (M, i.v.); 130 mg/kg (M, p.o.); 190 mg/kg (R, p.o.)



Reference(s):
DE I 173 904 (Thomae; appl. 5.8.1961).
DE I 191 381 (Thomae; appl. 24.6.1963; addition to DE I 173 904).
DE I 195 323 (Thomae; appl. 24.6.1963; addition to DE I 173 904).

Formulation(s): eye drops 0.6 mg/ml; nasal spray/drops 1.2 mg/ml

Trade Name(s):

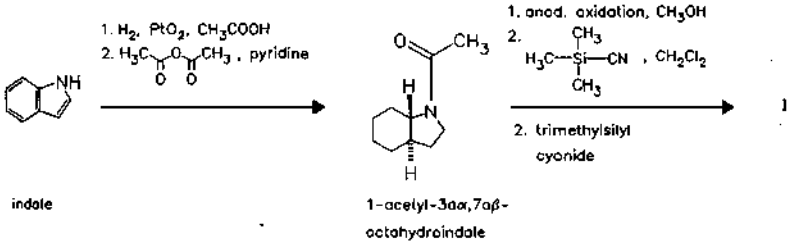
D:	Biciron Augentropfen (Alcon)		Rhinospray (Boehringer Ing.)	I:	Rinogutt Spray (Fher)
	Ellatun Nasentropfen (Alcon)	GB:	Dexa-Rhinspray (Boehringer Ing.)-comb.	J:	Towk (Tanabe)

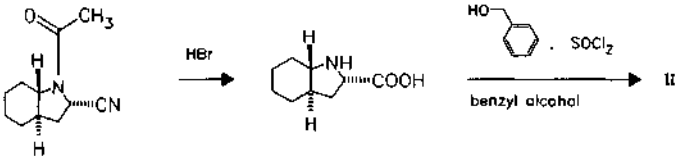
Trandolapril
(RU-44570)

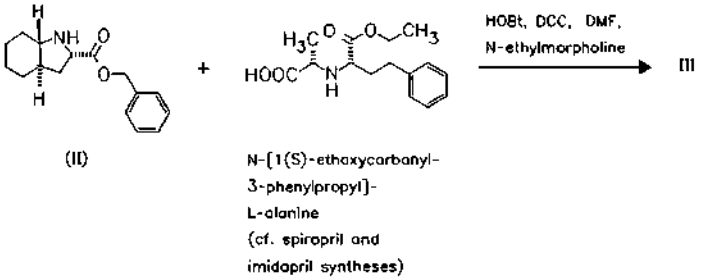
ATC: C09AA10
Use: antihypertensive (ACE inhibitor)

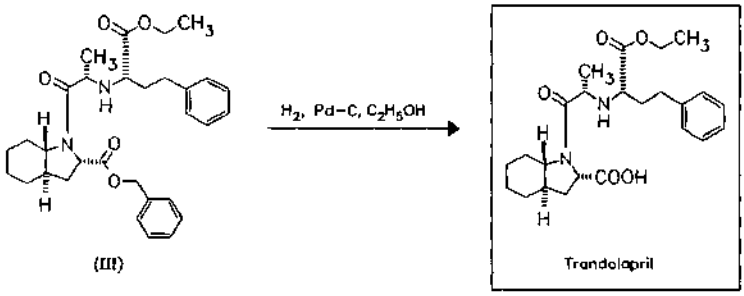
RN: 87679-37-6 MF: $C_{24}H_{34}N_2O_5$ MW: 430.55
LD_{50}: >2 g/kg (R, i. v.); >5 g/kg (R, p. o.);
3 g/kg (M, i. v.); 3.99 g/kg (M, p. o.);
2 g/kg (dog, p. o.)
CN: [2*S*-[1[*R**(*R**)],2α,3aα,7aβ]]-1-[2-[[1-(Ethoxycarbonyl)-3-phenylpropyl]amino]-1-oxopropyl]octahydro-1*H*-indole-2-carboxylic acid

hydrochloride
RN: 87725-72-2 MF: $C_{24}H_{34}N_2O_5 \cdot HCl$ MW: 467.01









Reference(s):
DE 3 151 690 (Hoechst AG; appl. 29.12.1981; D-prior. 29.12.1981)

Formulation(s): cps. 0.5 mg, 1 mg, 2 mg; tabl. 2 mg, 4 mg

Trade Name(s):

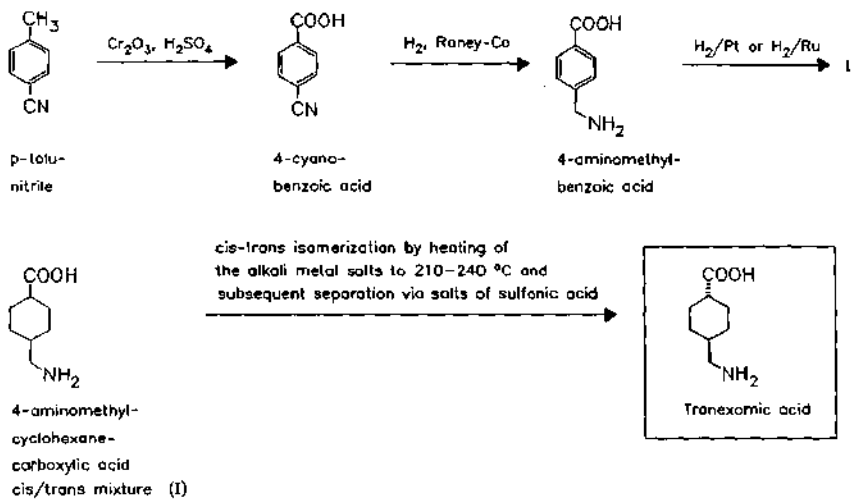
D:	Gopten (Knoll)		Udrik (Hoechst Marion		Zeddan (Mediolanum)
	Tarka (Knoll)-comb. with		Roussel; Pohl-Boskamp)	J:	Preran (Hoechst)
	verapamil hydrochloride	F:	Gopten (Ebewe, A; Knoll)	USA:	Mavik (Knoll Pharmac.)
	Udramil (Hoechst Marion		Odrik (Roussel)		Tarka (Knoll Pharmac.)
	Roussel; Pohl-Boskamp)-	GB:	Gopten (Ebewe, A; Knoll)		
	comb. with verapamil		Odrik (Roussel)		
	hydrochloride	I:	Gopten (Ebewe, A; Knoll)		

Tranexamic acid

(Acide tranexamique)

ATC: B02AA02
Use: antifibrinolytic, hemostatic

RN: 1197-18-8 MF: $C_8H_{15}NO_2$ MW: 157.21 EINECS: 214-818-2
LD_{50}: 1350 mg/kg (M, i.v.); >10 g/kg (M, p.o.);
1200 mg/kg (R, i.v.);
1110 mg/kg (dog, i.v.); >5 g/kg (dog, p.o.)
CN: *trans*-4-(aminomethyl)cyclohexanecarboxylic acid



Reference(s):
syntheses:
Einhorn, A.; Ladisch, C.: Justus Liebigs Ann. Chem. (JLACBF) **310**, 194 (1900).
Levine, M.; Sedlecky, R.: J. Org. Chem. (JOCEAH) **24**, 115 (1959).
DAS 1 443 755 (Daiichi Seiyaku; appl. 23.12.1964; J-prior. 24.12.1963).
DAS 1 793 841 (Daiichi Seiyaku; appl. 23.12.1964; J-prior. 24.12.1963).
GB 1 202 189 (Kureha; appl. 13.6.1969; J-prior. 14.6.1968, 12.9.1968, 28.12.1968, 17.2.1969).
US 3 499 925 (Daiichi Seiyaku; 10.3.1970; J-prior. 23.3.1964, 6.7.1964).
DOS 1 568 379 (Daiichi Seiyaku; appl. 13.4.1966; J-prior. 13.4.1965).
DOS 2 227 504 (Kowa; appl. 6.6.1972).
DAS 2 344 043 (Teijin; appl. 31.8.1973; J-prior. 7.9.1972, 30.3.1973).
GB 1 409 938 (Asahi; appl. 29.11.1973; J-prior. 29.11.1972).
DAS 2 359 251 (Asahi; appl. 28.11.1973; J-prior. 29.11.1972).
GB 1 410 108 (Asahi; appl. 2.10.1973; J-prior. 2.10.1972).
DAS 2 623 130 (Kureha; appl. 22.5.1976; J-prior. 27.5.1975).

Formulation(s): amp. 250 mg/5 ml, 500 mg/5 ml; cps. 250 mg, 500 mg; f. c. tabl. 500 mg; tabl. 250 mg

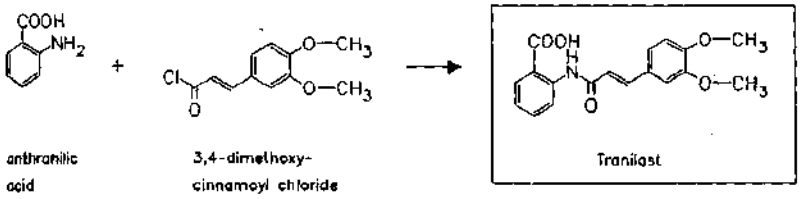
Trade Name(s):

D:	Anvitoff (Knoll)	I:	Amcacid (Bonomelli); wfm	J:	Carxamin (Sankyo Zoki)
	Cyklokapron (Pharmacia & Upjohn)		Amcacid (Bonomelli-Hommel); wfm		Hexatron (Nihon Shinyaku)
	Ugurol (Bayer)		Emorhalt (Sigurtà); wfm		Rikavarin (Toyo)
F:	Exacyl (Sanofi Winthrop)		Tranex (Malesci); wfm		Spiramin (Mitsui)
	Spotof (CCD)		Transil (Malesci)-comb.; wfm		Tranexamic Acid (Mohan)
GB:	Cyklokapron (Pharmacia & Upjohn)		Ugurol (Bayer); wfm	USA:	Transamin (Daiichi)
					Cyklokapron (Pharmacia & Upjohn); wfm

Tranilast

ATC: R06
Use: antiallergic

RN: 53902-12-8 MF: $C_{18}H_{17}NO_5$ MW: 327.34
LD_{50}: 680 mg/kg (M, p.o.);
1100 mg/kg (R, p.o.);
660 mg/kg (dog, p.o.)
CN: 2-[[3-(3,4-dimethoxyphenyl)-1-oxo-2-propenyl]amino]benzoic acid



anthranilic acid — 3,4-dimethoxy-cinnamoyl chloride — Tranilast

Reference(s):
DOS 2 402 398 (Kissei; appl. 18.1.1974; J-prior. 18.1.1973).
US 3 940 422 (Kissei; 24.2.1976; appl. 17.1.1974; J-prior. 18.1.1973).
US 4 070 484 (Kissei; 24.1.1978; prior. 18.1.1973).

Formulation(s): cps. 100 mg, eye drops 0.5 %; gran. 10 %

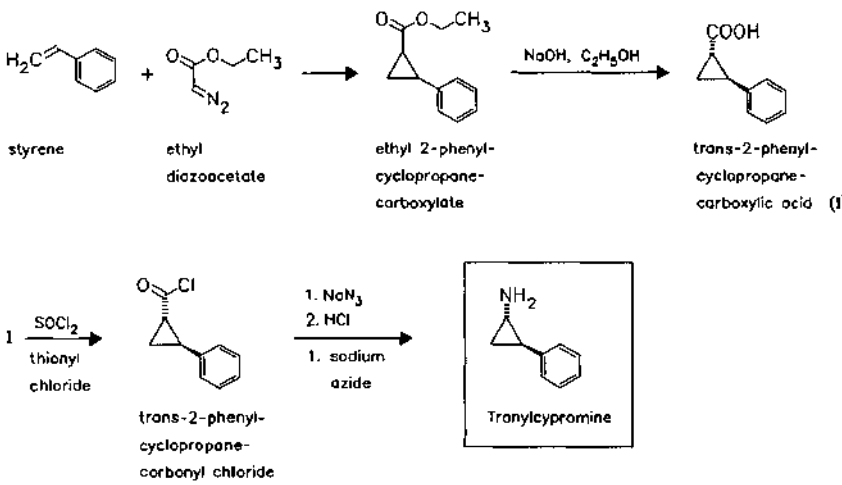
Trade Name(s):
J: Rizaben (Kissei; 1982)

Tranylcypromine

ATC: N06AF04
Use: psychoanaleptic, antidepressant

RN: 155-09-9 MF: $C_9H_{11}N$ MW: 133.19 EINECS: 205-841-9
LD_{50}: 64 mg/kg (M, p.o.)
CN: *trans*-(±)-2-phenylcyclopropanamine

sulfate (2:1)
RN: 13492-01-8 MF: $C_9H_{11}N \cdot 1/2H_2SO_4$ MW: 364.47 EINECS: 236-807-1
LD_{50}: 37 mg/kg (M, i.v.); 38 mg/kg (M, p.o.)



Reference(s):
US 2 997 422 (Smith Kline & French; 22.8.1961; prior. 9.1.1959).
DOS 2 649 700 (Nelson Res. & Dev.; appl. 29.10.1976; USA-prior. 31.10.1975).
US 4 016 204 (Nelson Res. & Dev.; 5.4.1977; appl. 31.10.1975).
Burger, A.; Yost, W.L.: J. Am. Chem. Soc. (JACSAT) **70**, 2198 (1948).

Formulation(s): drg. 10 mg; tabl. 10 mg (as sulfate)

Trade Name(s):

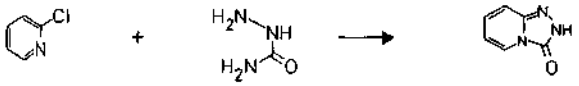
D:	Jatrosom (Procter & Gamble)	GB:	Parnate (SmithKline Beecham)	I:	Parmodalin (Sanofi Winthrop)-comb.
F:	Tylciprine (Théraplix); wfm		Parstelin (SmithKline Beecham)-comb.	USA:	Parnate (SmithKline Beecham)

Trazodone

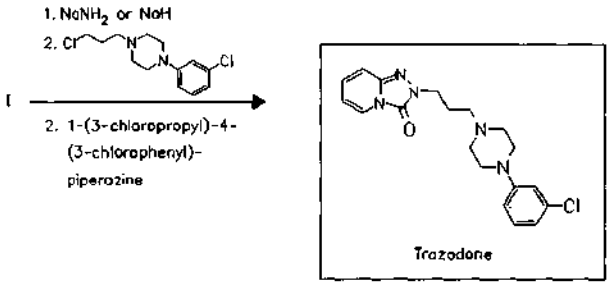
ATC: N06AX05
Use: antidepressant, anxiolytic

RN: 19794-93-5 MF: $C_{19}H_{22}ClN_5O$ MW: 371.87 EINECS: 243-317-1
LD_{50}: 91 mg/kg (M, i.v.); 610 mg/kg (M, p.o.);
91 mg/kg (R, i.v.); 690 mg/kg (R, p.o.)
CN: 2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-1,2,4-triazolo[4,3-*a*]pyridin-3(2*H*)-one

monohydrochloride
RN: 25332-39-2 MF: $C_{19}H_{22}ClN_5O \cdot HCl$ MW: 408.33 EINECS: 246-855-5
LD_{50}: 91 mg/kg (M, i.v.); 584 mg/kg (M, p.o.);
91 mg/kg (R, i.v.); 690 mg/kg (R, p.o.);
>40 mg/kg (dog, i.v.); 500 mg/kg (dog, p.o.)



2-chloro-pyridine | semicarbazide | 1,2,4-triazolo-[4,3-a]pyridin-3(2H)-one (I)



Reference(s):
US 3 381 009 (Angelini Francesco; 30.4.1968; I-prior. 15.12.1965).
DE 1 645 947 (Angelini Francesco; appl. 13.12.1966; I-prior. 15.12.1965, 3.8.1966).

Formulation(s): cps. 25 mg, 50 mg; f. c. tabl. 100 mg; tabl. 50 mg, 100 mg, 150 mg, 300 mg (as hydrochloride)

Trade Name(s):

D:	Thombran (Boehringer Ing.; 1977)	I:	Trittico (Angelini)		Restin (Kanebo)
F:	Pragmarel (UPSA); wfm	J:	Desyrel (Pharmacia & Upjohn)	USA:	Desyrel (Apothecon; 1982)

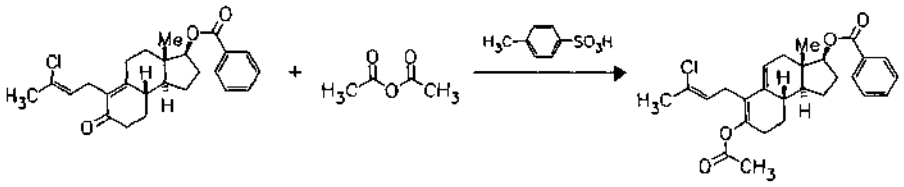
Trenbolone acetate

(Trienbolone acetate)

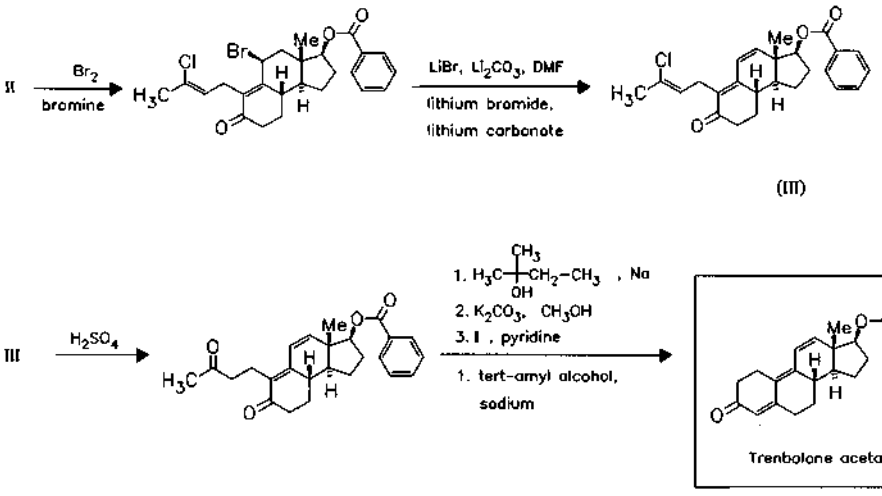
ATC: A14
Use: anabolic

RN: 10161-34-9 MF: $C_{20}H_{24}O_3$ MW: 312.41 EINECS: 233-432-5
CN: (17β)-17-(acetyloxy)estra-4,9,11-trien-3-one

trenbolone
RN: 10161-33-8 MF: $C_{18}H_{22}O_2$ MW: 270.37



17β-benzoyloxy-3-chloro-5-oxo-4,5-seco-2,9(10)-estradiene | acetic anhydride (I) | (II)



Reference(s):
FR-M 1 958 (Roussel-Uclaf; appl. 13.7.1962).
GB 1 035 683 (Roussel-Uclaf; valid from 19.4.1963; F-prior. 20.4.1962).
Velluz, L. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **257**, 569 (1963).

starting material:
Velluz, L. et al.: C. R. Hebd. Seances Acad. Sci. (COREAF) **250**, 1084 (1960).

alternative syntheses:
Heker, M. et al.: Steroids (STEDAM) **10**, 211 (1967).
US 3 453 267 (Roussel-Uclaf; 1.7.1969; F-prior. 31.12.1964, 25.2.1965, 24.3.1965, 14.6.1965, 3.9.1965, 17.9.1965).

use for treatment of varicose wounds:
DOS 2 119 096 (Roussel-Uclaf; appl. 20.4.1971).

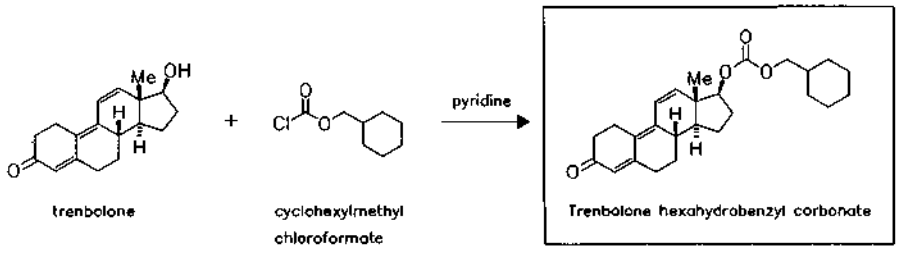
Formulation(s): pellet 300 mg

Trade Name(s):
F: Finaject (Distrivet); wfm Finaplix (Distrivet); wfm Parabolan (Negma); wfm

Trenbolone hexahydrobenzyl carbonate

ATC: A14
Use: anabolic

RN: 23454-33-3 MF: $C_{26}H_{34}O_4$ MW: 410.55 EINECS: 245-669-1
CN: (17β)-17-[[(cyclohexylmethoxy)carbonyl]oxy]estra-4,9,11-trien-3-one



Reference(s):
FR-M 5 979 (Roussel-Uclaf; appl. 17.11.1966).

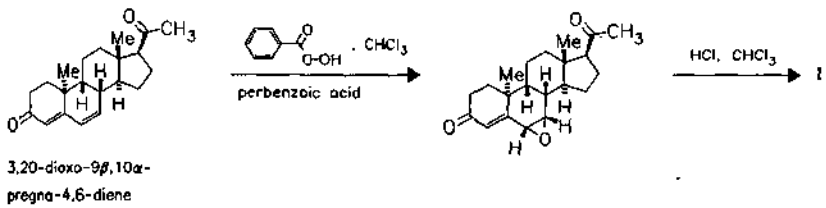
Formulation(s): amp. 50 mg

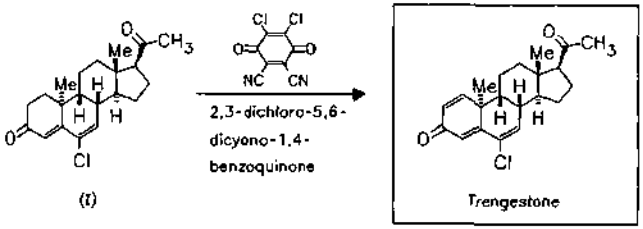
Trade Name(s):
F: Hexabolan (Phartec); wfm Parabolan (Negma); wfm

Trengestone

ATC: G03
Use: progestogen

RN: 5192-84-7 MF: $C_{21}H_{25}ClO_2$ MW: 344.88 EINECS: 225-978-8
CN: (9β,10α)-6-chloropregna-1,4,6-triene-3,20-dione





Reference(s):
US 3 422 122 (North American Philips; 14.1.1969; prior. 7.10.1966, 25.9.1964, 12.6.1962; GB-prior. 29.6.1964).
BE 652 597 (Philips Gloeilampenfabrieken; appl. 2.12.1964; GB-prior. 29.6.1964).

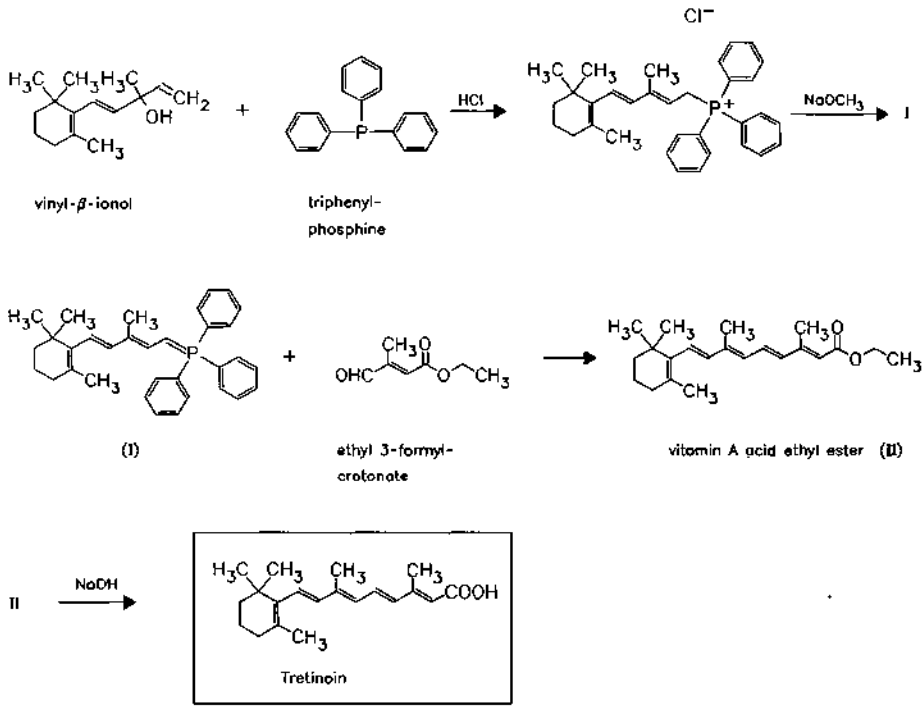
Trade Name(s):
USA: Retrone (Hoffmann-La Roche); wfm

Tretinoin

(Retinoic acid; Vitamin-A acid)

ATC: D10AD01; L01XX14
Use: acne therapeutic, keratolytic

RN: 302-79-4 MF: $C_{20}H_{28}O_2$ MW: 300.44 EINECS: 206-129-0
LD_{50}: 92 mg/kg (M, i.v.); 780 mg/kg (M, p.o.);
78 mg/kg (R, i.v.); 1960 mg/kg (R, p.o.)
CN: (*all-E*)-3,7-dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraenoic acid



(cf. retinol synthesis according to BASF)

Reference(s):
DE 1 035 647 (BASF; appl. 17.1.1957).
US 3 006 939 (BASF; 31.10.1961; D-prior. 17.1.1957).
Pommer, H.: Angew. Chem. (ANCEAD) **72**, 811 (1960); **89**, 437 (1977).
König, H. et al.: Arzneim.-Forsch. (ARZNAD) **24**, 1184 (1974).

Formulation(s): cream 25 mg/100 g, 50 mg/100g, 100 mg/100 g; cps. 10 mg; gel 25 mg/100 g, 50 mg/100 g; sol. 50 mg/100 ml, 100 mg/100 ml

Trade Name(s):

D:
Cordes VAS (Ichthyol)
Epi-Aberel (Janssen-Chemie)
Eudyna (Knoll)
Vesanoid (Roche)

F:
Abérel (Janssen-Cilag)
Antibio-aberel (Janssen-Cilag)-comb.
Effederm (CS)
Isotrex (Stiefel)
Kerlocal (Pierre Fabre)
Kétrel (Biorga)
Locacid (Pierre Fabre Dermatologie)
Retacnyl (Galderma)
Retin A (Janssen-Cilag)
Retinova (Roc)
Retitop (La Roche-Posay)
Roaccutane (Roche)
Trétinoïne Kéfrane (Roc)
Vesanoid (Roche)

GB:
Retin-A (Janssen-Cilag)
Retinova (Janssen-Cilag)
Vesanoid (Roche)

I:
Airol (Roche)
Apsor (IDI)-comb.
Retin-A (Janssen-Cilag)
Tretionina (Savoma)
Vesanoid (Roche)

J:
Vesanoid (Nippon Roche)

USA:
Avita (Penederm)
Renova (Ortho Dermatological)
Retin-A (Ortho Dermatological)
Vesanoid (Roche)

Tretoquinol
(Trimethoquinol)

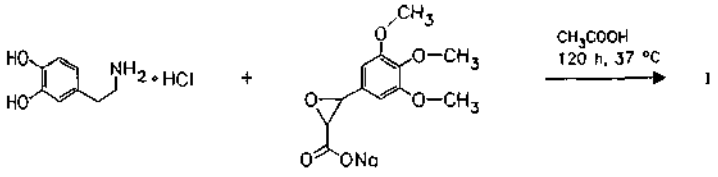
ATC: R03AC09; R03CC09
Use: bronchodilator

RN: 30418-38-3 MF: $C_{19}H_{23}NO_5$ MW: 345.40
CN: (*S*)-1,2,3,4-tetrahydro-1-[(3,4,5-trimethoxyphenyl)methyl]-6,7-isoquinolinediol

hydrochloride

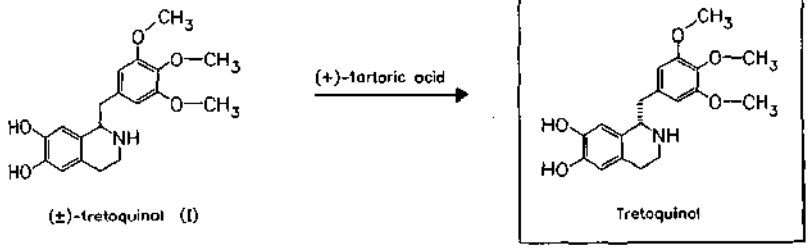
RN: 18559-59-6 MF: $C_{19}H_{23}NO_5 \cdot HCl$ MW: 381.86 EINECS: 242-423-5

LD_{50}: 120 mg/kg (M, i.v.); 2250 mg/kg (M, p.o.);
164 mg/kg (R, i.v.); 2 g/kg (R, p.o.);
160 mg/kg (dog, i.v.)



dopamine hydrochloride (q. v.)

3-(3,4,5-trimethoxyphenyl)glycidic acid sodium salt



(±)-tretoquinol (I)

Reference(s):

GB 1 114 660 (Tanabe Seiyaku; appl. 5.12.1966; J-prior. 8.12.1965, 9.6.1966, 22.7.1966).
ZA 6 802 416 (Tanabe Seiyaku; 11.9.1968; J-prior. 27.4.1967).

Formulation(s): powder 1 %, tabl. 3 mg; vial 0.1 mg (as hydrochloride)

Trade Name(s):

I: Vems (ISF); wfm Vems (Searle); wfm J: Inolin (Tanabe)

Triamcinolone

ATC: A01AC01; D07AB09; D07BB03; D07CB01; D07XB02; H02AB08; S01BA05; S02CA04

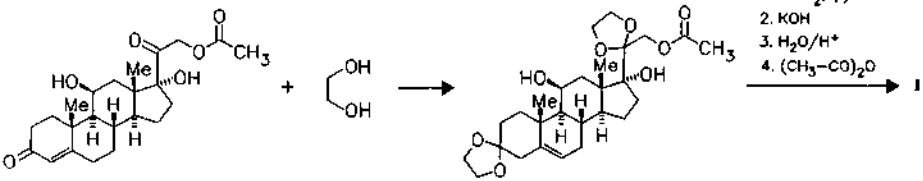
Use: glucocorticoid

RN: 124-94-7 MF: $C_{21}H_{27}FO_6$ MW: 394.44 EINECS: 204-718-7

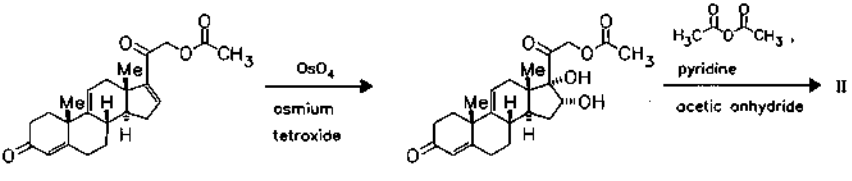
LD_{50}: >4 g/kg (M, s.c.);
99 mg/kg (R, s.c.)

CN: (11β,16α)-9-fluoro-11,16,17,21-tetrahydroxypregna-1,4-diene-3,20-dione

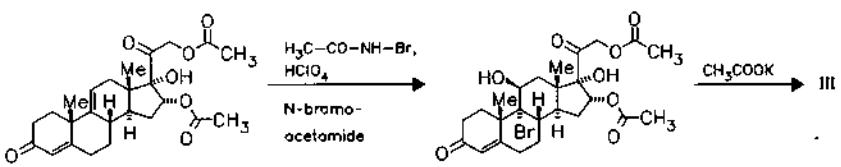
a)



cortisol 21-acetate + ethylene glycol



(I)



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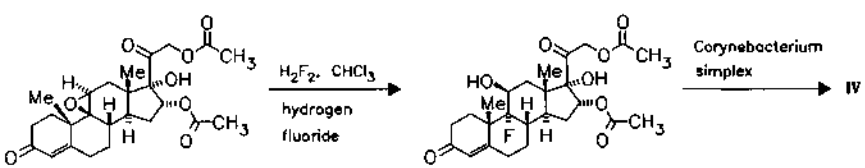
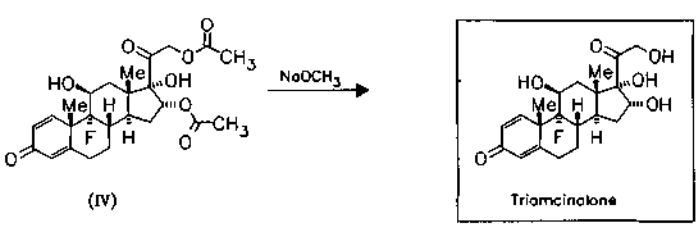
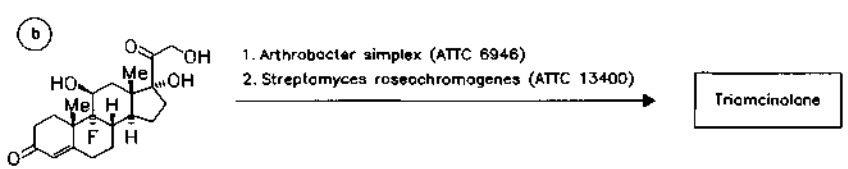


(III)



(IV)

b)



fludrocortisone (q. v.)

Reference(s):

a US 2 789 118 (American Cyanamid; 16.4.1957; prior. 30.3.1956).
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **78**, 5693 (1956).
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1689 (1959).

b US 3 536 586 (Squibb; 27.10.1970; prior. 25.1.1958).

Formulation(s): tabl. 2 mg, 4 mg, 8 mg, 16 mg

Trade Name(s):

D: Berlicort (Berlin-Chemie)
Delphicort Tabl. (Lederle)
Triam-oral 4 (Sanorania)
Volon Tabl. (Bristol-Myers Squibb)

GB: Ledercort (Lederle); wfm

I: Diralist (Teofarma)-comb.
Ipercortis (AGIPS)
Kenacort-A Retard (Bristol-Myers Squibb)
Ledercort (Wyeth-Lederle)
Ledercort A/10 (Wyeth-Lederle)
Ledercort P8 (Wyeth-Lederle)
combination preparations

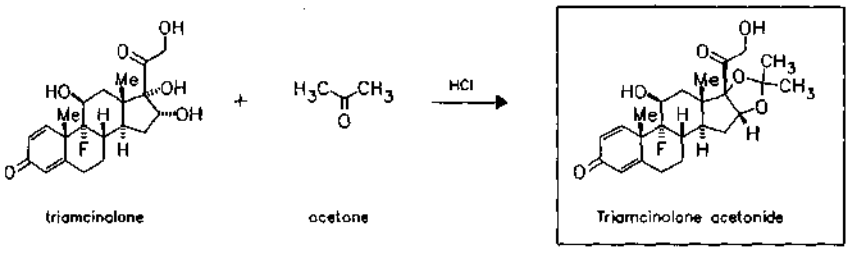
J: Kenacort (Squibb-Sankyo)
Ledercort (Lederle)

USA: Aristocort (Fujisawa)

Triamcinolone acetonide

ATC: D07AB09
Use: glucocorticoid

RN: 76-25-5 MF: $C_{24}H_{31}FO_6$ MW: 434.50 EINECS: 200-948-7
LD_{50}: 5 g/kg (M, p.o.)
CN: (11β,16α)-9-fluoro-11,21-dihydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



Reference(s):

US 2 990 401 (American Cyanamid; 27.6.1961; appl. 18.6.1958; prior. 11.3.1958).
Bernstein, S. et al.: J. Am. Chem. Soc. (JACSAT) **81**, 1689 (1959).
Heller, M. et al.: J. Org. Chem. (JOCEAH) **26**, 5044 (1961).
Fried, J. et al.: J. Am. Chem. Soc. (JACSAT) **80**, 2338 (1958).

Formulation(s): amp. 40 mg/ml; cream 1 mg/g; ointment 1 mg/g; spray 3 mg/25 g; susp. 10 mg/ml, 40 mg/ml

Trade Name(s):

D: Arutrin (Chauvin ankerpharm)
Berlicort (Berlin-Chemie)
Delphicort Creme/Salbe (Lederle)
Extracort Creme (Galderma)
Kenalog (Bristol-Myers Squibb)
Korticoid ratiopharm (ratiopharm)
Triamcinolon Wolff (Wolff)
Triam Creme Lichtenstein (Lichtenstein)
Triamgalen (Pharmagalen)
Triamhexal (Hexal)
Triam-Injekt (Sanorania)
Tri-Anemul (Medopharm)
Volon (Bristol-Myers Squibb)
Volonimat (Bristol-Myers Squibb)
combination preparations

F: Cidermex (Evans Medical)-comb.
Corticotulle Lumière (Solvay Pharma)-comb.
Kenacort-retard (Bristol-Myers Squibb)
Kenalcol (Bristol-Myers Squibb)-comb.
Localone (Pierre Fabre Dermatologie)-comb.
Mycolog (Bristol-Myers Squibb)-comb.
Nasacort (Specia)
Pevisone (Janssen-Cilag)-comb.

GB: Adcortyl (Bristol-Myers Squibb)
Audicort (Wyeth)-comb.
Aureocort (Wyeth)-comb.
Kenalog (Bristol-Myers Squibb)
Nasacort (Rhône-Poulenc Rorer)
Nystadermal (Bristol-Myers Squibb)-comb.
Pevaryl TC (Janssen-Cilag)-comb.
Tri-adcortyl (Bristol-Myers Squibb)-comb.

I: Assocort (Bristol-Myers Squibb)-comb.
Aureocort (Wyeth-Lederle)-comb.
Kataval (Wyeth-Lederle)-comb.
Kenacort A Retard (Bristol-Myers Squibb)
Ledercort A/10 (Wyeth-Lederle)
Neo-audiocort (Wyeth-Lederle)-comb.
Pevisone (Janssen-Cilag)-comb.

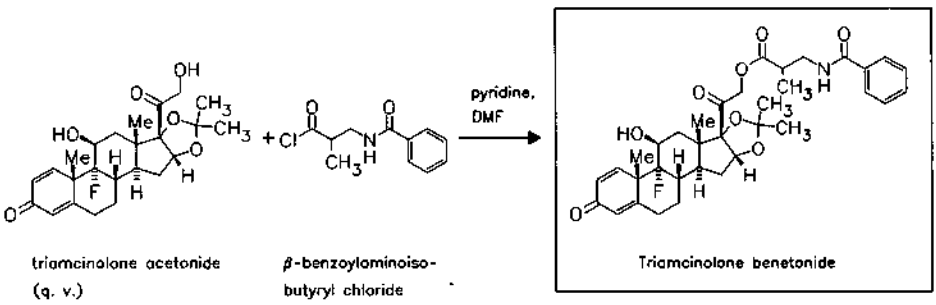
J: Kenacort-A (Squibb-Sankyo)
Ledercort N (Lederle)
Rineton (Sanwa)
Tricinolon (Toko Yakuhin Osaka)

USA: Aristocort (Fujisawa)
Myco-Triacet (Teva)-comb.
Myrtrex F (Savage)
Nasacort (Rhône-Poulenc Rorer)
Tac-3 (Parnell)
Triacet (Teva)

Triamcinolone benetonide

ATC: D07AB09; D07CB01
Use: glucocorticoid

RN: 31002-79-6 MF: $C_{35}H_{42}FNO_8$ MW: 623.72 EINECS: 250-427-3
CN: (11β,16α)-21-[3-(benzoylamino)-2-methyl-1-oxopropoxy]-9-fluoro-11-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione



Reference(s):
DOS 2 047 218 (Sigma-Tau; appl. 25.9.1970; I-prior. 31.10.1969).

Formulation(s): cream 0.075 %

Trade Name(s):
F: Tibicorten (Stiefel); wfm

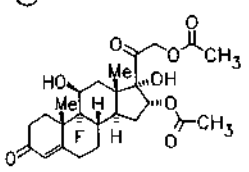
I: Tibicorten F (Sigma-Tau)-comb.; wfm

Triamcinolone diacetate

ATC: A01AC01; H02AB08
Use: glucocorticoid

RN: 67-78-7 MF: $C_{25}H_{31}FO_8$ MW: 478.51 EINECS: 200-669-0
CN: (11β,16α)-16,21-bis(acetyloxy)-9-fluoro-11,17-dihydroxypregna-1,4-diene-3,20-dione

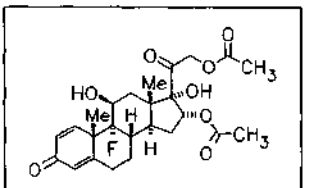
a



16α,21-diacetoxy-11β,17-dihydroxy-3,20-dioxo-9-fluoro-4-pregnene
(cf. triamcinolone synthesis)

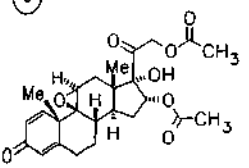
1. SeO_2, $(CH_3)_3COH$
or
2. Corynebacterium simplex

1. selenium dioxide, tert-butanol



Triamcinolone diacetate

b



16α,21-diacetoxy-3,20-dioxo-17-hydroxy-9β,11β-epoxy-1,4-pregnadiene
(cf. triamcinolone synthesis)

H_2F_2, $CHCl_3$
hydrogen fluoride

Triamcinolone diacetate

Reference(s):

a1 DE 1 096 900 (American Cyanamid; appl. 1959; USA-prior. 1958).
GB 835 836 (American Cyanamid; valid from 1958; USA-prior. 1957).
a2 GB 824 351 (American Cyanamid; valid from 1956; USA-prior. 1956).
b GB 851 501 (American Cyanamid; valid from 1958; USA-prior. 1957).

Formulation(s): amp. 25 mg, 40 mg; susp.. 25 mg/ml, 40 mg/ml

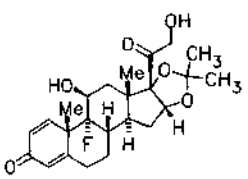
Trade Name(s):

D:	Delphicort (Lederle)	F:	Tédarol (Specia); wfm	J:	Ledercort inj. (Lederle)
	Delphimix (Lederle)-comb.	I:	Ledercort (Cyanamid)	USA:	Aristocorte (Fujisawa)

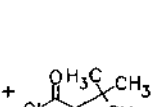
Triamcinolone hexacetonide

ATC: A01AC01
Use: glucocorticoid

RN: 5611-51-8 MF: $C_{30}H_{41}FO_7$ MW: 532.65 EINECS: 227-031-4
CN: (11β,16α)-21-(3,3-dimethyl-1-oxobutoxy)-9-fluoro-11-hydroxy-16,17-[(1-methylethylidene)bis(oxy)]pregna-1,4-diene-3,20-dione

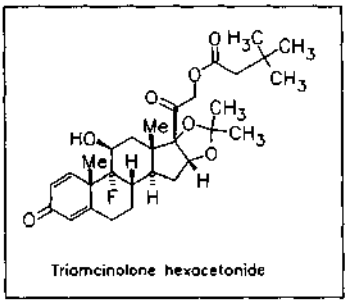


triamcinolone acetonide (I) (q. v.)

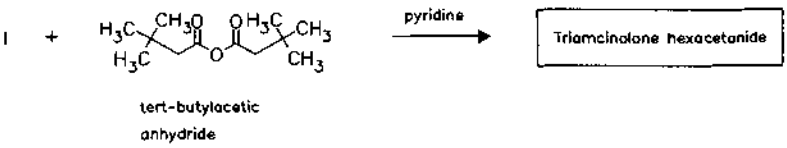


tert-butylacetyl chloride

pyridine



Triamcinolone hexacetonide



Reference(s):
DOS 2 317 954 (J. Zaklady Farm. "Polfa"; appl. 10.4.1973; P-prior. 21.4.1972).

injection suspension:
US 3 457 348 (American Cyanamid; 22.7.1969; prior. 27.6.1966).

review:
Zbinovsky, V.; Chrekian, G.P.: Anal. Profiles Drug Subst. (APDSB7) **6**, 579 (1977).

Formulation(s): amp. 5 mg/ml, 20 mg/ml

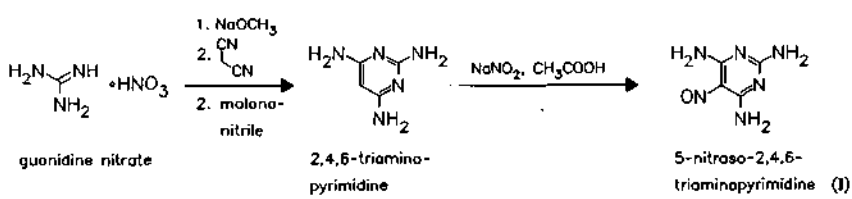
Trade Name(s):

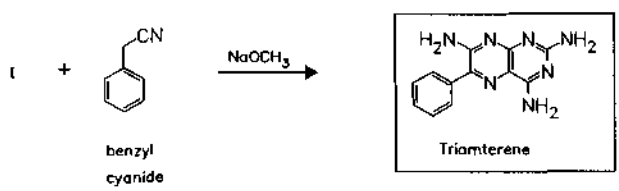
D:	Lederlon 5/20 (Lederle)	F:	Hexatrione longue durée (Wyeth-Lederle)	GB:	Lederspan (Wyeth)
				USA:	Aristospan (Fujisawa)

Triamterene

ATC: C03DB02
Use: diuretic

RN: 396-01-0 MF: $C_{12}H_{11}N_7$ MW: 253.27 EINECS: 206-904-3
LD_{50}: 25.077 mg/kg (M, i.v.); 285 mg/kg (M, p.o.);
400 mg/kg (R, p.o.)
CN: 6-phenyl-2,4,7-pteridinetriamine





Reference(s):
US 3 081 230 (Smith Kline & French; 12.3.1963; prior. 8.9.1960).
Spickett, R.G.W.; Timmis, G.M.: J. Chem. Soc. (JCSOA9) **1954**, 2887.
FR-M 1 014 (Smith Kline & French; appl. 5.11.1960).

5-nitroso-2,4,6-triaminopyrimidine:
Sato et al.: Nippon Kagaku Zasshi (NPKZAZ) **72**, 866 (1951).

improved method:
US 4 145 548 (Henkel; 20.3.1979; D-prior. 12.11.1976).
DOS 2 651 794 (Henkel; appl. 12.11.1976).

combination with cyclothiazide:
GB 1 547 826 (Roussel-Uclaf; appl. 31.3.1976; F-prior. 11.4.1975).

combination with verapamil:
DOS 2 658 500 (Röhm Pharma; appl. 23.12.1976).
US 4 157 394 (Röhm Pharma; 5.6.1979; D-prior. 23.12.1976).

Formulation(s): cps. 50 mg, 100 mg; drg. 50 mg; tabl. 50 mg, 75 mg

Trade Name(s):

D: Diutensat (Azupharma)-comb.
Diuretikum Verla (Verla)-comb.
duradiuret (durachemie)-comb.
Dytide (Procter & Gamble)-comb.
Esiteren (Novartis Pharma)-comb.
Hypertorr (Henning Berlin)-comb.
Jatropur (Röhm Pharma)
Jenateren (Jenapharm)-comb.
Nephral (Pfleger)-comb.
SALI-PUREN (Isis Puren)-comb.
Thiazid-Wolff (Wolff)-comb.
Triampur (ASTA Medica AWD)-comb.
Triarese (Hexal)-comb.
Triazid (ct-Arzneimittel)-comb.
Tri-Thiazid Stada (Stada)
Turfa-BASF (BASF Generics)-comb.
numerous combination preparations

F: Cyclotériam (Roussel)-comb.
Isobar (Jacques Logeais)-comb.
Prestole (Pharmafarm)-comb.

GB: Dyazide (SmithKline Beecham)-comb.
Dytac (Pharmark)
Dytide (Pharmark)-comb.
Frusene (Orion)-comb.
Kalspare (Dominion)-comb.
Triam Co (Baker Norton)-comb.

I: Fluss 40 (Hoechst Marion Roussel)-comb.

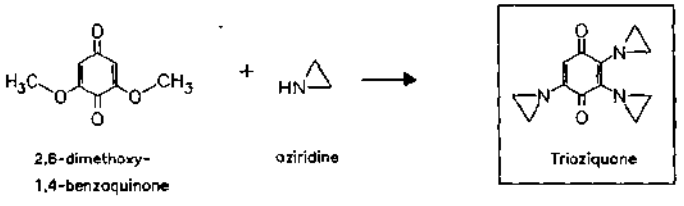
J: Amteren (Sanko)
Diarrol (Nippon Shoji)
Diucelpin (Eisai)
Diurene (Hokuriku)
Diuteren (Showa)
Hidiurese (Nichiiko)
Masuharmin (Fuso)
Reviten (Tokyo Tanabe)
Tricilone (Vangard)-comb.
Trispan (Yamanouchi)
Triteren (Sumitomo)
Triurene (Kanto)

USA: Dyazide (SmithKline Beecham)
Dyrenium (SmithKline Beecham)
Maxzide (Bertek)
generics

Triaziquone

ATC: L01AC02
Use: antineoplastic

RN: 68-76-8 MF: $C_{12}H_{13}N_3O_2$ MW: 231.26 EINECS: 200-692-6
LD_{50}: 500 µg/kg (R, i.v.)
CN: 2,3,5-tris(1-aziridinyl)-2,5-cyclohexadiene-1,4-dione



Reference(s):
US 2 976 279 (Schenley Ind.; 21.3.1961; D-prior. 14.3.1957).

Trade Name(s):

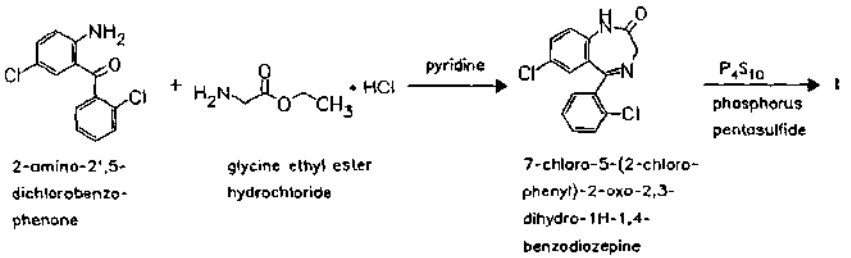
D: Trenimon (Bayer); wfm

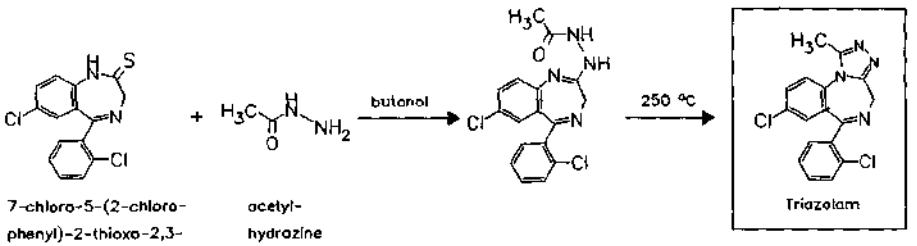
GB: Trenimon (Bayer); wfm

Triazolam

ATC: N05CD05
Use: hypnotic, sedative

RN: 28911-01-5 MF: $C_{17}H_{12}Cl_2N_4$ MW: 343.22 EINECS: 249-307-3
LD_{50}: 1080 mg/kg (M, p.o.);
>7500 mg/kg (R, p.o.)
CN: 8-chloro-6-(2-chlorophenyl)-1-methyl-4*H*-[1,2,4]triazolo[4,3-*a*][1,4]benzodiazepine





Reference(s):
DOS 2 012 190 (Upjohn; appl. 14.3.1970; USA-prior. 17.3.1969, 29.10.1969).
US 3 987 052 (Upjohn; 19.10.1976; prior. 17.3.1969, 29.10.1969).
US 3 980 790 (Upjohn, 14.9.1976; appl. 4.8.1972; prior. 29.3.1971).

thiono-intermediate:
Archer, G.A.; Sternbach, L.H.: J. Org. Chem. (JOCEAH) **29**, 231 (1964).
US 3 422 091 (Roche; 14.1.1969; prior. 21.6.1962, 10.7.1962).

alternative syntheses:
DOS 2 203 782 (Upjohn; appl. 27.1.1972; USA-prior. 9.2.1971).
DOS 2 302 525 (Upjohn; appl. 19.1.1973; USA-prior. 31.1.1972).

Formulation(s): tabl. 0.125 mg, 0.25 mg

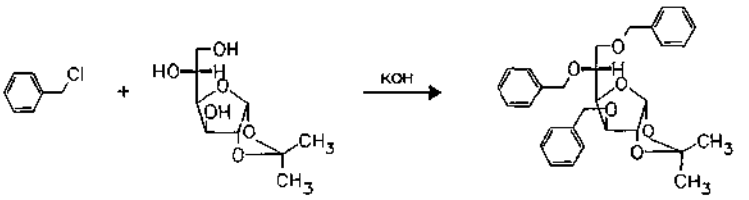
Trade Name(s):

D:	Halcion (Pharmacia & Upjohn; 1979)	GB:	Halcion (Upjohn); wfm	J:	Halcion (Upjohn-Sumitomo)
F:	Halcion (Pharmacia & Upjohn; 1980)	I:	Halcion (Pharmacia & Upjohn) Songar (Valeas)	USA:	Halcion (Pharmacia & Upjohn; 1982)

Tribenoside

ATC: C05AX05; C05CX01
Use: vein therapeutic, sclerosing agent

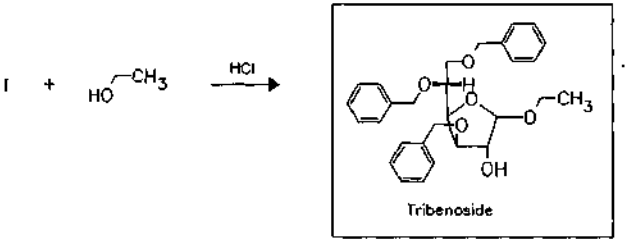
RN: 10310-32-4 MF: $C_{29}H_{34}O_6$ MW: 478.59 EINECS: 233-687-2
LD_{50}: >30 g/kg (M, p.o.);
>20 g/kg (R, p.o.)
CN: ethyl 3,5,6-tris-*O*-(phenylmethyl)-D-glucofuranoside



benzyl chloride

1,2-O-isopropylidene-α-D-glucofuranose

(I)



Reference(s):
US 3 157 634 (Ciba; 17.11.1964; CH-prior. 10.1.1959, 6.11.1959, 30.11.1959).

Formulation(s): cps. 400 mg; cream 5 %; drg. 200 mg; suppos. 400 mg

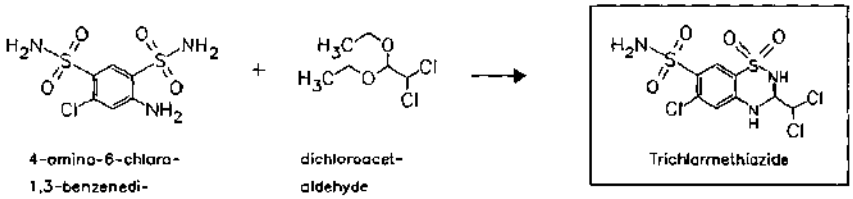
Trade Name(s):

D:	Glyvenol (Ciba); wfm	J:	Glurenol (Ciba-Geigy)	USA:	Glyvenol (Ciba-Geigy); wfm
F:	Glycénol (Ciba); wfm		Hemocuron (Takeda)		
I:	Venalisin (AGIPS)				

Trichlormethiazide

ATC: C03AA06
Use: diuretic, antihypertensive

RN: 133-67-5 MF: $C_8H_8Cl_3N_3O_4S_2$ MW: 380.66 EINECS: 205-118-8
LD_{50}: 750 mg/kg (M, i.v.); 2600 mg/kg (M, p.o.);
920 mg/kg (R, i.v.); 5600 mg/kg (R, p.o.)
CN: 6-chloro-3-(dichloromethyl)-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide



Reference(s):
GB 949 373 (Scherico; appl. 2.3.1960; USA-prior. 2.3.1959, 15.7.1959).
DAS 1 147 233 (Ciba; appl. 4.10.1960; USA-prior. 8.10.1959, 16.10.1959).
BE 576 304 (Ciba; appl. 3.3.1959; USA-prior. 3.3.1958).

alternative syntheses:
US 3 264 292 (Abbott; 2.8.1966; appl. 3.11.1958).
GB 954 023 (Scherico; appl. 11.5.1960; USA-prior. 25.4.1960).
Sherlock, M.H. et al.: Experientia (EXPEAM) **16**, 184 (1960).
Stevens, G. de et al.: Experientia (EXPEAM) **16**, 113 (1960).

Formulation(s): tabl. 2 mg, 4 mg in comb. with amiloride hydrochloride

Trade Name(s):

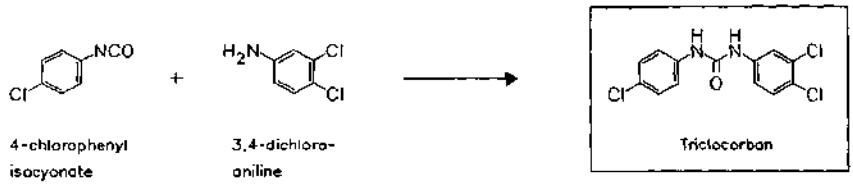
D:	Esmalorid (Merck)-comb.		Fluitran (Shionogi)	Metatensin (Merrell Dow)-comb.; wfm
I:	Fluitran (Essex); wfm		Intromene (Teikoku)	Metatensin (Merrell-National)-comb.; wfm
	Fluitran (Sca); wfm		Sanamiron (Zensei)	Naqua (Schering); wfm
	Triclordiuride (Formenti); wfm		Tachionin (San-a)	Naquival (Schering)-comb.; wfm
J:	Achletin (Toyama)	USA:	Tolcasone (Toho)	Triazide (Legere); wfm
	Anatran (Tobishi)		Metahydrin (Merrell Dow); wfm	
	Anistadin (Maruko)		Metahydrin (Merrell-National); wfm	
	Carvacron (Taiyo)			

Triclocarban

(Trichlorcarbanilide)

ATC: D08AX
Use: bacteriostatic, cutanous antiseptic, germicidal agent, disinfectant

RN: 101-20-2 MF: $C_{13}H_9Cl_3N_2O$ MW: 315.59 EINECS: 202-924-1
LD_{50}: >34.6 g/kg (R, p.o.)
CN: *N*-(4-chlorophenyl)-*N'*-(3,4-dichlorophenyl)urea



Reference(s):
US 2 818 390 (Monsanto; 1957; appl. 1954).

Formulation(s): emulsion 250 mg/100 ml; powder 1 %

Trade Name(s):

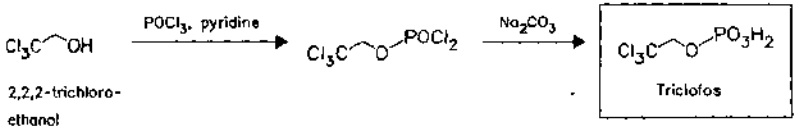
D:	Ansudor (Galderma)-comb.	Septivon (Chefaro-Ardeval)-comb.	GB:	Cutisan (Dales); wfm	
F:	Cutisan (Boots Healthcare)	Solubacter (Boots Healthcare)	I:	Citrosil (Manetti Roberts)	
	Nobacter (Boots Healthcare)			Sangen (Boots H.M. VITI)	

Triclofos

ATC: N05CM07
Use: hypnotic

RN: 306-52-5 MF: $C_2H_4Cl_3O_4P$ MW: 229.38 EINECS: 206-185-6
LD_{50}: 850 mg/kg (M, p.o.);
850 mg/kg (R, p.o.)
CN: 2,2,2-trichloroethanol dihydrogen phosphate

monosodium salt
RN: 7246-20-0 MF: $C_2H_3Cl_3NaO_4P$ MW: 251.37 EINECS: 230-652-3
LD_{50}: 1400 mg/kg (M, p.o.);
1900 mg/kg (R, p.o.)



Reference(s):
BE 623 216 (Glaxo; appl. 4.10.1962; GB-prior. 5.10.1961).

Formulation(s): syrup 500 mg/5 ml

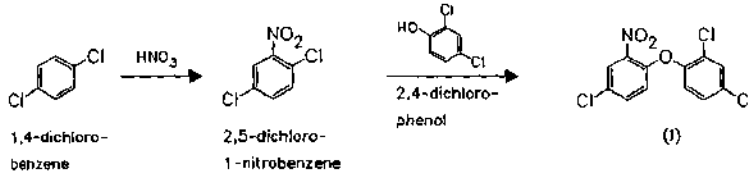
Trade Name(s):

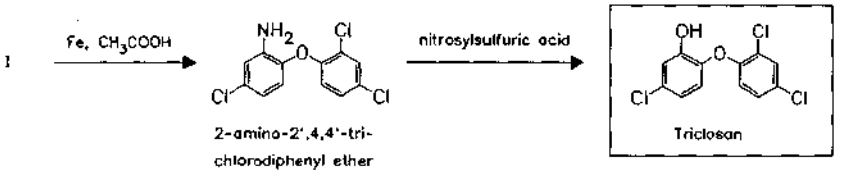
GB:	Tricloryl (Glaxo); wfm	USA:	Triclos (Lakeside); wfm		Triclos (Merrell Dow); wfm
J:	Tricloryl (Torii)				

Triclosan
(Cloxifenol)

ATC: D08AE04; D09AA06
Use: antiseptic

RN: 3380-34-5 MF: $C_{12}H_7Cl_3O_2$ MW: 289.55 EINECS: 222-182-2
LD_{50}: 4530 mg/kg (M, p.o.);
29 mg/kg (R, i.v.); 3700 mg/kg (R, p.o.)
CN: 5-chloro-2-(2,4-dichlorophenoxy)phenol





Reference(s):
US 3 506 720 (Geigy; 14.4.1970; CH-prior. 22.2.1963).

Formulation(s): cream 10 mg/gsol. 0.1 g/100 g

Trade Name(s):

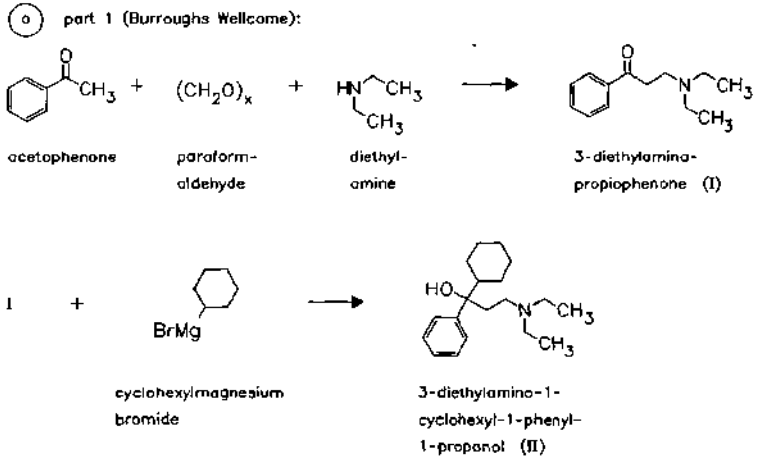
D:	Rutisept (Henkel)-comb.		Oilatum Plus (Stiefel)-comb.		Irgaman (Hoechst Marion Merrell)
	Sicorten Creme (Novartis Pharma)-comb.		Ster-Zac Bath Conc. (Seton)	USA:	Clearasil Antibac. Soap (Vicks); wfm
GB:	Aquasept (Seton)				Sulfur-8 Shampoo (Plough); wfm
	Manusept (Seton)	I:	Dopo Pik (Tipomark)		
			Gampphen (Ethicon)		

Tridihexethyl chloride

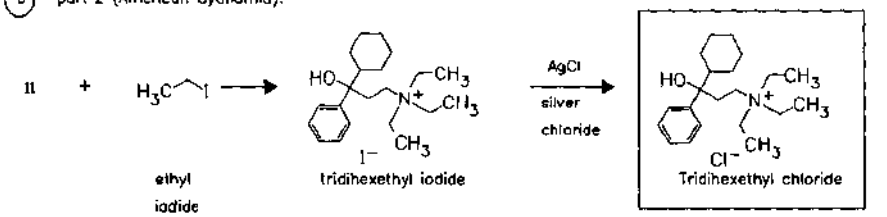
ATC: A03AB08
Use: anticholinergic, antispasmodic

RN: 4310-35-4 MF: $C_{21}H_{36}ClNO$ MW: 353.98 EINECS: 224-323-3
LD_{50}: 103 mg/kg (M, i.p.)
CN: γ-cyclohexyl-*N*,*N*,*N*-triethyl-γ-hydroxybenzenepropanaminium chloride

iodide
RN: 125-99-5 MF: $C_{21}H_{36}INO$ MW: 445.43 EINECS: 204-762-7
LD_{50}: 18 mg/kg (M, i.v.); 570 mg/kg (M, p.o.);
27 mg/kg (R, i.v.); 1100 mg/kg (R, p.o.)
hydroxide
RN: 511-43-3 MF: $C_{21}H_{37}NO_2$ MW: 335.53



b part 2 (American Cyanamid):



Reference(s):
a US 2 698 325 (Burroughs Wellcome; 1954; prior. 1948).
b US 2 913 494 (American Cyanamid; 17.11.1959; prior. 2.10.1957).

Formulation(s): tabl. 25 mg (as chloride)

Trade Name(s):
I: Duoseteil (Dessy); wfm
J: Pathilon (Lederle)
USA: Pathibamate (Lederle)-comb.; wfm
Pathilon (Lederle); wfm

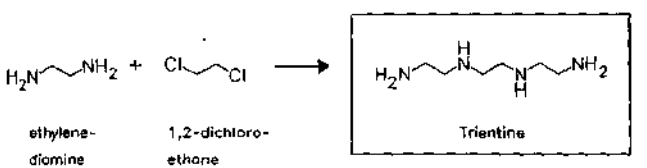
Trientine

(TECZA; TETA)

ATC: V03A
Use: chelating agent for treatment of Wilson's disease

RN: 112-24-3 MF: $C_6H_{18}N_4$ MW: 146.24 EINECS: 203-950-6
LD_{50}: 350 mg/kg (M, i.v.); 1600 mg/kg (M, p.o.); 2500 mg/kg (R, p.o.)
CN: *N,N'*-bis(2-aminoethyl)-1,2-ethanediamine

dihydrochloride
RN: 38260-01-4 MF: $C_6H_{18}N_4 \cdot 2HCl$ MW: 219.16 EINECS: 253-854-3
LD_{50}: 2285 mg/kg (R, p.o.)



Reference(s):
Alphen, J. van: Recl. Trav. Chim. Pays-Bas (RTCPA3) **55**, 412 (1936).
Hoffmann, A.W. von: Chem. Ber. (CHBEAM) **23**, 3711 (1890).
Jones, G.D. et al.: J. Org. Chem. (JOCEAH) **9**, 125 (1944).

Formulation(s): cps. 250 mg (as dihydrochloride)

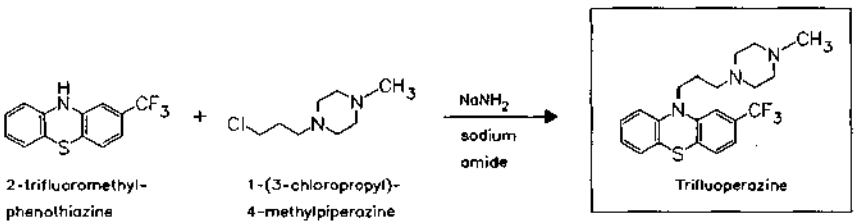
Trade Name(s):
J: Metalite (Tsumura Juntendo)
USA: Syprine (Merck)

Trifluoperazine

ATC: N05AB06
Use: neuroleptic

RN: 117-89-5 MF: $C_{21}H_{24}F_3N_3S$ MW: 407.50 EINECS: 204-219-4
LD_{50}: 29 mg/kg (M, i.v.); 1350 mg/kg (M, p.o.)
CN: 10-[3-(4-methyl-1-piperazinyl)propyl]-2-(trifluoromethyl)-10*H*-phenothiazine

dihydrochloride
RN: 440-17-5 MF: $C_{21}H_{24}F_3N_3S \cdot 2HCl$ MW: 480.43 EINECS: 207-123-0
LD_{50}: 82 mg/kg (M, i.v.); 424 mg/kg (M, p.o.);
543 mg/kg (R, p.o.)



Reference(s):
US 2 921 069 (Smith Kline & French; 12.1.1960; prior. 9.4.1956).
DE 1 095 836 (Squibb; appl. 8.12.1956; USA-prior. 23.12.1955, 12.7.1956).
Craig, P.N. et al.: J. Org. Chem. (JOCEAH) **22**, 709 (1959).
DE 1 165 034 (Smith Kline & French; appl. 29.9.1956; USA-prior. 9.4.1956).

Formulation(s): cps. 2.36 mg; f. c. tabl. 1 mg, 2 mg, 5 mg, 10 mg; vial 2 mg/ml, 10 mg/ml (as dihydrochloride)

Trade Name(s):

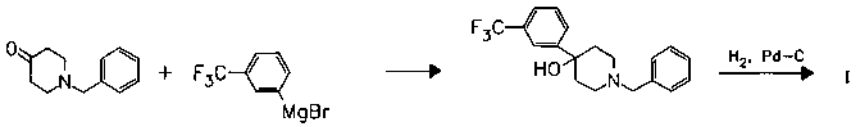
D:	Jatroneural (Procter & Gamble)		Stelazine (SmithKline Beecham)	J:	Normaln P (Sawai)
F:	Terfluzine (Spécia)	I:	Modalina (Sanofi Winthrop)		Tranquis (Sumitomo)
GB:	Parstelin (SmithKline Beecham)-comb.		Parmodalin (Sanofi Winthrop)-comb.		Trifluoperazine (Yoshitomi)
				USA:	Stelazine (SmithKline Beecham)

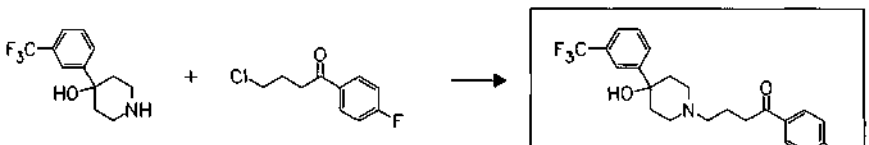
Trifluperidol

ATC: N05AD02
Use: neuroleptic

RN: 749-13-3 MF: $C_{22}H_{23}F_4NO_2$ MW: 409.42
LD_{50}: 26 mg/kg (M, i.v.); 110 mg/kg (M, p.o.);
14 mg/kg (R, i.v.); 140 mg/kg (R, p.o.)
CN: 1-(4-fluorophenyl)-4-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-butanone

hydrochloride
RN: 2062-77-3 MF: $C_{22}H_{23}F_4NO_2 \cdot HCl$ MW: 445.88 EINECS: 218-170-1
LD_{50}: 17.4 mg/kg (M, i.v.); 99 mg/kg (M, p.o.);
14 mg/kg (R, i.v.)





Reference(s):
GB 895 309 (Janssen; appl. and prior. 18.11.1959; valid from 17.11.1960).

Formulation(s): amp. 2.5 mg; drops 1 mg/ml (as hydrochloride)

Trade Name(s):

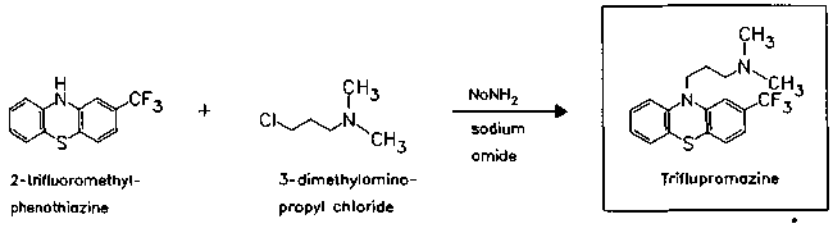
D:	Triperidol (Janssen-Cilag)	I:	Psicoperidol (Lusofarmaco)	USA:	Triperidol (McNeil); wfm
F:	Triperidol (Janssen-Cilag)	J:	Triperidol (Yoshitomi)		
GB:	Triperidol (Janssen); wfm				

Triflupromazine
(Fluopromazine)

ATC: N05AA05
Use: neuroleptic

RN: 146-54-3 MF: $C_{18}H_{19}F_3N_2S$ MW: 352.42 EINECS: 205-673-6
LD_{50}: 34 mg/kg (M, i.v.); 245 mg/kg (M, p.o.);
185 mg/kg (R, p.o.)
CN: *N,N*-dimethyl-2-(trifluoromethyl)-10*H*-phenothiazine-10-propanamine

monohydrochloride
RN: 1098-60-8 MF: $C_{18}H_{19}F_3N_2S \cdot HCl$ MW: 388.89 EINECS: 214-149-6
LD_{50}: 34 mg/kg (M, i.v.); 254 mg/kg (M, p.o.);
17 mg/kg (dog, i.v.)



Reference(s):
DE 1 095 836 (Squibb; appl. 8.12.1956; USA-prior. 23.12.1955, 12.7.1956).
US 2 921 069 (Smith Kline & French; 12.1.1960; prior. 9.4.1956).
Duhm, B. et al.: Z. Naturforsch. Teil B: Anorg. Chem., Org. Chem., Biochem., Biophys., Biol. (ZENBAX) **13**, 756 (1958).
Craig, P.N. et al.: J. Org. Chem. (JOCEAH) **22**, 709 (1959).

Formulation(s): amp. 10 mg/ml, 20 mg/ml; drg. 10 mg, 25 mg; suppos. 70 mg (as hydrochloride)

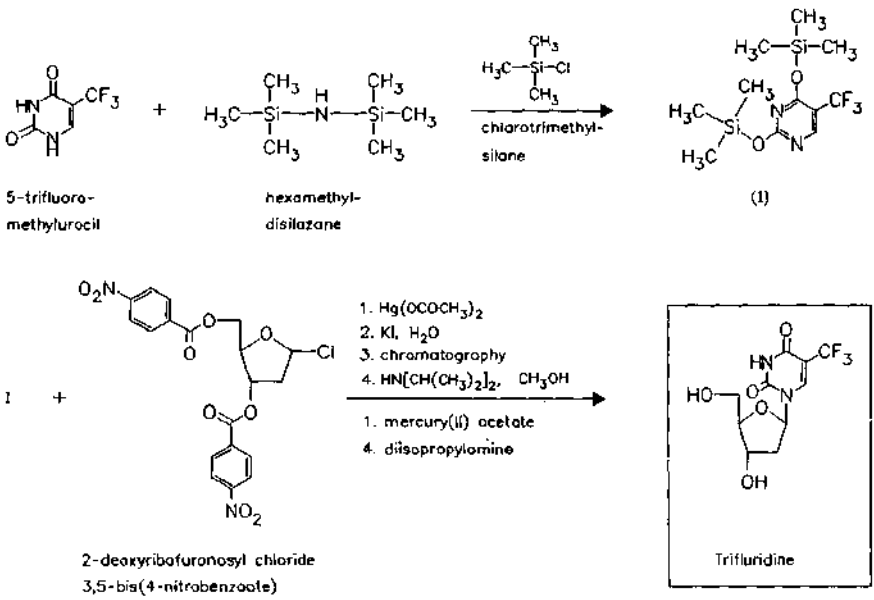
Trade Name(s):

D:	Psyquil (Sanofi Winthrop)	I:	Vesprin (Squibb); wfm	USA:	Vesprin (Squibb; as hydrochloride); wfm
F:	Psyquil (Squibb); wfm	J:	Vesprin (Squibb-Showa)		

Trifluridine

ATC: S01AD02
Use: antiviral

RN: 70-00-8 MF: $C_{10}H_{11}F_3N_2O_5$ MW: 296.20 EINECS: 200-722-8
LD_{50}: 3381 mg/kg (M, i.v.);
2946 mg/kg (R, i.v.)
CN: α,α,α-trifluorothymidine



Reference(s):
US 3 531 464 (Secr. Dept. of Health Educ. and Welfare; 29.9.1970; prior. 21.10.1966).

starting material:
DD 119 423 (L. Hein, D. Cech, C. Liebenthal; appl. 17.4.1975).
US 3 201 387 (Secr. Dept. of Health Educ. and Welfare; 17.8. 1965; appl. 18.9.1963).

Formulation(s): eye ointment 20 mg/g; eye drops 10 mg/ml, sol. 1 %

Trade Name(s):

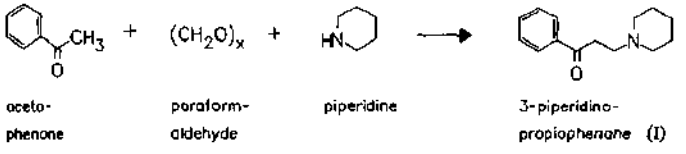
D:	TFT Thilo 1 % Augentropfen (Alcon)	F:	Triflumann (Mann) Virophta (Allergan)	I:	Triherpine (CIBA Vision)
				USA:	Viroptic (Monarch)

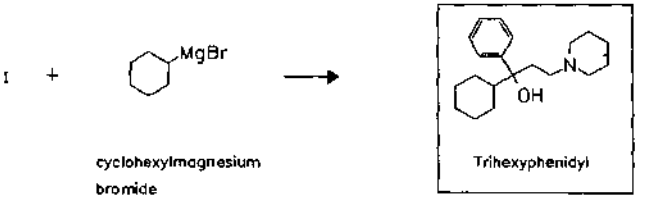
Trihexyphenidyl
(Benzhexol)

ATC: N04AA01
Use: antiparkinsonian

RN: 144-11-6 MF: $C_{20}H_{31}NO$ MW: 301.47 EINECS: 205-614-4
LD_{50}: 41 mg/kg (M, i.v.); 335 mg/kg (M, p.o.)
CN: α-cyclohexyl-α-phenyl-1-piperidinepropanol

hydrochloride
RN: 52-49-3 MF: $C_{20}H_{31}NO \cdot HCl$ MW: 337.94 EINECS: 200-142-5
LD_{50}: 39 mg/kg (M, i.v.); 217 mg/kg (M, p.o.);
30 mg/kg (R, i.v.); 1630 mg/kg (R, p.o.)





Reference(s):
US 2 680 115 (Winthrop-Stearns; 1954; prior. 1949).
US 2 716 121 (American Cyanamid; 1955; prior. 1946, 1949).

alternative synthesis:
US 2 682 543 (Burroughs Wellcome; 1954; appl. 1951).

Formulation(s): amp. 10 mg/5 ml; elixir 2 mg/5 ml; tabl. 2 mg, 5 mg, 15 mg (as hydrochloride)

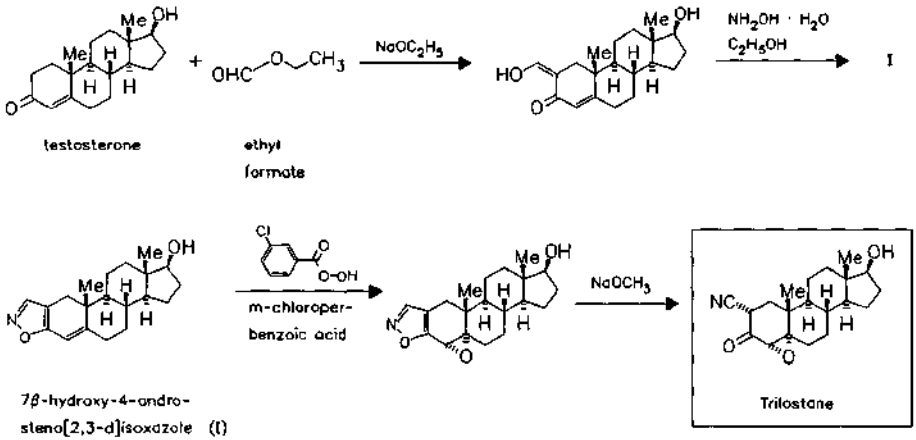
Trade Name(s):

D:	Artane (Lederle)	GB:	Broflex (Bioglan)		Pyramistin (Yamanouchi)
	Parkopan (Neuro Hexal)	I:	Artane (Wyeth-Lederle)		Tremin (Schering-Shionogi)
F:	Artane (Spécia)	J:	Artane (Lederle)		Triphedionon (Toho)
	Parkinane retard (Wyeth-Lederle)		Arten (Lederle-Takeda; as hydrochloride)	USA:	Artane (Lederle)

Trilostane

ATC: H02CA01
Use: adrenocortical suppressant

RN: 13647-35-3 MF: $C_{20}H_{27}NO_3$ MW: 329.44 EINECS: 237-133-0
CN: (2α,4α,5α,17β)-4,5-epoxy-17-hydroxy-3-oxoandrostane-2-carbonitrile



Reference(s):
US 3 296 255 (Sterling Drug; 3.1.1967; USA-prior. 23.6.1958, 29.6.1960, 29.11.1963).
Neumann, H.C. et al.: J. Med. Chem. (JMCMAR) **13**, 948 (1970).

intermediates:
US 3 135 743 (Sterling Drug; 2.6.1964; appl. 29.6.1960).

Formulation(s): cps. 60 mg; tabl. 60 mg

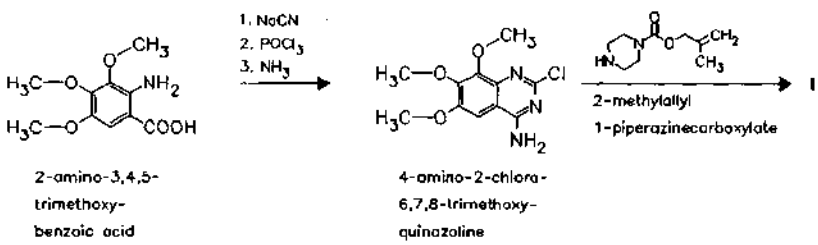
Trade Name(s):
GB: Modrenal (Wanskerne)
J: Desopane (Mochida)
USA: Modrastane (Winthrop-Breon); wfm

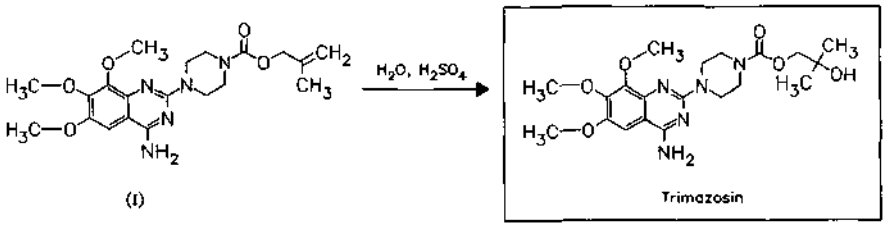
Trimazosin

ATC: C02CA03
Use: antihypertensive

RN: 35795-16-5 MF: $C_{20}H_{29}N_5O_6$ MW: 435.48 EINECS: 252-732-7
CN: 4-(4-amino-6,7,8-trimethoxy-2-quinazolinyl)-1-piperazinecarboxylic acid 2-hydroxy-2-methylpropyl ester

monohydrochloride monohydrate
RN: 53746-46-6 MF: $C_{20}H_{29}N_5O_6 \cdot HCl \cdot H_2O$ MW: 489.96





Reference(s):
DOS 2 120 495 (Pfizer; appl. 27.4.1971; USA-prior. 21.5.1970).
US 3 669 968 (Pfizer; 13.6.1972; prior. 21.5.1970).

Formulation(s): tabl. 100 mg

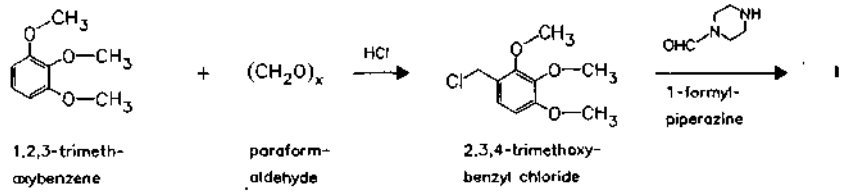
Trade Name(s):
D: Supres (Pfizer); wfm

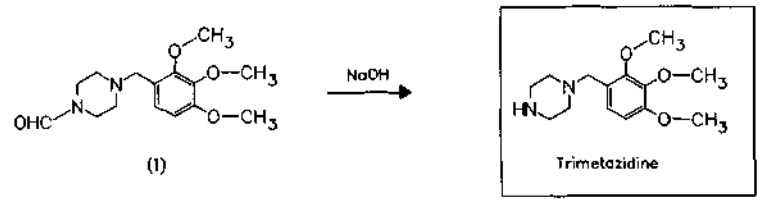
Trimetazidine

ATC: C01EB15
Use: vasodilator

RN: 5011-34-7 MF: $C_{14}H_{22}N_2O_3$ MW: 266.34 EINECS: 225-690-2
CN: 1-[(2,3,4-trimethoxyphenyl)methyl]piperazine

dihydrochloride
RN: 13171-25-0 MF: $C_{14}H_{22}N_2O_3 \cdot 2HCl$ MW: 339.26 EINECS: 236-117-0
LD_{50}: 125 mg/kg (M, i.v.); 1550 mg/kg (M, p.o.);
1700 mg/kg (R, p.o.)





Reference(s):
FR 1 302 958 (Science Union; appl. 21.3.1961).

Formulation(s): tabl. 3 mg, 20 mg (as hydrochloride)

Trade Name(s):

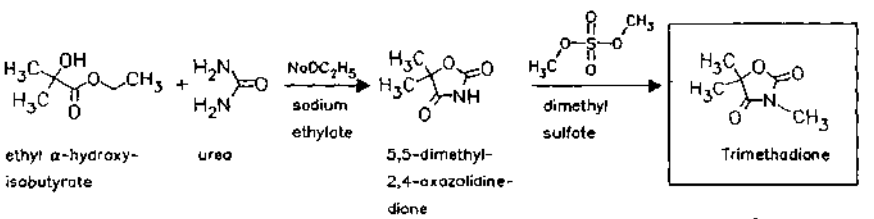
D:	Anaprel F (Servier)-comb.; wfm		Vastarel Fort (Servier); wfm	I:	Vastarel (Stroder)
	Diviator (Servier)-comb.; wfm	F:	Vastarel (Biopharma)	J:	Cartoma (Ohta)
		GB:	Vastarel (Servier); wfm		Coronanyl (Toho Shinyaku)
					Hiwell (Toa Eiyo)

Lubomanil (Maruko)
Sainosine (Chemiphar)
Trimeperad (Kotobuki-Kanebo)
Vassarin-F (Taiyo)
Vastarel (Kyoto)
Vastazin (Takeda-Nippon Kayaku)
Yosimilon (Kowa Yakuhin)

Trimethadione
(Troxidone)

ATC: N03AC02
Use: antiepileptic

RN: 127-48-0 MF: $C_6H_9NO_3$ MW: 143.14 EINECS: 204-845-8
LD_{50}: 2 g/kg (M, i.v.); 2100 mg/kg (M, p.o.); 2140 mg/kg (R, p.o.)
CN: 3,5,5-trimethyl-2,4-oxazolidinedione



Reference(s):
US 2 559 011 (British Schering; 1951; GB-prior. 1946).
US 2 575 692 (Abbott; 1951; appl. 1947).

Formulation(s): cps. 300 mg

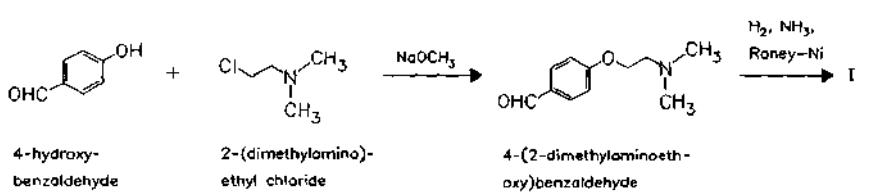
Trade Name(s):
D: Tridione (Abbott); wfm
F: Epidione (Roger Bellon); wfm
Triméthadione Abbott (Abbott); wfm
GB: Tridione (Abbott); wfm
J: Mino-Aleviatin (Dainippon)
Tendal (Shionogi)
USA: Tridione (Abbott); wfm

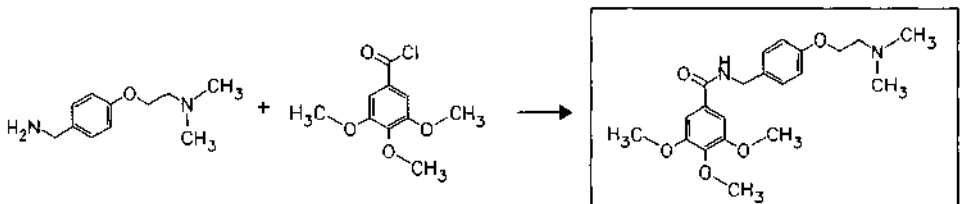
Trimethobenzamide

ATC: A04AD
Use: anti-emetic

RN: 138-56-7 MF: $C_{21}H_{28}N_2O_5$ MW: 388.46 EINECS: 205-332-1
CN: *N*-[[4-[2-(dimethylamino)ethoxy]phenyl]methyl]-3,4,5-trimethoxybenzamide

monohydrochloride
RN: 554-92-7 MF: $C_{21}H_{28}N_2O_5 \cdot HCl$ MW: 424.93 EINECS: 209-075-6
LD_{50}: 122 mg/kg (M, i.v.); 1600 mg/kg (M, p.o.)





Reference(s):
US 2 879 293 (Hoffmann-La Roche; 24.3.1959; prior. 19.2.1957).

Formulation(s): amp. 100 mg/ml; cps. 100 mg, 250 mg; suppos. 100 mg, 200 mg; vial 100 mg/ml (as hydrochloride)

Trade Name(s):

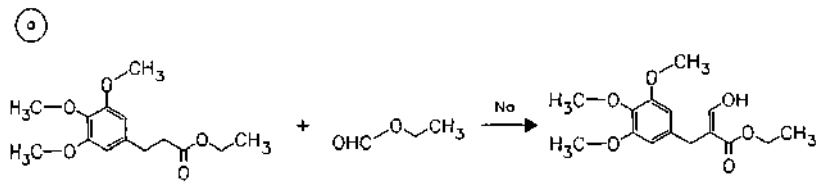
D:	Anaus (Molteni); wfm Ibikin (IBP); wfm	I:	Anaus (Molteni); wfm Ibikin (IBP); wfm	USA:	Tigan (Roberts)

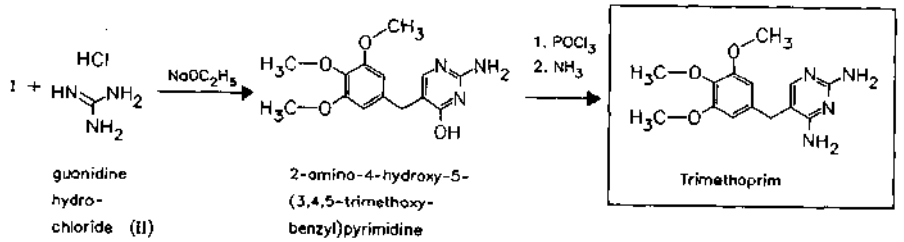
Trimethoprim

ATC: J01EA01
Use: chemotherapeutic, antibacterial

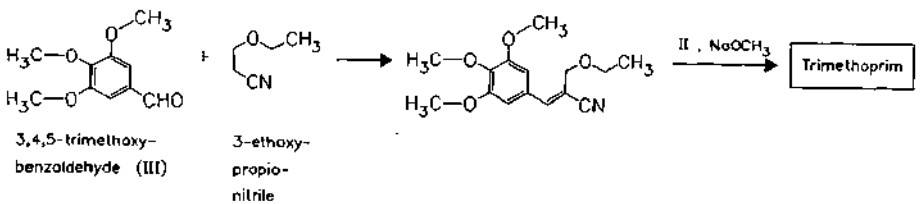
RN: 738-70-5 MF: $C_{14}H_{18}N_4O_3$ MW: 290.32 EINECS: 212-006-2
LD_{50}: 132 mg/kg (M, i.v.); 2764 mg/kg (M, p.o.);
200 mg/kg (R, p.o.)
CN: 5-[(3,4,5-trimethoxyphenyl)methyl]-2,4-pyrimidinediamine

cotrimoxazole (comb. with sulfamethoxazole)
RN: 8064-90-2 MF: $C_{14}H_{18}N_4O_3 \cdot C_{10}H_{11}N_3O_3S$ MW: 543.61
LD_{50}: 3740 mg/kg (M, p.o.);
5350 mg/kg (R, p.o.)
comb. with sulfamoxole
RN: 57197-43-0 MF: $C_{14}H_{18}N_4O_3 \cdot C_{11}H_{13}N_3O_3S$ MW: 557.63
LD_{50}: >12 g/kg (M, p.o.);
14 g/kg (R, p.o.);
>1 g/kg (dog, p.o.)
comb. with sulfamerazine
RN: 54242-77-2 MF: $C_{14}H_{18}N_4O_3 \cdot C_{11}H_{12}N_4O_2S$ MW: 554.63
comb. with sulfamethoxypyridazine
RN: 54242-78-3 MF: $C_{14}H_{18}N_4O_3 \cdot C_{11}H_{12}N_4O_3S$ MW: 570.63
comb. with sulfadiazine
RN: 39474-58-3 MF: $C_{14}H_{18}N_4O_3 \cdot C_{10}H_{10}N_4O_2S$ MW: 540.61

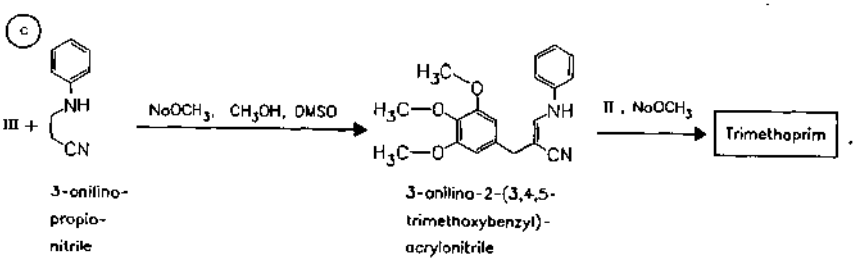



I +
HCl
HN=C(NH2)NH2
guanidine hydrochloride (II)
NaOC2H5
2-amino-4-hydroxy-5-(3,4,5-trimethoxybenzyl)pyrimidine
1. POCl3
2. NH3
Trimethoprim

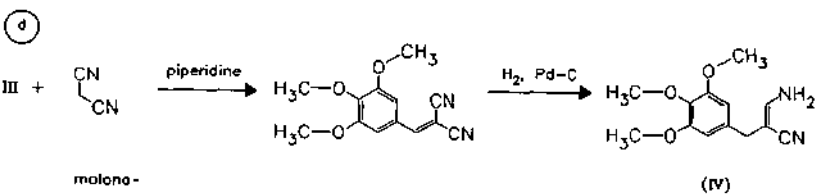
b

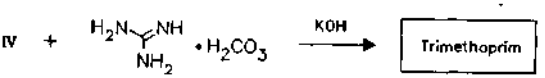

3,4,5-trimethoxybenzaldehyde (III)
3-ethoxypropionitrile
II , NaOCH3
Trimethoprim

c

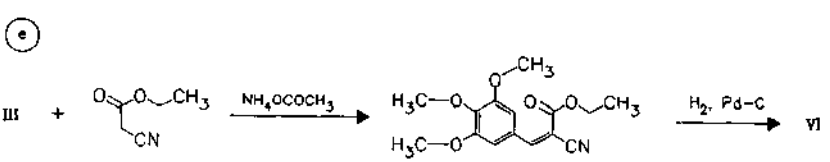

III +
3-anilinopropionitrile
NaOCH3, CH3OH, DMSO
3-anilino-2-(3,4,5-trimethoxybenzyl)-acrylonitrile
II , NaOCH3
Trimethoprim

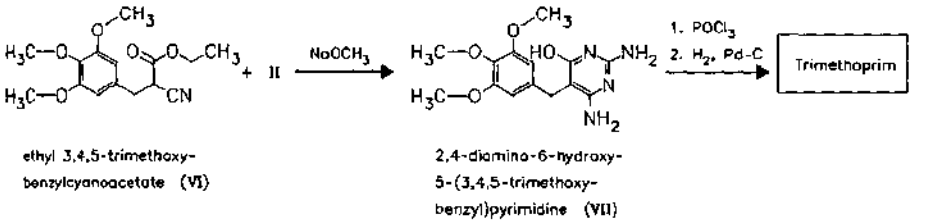
d


III +
malononitrile
piperidine
H2, Pd-C
(IV)


IV +
H2N(C=NH)NH2 • H2CO3
guanidine carbonate
KOH
Trimethoprim

e

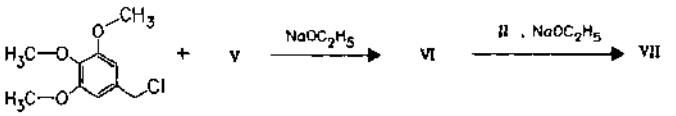

III +
ethyl cyanoacetate (V)
NH4OCOCH3
H2, Pd-C
VI



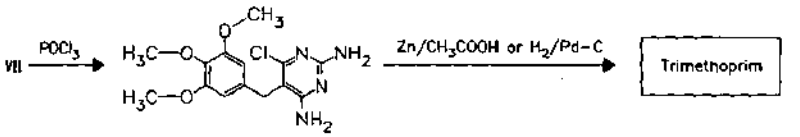
ethyl 3,4,5-trimethoxy-benzylcyanoacetate (VI)

2,4-diamino-6-hydroxy-5-(3,4,5-trimethoxy-benzyl)pyrimidine (VII)

(f)

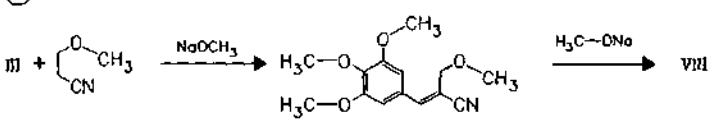


3,4,5-trimethoxy-benzyl chloride

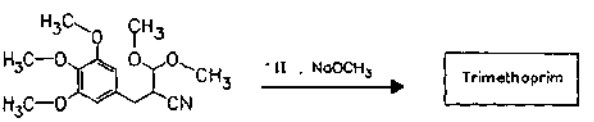


2,4-diamino-6-chloro-5-(3,4,5-trimethoxy-benzyl)pyrimidine

(g)



3-methoxy-propio-nitrile



3,3-dimethoxy-2-(3,4,5-trimethoxy-benzyl)propionitrile (VIII)

Reference(s):

a DE 1 103 931 (Wellcome Found.; appl. 19.2.1958; GB-prior. 21.2.1957).
US 2 909 522 (Burroughs Wellcome; 20.10.1959, GB-prior. 21.2.1957).
GB 875 562 (Wellcome Foundation; appl. 21.2.1957; valid from 21.2.1958).

b US 3 049 544 (Burroughs Wellcome; 14.8.1962; GB-prior. 3.9.1959).
DAS 1 303 727 (Wellcome Found.; appl. 12.8.1960; GB-prior. 3.9.1959, 20.11.1959, 11.7.1960).
DAS 1 445 176 (Wellcome Found.; appl. 12.8.1960; GB-prior. 3.9.1959, 20.11.1959, 11.7.1960).
DAS 1 795 586 (Wellcome Found.; appl. 12.8.1960; GB-prior. 3.9.1959, 20.11.1959, 11.7.1960).
similar process (*condensation of* 3,4,5-trimethoxybenzaldehyde *with* 3-(methoxyethoxy)propionitrile):
DAS 2 635 765 (Heumann; appl. 9.8.1976).

condensation with monoacetylguanidine:
GB 1 518 075 (Industria Chemica Prodotti Francis S. P. A.; appl. 3.5.1977; I-prior. 27.1.1977).

c DAS 2 010 166 (Wellcome Found.; appl. 4.3.1970; GB-prior. 6.3.1969, 16.5.1969, 13.6.1969).
DOS 2 065 367 (Wellcome Found.; appl. 4.3.1970; GB-prior. 6.3.1969, 16.5.1969, 13.6.1969).
DAS 2 066 039 (Wellcome Found.; appl. 4.3.1970; GB-prior. 6.3.1969, 16.5.1969, 13.6.1969).
US 3 956 327 (Burroughs Wellcome; 11.5.1976; GB-prior. 6.3.1963, 16.5.1969, 13.6.1969).
analogous method:
condensation of 3,4,5-trimethoxybenzaldehyde *with* piperazine-1,4-dipropionitrile:
DOS 2 612 891 (Smith Kline, Dauelsberg; appl. 26.3.1976).
condensation of 3,4,5-trimethoxybenzaldehyde *with* 3-(1-imidazolyl)propionitrile:
DOS 2 617 967 (Nordmark-Werke; appl. 24.4.1976).

d DAS 2 443 080 (GEA; appl. 9.9.1974; DK-prior. 10.9.1973).
GB 1 445 254 (GEA; appl. 6.9.1974; DK-prior. 10.9.1973).

e DOS 2 165 362 (Nisshin Flour Milling; appl. 29.12.1971; J-prior. 29.12.1970).
DOS 2 258 238 (Plantex; appl. 28.11.1972; IL-prior. 1.12.1971).
modified analogous method (*reaction of* 3,4,5-trimethoxybenzylcyanoacetic acid *with* DMF *and* phosgene *and following reaction with* guanidine *directly to* trimethoprim):
DAS 2 341 214 (Nordmark-Werke; appl. 16.8.1973).
GB 1 413 459 (Nordmark-Werke; appl. 26.7.1974; D-prior. 16.8.1973).
improved method for reaction of 2,4-diamino-6-hydroxy-5-(3,4,5-trimethoxybenzyl)pyrimidine *to* trimethoprim:
DOS 2 343 419 (Grünenthal; appl. 29.8.1973).
US 3 980 649 (Grünenthal; 14.9.1976; D-prior. 29.8.1973).

f DAS 2 003 578 (Egyt; appl. 27.1.1970; H-prior. 27.1.1969).

g DE 1 545 966 (Roche; appl. 20.10.1965; USA-prior. 12.11.1964, 7.5.1965, 9.7.1965).
DAS 1 793 647 (Roche; appl. 20.10.1965; USA-prior. 12.11.1964, 7.5.1965, 9.7.1965).
DOS 1 620 729 (Wellcome Found.; appl. 6.7.1966; USA-prior. 8.7.1965).

similar processes:
reaction of 3,4,5-trimethoxybenzaldehyde *with* 3,3-dimethoxypropionitrile *and catalytic hydrogenation to* 3,3-dimethoxy-2-(3,4,5-trimethoxybenzyl)propionitrile:
DAS 1 593 723 (Wellcome Found.; appl. 26.10.1966; GB-prior. 28.10.1965).
DAS 1 793 767 (Wellcome Found.; appl. 26.10.1966; GB-prior. 28.10.1965).

condensation of 3,4,5-trimethoxybenzaldehyde *with* cyanoacetaldehyde *obtained by thermolysis of* isoxazole:
DOS 2 623 169 (BASF; appl. 22.5.1976).

other methods:
reaction of the Mannich-compound from 2,6-dimethoxyphenol, formaldehyde *and* dimethylamine *with* 2,4-diaminopyrimidine *and subsequent methylation of* 2,4-diamino-5-(3,5-dimethoxy-4-hydroxybenzyl)pyrimidine *with* methyl iodide:
DAS 1 720 012 (Wellcome Found.; appl. 17.2.1967; GB-prior. 19.2.1966).
DAS 1 795 635 (Wellcome Found.; appl. 17.2.1967; GB-prior. 19.2.1966).
DAS 1 795 851 (Wellcome Found.; appl. 17.2.1967; GB-Prior. 19.2.1966).

condensation of the Mannich-compound mentiored above with 2,4-diamino-6-methylthiopyrimidine *to* 2,4-diamino-5-(3,5-dimethoxy-4-hydroxybenzyl)-6-methylthiopyrimidine, *methylation with* methyl iodide *and desulfurization with Raney nickel:*
DAS 2 218 221 (Wellcome Found.; appl. 14.4.1972; GB-prior. 16.4.1971).

condensation of 3,4,5-trimethoxybenzaldehyde *with* 2,4-diamino-6-hydroxypyrimidine *to* 2,4-diamino-6-oxo-5-(3,4,5-trimethoxybenzylidene)pyrimidine, *hydrogenation with* Pd-C *to* 2,4-diamino-6-hydroxy-5-(3,4,5-trimethoxybenzyl)pyrimidine *and further reaction of the latter analogously to method* **f**:
DOS 2 546 510 (Astra; appl. 17.10.1975; S-prior. 21.10.1974).

from 3,4,5-trimethoxybenzoic acid methyl ester (*condensation with* DMSO, *reduction with* $NaBH_4$, *reaction with* 3-anilinopropionitrile *to* 3-anilino-2-(3,4,5-trimethoxybenzyl)acrylonitrile, *cf. method* **c**):
DOS 2 051 871 (Wellcome Found.; appl. 15.5.1970; GB-prior. 16.5.1969).
DOS 2 023 977 (Wellcome Found.; appl. 15.5.1970; GB-prior. 16.5.1969).

condensation of 3,4,5-trimethoxybenzyl chloride *with* 2,4-diamino-6-hydroxypyrimidine, *reaction with* phosphorous oxychloride *and reductive dehalogenation:*
DAS 2 530 814 (Lentia; appl. 10.7.1975).

review:
Schliemann, W.: Pharmazie (PHARAT) **31**, 140 (1976).

Formulation(s): syrup 50 mg/5 ml, 100 mg/5 ml; tabl. 50 mg, 100 mg, 120 mg, 150 mg, 200 mg, 300 mg

Trade Name(s):

D:
Bactrim forte/Sirolin (Roche)-cotrimoxazole-comb.
Drylin (Merckle)-comb. with sulfamethoxazole
Eusaprim (Wellcome)-comb. with sulfamethoxazole
Eusaprim forte/Pyridium (Wellcome)-comb. with sulfamethoxazole
Infectotrimet (Infectopharm)
Kepinol (Pfleger)-comb. with sulfamethoxazole
Microtrim (Chephasaar)-comb. with sulfamethoxazole
Sigaprim (Siegfried)-comb. with sulfamethoxazole
Sulfacet (Schwarzhaupt)-cotrimoxazole
Supracombin (Grünenthal)-comb. with sulfamethoxazole
TMP-ratiopharm (ratiopharm)
TMS (TAD)-comb. with sulfamethoxazole
Triglobe (Astra)-comb. with sulfadiazine
Trimono (Procter & Gamble)
Uretrim (TAD)

F:
Antrima (Doms-Adrian)-comb.
Bactrim (Roche)-comb.
Eusaprim (Lipha Santré)-comb.
Wellcoprim (Glaxo Wellcome)

GB:
Chemotrim (Rosemont)-comb.
Ipral (Squibb)
Monotrim (Solvay)
Polytrim (Dominion)-comb. with polymyxin B
Septrin (Glaxo Wellcome)-comb.
Trimopan (Berk)

I:
Abacin (Benedetti)-cotrimoxazole
Abaprim (Gentili)
Bacterial (CT)-comb.
Bactrim (Dompé)-comb.
Chemitrim (Biomedica Foscama)-comb.
Eusaprim (Glaxo Wellcome)-comb.
Gantrim (Geymonat)-comb.
Isotrim (Ghimas)-comb.
Kelfiprim (Pharmacia & Upjohn)-comb. with sulfametopyrazine
Kombinax (Bracco)-comb. with sulfadiazine
Lidaprim (Lisapharma)-comb.
Medixin (Pierrel)-comb.
Streptoplus (Molteni)-comb.
Velaten (Camillo Corvi)-comb. with sulfamethoxypyridazine

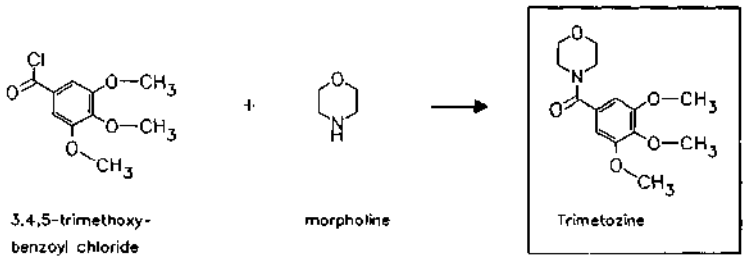
J:
Bacta (Nippon Roche)-comb.
Bacta (Nippon Roche)-cotrimoxazole
Bactramin (Nippon Roche)-comb.
Bactramin (Nippon Roche)-cotrimoxazole
Baktar (Wellcome)
Septerin (Tanabe)
Septrim (Shionogi)-comb.
Septrim (Shionogi)-cotrimoxazole

USA:
Bactrim (Roche)-comb.
Proloprim (Glaxo Wellcome)
Septra (Glaxo Wellcome)-comb.
Trimpex (Roche)
combination preparations and generics

Trimetozine

ATC: C01AA05; C08DA01
Use: neurosedative

RN: 635-41-6 MF: $C_{14}H_{19}NO_5$ MW: 281.31 EINECS: 211-236-0
LD_{50}: 960 mg/kg (M, i.v.); 2400 mg/kg (M, p.o.); 1800 mg/kg (R, p.o.)
CN: 4-(3,4,5-trimethoxybenzoyl)morpholine



Reference(s):
DE 1 164 412 (Egyesült Gyógyszer-és Tápszergyár; appl. 16.1.1960; H-prior. 23.1.1959, 2.11.1959).

Formulation(s): drg. 150 mg

Trade Name(s):

D:	Gradulon (Minden)-comb.; wfm Seda-Miroton (Minden); wfm	F:	Opalene (Théraplix)-comb.; wfm Trioxazine (Adrian-Marinier); wfm	I:	Trioxazina (Importex); wfm

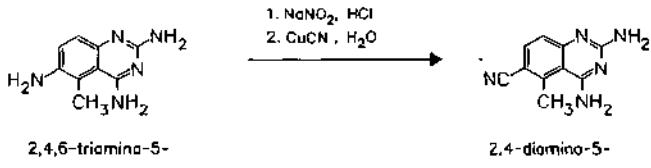
Trimetrexate glucuronate

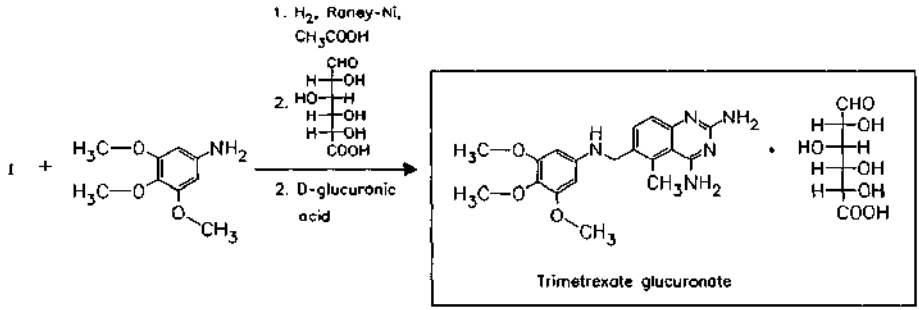
(CI-898; JB-11; NSC-249008/352122)

ATC: P01AX07
Use: antineoplastic

RN: 82952-64-5 MF: $C_{19}H_{23}N_5O_3 \cdot C_6H_{10}O_7$ MW: 563.56
CN: D-glucuronic acid compd. with 5-methyl-6-[[(3,4,5-trimethoxyphenyl)amino]methyl]-2,4-quinazolinediamine (1:1)

trimetrexate
RN: 52128-35-5 MF: $C_{19}H_{23}N_5O_3$ MW: 369.43
monoacetate
RN: 52128-36-6 MF: $C_{19}H_{23}N_5O_3 \cdot C_2H_4O$ MW: 413.48





Reference(s):
GB 1 345 502 (Parke Davis & Co.; appl. 6.7.1972; GB-prior. 6.7.1972).
EP 5 145 (Warner-Lambert Co.; appl. 26.10.1981; USA-prior. 29.1.1982).

lyophilic formulation:
JP 06 172 177 (Dainippon Pharm.; appl. 10.12.1992; J-prior. 10.12.1992).

Formulation(s): vial 25 mg

Trade Name(s):

F:	Neutrexin (Ipsen/Biotech)	I:	Neutrexin (Ipsen)
GB:	Neutrexin (Speywood)	USA:	Neutrexin (US Bioscience)

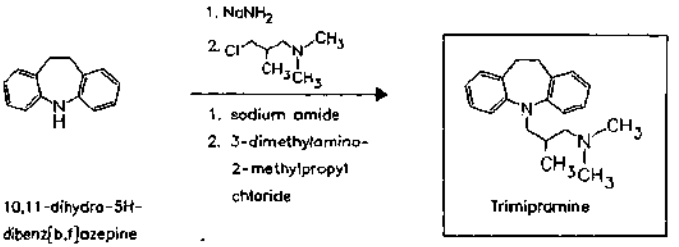
Trimipramine

ATC: N06AA06
Use: antidepressant

RN: 739-71-9 MF: $C_{20}H_{26}N_2$ MW: 294.44 EINECS: 212-008-3
LD_{50}: 42 mg/kg (M, i.v.); 250 mg/kg (M, p.o.)
CN: 10,11-dihydro-*N*,*N*,β-trimethyl-5*H*-dibenz[*b*,*f*]azepine-5-propanamine

maleate (1:1)
RN: 521-78-8 MF: $C_{20}H_{26}N_2 \cdot C_4H_4O_4$ MW: 410.51 EINECS: 208-318-3
LD_{50}: 40 mg/kg (M, i.v.); 425 mg/kg (M, p.o.);
38 mg/kg (R, i.v.); 800 mg/kg (R, p.o.)

monomesylate
RN: 25332-13-2 MF: $C_{20}H_{26}N_2 \cdot CH_4O_3S$ MW: 390.55 EINECS: 246-852-9



Reference(s):
FR 1 172 014 (Rhône-Poulenc; appl. 14.12.1955).
Jacob, R.M.; Messer, M.: C. R. Hebd. Seances Acad. Sci. (COREAF) **252**, 2117 (1961).

Formulation(s): amp. 25 mg/ml; cps. 25 mg, 50 mg, 100 mg; drops 5.3 g/100 ml (as monomesylate); f. c. tabl. 25 mg; tabl. 25 mg, 100 mg (as maleate)

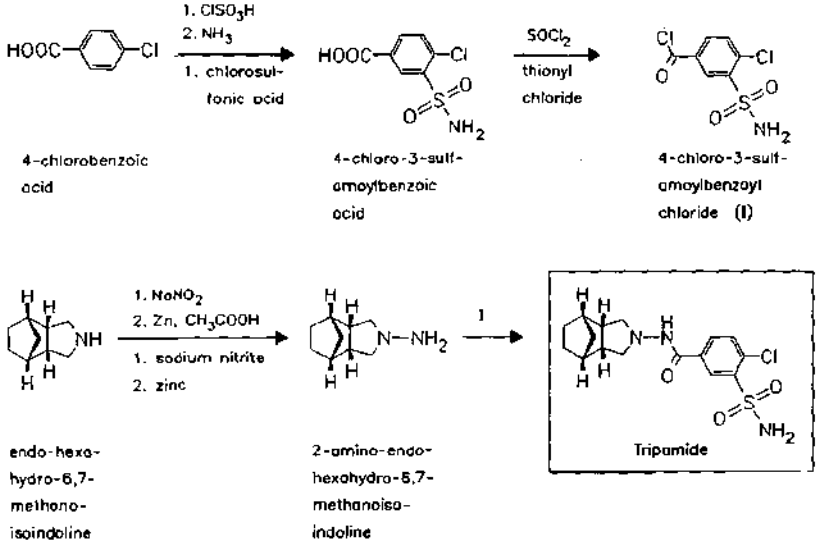
Trade Name(s):

D:	Hexphonal (ASTA Medica AWD) Stangyl (Rhône-Poulenc Rorer) Trimipramin-neuraxpharm (neuraxpharm)	F: GB:	Surmontil (Specia) Surmontil (Rhône-Poulenc Rorer)	J: USA:	Surmontil (Rhône-Poulenc Rorer) Surmontil (Shionogi) Surmontil (Wyeth-Ayerst)
		I:	Surmontil (Rhône-Poulenc Rorer)		

Tripamide

ATC: C02
Use: antihypertensive, diuretic

RN: 73803-48-2 MF: $C_{16}H_{20}ClN_3O_3S$ MW: 369.87
LD_{50}: >5 g/kg (M, p.o.);
>8 g/kg (R, p.o.);
>2 g/kg (dog, p.o.)
CN: (3aα,4α,7α,7aα)-3-(aminosulfonyl)-4-chloro-*N*-(octahydro-4,7-methano-2*H*-isoindol-2-yl)benzamide



Reference(s):
US 3 787 440 (Eisai; 22.1.1974; appl. 9.11.1971; J-prior. 9.11.1970).
DOS 2 155 660 (Eisai; appl. 9.11.1971; J-prior. 9.11.1970).
Nakamura, T. et al.: J. Labelled Compd. Radiopharm. (JLCRD4) **14**, 191 (1978).

synthesis of 2-amino-*endo*-hexahydro-4,7-methanoisoindoline:
JP 7 121 708 (Eisaei; appl. 17.8.1967).

Formulation(s): tabl. 15 mg

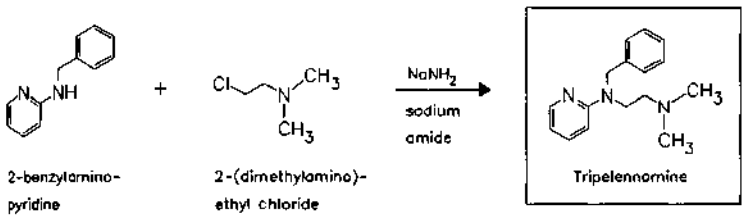
Trade Name(s):
J: Normonal (Eisai; 1982)

Tripelennamine

ATC: D04AA04; R06AC04
Use: antihistaminic

RN: 91-81-6 MF: $C_{16}H_{21}N_3$ MW: 255.37 EINECS: 202-100-1
LD_{50}: 23 mg/kg (M, i.v.); 152 mg/kg (M, p.o.)
CN: *N*,*N*-dimethyl-*N'*-(phenylmethyl)-*N'*-2-pyridinyl-1,2-ethanediamine

monohydrochloride
RN: 154-69-8 MF: $C_{16}H_{21}N_3 \cdot HCl$ MW: 291.83 EINECS: 205-833-5
LD_{50}: 9 mg/kg (M, i.v.); 97 mg/kg (M, p.o.);
12 mg/kg (R, i.v.); 469 mg/kg (R, p.o.)



Reference(s):
US 2 406 594 (Ciba; 1946; prior. 1943).
US 2 502 151 (Rhône-Poulenc; 1950; F-prior. 1943).

Formulation(s): stick 115 mg/5.75 g (as hydrochloride)

Trade Name(s):

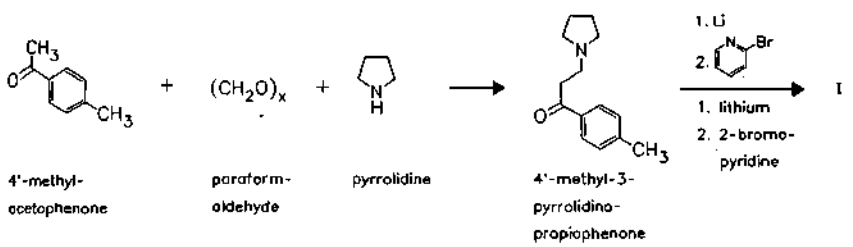
D:	Azaron Stift (Chefaro)	I:	Sedilene (Montefarmaco); wfm	USA:	PBZ-SR (Geigy); wfm
F:	Anachoc (Lipha)-comb.; wfm	J:	Pyribenzamin (Ciba-Geigy-Takeda)		Pyribenzamine (Ciba); wfm
					Tripelennamine HCl Tablets (Danbury); wfm

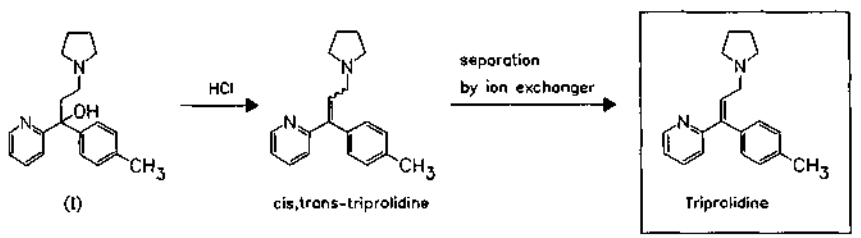
Triprolidine

ATC: R06AX07
Use: antihistaminic

RN: 486-12-4 MF: $C_{19}H_{22}N_2$ MW: 278.40 EINECS: 207-627-0
CN: (*E*)-2-[1-(4-methylphenyl)-3-(1-pyrrolidinyl)-1-propenyl]pyridine

monohydrochloride
RN: 550-70-9 MF: $C_{19}H_{22}N_2 \cdot HCl$ MW: 314.86 EINECS: 208-985-0
LD_{50}: 21 mg/kg (M, i.v.); 495 mg/kg (M, p.o.);
840 mg/kg (R, p.o.)





Reference(s):
US 2 712 020 (Burroughs Wellcome; 1955; GB-prior. 1948).
US 2 712 023 (Burroughs Wellcome; 1955; GB-prior. 1948).

Formulation(s): syrup 1.25 mg/5 ml; tabl. 1.25 mg, 2.5 mg (as hydrochloride)

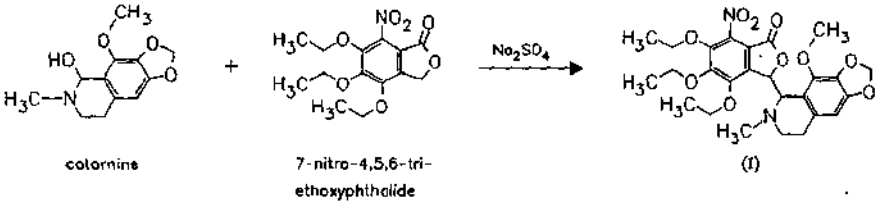
Trade Name(s):

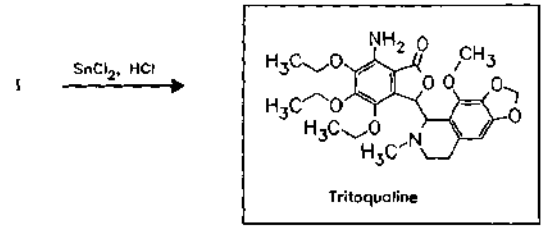
D:	Actifed (Warner-Lambert)-comb.	I:	Actidil (Warner-Lambert)	USA:	Actifed (Warner-Lambert)-comb.
F:	Actifed (Warner-Lambert)	J:	Entra (Wellcome-Tanabe)		
GB:	Sudafed (Warner-Lambert)-comb.		Pro-Entra (Wellcome-Tanabe)		
			Venen (Tanabe)		

Tritoqualine

ATC: R06AX21
Use: antiallergic

RN: 14504-73-5 MF: $C_{26}H_{32}N_2O_8$ MW: 500.55
LD_{50}: >15 g/kg (M, p.o.);
>15 g/kg (R, p.o.)
CN: 7-amino-4,5,6-triethoxy-3-(5,6,7,8-tetrahydro-4-methoxy-6-methyl-1,3-dioxolo[4,5-*g*]isoquinolin-5-yl)-1(3*H*)-isobenzofuranone





Reference(s):
DE 1 206 909 (M. Jeanson, Paris; appl. 14.8.1959).

Formulation(s): drops 180 mg; tabl. 100 mg

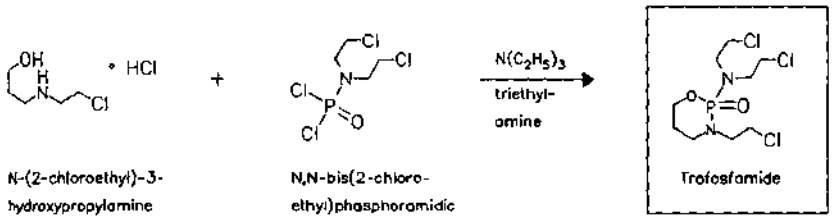
Trade Name(s):

D:	Inhibostamin (Swiss-Pharma); wfm		Inhibostamin (Zyma); wfm	I:	Hypostamin (SIT); wfm
		F:	Hypostamine (Promedica)		Hypostamine (Zyma); wfm

Trofosfamide
(Trophosphamide)

ATC: L01AA07
Use: antineoplastic

RN: 22089-22-1 MF: $C_9H_{18}Cl_3N_2O_2P$ MW: 323.59 EINECS: 244-770-8
LD_{50}: 157 mg/kg (M, i.v.); 464 mg/kg (M, p.o.);
90 mg/kg (R, i.v.); 202 mg/kg (R, p.o.)
CN: *N*,*N*,3-tris(2-chloroethyl)tetrahydro-2*H*-1,3,2-oxazaphosphorin-2-amine 2-oxide



N-(2-chloroethyl)-3-hydroxypropylamine hydrochloride

N,N-bis(2-chloroethyl)phosphoramidic dichloride

Trofosfamide

Reference(s):
DOS 1 645 921 (ASTA-Werke; appl. 11.7.1966).
GB 1 188 159 (ASTA-Werke; appl. 11.7.1967; D-prior. 11.7.1966).
US 3 732 340 (ASTA-Werke; 8.5.1973; prior. 30.6.1967, 11.9.1970, 14.1.1971).

Formulation(s): f. c. tabl. 50 mg

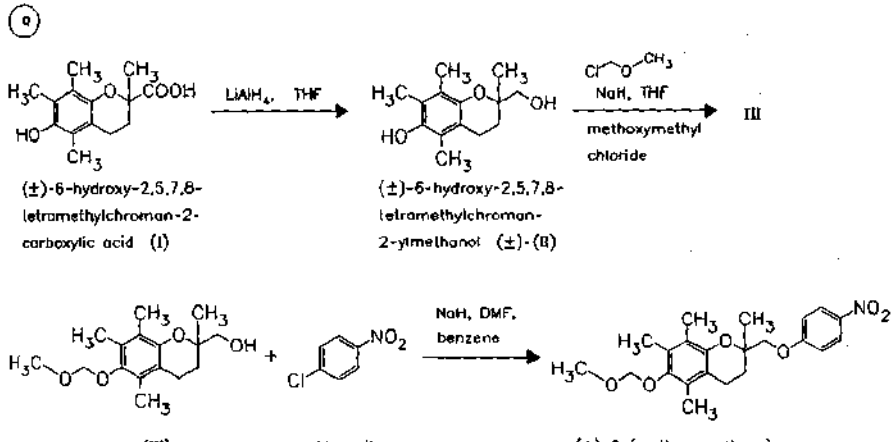
Trade Name(s):
D: Ixoten (ASTA Medica AWD)
I: Ixoten (Schering); wfm

Troglitazone
(CI-991; CS 045; GR-92132X)

ATC: A10BG01
Use: antidiabetic, insulin enhancer, antioxidant

RN: 97322-87-7 MF: $C_{24}H_{27}NO_5S$ MW: 441.55
LD_{50}: >5 g/kg (R, p.o.)
CN: 5-[[4-(3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2*H*-1-benzopyran-2-yl)methoxy]phenyl]methyl-2,4-thiazolidinedione

monosodium salt
RN: 97323-06-3 MF: $C_{24}H_{26}NNaO_5$ MW: 431.46



(±)-6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid (I)

(±)-6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethanol (±)-(II)

(III)

p-chloronitrobenzene

(±)-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2-(4-nitrophenoxymethyl)-chroman (IV)

IV → (±)-6-acetoxy-2-(4-aminophenoxymethyl)-2,5,7,8-tetramethylchroman (VI)

1. H_2SO_4, CH_3COOH
2. $H_3C(CO)O(CO)CH_3$
3. H_2, Pd-C, CH_3OH
2. acetic anhydride (V)

VI → (±)-ethyl 3-[4-[(6-acetoxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]phenyl]-2-chloropropionate (VII)

1. $NaNO_2$, H_2O, HCl, acetone
2. $H_2C{=}C(CO_2CH_3)$
Cu_2O, 40 °C
2. ethyl acrylate

VII → (±)-2-chloro-3-[4-[(6-hydroxy-2,5,7,8-tetramethylchroman-2-yl)-methoxy]phenyl]propionic acid (VIII)

NaOH, C_2H_5OH, THF

VIII + thiourea → Troglitazone

1. sulfolane, 120 °C
2. HCl

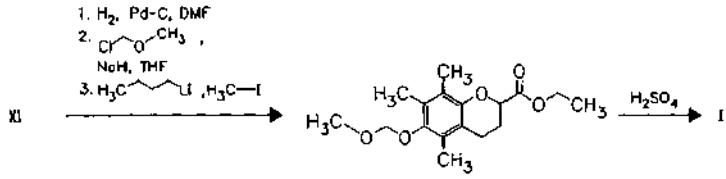
(oo) starting material I:

2,3,5-trimethylhydroquinone (IX) + CO_2 → 3',4',6'-trimethyl-2',5'-dihydroxyacetophenone (X)

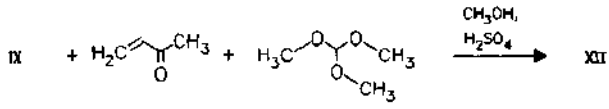
1. K_2CO_3
2. $H_3C{-}Li$,
$H_3C{-}O{-}CH_2{-}CH_2{-}O{-}CH_3$,
10 °C

X + diethyl oxalate → ethyl 6-hydroxy-5,7,8-trimethyl-4-oxo-4H-chromene-2-carboxylate (XI)

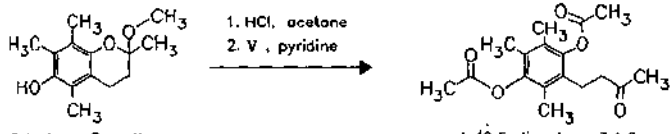
1. Na, C_2H_5OH
2. CH_3COOH, HCl, Δ



(ab)

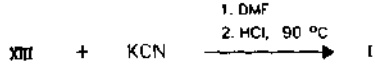


methyl vinyl ketone

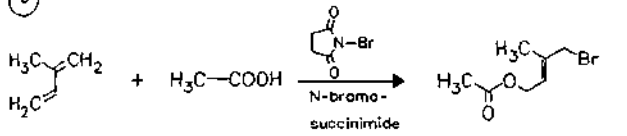


6-hydroxy-2-methoxy-2,5,7,8-tetramethyl-chromone (XII)

4-(2,5-diacetoxy-3,4,6-trimethylphenyl)-2-butanone (XIII)

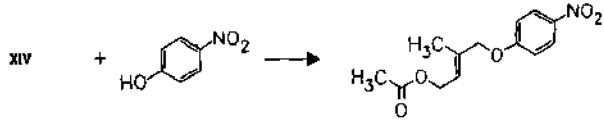


(b)

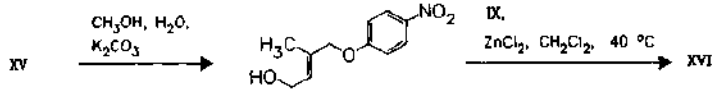


isoprene

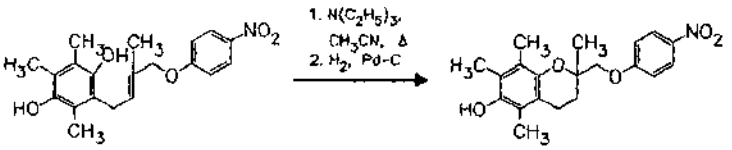
4-bromo-3-methyl-2-butenyl acetate (XIV)



4-(p-nitrophenoxy)-3-methyl-2-butenyl acetate (XV)



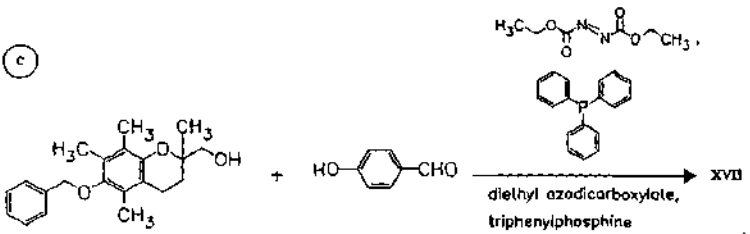
4-(p-nitrophenoxy)-3-methyl-2-buten-1-ol



2-[4-(p-nitrophenoxy)-3-methyl-2-butenyl]-3,5,6-trimethylhydroquinone (XVI)

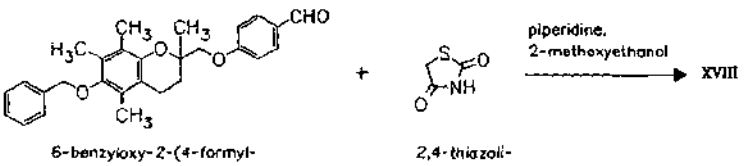
(unprotected IV)

(c)

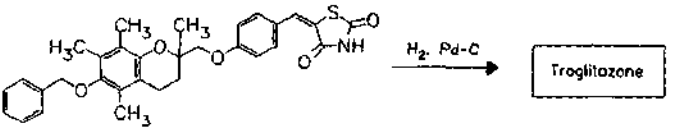


6-benzyloxy-2-(4-formylphenoxymethyl)-2,5,7,8-tetramethylchroman (XVII)

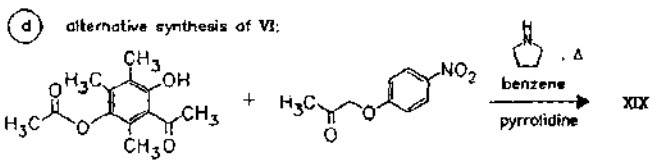
2,4-thiazolidinedione



5-[4-(6-benzyloxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)-benzylidene]-2,4-thiazolidinedione (XVIII)

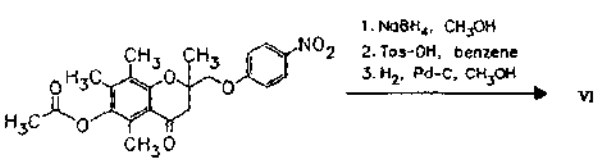


(d) alternative synthesis of VI:



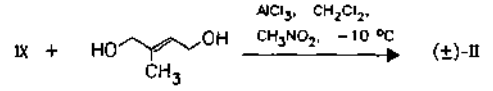
5'-acetoxy-2'-hydroxy-3',4',6'-trimethylacetophenone

6-acetoxy-2,5,7,8-tetramethyl-2-(4-nitrophenoxymethyl)-4-chromonone (XIX)

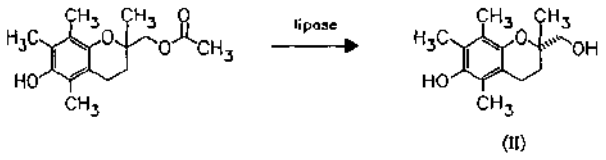


(e) intermediate II:

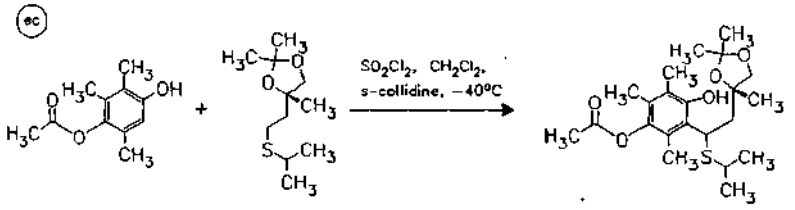
(ea)

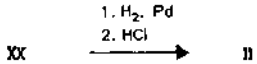


(eb)

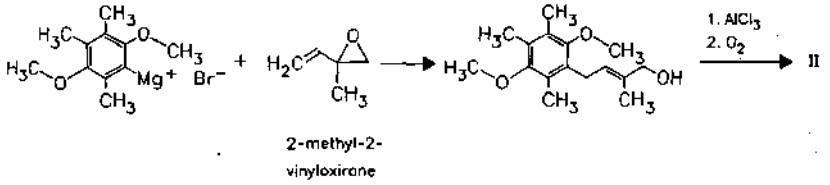


(ec)

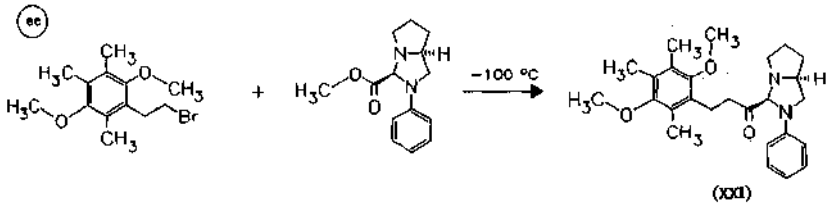


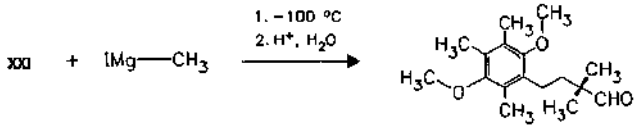


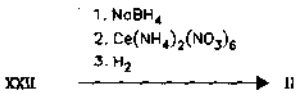
(ed)



(ee)







Reference(s):

a Yoshioka, T. et al.: J. Med. Chem. (JMCMAR) **32**, 421 (1989).
EP 207 581 (Sankyo Co.; appl. 26.2.1986; J-prior. 26.2.1985).
aa Witiak, D.T. et al.: J. Med. Chem. (JMCMAR) **18** (9), 934 (1975).
EP 139 421 (Sankyo Co.; appl. 28.8.1984; prior. 30.8.1983).
US 4 572 912 (Sankyo; 25.2.1986, J-prior. 30.8.1983).
ab Scott, J.W. et al.: J. Am. Chem. Soc. (JACSAT) **51**, 200 (1974).
b EP 670 300 (Eisai Chem. Co.; appl. 1.3.1995; J-prior. 2.3.1994).
EP 543 346 (Lonza/Sankyo; appl. 17.11.1992; CH-prior. 20.11.1991).
c EP 454 501 (Sankyo Co.; appl. 29.4.1991; J-prior. 27.4.1990).
ea DE 3 010 504 (BASF AG; appl. 19.3.1980).
eb JP 08 119 958 (Kwaray Co.; appl. 18.10.1994).
JP 08 119 957 (Kwaray Co.; appl. 18.10.1994).
ec JP 01 068 366 (Eisai Co.; appl. 9.9.1987).
ed Tanabe, K. et al.: Chem. Lett. (CMLTAG) **5**, 561 (1985).
ef Sakito, Y. et al.: Tetrahedron Lett. (TELEAY) **23** (47), 4953 (1982).
EP 65 368 (Sumitomo Chem.; appl. 28.4.1982; J-prior. 30.4.1981).

kinetic resolution of intermediate II:

Hyatt, J.A.; Skelton, C.: Tetrahedron: Asymmetry (TASYE3) **8** (4), 523 (1997).

preparation of (+)-enantiomer by yeast reductase:

WO 9 310 254 (SmithKline Beecham; appl. 19.11.1992; GB-prior. 19.11.1991).

Formulation(s): tabl. 100 mg, 200 mg, 300 mg, 400 mg

Trade Name(s):

J: Noscal (Sankyo)

USA: Rezulin (Parke Davis; Warner-Lambert); wfm

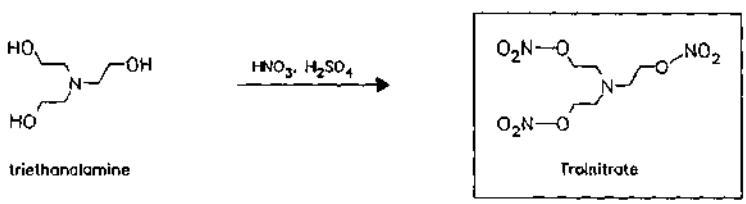
Trolnitrate

ATC: C01DA09
Use: coronary vasodilator

RN: 7077-34-1 MF: $C_6H_{12}N_4O_9$ MW: 284.18 EINECS: 230-376-3
CN: 2,2',2''-nitrilotrisethanol trinitrate (ester)

diphosphate

RN: 588-42-1 MF: $C_6H_{12}N_4O_9 \cdot 2H_3PO_4$ MW: 480.17 EINECS: 209-617-1
LD_{50}: 100 mg/kg (M, i.v.); 330 mg/kg (M, p.o.); 130 mg/kg (R, p.o.)



Reference(s):

FR 984 523 (J. Metadier; appl. 1949).

Trade Name(s):

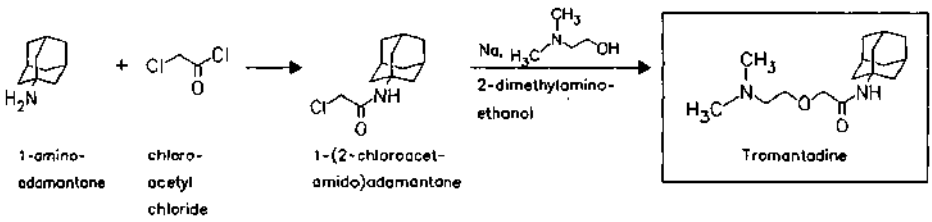
D:	Angitrit (Nordmark); wfm	Etamin (Zetia)	USA:	Metamine (Pfizer); wfm
J:	Amitolen (Hokuriku)	Sedalis (Kayaku)		

Tromantadine

ATC: D06BB02; J05AC03
Use: antiviral

RN: 53783-83-8 MF: $C_{16}H_{28}N_2O_2$ MW: 280.41 EINECS: 258-770-0
CN: 2-[2-(dimethylamino)ethoxy]-*N*-tricyclo[3.3.1.1^{3,7}]dec-1-ylacetamide

monohydrochloride
RN: 41544-24-5 MF: $C_{16}H_{28}N_2O_2 \cdot HCl$ MW: 316.87 EINECS: 255-434-5



Reference(s):
DOS 1 941 218 (Merz & Co.; appl. 13.8.1969).
Peteri, D.; Sterner, W.: Arzneim.-Forsch. (ANCEAD) **23**, 577 (1973).

Formulation(s): cream 10 mg, 100 mg/10 g; gel 10 mg, 100 mg/10 g; ointment 1 % (as monohydrochloride)

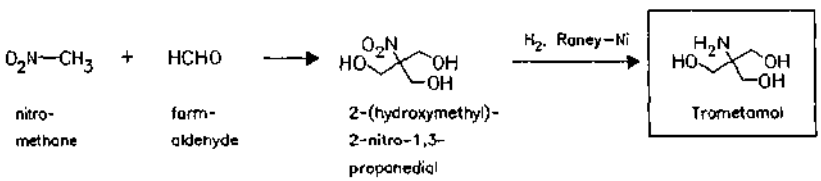
Trade Name(s):

D:	Viru-"Merz" (Merz & Co.)	Viru-"Merz" Serol (Merz & Co.)	I:	Viruserol (Novartis Consumer Health)

Trometamol
(Tromethamine)

ATC: B05BB03; B05XX02
Use: osmotic diuretic

RN: 77-86-1 MF: $C_4H_{11}NO_3$ MW: 121.14 EINECS: 201-064-4
CN: 2-amino-2-(hydroxymethyl)-1,3-propanediol



Reference(s):
US 2 174 242 (Purdue Res. Found.; 1939; appl. 1937).

electrolytic reduction:
US 2 485 982 (Commercial Solvents Corp.; 1949; appl. 1944).

Formulation(s): amp. 7.3 g/20 ml, sol. 34.36 g/100 ml

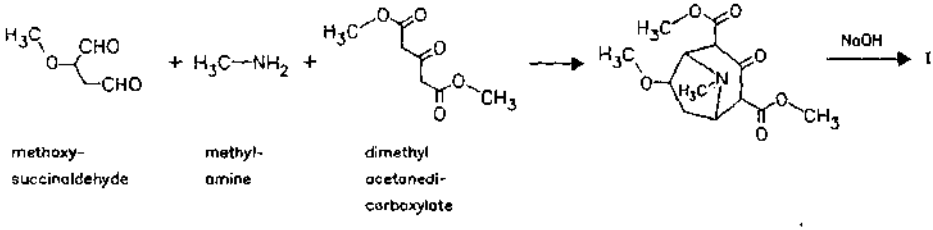
Trade Name(s):

D:	Elektrolyt-Konzentrat Tris (THAM) pfrimmer (Pfrimmer) THAM-Köhler 3 M (Köhler)		TRIS 36.34 % Braun (B/ Braun)		Thamacétat (Bellon; Rhône-Poulenc Rorer)
		F:	Almide (Alcon) Monuril (Zambon)	I:	Thamesol (Diaco) Ulcotris (ISF)-Comb.
				J:	Tham-Set (Otsuka)-comb.

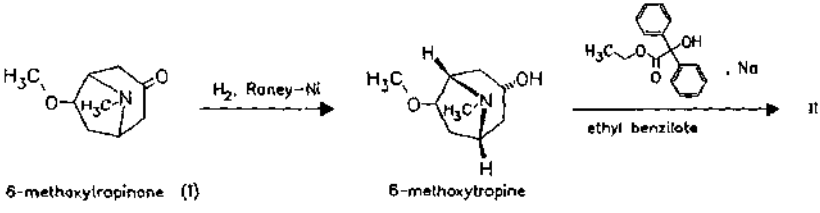
Tropenziline bromide

ATC: A03; N07
Use: antispasmodic, parasympathomimetic

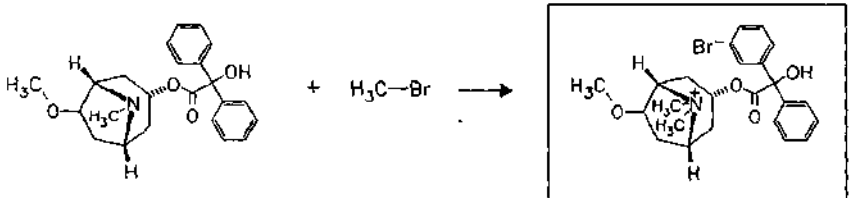
RN: 143-92-0 MF: $C_{24}H_{30}BrNO_4$ MW: 476.41 EINECS: 205-612-3
CN: 3-[(hydroxydiphenylacetyl)oxy]-6-methoxy-8,8-dimethyl-8-azoniabicyclo[3.2.1]octane bromide



methoxy-succinaldehyde | methyl-amine | dimethyl acetonedi-carboxylate



6-methoxytropinone (I) | 6-methoxytropine | ethyl benzilate



(II) | methyl bromide | Tropenziline bromide

Reference(s):
CH 325 296 (Sandoz; appl. 1954).
Stoll, A. et al.: Helv. Chim. Acta (HCACAV) **37**, 495 (1954); **38**, 571 (1955).

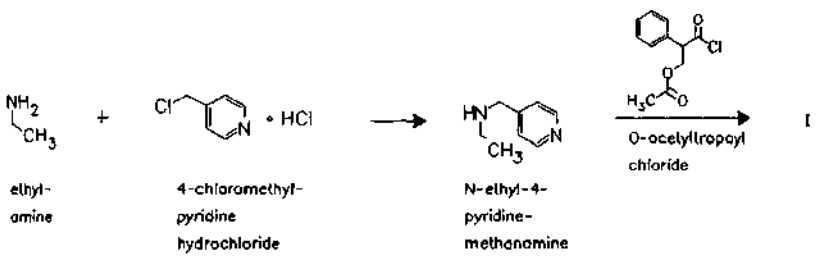
Trade Name(s):

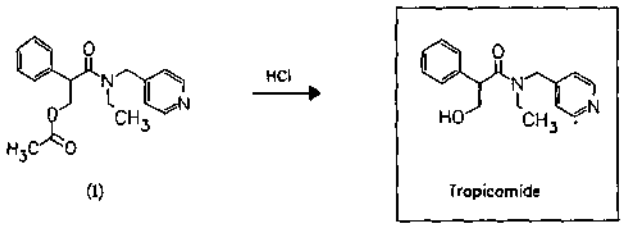
D:	Pelerol (Sandoz)-comb.; wfm	F:	Palerol (Salvoxyl-Wander); wfm

Tropicamide

ATC: S01FA06
Use: parasympatholytic, mydriatic

RN: 1508-75-4 MF: $C_{17}H_{20}N_2O_2$ MW: 284.36 EINECS: 216-140-2
LD_{50}: 565 mg/kg (M, p.o.);
865 mg/kg (R, p.o.)
CN: *N*-ethyl-α-(hydroxymethyl)-*N*-(4-pyridinylmethyl)benzeneacetamide





Reference(s):
US 2 726 245 (Hoffmann-La Roche; 1955; CH-prior. 1952).

Formulation(s): eye drops 5 mg/ml, 5 mg/0.5 ml

Trade Name(s):

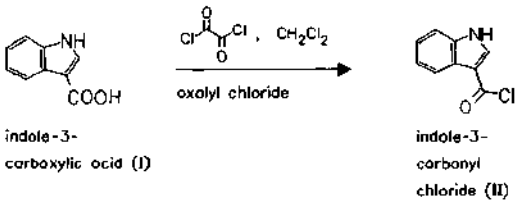
D:	Aroclunin (Chauvin ankerpharm)		Tropicamide Faure (Schering)		Visumidriatic Antif. (Merck Sharp & Dohme)-comb.
	Mydriaticum Stulln (Pharma Stulln)	GB:	Minims Tropicamide (Chauvin)		Visumidriatic Fenil (Pharmec)-comb.
	Mydrum (Chauvin ankerpharm)	I:	Tropimil (Farmigea)	J:	Mydrin (Santen)
F:	Mydriaticum (Merck Sharp & Dohme-Chibret)		Visumidriatic (Pharmec)	USA:	Tryptar (Armour); wfm

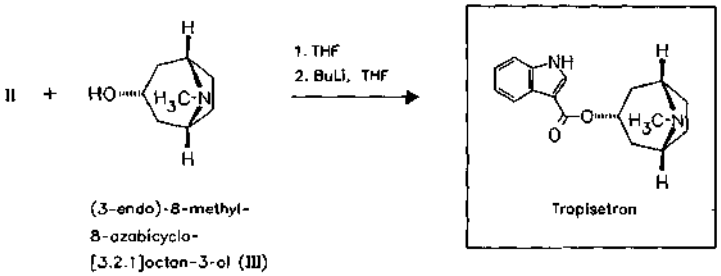
Tropisetron
(ICS-205930)

ATC: A04AA03
Use: antiemetic, antimigraine, 5-HT_3-antagonist

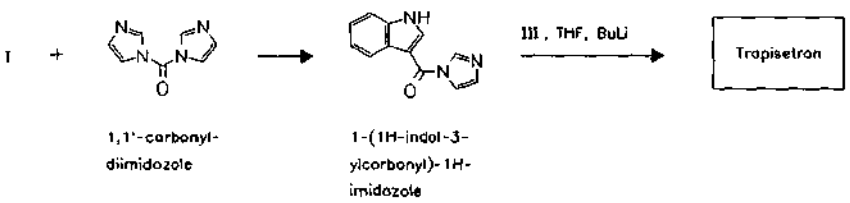
RN: 89565-68-4 MF: $C_{17}H_{20}N_2O_2$ MW: 284.36
CN: *1H*-Indole-3-carboxylic acid endo-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester

(a)





(b)



Reference(s):

a DE 3 322 574 (Novartis; appl. 23.6.1983; CH-prior. 29.6.1982).

a,b BE 901 274 (Sandoz A. G.; appl. 14.12.1984; CH-prior. 23.12.1983)

use of serotonin-5-HT-antagonists:

DE 3 724 059 (Sandoz-Patent GmbH; appl. 21.7.1987; D-prior. 7.8.1986).

b DE 3 445 377 (Sandoz-Patent GmbH; appl. 13.12.1984; CH-prior. 23.12.1983).

Langlois, M. et al.: Eur. J. Med. Chem. (EJMCA5) **28**, 869 (1993).

Formulation(s): amp. 2 mg/2 ml, 5 mg/5 ml; cps. 5 mg

Trade Name(s):

D:	Navoban (ASTA Medica AWD; Novartis Pharma)	GB:	Navoban (Novartis Pharma)
F:	Navoban (Novartis Pharma)	I:	Navoban (Novartis Pharma)

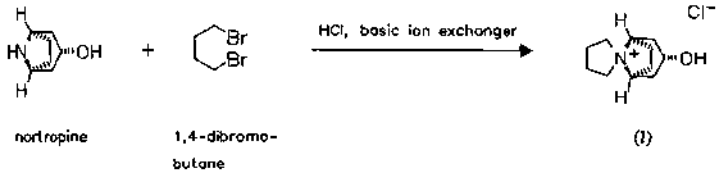
Trospium chloride

ATC: A03AB20
Use: anticholinergic, antispasmodic

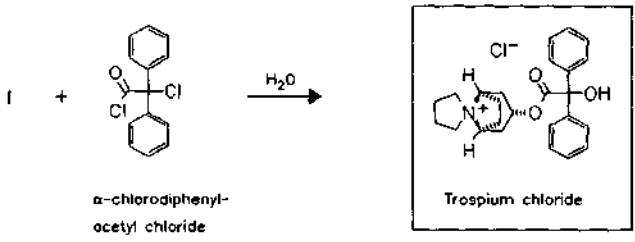
RN: 10405-02-4 MF: $C_{25}H_{30}ClNO_3$ MW: 427.97 EINECS: 233-875-4

LD_{50}: 11.2 mg/kg (M, i.v.); 812 mg/kg (M, p.o.); 15.5 mg/kg (R, i.v.); 1510 mg/kg (R, p.o.)

CN: (1α,3β,5α)-3-[(hydroxydiphenylacetyl)oxy]spiro[8-azoniabicyclo[3.2.1]octane-8,1'-pyrrolidinium] chloride



nortropine — 1,4-dibromo-butane — (I)



α-chlorodiphenyl-acetyl chloride

Reference(s):
DE 1 194 422 (Pfleger; appl. 5.3.1963).

Formulation(s): amp. 1.2 mg/2 ml, 2 mg/2 ml; drg. 15 mg, 20 mg, 30 mg; f. c. tabl. 5 mg; suppos. 0.75 mg, 1 mg

Trade Name(s):

D:	Spasmex (Pfleger)		Spasmo-Urgenin
	Spasmo-Fyt (Madaus)		(Madaus)-comb.
	Spasmo-Rhoival (Byk		Trospi-forte (medac)
	Gulden/Byk Tosse)	J:	Spasmex (Nikken)

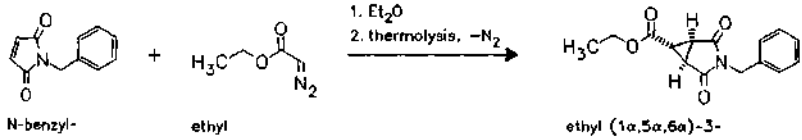
Trovafloxacin mesilate

(CP-99219; CP-99219-27)

ATC: J01MA13
Use: antibacterial

RN: 147059-75-4 MF: $C_{20}H_{15}F_3N_4O_3 \cdot CH_4O_3S$ MW: 512.47
CN: (1α,5α,6α)-7-(6-Amino-3-azabicyclo[3.1.0]hex-3-yl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acid

monohydrate
RN: 193478-08-9 MF: $C_{20}H_{15}F_3N_4O_3 \cdot CH_4O_3S \cdot H_2O$ MW: 530.48
base
RN: 147059-72-1 MF: $C_{20}H_{15}F_3N_4O_3$ MW: 416.36
hydrochloride
RN: 146961-34-4 MF: $C_{20}H_{15}F_3N_4O_3 \cdot HCl$ MW: 452.82



N-benzyl-maleimide (I) — ethyl diazoacetate (II) — ethyl (1α,5α,6α)-3-benzyl-2,4-dioxo-3-azabicyclo[3.1.0]hexane-6-carboxylate (III)

III → (1α,5α,6α)-6-(hydroxymethyl)-3-azabicyclo-[3.1.0]hexone
(1. LiAlH$_4$, THF; 2. H$_2$, Pd–C, CH$_3$OH)

(1. Cl—Cbo, dioxane, NaHCO$_3$; 2. Jones reagent, acetone) → IV

Cbo: benzyl chloroformate

(1α,5α,6α)-3-(benzyloxycarbonyl)-3-azabicyclo[3.1.0]hexane-6-carboxylic acid (IV)

(1. diphenylphosphoryl azide, TEA, HO–C(CH$_3$)$_3$; 2. H$_2$, Pd–C, CH$_3$OH) → (1α,5α,6α)-6-tert-butoxycarbonylamino-3-azabicyclo[3.1.0]-hexane (V)

Boc: tert-butoxycarbonyl

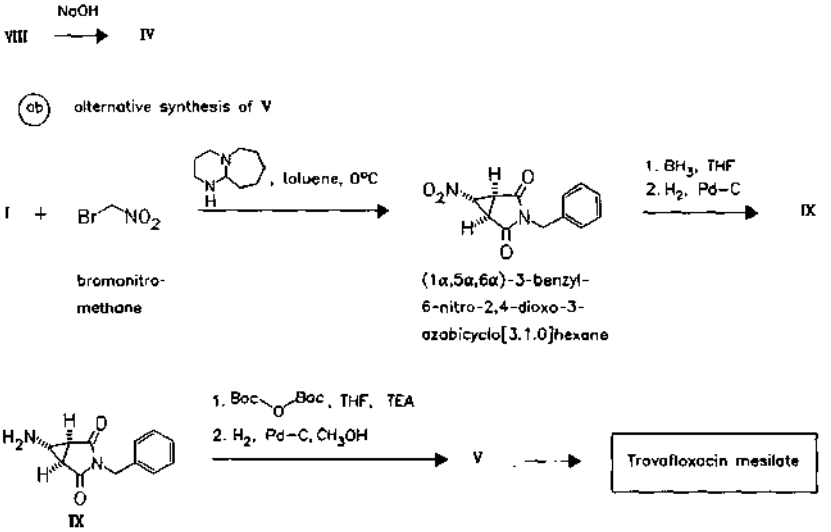
V + ethyl 7-chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylate (VI) → (VII)
($N(C_2H_5)_3$, CH_3CN)

VII + H_3C-SO_3H → Trovafloxacin mesilate

(aa) alternative synthesis of IV

2,5-dihydropyrrol + Cl—Cbo → 1-(benzyloxycarbonyl)-2,5-dihydro-1H-pyrrole

(II. $Rh(OAc)_2$, CH_2Cl_2; separation of diastereomers) → (VIII)



Reference(s):
route for trovafloxacin 6β- diastereomer:
Vilsmaier, E.; Goerz: Synthesis (SYNTBF) 739 (1998).
a US 5 164 402 (Pfizer Inc., 17.11.1992; USA-prior. 11.7.1990).
Brighty, K.E. et al.: Synlett (SYNLES) **1996**, 1097.
JP 09 012 546 (Chisso Corp.; appl. 23.6.1995).
aa Braish, T.F. et al.: Synlett (SYNLES) **1996**, 1100
Stille, J.K. et al.: J. Org. Chem. (JOCEAH) **45** (11), 2139-2145 (1980).
ES 2 095 809 (Química Synth.; appl. 27.7.1995).
ab EP 818 445 (Pfizer Inc.; appl. 1.7.1997; USA-prior. 9.7.1996).

starting material VI:
US 4 571 396 (Warner Lambert Co.; 18.2.1986; USA-prior. 16.4.1984).
US 4 775 668 (Pfizer Inc.; 4.10.1988; USA-prior. 19.8.1986).

novel crystal forms:
WO 9 639 406 (Pfizer Inc.; USA-prior. 6.6.1995).
WO 9 707 800 (Pfizer Inc.; appl. 29.7.1996; USA-prior. 29.8.1995).

polymorphs of the prodrug:
WO 9 708 191 (Pfizer Inc.; appl. 5.7.1996; USA-prior. 29.8.1995).

suspension for oral administration:
DE 19 706 978 (U. Posanski; appl. 5.1.1998; D-prior. 21.2.1997).

use for treatment of Heliobacter pylori infections:
EP 676 199 (Pfizer Inc.; appl. 23.3.1995; USA-prior. 7.4.1994).

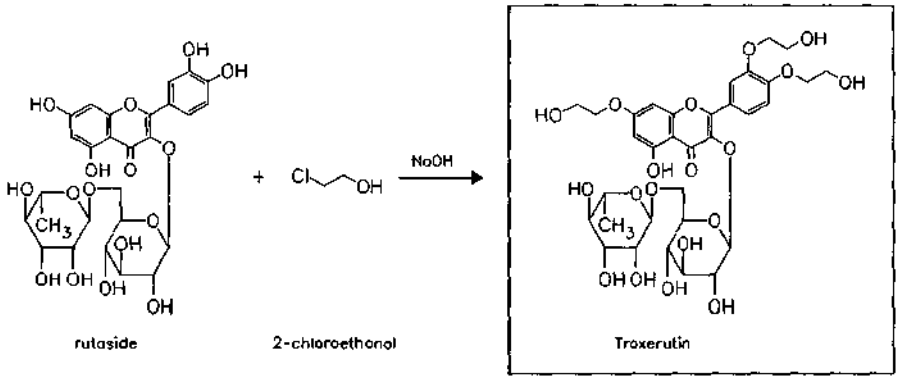
Formulation(s): tabl. 100 mg, 200 mg (as mesylate); vials, 40 ml, 60 ml with 5 mg/ml

Trade Name(s):
D: Trovan (Pfizer); wfm Turvel (Pfizer); wfm USA: Trovan (Pfizer; 1998); wfm

Troxerutin

ATC: C05CA04
Use: vein therapeutic

RN: 7085-55-4 MF: $C_{33}H_{42}O_{19}$ MW: 742.68 EINECS: 230-389-4
LD_{50}: 27.16 g/kg (R, i.p.)
CN: 2-[3,4-bis(2-hydroxyethoxy)phenyl]-3-[[6-*O*-(6-deoxy-α-L-mannopyranosyl)-β-D-glucopyranosyl]oxy]-5-hydroxy-7-(2-hydroxyethoxy)-4*H*-1-benzopyran-4-one



Reference(s):
DAS 1 061 327 (Zyma; appl. 30.12.1957; CH-prior. 4.7.1957).
GB 833 174 (Zyma S.A.; appl. 27.6.1958; CH-prior. 4.7.1957).

synthesis with ethylene oxide:
DAS 1 543 974 (Zyma; appl. 8.10.1966; F-prior. 25.10.1965; CH-prior. 9.3.1966).
DAS 1 793 746 (Zyma; appl. 8.10.1966; F-prior. 25.10.1965).

Formulation(s): cps. 300 mg; eye drops 50 mg/ml; f. c. drg 300 mg; f. c. tabl. 250 mg, 300 mg; s. r. tabl. 300 mg

Trade Name(s):

D: Drisi-Ven (Sertürner)
Pherarutin (Kanoldt)
Posorutin (Ursapharm)
Troxerutin-ratiopharm (ratiopharm)
Troxeven (Kreussler)
Vastribil (Farmasan)
Veno SL 300 (Ursapharm)
. numerous combination preparations

F: Ginkor (Beaufour)-comb.
Rhéobral (Niverpharm)
Rhéoflux (Niverpharm)
Veinamitol (Negma)
Vivéne (Labs. de L'Aérocid)

GB: Paroven (Zyma); wfm

I: Dermoangiopan (Abiogen Pharma)-comb.
Emorril (Poli)-comb.
Flebil (Molteni)
Premium (Synthelabo)-comb.
Venolen (Farmacologico Milanese)

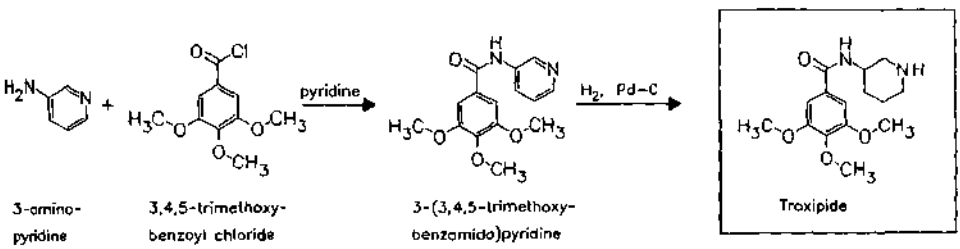
Troxipide

ATC: A02BX11
Use: ulcer therapeutic

RN: 99777-81-8 MF: $C_{15}H_{22}N_2O_4$ MW: 294.35
CN: (±)-3,4,5-trimethoxy-*N*-3-piperidinylbenzamide

base
RN: 30751-05-4 MF: $C_{15}H_{22}N_2O_4$ MW: 294.35
LD_{50}: 100 mg/kg (M, i.v.); 2 g/kg (M, p.o.); 2100 mg/kg (R, p.o.)

monohydrochloride
RN: 30751-03-2 MF: $C_{15}H_{22}N_2O_4 \cdot HCl$ MW: 330.81
LD_{50}: 300 mg/kg (M, i.p.); 2000 mg/kg (M, p.o.); 1550 mg/kg (M, s.c.); 340 mg/kg (R, i.p.); 2100 mg/kg (R, p.o.)



Reference(s):
DOS 1 938 512 (Kyorin; J-prior. 30.6.1969).
DOS 1 967 324 (Kyorin; J-prior. 30.6.1969).
US 3 647 805 (Kyorin; 7.3.1972; appl. 11.7.1969).
Irikura, T. et al.: J. Med. Chem. (JMCMAR), **14**, 357 (1971).

medical use for treatment of gastritis:
EP 254 068 (Kyorin; appl. 25.6.1987; J-prior. 26.6.1986).

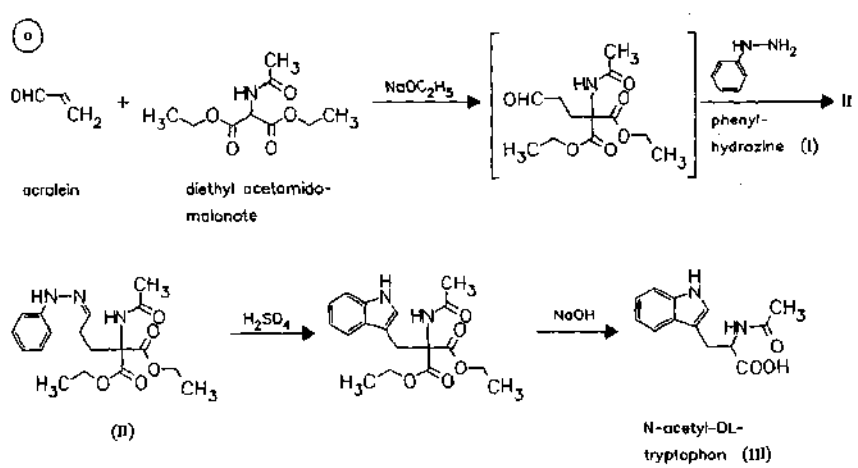
Formulation(s): tabl. 50 mg, 100 mg

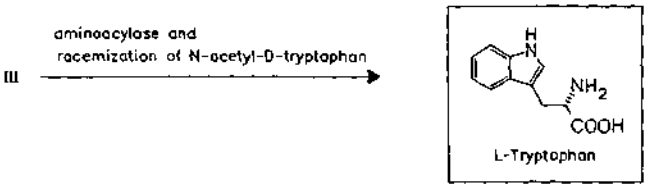
Trade Name(s):
J: Aplace (Kyorin; 1986)

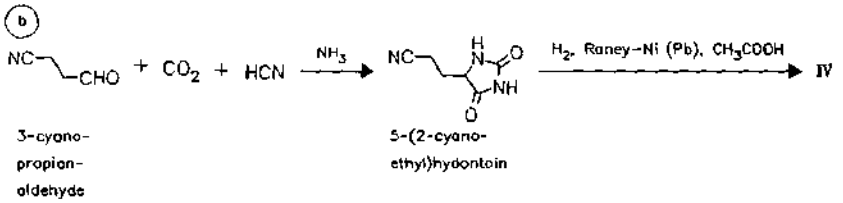
L-Tryptophan

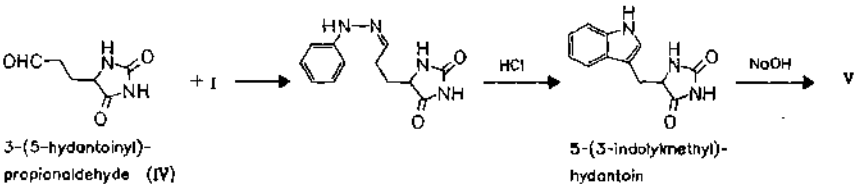
ATC: N06AX02
Use: antidepressant, essential amino acid

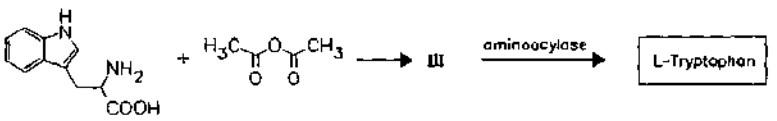
RN: 73-22-3 MF: $C_{11}H_{12}N_2O_2$ MW: 204.23 EINECS: 200-795-6
LD_{50}: >16 g/kg (R, p.o.)
CN: L-tryptophan











Reference(s):

a Warner, O.T.; Moe, O.A.: J. Am. Chem. Soc. (JACSAT) **70**, 2765 (1948).
b Komachiya, Y. et al.: Nippon Kagaku Kaishi (NKAKB8) **86**, 856 (1965).

enzymatic racemate resolution:

Chibata, I. et al.: Bull. Agric. Chem. Soc. Jpn. (BACOAV) **21**, 58, 304 (1957).

purification:

US 5 057 615 (Mitsui Toatsu; 15.10.1991; J-prior. 27.6.1989).

combination with beta blocking agents:

US 4 161 530 (Ciba-Geigy; 17.7.1979; CH-prior. 6.1.1975).
GB 1 531 091 (Ciba-Geigy; appl. 5.1.1976; CH-prior. 6.1.1975).
Volk, W. et al.: Arzneim.-Forsch. (ANCEAD) **28** (II), 1798 (1978).

newer syntheses for DL-tryptophan:

Hengartner, M. et al.: J. Org. Chem. (JOCEAH) **44**, 3748 (1979).

Formulation(s): f. c. tabl. 500 mg; tabl. 500 mg

Trade Name(s):

D: Ardeytropin (Ardeypharm)
Kalma (Fresenius-Praxis)
Lypharm (esparma)
numerous combination preparations

F: Actitonic (Amido; as DL-form)-comb.; wfm
Actitonic (Reygagne)-comb.; wfm

GB: Optimax (Merck)-comb.

J: Eltrip (Ono)
Tryptan (Daigo Eiyo)

USA: Trofan (Upsher-Smith); wfm
Tryptacin (Arther); wfm
Tryptacin (Nutrition Control Products); wfm
Tryptophane (Nature's Bounty); wfm
Tryptophane (Solgar); wfm
Tryptoplex (Tyson); wfm

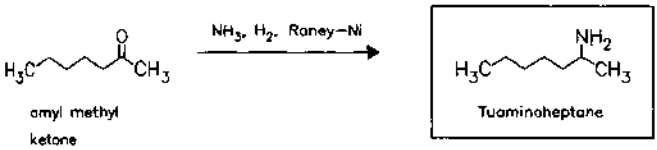
Tyson L-Tryptophan U.S.P.
(Tyson); wfm

Tuaminoheptane
(Heptylamine)

ATC: R01AA11; R01AB08
Use: sympathomimetic, vasoconstrictor

RN: 123-82-0 MF: $C_7H_{17}N$ MW: 115.22 EINECS: 204-655-5
LD_{50}: 60 mg/kg (M, i.p.); 115 mg/kg (M, s.c.);
130 mg/kg (R, s.c.)
CN: 2-heptanamine

sulfate (2:1)
RN: 6411-75-2 MF: $C_7H_{17}N \cdot 1/2H_2O_4S$ MW: 328.52 EINECS: 229-113-5
LD_{50}: 16.3 mg/kg (M, i.v.);
47.3 mg/kg (R, i.v.)



Reference(s):
Norton, D.G. et al.: J. Org. Chem. (JOCEAH) **19**, 1054 (1954).

Formulation(s): nasal spray 50 mg/10 ml

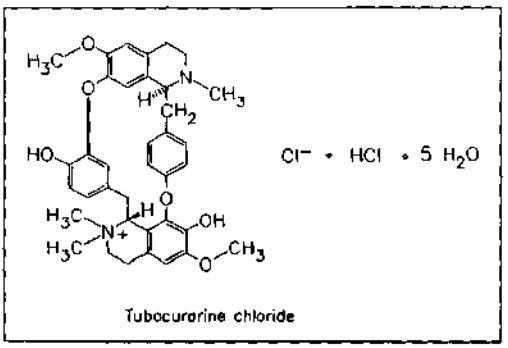
Trade Name(s):
D: Rinofluimucil-S (Inpharzam)-comb.
F: Rhinofluimucil (Zambon)-comb.
I: Otomicetina (Deca)-comb.
Rinofluimucil (Zambon Italia)-comb.

Tubocurarine chloride

ATC: M03AA02
Use: muscle relaxant

RN: 6989-98-6 MF: $C_{37}H_{41}ClN_2O_6 \cdot HCl \cdot 5H_2O$ MW: 771.73
LD_{50}: 130 µg/kg (M, i.v.); 150 mg/kg (M, p.o.)
CN: 7',12'-dihydroxy-6,6'-dimethoxy-2,2',2'-trimethyltubocuraranium chloride hydrochloride pentahydrate

anhydrous
RN: 57-94-3 MF: $C_{37}H_{41}ClN_2O_6 \cdot HCl$ MW: 681.66 EINECS: 200-356-9
LD_{50}: 97 µg/kg (M, i.v.); 33 mg/kg (M, p.o.);
66 µg/kg (R, i.v.); 28 mg/kg (R, p.o.)



Tubocurarine chloride

By extraction from *Chondrodendron tomentosum* (Ampi Huasca) and purification via the picrate.

Reference(s):
US 2 409 241 (Squibb; 1946; prior. 1944).
US 2 600 539 (Parke Davis; 1952; appl. 1947).
Everett, A.J. et al.: J. Chem. Soc. D (CCJDAO) **1970**, 1020.
Codding, P.W.; James, M.N.G.: J. Chem. Soc. D (CCJDAO) **1972**, 1.

Formulation(s): amp. 3 mg/ml

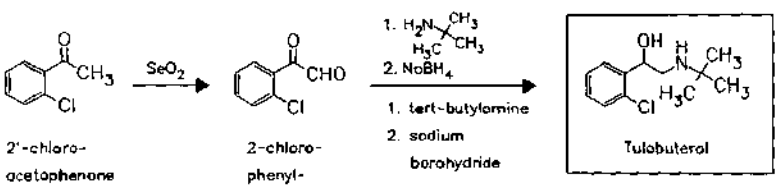
Trade Name(s):

D:	Curarin Asta (ASTA); wfm Curarin HAF (Ethicon); wfm	GB:	Jexin (Duncan, Flockhart); wfm Tubarine (Calmic); wfm		Tubarine (Wellcome); wfm
F:	D-Tubocurarine Abbott (Abbott); wfm	I:	Curarin (Schering); wfm Intocortrin T (Squibb); wfm	J: USA:	Amelizol (Yoshitomi) Tubocurarine Chloride (Lilly)

Tulobuterol

ATC: R03AC11; R03CC11
Use: bronchodilator

RN: 41570-61-0 MF: $C_{12}H_{18}ClNO$ MW: 227.74
CN: 2-chloro-α-[[(1,1-dimethylethyl)amino]methyl]benzenemethanol



2'-chloroacetophenone — 2-chloro-phenylglyoxal — Tulobuterol

Reference(s):
DOS 2 244 737 (Hokuriku; appl. 12.9.1972; J-prior. 13.9.1971).

Formulation(s): sol. 1 mg/5 ml; syrup 1 mg/5 ml; tabl. 2 mg (as hydrochloride)

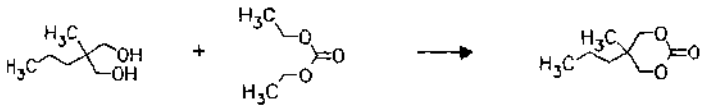
Trade Name(s):

D:	Atenos (UCB; 1985) Brelomax (Abbott; 1985)	GB: J:	Respacal (UCB) Berachin (Tokyo Tanabe)	Hokunalin (Hokuriku)

Tybamate

ATC: M03
Use: tranquilizer, skeletal muscle relaxant

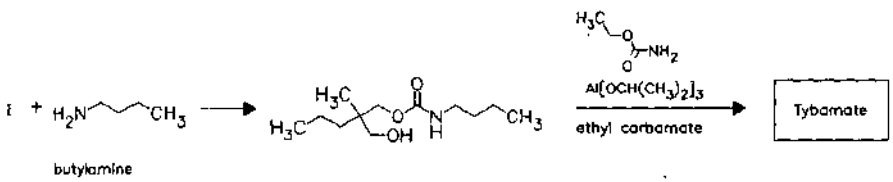
RN: 4268-36-4 MF: $C_{13}H_{26}N_2O_4$ MW: 274.36 EINECS: 224-254-9
LD_{50}: 254 mg/kg (M, i.v.); 800 mg/kg (M, p.o.);
1040 mg/kg (R, p.o.)
CN: butylcarbamic acid 2-[[(aminocarbonyl)oxy]methyl]-2-methylpentyl ester



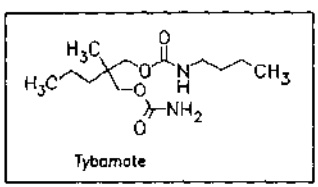
2-methyl-2-propyl-1,3-propanediol (cf. meprobamate synthesis)

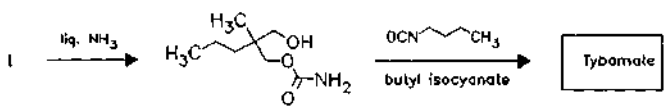
diethyl carbonate

5-methyl-5-propyl-1,3-dioxan-2-one (*I*)



butylamine





Reference(s):
US 2 937 119 (Carter Products; 17.5.1960; prior. 11.6.1959).

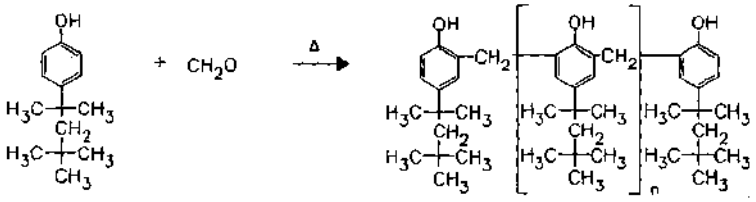
alternative synthesis:
DE 1 196 638 (Orgamol; appl. 27.2.1962; CH-prior. 2.3.1961).

Trade Name(s):
USA: Solacen (Wallace); wfm Tybatran (Robins); wfm

Tyloxapol

ATC: R05CA01
Use: tenside, mucolytic agent

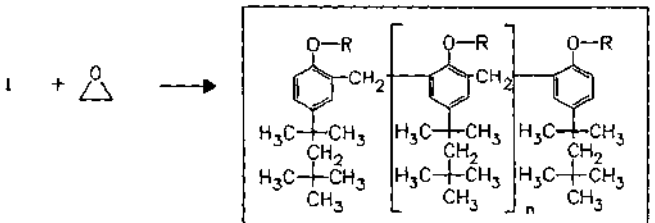
RN: 25301-02-4 MF: $[C_{14}H_{22}O \cdot C_2H_4O \cdot CH_2O]x$ MW: unspecified
CN: formaldehyde polymer with oxirane and 4-(1,1,3,3-tetramethylbutyl)phenol



4-(1,1,3,3-tetramethylbutyl)-phenol

formaldehyde

(I)



ethylene oxide

Tyloxapol

Reference(s):
US 2 454 541 (Rohm & Haas; 1948; appl. 1944).

Formulation(s): eye drops 2.5 mg/ml, 10 mg/ml; intratracheal susp. 8 mg/10 ml; sol. 0.25 mg/ml, 1.25 mg/ml; vial 0.25 mg/ml

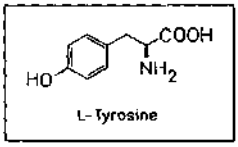
Trade Name(s):

D:	Complete (Pharm-Allergan)-comb. Enoclen (Alcon)-comb. Exosurf (Glaxo Wellcome)-comb.	F:	Tacholiquin (bene-Arzneimittel)-comb. Contactol (Merck Sharp & Dohme-Chibret)-comb.; wfm	GB: J: USA:	Alevaire (Winthrop); wfm Alevaire (Nippon Shoji) Exosurf Neonatal (Glaxo Wellcome)-comb.

L-Tyrosine

Use: non-essential proteinogenic amino acid (for infusion solution)

RN: 60-18-4 MF: $C_9H_{11}NO_3$ MW: 181.19 EINECS: 200-460-4
LD_{50}: >1450 mg/kg (M, i.p.)
CN: L-tyrosine



L-Tyrosine

Preparation by acidic proteine hydrolysis (e. g. of keratines) with following fractionated crystallization (obtained in commonly with L-cystine).

Reference(s):
Ullmann's Encyclopedia of Industrial Chemistry, 5th Ed., Vol. **A2**, 74.

Formulation(s): sol. 2.5 %, 3 %, 3.5 %, 4.5 %, 6 %, 10 %, 15 %; tabl. 30 mg

Trade Name(s):

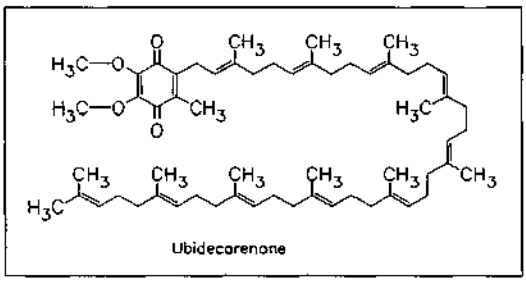
D:	numerous combination preparations	I:	Alfa Kappa (Farma-Biagini)-comb.	USA:	ISI F/2/st (ISI)-comb. Catemine (Tyson)-comb.

Ubidecarenone

(Coenzym Q; Ubiquinone-10)

ATC: C01EB09
Use: cardiovascular agent, antihypertensive

RN: 303-98-0 MF: $C_{59}H_{90}O_4$ MW: 863.37 EINECS: 206-147-9
CN: 2-(3,7,11,15,19,23,27,31,35,39-decamethyl-2,6,10,14,18,22,26,30,34,38-tetracontadecaenyl)-5,6-dimethoxy-3-methyl-2,5-cyclohexadiene-1,4-dione



From culture of *Sporidiobolus johnsonii* (ATCC 20490), *Sporidiobolus ruinenii* (ATCC-20489), *Oosporidium margaritiferum* (ATCC 10676), *Rhodotorula muciladinosa* (AHM 3946), *Xanthomonas stewartii* (Pasteur-No. 1035 and 1036).

Reference(s):
US 4 070 244 (Takeda; 24.1.1978; J-prior. 27.2.1976).
DOS 2 740 614 (Kanegafuchi; appl. 9.9.1977; J-prior. 14.9.1976).
DOS 2 834 952 (Lab. Bellon; appl. 10.8.1978; GB-prior. 17.8.1977).

synthesis from 2-methyl-4,5,6-trimethoxyphenol *and* decaprenol:
US 3 068 295 (Merck & Co.; 11.12.1962; appl. 3.9.1958).
US 3 896 153 (Eisai; 22.7.1975; J-prior. 6.4.1973).
US 4 062 879 (Eisai; 13.12.1977; J-prior. 29.9.1975).

medical use as antihypertensive:
US 3 808 330 (Eisai; 30.4.1974; J-prior. 13.7.1972).

medical use for improvement of hearing:
US 4 073 883 (Eisai; 14.2.1978; J-prior. 5.3.1976).

medical use as gerontotherapeutic:
US 4 156 718 (The New England Institute; 29.5.1979; prior. 19.11.1976, 12.12.1977).

Formulation(s): amp. 50 mg; cps. 50 mg; drg. 50 mg; tabl. 10 mg, 25 mg, 50 mg, 60 mg, 200 mg

Trade Name(s):

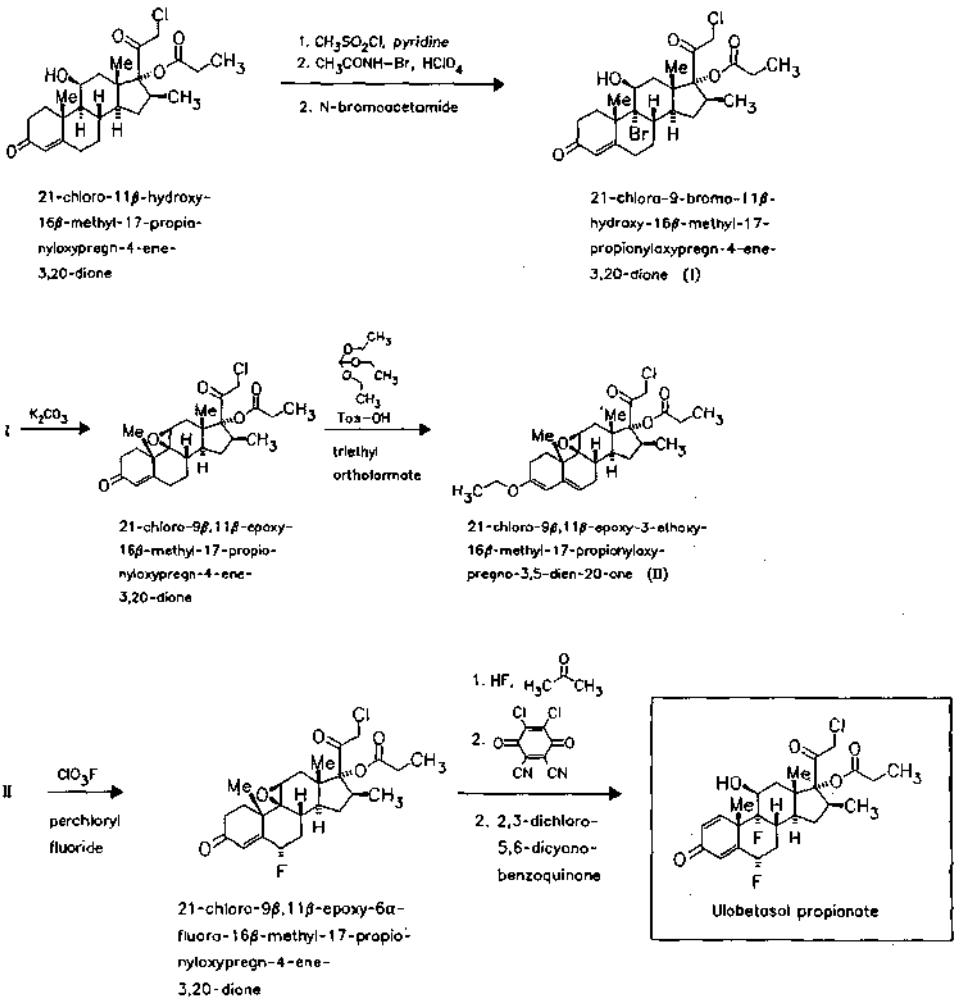
I:	Caomet (Astra Farmaceutici)		Miotyn (Ibirn)		Inokiten (Nippon Yakuhin)
	Coedieci (Mitim)		Mitocor (Zambon Italia)		Neuquinon (Eisai)
	Decafar (Lafare)		Roburis (Ripari-Gero)		Yubekinon (Hishiyama)
	Decorenone (Italfarmaco)		Ubifactor (Sancarlo)	USA:	Coenzyme Q10 (Vitaline)
	Dymion (Pulitzer)		Ubimaior (Master Pharma)		Co-Q-10 (Tyson)
	Iuvacor (Scharper)		Ubiten (Zilliken)		Co-Q 10 (Carlson)
	Miodene (Bioprogress)		generics		
		J:	Heartcin (Ohta)		

Ulobetasol propionate

ATC: D07A
Use: topical corticosteroid

RN: 66852-54-8 MF: $C_{25}H_{31}ClF_2O_5$ MW: 484.97
LD_{50}: >15 ml/kg (R, p.o.)
CN: (6α,11β,16β)-21-chloro-6,9-difluoro-11-hydroxy-16-methyl-17-(1-oxopropoxy)pregna-1,4-diene-3,20-dione

halobetasol
RN: 98651-66-2 MF: $C_{22}H_{27}ClF_2O_4$ MW: 428.90



Reference(s):
CH 631 185 (Ciba-Geigy; appl. 1.1.1978).
DE 2 743 069 (Ciba-Geigy; appl. 24.9.1977; LUX-prior. 29.9.1976).
BE 849 268 (Ciba-Geigy; appl. 28.9.1977; LUX-prior. 29.9.1976).
GB 1 537 130 (Ciba-Geigy; appl. 27.9.1977; LUX-prior. 29.9.1976).

synthesis of 21-chloro-11β-hydroxy-16β-methyl-17-propionyloxypregn-4-ene-3,20-dione:
GB 898 293 (Upjohn; appl. 14.3.1960; USA-prior. 18.3.1959).

Formulation(s): cream 0.05 %; ointment 0.05 %

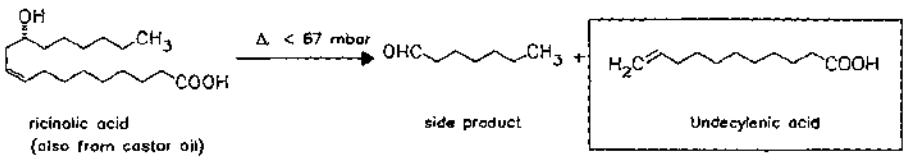
Trade Name(s):
USA: Ultravate (Westwood-Squibb)

Undecylenic acid

(10-Undecensäure; Undecenoic acid)

ATC: D01AE04
Use: antifungal

RN: 112-38-9 MF: $C_{11}H_{20}O_2$ MW: 184.28 EINECS: 203-965-8
LD_{50}: 8150 mg/kg (M, p.o.); 2500 mg/kg (R, p.o.)
CN: 10-undecenoic acid



Reference(s):
Krafft, F.: Ber. Dtsch. Chem. Ges. (BDCGAS) **10**, 2034 (1877).
Perkins, G.A.; Cruz, A.O.: J. Am. Chem. Soc. (JACSAT) **49**, 1070 (1927).

Formulation(s): cream 43 mg; liquid 30 mg; ointment 43 mg; powder 53 mg; soap 1 g; sol. 0.1 g/100 g

Trade Name(s):
D: Skinman soft (Hentzel)
GB: Ceanel (Quinoderm)-comb.
I: Foot Zeta (Zeta)-comb.
Micofoot Zeta (Zeta)-comb.
J: Andecin (Fuji Seiaku)
USA: Breezee Mist Foot Powder (Pedinol)-comb.

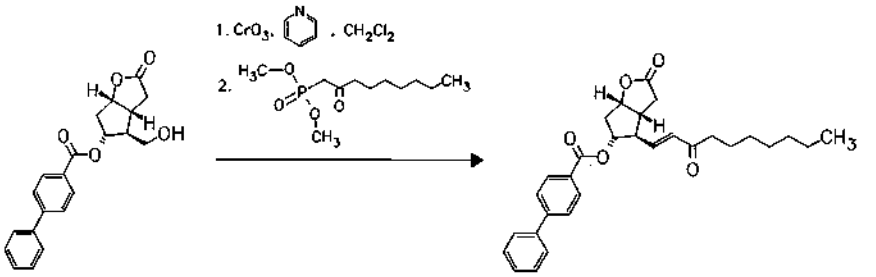
Unoprostone isopropyl

(UF-021)

ATC: G02AD
Use: ocular antihypertensive, antiglaucoma, prostaglandin derivative

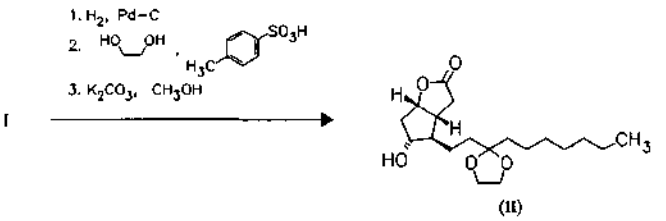
RN: 120373-24-2 MF: $C_{25}H_{44}O_5$ MW: 424.62
CN: [1*R*-[1α(*Z*),-2β,3α,5α]]-7-[3,5-dihydroxy-2-(3-oxodecyl)cyclopentyl]-5-heptenoic acid 1-methylethyl ester

unoprostone
RN: 120373-36-6 MF: $C_{22}H_{38}O_5$ MW: 382.54

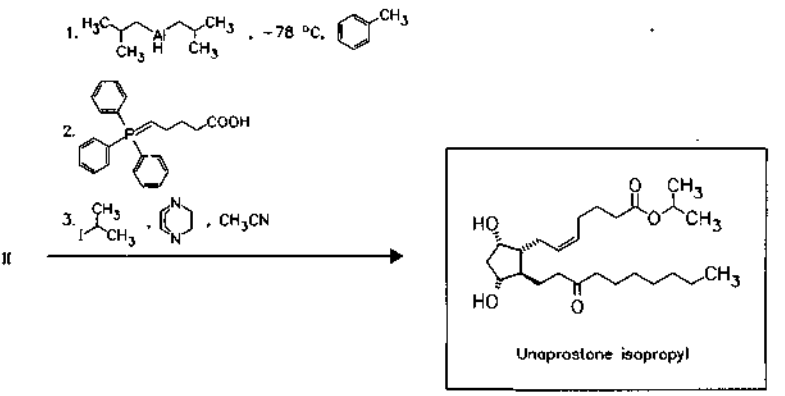


(−)-Corey lactone
(cf. dinaprost)

(I)



(II)



Reference(s):
Ueno, R.; Kuno, S.; Miwa, N.; Takase, M.: 7th Int. Conf. Prostagland. Relat. Compound (May 28-June 1, Florence) 1990, 28.
EP 289 349 (Kabushiki Kaisha Keno Seiyaku Oyo Kenkyusho; appl. 2.11.1988; J-prior. 30.4.1987, 18.9.1987, 29.12.1987, 30.4.1987, 17.9.1987).
EP 308 135 (Kabushiki Kaisha Keno Seiyaku Oyo Kenkyusho; appl. 8.9.1988; J-prior. 18.9.1987; 29.12.1987).

preparation of prostaglandin intermediates:
EP 532 218 (R-Tech Keno Ltd; appl. 2.9.1992; J-prior. 3.9.1991).

use of unoprostone isopropyl:
EP 308 135 (Kabushiki Kaisha Keno Seiyaku Oyo Kenkyusho; appl. 22.3.1989; J-prior. 18.9.1988, 29.12.1987).
EP 561 073 (R-Tech Keno; appl. 22.9.1993; J-prior. 19.3.1992).
EP 501 678 (Keno Seiyaku Oyo Kenkyujo; appl. 2.9.1992; J-prior. 1.3.1991).
EP 458 589 (Kabushiki Kaisha Keno Seiyaku Oyo Kenkyusho; appl. 27.11.1991; 22.5.1990).

pharmaceutical compositions:
CA 2 065 889 (R-Tech Keno; appl. 3.4.1993; J-prior. 2.10.1991).
EP 330 511 (Kabushiki Kaisha Keno Seiyaku Oyo Kenkyusho; appl. 30.8.1989; J-prior. 26.2.1989).
EP 668 076 (R-Tech Keno; appl. 15.3.1994; J-prior. 26.8.1992; EP-prior. 16.2.1994; CA-prior. 17.2.1994; USA-prior. 25.2.1994).

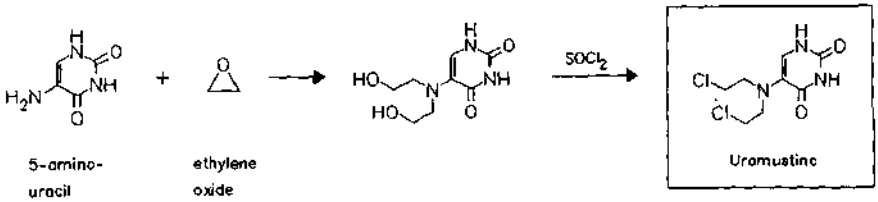
Formulation(s): eye drops 6 mg/5 ml

Trade Name(s):
J: Rescula (Ueno/Fujisawa)

Uramustine
(Chlorethaminacil; Uracil-Mustard)

ATC: L01
Use: antineoplastic

RN: 66-75-1 MF: $C_8H_{11}Cl_2N_3O_2$ MW: 252.10 EINECS: 200-631-3
LD_{50}: 3550 µg/kg (R, p.o.)
CN: 5-[bis(2-chloroethyl)amino]-2,4(1*H*,3*H*)-pyrimidinedione



Reference(s):
US 2 969 364 (Upjohn; 24.1.1961; appl. 26.12.1957).

Formulation(s): cps. 1 mg

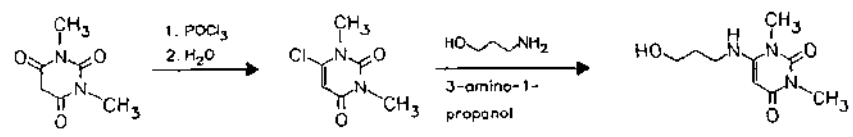
Trade Name(s):
GB: Uracil Mustard (Upjohn); wfm
USA: Uracil Mustard (Upjohn); wfm

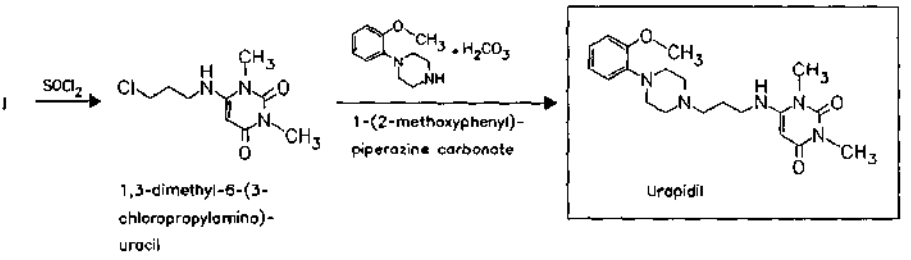
Urapidil

ATC: C02CA06
Use: antihypertensive

RN: 34661-75-1 MF: $C_{20}H_{29}N_5O_3$ MW: 387.48 EINECS: 252-130-4
LD_{50}: 203 mg/kg (M, i.v.); 508 mg/kg (M, p.o.);
140 mg/kg (R, i.v.); 520 mg/kg (R, p.o.);
357 mg/kg (dog, p.o.)
CN: 6-[[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]amino]-1,3-dimethyl-2,4(1*H*,3*H*)-pyrimidinedione

hydrochloride
RN: 64887-14-5 MF: $C_{20}H_{29}N_5O_3 \cdot HCl$ MW: 423.95
fumarate
RN: 102411-11-0 MF: $C_{20}H_{29}N_5O_3 \cdot xC_4H_2O_4$ MW: unspecified





Reference(s):
DE 1 942 405 (Byk Gulden; appl. 20.8.1969).
US 3 957 786 (Byk Gulden; 18.5.1976; D-prior. 20.8.1969).
US 4 067 982 (Byk Gulden; 10.1.1978; prior. 20.8.1970, 8.4.1976).

addition compound with furosemide:
GB 1 512 771 (Byk Gulden; appl. 7.2.1977; L-prior. 9.2.1976).

Formulation(s): amp. 27.35 mg, 54.7 mg (as hydrochloride); amp. 25 mg, 50 mg; cps. 30 mg, 60 mg (as fumarate): s. r. cps. 30 mg, 60 mg, 90 mg

Trade Name(s):

D:	Alpha-Depressan (OPW)	Eupressyl gél (Byk)	I:	Ebrantil-30/-60 (Byk Gulden; as fumarate)
	Ebrantil (Byk Gulden)	Mediatensyl gél (Débat cardio)		Ebrantil-50 (Byk Gulden)
F:	Eupressyl (Byk; as hydrochloride)		J:	Ebrantil (Kaken)

Urokinase

ATC: B01AD04
Use: plasminogen activator, fibrinolytic

RN: 9039-53-6 MF: unspecified MW: unspecified EINECS: 232-917-9
LD_{50}: >3000000 iu/kg (M, i.v.); >2.727 mg/kg (M, p.o.); >3000000 iu/kg (R, i.v.); >2.727 mg/kg (R, p.o.); >909 µg/kg (dog, i.v.)
CN: urokinase (enzyme-activating)

a From human urine.
b From culture of renal cells. Enrichment and purification occurs via combined adsorption and elution processes, e. g. on $BaSO_4$, silica gels, DEAE-cellulosis, ion-exchange resins (e. g. Amberlite-IRC-50).

Reference(s):
a Sobal et al.: Am. J. Physiol. (AJPHAP) **171**, 768 (1952).
US 2 961 382 (Ortho; 1960; appl. 1957).
US 2 983 647 (Leavens; 1961; GB-prior. 1955).
US 2 989 440 (Ortho; 1961; appl. 1959).
US 3 081 236 (Warner-Lambert; 12.3.1963; appl. 26.4.1961).
DAS 2 616 761 (Hitachi Chemical; appl. 15.4.1976; J-prior. 18.4.1975).
DAS 2 629 886 (Asahi; appl. 2.7.1976; J-prior. 4.7.1975).
DAS 2 632 212 (Hitachi Chemical; appl. 16.7.1976; J-prior. 16.7.1975).
b DAS 2 551 017 (Abbott; appl. 13.11.1975; USA-prior. 31.3.1975).

purification:
White et al.: Biochemistry (BICHAW) **5**, 2160 (1966).
US 3 256 158 (Abbott; 14.6.1966; appl. 22.3.1963).
US 3 542 646 (Green Cross; 24.11.1970; J-prior. 22.11.1966).
DOS 2 143 815 (Mochida; appl. 1.9.1971; J-prior. 5.9.1970).
DOS 2 143 816 (Mochida; appl. 1.9.1971; J-prior. 4.9.1970).
DOS 2 246 969 (Choay; appl. 25.9.1972; F-prior. 24.9.1971, 30.6.1972).
US 3 723 251 (Mochida; 27.3.1972; J-prior. 4.9.1970).
DAS 2 502 095 (Green Cross; appl. 20.1.1975; J-prior. 22.1.1974, 28.1.1974).
GB 1 498 018 (Abbott; appl. 3.10.1975; USA-prior. 20.11.1974).
DOS 2 809 330 (Sumitomo; appl. 3.3.1978; J-prior. 10.3.1977).
DOS 2 823 353 (Sumitomo; appl. 29.5.1978; J-prior. 3.6.1977).
US 4 160 697 (Tanabe Seiyaku; 10.7.1979; J-prior. 9.4.1977, 28.4.1977, 30.9.1977).
US 4 169 764 (Ajinomoto; 2.10.1979; J-prior. 13.8.1975).

stabilization in aqueous solution:
US 3 950 223 (Ajinomoto; 13.4.1976; J-prior. 7.12.1972).

crystallized urokinase:
Lesuk et al.: Science (Washington, D.C.) (SCIEAS) **147**, 880 (1965).

Formulation(s): vial 5000 iu/ml, 250000 iu/5 ml, 2500 iu, 50000 iu, 100000 iu, 250000 iu, 500000 iu, 600000 iu.

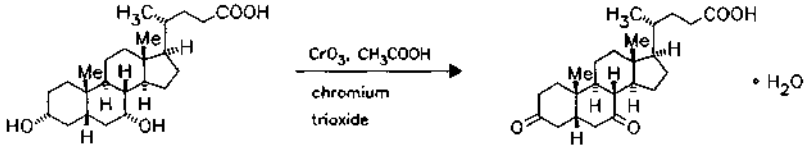
Trade Name(s):

D:	Actosolv (Hoechst)		Urokinase Choay (Sanofi		Persolv (Lepetit)
	Alphakinase (Alpha)		Winthrop)		Purochin (Sclavo Pharma)
	Corase (medac)	GB:	Ukidan (Serono)		Ukidan (Serono)
	Rheotromb (curasan)	I:	Actosolv (Hoechst Marion		Urochinase (Crinos; Sanofi
	Urokinase-medac (medac)		Roussel)		Winthrop)
F:	Actosolv urokinase		Alfakinasi (Alfa	J:	Urokinase (Green Cross)
	(Hoechst Houdé)		Wassermann)	USA:	Abbokinase (Abbott)
			Kisolv (Ecupharma)		

Ursodeoxycholic acid

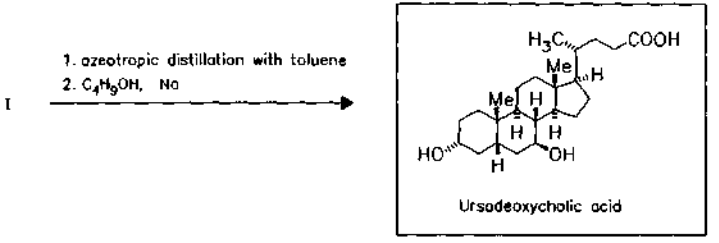
ATC: A05AA02
Use: choleretic

RN: 128-13-2 MF: $C_{24}H_{40}O_4$ MW: 392.58 EINECS: 204-879-3
CN: (3α,5β,7β)-3,7-dihydroxycholan-24-oic acid



chenodeoxycholic acid (q. v.)

(I)



Ursodeoxycholic acid

Reference(s):
FR 1 372 109 (Tanabe; appl. 23.9.1963; J-prior. 31.10.1962).

Formulation(s): cps. 225 mg, 250 mg, 300 mg; f. c. tabl. 250 mg, 400 mg; gran. 5 %; tabl. 150 mg

Trade Name(s):

D: Cholit-Ursan (Fresenius)
Cholofalk (Falk)
Peptarom (Fresenius)
UDC (Hexal)
Urso (Heumann)
Ursochol (Inpharzam)
Ursofalk (Falk)

F: Arsacol (Zambon)
Délursan (Hoechst Houdé)
Destolit (Marion Merrell)
Ursolvan (Synthélabo)

GB: Combidol (CD Pharm.)-comb.
Destolit (Hoechst)
Ursofalk (Thiames)

I: Biliepar (Ibirn)
Desocol (Campugnani)
Deursil (Sanofi Winthrop)
Fraurs (Francia Farm.)
Galmax (Max Farma)
Lentorsil (Italfarmaco)
Litoff (Caber)
Litursol (Crinos)
Ursacol (Zambon Italia)
Ursilon (IBI)
Ursobil (ABC-Torino)
Ursoflor (So. se Pharm.)
Ursolisin (Magis)
generics

J: Like (SS Seiyaku)-comb.
Urso (Tanabe)
Urso 100 (Tanabe)
Zeria Ichoyaku (Zeria Shinyaku Kogyo)-comb.

USA: Actigall (Novartis)

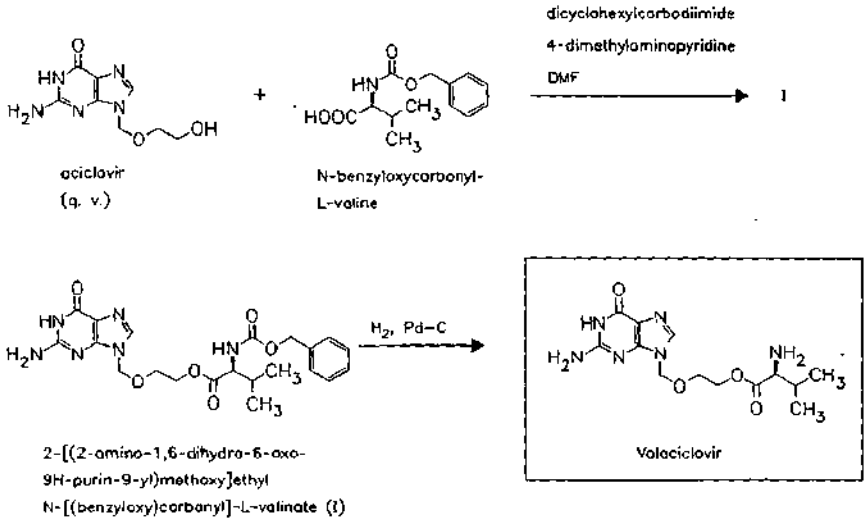
Valaciclovir

(BW-256U; 256 U 87)

ATC: J05AB11
Use: antiviral, prodrug of aciclovir

RN: 124832-26-4 MF: $C_{13}H_{20}N_6O_4$ MW: 324.34
CN: L-valine 2-[(2-amino-1,6-dihydro-6-oxo-9*H*-purin-9-yl)methoxy]ethyl ester

monohydrochloride
RN: 124832-27-5 MF: $C_{13}H_{20}N_6O_4 \cdot HCl$ MW: 360.80



Reference(s):
EP 308 065 (Wellcome Found. Ltd; appl. 12.8.1988; GB-prior. 15.8.1987, 5.11.1987).

combination with lamotrigine:
WO 9 505 179 (Wellcome Found. Ltd; appl. 17.8.1994; GB-prior. 18.8.1993).

water-dispersible tablets:
WO 9 213 527 (Wellcome Found. Ltd; appl. 29.1.1992; GB-prior. 30.1.1991, 22.11.1991, 25.11.1991).

medical use for preventing post herpetic neuralgia:
GB 2 282 759 (SmithKline Beecham; appl. 14.10.1994; GB-prior. 16.10.1993).

Formulation(s): f. c. tabl. 500 mg; tabl. 500 mg, 1 g (as hydrochloride)

Trade Name(s):

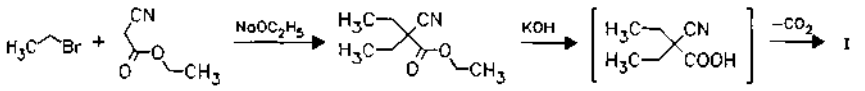
D:	Valtrex (Glaxo Wellcome)	GB:	Valtrex (Glaxo Wellcome; as hydrochloride)	USA:	Valtrex (Glaxo Wellcome; as hydrochloride)
F:	Zélitrex (Glaxo Wellcome; as hydrochloride)				

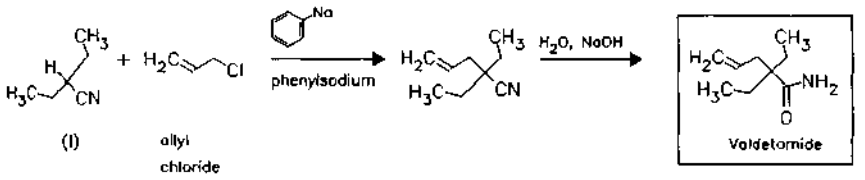
Valdetamide

(Novonal; Diethylpentenamide)

ATC: N05C
Use: hypnotic

RN: 512-48-1 MF: $C_9H_{17}NO$ MW: 155.24 EINECS: 208-143-2
LD_{50}: 400 mg/kg (R, p.o.);
300 mg/kg (dog, p.o.)
CN: 2,2-diethyl-4-pentenamide





Reference(s):
DRP 473 329 (I. G. Farben; appl. 1925).
DRP 616 876 (I. G. Farben; appl. 1930).
DRP 622 875 (I. G. Farben; appl. 1931).
GB 253 950 (I. G. Farben; appl. 1926; D-prior. 1925).

reaction of diethylacetonitrile *with* allyl chloride *in presence of* sodium bis(trimethylsilyl)amide:
DOS 2 518 122 (Hoechst; appl. 24.4.1975).

from diethylacetaldehyde:
DOS 2 753 440 (Diamalt; appl. 30.11.1977).

Formulation(s): drg. 50 mg; tabl. 300 mg

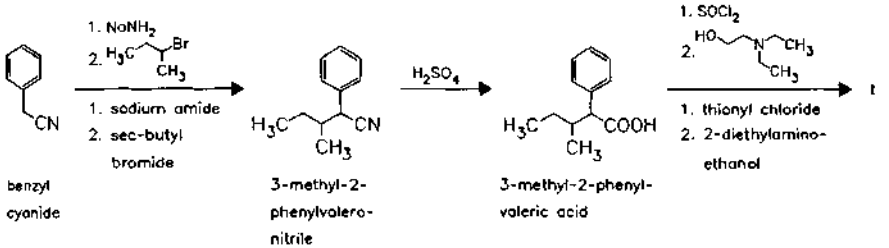
Trade Name(s):

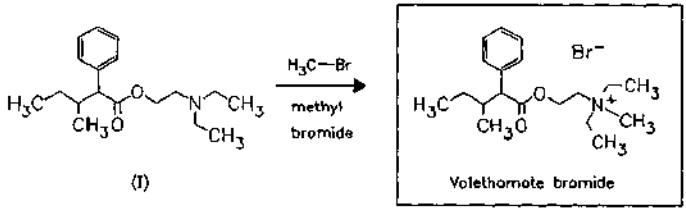
D: Arantil (Hoechst)-comb.; wfm
Betadorm-N (Woelm)-comb.; wfm
Insomnia (ICN); wfm
Nocturetten (Starke)-comb.; wfm
Novo-Dolestan (Much); wfm

Valethamate bromide

ATC: A03
Use: antispasmodic

RN: 90-22-2 MF: $C_{19}H_{32}BrNO_2$ MW: 386.37 EINECS: 201-977-8
LD_{50}: 4200 µg/kg (M, i.v.); 330 mg/kg (M, p.o.);
4200 µg/kg (R, i.v.); 1260 mg/kg (R, p.o.)
CN: *N,N*-diethyl-*N*-methyl-2-[(3-methyl-1-oxo-2-phenylpentyl)oxy]ethanaminium bromide





(I)

Reference(s):
DE 969 245 (Kali-Chemie; appl. 1953).
DE 971 136 (Kali-Chemie; appl. 1953).

Formulation(s): amp. 8 mg; drg. 10 mg; suppos. 20 mg

Trade Name(s):

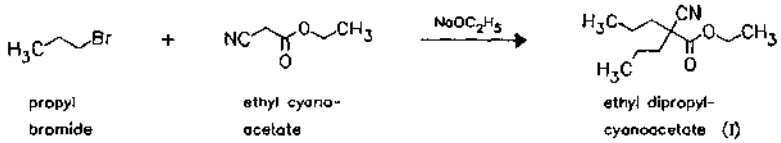
D:	Epidosin (Kali-Chemie); wfm	Epidosin (Toyo Jozo)	Shinmetane (Towa)
I:	Epidosin (Sir); wfm	Funapan (Funai)	Study (Toyo Pharmar)
J:	Barespan Tab. (Hishiyama)	Kaichyl (Samoa)	Ulban-Q (Toho)
	Baretaval (Shin Fuso)	Letamate (Mohan)	Valate (Marishita)
	Beruhgen (Nissin)	Pastan (Maruko)	Valemate (Taiho)
	Cranfupan (Nichiiko)	Release V (Mochida)	Valemeton (Sanko)
	Elist (Sana-Torii)	Resitan (Grelan)	Valethalin (Hokuriku)
		Shikitan (Shiki)	Valethamin (Sawai)

Valproic acid

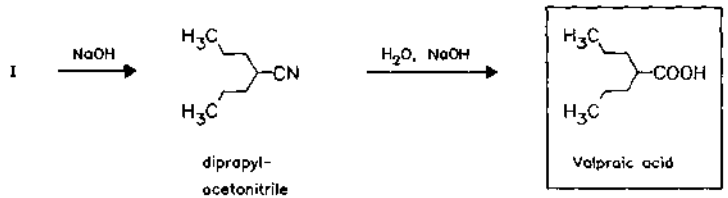
ATC: N03AG01
Use: anticonvulsant, antiepileptic

RN: 99-66-1 MF: $C_8H_{16}O_2$ MW: 144.21 EINECS: 202-777-3
LD_{50}: 1098 mg/kg (M, p.o.);
670 mg/kg (R, p.o.)
CN: 2-propylpentanoic acid

sodium salt
RN: 1069-66-5 MF: $C_8H_{15}NaO_2$ MW: 166.20 EINECS: 213-961-8
LD_{50}: 750 mg/kg (M, i.v.); 977 mg/kg (M, p.o.);
509 mg/kg (R, i.v.); 670 mg/kg (R, p.o.);
1420 mg/kg (dog, p.o.)
calcium salt dihydrate
RN: 138995-18-3 MF: $C_{16}H_{30}CaO_4 \cdot 2H_2O$ MW: 362.52



propyl bromide + ethyl cyanoacetate → ethyl dipropylcyanoacetate (I)



dipropylacetonitrile → Valproic acid

Reference(s):
FR-M 2 442 (H. E. J.-M. Meunier; appl. 17.10.1962).
GB 980 279 (H. E. J.-M. Meunier; appl. 14.10.1963; F-prior. 17.10.1962).
US 3 325 361 (Chemetron Corp.; 13.6.1967; F-prior. 17.10.1962).

methods:
GB 1 522 450 (Labaz; appl. 3.6.1977; F-prior. 15.3.1977).
GB 1 529 786 (Labaz; appl. 3.6.1977; F-prior. 15.3.1977).
US 4 155 929 (Labaz; 22.5.1979; prior. 25.5.1977, 10.5.1978).

Formulation(s): amp. 300 mg/3 ml; cps. 150 mg, 300 mg, 500 mg (as free acid); sol. 300 mg/ml; s. r. drg. 300 mg (as sodium salt); syrup 250 mg/5 ml, 300 mg/5 ml; tabl. 250 mg, 333 mg (as calcium salt dihydrate); tabl. 150 mg, 300 mg

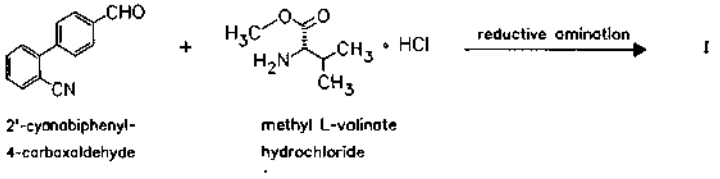
Trade Name(s):

D:	Convulex (Byk Gulden)		Leptilan (Geigy)	GB:	Convulex (Pharmacia & Upjohn)
	Convulex (Promonta)		Orfiril (Desitin)		
	Convulsofin (ASTA Medica AWD; Boehringer Mannh.)	F:	Dépakine (Sanofi Winthrop)	I:	Depakin (Sanofi Winthrop)
			Dépakine Chrono (Sanofi Winthrop)	J:	Depaken (Kyowa Hakko)
	Ergenyl (Labaz)			USA:	Depakene (Abbott)

Valsartan
(CGP-48933)

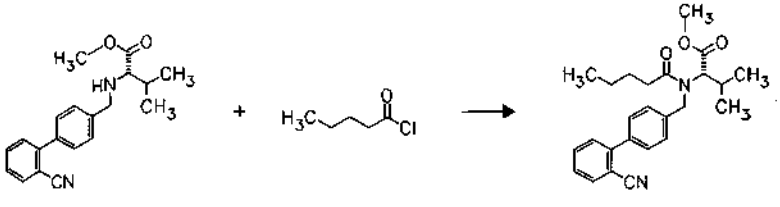
ATC: C09CA03
Use: antihypertensive, angiotensin II blocker

RN: 137862-53-4 MF: $C_{24}H_{29}N_5O_3$ MW: 435.53
CN: *N*-(1-oxopentyl)-*N*-[[2'-(1*H*-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]-L-valine



2'-cyanobiphenyl-4-carboxaldehyde

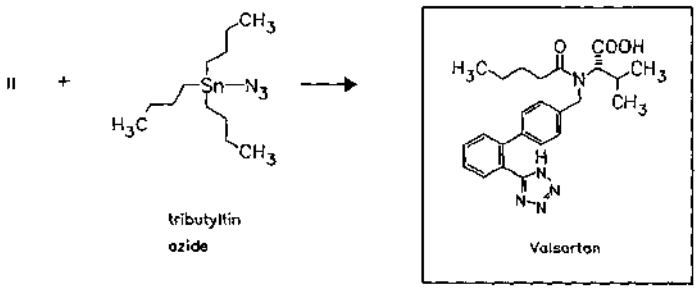
methyl L-valinate hydrochloride



(I)

valeryl chloride

methyl N-valeryl-N-[(2'-cyanobiphenyl-4-yl)methyl]-L-valinate (II)



Reference(s):
US 5 399 578 (Ciba-Geigy; 21.3.1995; appl. 29.12.1992; CH-prior. 19.2.1990, 5.7.1990).
EP 443 983 (Ciba-Geigy; appl. 12.2.1991; CH-prior. 19.2.1990).
Bühlmayer, P. et al.: Bioorg. Med. Chem. Lett. (BMCLE8) **1994**, 4 (1), 29.

use for treating diabetic nephropathy:
WO 9 524 901 (Ciba-Geigy; appl. 7.3.1995; CH-prior. 17.3.1994).

use to treat post-ischaemic renal failure:
WO 9 713 513 (Novartis; appl. 24.9.1996; CH-prior. 6.10.1995).
WO 9 702 032 (MSD-Chibret; appl. 26.6.1996; GB-prior. 13.2.1996; USA-prior. 30.6.1995).

combination with aldosterone antagonists:
WO 9 640 256 (Searle; appl. 5.6.1996; USA-prior. 7.6.1995).
WO 9 640 255 (Searle; appl. 5.6.1996; USA-prior. 7.6.1995).
WO 9 640 257 (Searle; appl. 5.6.1996; USA-prior. 7.6.1995).
WO 9 640 258 (Searle; appl. 5.6.1996; USA-prior. 7.6.1995).

combination with benazepril:
WO 9 631 234 (Ciba-Geigy; appl. 2.4.1996; CH-prior. 7.4.1995).

use for treatment of glaucoma and neurodegeneration:
WO 9 521 609 (Ciba-Geigy; appl. 26.1.1995; EP-prior. 8.2.1994).

Formulation(s): cps. 80 mg, 160 mg; tabl. 40 mg, 80 mg, 160 mg

Trade Name(s):

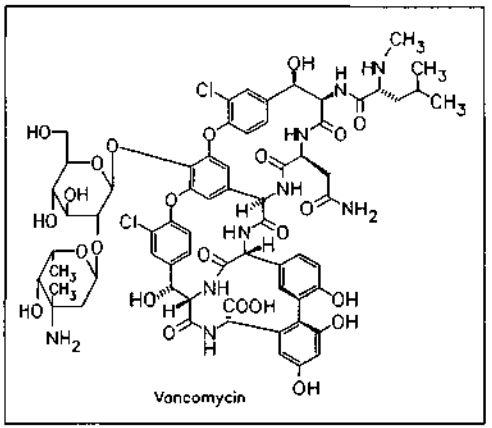
D:	Diovan (Novartis)	GB:	Diovan (Ciba)	USA:	Diovan (Novartis)
F:	Tareg (Novartis)	I:	Tareg (Novartis Farma)		

Vancomycin

ATC: A07AA09; J01XA01
Use: antibiotic

RN: 1404-90-6 MF: $C_{66}H_{75}Cl_2N_9O_{24}$ MW: 1449.27 EINECS: 215-772-6
LD_{50}: 430 mg/kg (M, i.v.)
CN: [3*S*-[3*R**,6*S**(*S**),7*S**,22*S**,23*R**,26*R**,36*S**,38a*S**]]-3-(2-amino-2-oxoethyl)-44-[[2-*O*-(3-amino-2,3,6-trideoxy-3-*C*-methyl-α-L-*lyxo*-hexopyranosyl)-β-D-glucopyranosyl]oxy]-10,19-dichloro-2,3,4,5,6,7,23,24,25,26,36,37,38,38a-tetradecahydro-7,22,28,30,32-pentahydroxy-6-[[4-methyl-2-(methylamino)-1-oxopentyl]amino]-2,5,24,38,39-pentaoxo-22*H*-8,11:18,21-dietheno-23,36-(iminomethano)-13,16:31,35-dimetheno-1*H*,16*H*-[1,6,9]oxadiazacyclohexadecino[4,5-*m*][10,2,16]benzoxadiazacyclotetracosine-26-carboxylic acid

hydrochloride
RN: 1404-93-9 MF: $C_{66}H_{75}Cl_2N_9O_{24} \cdot xHCl$ MW: unspecified
LD_{50}: 489 mg/kg (M, i.v.); >5 g/kg (M, p.o.);
319 mg/kg (R, i.v.); >10 g/kg (R, p.o.)



Amphoteric glycopeptid antibiotic from *Nocardia orientalis* (NRRL 2450, 2451, 2452).

Reference(s):
US 3 067 099 (Eli Lilly; 4.12.1962; appl. 16.9.1955).

purification via the diphosphate:
EP 145 484 (Eli Lilly; appl. 11.12.1984; USA-prior. 12.12.1983).

structure:
Williamson, M.P.; Williams, D.H.: J. Am. Chem. Soc. (JACSAT) **103**, 6580 (1981).

Formulation(s): cps. 250 mg; vial 500 mg/g, 500 mg/10 ml, 500 mg/15 ml, 1 g/15 ml, 10 g/100 ml (as hydrochloride)

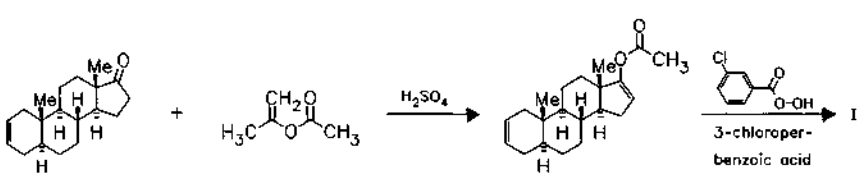
Trade Name(s):

D:	AB-Vancomycin (Astrapin) VANCO (Reusch) Vancomycin CP (Lilly) Vancomycin "Lederle" (Lederle) Vanco-saar (Chephasaar)	F:	Vancocine (Lilly) Vancomycine Dakota Pharm (Dakota) Vancomycine Lederle (Wyeth-Lederle)	I:	Vancocina (Lilly)
				J:	Vancomycin (Shionogi)
				USA:	Vancocin (Lilly) generic
		GB:	Vancocin (Eli Lilly)		

Vecuronium bromide

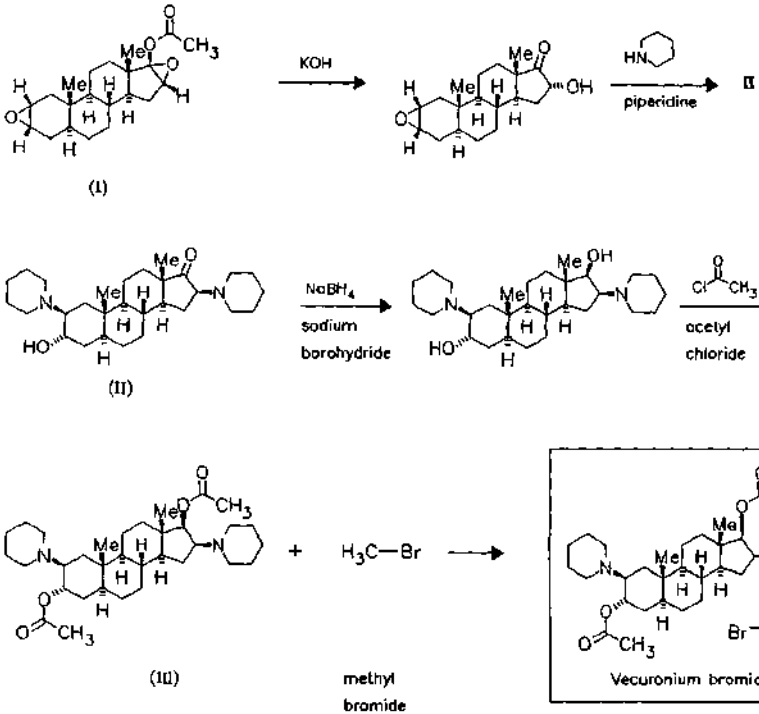
ATC: M03AC03
Use: muscle relaxant

RN: 50700-72-6 MF: $C_{34}H_{57}BrN_2O_4$ MW: 637.74 EINECS: 256-723-9
CN: 1-[(2β,3α,5α,16β,17β)-3,17-bis(acetyloxy)-2-(1-piperidinyl)androstan-16-yl]-1-methylpiperidinium bromide



5α-androst-2-en-17-one

isopropenyl acetate



Reference(s):
US 4 237 126 (Akzo; 2.12.1980; appl. 20.8.1979; GB-prior. 5.9.1978).
US 4 297 351 (Akzo; 2.12.1980; appl. 20.8.1979; GB-prior. 5.9.1978).
Buckett, W.R. et al.: J. Med. Chem. (JMCMAR) **16**, 116 (1973).

injection solution:
EP 8 824 (Akzo; appl. 15.8.1979; GB-prior. 5.9.1978).

Formulation(s): amp. 4 mg/ml; vial 10 mg

Trade Name(s):

D:	Norcuron (Organon; 1983)	I:	.Norcuron (Organon Teknika)
F:	Norcuron (Organon); wfm	J:	Masculax (Organon)
GB:	Norcuron (Organon Teknika); 1983	USA:	Norcuron (Organon; 1984)

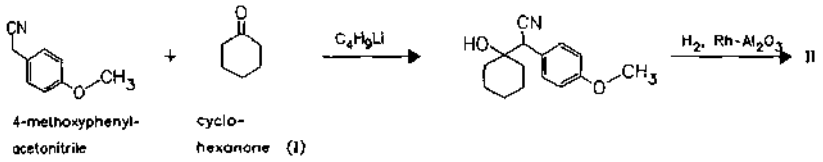
Venlafaxine
(Wy-45030)

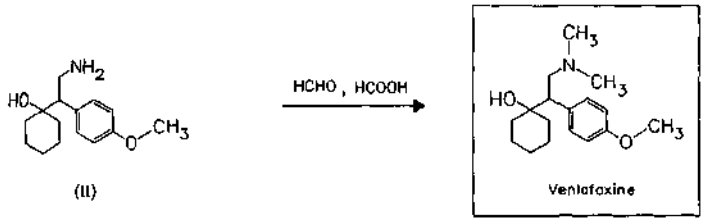
ATC: N06AA22
Use: antidepressant, norephedrine uptake inhibitor

RN: 93413-69-5 MF: $C_{17}H_{27}NO_2$ MW: 277.41
CN: (±)-1-[2-(dimethylamino)-1-(4-methoxyphenyl)ethyl]cyclohexanol

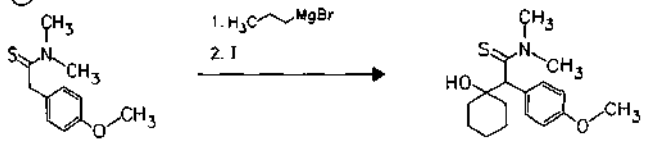
monohydrochloride
RN: 99300-78-4 MF: $C_{17}H_{27}NO_2 \cdot HCl$ MW: 313.87

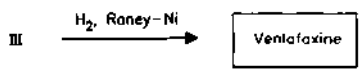
a





b





Reference(s):

a EP 112 669 (American Home Products; 4.7.1984; USA-prior. 13.12.1982).

b GB 2 227 743 (Wyeth & Brother Ltd; 8.8.1990; GB-prior. 1.2.1989).

combination with opioid antagonists:

WO 9 609 047 (Du Pont Merck Pharm. Co.; 28.3.1996; USA-prior. 19.9.1994).

combination with β-blockers:

EP 687 472 (Eli Lilly & Co.; 20.2.1995; USA-prior. 19.7.1994).

controlled release formulation:

WO 9 427 589 (Alza Corp.; 8.12.1994; USA-prior. 27.5.1993).

Formulation(s): tabl. 25 mg, 37.5 mg, 50 mg, 75 mg, 100 mg (as hydrochloride)

Trade Name(s):

D:	Trevilor (Wyeth)	I:	Efexor (Wyeth-Lederle)
GB:	Efexor (Wyeth)	USA:	Effexor (Wyeth-Ayerst)

Verapamil

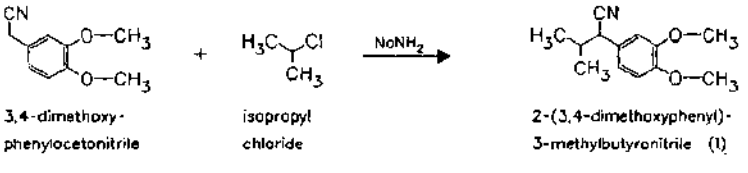
(Iproveratril)

ATC: C08DA01
Use: coronary vasodilator

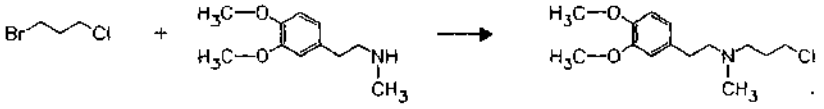
RN: 52-53-9 MF: $C_{27}H_{38}N_2O_4$ MW: 454.61 EINECS: 200-145-1
LD_{50}: 1520 µg/kg (M, i.v.); 130 mg/kg (M, p.o.);
7250 µg/kg (R, i.v.); 163 mg/kg (R, p.o.)
CN: α-[3-[[2-(3,4-dimethoxyphenyl)ethyl]methylamino]propyl]-3,4-dimethoxy-α-(1-methylethyl)benzeneacetonitrile

monohydrochloride

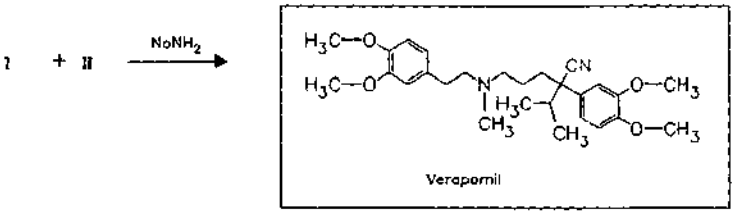
RN: 152-11-4 MF: $C_{27}H_{38}N_2O_4 \cdot HCl$ MW: 491.07 EINECS: 205-800-5
LD_{50}: 5795 µg/kg (M, i.v.); 163 mg/kg (M, p.o.);
16 mg/kg (R, i.v.); 108 mg/kg (R, p.o.);
>400 mg/kg (dog, p.o.)



3,4-dimethoxy-phenylacetonitrile | isopropyl chloride | 2-(3,4-dimethoxyphenyl)-3-methylbutyronitrile (I)



1-bromo-3-chloropropane | N-[2-(3,4-dimethoxyphenyl)ethyl]methylamine | (II)



Verapamil

Reference(s):
DE 1 154 810 (Knoll; appl. 28.4.1961).
DE 1 158 083 (Knoll; appl. 19.12.1962).
US 3 261 859 (Knoll; 19.7.1966; D-prior. 28.4.1961).

alternative synthesis:
DAS 2 263 527 (Teikoku Hormaone Mfg.; appl. 27.12.1972; J-prior. 25.12.1971).
DAS 2 631 222 (Knoll; appl. 12.7.1976).
US 4 115 432 (Knoll; 19.9.1978; D-prior. 12.7.1976).

(–)-verapamil:
DAS 2 059 923 (Knoll; appl. 5.12.1970).

Formulation(s): amp. 5 mg/2 ml; drg. 40 mg, 80 mg, 120 mg; f. c. tabl. 40 mg, 80 mg, 120 mg; s. r. cps. 120 mg, 180 mg, 240 mg; s. r. tabl. 120 mg, 240 mg; vial 5 mg/2 ml (as hydrochloride)

Trade Name(s):
D: Azupamil (Azupharma) | Cardioprotect (Kytta-Siegfried) | Dignover (Sankyo) | Durasoptin (durachemie)

Elthon (Knoll)-comb. with diazepam		Verasal (TAD)		Cordilox (Baker Norton)	
Falicard (ASTA Medica AWD)		Vera-Sanorania (Sanorania)		Securon (Knoll)	
Isoptin (Knoll; as hydrochloride)	F:	Veroptinstada (Stadapharm)		Tarka (Knoll)-comb.	
Isoptin S (Knoll)-comb.		Isoptine (Knoll)	I:	Univex (RPR)	
Jenapamil (Jenapharm)		Isoptine LP (Knoll)		Isoptin (Knoll)	
Tarka (Knoll)-comb.		Novapamyl LP (Wyeth-Lederle)	J:	Quasar (Ravizza)	
vera (ct-Arzneimittel)		Verapamil Bayer (Bayer Classics)	USA:	Vasolan (Eisai)	
Verabeta (betapharm)		Verapamil MSD LP (Merck Sharp & Dohme-Chibret)		Calan (Searle)	
Verahexal (Hexal)				Isoptin (Knoll)	
Veramex (Sanofi Winthrop)	GB:	Berkatens (Berk)		Tarka (Knoll)	
				Verelan (Lederle)	
				generics	

Vesnarinone

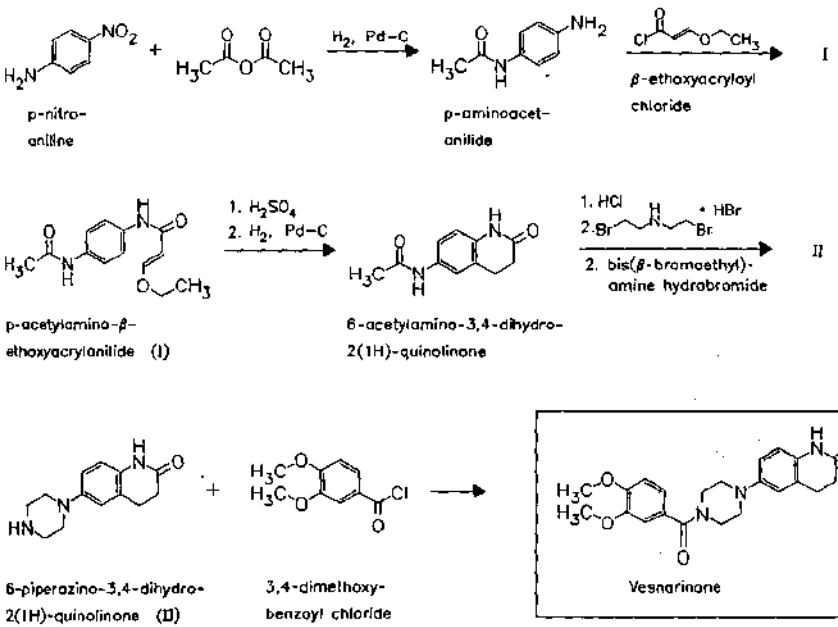
(OPC-8212)

ATC: C01CX
Use: cardiotonic

RN: 81840-15-5 MF: $C_{22}H_{25}N_3O_4$ MW: 395.46

LD_{50}: >1200 mg/kg (M, i.p.); 56.3 mg/kg (M, i.v.); >7594 mg/kg (M, p.o.); >1200 mg/kg (M, s.c.); >1200 mg/kg (R, i.p.); 79.3 mg/kg (R, i.v.); >7594 mg/kg (R, p.o.); 63.3 mg/kg (dog, i.v.); >3 g/kg (dog, p.o.)

CN: 1-(3,4-dimethoxybenzoyl)-4-[1,2,3,4-tetrahydro-2-oxo-6-quinolinyl]piperazine



Reference(s):

DE 3 142 982 (Otsuka; appl. 29.10.1981; J-prior. 31.10.1980).

Tominaga, M. et al.: Chem. Pharm. Bull. (CPBTAL) **32**, 2100 (1984).

alternative synthesis:

DE 3 153 260 (Otsuka; appl. 29.10.1981; J-prior. 31.10.1980).

Formulation(s): 60 mg

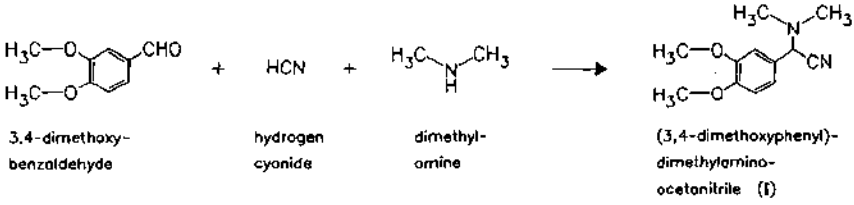
Trade Name(s):
J: Arkin-Z (Otsuka; 1990) USA: Arkin (Otsuka); wfm

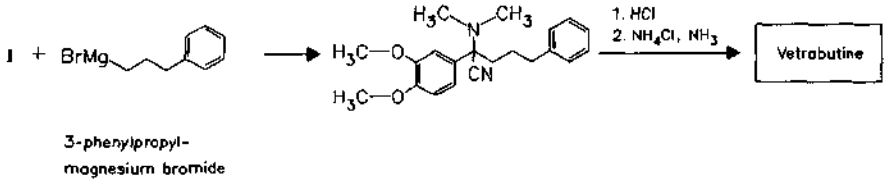
Vetrabutine
(Profenveramine; Revatrine)

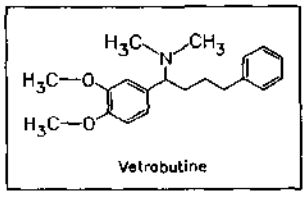
ATC: A03
Use: uterus relaxant

RN: 3735-45-3 MF: $C_{20}H_{27}NO_2$ MW: 313.44
CN: α-(3,4-dimethoxyphenyl)-*N*,*N*-dimethylbenzenebutanamine

hydrochloride
RN: 5974-09-4 MF: $C_{20}H_{27}NO_2 \cdot HCl$ MW: 349.90 EINECS: 227-771-8
LD_{50}: 500 mg/kg (R, p.o.)







Reference(s):
DE 963 424 (Thomae; appl. 1954).

Formulation(s): amp. 50 mg

Trade Name(s):
D: Monzal (Thomae); wfm

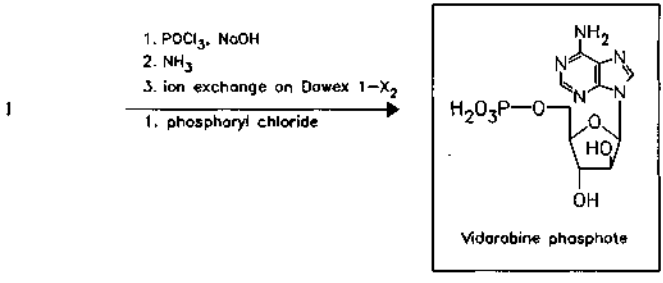
Vidarabine

ATC: J05AB03; S01AD06
Use: antiviral

RN: 5536-17-4 MF: $C_{10}H_{13}N_5O_4$ MW: 267.25 EINECS: 226-893-9
LD_{50}: 442 mg/kg (M, i.v.); 7800 µg/kg (M, p.o.);
302 mg/kg (R, i.v.); >5 g/kg (R, p.o.)
CN: 9-β-D-arabinofuranosyl-9*H*-purine-6-amine

monohydrate
RN: 24356-66-9 MF: $C_{10}H_{13}N_5O_4 \cdot H_2O$ MW: 285.26
LD_{50}: >7950 µg/kg (M, p.o.)
5'-dihydrogen phosphate
RN: 29984-33-6 MF: $C_{10}H_{14}N_5O_7P$ MW: 347.22 EINECS: 249-990-8
LD_{50}: 1200 mg/kg (R, i.v.)
5'-dihydrogen phosphate disodium salt
RN: 71002-10-3 MF: $C_{10}H_{12}N_5Na_2O_7P$ MW: 391.19

vidarabine (I)



Reference(s):
fermentation:
GB 1 159 290 (Parke Davis; appl. 29.12.1967; USA-prior. 30.12.1966, 29.9.1967).

dihydrogen phosphate:
DOS 2 047 368 (Parke Davis; appl. 25.9.1970; USA-prior. 26.9.1969).
US 3 703 507 (Parke Davis; 21.11.1972; prior. 26.9.1969).

alternative synthesis from adenosine monophosphate:
Kaneka, M. et al.: Chem. Pharm. Bull. (CPBTAL) **25**, 1892 (1977).

total synthesis of vidarabine:
Lee et al.: J. Am. Chem. Soc. (JACSAT) **82**, 2648 (1960).
Reist et al.: J. Org. Chem. (JOCEAH) **27**, 3274 (1962); **29**, 3725 (1964).

Formulation(s): ointment 3 %

Trade Name(s):

D:	Vidarabin 3 % Thilo Salbe (Alcon)	F:	Vira-MP (Pierre Fabre Dermatologie)	J:	Arasena-A (Mochida) Vitarabine (Ajinomoto)
		GB:	Vira-A (Parke Davis)	USA:	Vira-A (Parke Davis); wfm

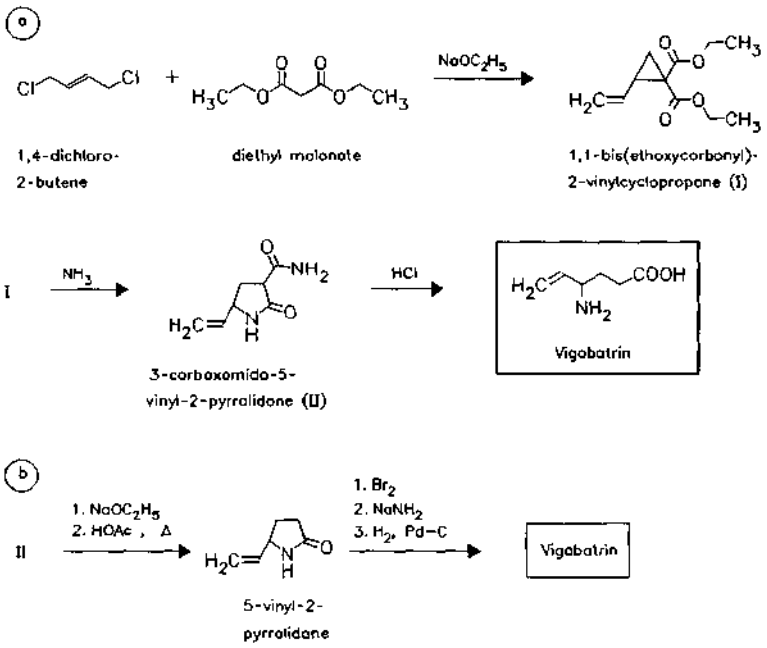
Vigabatrin

(γ-Vinyl-Gaba)

ATC: N03AG04
Use: anticonvulsant, irreversible inhibitor of GABA transaminase

RN: 60643-86-9 MF: $C_6H_{11}NO_2$ MW: 129.16
LD_{50}: 3 g/kg (M, p.o.);
3 g/kg (R, p.o.)
CN: 4-amino-5-hexenoic acid

(±)-form
RN: 68506-86-5 MF: $C_6H_{11}NO_2$ MW: 129.16 EINECS: 270-929-6
LD_{50}: >2500 mg/kg (M, i.p.); >3000 mg/kg (M, p.o.);
>3000 mg/kg (R, p.o.)



Reference(s):
DOS 2 607 620 (Richardson-Merrell; appl. 25.2.1976; USA-prior. 18.3.1975).
DE 2 902 438 (Merrell-Toraude; appl. 23.1.1979; USA-prior. 30.1.1978).
US 4 235 778 (Merrell-Toraude; 25.11.1980; appl. 14.1.1980; prior. 4.6.1979, 30.1.1978).
US 4 254 284 (Merrell-Toraude; 3 3.1981; appl. 14.1.1980; prior. 4.6.1979, 30.1.1978).
Wei, Z.-Y.; Knaus, E.E.: J. Org. Chem. (JOCEAH) **58**, 1586 (1993).

combination with glycine:
EP 124 091 (Merrell-Toraude; appl. 26.4.1984; GB-prior. 29.4.1983).

Formulation(s): f. c. tabl. 500 mg; gran. 500 mg; powder 500 mg

Trade Name(s):

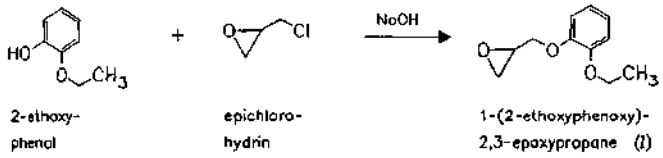
D:	Sabril (Hoechst)	GB:	Sabril (Hoechst)
F:	Sabril (Hoechst; 1990)	I:	Sabril (Camillo Corvi)

Viloxazine

ATC: N06AX09
Use: antidepressant, psychostimulant

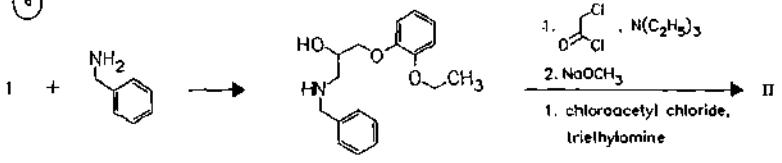
RN: 46817-91-8 MF: $C_{13}H_{19}NO_3$ MW: 237.30 EINECS: 256-281-7
LD_{50}: 60 mg/kg (M, i.v.); 552 mg/kg (M, p.o.);
60 mg/kg (R, i.v.); 2 g/kg (R, p.o.)
CN: 2-[(2-ethoxyphenoxy)methyl]morpholine

hydrochloride
RN: 35604-67-2 MF: $C_{13}H_{19}NO_3 \cdot HCl$ MW: 273.76 EINECS: 252-638-6
LD_{50}: 60 mg/kg (M, i.v.); 480 mg/kg (M, p.o.);
60 mg/kg (R, i.v.); 2 g/kg (R, p.o.)



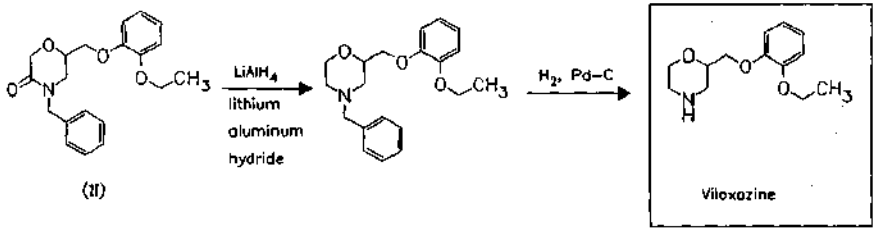
2-ethoxy-phenol | epichloro-hydrin | 1-(2-ethoxyphenoxy)-2,3-epoxypropane (I)

a)



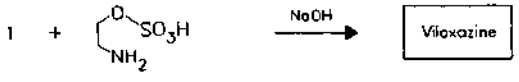
benzyl-amine

1. chloroacetyl chloride, triethylamine



(II)

b)



2-aminoethyl hydrogen sulfate (from 2-amino-ethanol and H_2SO_4)

Reference(s):

a GB 1 138 405 (ICI; appl. 28.12.1966; valid from 13.11.1967).
US 3 714 161 (ICI; 30.1.1973; GB-prior. 28.12.1966).
US 3 876 769 (ICI; 8.4.1975; prior. 24.11.1967).
DOS 1 695 295 (ICI; appl. 24.11.1967; GB-prior. 28.12.1966).

b US 3 857 839 (ICI; 31.12.1974; GB-prior. 20.6.1969, 13.10.1969).
US 3 712 890 (ICI; 23.1.1973; GB-prior. 20.6.1969; 13.10.1969).
Greenwood, D.T. et al.: J. Med. Chem. (JMCMAR) **18**, 573 (1975).

Formulation(s): amp. 100 mg/5 ml; f. c. tabl. 100 mg; s. r. tabl. 300 mg; tabl. 50 mg, 100 mg

Trade Name(s):

D:	Vivalan (Zeneca; 1977)		Vivalan LP (Zeneca)	I:	Vicilan (ICI-Pharma)
F:	Vivalan (Zeneca; 1977)	GB:	Vivalan (Zeneca; 1974)	J:	Vicilan (Jcpharma)

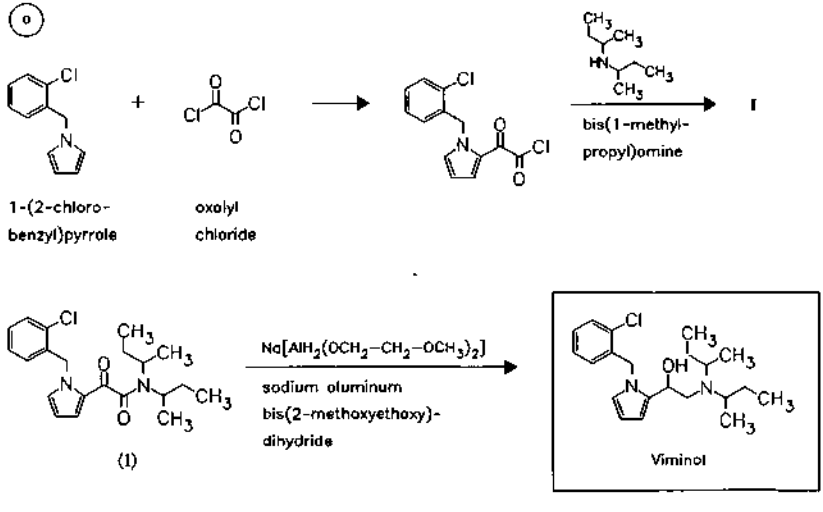
Viminol

(Diviminol)

ATC: N06AX09
Use: analgesic

RN: 21363-18-8 MF: $C_{21}H_{31}ClN_2O$ MW: 362.95 EINECS: 244-347-8
LD_{50}: 325 mg/kg (M, p.o.)
CN: α-[[bis(1-methylpropyl)amino]methyl]-1-[(2-chlorophenyl)methyl]-1*H*-pyrrole-2-methanol

p-hydroxybenzoate (1:1)
RN: 23784-10-3 MF: $C_{21}H_{31}ClN_2O \cdot C_7H_6O_3$ MW: 501.07
LD_{50}: 206 mg/kg (R, i.p.)



Reference(s):
a BE 790 747 (Whitefin Holding; appl. 30.10.1972; I-prior. 4.7.1972; 30.10.1971).
b US 3 539 589 (Whitefin Holding; 10.11.1970; GB-prior. 17.5.1966).

starting material:
DAS 1 795 841 (Whitefin Holding; appl. 10.11.1970; F-prior. 12.11.1969).

review:
Chiarino, D. et al.: Arzneim.-Forsch. (ARZNAD) **28** (II), 1554 (1978).

stereoisomers:
BE 790 747 (Whitefin Holding; appl. 30.10.1972; I-prior. 30.10.1971; 4.7.1972).
DOS 2 253 149 (Whitefin Holding; appl. 30.10.1972; I-prior. 30.10.1971).

Formulation(s): tabl. 50 mg

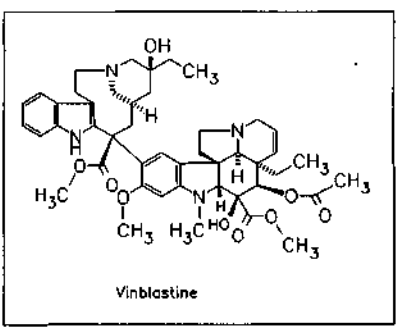
Trade Name(s):
D: Lenigesial (Inpharzam); wfm
I: Dividol (Zambon Italia; as hydrochloride)

Vinblastine
(Vincaleukoblastine)

ATC: L01CA01
Use: antineoplastic

RN: 865-21-4 MF: $C_{46}H_{58}N_4O_9$ MW: 810.99 EINECS: 212-734-0
LD_{50}: 2 mg/kg (R, i.v.)
CN: [3a*R*-[3aα,4β,5β,5aβ,9(3*R**,5*S**,7*R**,9*S**),10b*R**,13aα]]-methyl 4-(acetyloxy)-3a-ethyl-9-[5-ethyl-1,4,5,6,7,8,9,10-octahydro-5-hydroxy-9-(methoxycarbonyl)-2*H*-3,7-methanoazacycloundecino[5,4-*b*]indol-9-yl]-3a,4,5,5a,6,11,12,13a-octahydro-5-hydroxy-8-methoxy-6-methyl-1*H*-indolizino[8,1-*cd*]carbazole-5-carboxylate

sulfate (1:1)
RN: 143-67-9 MF: $C_{46}H_{58}N_4O_9 \cdot H_2SO_4$ MW: 909.07 EINECS: 205-606-0
LD_{50}: 9500 µg/kg (M, i.v.); 423 mg/kg (M, p.o.); 37 mg/kg (R, i.v.); 305 mg/kg (R, p.o.)
sulfate monohydrate
RN: 6449-03-2 MF: $C_{46}H_{58}N_4O_9 \cdot H_2SO_4 \cdot H_2O$ MW: 927.08



Vinblastine

By extraction from leaves of *Vinca rosea.*

Reference(s):
Svoboda, G.H. et al.: J. Pharm. Sci. (JPMSAE) **51**, 707 (1962).
US 3 097 137 (CDN-P. and Dev.; 9.7.1963; appl. 19.5.1960; prior. 2.12.1958).
US 3 225 030 (Eli Lilly; 21.12.1965; appl. 15.2.1965; prior. 25.8.1958).
US 4 070 358 (Richter Gedeon; 24.1.1978; H-prior. 28.10.1975).
DOS 2 648 284 (Richter Gedeon; appl. 25.10.1976; H-prior. 28.10.1975).
DOS 2 823 461 (Richter Gedeon; appl. 30.5.1978; H-prior. 31.5.1977).

synthesis from catharanthin:
DOS 2 614 863 (Dr. Rahman; appl. 6.4.1976).

Formulation(s): amp. 10 mg/10 ml (as sulfate); vial 10 mg (as sulfate monohydrate)

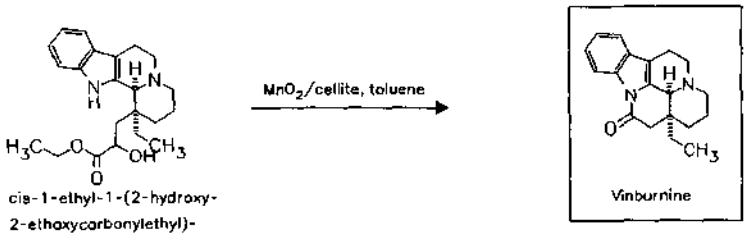
Trade Name(s):

D:	cellblastin (cell pharm)		Vinblastinesulfat-GRY (Gry)	I:	Velbe (Lilly)
	Velbe (Lilly)			J:	Exal (Shionogi)
	Vinblastin R.P. (Rhône-Poulenc)	F:	Velbé (Lilly)	USA:	Velban (Lilly)
		GB:	Velbe (Lilly)		

Vinburnine
(Vincamon)

ATC: C04AX17
Use: cerebral vasodilator

RN: 474-00-0 MF: $C_{19}H_{22}N_2O$ MW: 294.40 EINECS: 207-476-0
CN: eburnamenin-14(15*H*)-one



cis-1-ethyl-1-(2-hydroxy-2-ethoxycarbonylethyl)-1,2,3,4,6,7,12,12b-octahydro-indolo[2,3-a]quinolizine
(cf. vincamine synthesis)

Reference(s):
GB 1 440 634 (Richter Gedeon; valid from 7.12.1973; H-prior. 8.12.1972).

starting material:
DOS 2 931 295 (Richter Gedeon; appl. 1.8.1979; H-prior. 1.8.1978).

alternative syntheses:
DOS 2 323 423 (Richter Gedeon; appl. 9.5.1973; H-prior. 17.5.1972).
US 3 888 865 (Richter Gedeon; 10.6.1975; appl. 14.3.1973).
FR 2 268 016 (Omnium; appl. 17.4.1974).

isolation from Hunteria eburnea:
DOS 1 932 245 (L. Olivier; appl. 25.6.1969; F-prior. 25.6.1968).

total synthesis:
Bartlett, M.F.; Taylor, W.I.: J. Am. Chem. Soc. (JACSAT) **82**, 5941 (1960).
Werkert, E.; Wickberg, B.: J. Am. Chem. Soc. (JACSAT) **87**, 1580 (1965).
BE 776 337 (Roussel-Uclaf; appl. 7.12.1971; F-prior. 6.1.1971).
BE 802 913 (Roussel-Uclaf; appl. 27.7.1973; F-prior. 31.7.1972).
Hugel, G. et al.: Tetrahedron Lett. (TELEAY) **1974**, 1597.

combination with glucose 1-phosphate:
BE 874 154 (E. Corvi Mora; appl. 14.2.1979).

quaternary ammonium salts:
DE 1 244 794 (Richter Gedeon; appl. 16.12.1963; H-prior. 19.12.1962).

Formulation(s): amp. 15 mg/1 ml, 20 mg; cps. 20 mg, 60 mg

Trade Name(s):

F:	Cervoxan (SmithKline Beecham)	I:	Eburnal (Chiesi) Scleramin (Ibirn)	Tensiplex (Francia Farm.)

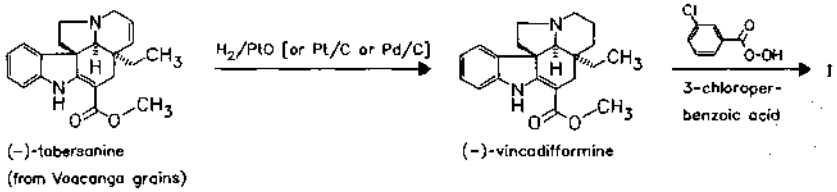
Vincamine

ATC: C04AX07
Use: vasodilator, antihypertensive, cerebrotonic

RN: 1617-90-9 MF: $C_{21}H_{26}N_2O_3$ MW: 354.45 EINECS: 216-576-3
LD_{50}: 47.74 mg/kg (M, i.v.); 460 mg/kg (M, p.o.);
1200 mg/kg (R, p.o.)
CN: (3α,14β,16α)-14,15-dihydro-14-hydroxyeburnamenine-14-carboxylic acid methyl ester

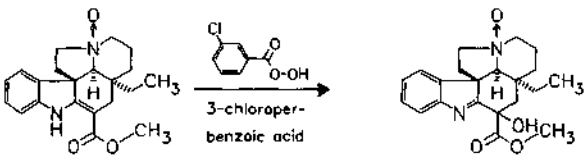
(a) by extraction of pulverized and NH_3-solution treated plant material of Vinca minor L. (myrtle) with toluene and column chromatographic separation of numerous (c. 30 biogenetic related) by-alkaloids (Indole alkaloids)

(b) partial synthesis (Omnium process)



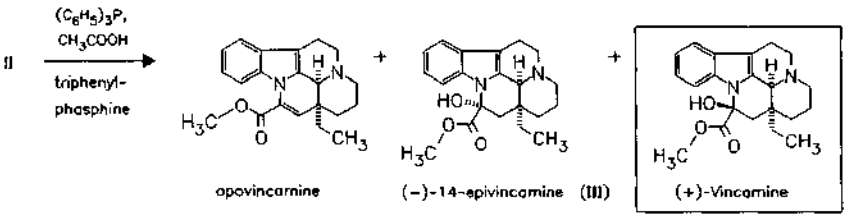
(−)-tabersanine (from Voacanga grains)

(−)-vincadifformine



(−)-vincadifformine 9-oxide (I)

(−)-3-hydroxy-3-methoxy-carbonyl-1,2-didehydro-aspidospermidine 9-oxide (II)

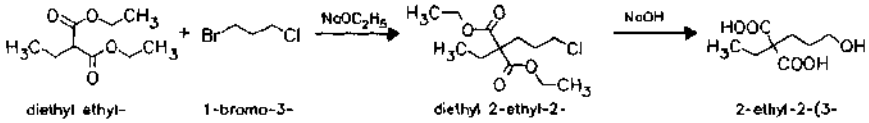


III $\xrightarrow{Ag_2CO_3/celite\ or\ Pt/Rh}$ (+)-Vincamine

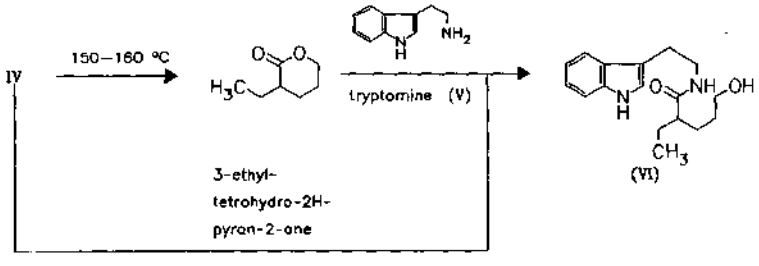
(in the product mixture)

(c) total synthesis

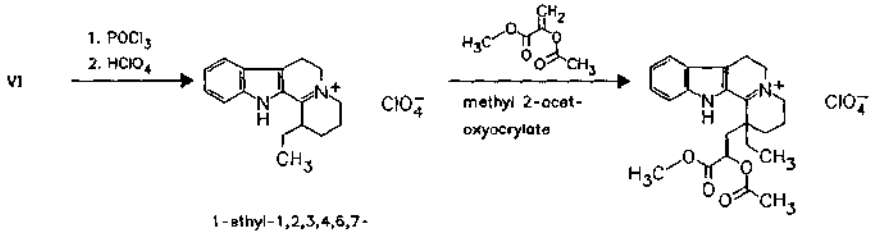
(c1) Richter Gedeon synthesis:



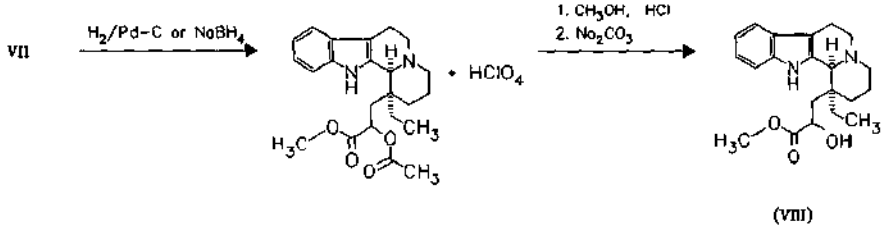
diethyl ethyl-malonate + 1-bromo-3-chloropropane $\xrightarrow{NaOC_2H_5}$ diethyl 2-ethyl-2-(3-chloropropyl)-malonate $\xrightarrow{NaOH}$ 2-ethyl-2-(3-hydroxypropyl)-malonic acid (IV)



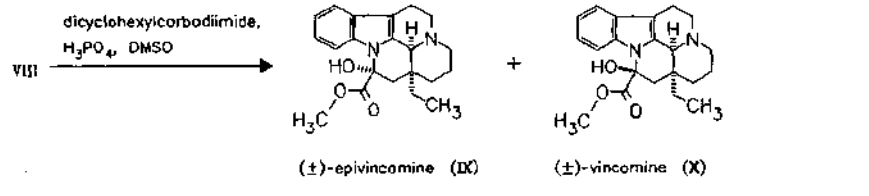
IV $\xrightarrow{150-160\ °C}$ 3-ethyl-tetrohydro-2H-pyran-2-one + tryptamine (V) → (VI)



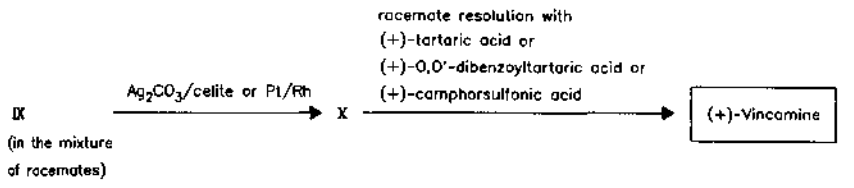
VI $\xrightarrow[2.\ HClO_4]{1.\ POCl_3}$ 1-ethyl-1,2,3,4,6,7-hexahydroindolo[2,3-a]-quinolizin-5-ium perchlorate $\xrightarrow{methyl\ 2\text{-}acetoxyacrylate}$ (VII)



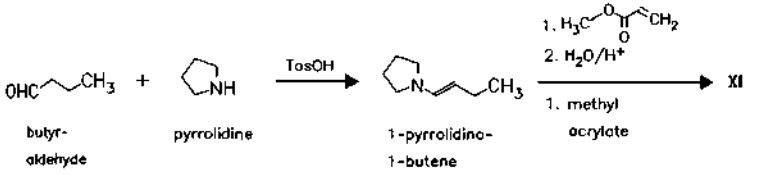
VII $\xrightarrow{H_2/Pd\text{-}C\ or\ NaBH_4}$ $\cdot HClO_4$ $\xrightarrow[2.\ Na_2CO_3]{1.\ CH_3OH,\ HCl}$ (VIII)

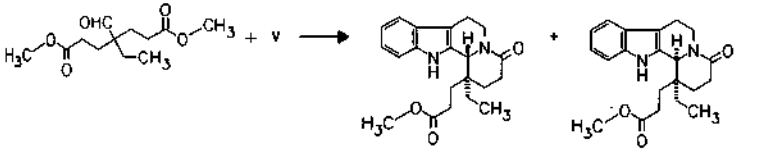


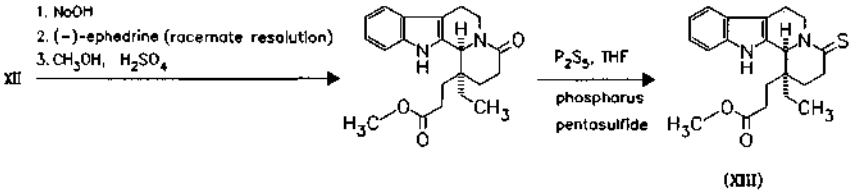
VIII $\xrightarrow[H_3PO_4,\ DMSO]{dicyclohexylcarbodiimide,}$ (±)-epivincamine (IX) + (±)-vincamine (X)

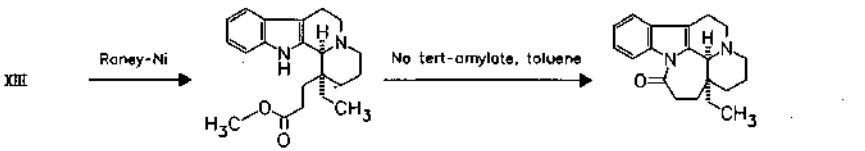


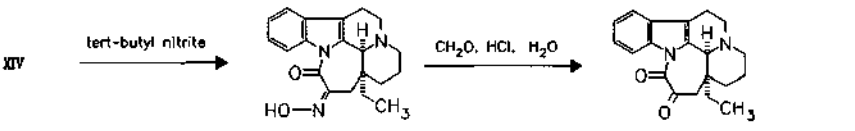
(e2) Roussel-Uclaf synthesis:

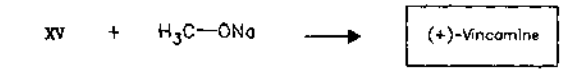












Reference(s):

a Schlittler, E. et al.: Helv. Chim. Acta (HCACAV) **36**, 2017 (1953).
HU 147 282 (Richter Gedeon; appl. 30.7.1960).
Trojanek, J. et al.: Collect. Czech. Chem. Commun. (CCCCAK) **26**, 867 (1961); **27**, 2801 (1962).

b DAS 2 201 795 (Omnium Chimique; appl. 14.1.1972; B-prior. 15.1.1971, 3.3.1971).
DOS 2 652 165 (Omnium Chimique; appl. 16.11.1976; F-prior. 20.11.1975).
similar processes from (–)-vincadifformine:
GB 1 514 337 (Buskine S.A.; appl. 22.7.1975; CH-prior. 9.8.1974).
US 4 145 552 (Parcor; 20.3.1979; F-prior. 13.7.1976).
DOS 2 731 480 (Parcor; appl. 12.7.1977; F-prior. 13.7.1976).
DOS 2 745 415 (Boehringer Mannh.; appl. 8.10.1977).
synthesis of vincadifformine:
DOS 2 758 896 (M. E. Kuehne; appl. 30.12.1977).

c1 DAS 2 222 186 (Richter Gedeon; appl. 5.5.1972; H-prior. 7.5.1971).
US 3 755 333 (Richter Gedeon; 28.8.1973; H-prior. 7.5.1971).
Szántay, Cs. et al.: Tetrahedron Lett. (TELEAY) **1973**, (3), 191.
precursors:
DOS 2 345 068 (Richter Gedeon; appl. 6.9.1973; H-prior. 6.9.1972).
rearrangement epi-vincamine → vincamine:
DAS 2 203 655 (Richter Gedeon; appl. 26.1.1972; H-prior. 29.1.1971).
DOS 2 807 912 (Boehringer Mannh.; appl. 24.2.1978).

c2 DAS 2 115 718 (Roussel-Uclaf; appl. 31.3.1971; F-prior. 31.3.1970, 10.9.1970).

further syntheses:
Kuehne, E.: J. Am. Chem. Soc. (JACSAT) **86**, 2946 (1964).
US 3 454 583 (US-Secret. of Health; 8.7.1969; prior. 19.7.1965).
Gibson, K.H.; Saxton, J.E.: Chem. Commun. (CCOMA8) **1969**, 1490.
Pfaffli, P. et al.: Helv. Chim. Acta (HCACAV) **58**, 1131 (1975).
DOS 2 314 876 (Sandoz; appl. 26.3.1973; CH-prior. 29.3.1972, 20.4.1972, 17.5.1972, 2.2.1973).
DOS 2 330 990 (Anvar; appl. 18.6.1973; F-prior. 19.6.1972).
GB 1 450 198 (Synthelabo; appl. 14.12.1973; F-prior. 15.12.1972).
US 3 925 392 (Synthelabo; 9.12.1975; F-prior. 15.12.1972).
US 4 001 251 (Synthelabo; 4.1.1977; F-prior. 15.12.1972).
DOS 2 752 776 (ELMU; appl. 25.11.1977; E-prior. 27.4.1977).

lyophilisate of vincamine *with* glycine:
FR-M 7 222 (L. O. Olivier; appl. 6.2.1968).

vincamine pamoate:
NL-appl. 7 304 654 (Merrell-Toraude; appl. 4.4.1973; F-prior. 11.4.1972).

combination with ergot alkaloids:
GB 1 494 625 (Unilever; appl. 4.2.1974; valid from 4.2.1975).

combination with rutin, hesperidin, eriodictin *or* esculoside:
DOS 2 337 202 (Centre d'Etudes pour l'Industrie Pharmaceutique; appl. 21.7.1973; F-prior. 24.7.1972).

5-bromonicotinate of vincamine:
DOS 2 714 486 (Ferrer Internat.; appl. 31.3.1977; F-prior. 31.3.1976).

vincamine 5-pyridoxalphosphate:
DAS 2 721 171 (Soc. d'Etudes de Produits Chimiques; appl. 11.5.1977; GB-prior. 11.5.1976).

diverse salts:
US 4 122 179 (E. Corvi Mora; 24.10.1979; CH-prior. 3.6.1976).

Formulation(s): amp. 15 mg; cps. 30 mg; s. r. cps. 30 mg, 60 mg; tabl. 10 mg

Trade Name(s):

D: Cetal (Parke Davis)
Vincamin-retard-ratiopharm (ratiopharm)

F: Pervincamine (Synthélabo)
Rhéobal (Niverpharm)
Vinca (Substipharm)
Vinca Retard (Substipharm)

I: Anasclerol (Stallergenes; as hydrochloride)
Vasonett (Alfa Intes)
Vincadar (Hoechst Marion Roussel)
Vincafor (Pharmafarm)
Vincarutine (Pharbiol)
Vincari (Alfa Intes; as hydrochloride)
Vincatreis (Ecobi)
Vinsal (Salus Research)
Vraap (Inverni della Beffa)
generics

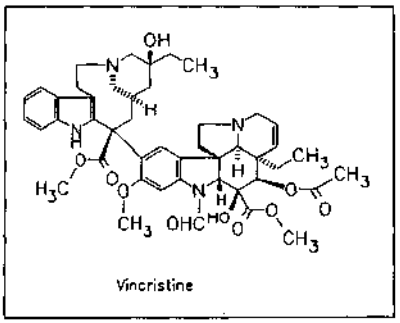
Vincristine

(Leurocristine)

ATC: L01CA02
Use: antineoplastic

RN: 57-22-7 MF: $C_{46}H_{56}N_4O_{10}$ MW: 824.97 EINECS: 200-318-1
LD_{50}: 3990 µg/kg (M, i.v.);
1 mg/kg (R, i.v.)
CN: 22-oxovincaleukoblastine

sulfate (1:1)
RN: 2068-78-2 MF: $C_{46}H_{56}N_4O_{10} \cdot H_2SO_4$ MW: 923.05 EINECS: 218-190-0
LD_{50}: 1700 µg/kg (M, i.v.);
1010 µg/kg (R, i.v.)



Vincristine

By extraction from leaves of *Vinca rosea*.

Reference(s):
BE 867 670 (Richter Gedeon; appl. 31.5.1978; H-prior. 31.5.1977).
FR 2 210 392 (Richter Gedeon; appl. 19.12.1972).
DOS 2 259 388 (Richter Gedeon; appl. 5.12.1972).
DOS 2 124 023 (Richter Gedeon; appl. 14.5.1971; H-prior. 27.5.1970).
DAS 1 445 689 (Eli Lilly; appl. 23.10.1962).
GB 1 382 460 (Richter Gedeon; valid from prior. 4.12.1972).

purification:
DOS 2 442 245 (Eli Lilly; appl. 4.9.1974; USA-prior. 24.10.1973).

total synthesis:
DOS 2 614 863 (A. Rahman; appl. 6.4.1976).
DOS 2 622 894 (United States Dep. of Commerce; appl. 21.5.1976; USA-prior. 30.5.1975).

semisynthetic preparation:
DOS 2 259 447 (Richter Gedeon; appl. 5.12.1972).
CA 989 829 (Richter Gedeon; appl. 4.12.1972).
BE 823 560 (Richter Gedeon; appl. 19.12.1974; H-prior. 20.12.1973).
US 3 899 493 (Richter Gedeon; 12.8.1975; prior. 22.12.1972).
FR 2 210 392 (Richter Gedeon; appl. 19.12.1972).
ZA 7 208 535 (Richter Gedeon; appl. 1.12.1972).

complex formation with tubulin *for treatment of leucemia*:
BE 854 053 (Inst. Intern. de Pathologic Cell. et Mol.; appl. 18.4.1977; F-prior. 28.4.1976).

use for treatment of psoriasis:
US 3 749 784 (Eli Lilly; 31.7.1973; prior. 26.10.1970, 3.5.1972).

Formulation(s): vial 1 mg/ml, 1 mg/10 ml, 2 mg/ml, 5 mg/ml (as sulfate)

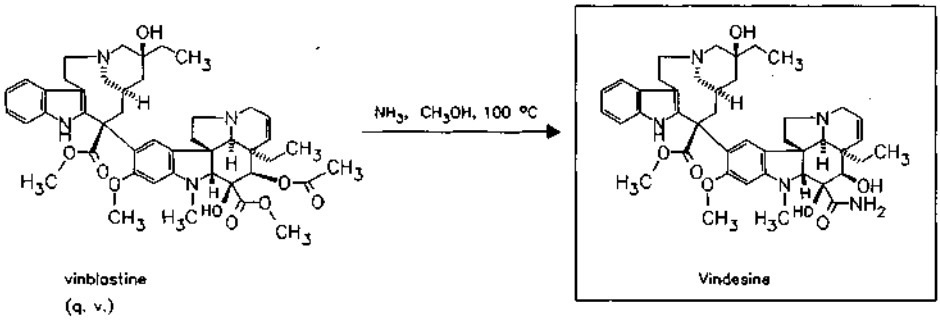
Trade Name(s):

D:	cellcristine (cell pharm) FARMISTIN (Pharmacia & Upjohn) Vincristin (biosyn) Vincristin Bristol (Bristol-Myers Squibb)		Vincristin liquid Lilly (Lilly) Vincristinsulfat-GRY (Gry)	GB:	Oncovin (Lilly)
		F:	Oncovin (Lilly) Vincristine Pierre Fabre (Pierre Fabre Oncologie)	I:	Vincristina (Lilly) Vincristina Tera (Tera)
				J:	Oncovin (Shionogi)
				USA:	Oncovin (Lilly)

Vindesine

ATC: L01CA03
Use: antineoplastic

RN: 53643-48-4 MF: $C_{43}H_{55}N_5O_7$ MW: 753.94 EINECS: 258-682-2
LD_{50}: 13.8 mg/kg (M, i.v.)
CN: 3-(aminocarbonyl)-O^4-deacetyl-3-de(methoxycarbonyl)vincaleukoblastine



Reference(s):
US 4 203 898 (Lilly, 20.5.1980; prior. 29.8.1977).
US 4 479 957 (Lilly; 30.10.1984; prior. 2.4.1973).
DOS 2 415 980 (Eli Lilly; appl. 2.4.1974; USA-prior. 2.4.1973).

Formulation(s): amp. 5 mg/5 ml, 1 mg, 4 mg, 5 mg

Trade Name(s):

D:	Eldisine (Lilly; 1980)	GB:	Eldisine (Lilly; 1980)	J:	Fildesin (Shionogi; 1985)
F:	Eldisine (Lilly)	I:	Eldisine (Lilly)		

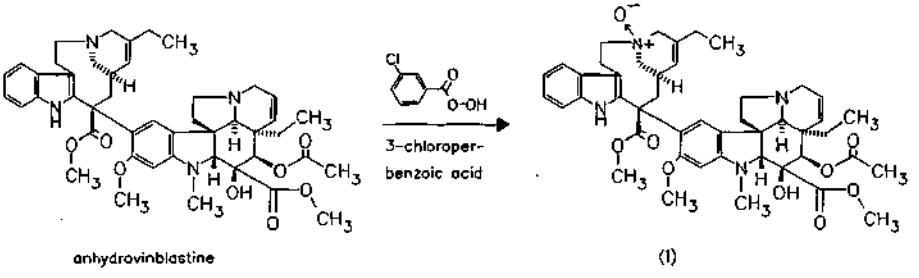
Vinorelbine

(5'-Noranhydrovinblastine; PM259)

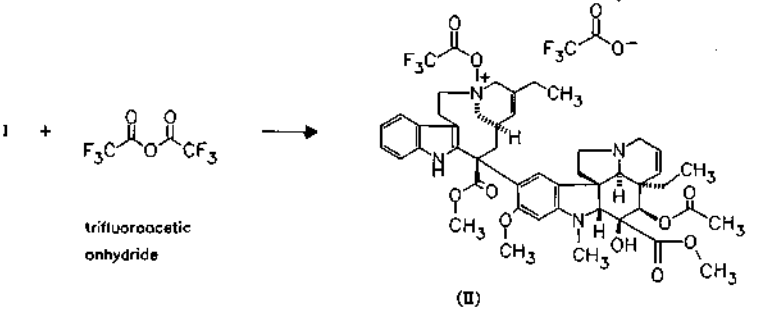
ATC: L01CA04
Use: antineoplastic (non small cell lung cancer)

RN: 71486-22-1 MF: $C_{45}H_{54}N_4O_8$ MW: 778.95
LD_{50}: 26 mg/kg (M, i.p.)
CN: 3',4'-didehydro-4'-deoxy-*C*'-norvincaleukoblastine

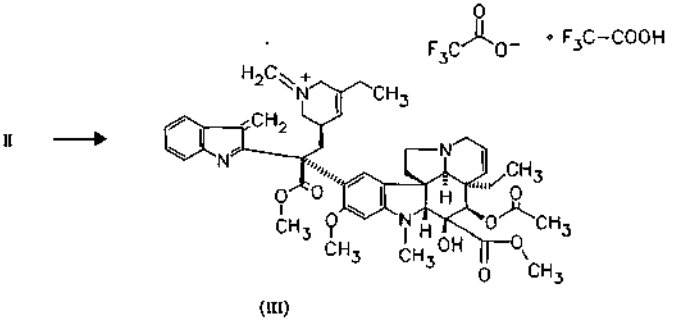
hydrogen tartrate (1:1)
RN: 105661-07-2 MF: $C_{45}H_{54}N_4O_8 \cdot C_4H_6O_6$ MW: 929.03
tartrate
RN: 125317-39-7 MF: $C_{45}H_{54}N_4O_8 \cdot 2C_4H_6O_6$ MW: 1079.12



anhydrovinblastine (I)

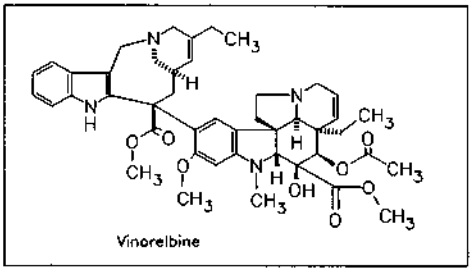


(II)



(III)

III $\xrightarrow{THF,\ H_2O}$



Reference(s):
EP 10 458 (ANVAR Agence Nat. Valorisation; F-prior. 24.8.1978, 6.2.1979).
Andriamialisoa, R.Z. et al.: Tetrahedron (TETRAB) **36**, 20 (1980).
Mangeney, P. et al.: Tetrahedron (TETRAB) **35**, 2175 (1979); J. Org. Chem. (JOCEAH) **44**, 3765 (1979).
Gueritte, F. et al.: Eur. J. Med. Chem. (EJMCA5) **18**, 419 (1983).
Potier, P.: Semin. Oncol. (SOLGAV) **16**, (2, Suppl. 4), 2 (1989); J. Nat. Prod. (JNPRDF) **43**, 72 (1980).

pharmaceutical formulation for parenteral administration:
EP 317 401 (PierreFabre; appl. 10.11.1988; F-prior. 13.11.1987).

synthesis of anhydrovinblastine*:*
EP 354 778 (Mitsui Petrochem.; appl. 9.8.1989; J-prior. 11.8.1988).
DOS 3 826 412 (Univ. of British Columbia; appl. 6.8.1988; CND-prior. 6.8.1987).
FR 2 544 319 (Pierre Fabre; appl. 14.4.1983).
HU 20 601 (Richter Gedeon; appl. 17.3.1977).
WO 8 802 002 (Mitsui Petrochem.; appl. 16.9.1987; USA-prior. 18.9.1986).
JP 63 119 690 (Mitsui Petrochem.; appl. 4.8.1987; USA-prior. 4.8.1986).
Kutney, J.P. et al.: Helv. Chim. Acta (HCACAV) **58**, 1690, 1711 (1975); **59**, 2858 (1976).
Raucher, S. et al.: J. Am. Chem. Soc. (JACSAT) **109**, 442 (1987).
Kutney, J.P. et al.: Heterocycles (HTCYAM) **27**, 621, 1845 (1988).
Goodbody, A.E. et al.: Planta Med. (PLMEAA) **54**, 136, 210 (1988).
Vokovic, J. et al.: Tetrahedron (TETRAB) **44**, 325 (1988).
Atta-Ur-Rahman, P.S.: J. Nat. Prod. (JNPRDF) **51**, 1275 (1988).
Bray, B.L.: Dissertation Univ. Washington (Seattle), Diss. Abstr. Int. B 1988, 48 (12), Pt. 1, 3567.

Formulation(s): amp. 10 mg/ml, 50 mg/5 ml (as base); vial 10 mg/ml, 50 mg/5 ml (as tartrate)

Trade Name(s):

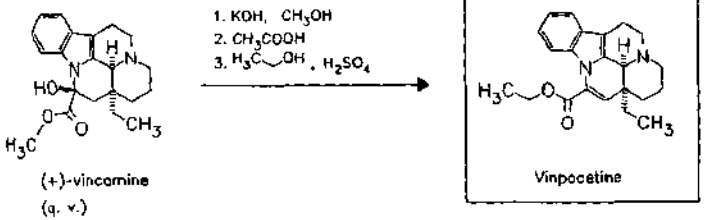
F:	Navelbine (Pierre Fabre; 1988)	GB:	Navelbine (Pierre Fabre; as tartrate)	USA:	Navelbine (Glaxo Wellcome; as tartrate)
		I:	Navelbine (Pierre Fabre)		

Vinpocetine

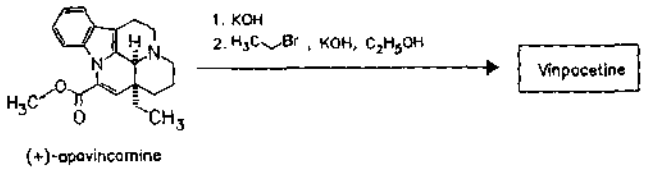
ATC: N06BX18
Use: vasodilator, cerebrostimulant

RN: 42971-09-5 MF: $C_{22}H_{26}N_2O_2$ MW: 350.46 EINECS: 256-028-0
LD_{50}: 45 mg/kg (M, i.v.); 534 mg/kg (M, p.o.);
32 mg/kg (R, i.v.); 503 mg/kg (R, p.o.)
CN: (3α,16α)-eburnamenine-14-carboxylic acid ethyl ester

a



b



Reference(s):
US 4 035 370 (Richter Gedeon; 12.7.1977; prior. 11.10.1972).
DAS 2 253 750 (Richter Gedeon; appl. 2.11.1972; H-prior. 3.11.1971).
Lörincz, C. et al.: Arzneim.-Forsch. (ARZNAD) **26**, 1907 (1976).

citrate phosphate:
EP 154 756 (Covex; appl. 21.3.1984; E-prior. 29.2.1984).

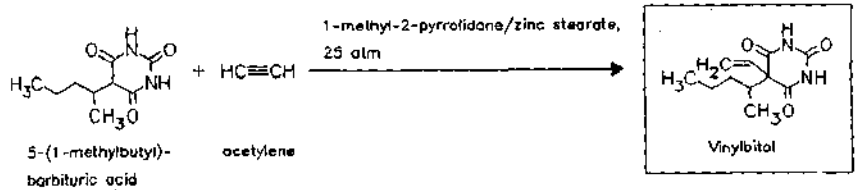
Formulation(s): tabl. 5 mg

Trade Name(s):
D: Cavinton (Thiemann) J: Calan (Takeda; 1984)

Vinylbital
(Butylvinal)

ATC: N05CA08
Use: hypnotic

RN: 2430-49-1 MF: $C_{11}H_{16}N_2O_3$ MW: 224.26 EINECS: 219-395-8
CN: 5-ethenyl-5-(1-methylbutyl)-2,4,6(1*H*,3*H*,5*H*)-pyrimidinetrione



Reference(s):
FR 11 825 256 (BASF; appl. 9.9.1957).
FR-M 896 (BASF; appl. 4.1.1961).

Formulation(s): tabl. 150 mg

Trade Name(s):

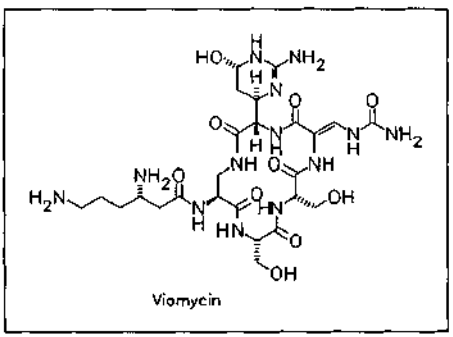
D:	Speda (Byk Gulden); wfm	Optanox (Valpan); wfm	Suppoptanox (Valpan); wfm
F:	Optanox (Delagrange); wfm	Suppoptanox (Delagrange); wfm	

Viomycin

ATC: J04A
Use: antibiotic

RN: 32988-50-4 MF: $C_{25}H_{43}N_{13}O_{10}$ MW: 685.70 EINECS: 251-323-0
CN: stereoisomer of 3,6-diamino-*N*-[6-[[(aminocarbonyl)amino]methylene]-3-(2-amino-1,4,5,6-tetrahydro-6-hydroxy-4-pyrimidinyl)-9,12-bis(hydroxymethyl)-2,5,8,11,14-pentaoxo-1,4,7,10,13-pentaazacyclohexadec-15-yl]hexanamide

sulfate
RN: 37883-00-4 MF: $C_{25}H_{43}N_{13}O_{10} \cdot xH_2SO_4$ MW: unspecified
LD_{50}: 112 mg/kg (M, i.v.);
340 mg/kg (R, i.v.)



From fermentation solutions of *Streptomyces floridae* or *Streptomyces puniceus*.

Reference(s):
US 2 633 445 (Ciba; 1953; prior. 1947).
US 2 828 245 (Commerc Solvents; 1958; prior. 1954).

Formulation(s): amp. 1 g

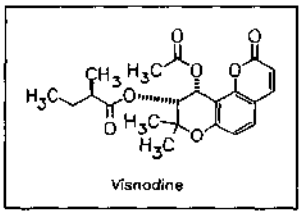
Trade Name(s):

D:	Viocin (Pfizer); wfm	J:	Viomicin (Parke Davis-Sankyo)		Viomycin Pfizer (Taito Pfizer)
F:	Panto-Viocine (Pfizer); wfm			USA:	Viocin (Pfizer); wfm

Visnadine

ATC: C04AX24
Use: coronary vasodilator

RN: 477-32-7 MF: $C_{21}H_{24}O_7$ MW: 388.42 EINECS: 207-515-1
LD_{50}: 2240 mg/kg (M, p.o.);
1213 mg/kg (R, p.o.)
CN: [9*R*-[9α(*R**),10α]]-2-methylbutanoic acid 10-(acetyloxy)-9,10-dihydro-8,8-dimethyl-2-oxo-2*H*,8*H*-benzo[1,2-*b*:3,4-*b*']dipyran-9-yl ester



By extraction from *Ammi visnaga* L. (Umbelliferae) and chromatographic purification.

Reference(s):
US 2 816 118 (S. B. Penick & Co.; 10.12.1957; prior. 12.11.1953).
US 2 980 699 (S. B. Penick & Co.; 18.4.1961; prior. 20.12.1957).

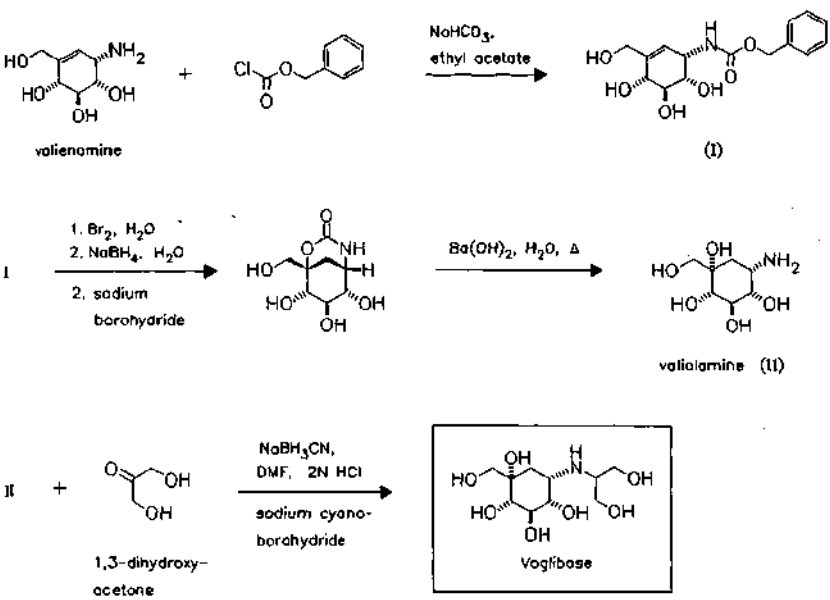
Formulation(s): cps. 70 mg

Trade Name(s):
D: Carduben (Madaus); wfm F: Vibeline (Roger Bellon); wfm J: Visnamine (Chinoin)

Voglibose

ATC: A10
Use: antidiabetic, antiobesity, α-glucosidase inhibitor

RN: 83480-29-9 MF: $C_{10}H_{21}NO_7$ MW: 267.28
CN: 3,4-dideoxy-4-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-2-*C*-(hydroxymethyl)-D-*epi*-inositol



Reference(s):
EP 56 194 (Takeda Chem.; appl. 24.12.1984; J-prior. 5.1.1981, 6.10.1981).
Hori, S. et al.: J. Med. Chem. (JMCMAR) **29**, 1038 (1986).
EP 260 121 (Takeda Chem.; appl. 9.9.1987; J-prior. 9.9.1986, 5.11.1986, 6.1.1987).
EP 240 175 (Takeda Chem.; appl. 5.3.1987; J-prior. 21.5.1986, 5.3.1986, 4.3.1987).

uncoated tablets with improved resistance:
EP 610 854 (Takeda Chem.; appl. 7.2.1994; J-prior. 10.2.1993).

composition for promoting calcium absorption:
EP 364 696 (Takeda Chem.; appl. 21.8.1989; J-prior. 22.8.1988).
EP 197 661 (Takeda Chem.; appl. 10.3.1986; J-prior. 11.3.1985).
EP 194 794 (Takeda Chem.; appl. 4.3.1986; WO-prior. 8.3.1985, 30.4.1985).

combination for obesity treatment:
WO 8 605 094 (Takeda Chem.; appl. 8.3.1985; WO-prior. 8.3.1985, 30.4.1985).
EP 638 317 (Hoffmann-La Roche; appl. 22.7.1994; CH-prior. 5.8.1993).

Formulation(s): tabl. 0.2 mg, 0.3 mg

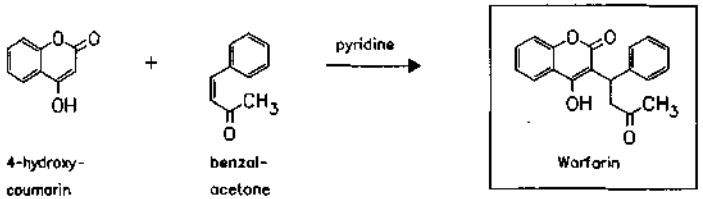
Trade Name(s):
J: **Basen** (Takeda) **Glustat** (Takeda)

Warfarin

ATC: B01AA03
Use: anticoagulant

RN: 81-81-2 MF: $C_{19}H_{16}O_4$ MW: 308.33 EINECS: 201-377-6
LD_{50}: 165 mg/kg (M, i.v.); 3 mg/kg (M, p.o.);
1600 µg/kg (R, p.o.);
3 mg/kg (dog, p.o.)
CN: 4-hydroxy-3-(3-oxo-1-phenylbutyl)-2*H*-1-benzopyran-2-one

sodium salt
RN: 129-06-6 MF: $C_{19}H_{15}NaO_4$ MW: 330.32 EINECS: 204-929-4
LD_{50}: 160 mg/kg (M, i.v.); 374 mg/kg (M, p.o.);
25 mg/kg (R, i.v.); 8700 µg/kg (R, p.o.);
200 mg/kg (dog, i.v.); 200 mg/kg (dog, p.o.)



Reference(s):
US 2 427 578 (Wisconsin Alumni Res. Found.; 1947; prior. 1945).

sodium salt:
US 2 777 859 (Wisconsin Alumni Res. Found.; 1957; prior. 1953).
US 2 765 321 (Wisconsin Alumni Res. Found.; 1956; appl. 1955).
US 3 077 481 (Wisconsin Alumni Res. Found.; 12.2.1963; appl. 21.2.1961)

Formulation(s): amp. 2 mg/ml; tabl. 1 mg, 2 mg, 2.5 mg, 3 mg, 4 mg, 5 mg, 6 mg, 7.5 mg, 10 mg (as sodium salt)

Trade Name(s):

D:	Coumadin (Du Pont)	GB:	Marevan (Goldshield)	J:	Warfarin (Eisai)
F:	Coumadine (Du Pont)	I:	Coumadin Endo (Du Pont)	USA:	Coumadin (Du Pont)

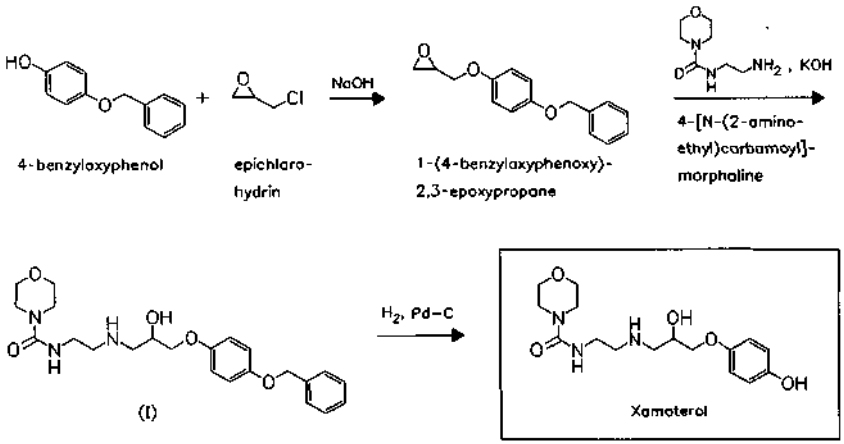
Xamoterol

(ICI-118587)

ATC: C01CA; C01CX07
Use: cardiac stimulant, β-antagonist

RN: 81801-12-9 MF: $C_{16}H_{25}N_3O_5$ MW: 339.39
CN: (±)-*N*-[2-[[2-hydroxy-3-(4-hydroxyphenoxy)propyl]amino]ethyl]-4-morpholinecarboxamide

fumarate
RN: 69630-19-9 MF: $C_{16}H_{25}N_3O_5 \cdot C_4H_4O_4$ MW: 455.46
(±)-monohydrochloride
RN: 112008-18-1 MF: $C_{16}H_{25}N_3O_5 \cdot HCl$ MW: 375.85
(±)-fumarate (2:1)
RN: 90730-93-1 MF: $C_{16}H_{25}N_3O_5 \cdot 1/2C_4H_4O_4$ MW: 794.86
fumarate (2:1)
RN: 73210-73-8 MF: $C_{16}H_{25}N_3O_5 \cdot 1/2C_4H_4O_4$ MW: 794.86 EINECS: 277-319-9



Reference(s):
DOS 2 822 473 (ICI; appl. 23.5.1978; GB-prior. 23.5.1977).
US 4 143 140 (ICI; 6.3.1979; GB-prior. 23.5.1977).
Barlow, J.J. et al.: J. Med. Chem. (JMCMAR) **24**, 315 (1981).

Formulation(s): tabl. 200 mg

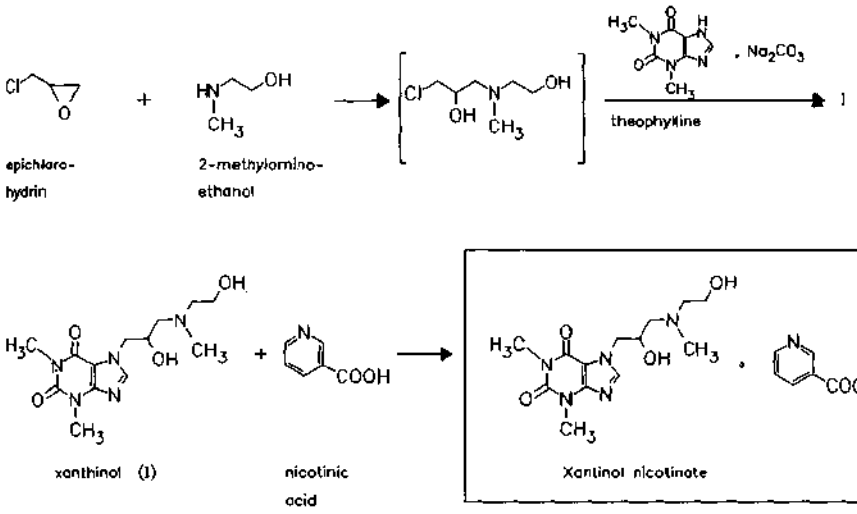
Trade Name(s):
GB: Corwin (Zeneca) J: Sepan (Yamanouchi) USA: Corwin (Zeneca)

Xantinol nicotinate

(Xanthinol-Niacinate)

ATC: C04AD02
Use: vasodilator

RN: 437-74-1 MF: $C_{13}H_{21}N_5O_4 \cdot C_6H_5NO_2$ MW: 434.45 EINECS: 207-115-7
LD_{50}: 673 mg/kg (M, i.v.); 17350 mg/kg (M, p.o.);
690 mg/kg (R, i.v.); 14130 mg/kg (R, p.o.)
CN: 3-pyridinecarboxylic acid compd. with 3,7-dihydro-7-[2-hydroxy-3-[(2-hydroxyethyl)methylamino]propyl]-1,3-dimethyl-1*H*-purine-2,6-dione (1:1)



Reference(s):
US 2 924 598 (J. A. Wülfing; 9.2.1960; D-prior. 26.10.1957).

Formulation(s): amp. 300 mg; s. r. cps. 500 mg; s. r. tabl. 150 mg, 300 mg, 1000 mg; tabl. 150 mg, 300 mg, 1000 mg

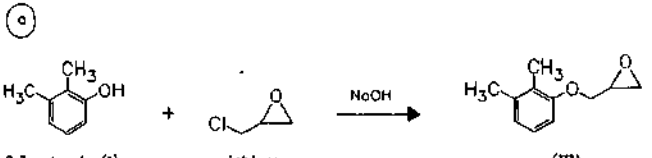
Trade Name(s):

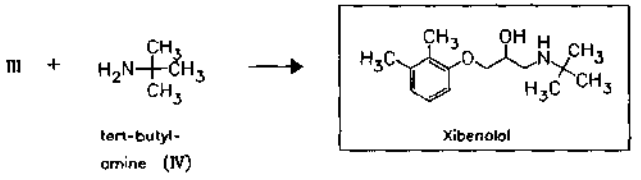
D:	Complamin (SmithKline Beecham) Theonikol (medpharm)		Xantinol-nicotinat retard-ratiopharm (ratiopharm)	GB:	Complamex (Gemini); wfm
		F:	Complamine (Laténa); wfm	I:	Complamin (Italchimici)
				USA:	Complamin (Riker); wfm

Xibenolol

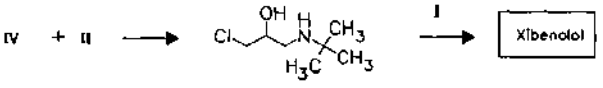
Use: beta blocking agent

RN: 30187-90-7 MF: $C_{15}H_{25}NO_2$ MW: 251.37
CN: 1-[(1,1-dimethylethyl)amino]-3-(2,3-dimethylphenoxy)-2-propanol





(b)



Reference(s):
JP 7 029 294 (Teikoku; appl. 17.8.1968).
JP 6 041 623 (Teikoku; appl. 17.8.1968).

alternative synthesis:
JP 4 033 185 (Teikoku; appl. 5.8.1969).
DOS 2 058 532 (Teikoku; appl. 27.11.1970; J-prior. 28.11.1969, 31.3.1970, 21.7.1970, 13.11.1970).
DOS 2 065 365 (Teikoku; appl. 27.11.1970; J-prior. 28.11.1969, 31.3.1970, 21.7.1970, 13.11.1970).

medical use:
GB 1 422 046 (Teikoku; appl. 19.1.1973).

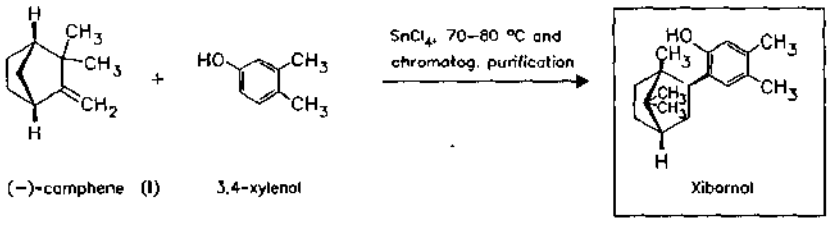
Trade Name(s):
J: Rythminal (Teikoku)

Xibornol

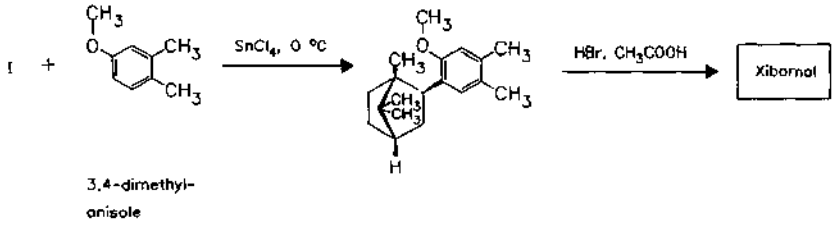
ATC: J01XX02
Use: bronchochemotherapeutic

RN: 13741-18-9 MF: $C_{18}H_{26}O$ MW: 258.41 EINECS: 237-312-3
CN: *exo*-4,5-dimethyl-2-(1,7,7-trimethylbicyclo[2.2.1]hept-2-yl)phenol

(a)



(b)



Reference(s):
a GB 1 206 774 (Mar-Pha; appl. 23.10.1967).
b DOS 2 032 170 (Mar-Pha; appl. 30.6.1970; GB-prior. 4.7.1969).

alternative process:
DOS 2 912 762 (Farmatis; appl. 30.3.1979).

Formulation(s): cps. 250 mg; spray 3 %; susp. 100 mg

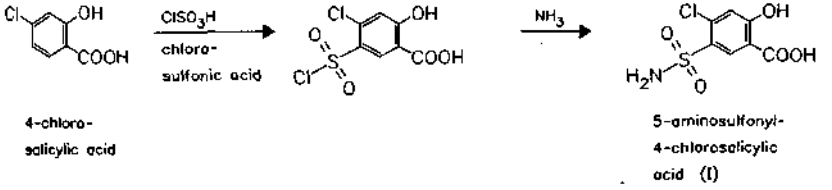
Trade Name(s):

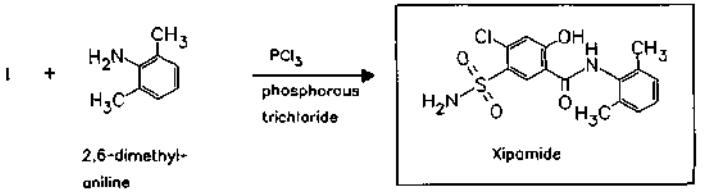
F: Nanbacine (Bellon Groupe Rhône-Poulenc Rorer)
I: Bracen (Zyma); wfm
Xibor (Benedetti); wfm

Xipamide

ATC: C03BA10
Use: diuretic

RN: 14293-44-8 MF: $C_{15}H_{15}ClN_2O_4S$ MW: 354.81 EINECS: 238-216-4
LD_{50}: 1810 mg/kg (M, p.o.);
1640 mg/kg (R, p.o.);
>50 mg/kg (dog, i.v.); >1500 mg/kg (dog, p.o.)
CN: 5-(aminosulfonyl)-4-chloro-*N*-(2,6-dimethylphenyl)-2-hydroxybenzamide





Reference(s):
US 3 567 777 (Beiersdorf; 2.3.1971; D-prior. 19.6.1965).
DE 1 270 544 (Beiersdorf; appl. 19.6.1965).

Formulation(s): tabl. 10 mg, 20 mg, 40 mg

Trade Name(s):

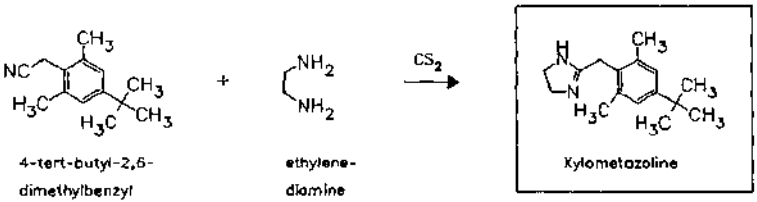
D: Aquaphor (Beiersdorf-Lilly/Lilly)
Durotan (Beiersdorf-Lilly)-comb. with reserpine
Neotri (Beiersdorf-Lilly/Lilly)-comb. with triamterene
F: Chronexan (ASTA Medica)
Lumitens (Solvay Pharma)
GB: Diurexan (ASTA Medica)
I: Aquafor (ASTA Medica AWD)

Xylometazoline

ATC: R01AA07; R01AB06; S01GA03
Use: vasoconstrictor, rhinological therapeutic

RN: 526-36-3 MF: $C_{16}H_{24}N_2$ MW: 244.38 EINECS: 208-390-6
LD_{50}: 215 mg/kg (M, p.o.)
CN: 2-[[4-(1,1-dimethylethyl)-2,6-dimethylphenyl]methyl]-4,5-dihydro-1*H*-imidazole

monohydrochloride
RN: 1218-35-5 MF: $C_{16}H_{24}N_2 \cdot HCl$ MW: 280.84 EINECS: 214-936-4



Reference(s):
US 2 868 802 (Ciba; 13.1.1959; CH-prior. 10.7.1956).
DE 1 049 387 (Ciba; appl. 3.7.1957; CH-prior. 10.7.1956).

Formulation(s): drops 0.5 mg/ml, 1 g/ml; eye drops 1 mg/ml; gel 1 mg/g; sol. 0.25 mg/ml, 0.5 mg/ml, 1 mg/ml: spray 0.5 mg/ml, 1mg/ml (as hydrochloride)

Trade Name(s):

D: Balkis (Dolorgiet)
Dorenasin (Rentschler)
Gelonasal (Pohl)
Imidin (Pharma Wernigerode)
Lomupren comp. (Fisons)-comb.
mentopin (Hermes)
Nasan (Hexal)
Nasengel-ratiopharm (ratiopharm)
Nasenspray-ratiopharm (ratiopharm)
Nasentropfen K-ratiopharm (ratiopharm)
Olynth (Warner-Lambert)
Otriven (Novartis)
Otriven (CIBA Vision)
Pertix Hommel (Hommel)
Rapako (Truw)
schnupfen endrine (Asche)
stas Nasenspray (Stada)
Xylo-COMOD (Ursapharm)
Xylo-E (ct-Arzneimittel)

GB: Otrivine (Novartis)
Otrivine-Antistin (CIBA Vision)-comb. with antazoline
Rynacrom compound (Rhône-Poulenc Rorer)

I: Neorinoleina (Synthelabo)
Otrivin (CIBA Vision)
Respiro (Byk Gulden)-comb.
Rinos (Molteni)-comb.

USA: Otrivin (Geigy); wfm

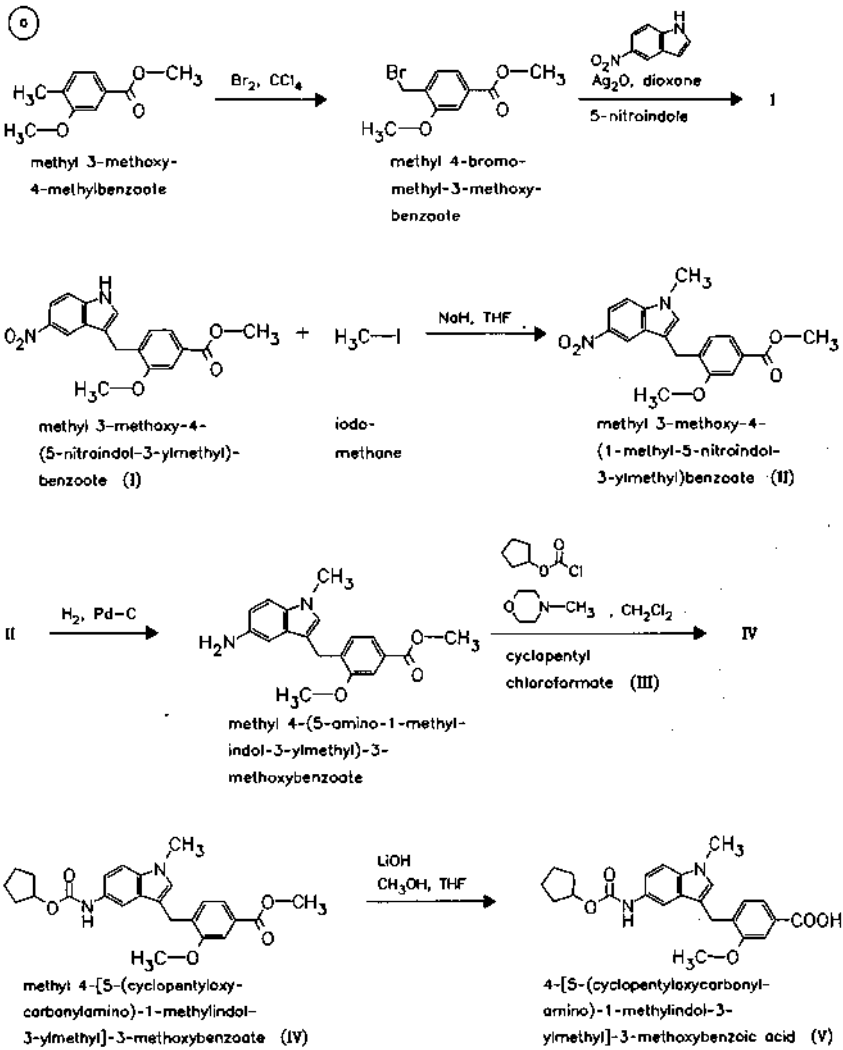
Zafirlukast

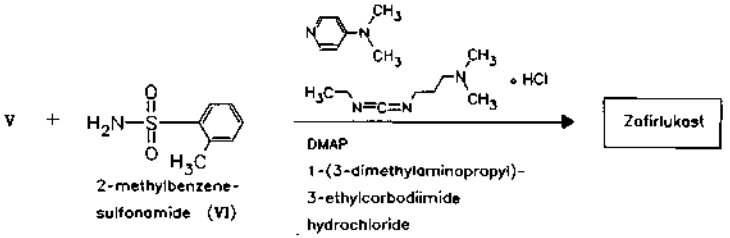
(ICI-204219)

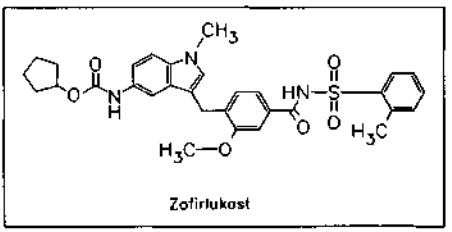
ATC: R03DC01
Use: antiasthmatic, LTD_4-antagonist

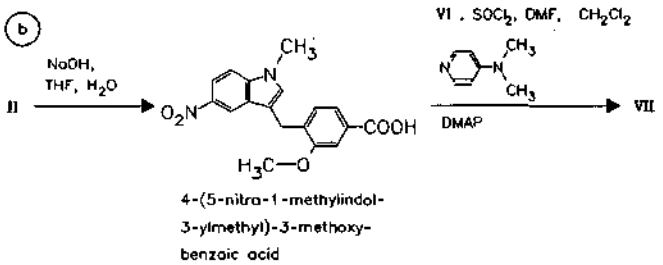
RN: 107753-78-6 MF: $C_{31}H_{33}N_3O_6S$ MW: 575.69
CN: [3-[[2-methoxy-4-[[[(2-methylphenyl)sulfonyl]amino]carbonyl]phenyl]methyl]-1-methyl-1*H*-indol-5-yl]carbamic acid cyclopentyl ester

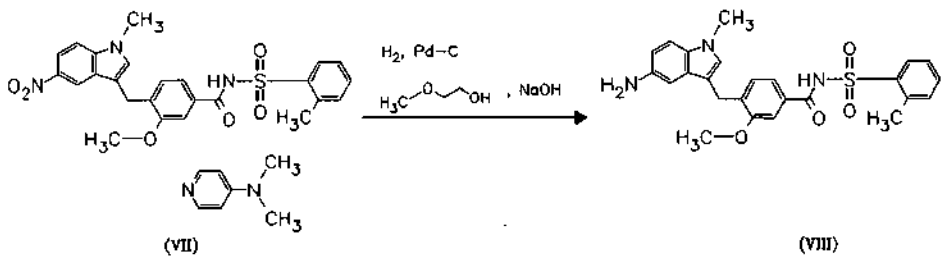
monohydrate
RN: 143052-93-1 MF: $C_{31}H_{33}N_3O_6S \cdot H_2O$ MW: 593.70
calcium salt (2:1)
RN: 107753-86-6 MF: $C_{62}H_{64}CaN_6O_{12}S_2$ MW: 1189.43











Reference(s):

a EP 199 543 (ICI, Zeneca; appl. 16.4.1986; GB-prior. 17.4.1985).
crystalline form suitable for inhalation:

b EP 490 649 (ICI, Zeneca; 11.12.1991; GB-prior. 12.12.1990).
Matassa, G. et al.: J. Med. Chem. (JMCMAR) **33**, 1781 (1990).

Formulation(s): tabl. 20 mg

Trade Name(s):

USA: Accolate (Zeneca)

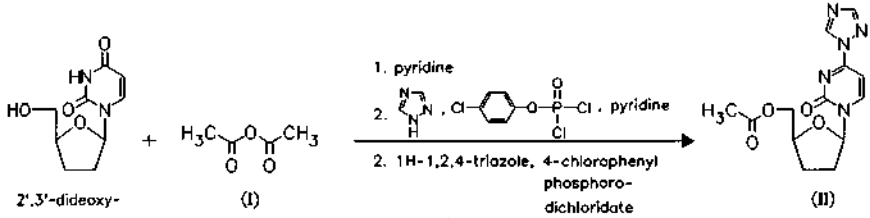
Zalcitabine

(ddCyd; Dideoxycytidine; Ro-24-2027)

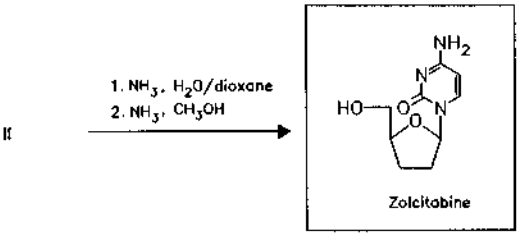
ATC: J05AF03
Use: anti-AIDS therapeutic

RN: 7481-89-2 MF: $C_9H_{13}N_3O_3$ MW: 211.22
CN: 2',3'-dideoxycytidine

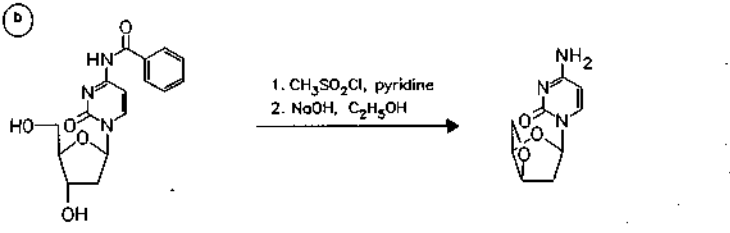
a



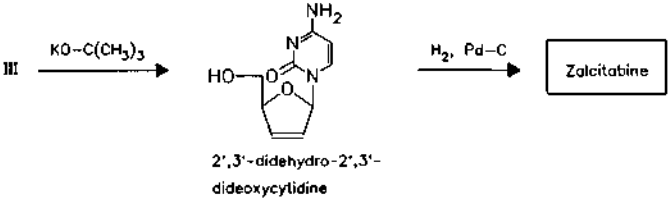
2',3'-dideoxy-uridine + (I) → (II)



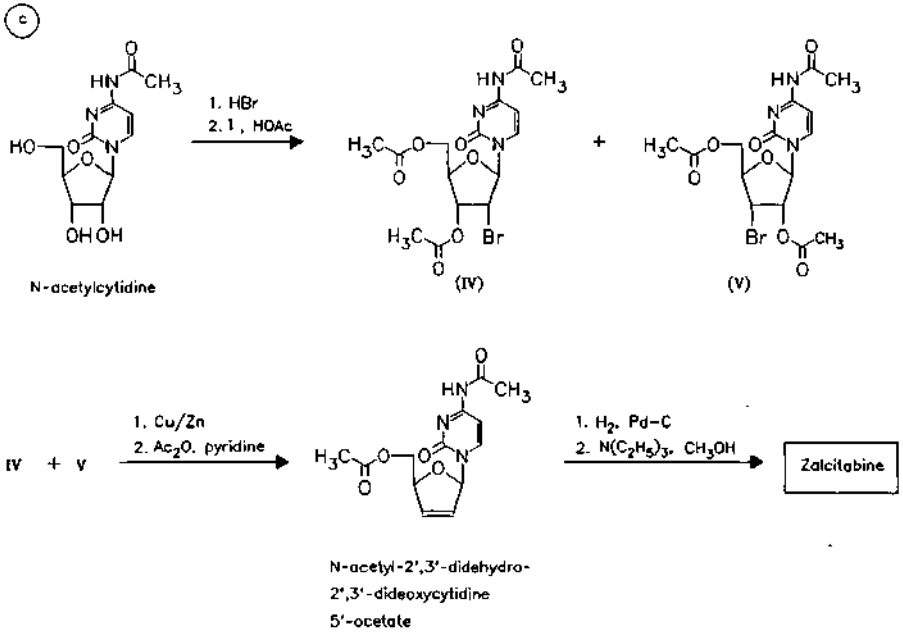
b



N-benzoyl-2'-deoxy-cytidine → 1-(2-deoxy-3,5-epoxy-β-D-threo-pentofuranasyl)-cytosine (III)



2',3'-didehydro-2',3'-dideoxycytidine



Reference(s):

a Lin, T.-S. et al.: J. Med. Chem. (JMCMAR) **30**, 440 (1987).
synthesis of 2',3'-dideoxyuridine:
Horwitz, J.P. et al.: J. Org. Chem. (JOCEAH) **32**, 817 (1967).

b Horwitz, J.P. et al.: J. Org. Chem. (JOCEAH) **32**, 817 (1967).
synthesis of N-benzoyl-2'-deoxycytidine:
Benz, E. et al.: J. Org. Chem. (JOCEAH) **30**, 3067 (1965).

c EP 341 704 (Hoffmann-La Roche; appl. 10.5.1989; USA-prior. 12.5.1988).

alternative synthesis:

JP 63 275 597 (Ajinomoto; appl. 7.5.1987).
JP 64 003 194 (Japan Tobacco; appl. 23.6.1987).
JP 64 003 196 (Japan Tobacco; appl. 23.6.1987).
JP 01 060 396 (Ajinomoto; appl. 28.8.1987).
EP 285 884 (Bristol-Myers; appl. 18.3.1988; USA-prior. 20.3.1987).

medical use for treatment of AIDS:

US 4 879 277 (United States Dep. of Health and Haman Services; 7.11.1989; appl. 11.8.1987; prior. 26.8.1985, 4.12.1986, 13.1.1987).

synergistic antiviral combination:

EP 361 831 (Wellcome; appl. 25.9.1989; GB-prior. 26.9.1988).

pharmaceutical tablet formulation:

EP 307 914 (Hoffmann-La Roche; appl. 15.9.1988; USA-prior. 18.9.1987).

Formulation(s): f. c. tabl. 0.375 mg, 0.750 mg

Trade Name(s):

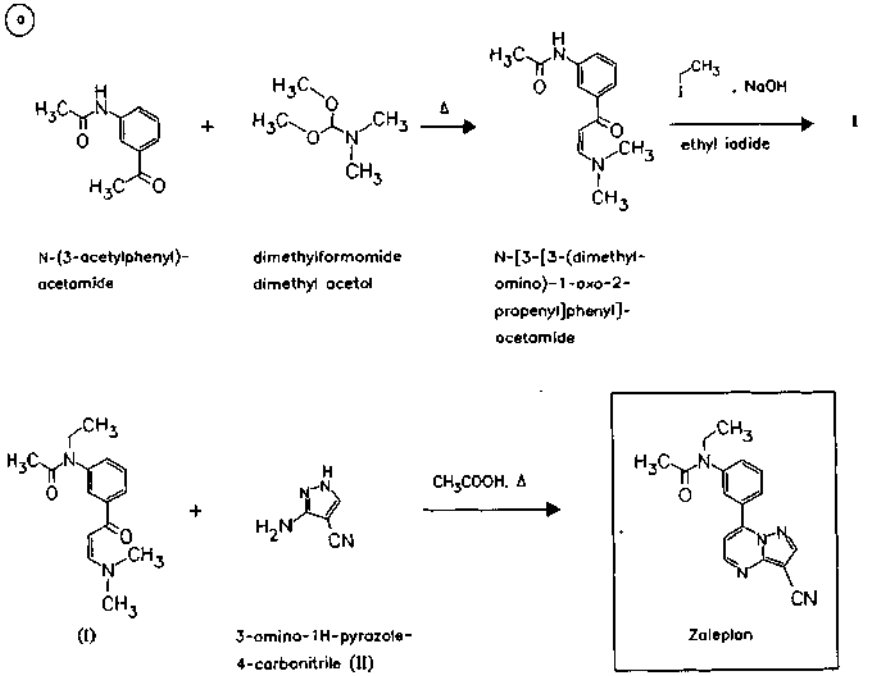
D:	Hivid (Roche)	GB:	Hivid (Roche)	J:	Hivid (Roche)
F:	Hivid (Roche)	I:	Hivid (Roche)	USA:	Hivid (Roche; 1992)

Zaleplon

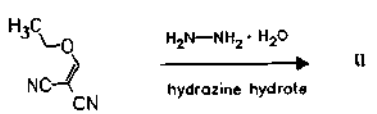
(L-846; CL-284846; LJC 10846)

ATC: N05CF03
Use: sedative, hypnotic, GABA agonist

RN: 151319-34-5 MF: $C_{17}H_{15}N_5O$ MW: 305.34
CN: *N*-[3-(3-Cyanopyrazolo[1,5-*a*]pyrimidin-7-yl)phenyl]-*N*-ethylacetamide



aa synthesis of 3-amino-1H-pyrazole-4-carbonitrile (II):



Reference(s):

a US 5 714 607 (American Cyanamid; 3.2.1998; USA-prior. 1.12.1995).
EP 776 898 (American Cyanamid; appl. 28.11.1996; USA-prior. 1.12.1995).

aa Robins: J. Am. Chem. Soc. (JACSAT) **78**, 784 (1956)

Formulation(s): cps. 5 mg, 10 mg

Trade Name(s):

D: Sonata (Wyeth)

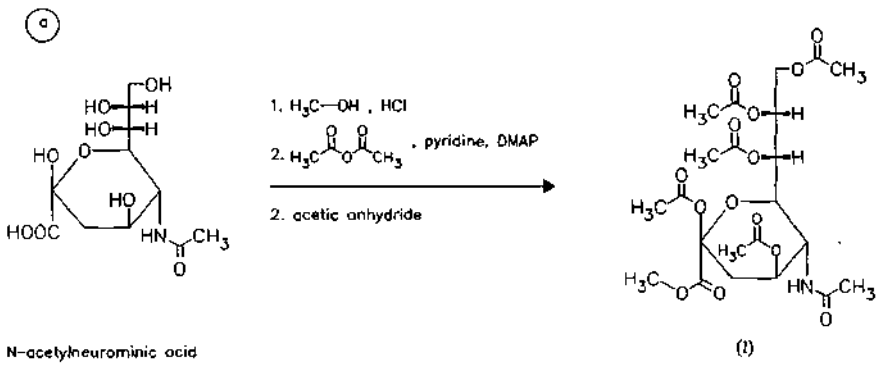
USA: Sonata (Wyeth Ayerst; 1999)

Zanamivir

(GG167; GR-121167X; 4-Guanidino-Neu5Ac2en)

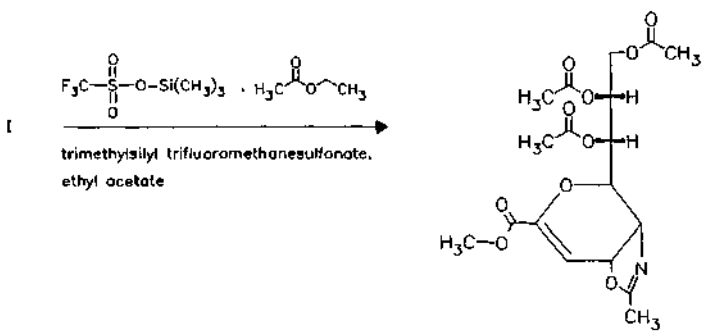
ATC: J05AH01
Use: antiviral, influenza neuraminidase inhibitor

RN: 139110-80-8 MF: $C_{12}H_{20}N_4O_7$ MW: 332.31
CN: 5-(Acetylamino)-4-[(aminoiminomethyl)amino]-2,6-anhydro-3,4,5-trideoxy-D-*glycero*-D-*galacto*-non-2-enonic acid

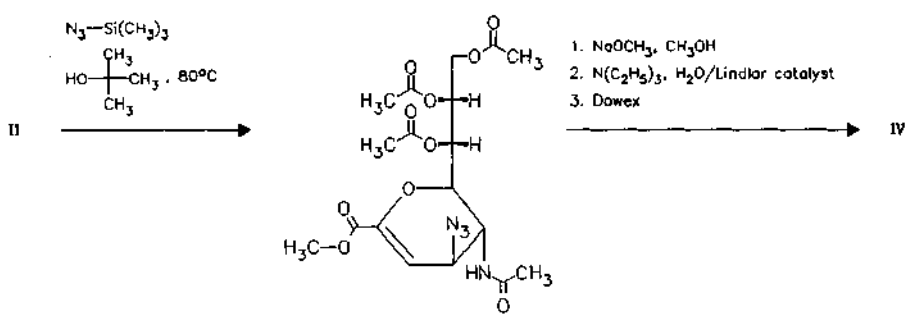


N-acetylneuraminic acid

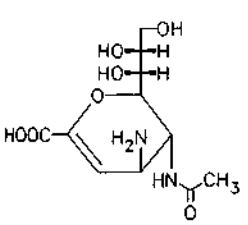
(I)



methyl (3aR,4R,7aR)-2-methyl-4-[(1S,2R)-1,2,3-triacetoxypropyl]-3a,7a-dihydro-4H-pyrano[3,4-d]oxazole-6-carboxylate (II)

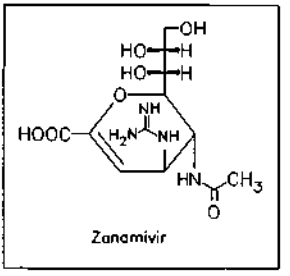


(III)



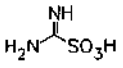
N-acetyl-4-amino-2,4-dideoxy-2,3-didehydro-neuraminic acid (IV)

1. Br—CN · NaO—C(=O)—CH$_3$, CH$_3$OH
2. NH$_4$OH, NH$_4^+$ HCOO$^-$



Zanamivir

(b)

IV + 

aminoimino-methanesulfonic acid

NaOH, K_2CO_3, H_2O → Zanamivir

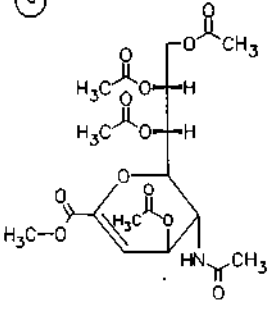
(c)

IV + 

pyrazole-1-carboxamidine

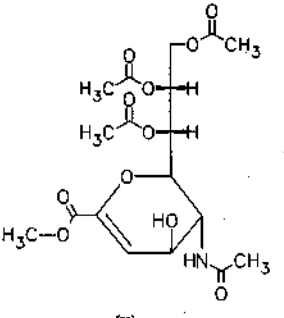
$N(C_2H_5)_3$, H_2O → Zanamivir

(d)



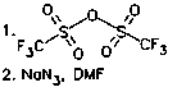
4-O,5-N,7-O,8-O,9-O-pentaacetyl-2,3-didehydro-2-deoxyneuraminic acid methyl ester

BF_3 · Et_2O, benzene, CH_3OH →



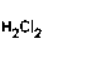
(V)

V

1.  , CH_2Cl_2
2. NaN_3, DMF

1. trifluoromethanesulfonic anhydride
2. sodium azide

→ III

1. H_2S, pyridine
2.  , H_2O
3. Dowex, NH_4OH

2. S-methylisothiourea

→ Zanamivir

Reference(s):
a Chandler, M. et al.: J. Chem. Soc., Perkin Trans. 1 (JCPRB4) **1995**, 1173.
WO 9 407 885 (Glaxo; appl. 23.9.1993; GB-prior. 25.9.1992).
b Itzstein, M. von et al.: Carbohydr. Res. (CRBRAT) **259**, 301 (1994)
c WO 9 407 886 (Glaxo; appl. 23.9.1993; GB-prior. 25.9.1992).
d WO 9 116 320 (Biota; appl. 24.4.1991; AU-prior. 24.4.1990).
Scheigetz, J. et al.: Org. Prep. Proced. Int. (OPPIAK) 27, 637 (1995).

preparation of different crystalline forms:
WO 9 516 680 (Glaxo; appl. 23.9.1993; GB-prior. 25.9.1992).

compounds and compositions for oral inhalation:
WO 9 532 712 (Glaxo; appl. 24.5.1995; GB-prior. 28.5.1994).

combination with an influenza vaccine:
GB 2 292 081 (Glaxo; appl. 1.8.1995; GB-prior. 12.8.1994).

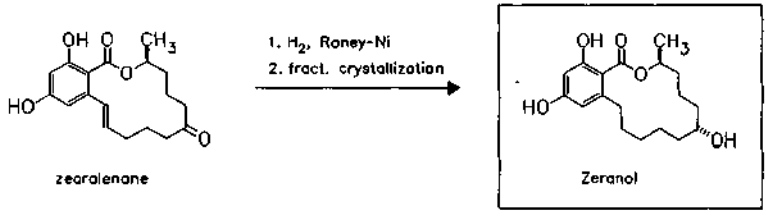
Formulation(s): powder for inhalation dischaler 5 mg

Trade Name(s):
D: Relenza (Glaxo Wellcome) USA: Relenza (Glaxo Wellcome; 1999)
GB: Relenza (Glaxo Wellcome)

Zeranol
(Zearalanol)

ATC: A14
Use: estrogen

RN: 26538-44-3 MF: $C_{18}H_{26}O_5$ MW: 322.40 EINECS: 247-769-0
CN: [3*S*-(3*R**,7*S**)]-3,4,5,6,7,8,9,10,11,12-decahydro-7,14,16-trihydroxy-3-methyl-1*H*-2-benzoxacyclotetradecin-1-one



Reference(s):
DE 1 543 395 (Commercial Solvents Corp.; appl. 1.2.1966; USA-prior. 15.2.1965).
US 3 239 345 (Commercial Solvents Corp.; 8.3.1966; prior. 15.2.1965).

starting material:
The Merck Index, 12th Ed., 1730 (1996).

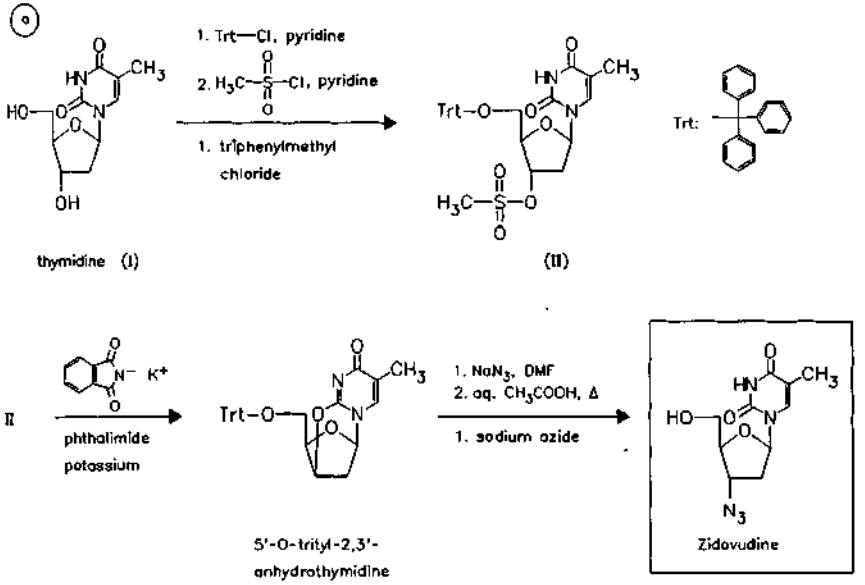
Trade Name(s):
I: Frideron (Sandoz); wfm Ralgro (Commercial Solvent); wfm Ralone (Iti); wfm

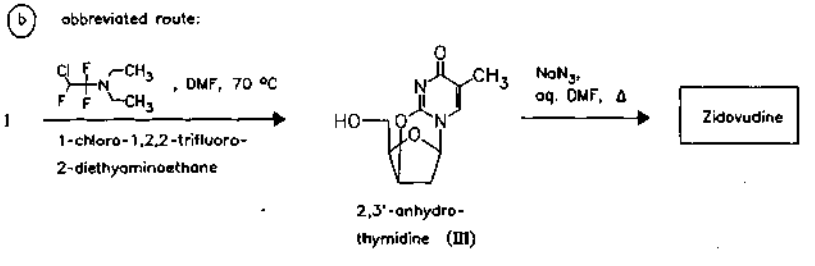
Zidovudine

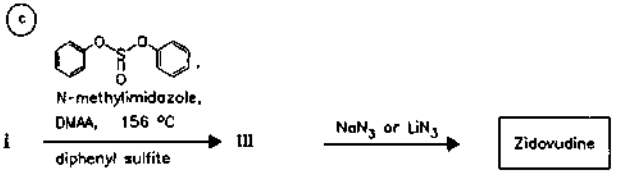
(Azidothymidine; AZT)

ATC: J05AB05
Use: anti-AIDS therapeutic, inhibitor of reverse transcriptase

RN: 30516-87-1 MF: $C_{10}H_{13}N_5O_4$ MW: 267.25
LD_{50}: >750 mg/kg (M, i.v.); >3000 mg/kg (M, p.o.); >750 mg/kg (R, i.v.); >3000 mg/kg (R, p.o.)
CN: 3'-azido-3'-deoxythymidine







Reference(s):

a Glinski, R.P. et al.: J. Org. Chem. (JOCEAH) **38**, 4299 (1973).
Horwitz, J.P. et al.: J. Org. Chem. (JOCEAH) **29**, 2076 (1964).
Imazawa, M. et al.: J. Org. Chem. (JOCEAH) **43**, 3044 (1978).

b DOS 3 608 606 (Wellcome Found.; appl. 14.3.1986; GB-prior. 16.3.1985, 9.5.1985, 27.9.1985, 12.2.1986; USA-prior. 17.9.1985).
Czernecki, S.; Valery, J.M.: Synthesis (SYNTBF) **1991** (3), 239.

c EP 317 207 (King's College London; appl. 11.11.1988; GB-prior. 13.11.1987).
Rao, T.S. et al.: J. Chem. Soc., Chem. Commun. (JCCCAT) **15**, 997 (1989).

total synthesis starting with D-xylose *and* thymine:
US 4 916 218 (M. R. Almond et al.; 10.4.1990; appl. 9.6.1988).
EP 295 090 (Wellcome Found.; appl. 14.12.1988; GB-prior. 10.6.1987, 10.7.1987).
EP 292 101 (Wellcome Found.; appl. 23.11.1988; GB-prior. 25.3.1987, 23.5.1987).
Benhaddou, R.; Czernecki, S.; Valery, J.M; Belosta, V.: Bull. Soc. Chim. Fr. (BSCFAS) **1991**, 108.
US 4 921 950 (Burroughs Wellcome; 1.5.1990; appl. 9.6.1988).

alternative syntheses:
Jung, M.E.; Gardinier, J.U.: J. Org. Chem. (JOCEAH) **56** (8), 2614 (1991).
Zeidler, J.M. et al.: Nucleosides Nucleotides (NUNUD5) **9** (5), 629 (1990).
Hrebabecky, H.; Holy, A.: Carbohydr. Res. (CRBRAT) **216**, 179 (1991)
Chen, B.-C. et al.: Tetrahedron Lett. (TELEAY) **36** (44), 7961 (1995).
EP 653 437 (Bristol-Myers Squibb; appl. 3.11.1994; USA-prior. 15.11.1993).

medical use (treatment of AIDS or of other retroviral infections):
US 4 724 232 (Burroughs Wellcome; 9.2.1988; GB-prior. 16.3.1985, 9.5.1985).
US 4 828 838 (Burroughs Wellcome; 9.5.1989; GB-prior. 16.3.1985, 9.5.1985).
US 4 837 208 (Burroughs Wellcome; 6.6.1989; GB-prior. 16.3.1985, 9.5.1985).
US 4 847 244 (Burroughs Wellcome; 11.7.1989; appl. 20.10.1987; prior. 17.9.1985).
US 4 874 751 (Burroughs Wellcome; 17.10.1989; GB-prior. 16.3.1985, 9.5.1985).

controlled-release formulation:
EP 284 407 (Wellcome Found.; appl. 25.3.1988; GB-prior. 27.3.1987).
EP 232 155 (Elan; appl. 12.8.1987; IE-prior. 3.2.1986).

Formulation(s): cps. 100 mg, 250 mg; sol. 50 mg/5 ml; syrup 50 mg/5 ml; tabl. 300 mg; vial 10 mg/ml

Trade Name(s):

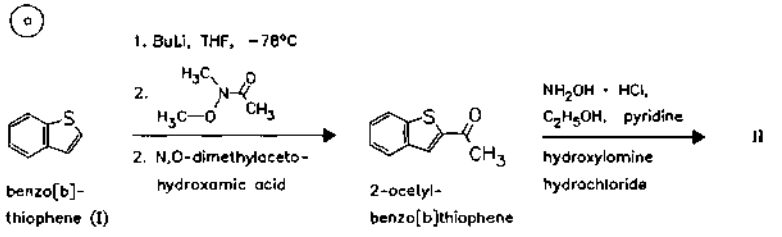
D:	Retrovir (Glaxo Wellcome; 1987)	GB:	Retrovir (Glaxo Wellcome; 1987)	USA:	Retrovir (Glaxo Wellcome; 1987)
F:	Retrovir (Glaxo Wellcome)	J:	Retrovir (Wellcome; 1987)		

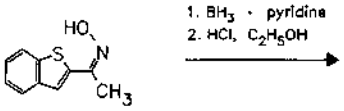
Zileuton

(A-64077; ABT-077)

Use: anti-inflammatory, antiasthmatic, 5-lipoxigenase inhibitor

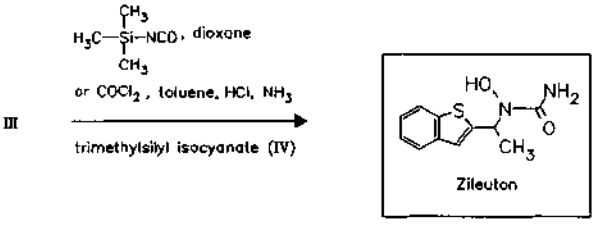
RN: 111406-87-2 MF: $C_{11}H_{12}N_2O_2S$ MW: 236.30
CN: *N*-(1-Benzo[*b*]thien-2-ylethyl)-*N*-hydroxyurea



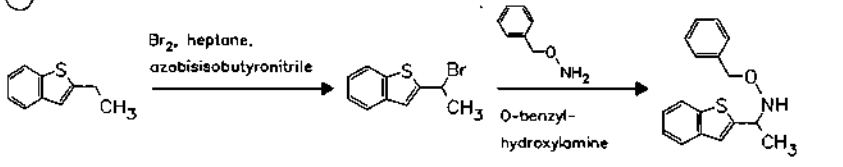


2-[1-(hydroxyimino)-ethyl]benzo[b]-thiophene (II)

N-[1-(benzo[b]thien-2-yl)ethyl]hydroxyl-amine (III)



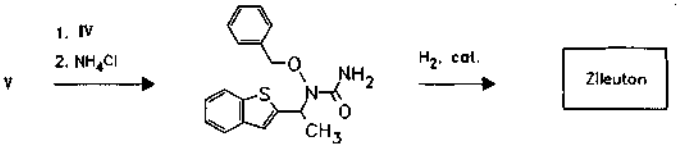
(b)



2-ethyl-benzo[b]thiophene

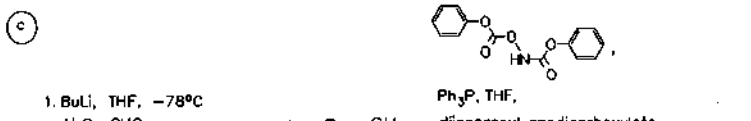
2-(1-bromoethyl)-benzo[b]thiophene

2-[1-(benzyloxy-amino)ethyl]-benzo[b]thiophene (V)



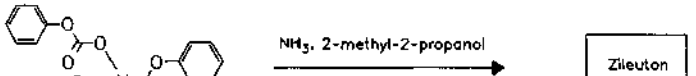
N-benzyloxy-N-[1-(benzo[b]thien-2-yl)-ethyl]urea

(c)



2-(1-hydroxyethyl)-benzo[b]thiophene

N,O-bis(phenoxycarbonyl)-hydroxylamine



N,O-bis(phenoxycarbonyl)-N-[1-(benzo[b]thien-2-yl)ethyl]hydroxylamine (VI)

Reference(s):
a EP 279 263 (Abbott Lab.; appl. 1.2.1988; USA-prior. 10.2.1987).
EP 416 609 (Abbott Lab.; appl. 6.9.1990; USA-prior. 7.9.1989).
Brooks, C.D. W. et al.: J. Med. Chem. (JMCMAR) **38**, 4768 (1995).
b EP 589 784 (Elf Sanofi; appl. 21.9.1993; F-prior. 22.9.1992).
c Stewart, A.O.; Brooks, D.W.: J. Org. Chem. (JOCEAH) **57**, 5020 (1992).

alternative synthesis:
Ku, Y.-Y. et al.: Tetrahedron Lett. (TELEAY) **35** (33), 6017 (1994).

preparation of trimethylsilylisocyanate:
Kijima, J. at al.: Nippon Kagaku Kaishi (NKAKB8) **7**, 1157-60 (1989),
EP 66 232 (Bayer AG; appl. 25.5.1982; D-prior. 3.6.1981).

use as anticancer agent:
WO 9 524 894 (US Dept. Health; appl. 14.3.1995; USA-prior. 14.3.1994).

use for treatment of neurodegenerative diseases:
WO 9 820 864 (Università Brescia; appl. 13.11.1997; I-prior. 13.11.1996).

combinations with COX-2-inhibitors:
WO 9 641 626 (G. D. Searle and Co.; appl. 11.6.1996; USA-prior. 12.6.1995).
WO 9 729 776 (G. D. Searle and Co.; appl. 12.2.1997; USA-prior. 13.2.1996).

Formulation(s): tabl. 600 mg

Trade Name(s):
USA: Zyflo (Abbott; 1997)

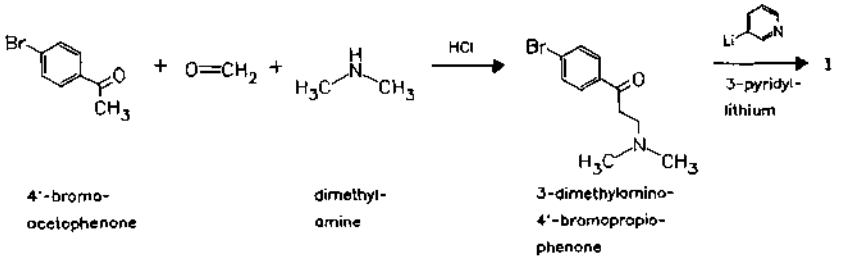
Zimeldine

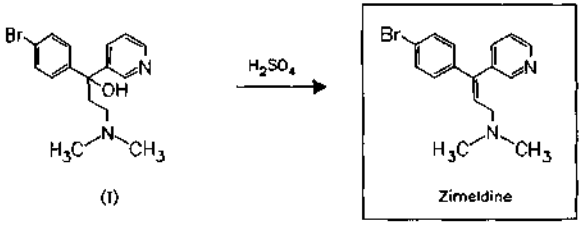
(Zimelidine)

ATC: N06AB02
Use: antidepressant

RN: 56775-88-3 MF: $C_{16}H_{17}BrN_2$ MW: 317.23
LD_{50}: 60 mg/kg (M, i.v.); 800 mg/kg (M, p.o.);
50 mg/kg (R, i.v.); 900 mg/kg (R, p.o.)
CN: (*Z*)-3-(4-bromophenyl)-*N*,*N*-dimethyl-3-(3-pyridinyl)-2-propen-1-amine

dihydrochloride monohydrate
RN: 61129-30-4 MF: $C_{16}H_{17}BrN_2 \cdot 2HCl \cdot H_2O$ MW: 408.17
dihydrochloride
RN: 60525-15-7 MF: $C_{16}H_{17}BrN_2 \cdot 2HCl$ MW: 390.15





Reference(s):
FR 2 134 379 (AB Hässle; appl. 12.4.1972; S-prior. 28.4.1971).

alternative syntheses:
BE 835 802 (Astra; appl. 21.11.1975; S-prior. 21.11.1974).
SU 650 501 (Pharmastra; appl. 21.5.1976).

Formulation(s): 100 mg, 200 mg (as dihydrochloride)

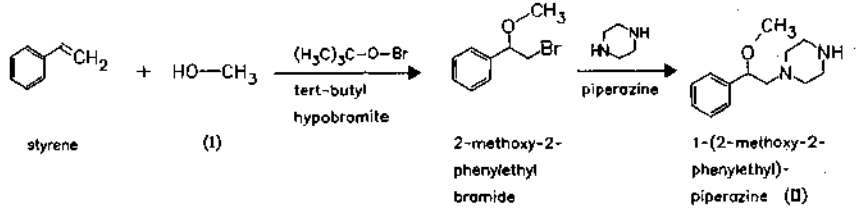
Trade Name(s):
D: Normud (Astra); wfm GB: Zelmid (Astra); wfm

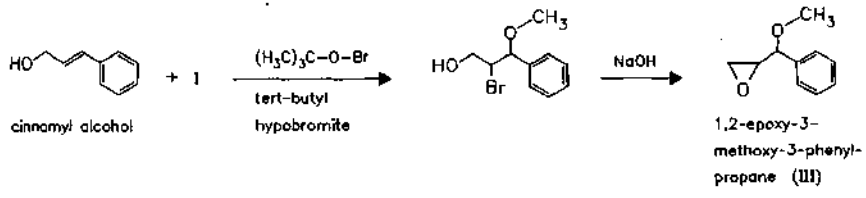
Zipeprol

ATC: R05DB15
Use: antitussive

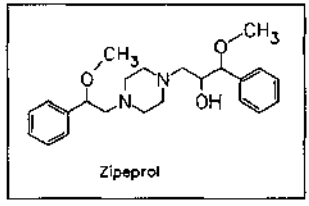
RN: 34758-83-3 MF: $C_{23}H_{32}N_2O_3$ MW: 384.52 EINECS: 252-191-7
CN: 4-(2-methoxy-2-phenylethyl)-α-(methoxyphenylmethyl)-1-piperazineethanol

dihydrochloride
RN: 34758-84-4 MF: $C_{23}H_{32}N_2O_3 \cdot 2HCl$ MW: 457.44 EINECS: 252-192-2
LD_{50}: 44.3 mg/kg (M, i.v.); 300 mg/kg (M, p.o.);
32.7 mg/kg (R, i.v.); 435 mg/kg (R, p.o.);
228 mg/kg (dog, p.o.)





II + III ⟶



Reference(s):
US 3 718 650 (Mauvernay; 27.2.1973; F-prior. 2.3.1970).
DE 2 109 366 (CERM; appl. 30.9.1971; prior. 27.2.1971).

Formulation(s): drg. 75 mg; syrup 0.5 % (as dihydrochloride)

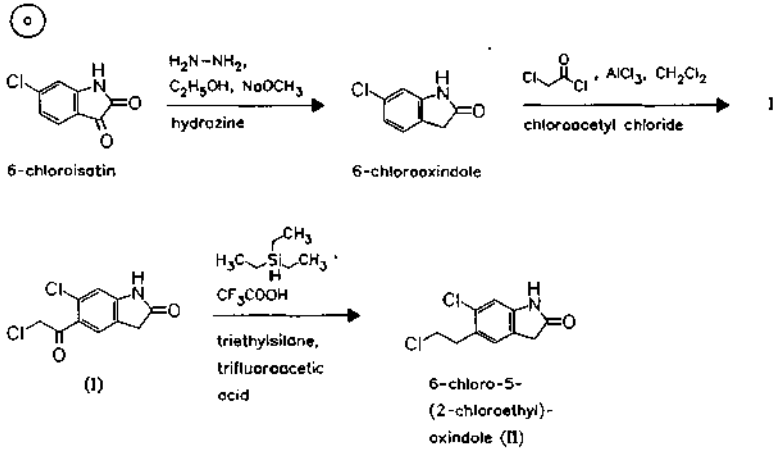
Trade Name(s):
F: Respilène (Winthrop; 1973) I: Zitoxil (Italfarmaco; 1979)

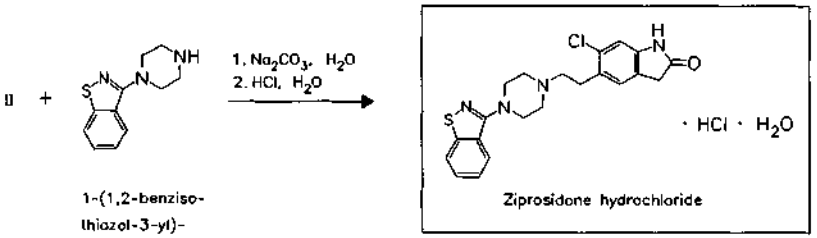
Ziprasidone hydrochloride
(CP-88059-1)

Use: antipsychotic, dopamine D_2-antagonist, 5-HT_2-antagonist

RN: 138982-67-9 MF: $C_{21}H_{21}ClN_4OS \cdot HCl \cdot H_2O$ MW: 467.42
CN: 5-[2-[4-(1,2-Benzisothiazol-3-yl)-1-piperazinyl]ethyl]-6-chloro-1,3-dihydro-2*H*-indol-2-one hydrochloride hydrate

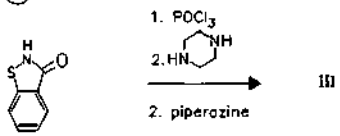
base
RN: 146939-27-7 MF: $C_{21}H_{21}ClN_4OS$ MW: 412.95
hydrochloride
RN: 122883-93-6 MF: $C_{21}H_{21}ClN_4OS \cdot HCl$ MW: 449.41
mesylate
RN: 185021-64-1 MF: $C_{21}H_{21}ClN_4OS \cdot CH_4O_3S$ MW: 509.05





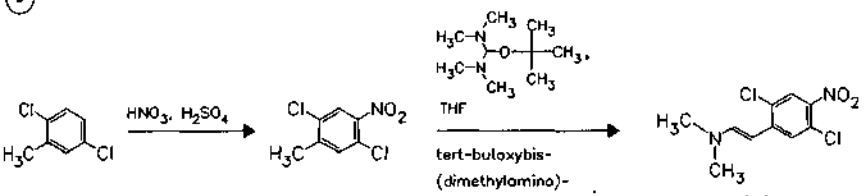
1-(1,2-benziso-thiazol-3-yl)-piperazine (III)

(oa)



1,2-benziso-thiazolin-3-one

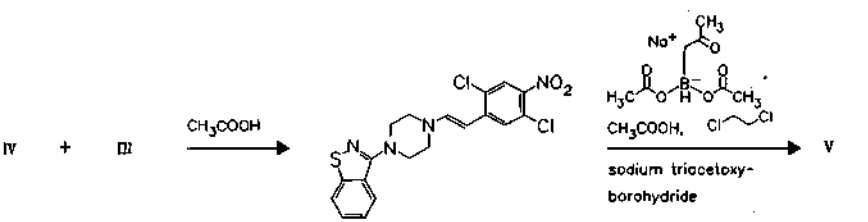
(b)

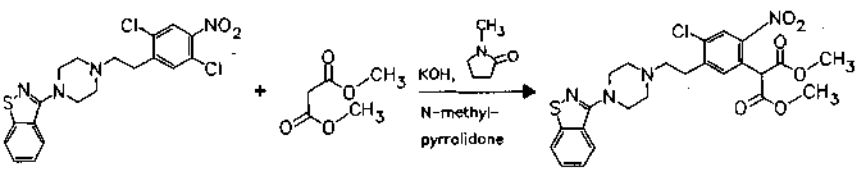


2,5-dichloro-toluene

2,5-dichloro-4-nitrotoluene

tert-buloxybis-(dimethylamino)-methone

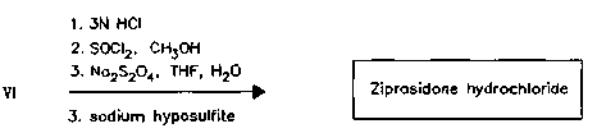




(V)

dimethyl malonate

(VI)



Reference(s):

a Howard, H.R. et al.: J. Labelled Compd. Radiopharm. (JLCRD4), **1994**, 51.
US 5 206 366 (Pfizer; 27.4.1993; USA-prior. 26.8.1992).
EP 281 309 (Pfizer; appl. 24.2.1988; WO-prior. 2.3.1987).

b Urban, F.J. et al: Synth. Commun. (SYNCAV) **26** (8), 1629-1638 (1996).
US 5 359 068 (Pfizer; 25.10.1994; USA-prior. 28.6.1993).

monohydrate:
EP 586 191 (Pfizer; appl. 25.8.1993; USA-prior. 1.9.1992).

mesylate dihydrate salts:
WO 9 742 191 (Pfizer; appl. 10.4.1997; USA-prior. 7.5.1996).

cyclodextrin inclusion complexes:
EP 811 386 (Pfizer; appl. 24.4.1997; USA-prior. 7.5.1996).

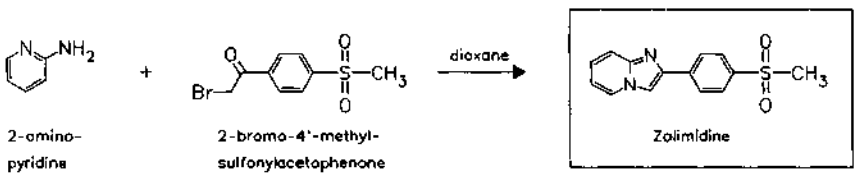
Formulation(s): cps. 20 mg, 40 mg, 60 mg, 80 mg

Trade Name(s):
USA: Zeldox (Pfizer)

Zolimidine

ATC: A02BX10
Use: ulcer therapeutic

RN: 1222-57-7 MF: $C_{14}H_{12}N_2O_2S$ MW: 272.33 EINECS: 214-947-4
LD_{50}: >4 g/kg (M, p.o.);
3710 mg/kg (R, p.o.)
CN: 2-[4-(methylsulfonyl)phenyl]imidazo[1,2-*a*]pyridine



Reference(s):
GB 991 589 (Lab. Bioterapico Milanese Selvi; valid from 23.7.1963; I-prior. 30.4.1963).
Almirante, L. et al.: J. Med. Chem. (JMCMAR) **8**, 305 (1965).

Formulation(s): cps. 200 mg

Trade Name(s):
I: Solimidin (Selvi); wfm USA: Mutil (Lakeside); wfm

Zolmitriptan

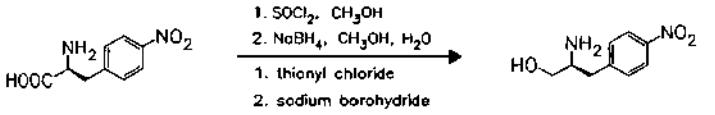
(311C90; BW-311C90)

ATC: N02CC03
Use: antimigraine agent, 5-HT_1D-agonist

RN: 139264-17-8 MF: $C_{16}H_{21}N_3O_2$ MW: 287.36
CN: (*S*)-4-[[3-[2-(dimethylamino)ethyl]-1*H*-indol-5-yl]methyl]-2-oxazolidinone

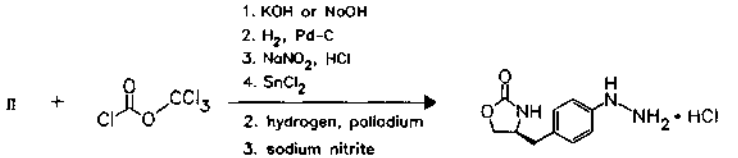
monohydrochloride
RN: 139264-19-0 MF: $C_{16}H_{21}N_3O_2 \cdot HCl$ MW: 323.82
racemic monohydrochloride
RN: 139346-15-9 MF: $C_{16}H_{21}N_3O_2 \cdot HCl$ MW: 323.82

synthesis of intermediate III:



4-nitro-L-phenyl-alanine (I)

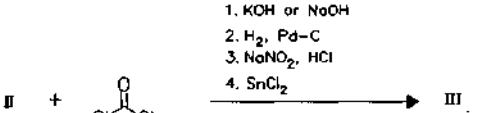
(S)-2-amino-3-(4-nitrophenyl)-1-propanol (II)



diphosgene

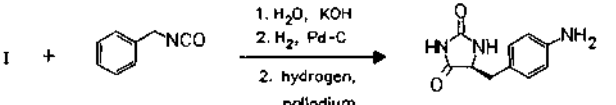
(S)-4-(4-hydrazinobenzyl)-2-oxazolidinone hydrochloride (III)

or:



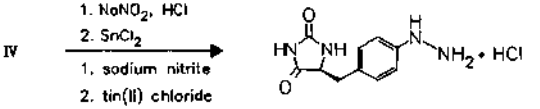
phosgene

synthesis of intermediate V:



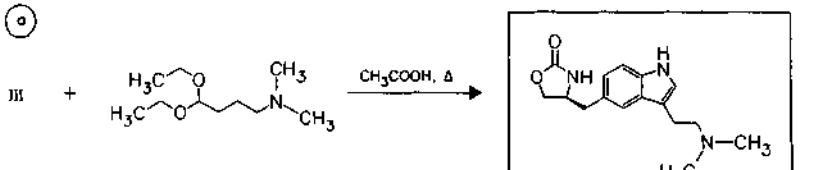
benzyl isocyanate

(IV)



(S)-5-(4-hydrazinobenzyl)-2,4-imidazolidinedione hydrochloride (V)

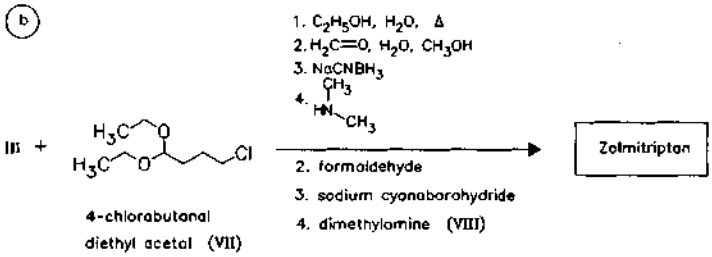
a



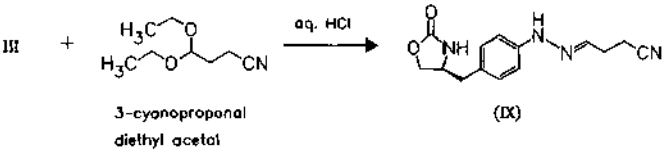
4-(dimethylamino)-butanal diethyl acetal (VI)

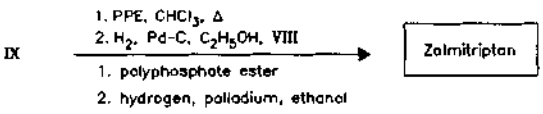
Zolmitriptan

(b)

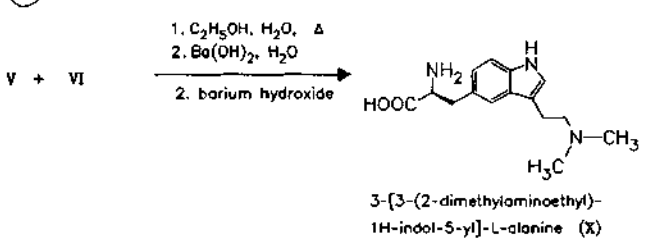


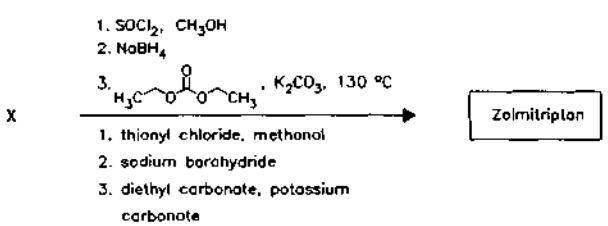
(c)



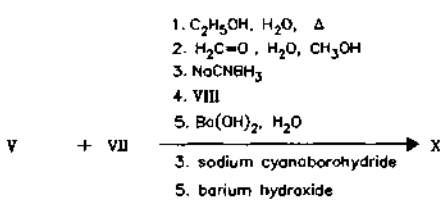


(d)





alternative synthesis of X:



Reference(s):

WO 9 118 897 (The Wellcome Foundation; appl. 12.12.1991; GB-prior. 7.6.1990, 1.2.1991).
Glenn, R.C. et al.: J. Med. Chem. (JMCMAR) **38**, 3566 (1995).

synthesis of 4-dimethylaminobutanal diethyl acetal:
Desaty, K.: Croat. Chem. Acta (CCACAA) **36**, 103, 107 (1964).
Somin, I.N. et al.: J. Org. Chem. (JOCEAH) **1**, 2011 (1965).
Bhattacharyya, S.: Tetrahedron Lett. (TELEAY) **35** (15), 2401 (1994).
Harries et al.: Justus Liebigs Ann. Chem. (JLACBF) **410**, 65 (1915).

synthesis of 4-chlorobutanal diethyl acetal:
Loftfield: J. Am. Chem. Soc. (JACSAT) **73**, 1365 (1951).
Anet et al.: Aust. J. Sci. Res. Ser. A (AJSRA2) **3**, 336 (1950).
Winterfeld et al.: Arch. Pharm. Ber. Dtsch. Pharm. Ges. (APBDAJ) **293**, 325 (1960).
Tamelen, Van et al.: Bioorg. Chem. (BOCMBM) **5**, 283 (1976).

Formulation(s): f. c. tabl. 2.5 mg; tabl. 2.5 mg

Trade Name(s):
D: Asco Top (Zeneca) GB: Zomig (Zeneca)

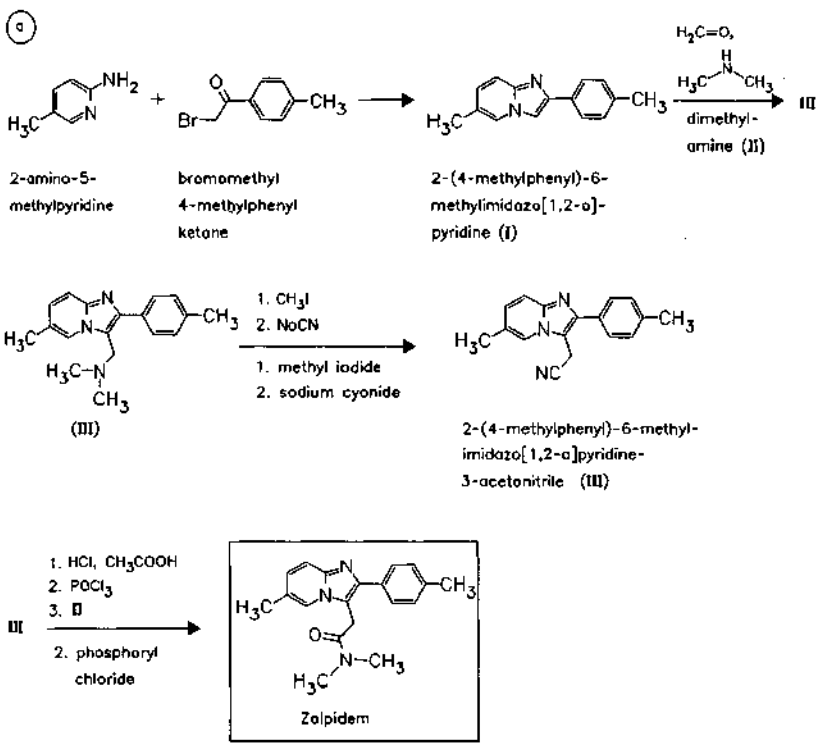
Zolpidem

(SL-80-0750-23N)

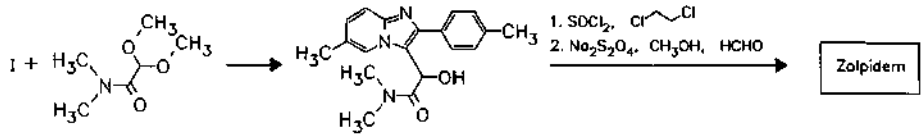
ATC: N05CF02
Use: hypnotic with affinity to benzodiazepine receptor

RN: 82626-48-0 MF: $C_{19}H_{21}N_3O$ MW: 307.40
CN: *N*,*N*,6-trimethyl-2-(4-methylphenyl)imidazo[1,2-*a*]pyridine-3-acetamide

tartrate (2:1)
RN: 99294-93-6 MF: $C_{19}H_{21}N_3O \cdot 1/2C_4H_6O_6$ MW: 764.88
LD_{50}: 695 mg/kg (M, p.o.);
2700 mg/kg (R, p.o.)
tartrate (1:1)
RN: 103188-50-7 MF: $C_{19}H_{21}N_3O \cdot C_4H_6O_6$ MW: 457.48



(b)



2,2-dimethoxy-N,N-dimethyl-acetamide

Reference(s):

a EP 50 563 (Synthelabo; appl. 15.10.1981; F-prior. 22.10.1980).
DE 3 163 524 (Synthelabo; appl. 15.10.1981; F-prior. 22.10.1980).

b EP 251 859 (Synthelabo; appl. 17.6.1987; F-prior. 27.6.1986).

2,2-dimethoxy-*N*,*N*-dimethylacetamide:
US 3 361 757 (Du Pont; 15.11.1965).

Formulation(s): f. c. tabl. 10 mg; tabl. 5 mg, 10 mg (as tartrate)

Trade Name(s):

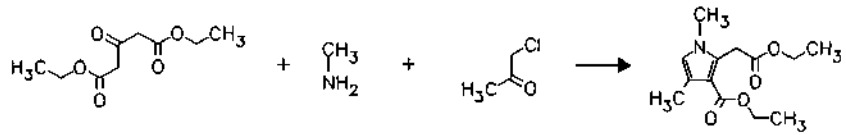
D:	Bikalm (Byk Gulden)		Stilnox (Synthélabo; 1988)		Stilnox (Synthelabo; 1990)
	Stilnox (Synthelabo)	GB:	Stilnoct (Lorex)	J:	Myslee (Fujisawa)
F:	Ivadal (Cipharm)	I:	Niotal (Synthelabo)	USA:	Ambien (Searle)

Zomepirac

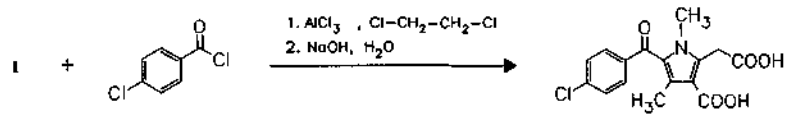
ATC: M01AB04
Use: analgesic, anti-inflammatory

RN: 33369-31-2 MF: $C_{15}H_{14}ClNO_3$ MW: 291.73 EINECS: 251-474-2
CN: 5-(4-chlorobenzoyl)-1,4-dimethyl-1*H*-pyrrole-2-acetic acid

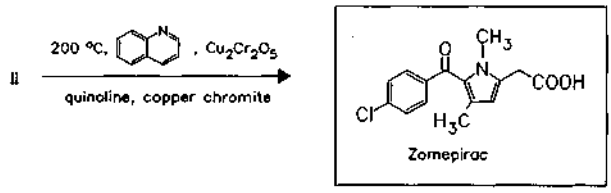
sodium salt dihydrate
RN: 64092-49-5 MF: $C_{15}H_{13}ClNNaO_3 \cdot 2H_2O$ · MW: 349.75



diethyl acetone-dicarboxylate | methyl-amine | chloro-acetone | ethyl 1,4-dimethyl-3-ethoxycarbonyl-pyrrole-2-acetate (I)



4-chlorobenzoyl chloride | (II)



Reference(s):
DE 2 102 746 (McNeil; appl. 21.1.1971; USA-prior. 26.1.1970).
US 3 952 012 (McNeil; 20.4.1976; prior. 16.2.1973, 26.1.1970, 1.7.1968, 26.7.1967).
Carson, J.R.; Wong, S.: J. Med. Chem. (JMCMAR) **16**, 172 (1973).

alternative syntheses:
BE 762 060 (McNeil; appl. 26.1.1971; USA-prior. 26.1.1970).
DOS 2 339 140 (McNeil; appl. 2.8.1973; USA-prior. 3.8.1972).
US 3 865 840 (McNeil; 11.2.1975; prior. 16.2.1973, 26.7.1967, 1.7.1968, 26.1.1970).

Formulation(s): tabl. 100 mg (as sodium salt dihydrate)

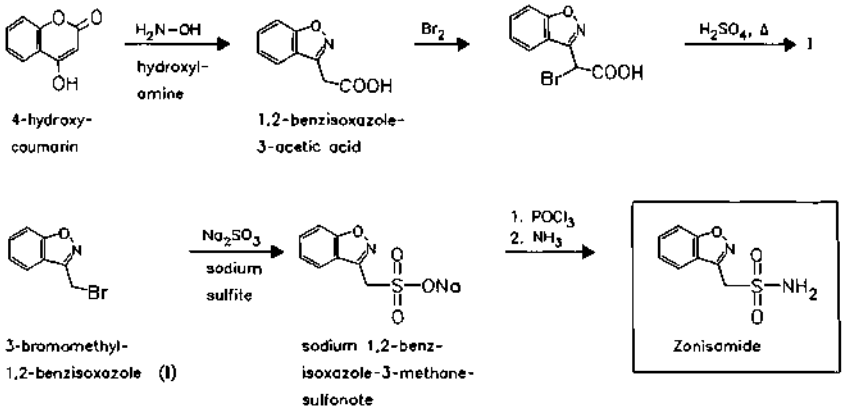
Trade Name(s):
D: Zomax (Cilag); wfm F: Zomax (Cilag); wfm USA: Zomax (McNeil); wfm

Zonisamide
(AD-810)

ATC: N03AA
Use: anticonvulsant, antiepileptic

RN: 68291-97-4 MF: $C_8H_8N_2O_3S$ MW: 212.23
LD_{50}: 699 mg/kg (M, i.p.); 816 mg/kg (M, i.v.); 1829 mg/kg (M, p.o.); 1009 mg/kg (M, s.c.); 733 mg/kg (R, i.p.); 672 mg/kg (R, i.v.); 1992 mg/kg (R, p.o.); 925 mg/kg (R, s.c.); 1 g/kg (dog, p.o.)
CN: 1,2-benzisoxazole-3-methanesulfonamide

monosodium salt
RN: 68291-98-5 MF: $C_8H_7N_2NaO_3S$ MW: 234.21



Reference(s):
DE 2 825 410 (Dainippon; appl. 9.6.1978).
US 4 172 896 (Dainippon; 30.10.1979; appl. 5.6.1978).
Uno, H. et al.: J. Med. Chem. (JMCMAR) **22**, 180 (1979).

synthesis of 3-bromomethyl-1,2-benzisoxazole:
Uno, H. et al.: Chem. Pharm. Bull. (CPBTAL) **24**, 632 (1976).
Giannella, M. et al.: Chim. Ther. (CHTPBA) **7**, 127 (1972).

oral formulation:
JP 63 150 220 (Dainippon; appl. 15.12.1986).

alternative synthesis:
Mohareb, R.M. et al.: Z. Naturforsch., B: Chem. Sci. (ZNBSEN) **45**, 1067 (1990).

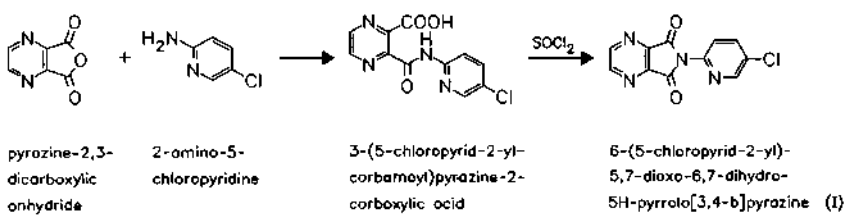
Formulation(s): powder 200 mg/g; tabl. 100 mg

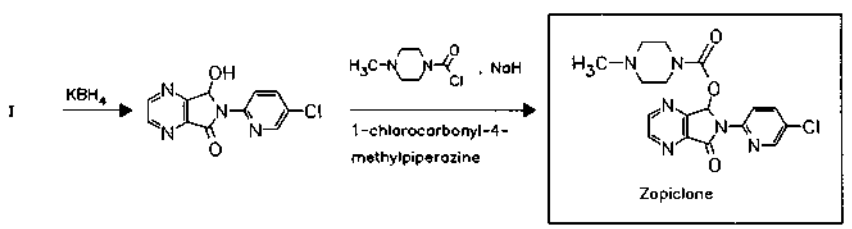
Trade Name(s):
J: Excegran (Dainippon; 1990)

Zopiclone
(RP-27267)

ATC: N05BX; N05CF01
Use: anxiolytic, hypnotic

RN: 43200-80-2 MF: $C_{17}H_{17}ClN_6O_3$ MW: 388.82 EINECS: 256-138-9
LD_{50}: 580 mg/kg (M, i.p.); 321 mg/kg (M, i.v.); 2174 mg/kg (M, p.o.); 280 mg/kg (R, i.v.); 827 mg/kg (R, p.o.); 400 mg/kg (dog, i.v.); 2500 mg/kg (dog, p.o.)
CN: 4-methyl-1-piperazinecarboxylic acid 6-(5-chloro-2-pyridinyl)-6,7-dihydro-7-oxo-5*H*-pyrrolo[3,4-*b*]pyrazin-5-yl ester





Reference(s):
DOS 2 300 491 (Rhône-Poulenc; appl. 5.1.1973; F-prior. 7.1.1972, 9.9.1972).
US 3 862 149 (Rhône-Poulenc; 21.1.1975; F-prior. 7.1.1972, 9.9.1972).

Formulation(s): f. c. tabl. 7.5 mg

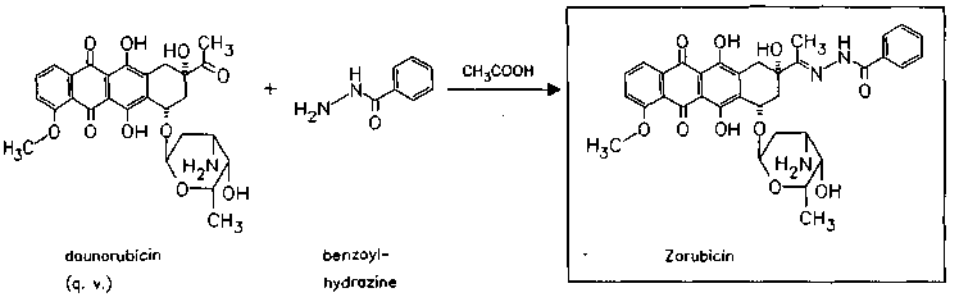
Trade Name(s):
D: Ximovan (Rhône-Poulenc Rorer; 1991)
F: Imovane (Specia Groupe Rhône-Poulenc Rorer)
GB: Zimovane (Rhône-Poulenc Rorer)
I: Imovane (Rhône-Poulenc Rorer; 1989)
J: Amoban (Rhône-Poulenc-Chugai)

Zorubicin

ATC: L01DB05
Use: antineoplastic

RN: 54083-22-6 MF: $C_{34}H_{35}N_3O_{10}$ MW: 645.67
LD_{50}: 35 mg/kg (M, route unreported)
CN: (2*S*-*cis*)-benzoic acid [1-[4-[(3-amino-2,3,6-trideoxy-α-L-*lyxo*-hexopyranosyl)oxy]-1,2,3,4,6,11-hexahydro-2,5,12-trihydroxy-7-methoxy-6,11-dioxo-2-naphthacenyl]ethylidene]hydrazide

monohydrochloride
RN: 36508-71-1 MF: $C_{34}H_{35}N_3O_{10} \cdot HCl$ MW: 682.13 EINECS: 253-076-4
LD_{50}: 28.71 mg/kg (M, i.p.)



Reference(s):
DOS 2 327 211 (Rhône-Poulenc; appl. 28.5.1973).

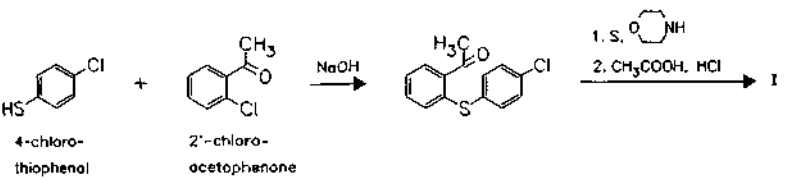
Formulation(s): amp. 52.8 mg (as hydrochloride)

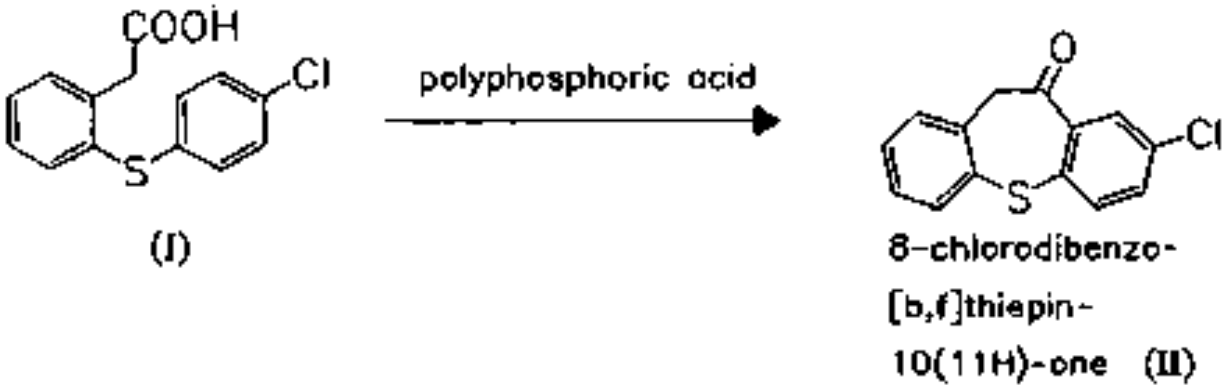
Trade Name(s):
D: Zorubicin R. P. (Rhône-Poulenc); wfm
F: Rubidazone (Rhône-Poulenc); wfm

Zotepine

ATC: N05AK
Use: neuroleptic

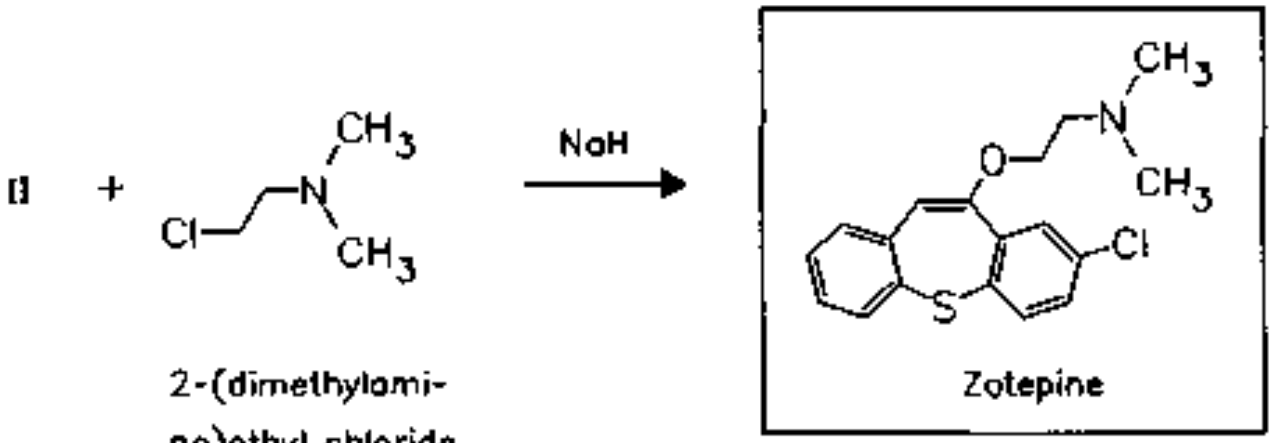
RN: 26615-21-4 MF: $C_{18}H_{18}ClNOS$ MW: 331.87
LD_{50}: 43.3 mg/kg (M, i.v.); 108 mg/kg (M, p.o.);
36.8 mg/kg (R, i.v.); 306 mg/kg (R, p.o.);
26.6 mg/kg (dog, i.v.); >1 g/kg (dog, p.o.)
CN: 2-[(8-chlorodibenzo[*b*,*f*]thiepin-10-yl)oxy]-*N*,*N*-dimethylethanamine





(I)

8-chlorodibenzo-[b,f]thiepin-10(11H)-one (II)



2-(dimethylamino)ethyl chloride

Zotepine

Reference(s):

US 3 704 245 (Fujisawa; 28.11.1972; J-prior. 16.2.1968).
DOS 1 907 670 (Fujisawa; appl. 15.2.1969; J-prior. 16.2.1968).
GB 1 247 067 (Fujisawa; appl. 13.2.1969; J-prior. 16.2.1968).
Ueda, I. et al.: Chem. Pharm. Bull. (CPBTAL) **26**, 3058 (1978).

Formulation(s): drg. 25 mg, 50 mg, 100 mg

Trade Name(s):

D: Nipolept (Rhône-Poulenc Rorer)

J: Lodopin (Fujisawa; 1982)

A

abietic acid
($C_{20}H_{30}O_2$; *514-10-3*) see: Ecabet sodium

acefylline
($C_9H_{10}N_4O_4$; *652-37-9*) see: Acefylline

acetaldehyde
(C_2H_4O; *75-07-0*) see: L-Alanine; *cis*-Cefprozil; Chloral hydrate; Fencamfamin; Fenfluramine; Fluroxene; Indometacin; Methohexital; Mitopodozide; Netilmicin; Zileuton

acetaldehyde dimethyl acetal
($C_4H_{10}O_2$; *534-15-6*) see: Metolazone

acetaldehyde (4-methoxyphenyl)hydrazone
($C_9H_{12}N_2O$; *13815-71-9*) see: Indometacin

acetaldehyde thiosemicarbazone
($C_3H_7N_3S$; *2302-95-6*) see: Sulfamethizole

acetamide
(C_2H_5NO; *60-35-5*) see: Sulfacetamide

acetamidine
($C_2H_6N_2$; *143-37-3*) see: Thiamine

α-acetamido-4-acetoxy-3-methoxycinnamic acid
($C_{14}H_{15}NO_6$; *32954-41-9*) see: Levodopa

5-acetamido-*O*-acetylsalicylic acid
($C_{11}H_{11}NO_5$; *6376-29-0*) see: Parsalmide

5-acetamido-*O*-acetylsalicyloyl chloride
($C_{11}H_{10}ClNO_4$; *6393-86-8*) see: Parsalmide

α-acetamido-3-amino-5-iodo-4-(*p*-methoxyphenoxy)cinnamic acid methyl ester
($C_{19}H_{19}IN_2O_5$; *98016-18-3*) see: Dextrothyroxine

(±)-6-acetamido-2-amino-4,5,6,7-tetrahydrobenzothiazole
($C_9H_{13}N_3OS$; *104617-51-8*) see: Pramipexole hydrochloride

α-acetamido-5-amino-*m*-toluic acid
($C_{10}H_{12}N_2O_3$; *1574-52-3*) see: Iodamide

4-acetamidobenzenesulfinic acid sodium salt
($C_8H_8NNaO_3S$; *15898-43-8*) see: Dapsone

4-acetamidobenzenesulfonamide
($C_8H_{10}N_2O_3S$; *121-61-9*) see: Carbutamide; Sulfabenzamide; Sulfacarbamide; Sulfanilamide; Sulfaproxyline

4-acetamidobenzenesulfonyl chloride
($C_8H_8ClNO_3S$; *121-60-8*) see: Sulfacitine; Sulfadiazine; Sulfadicramide; Sulfadimethoxine; Sulfadoxine; Sulfaethidole; Sulfafurazole; Sulfalene; Sulfamerazine; Sulfamethizole; Sulfamethoxazole; Sulfametoxydiazine; Sulfanoxole; Sulfanilamide; Sulfathiazole; Sulfisomidine

(4-acetamidobenzenesulfonyl)urea
($C_9H_{11}N_3O_4S$; *2828-63-9*) see: Sulfacarbamide

4-acetamidobenzoic acid
($C_9H_9NO_3$; *556-08-1*) see: Deanol acetamidobenzoate

2-(2-acetamidobenzoyl)pyridine
($C_{14}H_{12}N_2O_2$; *1770-89-4*) see: Bromazepam

2-(2-acetamido-5-bromobenzoyl)pyridine
($C_{14}H_{11}BrN_2O_2$; *1770-90-7*) see: Bromazepam

5-acetamido-*N*-butylsalicylamide
($C_{13}H_{18}N_2O_3$; *6382-44-1*) see: Parsalmide

***trans*-4-acetamidocyclohexanol**
($C_8H_{15}NO_2$; *27489-60-7*) see: Ambroxol

4-acetamidocyclohexanone
($C_8H_{13}NO_2$; *27514-08-5*) see: Pramipexole hydrochloride

4-acetamido-*N*-(2-diethylaminoethyl)-2-methoxybenzamide
($C_{16}H_{25}N_3O_3$; *3614-38-8*) see: Bromopride

1-acetamido-3,5-dimethyladamantane
($C_{14}H_{23}NO$; *19982-07-1*) see: Memantine

α-acetamido-4-hydroxy-3-methoxycinnamic acid
($C_{12}H_{13}NO_5$; *55629-72-6*) see: Levodopa

2-acetamido-3-hydroxy-4'-nitropropiophenone
($C_{11}H_{12}N_2O_5$; *3123-13-5*) see: Chloramphenicol

α-acetamido-3-iodo-4-(*p*-methoxyphenoxy)-5-nitrocinnamic acid methyl ester
($C_{19}H_{17}IN_2O_7$; *94256-35-6*) see: Dextrothyroxine

4-(acetamidomethyl)benzenesulfonamide
($C_9H_{12}N_2O_3S$; *2015-14-7*) see: Mafenide

3-acetamido-6-methylpyridazine
($C_7H_9N_3O$; *57260-79-4*) see: Nifurprazine

2-acetamido-4'-nitroacetophenone
($C_{10}H_{10}N_2O_4$; *1846-34-0*) see: Chloramphenicol

4-acetamido-4'-nitrodiphenyl sulfone
($C_{14}H_{12}N_2O_5S$; *1775-37-7*) see: Dapsone

3-acetamido-6-[2-(5-nitro-2-furyl)vinyl]pyridazine
($C_{12}H_{10}N_4O_4$; *91974-95-7*) see: Nifurprazine

DL-*threo*-2-acetamido-1-(4-nitrophenyl)-1,3-propanediol
($C_{11}H_{14}N_2O_5$; *4618-99-9*) see: Chloramphenicol

***p*-acetamidophenyl chloroacetate**
($C_{10}H_{10}ClNO_3$; *17321-63-0*) see: Propacetamol

4-(4-acetamidophenyl)-4-oxobutanoic acid
($C_{12}H_{13}NO_4$; *5473-15-4*) see: Chlorambucil

2-acetamido-4-phenylsulfinylnitrobenzene
($C_{14}H_{12}N_2O_4S$; *54029-09-3*) see: Oxfendazole

(4-acetamidophenylsulfonyl)guanidine
($C_9H_{12}N_4O_3S$; *19077-97-5*) see: Sulfametoxydiazine

acetamidopiperonylmalonic acid diethyl ester
($C_{17}H_{21}NO_7$; *97018-57-0*) see: Levodopa

4-acetamidosalicylic acid
($C_9H_9NO_4$; *50-86-2*) see: Clebopride

4-acetamidothymol
($C_{12}H_{17}NO_2$; *3383-30-0*) see: Moxisylyte

5-acetamido-2,4,6-triiodo-*N*,*N*'-bis(2,3-dihydroxypropyl)isophthalamide
($C_{16}H_{20}I_3N_3O_7$; *31127-80-7*) see: Iohexol

acetanilide
(C_8H_9NO; *103-84-4*) see: Chlorambucil; Sulfanilamide

acetic acid
($C_2H_4O_2$; *64-19-7*) see: β-Acetyldigoxin; Bromperidol; Chlormidazole; Ciclometasone; Dimetacrine; Etidronic acid; Fluocinonide; Pirbuterol; Troglitazone

acetic acid ammonium salt
($C_2H_7NO_2$; *631-61-8*) see: Oxaprozin

acetic acid 2-[7-chloro-5-(2-chlorophenyl)-3*H*-1,4-benzodiazepin-2-yl]hydrazide
($C_{17}H_{14}Cl_2N_4O$; *41837-74-5*) see: Triazolam

acetic acid 2-[5-(2-chlorophenyl)-7-ethyl-3*H*-thieno[2,3-*e*]-1,4-diazepin-2-yl]hydrazide
($C_{17}H_{17}ClN_4OS$; *40054-72-6*) see: Etizolam

acetic acid cobalt(2+) salt
($C_4H_6CoO_4$; *71-48-7*) see: Midoriamin

acetic acid diethoxymethyl ester
($C_7H_{14}O_4$; *14036-06-7*) see: Abacavir; Imiquimod

4(*R*)-acetoxy-3(*R*)-[1(*R*)-(*tert*-butyldimethylsilyloxy)ethyl]-azetidin-2-one
see under [3*R*(1'*R*),4*R*]-(+)-4-acetoxy-3-[1-(*tert*-butyldimethylsilyloxy)ethyl]-2-azetidinone

3-acetoxy-7-chloro-5-(2-chlorophenyl)-1-methyl-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{18}H_{14}Cl_2N_2O_3$; *96576-92-0*) see: Lormetazepam

1-acetoxy-4-chloro-3-methyl-2-butene
($C_7H_{11}ClO_2$; *38872-49-0*) see: Retinol

3-acetoxy-7-chloro-1-methyl-5-phenyl-2-oxo-1,3-dihydro-2*H*-1,4-benzodiazepine
($C_{18}H_{15}ClN_2O_3$; *18818-64-9*) see: Temazepam

5-acetoxy-3-chloropentan-2-one
($C_7H_{11}ClO_3$; *13051-49-5*) see: Thiamine

1-acetoxy-3-chloro-2-propanone
($C_5H_7ClO_3$; *40235-68-5*) see: Levofloxacin

21-acetoxy-2,4-dibromo-11α,17α-dihydroxy-16β-methyl-5β-pregnane-3,20-dione
($C_{24}H_{34}Br_2O_6$) see: Betamethasone

17-acetoxy-2α,3α:16α,17α-diepoxy-5α-androstane
($C_{21}H_{30}O_4$; *50588-22-2*) see: Pancuronium bromide; Pipecuronium bromide; Rocuronium bromide; Vecuronium bromide

1-acetoxy-4-diethylamino-2-butyne
($C_{10}H_{17}NO_2$; *22396-77-6*) see: Oxybutynin

21-acetoxy-11α,17α-dihydroxy-16β-methylpregna-1,4-diene-3,20-dione
($C_{24}H_{32}O_6$; *5078-99-9*) see: Betamethasone

21-acetoxy-11α,17α-dihydroxy-16β-methyl-5β-pregnane-3,20-dione
($C_{24}H_{36}O_6$; *5078-98-8*) see: Betamethasone

3β-acetoxy-6,16-dimethyl-20-oxo-5,16-pregnadiene
($C_{25}H_{36}O_3$; *29147-79-3*) see: Melengestrol acetate

21-acetoxy-3,20-dioxo-9β,11β-epoxy-17α-hydroxy-16α-methyl-1,4-pregnadiene
($C_{24}H_{30}O_6$; *2884-51-7*) see: Dexamethasone

21-acetoxy-3,20-dioxo-9β,11β-epoxy-17-hydroxy-4-pregnene
($C_{23}H_{30}O_6$; *4383-30-6*) see: Fludrocortisone

3α-acetoxy-11,20-dioxo-16α,17α-epoxy-5β-pregnane
($C_{23}H_{32}O_5$; *24298-90-6*) see: Betamethasone

21-acetoxy-3,20-dioxo-9α-fluoro-11β-hydroxy-16α,17-isopropylidenedioxy-4-pregnene
($C_{26}H_{35}FO_7$; *2395-17-7*) see: Formocortal

21-acetoxy-3,20-dioxo-6α-fluoro-17-hydroxy-16β-methyl-4,9(11)-pregnadiene
($C_{24}H_{31}FO_5$; *50763-89-8*) see: Diflorasone diacetate

21-acetoxy-3,20-dioxo-6α-fluoro-11β-hydroxy-16α-methyl-4-pregnene
($C_{24}H_{33}FO_5$; *1176-81-4*) see: Diflucortolone valerate

21-acetoxy-3,20-dioxo-6α-fluoro-16α,17α-isopropylidenedioxy-1,4-pregnadiene
($C_{26}H_{33}FO_6$; *25437-07-4*) see: Flunisolide

21-acetoxy-3,20-dioxo-6α-fluoro-16α,17-isopropylidenedioxy-1,4,9(11)-pregnatriene
($C_{26}H_{31}FO_6$; *5049-89-8*) see: Tralonide

17-acetoxy-3,20-dioxo-1,4,6-pregnatriene
($C_{23}H_{28}O_4$; *2668-75-9*) see: Cyproterone acetate

3α-acetoxy-11,20-dioxo-16-pregnene
($C_{23}H_{32}O_4$; *67253-64-9*) see: Dexamethasone; Meprednisone

3β-acetoxy-11,20-dioxo-16-pregnene
($C_{23}H_{32}O_4$; *2724-68-7*) see: Alfaxalone; Fluazacort

17β-acetoxy-2,3-epoxy-5α-androstane
($C_{21}H_{32}O_3$) see: Epitiostanol

21-acetoxy-16α,17-epoxy-3β-hydroxy-20-oxo-5-pregnene
($C_{23}H_{32}O_5$; *28444-97-5*) see: Fludroxycortide

3β-acetoxy-5α,6α-epoxy-16α-methylpregnan-20-one
($C_{24}H_{36}O_4$) see: Paramethasone

3α-acetoxy-16α,17α-epoxy-5β-pregnane-11,20-dione 21-ethylene acetal
($C_{25}H_{36}O_6$; *13643-92-0*) see: Betamethasone

2-acetoxyethyl acetoxymethyl ether
($C_7H_{12}O_5$; *59278-00-1*) see: Aciclovir

3α-acetoxy-20,20-ethylenedioxy-16α,17α-epoxy-5β-pregnan-11β-ol
($C_{25}H_{38}O_6$; *13643-94-2*) see: Betamethasone

3α-acetoxy-20,20-ethylenedioxy-16α,17α-epoxy-5β-pregn-9(11)-ene
($C_{25}H_{36}O_5$; *13643-95-3*) see: Betamethasone

17β-acetoxy-17-ethynyl-3-methoxy-19-nor-2,5(10)-androstadiene
($C_{23}H_{30}O_3$; *13251-69-9*) see: Quingestanol acetate

5α-acetoxy-6β-fluoro-3β,17-dihydroxy-16α-methylpregnan-20-one
($C_{24}H_{37}FO_5$; *1525-76-4*) see: Paramethasone

21-acetoxy-6α-fluoro-11β,17-dihydroxy-16α-methylpregn-4-ene-3,20-dione
($C_{24}H_{33}FO_6$; *1524-93-2*) see: Flumetasone; Paramethasone

3β-acetoxy-6β-fluoro-5α-hydroxy-16α-methylpregnan-20-one
($C_{24}H_{37}FO_4$; *1994-39-4*) see: Paramethasone

21-acetoxy-6β-fluoro-17-hydroxy-16α-methylpregn-4-ene-3,20-dione
($C_{24}H_{33}FO_5$) see: Paramethasone

3-acetoxy-19-hydroxycholesterol
($C_{29}H_{48}O_3$; *750-59-4*) see: Estrone

21-acetoxy-3β-hydroxy-16α-methyl-20-oxo-5-pregnene
($C_{24}H_{36}O_4$; *1173-09-7*) see: Fluocortolone

21-acetoxy-11β-hydroxy-16-methyl-1,4-pregnadiene-3,20-dione
($C_{24}H_{32}O_5$; *1056-37-7*) see: Desoximetasone

21-acetoxy-3α-hydroxy-16α-methylpregnane-11,20-dione
($C_{24}H_{36}O_5$; *1056-38-8*) see: Desoximetasone

21-acetoxy-17-hydroxy-16β-methylpregna-1,4,9(11)-triene-3,20-dione
($C_{24}H_{30}O_5$; *910-99-6*) see: Beclometasone; Betamethasone

(3β,5α,5'β)-21-acetoxy-3-hydroxy-2'-methyl-5*H*-pregn-9(11)-eno[17,16-*d*]oxazol-20-one
($C_{25}H_{35}NO_5$; *19890-70-1*) see: Fluazacort

1α-acetoxy-25-hydroxy-10-(methylsulfonyloxy)-3,5-cyclo-19-norvitamin D_2 6-methyl ether
($C_{31}H_{50}O_7S$) see: Paricalcitol

1α-acetoxy-25-hydroxy-19-norvitamin D_2
($C_{29}H_{46}O_4$) see: Paricalcitol

21-acetoxy-17-hydroxy-1,4,9(11)-pregnatrien-3,20-dione
($C_{23}H_{28}O_5$; *4380-55-6*) see: Dichlorisone

(acetylamino)(1*H*-indol-3-ylmethyl)propanedioic acid diethyl ester
($C_{18}H_{22}N_2O_5$; *5379-97-5*) see: L-Tryptophan
2-acetylamino-5-mercapto-1,3,4-thiadiazole
($C_4H_5N_3OS_2$; *32873-56-6*) see: Acetazolamide
3-[(acetylamino)methyl]-5-amino-2,4,6-triiodobenzoic acid
($C_{10}H_9I_3N_2O_3$; *727-56-0*) see: Iodamide
3-acetylamino-4-methylbenzenesulfonamide
($C_9H_{12}N_2O_3S$; *17485-44-8*) see: Metahexamide
3-[(acetylamino)methyl]-4-chloro-5-nitrobenzoic acid
($C_{10}H_9ClN_2O_5$; *728-46-1*) see: Iodamide
***trans*-4-[(acetylamino)methyl]cyclohexanecarbonyl chloride**
($C_{10}H_{16}ClNO_2$; *82085-98-1*) see: Ciclometasone
4-(acetylamino)-*N*-[4-(1-methylethoxy)benzoyl]benzenesulfonamide
($C_{18}H_{20}N_2O_5S$) see: Sulfaproxyline
(±)-2-(acetylaminomethyl)-4-(4-fluorobenzyl)morpholine
($C_{14}H_{19}FN_2O_2$; *112913-94-7*) see: Mosapride citrate
(*S*)-2-(acetylamino)-4-(methylthio)butanoic acid 1-oxopropyl ester
($C_{10}H_{17}NO_4S$) see: Docarpamine
(acetylamino)(3-oxopropyl)propanedioic acid diethyl ester
($C_{12}H_{19}NO_6$; *53908-65-9*) see: Oxitriptan
(acetylamino)[3-(phenylhydrazono)propyl]propanedioic acid diethyl ester
($C_{18}H_{25}N_3O_5$; *6297-96-7*) see: L-Tryptophan
(acetylamino)[[5-(phenylmethoxy)-1*H*-indol-3-yl]methyl]propanedioic acid diethyl ester
($C_{25}H_{28}N_2O_6$; *50469-23-3*) see: Oxitriptan
***N*-acetyl-*N*-(*m*-aminophenyl)-2-methyl-β-alanine**
($C_{12}H_{16}N_2O_3$; *16034-74-5*) see: Iocetamic acid
***N*-[[4-(acetylamino)phenyl]sulfonyl]acetamide**
($C_{10}H_{12}N_2O_4S$; *5626-90-4*) see: Sulfacetamide
***N*-[[4-(acetylamino)phenyl]sulfonyl]benzamide**
($C_{15}H_{14}N_2O_4S$; *5661-33-6*) see: Sulfabenzamide
***N*-[[4-(acetylamino)phenyl]sulfonyl]-2-ethylidenehydrazinecarbothioamide**
($C_{11}H_{14}N_4O_3S_2$; *57053-66-4*) see: Sulfamethizole
***N*-[[4-(acetylamino)phenyl]sulfonyl]-3-methyl-2-butenamide**
($C_{13}H_{16}N_2O_4S$; *71119-41-0*) see: Sulfadicramide
***N*-[[4-(acetylamino)phenyl]sulfonyl]-2-propylidenehydrazinecarbothioamide**
($C_{12}H_{16}N_4O_3S$) see: Sulfaethidole
(8*S*-*cis*)-8-acetyl-1-amino-7,8,9,10-tetrahydro-6,8,10,11-tetrahydroxy-5,12-naphthacenedione
($C_{26}H_{27}NO_7$; *120372-33-0*) see: Idarubicin
2-acetylamino-1,3,4-thiadiazole-5-sulfonyl chloride
($C_4H_4ClN_3O_3S_2$; *32873-57-7*) see: Acetazolamide
***N*-acetylanthranilic acid**
($C_9H_9NO_3$; *89-52-1*) see: Mecloqualone; Methaqualone
4-acetylbenzenesulfonamide
($C_8H_9NO_3S$; *1565-17-9*) see: Acetohexamide
2-acetylbenzofuran
($C_{10}H_8O_2$; *1646-26-0*) see: Benzarone
2-acetylbenzo[*b*]thiophene
($C_{10}H_8OS$; *22720-75-8*) see: Zileuton
***N*^{2}-acetyl-9-(2-benzoyloxyethoxymethyl)guanine**
($C_{17}H_{17}N_5O_5$; *133186-23-9*) see: Aciclovir
***N*-acetyl-5-benzyloxy-DL-tryptophan**
($C_{20}H_{20}N_2O_4$; *53017-51-9*) see: Oxitriptan
***N*-acetyl-*N*,*O*-bis(trimethylsilyl)cytosine**
($C_{12}H_{23}N_3O_2Si_2$; *18027-23-1*) see: Gemcitabine
acetyl bromide
(C_2H_3BrO; *506-96-7*) see: Paclitaxel
***N*-acetyl-2'-bromo-2'-deoxycytidine 3',5'-diacetate**
($C_{15}H_{18}BrN_3O_7$; *126430-12-4*) see: Zalcitabine
***N*-acetyl-3'-bromo-3'-deoxycytidine 2',5'-diacetate**
($C_{15}H_{18}BrN_3O_7$; *126430-11-3*) see: Zalcitabine
2-acetyl-4-butyramidophenol
($C_{12}H_{15}NO_3$; *40188-45-2*) see: Acebutolol
***O*-acetyl-4-butyramidophenol**
($C_{12}H_{15}NO_3$; *40188-44-1*) see: Acebutolol
2-acetylbutyrolactone
($C_6H_8O_3$; *517-23-7*) see: Chloroquine; Risperidone
***N*-acetyl-ε-caprolactam**
($C_8H_{13}NO_2$; *1888-91-1*) see: Acexamic acid
acetyl chloride
(C_2H_3ClO; *75-36-5*) see: Acebutolol; Acetiamine; Acetylcholine chloride; L-Alanine; Benfurodil hemisuccinate; Chlorprothixene; Flumetasone; Ibuprofen; Iotalamic acid; Ioxitalamic acid; Levodopa; Methestrol dipropionate; Midecamycin acetate; Naproxen; Nimesulide; Paclitaxel; Paramethasone; Phensuximide; Retinol; Rocuronium bromide; Rofecoxib; Ropinirole; Tazarotene; Thiopropazate; Tiracizine; Vecuronium bromide
3-acetyl-5-chloro-2-(benzylthio)thiophene
($C_{13}H_{11}ClOS_2$; *160982-09-2*) see: Brinzolamide
2-acetyl-10-(3-chloropropyl)phenothiazine
($C_{17}H_{16}ClNOS$; *39481-55-5*) see: Acetophenazine; Piperacetazine
3-acetyl-5-chloro-2-thiophenesulfonamide
($C_6H_6ClNO_3S_2$; *160982-10-5*) see: Brinzolamide
21-*O*-acetylcorticosterone
($C_{23}H_{32}O_5$; *1173-26-8*) see: Aldosterone
21-*O*-acetylcortisone
($C_{23}H_{30}O_6$; *50-04-4*) see: Cortisone; Hydrocortisone
acetylcyclohexane
($C_8H_{14}O$; *823-76-7*) see: Cicrotoic acid
acetylcyclopropane
(C_5H_8O; *765-43-5*) see: Calcipotriol
***N*-acetylcytidine**
($C_{11}H_{15}N_3O_6$; *3768-18-1*) see: Zalcitabine
5-acetyl-10,11-dibromo-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine
($C_{16}H_{13}Br_2NO$; *4614-45-3*) see: Oxcarbazepine
3-acetyl-2,5-dichlorothiophene
($C_6H_4Cl_2OS$; *36157-40-1*) see: Brinzolamide
***N*-acetyl-2',3'-didehydro-2',3'-dideoxycytidine 5'-acetate**
($C_{13}H_{15}N_3O_5$; *62805-52-1*) see: Zalcitabine
1-acetyl-4-(2,4-difluorobenzoyl)piperidine
($C_{14}H_{15}F_2NO_2$; *84162-82-3*) see: Risperidone
acetyldigitoxin
($C_{43}H_{66}O_{14}$; *1111-39-3*) see: α-Acetyldigoxin
β-acetyldigitoxin
($C_{43}H_{66}O_{14}$; *1264-51-3*) see: Acetyldigitoxin

2-acetyl-10-methylphenothiazine
($C_{15}H_{13}NOS$; *25324-52-1*) see: Metiazinic acid
10-acetyl-2-(methylsulfinyl)-10*H*-phenothiazine
($C_{15}H_{13}NO_2S_2$; *80471-59-6*) see: Mesoridazine
10-acetyl-2-(methylthio)phenothiazine
($C_{15}H_{13}NOS_2$; *23503-69-7*) see: Mesoridazine
***N*^{6}-(*N*-acetylmuramoyl-L-alanyl-D-isoglutaminyl)-L-lysine**
($C_{25}H_{44}N_6O_{12}$; *56816-17-2*) see: Romurtide
***N*-acetylneuraminic acid**
($C_{11}H_{19}NO_9$; *114-04-5*) see: Zanamivir
***N*-acetyl-α-neuraminic acid methyl ester 2,4,7,8,9-pentaacetate**
($C_{22}H_{31}NO_{14}$; *72690-21-2*) see: Zanamivir
4-acetyl-2-nitrobiphenyl
($C_{14}H_{11}NO_3$; *42771-77-7*) see: Flurbiprofen
21-*O*-acetyl-11-*O*-nitrosylcorticosterone
($C_{23}H_{31}NO_6$; *74220-48-7*) see: Aldosterone
2-acetyl-5-norbornene
($C_9H_{12}O$; *5063-03-6*) see: Biperidene
1-acetyl-3aα,7aβ-octahydroindole
($C_{10}H_{17}NO$) see: Trandolapril
1-acetyl-2α,3aα,7aβ-octahydroindole-2-carbonitrile
($C_{11}H_{16}N_2O$; *89226-37-9*) see: Trandolapril
[3β,16β(*R*)]-3-(acetyloxy)-16-[[5-(acetyloxy)-4-methyl-1-oxopentyl]oxy]pregn-5-en-20-one
($C_{31}H_{46}O_7$; *58400-99-0*) see: Pregnenolone
1-[4-(acetyloxy)-3-[(acetyloxy)methyl]phenyl]-2-bromoethanone
($C_{13}H_{13}BrO_5$; *24085-07-2*) see: Salbutamol
1-[4-(acetyloxy)-3-[(acetyloxy)methyl]phenyl]-2-[(1,1-dimethylethyl)(phenylmethyl)amino]ethanone
($C_{24}H_{29}NO_5$; *77430-27-4*) see: Salbutamol
1-[4-(acetyloxy)-3-[(acetyloxy)methyl]phenyl]ethanone
($C_{13}H_{14}O_5$; *24085-06-1*) see: Salbutamol
***N*-[(3β)-3-(acetyloxy)androsta-5,16-dien-17-yl]acetamide**
($C_{23}H_{33}NO_3$; *65732-71-0*) see: Prasterone
(5α,17β)-17-(acetyloxy)androstane-1,3-dione cyclic 3-(1,2-ethanediyl acetal)
($C_{23}H_{34}O_5$; *1054-83-7*) see: Metenolone acetate
***N*-[(3β,17β)-3-(acetyloxy)androst-5-en-17-yl]acetamide**
($C_{23}H_{35}NO_3$; *4350-67-8*) see: Prasterone
[*R*-(*R,*R**)]-α-(acetyloxy)benzeneacetic acid 1-(2-propenyl)dodecyl ester**
($C_{25}H_{38}O_4$; *152906-15-5*) see: Orlistat
[*S*-(*R,*S**)]-α-(acetyloxy)benzeneacetic acid 1-(2-propenyl)dodecyl ester**
($C_{25}H_{38}O_4$; *152906-16-6*) see: Orlistat
6'-(acetyloxy)-5-bromo-2',3',8',8'a-tetrahydro-5'-methoxy-1'-methylspiro[2-cyclohexene-1,7'(1'*H*)-cyclopent[*ij*]-isoquinolin]-4-one
($C_{20}H_{22}BrNO_4$; *54169-68-5*) see: Glaziovine
(*R,*S**)-α-(acetyloxy)-β-bromobenzenepropanoic acid methyl ester**
($C_{12}H_{13}BrO_4$; *132377-76-5*) see: Paclitaxel
(11β,16α)-21-(acetyloxy)-9-bromo-11,17-dihydroxy-16-methylpregn-4-ene-3,20-dione
($C_{24}H_{33}BrO_6$; *34542-57-9*) see: Dexamethasone
(3β,5α,6β,16α)-21-(acetyloxy)-5-bromo-16,17-epoxy-6-fluoro-3-hydroxypregnan-20-one
($C_{23}H_{32}BrFO_5$; *1813-08-7*) see: Fludroxycortide
(3β,5α,6β,16α)-21-(acetyloxy)-5-bromo-6-fluoro-3-hydroxy-16-methylpregnan-20-one
($C_{24}H_{36}BrFO_4$; *1176-85-8*) see: Fluocortolone
(6α,11β,16α)-21-(acetyloxy)-9-bromo-6-fluoro-11-hydroxy-16-methylpregn-4-ene-3,20-dione
($C_{24}H_{32}BrFO_5$; *2143-33-1*) see: Diflucortolone valerate
(5α,6β,16α)-21-(acetyloxy)-5-bromo-6-fluoro-16-methylpregnane-3,20-dione
($C_{24}H_{34}BrFO_4$; *22574-20-5*) see: Fluocortolone
(2α,5α,6β,11α)-21-(acetyloxy)-2-bromo-6-fluoro-5,11,17-trihydroxypregnane-3,20-dione
($C_{23}H_{32}BrFO_7$; *57781-10-9*) see: Halopredone diacetate
(4β,5β)-21-(acetyloxy)-4-bromo-17-hydroxypregnane-3,11,20-trione
($C_{23}H_{31}BrO_6$; *74243-24-6*) see: Cortisone
(1α)-17-(acetyloxy)-6-chloro-1-(chloromethyl)pregna-4,6-diene-3,20-dione
($C_{24}H_{30}Cl_2O_4$; *17183-98-1*) see: Cyproterone acetate
3-(acetyloxy)-7-chloro-5-(2-chlorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{17}H_{12}Cl_2N_2O_3$) see: Lorazepam
3-(acetyloxy)-7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{17}H_{12}ClFN_2O_3$; *19011-80-4*) see: Cinolazepam
(5β,16α)-21-(acetyloxy)-2,4-dibromo-16-methylpregnane-3,11,20-trione
($C_{24}H_{32}Br_2O_5$) see: Desoximetasone
(6α,11β,16α)-21-(acetyloxy)-6,9-difluoro-11,17-dihydroxy-16-methylpregn-4-ene-3,20-dione
($C_{24}H_{32}F_2O_6$; *2358-07-8*) see: Flumetasone
(6α,11β,16α)-21-(acetyloxy)-6,9-difluoro-11-hydroxy-16-methylpregn-4-ene-3,20-dione
($C_{24}H_{32}F_2O_5$; *2664-07-5*) see: Diflucortolone valerate
(1β,2β)-17-(acetyloxy)-1,2-dihydro-3'*H*-cyclopropa[1,2]-pregna-1,4,6-triene-3,20-dione
($C_{24}H_{30}O_4$; *2701-50-0*) see: Cyproterone acetate
(2*S*-*cis*)-3-(acetyloxy)-2,3-dihydro-2-(4-methoxyphenyl)-1,5-benzothiazepin-4(5*H*)-one
($C_{18}H_{17}NO_4S$; *87447-47-0*) see: Diltiazem
(1β,2β)-17-(acetyloxy)-1,2-dihydro-3'*H*-pregna-1,4,6-trieno[1,2-*c*]pyrazol-3,20-dione
($C_{24}H_{30}N_2O_4$) see: Cyproterone acetate
(3β,16β)-3-(acetyloxy)-5',16-dihydropregn-5-eno[17,16-*c*]-pyrazol-20-one
($C_{24}H_{34}N_2O_3$; *16137-47-6*) see: Fluprednidene acetate
(3α,16α)-14-(acetyloxy)-14,15-dihydro-1,14-seco-eburnamenine-14-carboxylic acid methyl ester perchlorate
($C_{23}H_{31}ClN_2O_8$; *40163-51-7*) see: Vincamine
(3α,16α)-21-(acetyloxy)-3,17-dihydroxy-16-methylpregnane-11,20-dione
($C_{24}H_{36}O_6$; *67253-66-1*) see: Dexamethasone
(11β,16α)-21-(acetyloxy)-11,17-dihydroxy-16-methylpregn-4-ene-3,20-dione
($C_{24}H_{34}O_6$; *41020-56-8*) see: Dexamethasone
(16α)-21-(acetyloxy)-16,17-dihydroxypregna-4,9(11)-diene-3,20-dione
($C_{23}H_{30}O_6$; *74220-43-2*) see: Triamcinolone

(6*R*-*cis*)-3-[(acetyloxy)methyl]-7-[[[(4-carboxy-2,3-dihydro-3-oxo-5-isothiazolyl)thio]acetyl]amino]-7-methoxy-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{17}H_{17}N_3O_{10}S_3$; *69713-29-7*) see: Cefotetan

(6*R*-*trans*)-3-[(acetyloxy)methyl]-7-[[(3,5-dichloro-4-oxo-1(4*H*)-pyridinyl)acetyl]amino]-8-oxo-5-thia-1-azabicyclo-[4.2.0]oct-2-ene-2-carboxylic acid
($C_{17}H_{15}Cl_2N_3O_7S$; *56187-36-1*) see: Cefazedone

[6*R*-[6α,7β(*S)]]-3-[(acetyloxy)methyl]-7-[[[(1,1-dimethylethoxy)carbonyl]amino]phenylacetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid**
($C_{23}H_{27}N_3O_8S$; *7716-28-1*) see: Cefalexin

α-[(acetyloxy)methyl]-*N*-ethyl-*N*-(4-pyridinylmethyl)-benzeneacetamide
($C_{19}H_{22}N_2O_3$; *87239-08-5*) see: Tropicamide

[6*R*-[6α,7β(*Z*)]]-3-[(acetyloxy)methyl]-7-[[2-furanyl(methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]-oct-2-ene-2-carboxylic acid diphenylmethyl ester
($C_{30}H_{27}N_3O_8S$) see: Cefuroxime

(6*R*-*trans*)-3-[(acetyloxy)methyl]-7-[[(methoxyimino)[2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{35}H_{31}N_5O_7S_2$; *66254-46-4*) see: Cefotaxime

[6*R*-[6α,7β(*R)]]-3-[(acetyloxy)methyl]-8-oxo-7-[(phenylsulfoacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid**
($C_{18}H_{18}N_2O_9S_2$; *41128-84-1*) see: Cefsulodin

(6*R*-*trans*)-3-[(acetyloxy)methyl]-8-oxo-7-[(1*H*-tetrazol-1-ylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{13}H_{14}N_6O_6S$; *32510-61-5*) see: Cefazolin; Ceftezole

(3β,5α,16β)-3-(acetyloxy)-2'-methyl-5'*H*-pregnano[17,16-*d*]oxazol-11,20-dione-20-semicarbazone
($C_{26}H_{38}N_4O_5$) see: Fluazacort

(3α)-3-(acetyloxy)-16-methylpregn-16-ene-11,20-dione
($C_{24}H_{34}O_4$; *14340-18-2*) see: Meprednisone

(2*R*-*cis*)-1-[5-[(acetyloxy)methyl]tetrahydro-2-furanyl]-4-(1*H*-1,2,4-triazol-1-yl)-2(1*H*)-pyrimidinone
($C_{13}H_{15}N_5O_4$; *105784-87-0*) see: Zalcitabine

(3β,5α)-3-(acetyloxy)-27-norcholestan-25-one
($C_{28}H_{46}O_3$; *2550-90-5*) see: Calcifediol

5-(acetyloxy)-1,3-oxathiolane-2-carboxylic acid
($C_6H_8O_5S$) see: Lamivudine

***trans*-5-(acetyloxy)-1,3-oxathiolane-2-carboxylic acid methyl ester**
($C_7H_{10}O_5S$; *147027-03-0*) see: Lamivudine

(2*S*)-5-(acetyloxy)-1,3-oxathiolane-2-methanol benzoate
($C_{13}H_{14}O_5S$) see: Lamivudine

(*S*)-5-[[2-(acetyloxy)-1-oxopropyl]amino]-2,4,6-triiodo-1,3-benzenedicarbonyl dichloride
($C_{13}H_8Cl_2I_3NO_5$; *60166-91-8*) see: Iopamidol

[11β,17α,17(*S*)]-17-[2-(acetyloxy)-1-oxopropyl]-11,17-dihydroxyandrosta-1,4-dien-3-one
($C_{24}H_{32}O_6$; *17651-98-8*) see: Fluperolone acetate

(3*R*-*cis*)-3-(acetyloxy)-4-phenyl-2-azetidinone
($C_{11}H_{11}NO_3$; *144790-01-2*) see: Paclitaxel

***cis*-3-(acetyloxy)-4-phenyl-2-azetidinone**
($C_{11}H_{11}NO_3$; *133066-59-8*) see: Paclitaxel

17-(acetyloxy)pregna-4,6-diene-3,20-dione
($C_{23}H_{30}O_4$; *425-51-4*) see: Cyproterone acetate

17-(acetyloxy)pregna-4,9(11)-diene-3,20-dione
($C_{23}H_{30}O_4$; *5106-48-9*) see: Flugestone acetate

21-(acetyloxy)pregna-4,9(11),16-triene-3,20-dione
($C_{23}H_{28}O_4$; *23460-76-6*) see: Triamcinolone

(3β,5β,6α)-3-(acetyloxy)-5,6,21-tribromo-17-hydroxy-16-methylenepregnan-20-one
($C_{24}H_{31}Br_3O_4$) see: Prednylidene

[2*S*-(2*R,7*Z*,16*Z*,18*E*,20*R**,21*R**,22*S**,23*S**,24*S**,25*R**,26*S**,27*R**,28*E*)]-25-(acetyloxy)-5,21,23-trihydroxy-27-methoxy-2,4,11,16,20,22,24,26-octamethyl-2,7-(epoxypentadeca[1,11,13]trienenitrilo)benzofuro[4,5-*e*]pyrido[1,2-*a*]benzimidazole-1,6,15(2*H*,7*H*)-trione**
($C_{43}H_{49}N_3O_{11}$) see: Rifaximin

2-acetylphenothiazine
($C_{14}H_{11}NOS$; *6631-94-3*) see: Acepromazine; Aceprometazine; Acetophenazine; Piperacetazine

(4-acetylphenoxy)acetic acid
($C_{10}H_{10}O_4$; *1878-81-5*) see: Pifoxime

(4-acetylphenoxy)acetic acid methyl ester
($C_{11}H_{12}O_4$; *6296-28-2*) see: Pifoxime

1-[(4-acetylphenoxy)acetyl]piperidine
($C_{15}H_{19}NO_3$; *31188-99-5*) see: Pifoxime

***N*-(3-acetylphenyl)acetamide**
($C_{10}H_{11}NO_2$; *7463-31-2*) see: Zaleplon

[5-acetyl-2-(phenylmethoxy)phenyl]urea
($C_{16}H_{16}N_2O_3$; *34241-97-9*) see: Carbuterol

(*R*)-*N*^{2}-[*N*^{2}-[*N*-[*N*-acetyl-1-*O*-(phenylmethyl)muramoyl]-L-alanyl]-D-α-glutaminyl]-*N*^{6}-[(phenylmethoxy)carbonyl]-L-lysine phenylmethyl ester
($C_{57}H_{62}N_6O_{14}$) see: Romurtide

***N*^{2}-[*N*^{2}-[*N*-[*N*-acetyl-1-*O*-(phenylmethyl)-4,6-*O*-(phenylmethylene)muramoyl]-L-alanyl]-D-α-glutaminyl]-*N*^{6}-[(phenylmethoxy)carbonyl]-L-lysine phenylmethyl ester**
($C_{54}H_{66}N_6O_{14}$) see: Romurtide

4-acetylphenylsulfonyl chloride
($C_8H_7ClO_3S$; *1788-10-9*) see: Acetohexamide

acetylphosphonic acid diethyl ester
($C_6H_{13}O_4P$; *919-19-7*) see: Fotemustine

acetylphosphonic acid diethyl ester oxime
($C_6H_{14}NO_4P$; *53145-08-7*) see: Fotemustine

1-acetyl-4-piperidinecarbonyl chloride
($C_8H_{12}ClNO_2$; *59084-16-1*) see: Risperidone

1-acetyl-4-piperidinecarboxylic acid
($C_8H_{13}NO_3$; *25503-90-6*) see: Risperidone

6-(1-acetylpropyl)-3,4,3',4'-tetramethoxybenzophenone
($C_{22}H_{26}O_6$; *15462-91-6*) see: Tofisopam

2-acetylpyridine
(C_7H_7NO; *1122-62-9*) see: Doxylamine

3-acetylpyridine
(C_7H_7NO; *350-03-8*) see: Metyrapone

5-acetylsalicylamide
($C_9H_9NO_3$; *40187-51-7*) see: Dilevalol

acetylsalicylic acid
($C_9H_8O_4$; *50-78-2*) see: Aloxiprin; Carbasalate calcium

***O*-acetylsalicyloyl chloride**
see under 2-acetoxybenzoyl chloride

***N*-acetylserine methyl ester**
($C_6H_{11}NO_4$; *55299-56-4*) see: Ramipril

***O*-acetyltestosterone**
($C_{21}H_{30}O_3$; *1045-69-8*) see: Clostebol acetate

allyl alcohol
(C_3H_6O; *107-18-6*) see: Dimercaprol

allylamine
(C_3H_7N; *107-11-9*) see: Almitrine; Azapetine

1-allyl-2-aminomethylpyrrolidine
($C_8H_{16}N_2$; *26116-13-2*) see: Alizapride

2-allylaminosulfonyl-4-aminosulfonyl-5-chloroaniline
($C_9H_{12}ClN_3O_4S_2$; *3921-09-3*) see: Ambuside

allyl anthranilate
($C_{10}H_{11}NO_2$; *7493-63-2*) see: Antrafenine

allyl bromide
(C_3H_5Br; *106-95-6*) see: Alclofenac; Alibendol; Allobarbital; Ambuside; Aprobarbital; Azapetine; Butalbital; Cabergoline; Clobenoside; Cyclopentobarbital; Fluoxetine; Indinavir sulfate; Levallorphan; Methohexital; Nalorphine; Naloxone; Nedocromil; Rocuronium bromide; Secobarbital; Tacrolimus; Talipexole

allyl chloride
(C_3H_5Cl; *107-05-1*) see: Valdetamide

2-allyl-6-chloro-3,4-dihydro-3-oxo-2*H*-1,2,4-benzothiadiazine-7-sulfonamide *S,S*-dioxide
($C_{10}H_{10}ClN_3O_5S_2$; *3921-08-2*) see: Ambuside

9-allyl-2-chlorothioxanthen-9-ol
($C_{16}H_{13}ClOS$; *33049-88-6*) see: Chlorprothixene

6-allyl-*N*-[3-(dimethylamino)propyl]-8β-ergolinecarboxamide
($C_{23}H_{32}N_4O$; *85329-86-8*) see: Cabergoline

6-allyl-8β-ergolinecarboxylic acid
($C_{18}H_{20}N_2O_2$; *81409-74-7*) see: Cabergoline

allyl glyoxylate
($C_5H_6O_3$; *64370-42-9*) see: Faropenem sodium

***N*^{b}-allylheminortoxiferine iodide**
($C_{22}H_{27}IN_2O_2$; *24180-78-7*) see: Alcuronium chloride

(–)-2-allyl-1-(4-hydroxybenzyl)-1,2,3,4,5,6,7,8-octahydroisoquinoline
($C_{19}H_{25}NO$) see: Levallorphan

allyl iodide
(C_3H_5I; *556-56-9*) see: Alcuronium chloride

allylmagnesium bromide
(C_3H_5BrMg; *1730-25-2*) see: Allylestrenol; Chlorprothixene; Flupentixol; Meglutol; Orlistat

allyl mercaptan
(C_3H_6S; *870-23-5*) see: Altizide

allyl(1-methyl-2-pentynyl)malonic acid diethyl ester
($C_{16}H_{24}O_4$; *101448-52-6*) see: Methohexital

4-allyloxy-3-chlorobenzaldehyde
($C_{10}H_9ClO_2$; *58236-91-2*) see: Alclofenac

4-allyloxy-3-chlorobenzyl chloride
($C_{10}H_{10}Cl_2O$; *20788-43-6*) see: Alclofenac

4-allyloxy-3-chlorobenzyl cyanide
($C_{11}H_{10}ClNO$; *20788-44-7*) see: Alclofenac

2-allyloxyphenol
($C_9H_{10}O_2$; *1126-20-1*) see: Oxprenolol

3-(2-allyloxyphenoxy)-1,2-epoxypropane
($C_{12}H_{14}O_3$; *6452-72-8*) see: Oxprenolol

2-allylphenol
($C_9H_{10}O$; *1745-81-9*) see: Alprenolol

1-(2-allylphenoxy)-2,3-epoxypropane
($C_{12}H_{14}O_2$; *4638-04-4*) see: Alprenolol

(*Z*)-allyltributylstannane
($C_{15}H_{32}Sn$; *66680-84-0*) see: *cis*-Cefprozil

allyl *N*-(7-trifluoromethyl-4-quinolinyl)anthranilate
($C_{20}H_{15}F_3N_2O_2$; *55300-53-3*) see: Antrafenine

allylurea
($C_4H_8N_2O$; *557-11-9*) see: Chlormerodrin

***N*-allyl-Wieland-Gumlich aldehyde iodide**
see under ***N*^{b}-allylheminortoxiferine iodide**

aluminum ethylate
($C_6H_{15}AlO_3$; *555-75-9*) see: Alufibrate

aluminum hydroxide
(AlH_3O_3; *21645-51-2*) see: Aluminum nicotinate

aluminum isopropylate
($C_9H_{21}AlO_3$; *555-31-7*) see: Aceglutamide aluminum; Aloxiprin

aluminum tri-*tert*-butylate
($C_{12}H_{27}AlO_3$; *556-91-2*) see: Calusterone

1-amidino-4-butyrylhomopiperazine
($C_{10}H_{20}N_4O$; *59775-30-3*) see: Bunazosin

6-amidino-2-naphthol methanesulfonate
($C_{12}H_{12}N_2O_3S$; *82957-06-0*) see: Nafamostat

1-amidinothiourea
($C_2H_6N_4S$; *2114-02-5*) see: Ebrotidine

amidotrizoic acid
($C_{11}H_9I_3N_2O_4$; *117-96-4*) see: Metrizoic acid

aminoacetaldehyde diethyl acetal
($C_6H_{15}NO_2$; *645-36-3*) see: Thiamazole

***p*-aminoacetanilide**
($C_8H_{10}N_2O$; *122-80-5*) see: Vesnarinone

aminoacetonitrile
($C_2H_4N_2$; *540-61-4*) see: Estazolam; Orotic acid

aminoacetonitrile monohydrochloride
($C_2H_5ClN_2$; *6011-14-9*) see: Octopamine

3-aminoacetophenone
(C_8H_9NO; *99-03-6*) see: Amidephrine mesilate

4-aminoacetophenone
(C_8H_9NO; *99-92-3*) see: Acetohexamide

1-amino-5-(*N*-acetylhydroxyamino)pentane
($C_7H_{16}N_2O_2$; *144108-69-0*) see: Deferoxamine

1-aminoadamantane
($C_{10}H_{17}N$; *768-94-5*) see: Tromantadine

2-aminoadenine
($C_5H_6N_6$; *1904-98-9*) see: Fludarabine phosphate

7-amino-3-aminocarbonyloxymethyl-3-cephem-4-carboxylic acid
($C_9H_{11}N_3O_5S$; *37051-07-3*) see: Cefuroxime

(2*S-cis*)-3-amino-2-[[(aminocarbonyl)oxy]methyl]-4-oxo-1-azetidinesulfonic acid
($C_5H_9N_3O_6S$; *88852-06-6*) see: Carumonam

4-amino-5-aminomethyl-2-methylpyrimidine
($C_6H_{10}N_4$; *95-02-3*) see: Nimustine; Thiamine

aminoantipyrine
($C_{11}H_{13}N_3O$; *83-07-8*) see: Aminophenazone; Metamizole sodium; Nifenazone

3-amino-3-azabicyclo[3.3.0]octane
($C_7H_{14}N_2$; *54528-00-6*) see: Gliclazide

4-aminobenzeneacetic acid ethyl ester
($C_{10}H_{13}NO_2$; *5438-70-0*) see: Actarit

4-aminobenzenesulfonamide monosodium salt
($C_6H_7N_2NaO_2S$; *10103-15-8*) see: Sulfadimethoxine

(3*S*)-3-amino-1-(carboxymethyl)-2,3,4,5-tetrahydro-1*H*-1-benzazepin-2-one
($C_{12}H_{14}N_2O_3$; *88372-47-8*) see: Benazepril

7-aminocephalosporanic acid
($C_{10}H_{12}N_2O_5S$; *957-68-6*) see: Cefacetrile; Cefaloglycin; Cefalotin; Cefamandole; Cefapirin; Cefatrizine; Cefazedone; Cefazolin; Cefbuperazone; Cefoperazone; Cefotaxime; Cefoxitin; Cefsulodin; Ceftezole; Ceftriaxone

4-amino-6-chloro-1,3-benzenedisulfamide
($C_6H_8ClN_3O_4S_2$; *121-30-2*) see: Altizide; Ambuside; Bemetizide; Benzthiazide; Butizide; Chlorothiazide; Cyclopenthiazide; Cyclothiazide; Epitizide; Ethiazide; Hydrochlorothiazide; Methyclothiazide; Parafutizide; Teclothiazide; Trichlormethiazide

6-amino-4-chloro-1,3-benzenedisulfamide
see under 4-amino-6-chloro-1,3-benzenedisulfamide

6-amino-4-chlorobenzene-1,3-disulfonamide
see under 4-amino-6-chloro-1,3-benzenedisulfamide

4-amino-6-chloro-1,3-benzenedisulfonyl dichloride
($C_6H_4Cl_3NO_4S_2$; *671-89-6*) see: Chlorothiazide

2-amino-5-chlorobenzoic acid
($C_7H_6ClNO_2$; *635-21-2*) see: Tetrazepam

4-amino-2-chlorobenzoic acid
($C_7H_6ClNO_2$; *2457-76-3*) see: Chloroprocaine

2-amino-4-chlorobenzoic acid ethyl ester
($C_9H_{10}ClNO_2$; *60064-34-8*) see: Azosemide

2-amino-5-chlorobenzonitrile
($C_7H_5ClN_2$; *5922-60-1*) see: Dipotassium clorazepate

2-amino-2'-chlorobenzophenone
($C_{13}H_{10}ClNO$; *2894-45-3*) see: Clonazepam; Loprazolam

2-amino-5-chlorobenzophenone
($C_{13}H_{10}ClNO$; *719-59-5*) see: Alprazolam; Chlordiazepoxide; Diazepam; Estazolam; Ketazolam; Medazepam; Nordazepam; Prazepam

2-amino-2'-(*o*-chlorobenzoyl)acetanilide
($C_{15}H_{13}ClN_2O_2$; *2894-47-5*) see: Clonazepam

4-amino-2-chlorobenzoyl chloride hydrochloride
($C_7H_6Cl_3NO$; *58979-43-4*) see: Chloroprocaine

2-amino-*N*-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]acetamide
($C_{15}H_{15}ClN_2O_2S$; *50509-09-6*) see: Etizolam

2-amino-*N*-[3-(2-chlorobenzoyl)-5-ethyl-2-thienyl]-*N*-methylacetamide
($C_{16}H_{17}ClN_2O_2S$; *133278-83-8*) see: Clotiazepam

2-amino-3-(2-chlorobenzoyl)-5-ethylthiophene
($C_{13}H_{12}ClNOS$; *50508-60-6*) see: Clotiazepam; Etizolam

2-amino-3-(2-chlorobenzoyl)thiophene
($C_{11}H_8ClNOS$; *40017-58-1*) see: Brotizolam

6-amino-5-chloro-2-cyclohexylphthalimidine
($C_{14}H_{17}ClN_2O$; *5566-71-2*) see: Clorexolone

(α*S*)-2-amino-5-chloro-α-(cyclopropylethynyl)-α-(trifluoromethyl)benzenemethanol
($C_{13}H_{11}ClF_3NO$; *209414-27-7*) see: Efavirenz

2-amino-6-chloro-1,9-dihydro-9-[2-(2-hydroxy-2-oxo-1,3,2-dioxaphosphoran-5-yl)ethyl]-9*H*-purine
($C_{10}H_{13}Cl_5O_4P$) see: Penciclovir

4-amino-2-chloro-6,7-dimethoxyquinazoline
($C_{10}H_{10}ClN_3O_2$; *23680-84-4*) see: Alfuzosin; Bunazosin; Doxazosin; Prazosin; Terazosin

4-amino-5-chloro-2-ethoxybenzoic acid
($C_9H_{10}ClNO_3$; *108282-38-8*) see: Mosapride citrate

2-amino-5-chloro-2'-fluorobenzophenone
($C_{13}H_9ClFNO$; *784-38-3*) see: Cinolazepam; Doxefazepam; Ethyl loflazepate; Flunitrazepam; Flurazepam; Flutazolam; Midazolam; Quazepam

2-amino-*N*-[4-chloro-2-[(2-fluorophenyl)hydroxymethyl]phenyl]-*N*-[2-(diethylamino)ethyl]acetamide
($C_{21}H_{27}ClFN_3O_2$; *32566-14-6*) see: Flurazepam

4-amino-2-chloro-5-fluoropyrimidine
($C_4H_3ClFN_3$; *155-10-2*) see: Flucytosine

4-amino-6-chloro-5-methoxypyrimidine
($C_5H_6ClN_3O$; *5018-41-7*) see: Sulfadoxine

3-amino-2-chloro-4-methylpyridine
($C_6H_7ClN_2$; *133627-45-9*) see: Nevirapine

3-amino-2-chloro-4-methylthiophene
(C_5H_6ClNS) see: Tiamenidine

2-amino-4-chloronitrobenzene
($C_6H_5ClN_2O_2$; *1635-61-6*) see: Oxfendazole

2-amino-6-chloro-3-nitropyridine
($C_5H_4ClN_3O_2$; *27048-04-0*) see: Flupirtine

2-amino-4-chlorophenol
(C_6H_6ClNO; *95-85-2*) see: Chlorzoxazone

1-[2-[(2-amino-4-chlorophenyl)amino]benzoyl]-4-methylpiperazine
($C_{18}H_{21}ClN_4O$; *65514-71-8*) see: Clozapine

1-[3-[4-[(2-amino-4-chlorophenyl)amino]-1-piperidinyl]propyl]-1,3-dihydro-2*H*-benzimidazol-2-one
($C_{21}H_{26}ClN_5O$; *62780-98-7*) see: Domperidone

(2-amino-5-chlorophenyl)(2-chlorophenyl)methanone oxime
($C_{13}H_{10}Cl_2N_2O$; *13949-49-0*) see: Lorazepam

2-amino-5-chlorophenyl cyclohexyl ketone
($C_{13}H_{16}ClNO$; *1789-30-6*) see: Tetrazepam

(±)-2-(2-amino-5-chlorophenyl)-4-cyclopropyl-1,1,1-trifluoro-3-butyn-2-ol
($C_{13}H_{11}ClF_3NO$; *168834-43-3*) see: Efavirenz

(2-amino-5-chlorophenyl)phenylmethanone oxime
($C_{13}H_{11}ClN_2O$; *18097-52-4*) see: Chlordiazepoxide

4-amino-5-chloro-1-phenyl-6(1*H*)-pyridazinone
($C_{10}H_8ClN_3O$; *1698-60-8*) see: Amezinium metilsulfate

2-amino-6-chloropurine
($C_5H_4ClN_5$; *10310-21-1*) see: Abacavir; Famciclovir; Penciclovir

(1*S*,4*R*)-4-(2-amino-6-chloro-9*H*-purin-9-yl)-2-cyclopentene-1-methanol
($C_{11}H_{12}ClN_5O$; *136522-33-3*) see: Abacavir

(±)-*cis*-4-(2-amino-6-chloro-9*H*-purin-9-yl)-2-cyclopentene-1-methanol
($C_{13}H_{14}ClN_5O_2$; *118237-87-9*) see: Abacavir

2-amino-5-chloropyridine
($C_5H_5ClN_2$; *1072-98-6*) see: Alpidem; Zopiclone

2-amino-*N*-(2-chloro-3-pyridinyl)benzamide
($C_{12}H_{10}ClN_3O$; *956-30-9*) see: Pirenzepine

2-amino-6-chloro-4(3*H*)-pyrimidinone
($C_4H_4ClN_3O$; *1194-21-4*) see: Abacavir

(±)-*cis*-4-[(2-amino-4-chloro-6-pyrimidinyl)amino]-2-cyclopentene-1-methanol
($C_{10}H_{13}ClN_4O$; *122624-73-1*) see: Abacavir

2-amino-4-chloro-5-sulfamoylbenzamide
($C_7H_8ClN_3O_3S$; *34121-17-0*) see: Fenquizone; Quinethazone

2-amino-4,5-dimethoxybenzoic acid
($C_9H_{11}NO_4$; *5653-40-7*) see: Prazosin

2-amino-4,5-dimethoxybenzonitrile
($C_9H_{10}N_2O_2$; *26961-27-3*) see: Bunazosin

2-amino-5-[[3,5-dimethoxy-4-(2-methoxyethoxy)phenyl]methyl]-4(1*H*)-pyrimidinone
($C_{16}H_{21}N_3O_5$; *55211-64-8*) see: Tetroxoprim

2-amino-1-(3,4-dimethoxyphenyl)-1-butanol
($C_{12}H_{19}NO_3$; *1141-80-6*) see: Moxaverine

(*S*)-2-amino-3-(3,4-dimethoxyphenyl)propanoic acid
($C_{11}H_{15}NO_4$; *32161-30-1*) see: Moexipril

2-amino-1-(2,5-dimethoxyphenyl)-1-propanone hydrochloride
($C_{11}H_{16}ClNO_3$; *103565-48-6*) see: Methoxamine

4-amino-5,6-dimethoxypyrimidine
($C_6H_9N_3O_2$; *5018-45-1*) see: Sulfadoxine

6-amino-2,4-dimethoxypyrimidine
($C_6H_9N_3O_2$; *3289-50-7*) see: Sulfadimethoxine

1-(4-amino-6,7-dimethoxy-2-quinazolinyl)hexahydro-4-formyl-1*H*-1,4-diazepine
($C_{16}H_{21}N_5O_3$) see: Bunazosin

(6*R*-*trans*)-7-amino-3-[[[1-[2-(dimethylamino)ethyl]-1*H*-tetrazol-5-yl]thio]methyl]-8-oxo-5-thia-1-azabicyclo-[4.2.0]oct-2-ene-2-carboxylic acid
($C_{13}H_{19}N_7O_5S_2$; *61607-66-7*) see: Cefotiam

[4*S*-(4α,4aα,5aα,12aα)]-9-amino-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide
($C_{21}H_{23}N_3O_7$; *5874-95-3*) see: Minocycline

[4*S*-(4α,4aα,5aα,12aα)]-9-amino-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-7-nitro-1,11-dioxo-2-naphthacenecarboxamide
($C_{21}H_{22}N_4O_9$; *47741-18-4*) see: Minocycline

4-amino-*N*,*N*-dimethylaniline
($C_8H_{12}N_2$; *99-98-9*) see: Methylthioninium chloride

4-amino-1,3-dimethylbenzene
($C_8H_{11}N$; *95-68-1*) see: Picotamide

(5*R*,6*S*)-6-amino-2,2-dimethyl-1,3-dioxepan-5-ol acetate (salt)
($C_9H_{19}NO_5$; *188923-21-9*) see: Nelfinavir mesylate

(*Z*)-2-amino-α-[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]-4-thiazoleacetic acid
($C_{11}H_{15}N_3O_5S$; *74440-02-1*) see: Carumonam

2-amino-α-[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino]-4-thiazoleacetic acid ethyl ester
($C_{13}H_{19}N_3O_5S$; *149488-87-9*) see: Carumonam

[3*S*-[2[1*R(*R**),2*S**],3α,4aβ,8aβ]]-[3-amino-1-[[[3-[3-[[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1*H*)-isoquinolinyl]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-3-oxopropyl]carbamic acid phenylmethyl ester**
($C_{36}H_{51}N_5O_6$; *136522-18-4*) see: Saquinavir

5-amino-3,4-dimethylisoxazole
($C_5H_8N_2O$; *19947-75-2*) see: Sulfafurazole

6-amino-1,3-dimethyl-5-nitroso-2,4(1*H*,3*H*)-pyrimidinedione
($C_6H_8N_4O_3$; *6632-68-4*) see: Theophylline

2-amino-4,5-dimethyloxazole
($C_5H_8N_2O$; *45529-92-8*) see: Sulfamoxole

DL-*threo*-5-amino-2,2-dimethyl-4-phenyl-1,3-dioxane
($C_{12}H_{17}NO_2$; *82863-88-5*) see: Chloramphenicol

D(−)-*threo*-5-amino-2,2-dimethyl-4-phenyl-1,3-dioxane
($C_{12}H_{17}NO_2$; *147781-29-1*) see: Chloramphenicol

4-amino-2,3-dimethyl-1-phenyl-5-Δ³-pyrazolone
see under aminoantipyrine

1-amino-*cis*-2,6-dimethylpiperidine
($C_7H_{16}N_2$; *61147-58-8*) see: Clopamide

6-amino-2,4-dimethylpyrimidine
($C_6H_9N_3$; *461-98-3*) see: Sulfisomidine

6-amino-1,3-dimethyluracil
($C_6H_9N_3O_2$; *6642-31-5*) see: Theophylline

3-aminodiphenylamine
($C_{12}H_{12}N_2$; *5840-03-9*) see: Moracizine

2-aminodiphenyl ether
($C_{12}H_{11}NO$; *2688-84-8*) see: Nimesulide

2-aminodiphenylmethane
($C_{13}H_{13}N$; *28059-64-5*) see: Perlapine

(*Z*)-2-amino-α-[[2-(diphenylmethoxy)-1,1-dimethyl-2-oxoethoxy]imino]-4-thiazoleacetic acid
($C_{22}H_{21}N_3O_5S$; *80542-76-3*) see: Aztreonam

4-amino-5-ethoxymethyl-2-methylpyrimidine
($C_8H_{13}N_3O$; *73-66-5*) see: Thiamine

9-amino-2-ethoxy-6-nitroacridine
($C_{15}H_{13}N_3O_3$; *20304-70-5*) see: Ethacridine

4-amino-2-ethoxy-5-nitrobenzoic acid
($C_9H_{10}N_2O_5$; *86718-18-5*) see: Cinitapride

2-[(2-aminoethyl)amino]ethanol
($C_4H_{12}N_2O$; *111-41-1*) see: Mitoxantrone

4-amino-α-ethylbenzeneacetic acid ethyl ester
($C_{12}H_{17}NO_2$; *57960-84-6*) see: Indobufen

4-(2-aminoethyl)benzenesulfonamide
($C_8H_{12}N_2O_2S$; *35303-76-5*) see: Glipizide; Gliquidone; Glisoxepide

***N*-(2-aminoethyl)-4-benzyloxyphenylacetamide**
($C_{17}H_{20}N_2O_2$; *58027-51-3*) see: Epanolol

4-[*N*-(2-aminoethyl)carbamoyl]morpholine
($C_7H_{15}N_3O_2$; *69630-16-6*) see: Xamoterol

***N*-(2-aminoethyl)-*N*'-(5-chloro-2,1,3-benzothiadiazol-4-yl)thiourea**
($C_9H_{10}ClN_5S_2$) see: Tizanidine

α-(2-aminoethyl)-2-chloro-α-phenylbenzenemethanol
($C_{15}H_{16}ClNO$; *35173-30-9*) see: Clofedanol

1'-(2-aminoethyl)-3',4'-dihydro-7'-methoxyspiro[cyclopentane-1,2'(1'*H*)-naphthalen]-1'-ol
($C_{17}H_{25}NO_2$; *48181-36-8*) see: Butorphanol

4-amino-1-ethyl-5,6-dihydropyrimidin-2(1*H*)-one hydrobromide
($C_6H_{12}BrN_3O$) see: Sulfacitine

2-aminoethyl hydrogen sulfate
($C_2H_7NO_4S$; *926-39-6*) see: Viloxazine

***O*-(2-aminoethyl)hydroxylamine**
($C_2H_8N_2O$; *4747-18-6*) see: Fluvoxamine

***N*-(2-aminoethyl)morpholine**
($C_6H_{14}N_2O$; *2038-03-1*) see: Minaprine; Moclobemide

1-(2-aminoethyl)octahydroazocine
($C_9H_{20}N_2$; *1126-67-6*) see: Guanethidine sulfate

[*R*-(*R,*S**)]-α-(1-aminoethyl)-3-(phenylmethoxy)benzenemethanol**
($C_{16}H_{19}NO_2$; *47017-04-9*) see: Metaraminol

α-aminoethylphosphonic acid diethyl ester
($C_6H_{16}NO_3P$; *54788-35-1*) see: Fotemustine

3-amino-2-methoxypyrazine
($C_5H_7N_3O$; *4774-10-1*) see: Sulfalene

2-amino-5-methoxypyrimidine
($C_5H_7N_3O$; *13418-77-4*) see: Sulfametoxydiazine

8-amino-6-methoxyquinoline
($C_{10}H_{10}N_2O$; *90-52-8*) see: Primaquine

3-amino-4-methylacetophenone
($C_9H_{11}NO$; *17071-24-8*) see: Amosulalol

3-amino-5-[(methylamino)carbonyl]benzoic acid
($C_9H_{10}N_2O_3$; *1954-96-7*) see: Iotalamic acid

4-amino-α-methylbenzeneacetic acid methyl ester
($C_{10}H_{13}NO_2$; *39718-97-3*) see: Alminoprofen

α-amino-α-methylbenzenepropanenitrile monohydrochloride
($C_{10}H_{13}ClN_2$; *56968-07-1*) see: Metirosine

3-amino-2-methylbenzoic acid
($C_8H_9NO_2$; *52130-17-3*) see: Nelfinavir mesylate

4-(aminomethyl)benzoic acid
($C_8H_9NO_2$; *56-91-7*) see: Tranexamic acid

2-amino-4-methylbenzophenone
($C_{14}H_{13}NO$; *4937-62-6*) see: Proquazone

L-2-amino-2-methyl-3-bromopropionic acid
($C_4H_8BrNO_2$) see: Metirosine

2-aminomethyl-5-bromo-3-(2-pyridyl)indole dihydrochloride
($C_{14}H_{14}BrCl_2N_3$; *58350-31-5*) see: Bromazepam

3-(aminomethyl)-4-chlorobenzoic acid
($C_8H_8ClNO_2$; *705-17-9*) see: Iodamide

2-aminomethyl-5-chloro-1-methyl-3-phenylindole
($C_{16}H_{15}ClN_2$; *24140-10-1*) see: Diazepam

3-(aminomethyl)-4-chloro-5-nitrobenzoic acid
($C_8H_7ClN_2O_4$; *716-30-3*) see: Iodamide

4-(aminomethyl)cyclohexanecarboxylic acid
($C_8H_{15}NO_2$; *701-54-2*) see: Tranexamic acid

5-amino-2-methyl-4,6-dichloropyrimidine
($C_5H_5Cl_2N_3$; *39906-04-2*) see: Moxonidine

2-aminomethyl-2,3-dihydro-1,4-benzodioxin
($C_9H_{11}NO_2$; *4442-59-5*) see: Guanoxan

6-aminomethyl-6,11-dihydro-5*H*-dibenz[*b*,*e*]azepine
($C_{15}H_{16}N_2$; *41218-84-2*) see: Epinastine hydrochloride

2-aminomethyl-1,4-dioxaspiro[4.5]decane
($C_9H_{17}NO_2$; *45982-66-9*) see: Guanadrel

2-amino-4,5-methylenedioxyacetophenone
($C_9H_9NO_3$; *28657-75-2*) see: Cinoxacin

α-(aminomethylene)-3,4,5-trimethoxybenzenepropanenitrile
($C_{13}H_{16}N_2O_3$; *85536-85-2*) see: Trimethoprim

2-aminomethyl-1-ethylpyrrolidine
($C_7H_{16}N_2$; *26116-12-1*) see: Sulpiride; Sultopride

(±)-2-aminomethyl-4-(4-fluorobenzyl)morpholine
($C_{12}H_{17}FN_2O$; *112914-13-3*) see: Mosapride citrate

α¹-(aminomethyl)-4-hydroxy-1,3-benzenedimethanol
($C_9H_{13}NO_3$; *24085-19-6*) see: Salmeterol

(2*S*,3*R*)-2-(aminomethyl)-3-hydroxybutanoic acid hydrochloride
($C_5H_{12}ClNO_3$; *129994-66-7*) see: Faropenem sodium

1-amino-2-methylindoline
($C_9H_{12}N_2$; *31529-46-1*) see: Indapamide

3-amino-5-methylisoxazole
($C_4H_6N_2O$; *1072-67-9*) see: Isoxicam; Sulfamethoxazole

2-aminomethyl-1-methyl-5-nitro-3-phenylindole
($C_{16}H_{15}N_3O_2$; *30008-54-9*) see: Nimetazepam

2-aminomethyl-2-methyltetrahydrofuran
($C_6H_{13}NO$; *7179-94-4*) see: Mefruside

***cis*-2-(aminomethyl)-1-phenylcyclopropanecarboxylic acid**
($C_{11}H_{13}NO_2$; *69160-57-2*) see: Milnacipran hydrochloride

4-(aminomethyl)-1-(2-phenylethyl)-4-piperidinol
($C_{14}H_{22}N_2O$; *23808-42-6*) see: Fenspiride

α⁶-(aminomethyl)-3-(phenylmethoxy)-2,6-pyridinedimethanol
($C_{15}H_{18}N_2O_3$) see: Pirbuterol

2-amino-2-methyl-1-phenyl-1-propanol
($C_{10}H_{15}NO$; *34405-42-0*) see: Phentermine

2-amino-2-methyl-1-phenylpropyl chloride hydrochloride
($C_{10}H_{15}Cl_2N$; *14718-27-5*) see: Phentermine

1-amino-4-methylpiperazine
($C_5H_{13}N_3$; *6928-85-4*) see: Rifampicin

1-amino-3-[4-(4-methyl-1-piperazinyl)butyl]-2,4-imidazolidinedione
($C_{12}H_{23}N_5O_2$) see: Azimilide hydrochloride

2-amino-2-methyl-1-propanol
($C_4H_{11}NO$; *124-68-5*) see: Losartan potassium

α-[1-(aminomethyl)propyl]-α-phenylbenzenemethanol
($C_{17}H_{21}NO$; *22101-87-7*) see: Etifelmine

4-amino-1-methyl-3-propyl-1*H*-pyrazole-5-carboxamide
($C_8H_{14}N_4O$; *139756-02-8*) see: Sildenafil

2-amino-3-methylpyridine
($C_6H_8N_2$; *1603-40-3*) see: Pemirolast

2-amino-4-methylpyridine
($C_6H_8N_2$; *695-34-1*) see: Piketoprofen; Rifaximin

2-amino-5-methylpyridine
($C_6H_8N_2$; *1603-41-4*) see: Zolpidem

2-amino-6-methylpyridine
($C_6H_8N_2$; *1824-81-3*) see: Nalidixic acid

2-aminomethylpyridine
($C_6H_8N_2$; *3731-51-9*) see: Flecainide

3-(aminomethyl)pyridine
($C_6H_8N_2$; *3731-52-0*) see: Nicotinyl alcohol; Picotamide; Pimefylline

1-amino-2-methylpyridinium iodide
($C_6H_9IN_2$; *7583-90-6*) see: Ibudilast

2-amino-4-methylpyrimidine
($C_5H_7N_3$; *108-52-1*) see: Sulfamerazine

2-amino-6-methyl-4(1*H*)-pyrimidinone
($C_5H_7N_3O$; *3977-29-5*) see: Sulfamerazine

[(4-amino-2-methyl-5-pyrimidinyl)methyl]carbamodithioic acid 1-[2-(acetyloxy)ethyl]-2-oxopropyl ester
($C_{14}H_{20}N_4O_3S_2$; *89285-03-0*) see: Thiamine

***N*-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-*N'*-(2-chloroethyl)urea**
($C_9H_{14}ClN_5O$; *42471-43-2*) see: Nimustine

3-[(4-amino-2-methyl-5-pyrimidinyl)methyl]-5-(2-hydroxyethyl)-4-methyl-2(3*H*)-thiazolethione
($C_{12}H_{16}N_4OS_2$; *299-35-4*) see: Thiamine

***N*-(4-amino-2-methylpyrimidin-5-ylmethyl)-*N*-(4-hydroxy-1-methyl-2-mercaptobut-1-enyl)formamide**
($C_{12}H_{18}N_4O_2S$; *554-45-0*) see: Acetiamine; Bentiamine; Bisbentiamine; Fursultiamine; Octotiamine

4-[[[(2-aminophenyl)amino]thioxomethyl]amino]-1-piperidinecarboxylic acid ethyl ester
($C_{15}H_{22}N_4O_2S$; *73733-81-0*) see: Astemizole

2-amino-3-phenylbicyclo[2.2.1]heptane
($C_{13}H_{17}N$; *39550-30-6*) see: Fencamfamin

4-(4-aminophenyl)butanoic acid
($C_{10}H_{13}NO_2$; *15118-60-2*) see: Chlorambucil

***N*-(2-aminophenyl)-2-chloro-*N*-[(4-chlorophenyl)methyl]-acetamide**
($C_{15}H_{14}Cl_2N_2O$) see: Clemizole

***N*-(2-aminophenyl)-*N*-[(4-chlorophenyl)methyl]pyrrolidine-1-acetamide**
($C_{19}H_{22}ClN_3O$) see: Clemizole

3-(*p*-aminophenyl)-*N*-dichloroacetyl-2-methylalanine
($C_{12}H_{14}Cl_2N_2O_3$) see: Metirosine

1-(4-aminophenyl)-2-[(1,1-dimethylethyl)amino]ethanone
($C_{12}H_{18}N_2O$; *104656-91-9*) see: Clenbuterol

5-(4-aminophenyl)-3-(1,1-dimethylethyl)-2-oxazolidinone
($C_{13}H_{18}N_2O_2$; *41936-92-9*) see: Clenbuterol

2-amino-1-phenylethanol
($C_8H_{11}NO$; *7568-93-6*) see: Levamisole

2-(4-aminophenyl)ethyl bromide
($C_8H_{10}BrN$; *39232-03-6*) see: Anileridine

(1α,5α,6α)-6-amino-3-(phenylmethyl)-3-azabicyclo[3.1.0]hexane-2,6-dione
($C_{12}H_{12}N_2O_2$) see: Trovafloxacin mesilate

(*S*)-α-[(4-aminophenyl)methyl]-1,3-dihydro-1,3-dioxo-2*H*-isoindole-2-acetic acid ethyl ester
($C_{19}H_{18}N_2O_4$; *74743-23-0*) see: Melphalan

5-amino-3-phenyl-1,2,4-oxadiazole
($C_8H_7N_3O$; *3663-37-4*) see: Butalamine; Imolamine

2-amino-1-phenylpropane
($C_9H_{13}N$; *300-62-9*) see: Amphetaminil; Fenalcomine; Fenetylline; Racefemine

2-(4-aminophenyl)propionitrile
($C_9H_{10}N_2$; *28694-90-8*) see: Benoxaprofen; Flunoxaprofen

3-amino-2-phenylpyrazole
($C_9H_9N_3$; *826-85-7*) see: Sulfaphenazole

4-amino-1-phenyl-6(1*H*)-pyridazinone
($C_{10}H_9N_3O$; *13589-77-0*) see: Amezinium metilsulfate

4-(3-aminophenyl)pyridine
($C_{11}H_{10}N_2$; *40034-44-4*) see: Rosoxacin

***N*-(4-aminophenylsulfonyl)cyanamide**
($C_7H_7N_3O_2S$; *116-47-2*) see: Sulfamoxole

***N*^{1}-(4-aminophenylsulfonyl)-*N*^{3}-cyanoguanidine**
($C_8H_9N_5O_2S$; *55455-79-3*) see: Sulfaguanole

(*R,*R**)-β-[(2-aminophenyl)thio]-α-hydroxy-4-methoxybenzenepropanoic acid**
($C_{16}H_{17}NO_4S$; *42399-55-3*) see: Diltiazem

[*S*-(*R,*R**)]-β-[(2-aminophenyl)thio]-α-hydroxy-4-methoxybenzenepropanoic acid**
($C_{16}H_{17}NO_4S$; *42399-48-4*) see: Diltiazem

[*S*-(*R,*R**)]-β-[(2-aminophenyl)thio]-α-hydroxy-4-methoxybenzenepropanoic acid methyl ester**
($C_{17}H_{19}NO_4S$; *99109-07-6*) see: Diltiazem

2-amino-4-phenylthio(trobenzene
($C_{12}H_{10}N_2O_2S$; *43156-47-4*) see: Oxfendazole

(2*R-cis*)-4-amino-1-[2-[(phosphonooxy)methyl]-1,3-oxathiolan-5-yl]-2(1*H*)-pyrimidinone
($C_8H_{12}N_3O_6PS$; *143616-56-2*) see: Lamivudine

(±)-2-amino-6-phthalimido-4,5,6,7-tetrahydrobenzothiazole
($C_{15}H_{13}N_3O_2S$; *104618-33-9*) see: Pramipexole hydrochloride

2-amino-1,3-propanediol
($C_3H_9NO_2$; *534-03-2*) see: Iopamidol

3-amino-1,2-propanediol
($C_3H_9NO_2$; *616-30-8*) see: Iohexol

3-aminopropane-1-sulfonic acid
($C_3H_9NO_3S$; *3687-18-1*) see: Acamprosate calcium

2-amino-1-propanol
(C_3H_9NO; *6168-72-5*) see: Mexazolam

L(+)-2-amino-1-propanol
see under (*S*)-alaninol

3-amino-1-propanol
(C_3H_9NO; *156-87-6*) see: Acamprosate calcium; Cyclophosphamide; Dexpanthenol; Domperidone; Gusperimus trihydrochloride; Mefenorex; Urapidil

7-amino-3-[(Z)-1-propenyl]-3-cephem-4-carboxylic acid
($C_{10}H_{12}N_2O_3S$; *106447-44-3*) see: *cis*-Cefprozil

3-aminopropionaldehyde diethyl acetal
($C_7H_{17}NO_2$; *41365-75-7*) see: Atorvastatin calcium

β-aminopropionic acid phosphite
($C_3H_{10}NO_6P$) see: Pamidronic acid

3-aminopropionitrile
($C_3H_6N_2$; *151-18-8*) see: Calcium pantothenate; Stallimycin

***N*-[4-(3-aminopropylamino)butyl]-2,2-dihydroxyethanamide trihydrochloride**
($C_9H_{26}Cl_3N_3O_3$) see: Gusperimus trihydrochloride

2-(3-aminopropylamino)ethyl bromide dihydrobromide
($C_5H_{15}Br_3N_2$; *23545-42-8*) see: Amifostine

5-(3-aminopropyl)-4,6-dihydroxy-1,3,2,4,6-dioxatriphosphorinan-5-ol 2,4,6-trioxide
($C_4H_{12}NO_8P_3$; *165043-19-6*) see: Alendronate sodium

4-(2-aminopropyl)-1,2-dimethoxybenzene
($C_{11}H_{17}NO_2$; *120-26-3*) see: Dimoxyline

2-aminopyrazine
($C_4H_5N_3$; *5049-61-6*) see: Sulfalene

3-aminopyrazine-2-carboxylic acid
($C_5H_5N_3O_2$; *5424-01-1*) see: Amiloride

3-amino-1*H*-pyrazole-4-carbonitrile
($C_4H_4N_4$; *16617-46-2*) see: Zaleplon

5-aminopyrazole-4-carboxamide
($C_4H_6N_4O$; *5334-31-6*) see: Allopurinol

2-aminopyridine
($C_5H_6N_2$; *504-29-0*) see: Fenyramidol; Lornoxicam; Mepyramine; Piroxicam; Propiram; Risperidone; Tenoxicam; Zolimidine

3-aminopyridine
($C_5H_6N_2$; *462-08-8*) see: Apalcillin; Troxipide

7(*R*)-amino-3-(1-pyridiniomethyl)-3-cephem-4-carboxylic acid chloride monohydrochloride
($C_{13}H_{15}Cl_2N_3O_3S$; *96752-43-1*) see: Ceftazidime

2-aminopyrimidine
($C_4H_5N_3$; *109-12-6*) see: Sulfadiazine

2-amino-4,6-pyrimidinedione
($C_4H_5N_3O_2$; *4425-67-6*) see: Abacavir

3-aminopyrrolidine
($C_4H_{10}N_2$; *79286-79-6*) see: Tosufloxacin

4-amino-6-trifluoromethylbenzene-1,3-disulfamide
($C_7H_8F_3N_3O_4S_2$; *654-62-6*) see: Bendroflumethiazide; Hydroflumethiazide

4-amino-6-trifluoromethyl-1,3-benzenedisulfochloride
($C_7H_4Cl_2F_3NO_4S_2$; *1479-95-4*) see: Bendroflumethiazide; Hydroflumethiazide

4-amino-3-(trifluoromethyl)benzoic acid
($C_8H_6F_3NO_2$; *400-76-0*) see: Mabuterol

2-amino-1-(3-trifluoromethylphenyl)propane
($C_{10}H_{12}F_3N$; *1886-26-6*) see: Benfluorex; Fenfluramine

5-amino-2,4,6-triiodo-1,3-benzenedicarbonyl dichloride
($C_8H_2Cl_2I_3NO_2$; *37441-29-5*) see: Iopamidol

3-amino-2,4,6-triiodobenzoic acid
($C_7H_4I_3NO_2$; *3119-15-1*) see: Acetrizoic acid; Adipiodone; Iobenzamic acid; Iodoxamic acid; Ioglycamic acid; Iotroxic acid

3-amino-2,4,6-triiodobenzoyl chloride
($C_7H_3ClI_3NO$; *51935-27-4*) see: Iobenzamic acid

***N*-(3-amino-2,4,6-triiodobenzoyl)-*N*-phenyl-β-alanine methyl ester**
($C_{17}H_{15}I_3N_2O_3$; *51934-66-8*) see: Iobenzamic acid

5-amino-2,4,6-triiodo-*N,N'*-bis(2,3-dihydroxypropyl)isophthalamide
($C_{14}H_{18}I_3N_3O_6$; *76801-93-9*) see: Iohexol

5-amino-2,4,6-triiodoisophthalic acid
($C_8H_4I_3NO_4$; *35453-19-1*) see: Iopamidol

2-[(3-amino-2,4,6-triiodophenyl)methylene]butanoic acid
($C_{11}H_{10}I_3NO_2$; *1215-70-9*) see: Bunamiodyl

2-amino-3,4,5-trimethoxybenzoic acid
($C_{10}H_{13}NO_5$; *61948-85-4*) see: Trimazosin

4-(4-amino-6,7,8-trimethoxy-2-quinazolinyl)-1-piperazinecarboxylic acid 2-methyl-2-propenyl ester
($C_{20}H_{27}N_5O_5$; *35795-15-4*) see: Trimazosin

5-aminouracil
($C_4H_5N_3O_2$; *932-52-5*) see: Uramustine

L-α-amino-α-vanillylpropionamide
($C_{11}H_{16}N_2O_3$; *6555-09-5*) see: Methyldopa

DL-α-amino-α-vanillylpropionitrile
($C_{11}H_{14}N_2O_2$; *6555-27-7*) see: Methyldopa

L-α-amino-α-vanillylpropionitrile
($C_{11}H_{14}N_2O_2$; *14818-96-3*) see: Methyldopa

amitriptyline
($C_{20}H_{23}N$; *50-48-6*) see: Amitriptylinoxide; Nortriptyline

amitriptyline methiodide
($C_{21}H_{26}IN$; *33445-20-4*) see: Nortriptyline

ammonium carbonate
($CH_8N_2O_3$; *506-87-6*) see: Clopidogrel hydrogensulfate; Mephenytoin; Methyldopa; Metirosine; Phenytoin

ammonium dithiocarbamate
($CH_6N_2S_2$; *513-74-6*) see: Arotinolol; Clomethiazole

ammonium formate
(CH_5NO_2; *540-69-2*) see: Ramatroban

ammonium fumarate
($C_4H_{10}N_2O_4$; *14548-85-7*) see: L-Aspartic acid

ammonium rhodanide
(CH_4N_2S; *1762-95-4*) see: Acetazolamide; Benzyl mustard oil; Brimonidine; Clonidine; Indanazoline; Thiadrine; Tiamenidine; Tioxolone; Tolonidine; Tramazoline

ammonium thiocyanate
see under ammonium rhodanide

amoxicillin
($C_{16}H_{19}N_3O_5S$; *26787-78-0*) see: Aspoxicillin

amoxicillin trimethylsilyl ester
($C_{19}H_{27}N_3O_5SSi$; *53512-08-6*) see: Amoxicillin

(±)-amphetamine
see under 2-amino-1-phenylpropane

ampicillin
($C_{16}H_{19}N_3O_4S$; *69-53-4*) see: Apalcillin; Lenampicillin; Metampicillin; Mezlocillin; Piperacillin; Sultamicillin

ampicillin potassium salt
($C_{16}H_{18}KN_3O_4S$; *23277-71-6*) see: Talampicillin

ampicillin sodium salt
($C_{16}H_{18}N_3NaO_4S$; *69-52-3*) see: Hetacillin

4-*tert*-amylbenzaldehyde
($C_{12}H_{16}O$; *67468-54-6*) see: Amorolfine

4-*tert*-amyl-α-methylcinnamaldehyde
($C_{15}H_{20}O$; *67468-55-7*) see: Amorolfine

amyl methyl ketone
($C_7H_{14}O$; *110-43-0*) see: Tuaminoheptane

androsta-1,4-diene-3,17-dione cyclic 17-(1,2-ethanediyl acetal)
($C_{21}H_{28}O_3$; *2398-63-2*) see: Estrone

(3β,5α,17β)-androstane-3,17-diol 17-benzoate
($C_{26}H_{36}O_3$; *6242-26-8*) see: Mesterolone

3,17-androstanedione
($C_{19}H_{28}O_2$; *846-46-8*) see: Androstanolone; Estrone

(3β,17β)-androst-5-ene-3,17-diol 3-acetate
($C_{21}H_{32}O_3$; *1639-43-6*) see: Mesterolone; Testosterone

(3β,17β)-androst-5-ene-3,17-diol 3-acetate 17-benzoate
($C_{28}H_{36}O_4$; *5953-63-9*) see: Estradiol; Mesterolone; Testosterone

androstenediol 17-propionate
($C_{22}H_{34}O_3$; *38859-47-1*) see: Testosterone propionate

4-androstene-3,17-dione
($C_{19}H_{26}O_2$; *63-05-8*) see: Formestane; Penmesterol; Spironolactone

androstenolone
($C_{19}H_{28}O_2$; *53-43-0*) see: Androstanolone; Azacosterol; Estrone; Ethisterone; Methyltestosterone; Prasterone enanthate; Spironolactone

androstenolone acetate
see under 3β-acetoxy-17-oxo-5-androstene

(5α)-androst-2-en-17-one
($C_{19}H_{28}O$; *963-75-7*) see: Pancuronium bromide; Pipecuronium bromide; Vecuronium bromide

androsterone
($C_{19}H_{30}O_2$; *53-41-8*) see: Mestanolone

anethole
($C_{10}H_{12}O$; *104-46-1*) see: Anethole trithione; Diethylstilbestrol

D-anhydro-*O*-carboxymandelic acid
($C_9H_6O_4$; *54256-33-6*) see: Cefamandole

5,6-anhydro-1,2-*O*-isopropylidene-α-D-glucofuranose
($C_9H_{14}O_5$; *15354-69-5*) see: Prenalterol

2,3'-anhydrothymidine
($C_{10}H_{12}N_2O_4$; *15981-92-7*) see: Zidovudine

3',5'-anhydrothymidine
($C_{10}H_{12}N_2O_4$; *38313-48-3*) see: Stavudine

anhydrovinblastine
($C_{46}H_{56}N_4O_8$; *38390-45-3*) see: Vinorelbine

6-(D-α-azidophenylacetamido)penicillanic acid 1-ethoxycarbonyloxyethyl ester
($C_{21}H_{25}N_5O_7S$; *37661-07-7*) see: Bacampicillin
D(−)-α-azidophenylacetic acid
($C_8H_7N_3O_2$; *29125-25-5*) see: Azidocillin
[2*S*-[2α,5α,6β(*S)]]-6-[(azidophenylacetyl)amino]-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid (2,2-dimethyl-1-oxopropoxy)methyl ester**
($C_{22}H_{27}N_5O_6S$; *26255-15-2*) see: Pivampicillin
3-azido-2,3,4,5-tetrahydro-1*H*-1-benzazepin-2-one
($C_{10}H_{10}N_4O$; *86499-24-3*) see: Benazepril
azidotrimethylsilane
($C_3H_9N_3Si$; *4648-54-8*) see: Zanamivir
aziridine
(C_2H_5N; *151-56-4*) see: Carboquone; Levamisole; Medazepam; Quazepam; Thiotepa; Triaziquone

B

barbituric acid
($C_4H_4N_2O_3$; *67-52-7*) see: Allobarbital; Minoxidil; Riboflavin
BCH 189 (rac.)
see under *cis*-4-amino-1-[2-(hydroxymethyl)-1,3-oxathiolan-5-yl]-2(1*H*)-pyrimidinone
beclometasone
($C_{22}H_{29}ClO_5$; *4419-39-0*) see: Ciclometasone
beclometasone 21-acetate
($C_{24}H_{31}ClO_6$; *4735-64-2*) see: Beclometasone
bekanamycin
($C_{18}H_{37}N_5O_{10}$; *4696-76-8*) see: Dibekacin; Tobramycin
bendazolic acid chloride
($C_{16}H_{13}ClN_2O_2$; *40988-23-6*) see: Bendacort
benzalacetone
($C_{10}H_{10}O$; *122-57-6*) see: Warfarin
benzaldehyde
(C_7H_6O; *100-52-7*) see: Acetorphan; Amphetaminil; Atorvastatin calcium; Azimilide hydrochloride; Benzathine benzylpenicillin; Docetaxel; L(−)-Ephedrine; Ethotoin; Fenipentol; Fenquizone; Furazolidone; Imolamine; Isocarboxazid; Metamizole sodium; Oxacillin; Paclitaxel; Phensuximide; Phentermine; Pildralazine; Propiverine
benzaldehyde [6-[(2-hydroxypropyl)methylamino]-3-pyridazinyl]hydrazone
($C_{15}H_{19}N_5O$; *56976-47-7*) see: Pildralazine
benzaldehyde semicarbazone
($C_8H_9N_3O$; *1574-10-3*) see: Azimilide hydrochloride
benzaldoxime
(C_7H_7NO; *932-90-1*) see: Imolamine; Oxacillin
6-benzamidopenicillanic acid
($C_{15}H_{16}N_2O_4S$; *6489-59-4*) see: Latamoxef
4-benzamidopyridine
($C_{12}H_{10}N_2O$; *5221-44-3*) see: Indoramin
benzamidoxime
($C_7H_8N_2O$; *613-92-3*) see: Oxolamine
benzarone
($C_{17}H_{14}O_3$; *1477-19-6*) see: Benzbromarone; Benziodarone
benzene
(C_6H_6; *71-43-2*) see: Budipine; Clotrimazole; Fexofenadine hydrochloride; Ibuprofen; Phenylmercuric borate; Seratrodast; Sertraline
benzeneacetic acid 2-[4-(methylsulfonyl)phenyl]-2-oxoethyl ester
($C_{17}H_{16}O_5S$; *201737-94-2*) see: Rofecoxib
benzenediazonium chloride
($C_6H_5ClN_2$; *100-34-5*) see: Amsacrine; Mesalazine; Phenazopyridine; Riboflavin
benzenepropanoic acid phenyl ester
($C_{15}H_{14}O_2$; *726-26-1*) see: Latanoprost
benzenesulfochloride
($C_6H_5ClO_2S$; *98-09-9*) see: Clopidogrel hydrogensulfate; Dextrothyroxine; Gabapentin; Glybuzole; Orlistat
benzenesulfonic acid 4-formyl-2-iodo-6-nitrophenyl ester
($C_{13}H_8INO_6S$) see: Dextrothyroxine
***N*-benzenesulfonyl-3-azaspiro[5.5]undecane-2,4-dione**
($C_{16}H_{19}NO_4S$) see: Gabapentin
benzenesulfonylguanidine
($C_7H_9N_3O_2S$; *4392-37-4*) see: Glymidine
benzhydrol
($C_{13}H_{12}O$; *91-01-0*) see: Adrafinil; Modafinil
benzhydroximic acid chloride
(C_7H_6ClNO; *698-16-8*) see: Imolamine; Oxacillin
benzhydryl bromide
($C_{13}H_{11}Br$; *776-74-9*) see: Diphenhydramine; Diphenylpyraline; Ebastine; Manidipine
benzhydryl chloride
($C_{13}H_{11}Cl$; *90-99-3*) see: Cinnarizine; Cyclizine; Medibazine
1-benzhydrylpiperazine
($C_{17}H_{20}N_2$; *841-77-0*) see: Cinnarizine; Oxatomide
(benzhydrylsulfinyl)acetic acid
($C_{15}H_{14}O_3S$; *63547-24-0*) see: Adrafinil
(benzhydrylthio)acetic acid
($C_{15}H_{14}O_2S$; *63547-22-8*) see: Adrafinil; Modafinil
benzil
($C_{14}H_{10}O_2$; *134-81-6*) see: Phenytoin; Propiverine
benzilic acid
($C_{14}H_{12}O_3$; *76-93-7*) see: Flutropium bromide; Mepenzolate bromide; Pipenzolate bromide; Pipoxolan; Propiverine
benzilic chloride
($C_{14}H_{11}ClO_2$; *52905-45-0*) see: Clidinium bromide
3-benziloyloxy-1-methylpiperidine
($C_{20}H_{23}NO_3$; *3321-80-0*) see: Mepenzolate bromide
1*H*-benzimidazole-2-thiol
($C_7H_6N_2S$; *134469-07-1*) see: Rabeprazole sodium
2-benzimidazolone
($C_7H_6N_2O$; *615-16-7*) see: Mizolastine; Oxatomide
4-(1*H*-benzimidazol-2-ylamino)-1-piperidinecarboxylic acid ethyl ester
($C_{15}H_{20}N_4O_2$; *73734-07-3*) see: Astemizole
1,2-benzisothiazolin-3-one
(C_7H_5NOS; *2634-33-5*) see: Ziprasidone hydrochloride
1-(1,2-benzisothiazol-3-yl)piperazine
($C_{11}H_{13}N_3S$; *87691-87-0*) see: Ziprasidone hydrochloride
[5-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-4-chloro-2-nitrophenyl]propanedioic acid dimethyl ester
($C_{24}H_{25}ClN_4O_6S$; *160384-39-4*) see: Ziprasidone hydrochloride
1,2-benzisoxazole-3-acetic acid
($C_9H_7NO_3$; *4865-84-3*) see: Zonisamide

5-benzoyl-2-chloro-1-[3,3-di(methoxycarbonyl)propyl]-pyrrole
($C_{18}H_{18}ClNO_5$) see: Ketorolac

***N*-benzoyl-*N*-(3-chloro-2-methylphenyl)benzamide**
($C_{21}H_{16}ClNO_2$; *42313-35-9*) see: Fominoben

***N*-(2-benzoyl-4-chlorophenyl)-2-chloro-*N*-methylacetamide**
($C_{16}H_{13}Cl_2NO_2$; *6021-21-2*) see: Diazepam

***N*-(2-benzoyl-4-chlorophenyl)-*N*-(cyclopropylmethyl)-1,3-dihydro-1,3-dioxo-2*H*-isoindole-2-acetamide**
($C_{27}H_{21}ClN_2O_4$; *2897-01-0*) see: Prazepam

***N*-(2-benzoyl-4-chlorophenyl)-*N*,4-dimethylbenzenesulfonamide**
($C_{21}H_{18}ClNO_3S$; *4873-37-4*) see: Diazepam

***N*-(2-benzoyl-4-chlorophenyl)-4-methylbenzenesulfonamide**
($C_{20}H_{16}ClNO_3S$; *4873-59-0*) see: Diazepam

6-benzoyl-3-chloro-5,6,7,8-tetrahydropyrido[4,3-*c*]pyridazine
($C_{14}H_{12}ClN_3O$; *39715-73-6*) see: Endralazine

3-benzoyl-α-cyanobenzeneacetic acid ethyl ester
($C_{18}H_{15}NO_3$; *34124-51-1*) see: Ketoprofen

3-benzoyl-α-cyano-α-methylbenzeneacetic acid ethyl ester
($C_{19}H_{17}NO_3$; *22071-25-6*) see: Ketoprofen

***N*-Benzoyl-2'-deoxycytidine**
($C_{16}H_{17}N_3O_5$; *4836-13-9*) see: Zalcitabine

***O*-[2-*O*-benzoyl-3-(ethoxycarbonylamino)-3-deoxy-4,6-*O*-isopropylidene-α-D-glucopyranosyl-(1→6)]-*O*-[2,6-bis-(ethoxycarbonylamino)-2,6-dideoxy-3,4-*O*-isopropylidene-α-D-glucopyranosyl-(1→4)]-1,3-bis-*N*-(ethoxycarbonyl)-2-deoxy-D-streptamine**
($C_{40}H_{69}N_5O_{14}$) see: Dibekacin

***O*-[2-*O*-benzoyl-3-(ethoxycarbonylamino)-3-deoxy-4,6-*O*-isopropylidene-α-D-glucopyranosyl]-(1→6)-*O*-[2,6-bis-(ethoxycarbonylamino)-2,6-dideoxy-3,4-bis-*O*-(methanesulfonyl)-α-D-glucopyranosyl-(1→4)]-1,3-bis-*N*-(ethoxycarbonyl)-2-deoxy-D-streptamine**
($C_{42}H_{69}N_5O_{15}S_2$) see: Dibekacin

[3*R*-(3α,4α)]-[*partial*]-1-benzoyl-3-(1-ethoxyethoxy)-4-phenyl-2-azetidinone
($C_{20}H_{21}NO_4$; *201856-53-3*) see: Paclitaxel

(2*R*,3*S*)-*N*-benzoyl-*O*-(1-ethoxyethyl)-3-phenylisoserine
($C_{20}H_{23}NO_5$; *216094-54-1*) see: Paclitaxel

***N*-benzoyl-DL-glutamic acid**
($C_{12}H_{13}NO_5$; *6460-81-7*) see: Proglumide

***N*-benzoyl-DL-glutamic anhydride**
($C_{12}H_{11}NO_4$; *91569-94-7*) see: Proglumide

benzoylhydrazine
($C_7H_8N_2O$; *613-94-5*) see: Azelastine; Benmoxin; Piperylone; Zorubicin

2-benzoyl-*N*-(2-hydroxyethyl)-*N*-methylbenzamide
($C_{17}H_{17}NO_3$; *24833-47-4*) see: Nefopam

3-benzoyl-4-hydroxy-1-methyl-4-phenylpiperidine
($C_{19}H_{21}NO_2$; *5409-66-5*) see: Phenindamine

7-benzoylindolin-2-one
($C_{15}H_{11}NO_2$; *51135-38-7*) see: Amfenac sodium

benzoyl isocyanate
($C_8H_5NO_2$; *4461-33-0*) see: Imiquimod

benzoyl isothiocyanate
(C_8H_5NOS; *532-55-8*) see: Famotidine; Indanazoline

(*S*)-3-benzoyl-α-methylbenzeneacetic acid
($C_{16}H_{14}O_3$; *22161-81-5*) see: Dexketoprofen trometamol

3-benzoyl-α-methylbenzeneacetyl chloride
($C_{16}H_{13}ClO_2$; *59512-44-6*) see: Piketoprofen

4-benzoyl-1-methylpiperidine
($C_{13}H_{17}NO$; *92040-00-1*) see: Diphemanil metilsulfate

5-benzoyl-2-(methylthio)pyrrole
($C_{12}H_{11}NOS$; *80965-00-0*) see: Ketorolac

***N*-(2-benzoyl-4-nitrophenyl)-4-methylbenzenesulfonamide**
($C_{20}H_{16}N_2O_5S$; *24042-91-9*) see: Nitrazepam

(benzoyloxy)acetaldehyde
($C_9H_8O_3$; *64904-47-8*) see: Lamivudine

3'-benzoyloxyacetophenone
($C_{15}H_{12}O_3$; *139-28-6*) see: Etilefrine; Norfenefrine

4'-benzoyloxyacetophenone
($C_{15}H_{12}O_3$; *1523-18-8*) see: Bamethan

(5α,17β)-17-(benzoyloxy)androstan-3-one
($C_{26}H_{34}O_3$; *1057-07-4*) see: Mesterolone

(17β)-17-(benzoyloxy)androst-4-en-3-one
($C_{26}H_{32}O_3$; *2088-71-3*) see: Testosterone

[3*S*-(3α,3aα,5α,9aα,9bβ)]-3-(benzoyloxy)-5-bromo-6-(3-chloro-2-butenyl)-1,2,3,3a,4,5,8,9,9a,9b-decahydro-3a-methyl-7*H*-benz[*e*]inden-7-one
($C_{25}H_{28}BrClO_3$) see: Trenbolone acetate

1-benzoyloxy-2-chloromethoxyethane
($C_{10}H_{11}ClO_3$; *58305-05-8*) see: Aciclovir

17β-benzoyloxy-3-chloro-5-oxo-4,5-seco-2,9-estradiene
($C_{25}H_{29}ClO_3$; *24156-98-7*) see: Trenbolone acetate

[3a*R*-(3aα,4α,5β,6aα)]-5-(benzoyloxy)-4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]hexahydro-2*H*-cyclopenta[*b*]furan-2-one
($C_{21}H_{30}O_5Si$; *64982-34-9*) see: Iloprost

2-benzoyloxyethanol
($C_9H_{10}O_3$; *94-33-7*) see: Aciclovir

9-(2-benzoyloxyethoxymethyl)guanine
($C_{15}H_{15}N_5O_4$; *59277-91-7*) see: Aciclovir

4-[2-(benzoyloxy)ethyl]-3-chloro-1,3-dihydro-2*H*-indol-2-one
($C_{17}H_{14}ClNO_3$; *139122-17-1*) see: Ropinirole

2-(2-benzoyloxyethyl)-β-nitrostyrene
($C_{17}H_{15}NO_4$; *139122-16-0*) see: Ropinirole

[3a*S*-(3aα,4α,5β,6aα)]-5-(benzoyloxy)hexahydro-4-(hydroxymethyl)-2(1*H*)-pentalenone
($C_{16}H_{18}O_4$; *74842-93-6*) see: Iloprost

[3'a*S*-(3'aα,4'α,5'β,6'aα)]-5'-(benzoyloxy)hexahydrospiro[1,3-dioxolane-2,2'(1'*H*)-pentalene]-4'-carboxaldehyde
($C_{18}H_{20}O_5$; *74818-14-7*) see: Iloprost

[3'a*S*-[3'α,4'α(1*E*),5'β,6'aα]]-1-[5'-(benzoyloxy)hexahydrospiro[1,3-dioxolane-2,2'(1'*H*)-pentalen]-4'-yl]-4-methyl-1-octen-6-yn-3-one
($C_{26}H_{30}O_5$) see: Iloprost

17β-benzoyloxy-3β-hydroxy-5-androstene
($C_{26}H_{34}O_3$; *1175-12-8*) see: Mesterolone; Testosterone

2-[1-(benzoyloxymethyl)cyclopropyl]acetonitrile
($C_{13}H_{13}NO_2$; *142148-12-7*) see: Montelukast sodium

4-benzoyloxyphenacyl bromide
($C_{15}H_{11}BrO_3$; *5324-15-2*) see: Bamethan

***N*-(4-benzoyloxyphenacyl)butylamine**
($C_{19}H_{21}NO_3$) see: Bamethan

S-benzyl-L-cysteine
($C_{10}H_{13}NO_2S$; *3054-01-1*) see: Bucillamine

(±)-*cis*-8-benzyl-2,8-diazabicyclo[4.3.0]nonane
($C_{14}H_{20}N_2$; *161594-54-3*) see: Moxifloxacin hydrochloride

1-benzyl-4-(5,6-dimethoxy-1-oxoindan-2-ylidenemethyl)piperidine
($C_{24}H_{27}NO_3$; *120014-07-5*) see: Donepezil hydrochloride

benzyldimethylamine
($C_9H_{13}N$; *103-83-3*) see: Benzethonium chloride; Cefalexin

benzyl [(2*R*,3*S*)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)carbamate
($C_{27}H_{38}N_2O_5$; *160232-10-0*) see: Amprenavir

***N*-benzyl-*N'*,*S*-dimethylisothiourea**
($C_{10}H_{14}N_2S$) see: Betanidine

***O*-benzyl *S*-(4,6-dimethyl-2-pyrimidinyl)thiocarbonate**
($C_{14}H_{14}N_2O_2S$; *42116-21-2*) see: Gusperimus trihydrochloride

benzyl 2-[*N*-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanyl]-1,2,3,4-tetrahydro-6,7-dimethoxy-3(*S*)-isoquinolinecarboxylate
($C_{34}H_{40}N_2O_7$; *82637-57-8*) see: Moexipril

γ-benzyl L-glutamate
($C_{12}H_{15}NO_4$; *1676-73-9*) see: Cilazapril

benzylhydrazine
($C_7H_{10}N_2$; *555-96-4*) see: Isocarboxazid

α-[benzyl(2-hydroxyethyl)amino]propiophenone
($C_{18}H_{21}NO_2$; *94997-05-4*) see: Phenmetrazine

1-benzyl-3-hydroxyimino-2-methylpyrrolidine
($C_{12}H_{16}N_2O$; *74880-17-4*) see: Nemonapride

1-benzyl-3-hydroxy-1*H*-indazole
($C_{14}H_{12}N_2O$; *2215-63-6*) see: Bendazac; Benzydamine

***O*-benzylhydroxylamine**
(C_7H_9NO; *622-33-3*) see: Aztreonam; Zileuton

benzyl [(2*R*,3*S*)-2-hydroxy-4-phenyl-3-[[[[(3*S*)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)-carbamate
($C_{27}H_{36}N_2O_6$; *160232-12-2*) see: Amprenavir

(3*S*)-1-benzyl-3-hydroxypyrrolidine
($C_{11}H_{15}NO$; *101385-90-4*) see: Barnidipine

1-benzyl-4-hydroxy-4-(3-trifluoromethylphenyl)piperidine
($C_{19}H_{20}F_3NO$; *56108-27-1*) see: Trifluperidol

4-benzylidenamino-2,3-dimethyl-1-phenyl-5-Δ³-pyrazolone
($C_{18}H_{17}N_3O$; *83-17-0*) see: Metamizole sodium

1-(benzylidenamino)-2,4-imidazolidinedione
($C_{10}H_9N_3O_2$; *2827-57-8*) see: Azimilide hydrochloride

1-(benzylidenamino)-3-(4-iodobutyl)-2,4-imidazolidinedione
($C_{14}H_{16}IN_3O_2$; *92254-87-0*) see: Azimilide hydrochloride

4-benzylidenemethylammonio-2,3-dimethyl-1-phenyl-5-Δ³-pyrazolone methyl sulfate
($C_{20}H_{23}N_3O_5S$) see: Metamizole sodium

2-benzylidene-4-methyl-3-oxo-*N*-phenylpentanamide
($C_{19}H_{19}NO_2$; *125971-57-5*) see: Atorvastatin calcium

(*S*)-*N*-benzylidene-1-phenylethylamine
($C_{15}H_{15}N$; *62696-51-9*) see: Docetaxel; Paclitaxel

benzyl isocyanate
(C_8H_7NO; *3173-56-6*) see: Zolmitriptan

benzyl D-isoglutaminate
($C_{12}H_{16}N_2O_3$; *71811-14-8*) see: Romurtide

benzyl levulinoyloxyacetate
($C_{14}H_{16}O_5$; *53164-03-7*) see: Acemetacin

benzylmagnesium chloride
(C_7H_7ClMg; *6921-34-2*) see: Bencyclane; Clomifene; Dextropropoxyphene; Ritonavir

***N*-benzylmaleimide**
($C_{11}H_9NO_2$; *1631-26-1*) see: Trovafloxacin mesilate

benzylmalonic acid
($C_{10}H_{10}O_4$; *616-75-1*) see: Acetorphan

benzyl mercaptan
(C_7H_8S; *100-53-8*) see: Benzthiazide; Bucillamine; Thioctic acid

benzyl (5-methoxy-2-methyl-3-indolylacetoxy)acetate
($C_{21}H_{21}NO_5$; *53164-08-2*) see: Acemetacin

benzyl [2-(4-methoxyphenylhydrazono)valeryloxy]acetate
($C_{21}H_{24}N_2O_5$; *53164-06-0*) see: Acemetacin

***N*-benzylmethylamine**
($C_8H_{11}N$; *103-67-3*) see: Amidephrine mesilate; Epinastine hydrochloride; Lercanidipine hydrochloride; Oxilofrine; Pargyline

3-(benzylmethylamino)-1,1-diphenyl-1-propanol
($C_{23}H_{25}NO$; *25772-95-6*) see: Lercanidipine hydrochloride

α-benzylmethylamino-3-methylsulfonylamino-acetophenone
($C_{17}H_{20}N_2O_3S$; *6861-18-3*) see: Amidephrine mesilate

3-(benzylmethylamino)-1-phenylpropan-1-one
($C_{17}H_{19}NO$; *21970-65-0*) see: Lercanidipine hydrochloride

3-(benzylmethylamino)propyl chloride
($C_{11}H_{16}ClN$; *3161-52-2*) see: Desipramine

benzyl (4*S*)-1-methyl-3-[(2*S*)-2-[(1*S*)-1-ethoxycarbonyl-3-phenylpropylamino]propionyl]-2-oxoimidazolidine-4-carboxylate
($C_{27}H_{33}N_3O_6$; *89371-36-8*) see: Imidapril

***N*-benzyl-*N*-[1-methyl-2-(4-methoxyphenyl)ethyl]amine**
see under 2-benzylamino-1-(4-methoxyphenyl)propane

(±)-*N*-benzyl-*N*-[1-methyl-2-(4-methoxyphenyl)ethyl]-amine
see under 2-benzylamino-1-(4-methoxyphenyl)propane

benzyl (4*S*)-1-methyl-2-oxo-imidazolidine-4-carboxylate
($C_{12}H_{14}N_2O_3$; *89371-35-7*) see: Imidapril

***N*-benzyl-*N*-(1-methyl-2-phenoxyethyl)ethanolamine**
($C_{18}H_{23}NO_2$; *101-45-1*) see: Phenoxybenzamine

benzyl (–)-3-methyl-4-phenyl-4-piperidinecarboxylate
($C_{20}H_{23}NO_2$; *104907-71-3*) see: Levocabastine

(*R*)-(+)-*N*-benzyl-1-methyl-3-phenylpropylamine
($C_{17}H_{21}N$; *75659-06-2*) see: Dilevalol

***N*-benzyl-1-methyl-3-phenylpropylamine**
($C_{17}H_{21}N$; *68164-04-5*) see: Dilevalol; Labetalol

(±)-*N*-benzyl-1-methyl-3-phenylpropylamine
see under *N*-benzyl-1-methyl-3-phenylpropylamine

1-benzyl-2-methyl-3-pyrrolidinone
($C_{12}H_{15}NO$; *69079-26-1*) see: Nemonapride

***N*¹-benzyl-*N*²-methylthiourea**
($C_9H_{12}N_2S$; *2740-94-5*) see: Betanidine

(1α,5α,6α)-3-benzyl-6-nitro-2,4-dioxo-3-azabicyclo[3.1.0]hexane
($C_{12}H_{10}N_2O_4$; *151860-15-0*) see: Trovafloxacin mesilate

4(*S*)-benzyloxazolidin-2-one
($C_{10}H_{11}NO_2$; *90719-32-7*) see: Abacavir

9-[3-[4-[2-(benzyloxy)ethyl]-1-piperazinyl]propyl]-2-(trifluoromethyl)thioxanthen-9-ol
($C_{30}H_{33}F_3N_2O_2S$; *2560-74-9*) see: Flupentixol

6-benzyloxy-2-(4-formylphenoxymethyl)-2,5,7,8-tetramethylchroman
($C_{28}H_{30}O_4$; *138564-69-9*) see: Troglitazone

5-benzyloxygramine
($C_{18}H_{20}N_2O$; *1453-97-0*) see: Oxitriptan

4-benzyloxyhydrazobenzene
($C_{19}H_{18}N_2O$; *93942-75-7*) see: Oxyphenbutazone

5-benzyloxy-6-(hydroxymethyl)pyridine-2-carboxaldehyde
($C_{14}H_{13}NO_3$; *38029-04-8*) see: Pirbuterol

5-benzyloxyindole
($C_{15}H_{13}NO$; *1215-59-4*) see: Oxitriptan

4-(benzyloxy)indole-2-acetonitrile
($C_{17}H_{14}N_2O$; *108981-51-7*) see: Mepindolol

4-benzyloxyindole-2-carboxylic acid
($C_{16}H_{13}NO_3$; *39731-09-4*) see: Mepindolol

4-benzyloxy-3-methoxybenzaldehyde
($C_{15}H_{14}O_3$; *2426-87-1*) see: Tolcapone

4-benzyloxy-3-methoxy-4'-methylbenzhydrol
($C_{22}H_{22}O_3$; *134612-19-4*) see: Tolcapone

1-(benzyloxymethyl)-2-butyl-4-iodoimidazole-5-carboxaldehyde
($C_{16}H_{19}IN_2O_2$; *154371-51-4*) see: Eprosartan

4-benzyloxy-2-methylindole
($C_{16}H_{15}NO$; *35308-72-6*) see: Mepindolol

7-(benzyloxymethyl)-3-oxo-5-norbornene
($C_{15}H_{16}O_2$; *56817-38-0*) see: Dinoprost

4'-(benzyloxy)-2-[(1-methyl-3-phenylpropyl)amino]propiophenone hydrobromide
($C_{26}H_{30}BrNO_2$; *102946-28-1*) see: Buphenine

4'-benzyloxy-3'-nitroacetophenone
($C_{15}H_{13}NO_4$; *14347-05-8*) see: Formoterol

***O*-benzyloxyphenbutazone**
($C_{26}H_{26}N_2O_3$; *31603-00-6*) see: Oxyphenbutazone

4-benzyloxyphenol
($C_{13}H_{12}O_2$; *103-16-2*) see: Prenalterol; Xamoterol

1-(4-benzyloxyphenoxy)-2,3-epoxypropane
($C_{16}H_{16}O_3$; *28150-30-3*) see: Prenalterol; Xamoterol

1-(4-benzyloxyphenyl)-2(*S*),3-dihydroxypropane
($C_{16}H_{18}O_4$; *57506-18-0*) see: Prenalterol

2-(4-benzyloxyphenyl)ethylamine
($C_{15}H_{17}NO$; *51179-05-6*) see: Ritodrine

3'-benzyloxypropiophenone
($C_{16}H_{16}O_2$; *37951-47-6*) see: Metaraminol

4'-benzyloxypropiophenone
($C_{16}H_{16}O_2$; *4495-66-3*) see: Buphenine; Ifenprodil; Isoxsuprine; Oxilofrine; Ritodrine

3(*R*)-benzyloxytetradecanal
($C_{21}H_{34}O_2$; *112763-97-0*) see: Orlistat

5-[4-(6-benzyloxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)benzylidene]-2,4-thiazolidinedione
($C_{31}H_{31}NO_5S$; *138564-62-2*) see: Troglitazone

5-benzyloxy-DL-tryptophan
($C_{18}H_{18}N_2O_3$; *1956-25-8*) see: Oxitriptan

benzylpenicillin
($C_{16}H_{18}N_2O_4S$; *61-33-6*) see: Benzathine benzylpenicillin; D-Penicillamine

5*R*,6*R*-benzylpenicilloic acid
($C_{16}H_{20}N_2O_5S$; *87492-68-0*) see: D-Penicillamine

benzylpenilloic acid "(2*R-trans*)"
($C_{15}H_{20}N_2O_3S$; *73184-06-2*) see: D-Penicillamine

2-benzylphenol
($C_{13}H_{12}O$; *28994-41-4*) see: Benproperine; Phenyltoloxamine

1-(2-benzylphenoxy)-2-chloropropane
($C_{16}H_{17}ClO$; *85909-36-0*) see: Benproperine

1-(2-benzylphenoxy)-2-propanol
($C_{16}H_{18}O_2$; *5029-76-5*) see: Benproperine

1-(2-benzylphenoxy)-2-tosyloxypropane
($C_{23}H_{24}O_4S$; *5029-77-6*) see: Benproperine

2-(benzylphenylamino)ethanol
($C_{15}H_{17}NO$; *33905-47-4*) see: Efonidipine hydrochloride ethanol

2-(benzylphenylamino)ethyl acetoacetate
($C_{19}H_{21}NO_3$; *111011-83-7*) see: Efonidipine hydrochloride ethanol

2-(benzylphenylamino)ethyl 3-aminocrotonate
($C_{19}H_{22}N_2O_2$; *111011-79-1*) see: Efonidipine hydrochloride ethanol

2-(benzylphenylamino)ethyl 2-(3-nitrobenzylidene)acetoacetate
($C_{26}H_{24}N_2O_5$; *111011-82-6*) see: Efonidipine hydrochloride ethanol

***N*-benzyl-*N*-phenylhydrazine**
($C_{13}H_{14}N_2$; *614-31-3*) see: Mebhydrolin

4-benzylpiperidine
($C_{12}H_{17}N$; *31252-42-3*) see: Ifenprodil

1-benzylpiperidine-4-carboxaldehyde
($C_{13}H_{17}NO$; *22065-85-6*) see: Donepezil hydrochloride

1-benzylpiperidine-4-one
($C_{12}H_{15}NO$; *3612-20-2*) see: Alfentanil; Clebopride; Fentanyl; Fluspirilene; Pipamperone; Tinoridine; Trifluperidol

1-benzyl-4-piperidinopiperidine-4-carboxamide
($C_{18}H_{27}N_3O$; *1762-50-1*) see: Pipamperone

***N*-benzyl-3-piperidinyl acetoacetate**
($C_{16}H_{21}NO_3$; *85387-34-4*) see: Benidipine

1-benzyl-4-piperidone
see under 1-benzylpiperidine-4-one

1-(1-benzyl-4-piperidyl)-2-benzimidazolone
($C_{19}H_{21}N_3O$; *16148-06-4*) see: Benperidol

2-benzylpyridine
($C_{12}H_{11}N$; *101-82-6*) see: Pheniramine

4-benzylpyridine
($C_{12}H_{11}N$; *2116-65-6*) see: Pheniramine

benzyl 3-pyridyl ketone
($C_{13}H_{11}NO$; *14627-92-0*) see: Azatadine

benzyl salicylate
($C_{14}H_{12}O_3$; *118-58-1*) see: Benexate

benzyl 1,2,3,4-tetrahydro-6,7-dimethoxy-3(*S*)-isoquinolinecarboxylate
($C_{19}H_{21}NO_4$; *82586-59-2*) see: Moexipril

benzyl (*S*)-1,2,3,4-tetrahydro-3-isoquinolinecarboxylate
($C_{17}H_{17}NO_2$; *77497-96-2*) see: Quinapril hydrochloride

1-(1-benzyl-1,2,3,6-tetrahydro-4-pyridyl)-2-benzimidazolinone
($C_{19}H_{19}N_3O$; *60373-71-9*) see: Droperidol

(3β,5α,6β,16α)-3,5-bis(acetyloxy)-6-fluoro-17-hydroxy-16-methylpregnane-20-one
($C_{26}H_{39}FO_6$) see: Flumetasone

(3β)-17,21-bis(acetyloxy)-3-(formyloxy)pregn-5-en-20-one
($C_{26}H_{36}O_7$; *96671-22-6*) see: Hydrocortisone

3,4-bis(acetyloxy)-2-methylbutanal
($C_9H_{14}O_5$; *32347-78-7*) see: Retinol

1-[3,5-bis(acetyloxy)phenyl]-2-[[2-(4-methoxyphenyl)-1-methylethyl](phenylmethyl)amino]ethanone
($C_{29}H_{31}NO_6$) see: Fenoterol

7-[3-[[2-[3,5-bis(acetyloxy)phenyl]-2-oxoethyl](phenylmethyl)amino]propyl]-3,7-dihydro-1,3-dimethyl-1*H*-purine-2,6-dione
($C_{29}H_{31}N_5O_7$; *62932-98-3*) see: Reproterol

(3β,5α,11α)-3,11-bis(acetyloxy)pregn-16-en-20-one
($C_{25}H_{36}O_5$; *28507-80-4*) see: Halopredone diacetate

3,4-bis[4-(benzoyloxy)phenyl]-3,4-hexanediol
($C_{32}H_{30}O_6$) see: Dienestrol

1,2-bis(benzylidenamino)ethane
($C_{16}H_{16}N_2$; *104-71-2*) see: Benzathine benzylpenicillin

4-*O*-[2,6-bis(benzyloxycarbonylamino)-3,4-di-*O*-benzyl-2,6-dideoxy-α-D-glucopyranosyl]-*N,N'*-bis(benzyloxycarbonyl)-2-deoxy-D-streptamine
($C_{58}H_{62}N_4O_{14}$; *22854-78-0*) see: Ribostamycin

(2*S*,3*R*,4*R*,5*S*)-2,5-bis[(benzyloxycarbonyl)amino]-3,4-dihydroxy-1,6-diphenylhexane
($C_{34}H_{36}N_2O_6$; *137649-69-5*) see: Ritonavir

(2*S*,3*R*,4*R*,5*S*)-2,5-bis[(benzyloxycarbonyl)amino]-3,4-epoxy-1,6-diphenylhexane
($C_{34}H_{34}N_2O_5$; *162849-92-5*) see: Ritonavir

1,2-bis(benzyloxycarbonyl)-1-methylhydrazine
($C_{17}H_{18}N_2O_4$; *6002-83-1*) see: Procarbazine

1,2-bis(2-bromoethoxy)benzene
($C_{10}H_{12}Br_2O_2$; *136383-33-0*) see: Tamsulosin hydrochloride

bis(β-bromoethyl)amine hydrobromide
($C_4H_{10}Br_3N$; *43204-63-3*) see: Vesnarinone

2,2'-bis(bromomethyl)biphenyl
($C_{14}H_{12}Br_2$; *38274-14-5*) see: Azapetine

3,4-bis(bromomethyl)-5-hydroxy-6-methylpyridine hydrobromide
($C_8H_{10}Br_3NO$; *39984-49-1*) see: Pyritinol

3,5-bis-*O*-(*tert*-butyldimethylsilyl)-2-deoxy-2,2-difluoro-2-*O*-methanesulfonyl-D-ribofuranose
($C_{18}H_{38}F_2O_6SSi_2$; *103882-89-9*) see: Gemcitabine

3,5-bis-*O*-(*tert*-butyldimethylsilyl)-2-deoxy-2,2-difluoro-D-ribofuranose
($C_{17}H_{36}F_2O_4Si_2$) see: Gemcitabine

***N,O*-bis(4-chlorobenzoyl)tyramine**
($C_{22}H_{17}Cl_2NO_3$; *41859-56-7*) see: Bezafibrate

bis(2-chloroethyl)amine
($C_4H_9Cl_2N$; *334-22-5*) see: Cyclophosphamide; Estramustine phosphate

4-[4-[bis(2-chloroethyl)amino]phenyl]butyric anhydride
($C_{28}H_{36}Cl_4N_2O_3$; *64338-29-0*) see: Prednimustine

bis(2-chloroethyl) ether
($C_4H_8Cl_2O$; *111-44-4*) see: Benzethonium chloride; Oxeladin; Risperidone

***N,N*-bis(2-chloroethyl)-*N*-methylamine**
($C_5H_{11}Cl_2N$; *51-75-2*) see: Ketobemidone; Pethidine

***N,N*-bis(2-chloroethyl)phosphoramidic dichloride**
($C_4H_8Cl_4NOP$; *127-88-8*) see: Cyclophosphamide; Trofosfamide

***N,N'*-bis(2-chloroethyl)urea**
($C_5H_{10}Cl_2N_2O$; *2214-72-4*) see: Carmustine

bis(chloromethyl) ether
($C_2H_4Cl_2O$; *542-88-1*) see: Obidoxime chloride

2,6-bis(chloromethyl)pyridine
($C_7H_7Cl_2N$; *3099-28-3*) see: Pyridinol carbamate

bis(4-chlorophenyl) disulfide
($C_{12}H_8Cl_2S_2$; *1142-19-4*) see: Tiludronate disodium

5,6-bis-*O*-[(4-chlorophenyl)methylene]-1,2-*O*-(1-methylethylidene)-3-*O*-propyl-α-D-glucofuranose
($C_{26}H_{32}Cl_2O_6$; *28542-48-5*) see: Clobenoside

1,5-bis(4-cyanophenoxy)pentane
($C_{19}H_{18}N_2O_2$; *7467-71-2*) see: Pentamidine

***N,N'*-bis(2-diethylaminoethyl)oxamide**
($C_{14}H_{30}N_4O_2$; *5432-13-3*) see: Ambenonium chloride

1,3-bis(dimethylamino)-2-chloropropane
($C_7H_{17}ClN_2$; *40550-12-7*) see: Aminopromazine

bis(2-dimethylaminoethyl) succinate
($C_{12}H_{24}N_2O_4$; *19249-04-8*) see: Suxamethonium chloride

1,6-bis(dimethylamino)hexane
($C_{10}H_{24}N_2$; *111-18-2*) see: Distigmine bromide; Hexafluronium bromide

1,3-bis(dimethylamino)-2-propanol
($C_7H_{18}N_2O$; *5966-51-8*) see: Prolonium iodide

3',5'-bis(dimethylcarbamoyloxy)acetophenone
($C_{14}H_{18}N_2O_5$; *81732-48-1*) see: Bambuterol

bis(1,1-dimethylethyl) dicarbonate
($C_{10}H_{18}O_5$; *24424-99-5*) see: Delavirdine mesilate; Fosinopril; Indinavir sulfate; Miglitol; Nevirapine; Temocapril; Tirofiban hydrochloride; Trovafloxacin mesilate

[1*R*-[1α[1*R(*S**),3a*R**,4*E*,7a*R**],4α,6β]]-4-[[4,6-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1,3,4,5,6,7-hexahydro-2,2-dioxidobenzo[*c*]thien-1-yl]methylene]octahydro-α,7a-dimethyl-1*H*-indene-1-acetaldehyde**
($C_{34}H_{60}O_5SSi_2$; *112790-51-9*) see: Calcipotriol

(1α,3β,5*E*,7*E*)-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-9,10-secopregna-5,7,10(19)-triene-20-carboxaldehyde
($C_{34}H_{60}O_3Si_2$) see: Calcipotriol

1,2-bis(3,5-dioxopiperazin-1-yl)ethane
($C_{10}H_{14}N_4O_4$; *1506-47-4*) see: Sobuzoxane

(11β)-3,3:17,17-bis[1,2-ethanediylbis(oxy)]-11-hydroxyestr-5-en-18-oic acid γ-lactone
($C_{22}H_{28}O_6$; *59860-72-9*) see: Desogestrel

3,4-bis(ethoxycarbonyloxy)phenethylamine oxalate hemihydrate
($C_{32}H_{44}N_2O_{21}$; *143436-67-3*) see: Docarpamine

1,1-bis(ethoxycarbonyl)-2-vinylcyclopropane
($C_{11}H_{16}O_4$; *7686-78-4*) see: Vigabatrin

3,3:20,20-bis(ethylenedioxy)-11β,17,21-trihydroxy-5-pregnene
($C_{25}H_{38}O_7$; *76338-54-0*) see: Cloprednol; Hydrocortisone; Methylprednisolone

bis(2-ethylhexyl) fumarate
($C_{20}H_{36}O_4$; *141-02-6*) see: Sodium dioctyl sulfosuccinate

(*S*)-3-[bis(phenylmethyl)amino]-1-chloro-4-phenyl-2-butanone
($C_{24}H_{24}ClNO$; *171815-94-4*) see: Saquinavir

7,10-bis(triethylsilyl)-10-deacetylbaccatin III
($C_{41}H_{64}O_{10}Si_2$; *149107-84-6*) see: Docetaxel

2',7-bis(triethylsilyl)paclitaxel
($C_{59}H_{79}NO_{14}Si_2$; *135365-62-7*) see: Paclitaxel

[bis(trifluoroacetoxy)iodo]benzene
($C_{10}H_5F_6IO_4$; *2712-78-9*) see: Tacrolimus

1,1-bis(2,2,2-trifluoroethoxy)ethane
($C_6H_8F_6O_2$; *673-67-6*) see: Fluroxene

2,8-bis(trifluoromethyl)-4-bromoquinoline
($C_{11}H_4BrF_6N$; *35853-45-3*) see: Mefloquine

2,8-bis(trifluoromethyl)-4-hydroxyquinoline
($C_{11}H_5F_6NO$; *35853-41-9*) see: Mefloquine

2,8-bis(trifluoromethyl)-4-lithioquinoline
($C_{11}H_4F_6LiN$; *112748-10-4*) see: Mefloquine

2,8-bis(trifluoromethyl)-4-quinolinecarboxylic acid
($C_{12}H_5F_6NO_2$; *35853-50-0*) see: Mefloquine

[2,8-bis(trifluoromethyl)-4-quinolinyl]-2-pyridinylmethanone
($C_{17}H_8F_6N_2O$; *35853-55-5*) see: Mefloquine

***N,O*-bis(trimethylsilyl)acetamide**
($C_8H_{21}NOSi_2$; *10416-59-8*) see: Cefalexin

1,3-bis(trimethylsilyl)fluorouracil
($C_{10}H_{19}FN_2O_2Si_2$; *58138-78-6*) see: Tegafur

bis(trimethylsilyl)thymine
($C_{11}H_{22}N_2O_2Si_2$; *7288-28-0*) see: Stavudine

***N,O*-bis(trimethylsilyl)trifluoroacetamide**
($C_8H_{18}F_3NOSi_2$; *25561-30-2*) see: Tirofiban hydrochloride

***N*,9-bis(trimethylsilyl)-6-[(trimethylsilyl)oxy]-9*H*-purin-2-amine**
($C_{14}H_{29}N_5OSi_3$; *18602-85-2*) see: Aciclovir

Boc-Asp(OBzl)
($C_{16}H_{21}NO_6$; *7536-58-5*) see: Ceruletide

Boc-Asp(OBzl)-Tyr-NH-NH-Z
($C_{33}H_{38}N_4O_9$; *17664-74-3*) see: Ceruletide

Boc-Gln
($C_{10}H_{18}N_2O_5$; *13726-85-7*) see: Ceruletide

Boc-Gln-Asp(OBzl)-Tyr-NH-NH-Z
($C_{38}H_{46}N_6O_{11}$; *21385-06-8*) see: Ceruletide

Boc-Gly-*O*-Np
($C_{13}H_{16}N_2O_6$; *3655-05-8*) see: Ceruletide

Boc-Gly-Trp-Met-Asp-Phe-NH_2
($C_{36}H_{47}N_7O_9S$; *5915-71-9*) see: Ceruletide

Boc-(*S*)-phenylglycinal
($C_{13}H_{17}NO_3$; *163061-19-6*) see: Docetaxel

Boc-Thr(Ac)-*O*-Tcp
($C_{17}H_{20}Cl_3NO_6$; *21385-12-6*) see: Ceruletide

Boc-Tyr
($C_{14}H_{19}NO_5$; *3978-80-1*) see: Ceruletide

Boc-Tyr-NH-NH-Z
($C_{22}H_{27}N_3O_6$; *17664-72-1*) see: Ceruletide

boldenone
($C_{19}H_{26}O_2$; *846-48-0*) see: Boldenone undecenylate; Estradiol

boric acid
(BH_3O_3; *10043-35-3*) see: Phenylmercuric borate

bromine azide
(BrN_3; *13973-87-0*) see: Cefoxitin

bromoacetaldehyde diethyl acetal
($C_6H_{13}BrO_2$; *2032-35-1*) see: Domiodol

bromoacetaldehyde ethylene acetal
($C_4H_7BrO_2$; *4360-63-8*) see: Carbimazole

***N*-bromoacetamide**
(C_2H_4BrNO; *79-15-2*) see: Betamethasone; Fluazacort; Fludroxycortide; Fluperolone acetate; Halopredone diacetate; Triamcinolone; Ulobetasol propionate

7(*S*)-bromoacetamido-7-methoxycephalosporanic acid
($C_{13}H_{15}BrN_2O_7S$; *65871-82-1*) see: Cefotetan

bromoacetic acid
($C_2H_3BrO_2$; *79-08-3*) see: Bendazac; Tamsulosin hydrochloride

bromoacetic acid methyl ester
($C_3H_5BrO_2$; *96-32-2*) see: Sertindole

2-bromoacetophenone
(C_8H_7BrO; *70-11-1*) see: Fendosal; Hexocyclium metilsulfate; Levamisole; Nomifensine

4'-bromoacetophenone
(C_8H_7BrO; *99-90-1*) see: Zimeldine

bromoacetyl bromide
($C_2H_2Br_2O$; *598-21-0*) see: Cefapirin; Clonazepam; Flunitrazepam; Haloxazolam; Ketazolam; Sotalol

bromoacetyl chloride
(C_2H_2BrClO; *22118-09-8*) see: Cloxazolam; Flurazepam; Mexazolam; Nazasetron; Quazepam; Salbutamol

3-(bromoacetyl)-5-chloro-2-thiophenesulfonamide
($C_6H_5BrClNO_3S_2$; *160982-11-6*) see: Brinzolamide

5-(bromoacetyl)-2-hydroxybenzoic acid methyl ester
($C_{10}H_9BrO_4$; *36256-45-8*) see: Salbutamol

4-(bromoacetyl)methanesulfonanilide
($C_9H_{10}BrNO_3S$; *5577-42-4*) see: Sotalol

5-(bromoacetyl)-2-methylbenzenesulfonamide
($C_9H_{10}BrNO_3S$; *70958-71-3*) see: Amosulalol

(4*S*,5*R*)-3-(2-bromoacetyl)-4-methyl-5-phenyl-2-oxazolidinone
($C_{12}H_{12}BrNO_3$; *142722-84-7*) see: Docetaxel

[5-(bromoacetyl)-2-(phenylmethoxy)phenyl]urea
($C_{16}H_{15}BrN_2O_3$; *49639-82-9*) see: Carbuterol

5-bromoacetylsalicylamide
($C_9H_8BrNO_3$; *73866-23-6*) see: Labetalol

5-(bromoacetyl)-2-thiophenecarboxamide
($C_7H_6BrNO_2S$; *68257-90-9*) see: Arotinolol

3-(bromoacetyl)-2-thiophenesulfonamide
($C_6H_6BrNO_3S_2$; *154127-28-3*) see: Brinzolamide

1-bromoadamantane
($C_{10}H_{15}Br$; *768-90-1*) see: Amantadine

21-bromoalfaxalone
($C_{21}H_{31}BrO_3$; *32226-10-1*) see: Alfadolone acetate

2-bromoaniline
(C_6H_6BrN; *615-36-1*) see: Ondansetron

3-(2-bromoanilino)cyclohex-2-en-1-one
($C_{12}H_{12}BrNO$; *68890-19-7*) see: Ondansetron

3-bromoanisole
(C_7H_7BrO; *2398-37-0*) see: Tramadol

4-bromobenzaldehyde
(C_7H_5BrO; *1122-91-4*) see: Bromindione

2-bromo-3',5'-dimethoxyacetophenone
($C_{10}H_{11}BrO_3$; *50841-50-4*) see: Orciprenaline
4-bromo-3,5-dimethoxy-α-(anilinomethylene)hydrocinnamonitrile
($C_{18}H_{17}BrN_2O_2$; *65566-21-4*) see: Brodimoprim
4-bromo-3,5-dimethoxybenzaldehyde
($C_9H_9BrO_3$; *31558-40-4*) see: Brodimoprim
3-bromo-2,6-dimethoxybenzoic acid
($C_9H_9BrO_4$; *73219-89-3*) see: Remoxipride
4-bromo-3,5-dimethoxybenzoyl chloride
($C_9H_8BrClO_3$; *56518-43-5*) see: Brodimoprim
4-bromo-3,5-dimethoxy-α-(methoxymethyl)cinnamonitrile
($C_{13}H_{14}BrNO_3$; *56518-39-9*) see: Brodimoprim
4-bromo-3,5-dimethoxy-α-(morpholinomethylene)-hydrocinnamonitrile
($C_{16}H_{19}BrN_2O_3$; *65566-19-0*) see: Brodimoprim
bromo(2,5-dimethoxy-3,4,6-trimethylphenyl)magnesium
($C_{11}H_{15}BrMgO_2$; *73127-73-8*) see: Troglitazone
2-bromo-*N,N*-dimethylacetamide
(C_4H_8BrNO; *5468-77-9*) see: Camostat
1-bromo-3,5-dimethyladamantane
($C_{12}H_{19}Br$; *941-37-7*) see: Memantine
(*Z*)-(*S*)-7-bromo-2-(2,2-dimethylcyclopropanecarboxamido)-2-heptenoic acid
($C_{13}H_{20}BrNO_3$; *78834-80-7*) see: Cilastatin
1-bromo-6,6-dimethyl-2-hepten-4-yne
($C_9H_{13}Br$; *126764-15-6*) see: Terbinafine
2-bromo-*N*-(2,6-dimethylphenyl)butanamide
($C_{12}H_{16}BrNO$; *53984-81-9*) see: Etidocaine
5-bromo-2,2-dimethyl-4-phenyl-1,3-dioxane
($C_{12}H_{15}BrO_2$; *36808-10-3*) see: Chloramphenicol
2-bromo-*N*-(2,6-dimethylphenyl)propanamide
($C_{11}H_{14}BrNO$; *41708-73-0*) see: Tocainide
3-bromo-*N,N*-dimethyl-1-propanamine
($C_5H_{12}BrN$; *53929-74-1*) see: Rizatriptan benzoate
2-bromo-6-(1,3-dioxolan-2-yl)pyridine
($C_8H_8BrNO_2$; *34199-87-6*) see: Acrivastine
4-bromo-2,2-diphenylbutyric acid
($C_{16}H_{15}BrO_2$; *37742-98-6*) see: Loperamide
4-bromo-2,2-diphenylbutyronitrile
($C_{16}H_{14}BrN$; *39186-58-8*) see: Diphenoxylate; Piritramide
4-bromo-2,2-diphenylbutyryl chloride
($C_{16}H_{14}BrClO$; *50650-44-7*) see: Loperamide
(5*R*,6*S*,9α,11α,13*E*,15*S*)-5-bromo-6,9-epoxy-11,15-bis[(tetrahydro-2*H*-pyran-2-yl)oxy]prost-13-en-1-oic acid
($C_{30}H_{49}BrO_7$) see: Epoprostenol
2-bromoethanesulfonic acid
($C_2H_5BrO_3S$; *26978-65-4*) see: Mesna
2-bromoethanol
(C_2H_5BrO; *540-51-2*) see: Doxefazepam; Miltefosine
(*E*)-5-(2-bromoethenyl)-1-(2,3,5-tri-*O*-acetyl-β-D-arabinofuranosyl)-2,4(1*H*,3*H*)-pyrimidinedione
($C_{17}H_{19}BrN_2O_9$; *87877-27-8*) see: Sorivudine
4-(2-bromoethoxy)benzoyl chloride
($C_9H_8BrClO_2$; *51616-10-5*) see: Raloxifene hydrochloride
(2-bromo-1-ethoxyethyl)benzene
($C_{10}H_{13}BrO$; *6589-30-6*) see: Eprazinone
1-(2-bromoethoxy)-2-methoxybenzene
($C_9H_{11}BrO_2$; *4463-59-6*) see: Amosulalol
[4-(2-bromoethoxy)phenyl][6-methoxy-2-(4-methoxyphenyl)benzo[*b*]thien-3-yl]methanone
($C_{25}H_{21}BrO_4S$; *170636-68-7*) see: Raloxifene hydrochloride
1-[4-(2-bromoethoxy)phenyl]-1-propanone
($C_{11}H_{13}BrO_2$; *34645-63-1*) see: Fenalcomine
2-bromoethyl acetate
($C_4H_7BrO_2$; *927-68-4*) see: Fluphenazine
2-(1-bromoethyl)benzo[*b*]thiophene
($C_{10}H_9BrS$; *155205-54-2*) see: Zileuton
2-(1-bromoethyl)-2-(5-bromo-6-methoxy-2-naphthalenyl)-1,3-dioxolane-4,5-dicarboxylic acid
($C_{18}H_{16}Br_2O_7$) see: Naproxen
1-(2-bromoethyl)-2,5-dimethoxy-3,4,6-trimethylbenzene
($C_{13}H_{19}BrO_2$; *84071-98-7*) see: Troglitazone
5-(2-bromoethyl)-2,2-dimethyl-1,3-dioxane
($C_8H_{15}BrO_2$; *97845-58-4*) see: Penciclovir
4-(2-bromoethyl)-1-ethyl-3,3-diphenyl-2-pyrrolidinone
($C_{20}H_{22}BrNO$; *3192-92-5*) see: Doxapram
3-(2-bromoethyl)-1*H*-indole
($C_{10}H_{10}BrN$; *3389-21-7*) see: Indoramin
2-bromoethyl isothiocyanate
(C_3H_4BrNS; *1483-41-6*) see: Levamisole
(4*R*,5*R*)-2-(1-bromoethyl)-2-(6-methoxy-2-naphthalenyl)-1,3-dioxolane-4,5-dicarboxylic acid
($C_{18}H_{17}BrO_7$) see: Naproxen
(5-bromo-3-ethyl-4-oxo-2-thiazolidinylidene)acetic acid ethyl ester
($C_9H_{12}BrNO_3S$; *82760-32-5*) see: Piprozolin
1-(1-bromoethyl)-3-phenoxybenzene
($C_{14}H_{13}BrO$; *32852-94-1*) see: Fenoprofen
[4-(1-bromoethyl)phenyl]-2-thienylmethanone
($C_{13}H_{11}BrOS$; *52779-83-6*) see: Suprofen
2-(2-bromoethyl)-1,3-propanediol diacetate
($C_9H_{13}BrO_4$; *126589-82-0*) see: Famciclovir
2-(2-bromoethyl)pyridine
(C_7H_8BrN; *39232-04-7*) see: Betahistine
7-(2-bromoethyl)theophylline
($C_9H_{11}BrN_4O_2$; *23146-05-6*) see: Cafedrine; Pimefylline
9-bromofluorene
($C_{13}H_9Br$; *1940-57-4*) see: Hexafluronium bromide
1-bromo-4-fluorobenzene
(C_6H_4BrF; *460-00-4*) see: Paroxetine
2-bromo-*N*-[2-(2-fluorobenzoyl)phenyl]acetamide
($C_{15}H_{11}BrFNO_2$; *1894-70-8*) see: Flunitrazepam
***N*-[4-bromo-2-(2-fluorobenzoyl)phenyl]-2-[(2-hydroxyethyl)amino]acetamide**
($C_{17}H_{16}BrFN_2O_3$; *71980-88-6*) see: Haloxazolam
4-bromo-2-fluorobiphenyl
($C_{12}H_8BrF$; *41604-19-7*) see: Flurbiprofen
bromo(2-fluoro[1,1'-biphenyl]-4-yl)magnesium
($C_{12}H_8BrFMg$; *76699-46-2*) see: Flurbiprofen
16β-bromo-6α-fluoro-17,21-dihydroxypregn-4-ene-3,20-dione diacetate
($C_{25}H_{32}BrFO_6$; *2561-13-9*) see: Fludroxycortide
1-bromo-2-fluoroethane
(C_2H_4BrF; *762-49-2*) see: Fleroxacin; Flutropium bromide

2-bromo-3-(1-methylethoxy)-2-propenal
($C_6H_9BrO_2$; *155272-73-4*) see: Eprosartan
5-(2-bromomethyl)-2-hydroxy-1,3,2-dioxaphosphoran 2-oxide
($C_5H_{10}BrO_4P$) see: Penciclovir
4-bromomethyl-5-methyl-2-oxo-1,3-dioxole
($C_5H_5BrO_3$; *80715-22-6*) see: Lenampicillin
bromomethyl 4-methylphenyl ketone
(C_9H_9BrO; *619-41-0*) see: Zolpidem
3-(bromomethyl)-1-methylpiperidine
($C_7H_{14}BrN$; *41886-04-8*) see: Pecazine
[2-[3-(bromomethyl)-5-methyl-4*H*-1,2,4-triazol-4-yl]-5-chlorophenyl]phenylmethanone
($C_{17}H_{13}BrClN_3O$; *38150-28-6*) see: Alprazolam
α-(bromomethyl)-4-nitrobenzenemethanol
($C_8H_8BrNO_3$; *19922-82-8*) see: Nifenalol
2-(bromomethyl)-4-[(phenylmethoxy)methyl]-1,3-dioxolane
($C_{12}H_{15}BrO_3$; *92905-04-9*) see: Domiodol
2-bromo-*N*-(2-methylphenyl)propanamide
($C_{10}H_{12}BrNO$; *19397-79-6*) see: Prilocaine
9-bromo-4-(1-methyl-4-piperidinyl)-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene-4-ol
($C_{19}H_{20}BrNOS$) see: Ketotifen
10-bromo-4-(1-methyl-4-piperidinyl)-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene-4-ol
($C_{19}H_{20}BrNOS$; *59776-37-3*) see: Ketotifen
9α-bromo-16β-methylprednisolone 21-acetate
($C_{24}H_{31}BrO_6$; *4735-65-3*) see: Betamethasone
6-(bromomethyl)-2,4-pteridinediamine monohydrobromide
($C_7H_8Br_2N_6$; *52853-40-4*) see: Methotrexate
4-(bromomethyl)-2(1*H*)-quinolinone
($C_{10}H_8BrNO$; *4876-10-2*) see: Rebamipide
4-bromo-α-methylstyrene
(C_9H_9Br; *6888-79-5*) see: Bromperidol
2-bromo-4'-(methylsulfonyl)acetophenone
($C_9H_9BrO_3S$; *50413-24-6*) see: Rofecoxib; Zolimidine
2-bromo-4'-methylsulfonylacetophenone
see under 2-bromo-4'-(methylsulfonyl)acetophenone
α-bromo-3-methylsulfonylaminoacetophenone
($C_9H_{10}BrNO_3S$; *2065-04-5*) see: Amidephrine mesilate
5-bromo-3-(1-methyl-1,2,3,6-tetrahydro-4-pyridinyl)-1*H*-indole
($C_{14}H_{15}BrN_2$; *116480-53-6*) see: Naratriptan
2-bromo-4'-methylvalerophenone
($C_{12}H_{15}BrO$) see: Pyrovalerone
6-bromo-2-naphthol
($C_{10}H_7BrO$; *15231-91-1*) see: Naproxen
5-bromonicotinic acid
($C_6H_4BrNO_2$; *20826-04-4*) see: Nicergoline; Timepidium bromide
5-bromonicotinoyl chloride
($C_6H_3BrClNO$; *39620-02-5*) see: Nicergoline
2-bromo-4'-nitroacetophenone
($C_8H_6BrNO_3$; *99-81-0*) see: Chloramphenicol; Clenbuterol; Nifenalol
4'-bromo-3'-nitroacetophenone
($C_8H_6BrNO_3$; *18640-58-9*) see: Flurbiprofen
2-bromo-1-nitrobenzene
($C_6H_4BrNO_2$; *577-19-5*) see: Dibenzepine; Nimesulide
bromonitromethane
(CH_2BrNO_2; *563-70-2*) see: Trovafloxacin mesilate
2-bromo-1-[3-nitro-4-(phenylmethoxy)phenyl]ethanone
($C_{15}H_{12}BrNO_4$; *43229-01-2*) see: Formoterol
α-bromooctanoic acid
($C_8H_{15}BrO_2$; *2623-82-7*) see: Orlistat
α-bromooctanoyl chloride
($C_8H_{14}BrClO$; *42768-44-5*) see: Orlistat
9-bromo-4-oxo-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene
($C_{13}H_7BrOS$; *57568-63-5*) see: Ketotifen
10-bromo-4-oxo-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene
($C_{13}H_7BrOS$; *34580-11-5*) see: Ketotifen
5-(2-bromo-1-oxobutyl)-8-hydroxy-2(1*H*)-quinolinone
($C_{13}H_{12}BrNO_3$; *59827-93-9*) see: Procaterol
7-bromo-2-oxoheptanoic acid
($C_7H_{11}BrO_3$; *107872-93-5*) see: Cilastatin
(3*R*)-3-[(2-bromo-1-oxooctyl)oxy]tetradecanoic acid methyl ester
($C_{23}H_{43}BrO_4$) see: Orlistat
3-[(2-bromo-1-oxopropyl)amino]-4-methyl-2-thiophenecarboxylic acid methyl ester
($C_{10}H_{12}BrNO_3S$) see: Carticaine
10-(2-bromo-1-oxopropyl)-10*H*-phenothiazine
($C_{15}H_{12}BrNOS$; *4091-90-1*) see: Propyramazine bromide
5-bromopentanoic acid
($C_5H_9BrO_2$; *2067-33-6*) see: Iloprost
1-bromo-4-pentanone
(C_5H_9BrO; *3884-71-7*) see: Chloroquine
2-(5-bromopentyl)-1,3-dithiane-2-carboxylic acid ethyl ester
($C_{12}H_{21}BrO_2S_2$; *107871-16-9*) see: Cilastatin
1-(5-bromopentyl)-1-methyl-7-methoxy-2-tetralone
($C_{17}H_{23}BrO_2$; *42263-81-0*) see: Dezocine
α-bromophenylacetonitrile
(C_8H_6BrN; *5798-79-8*) see: Amiphenazole
α-(4-bromophenyl)-α-[2-(dimethylamino)ethyl]-3-pyridinemethanol
($C_{16}H_{19}BrN_2O$; *41910-98-9*) see: Zimeldine
2-(4-bromophenyl)-4-dimethylamino-2-(2-pyridyl)butyronitrile
($C_{17}H_{18}BrN_3$; *65676-22-4*) see: Brompheniramine
bromo(2-phenylethyl)magnesium
(C_8H_9BrMg; *3277-89-2*) see: Enalapril
(4-bromophenyl)hydrazine monohydrochloride
($C_6H_8BrClN_2$; *622-88-8*) see: Bromazepam
4-(4-bromophenyl)-4-hydroxypiperidine
($C_{11}H_{14}BrNO$; *57988-58-6*) see: Bromperidol
2-bromo-1-phenyl-1,3-propanediol
($C_9H_{11}BrO_2$; *36808-14-7*) see: Chloramphenicol
(4-bromophenyl)(2-pyridyl)acetonitrile
($C_{13}H_9BrN_2$; *85750-24-9*) see: Brompheniramine
4-(4-bromophenyl)-1,2,3,6-tetrahydropyridine
($C_{11}H_{12}BrN$; *91347-99-8*) see: Bromperidol
5-(2-bromophenyl)-1*H*-tetrazole
($C_7H_5BrN_4$; *73096-42-1*) see: Losartan potassium
3-bromophthalide
($C_8H_5BrO_2$; *6940-49-4*) see: Talampicillin

3-butene-1,2-diol diacetate
($C_8H_{12}O_4$; *18085-02-4*) see: Retinol

(*E*)-2-butenyltriphenylstannane
($C_{22}H_{22}Sn$; *29000-09-7*) see: Tacrolimus

4'-butoxyacetophenone
($C_{12}H_{16}O_2$; *5736-89-0*) see: Bufexamac; Dyclonine

4-butoxybenzyl bromide
($C_{11}H_{15}BrO$; *2417-74-5*) see: Butropium bromide

***tert*-butoxybis(dimethylamino)methane**
($C_9H_{22}N_2O$; *5815-08-7*) see: Ziprasidone hydrochloride

***N*-*tert*-butoxycarbonyl-L-alanine**
($C_8H_{15}NO_4$; *15761-38-3*) see: Enalapril; Romurtide

***N*-*tert*-butoxycarbonyl-L-alanyl-L-alanine**
($C_{11}H_{20}N_2O_5$; *27317-69-7*) see: Alatrofloxacin mesilate

***tert*-butoxycarbonyl-L-alanyl-D-isoglutamine**
($C_{13}H_{21}N_3O_6$; *18814-50-1*) see: Romurtide

(1α,5α,6α)-6-*tert*-butoxycarbonylamino-3-azabicyclo-[3.1.0]hexane
($C_{10}H_{18}N_2O_2$; *134575-17-0*) see: Trovafloxacin mesilate

2-*tert*-butoxycarbonylamino-1-chloro-1-(2-thienyl)ethane
($C_{11}H_{16}ClNO_2S$; *102090-60-8*) see: Temocapril

3(*S*)-*tert*-butoxycarbonylamino-1,2(*S*)-epoxy-4-phenylbutane
($C_{15}H_{21}NO_3$; *98737-29-2*) see: Amprenavir

3-(*tert*-butoxycarbonylamino)-2-methoxypyridine
($C_{11}H_{16}N_2O_3$; *161117-83-5*) see: Nevirapine

(2*R*,3*S*)-3-*tert*-butoxycarbonylamino-3-phenyl-2-(2,2,2-trichloroethoxymethoxy)propionic acid
($C_{17}H_{22}Cl_3NO_6$; *145433-71-2*) see: Docetaxel

2-*tert*-butoxycarbonylamino-1-(2-thienyl)ethanol
($C_{11}H_{17}NO_3S$; *102090-59-5*) see: Temocapril

***S*-[2-*tert*-butoxycarbonylamino-1(*S*)-(2-thienyl)ethyl]-*N*-phthaloyl-L-cysteine benzhydryl ester**
($C_{35}H_{34}N_2O_6S_2$) see: Temocapril

(2*RS*,6*R*)-6-*tert*-butoxycarbonylamino-2-(2-thienyl)-5-oxoperhydro-1,4-thiazepine
($C_{14}H_{20}N_2O_3S_2$) see: Temocapril

***N*-*tert*-butoxycarbonyl-L-cysteine**
($C_8H_{15}NO_4S$; *20887-95-0*) see: Temocapril

(4*S*,5*R*)-3-*tert*-butoxycarbonyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxaldehyde
($C_{17}H_{23}NO_4$; *163010-82-0*) see: Docetaxel

(4*S*,5*R*)-3-*tert*-butoxycarbonyl-2,2-dimethyl-4-phenyl-5-oxazolidinecarboxylic acid
($C_{17}H_{23}NO_5$; *143527-70-2*) see: Docetaxel

(3*R*,4*S*)-1-*tert*-butoxycarbonyl-3-(1-ethoxyethoxy)-4-phenyl-2-azetidinone
($C_{18}H_{25}NO_5$; *201856-57-7*) see: Docetaxel

***N*-*tert*-butoxycarbonyl-*trans*-4-hydroxy-L-proline**
($C_{10}H_{17}NO_5$; *13726-69-7*) see: Fosinopril

***N*-(*N*^{6}-*tert*-butoxycarbonyl-L-lysyl)-L-proline**
($C_{16}H_{29}N_3O_5$; *4583-24-8*) see: Lisinopril

(*Z*)-2-((*tert*-butoxycarbonylmethoxyimino)-2-(2-formamidothiazol-4-yl)acetic acid
($C_{12}H_{15}N_3O_6S$; *68401-68-3*) see: Cefixime

(*Z*)-2-(1-*tert*-butoxycarbonyl-1-methylethoxyimino)-2-(2-tritylaminothiazol-4-yl)acetic acid
($C_{32}H_{33}N_3O_5S$; *68672-66-2*) see: Ceftazidime

***N*-*tert*-butoxycarbonyl-D-α-phenylglycine**
($C_{13}H_{17}NO_4$; *33125-05-2*) see: Cefaclor

***N*-*tert*-butoxycarbonylpiperidine-2(*S*)-carboxylic acid**
($C_{11}H_{19}NO_4$; *26250-84-0*) see: Tacrolimus

***N*-*tert*-butoxycarbonyl-*trans*-4-tosyloxy-L-proline**
($C_{17}H_{23}NO_7S$; *96314-28-2*) see: Fosinopril

3-butoxy-4-nitrobenzoic acid
($C_{11}H_{13}NO_5$; *72101-53-2*) see: Oxybuprocaine

3-butoxy-4-nitrobenzoic acid 2-(diethylamino)ethyl ester
($C_{17}H_{26}N_2O_5$; *10367-95-0*) see: Oxybuprocaine

3-butoxy-4-nitrobenzoic acid ethyl ester
($C_{13}H_{17}NO_5$) see: Oxybuprocaine

3-butoxy-4-nitrobenzoyl chloride
($C_{11}H_{12}ClNO_4$; *23442-21-9*) see: Oxybuprocaine

4-butoxyphenol
($C_{10}H_{14}O_2$; *122-94-1*) see: Pramocaine

(4-butoxyphenoxy)acetyl chloride
($C_{12}H_{15}ClO_3$; *54022-77-4*) see: Fenoxedil

2-(*p*-butoxyphenoxy)-2',5'-diethoxyacetanilide
($C_{22}H_{29}NO_5$; *27585-34-8*) see: Fenoxedil

4-butoxyphenylacetic acid
($C_{12}H_{16}O_3$; *4547-57-3*) see: Bufexamac

(4*S*,5*R*)-2-*tert*-butoxy-4-phenyl-5-(1-ethoxyethoxy)-4,5-dihydro-1,3-oxazin-6-one
($C_{18}H_{25}NO_5$) see: Docetaxel

4-[2-(4-butoxyphenyl)-1-thioxoethyl]morpholine
($C_{16}H_{23}NO_2S$; *55784-03-7*) see: Bufexamac

***tert*-butylacetate**
($C_6H_{12}O_2$; *540-88-5*) see: Atorvastatin calcium; Indinavir sulfate

***tert*-butylacetic anhydride**
($C_{12}H_{22}O_3$; *38965-26-3*) see: Dexamethasone *tert*-butylacetate; Triamcinolone hexacetonide

***tert*-butyl acetoacetate**
($C_8H_{14}O_3$; *1694-31-1*) see: Barnidipine; Cefixime; Fluvastatin sodium; Lercanidipine hydrochloride

***tert*-butylacetyl chloride**
($C_6H_{11}ClO$; *7065-46-5*) see: Prednisolone tebutate; Triamcinolone hexacetonide

***tert*-butylacetylene**
(C_6H_{10}; *917-92-0*) see: Terbinafine

***tert*-butyl alcohol**
see under *tert*-butanol

butylamine
($C_4H_{11}N$; *109-73-9*) see: Bamethan; Buclosamide; Butanilicaine; Carbutamide; Parsalmide; Tybamate

***tert*-butylamine**
($C_4H_{11}N$; *75-64-9*) see: Amfebutamone; Bitolterol; Bopindolol; Bucumolol; Budipine; Bufetolol; Bunitrolol; Bupranolol; Butofilolol; Carteolol; Celiprolol; Clenbuterol; Finasteride; Levobunolol; Mabuterol; Nadolol; Penbutolol; Perindopril; Saquinavir; Talinolol; Terodiline; Tertatolol; Tilisolol hydrochloride; Timolol; Tulobuterol; Xibenolol

4-(butylamino)benzoic acid
($C_{11}H_{15}NO_2$; *4740-24-3*) see: Tetracaine

2-*tert*-butylamino-3',4'-bis(*p*-toluoyloxy)acetophenone
($C_{28}H_{29}NO_5$; *47749-96-2*) see: Bitolterol

***N*-[4-[[[(butylamino)carbonyl]amino]sulfonyl]phenyl]-acetamide**
($C_{13}H_{19}N_3O_4S$; *6630-00-8*) see: Carbutamide

2-*tert*-butylamino-3',4'-dihydroxyacetophenone
($C_{12}H_{17}NO_3$; *105644-17-5*) see: Bitolterol

***tert*-butyldimethylsilyl chloride**
($C_6H_{15}ClSi$; *18162-48-6*) see: Calcipotriol; Montelukast sodium; Orlistat; Simvastatin; Tacrolimus

(*S*)-4-(*tert*-butyldimethylsilyloxy)-2-hydroxybutyl 2-naphthalenesulfonate
($C_{20}H_{24}O_5SSi$; *153011-61-1*) see: Orlistat

***tert*-butyldimethylsilyl trifluoromethanesulfonate**
($C_7H_{15}F_3O_3SSi$; *69739-34-0*) see: Gemcitabine; Tacrolimus

***tert*-butyldiphenylsilyl chloride**
($C_{16}H_{19}ClSi$; *58479-61-1*) see: Orlistat; Stavudine

2-(*tert*-butyldiphenylsilyloxymethyl)-5-acetoxy-1,3-oxathiolane
($C_{22}H_{28}O_4SSi$; *139757-72-5*) see: Lamivudine

2-(*tert*-butyldiphenylsilyloxymethyl)-5-oxo-1,3-oxathiolane
($C_{20}H_{24}O_3SSi$; *137125-19-0*) see: Lamivudine

***tert*-butyl (±)-*erythro*-(*E*)-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]-3,5-dihydroxyhept-6-enoate**
($C_{28}H_{34}FNO_4$; *129332-29-2*) see: Fluvastatin sodium

***tert*-butyl (±)-(*E*)-7-[3-(4-fluorophenyl)-1-isopropylindol-2-yl]-5-hydroxy-3-oxohept-6-enoate**
($C_{28}H_{32}FNO_4$) see: Fluvastatin sodium

2-butyl-4-formylimidazole
($C_8H_{12}N_2O$; *68282-49-5*) see: Eprosartan

4-[(2-butyl-5-formyl-1*H*-imidazol-1-yl)methyl]benzoic acid
($C_{16}H_{18}N_2O_3$; *152146-59-3*) see: Eprosartan

***tert*-butyl (3*S*,5*R*)-2-hexyl-3-hydroxy-5-[(tetrahydro-2*H*-pyran-2-yl)oxy]hexadecanoate**
($C_{31}H_{60}O_5$; *104801-65-2*) see: Orlistat

2-butyl-3-(4-hydroxybenzoyl)benzofuran
($C_{19}H_{18}O_3$; *52490-15-0*) see: Amiodarone

***tert*-butyl 5(*R*)-hydroxy-6-cyano-3-oxohexanoate**
($C_{11}H_{17}NO_4$; *125988-01-4*) see: Atorvastatin calcium

2-butyl-3-(4-hydroxy-3,5-diiodobenzoyl)benzofuran
($C_{19}H_{16}I_2O_3$; *1951-26-4*) see: Amiodarone

4-*tert*-butyl-3-hydroxy-2,6-dimethylbenzeneacetonitrile
($C_{14}H_{19}NO$; *55699-10-0*) see: Oxymetazoline

***tert*-butyl 2-hydroxyimino-3-oxobutyrate**
($C_8H_{13}NO_4$; *14352-65-9*) see: Cefixime

***tert*-butyl (4*R*,6*S*)-2-(6-hydroxymethyl-2,2-dimethyl-1,3-dioxan-4-yl)acetate**
($C_{13}H_{24}O_5$; *124655-09-0*) see: Atorvastatin calcium

***tert*-butyl (3*S*,5*R*)-3-hydroxy-2-[(*S*)-(4-methylphenyl)-sulfinyl]-5-[(tetrahydro-2*H*-pyran-2-yl)oxy]hexadecanoate**
($C_{32}H_{54}O_6S$; *104801-80-1*) see: Orlistat

***tert*-butyl [(1*S*,2*R*)-2-hydroxy-3-[(2-methylpropyl)amino]-1-(phenylmethyl)propyl]carbamate**
($C_{19}H_{32}N_2O_3$; *160232-08-6*) see: Amprenavir

***tert*-butyl [(1*S*,2*R*)-2-hydroxy-3-[(2-methylpropyl)[(4-nitrophenyl)sulfonyl]amino]-1-(phenylmethyl)propyl]-carbamate**
($C_{25}H_{35}N_3O_7S$; *191226-98-9*) see: Amprenavir

***N*-*tert*-butyl-2-[2(*R*)-hydroxy-4-phenyl-3(*S*)-phthalimidobutyl]decahydro-(4a*S*,8a*S*)-isoquinoline-3(*S*)-carboxamide**
($C_{32}H_{41}N_3O_4$; *136465-78-6*) see: Saquinavir

1-*tert*-butyl-4-hydroxy-4-phenylpiperidine
($C_{15}H_{23}NO$; *35116-84-8*) see: Budipine

***tert*-butyl (1*R*,2*S*)-2-hydroxy-1-phenyl-2-(2-thiazolyl)ethylcarbamate**
($C_{16}H_{20}N_2O_3S$; *163010-75-1*) see: Docetaxel

***tert*-butyl (*R*)-3-hydroxytetradecanoate**
($C_{18}H_{36}O_3$; *79816-65-2*) see: Orlistat

***tert*-butyl hypobromite**
(C_4H_9BrO; *1611-82-1*) see: Amixetrine; Eprazinone; Eprozinol; Zipeprol

2-butylimidazole
($C_7H_{12}N_2$; *50790-93-7*) see: Eprosartan

butyl iodide
(C_4H_9I; *542-69-8*) see: Eprosartan

butyl isocyanate
(C_5H_9NO; *111-36-4*) see: Tolbutamide; Tybamate

***tert*-butylisocyanide**
(C_5H_9N; *7188-38-7*) see: Pirbuterol

butyllithium
(C_4H_9Li; *109-72-8*) see: Acrivastine; Fluconazole; Tirofiban hydrochloride

butylmagnesium bromide
(C_4H_9BrMg; *693-03-8*) see: Fenipentol

***tert*-butylmagnesium chloride**
(C_4H_9ClMg; *677-22-5*) see: Buprenorphine

butylmalonic acid diethyl ester
($C_{11}H_{20}O_4$; *133-08-4*) see: Mofebutazone; Oxyphenbutazone; Phenylbutazone

2-butyl-3-(4-methoxybenzoyl)benzofuran
($C_{20}H_{20}O_3$; *83790-87-8*) see: Amiodarone

***tert*-butyl 4-methoxybenzyl sulfide**
($C_{12}H_{18}OS$; *178431-33-9*) see: Raloxifene hydrochloride

(*Z*)-*tert*-butyl 2-(methoxycarbonylmethoxyimino)-3-oxobutyrate
($C_{11}H_{17}NO_6$; *84080-68-2*) see: Cefixime

17,21-(1-butyl-1-methoxymethylenedioxy)-3,20-dioxo-9-fluoro-11β-hydroxy-16α-methyl-1,4-pregnadiene
($C_{28}H_{39}FO_6$; *1062-64-2*) see: Dexamethasone valerate

5-*tert*-butyl 3-methyl 2,6-dimethyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3,5-dicarboxylate
($C_{20}H_{24}N_2O_6$; *103521-70-6*) see: Lercanidipine hydrochloride

***tert*-butyl (4*S*)-1-methyl-2-oxoimidazolidine-4-carboxylate**
($C_9H_{16}N_2O_3$; *83056-79-5*) see: Imidapril

2-*sec*-butyl-2-methylpropane-1,3-diol
($C_8H_{18}O_2$; *813-60-5*) see: Mebutamate

***tert*-butyl (4*S*)-1-methyl-3-[(2*R*)-2-(*p*-toluenesulfonyloxy)propionyl]-2-oxoimidazolidine-4-carboxylate**
($C_{19}H_{26}N_2O_7S$; *130368-70-6*) see: Imidapril

butyl nitrite
($C_4H_9NO_2$; *544-16-1*) see: Metaraminol; Minocycline

***tert*-butyl nitrite**
($C_4H_9NO_2$; *540-80-7*) see: Vincamine

***tert*-butyl 2-(3-nitrobenzylidene)acetoacetate**
($C_{15}H_{17}NO_5$; *103295-96-1*) see: Lercanidipine hydrochloride

***tert*-butyl octahydro-6,10-dioxo-9(*S*)-phthalimido-6*H*-pyridazo[1,2-*a*][1,2]diazepine-1(*S*)-carboxylate**
($C_{22}H_{25}N_3O_6$; *106928-72-7*) see: Cilazapril

***N*-*tert*-butyl-1-[(*R*)-oxiranylmethyl]-4-*tert*-butoxycarbonyl-piperazine-2(*S*)-carboxamide**
($C_{17}H_{31}N_3O_4$; *158380-45-1*) see: Indinavir sulfate

C

carbamimidic acid methyl ester
($C_2H_6N_2O$; *2440-60-0*) see: Azacitidine

carbamoyl chloride
(CH_2ClNO; *463-72-9*) see: 2-Thiophenecarboxylic acid

9-carbamoyl-9-(2-cyanoethyl)fluorene
($C_{17}H_{14}N_2O$; *79156-94-8*) see: Indecainide

4-carbamoyl-5-diazonio-N^1-imidazolide
($C_4H_3N_5O$; *26230-33-1*) see: Dacarbazine

N^5-carbamoyl-D-ornithine
($C_6H_{13}N_3O_3$; *13594-51-9*) see: Cetrorelix

4-carbamoyl-4-piperidinopiperidine
($C_{11}H_{21}N_3O$; *39633-82-4*) see: Carpipramine; Clocapramine; Mosapramine; Pipamperone; Piritramide

carbenicillin benzyl ester
($C_{24}H_{24}N_2O_6S$; *3973-06-6*) see: Carbenicillin

3-carbethoxyamino-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine
($C_{17}H_{18}N_2O_2$; *78816-40-7*) see: Tiracizine

***N*-carbethoxyphthalimide**
($C_{11}H_9NO_4$; *22509-74-6*) see: Gusperimus trihydrochloride; Thalidomide

***N*-carbethoxypiperazine**
($C_7H_{14}N_2O_2$; *120-43-4*) see: Amoxapine; Buclizine; Cetirizine; Enoxacin

DL-carbidopa
($C_{10}H_{14}N_2O_4$; *302-53-4*) see: Carbidopa

***N*-carbobenzyloxynortropine**
($C_{15}H_{19}NO_3$; *109840-91-7*) see: Flutropium bromide

***N*-carbobenzyloxynortropine benzilate**
($C_{29}H_{29}NO_5$) see: Flutropium bromide

carbon dioxide
(CO_2; *124-38-9*) see: *p*-Aminosalicylic acid; Gentisic acid; Indecainide; Lanotrigine; Salicylic acid; Troglitazone; L-Tryptophan

carbon disulfide
(CS_2; *75-15-0*) see: Cefotetan; Dihydralazine; Disulfiram; Ethoxzolamide; Flomoxef; Lanoconazole; Malotilate; Ranitidine; Sulbentine; Tibezonium iodide; Timiperone; Tinazoline hydrochloride; Tiocarlide

carbonic acid [2a*R*-(2aα,4β,4aβ,6β,9α,11α,12α,12aα,12bα)]-12b-(acetyloxy)-12-(benzoyloxy)-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-9,11-dihydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1*H*-cyclodeca[3,4]benz[1,2-*b*]oxete-4,6-diyl bis(2,2,2-trichloroethyl) ester
($C_{35}H_{38}Cl_6O_{14}$; *95603-44-4*) see: Docetaxel

carbonic acid 7-chloro-2,3-dihydro-1-methyl-2-oxo-5-phenyl-1*H*-1,4-benzodiazepin-3-yl phenyl ester
($C_{23}H_{17}ClN_2O_4$; *36111-95-2*) see: Camazepam

[5*R*-[5α,5aβ,8aα,9β(*R)]]-carbonic acid 4-[9-[(2,3-di-*O*-acetyl-4,6-*O*-ethylidene-β-D-glucopyranosyl)oxy]-5,5a,6,8,8a,9-hexahydro-6-oxofuro[3',4':6,7]naphtho[2,3-*d*]-1,3-dioxol-5-yl]-2,6-dimethoxyphenyl phenylmethyl ester**
($C_{41}H_{42}O_{17}$; *131234-65-6*) see: Etoposide

[5*R*-(5α,5aβ,8aα,9β)]-carbonic acid 4-[5,5a,6,8,8a,9-hexahydro-6-oxo-9-[(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)oxy]furo[3',4':6,7]naphtho[2,3-*d*]-1,3-dioxol-5-yl]-2,6-dimethoxyphenyl phenylmethyl ester
($C_{43}H_{44}O_{19}$; *23362-12-1*) see: Teniposide

carbon monoxide
(CO; *630-08-0*) see: Ibuprofen; Retinol; Rofecoxib

carbonochloridic acid (4-nitrophenyl)methyl ester
($C_8H_6ClNO_4$; *4457-32-3*) see: Meropenem

carbonochloridothioic acid *O*-2-naphthalenyl ester
($C_{11}H_7ClOS$; *10506-37-3*) see: Tolnaftate

carbonocyanidimidic acid methyl ester
($C_3H_4N_2O$; *13369-03-4*) see: Sulfametrole

***N*,*N*'-[carbonylbis(iminosulfonyl-4,1-phenylene)]bis[acetamide]**
($C_{17}H_{18}N_4O_7S_2$; *115036-71-0*) see: Carbutamide

1,1'-carbonyldiimidazole
($C_7H_6N_4O$; *530-62-1*) see: Tropisetron

3,4-carbonyldioxycinnamic acid
($C_{10}H_6O_5$; *5728-81-4*) see: Cynarine

3-carboxamido-5-vinyl-2-pyrrolidone
($C_7H_{10}N_2O_2$; *71107-19-2*) see: Vigabatrin

α-[(2-carboxy-4-acetylphenyl)oxy]-γ-butyrolactone
($C_{13}H_{12}O_6$; *72492-92-3*) see: Spizofurone

***N*-carboxy-L-alanine anhydride**
($C_4H_5NO_3$; *2224-52-4*) see: Enalapril

4-carboxybenzenesulfonyl chloride
($C_7H_5ClO_4S$; *10130-89-9*) see: Probenecid

4-carboxybutylidenetriphenylphosphorane sodium salt
($C_{23}H_{22}NaO_2P$; *41723-91-5*) see: Iloprost

3-carboxy-5-(4-chlorobenzoyl)-1,4-dimethyl-1*H*-pyrrole-2-acetic acid
($C_{16}H_{14}ClNO_5$; *33369-28-7*) see: Zomepirac

2'-carboxy-4-chloro-3-nitrobenzophenone
($C_{14}H_8ClNO_5$; *85-54-1*) see: Chlortalidone

[6*R*-[6α,7β(*Z*)]]-1-[[2-carboxy-7-[[[[2-(1,1-dimethylethoxy)-1,1-dimethyl-2-oxoethoxy]imino][2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]pyridinium inner salt
($C_{45}H_{44}N_6O_7S_2$; *73547-69-0*) see: Ceftazidime

(*E*)-5-[[4-[[(2-carboxyethyl)amino]carbonyl]phenyl]azo]-2-hydroxybenzoic acid
($C_{17}H_{15}N_3O_6$; *80573-04-2*) see: Balsalazide sodium

DL-(1-carboxyethyl)oxamic acid diethyl ester
($C_9H_{15}NO_5$; *23460-73-3*) see: Pyridoxine

4-carboxy-3-hydroxy-5-mercaptoisothiazole trisodium salt
($C_4NNa_3O_3S_2$; *76857-14-2*) see: Cefotetan

1-(*N*-carboxymethyl-*N*-cyclohexylcarbonylaminomethyl)-1,2,3,4-tetrahydroisoquinoline
($C_{19}H_{26}N_2O_3$; *60744-44-7*) see: Praziquantel

8-carboxy-3-methylflavone
($C_{17}H_{12}O_4$; *3468-01-7*) see: Flavoxate

7a-carboxymethylpyrrolizine
($C_9H_{15}NO_2$; *94794-30-6*) see: Pilsicainide

17β-carboxy-5-oxo-*A*-nor-3,5-secoandrostan-3-oic acid
($C_{19}H_{28}O_5$; *76763-14-9*) see: Finasteride

(11β)-21-(3-carboxy-1-oxopropoxy)-11,17-dihydroxypregna-1,4-diene-3,20-dione
($C_{25}H_{32}O_8$; *2920-86-7*) see: Prednisolone sodium succinate

4-[[(2-carboxyphenyl)carbonyl]amino]-*N*-(aminocarbonyl)benzenesulfonamide
($C_{15}H_{13}N_3O_6S$) see: Sulfaloxic acid

carbromal
($C_7H_{13}BrN_2O_2$; *77-65-6*) see: Acecarbromal

L-carnitinamide D-camphorate
($C_{17}H_{32}N_2O_6$; *73804-72-5*) see: Carnitine

DL-carnitinamide chloride
($C_7H_{17}ClN_2O_2$; *5261-99-4*) see: Carnitine

2-[(chloroacetyl)amino]-α-(methoxyimino)-4-thiazoleacetic acid
($C_8H_8ClN_3O_4S$; *60846-17-5*) see: Ceftriaxone

2-[(chloroacetyl)amino]-3-methylbenzoic acid methyl ester
($C_{11}H_{12}ClNO_3$; *77093-79-9*) see: Tolycaine

[6*R*-[6α,7β(*Z*)]]-7-[[[2-[(chloroacetyl)amino]-4-thiazolyl](methoxyimino)acetyl]amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{18}H_{18}ClN_9O_6S_3$; *65336-94-9*) see: Cefmenoxime

[6*R*-[6α,7β(*Z*)]]-7-[[[2-[(chloroacetyl)amino]-4-thiazolyl](methoxyimino)acetyl]amino]-8-oxo-3-[[(1,2,5,6-tetrahydro-2-methyl-5,6-dioxo-1,2,4-triazin-3-yl)thio]methyl]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{20}H_{19}ClN_8O_8S_3$; *74578-70-4*) see: Ceftriaxone

chloroacetyl chloride
($C_2H_2Cl_2O$; *79-04-9*) see: Butanilicaine; Carumonam; Ceftriaxone; Chlordiazepoxide; Cinolazepam; Clemizole; Diazepam; Erdosteine; Fenoverine; Fenticonazole; Fluconazole; Lidocaine; Lidoflazine; Lorazepam; Midodrine; Nefopam; Nordazepam; Oxetacaine; Pirenzepine; Piroxicam; Praziquantel; Prednisolamate; Propacetamol; Pyrrocaine; Reboxetine; Tiracizine; Tolycaine; Tromantadine; Viloxazine; Ziprasidone hydrochloride

11-(chloroacetyl)-5,11-dihydro-6*H*-pyrido[2,3-*b*][1,4]benzodiazepin-6-one
($C_{14}H_{10}ClN_3O_2$; *28797-48-0*) see: Pirenzepine

10-(chloroacetyl)-10*H*-phenothiazine
($C_{14}H_{10}ClNOS$; *786-50-5*) see: Fenoverine

***N*-[[2-(chloroacetyl)-1,2,3,4-tetrahydro-1-isoquinolinyl]methyl]cyclohexanecarboxamide**
($C_{19}H_{25}ClN_2O_2$; *104916-35-0*) see: Praziquantel

9-chloroacridine
($C_{13}H_8ClN$; *1207-69-8*) see: Amsacrine

2-chloroacrylonitrile
(C_3H_2ClN; *920-37-6*) see: Dinoprost

2-chloroadenosine
($C_{10}H_{12}ClN_5O_4$; *146-77-0*) see: Cladribine

β-chloro-L-alanine
($C_3H_6ClNO_2$; *2731-73-9*) see: Oxitriptan

3-chloro-D-alanine methyl ester hydrochloride
($C_4H_9Cl_2NO_2$; *112346-82-4*) see: Cycloserine

(3β,17β)-17-(chloroamino)androst-5-en-3-ol
($C_{19}H_{30}ClNO$) see: Prasterone

5-chloro-2-aminobenzenesulfamide
($C_6H_7ClN_2O_2S$; *5790-69-2*) see: Diazoxide

4-chloro-β-(aminomethyl)benzenepropanoic acid ethyl ester
($C_{12}H_{16}ClNO_2$; *232597-00-1*) see: Baclofen

2-chloro-3-aminopyridine
($C_5H_5ClN_2$; *6298-19-7*) see: Pirenzepine

2-chloroaniline
(C_6H_6ClN; *95-51-2*) see: Mecloqualone

3-chloroaniline
(C_6H_6ClN; *108-42-9*) see: Chloroquine; Chlorothiazide

4-chloroaniline
(C_6H_6ClN; *106-47-8*) see: Acetarsol; Alprazolam; Diazepam; Efavirenz; Flunitrazepam; Flutoprazepam; Medazepam; Quazepam

4-chloroaniline hydrochloride
($C_6H_7Cl_2N$; *20265-96-7*) see: Chlorhexidine; Proguanil

8-chloroazatadine
($C_{20}H_{21}ClN_2$; *38092-89-6*) see: Desloratadine; Loratadine

***o*-chlorobenzaldehyde**
(C_7H_5ClO; *89-98-5*) see: Amlodipine; Clobenzorex; Clopidogrel hydrogensulfate; Cloxacillin

4-chlorobenzaldehyde
(C_7H_5ClO; *104-88-1*) see: Baclofen; Carbinoxamine; Chlormezanone; Chloropyramine; Nicoclonate

2-chlorobenzaldehyde oxime
(C_7H_6ClNO; *3717-28-0*) see: Cloxacillin

chlorobenzene
(C_6H_5Cl; *108-90-7*) see: Chlortalidone; Mitotane; Setastine

4-chlorobenzenediazonium chloride
($C_6H_4Cl_2N_2$; *2028-74-2*) see: Abacavir; Acetarsol; Azimilide hydrochloride; Diazepam

4-chlorobenzenesulfonamide
($C_6H_6ClNO_2S$; *98-64-6*) see: Chlorpropamide

4-chlorobenzhydrol
($C_{13}H_{11}ClO$; *119-56-2*) see: Cloperastine

2-chlorobenzhydryl chloride
($C_{13}H_{10}Cl_2$; *56961-47-8*) see: Chlorbenzoxamine

4-chlorobenzhydryl chloride
($C_{13}H_{10}Cl_2$; *134-83-8*) see: Buclizine; Cetirizine; Chlorcyclizine; Clobenztropine

1-(4-chlorobenzhydryl)piperazine
($C_{17}H_{19}ClN_2$; *303-26-4*) see: Buclizine; Cetirizine; Etodroxizine; Hydroxyzine; Meclozine

2-chlorobenzimidazole
($C_7H_5ClN_2$; *4857-06-1*) see: Emedastine

2-chlorobenzoic acid
($C_7H_5ClO_2$; *118-91-2*) see: Amsacrine; Diclofenac; Flufenamic acid; Thioridazine

4-chlorobenzoic acid
($C_7H_5ClO_2$; *74-11-3*) see: Bumetanide; Clopamide; Iodamide; Progabide; Tripamide

4-chlorobenzoic acid (3-carboxy-1-methylpropylidene)(4-methoxyphenyl)hydrazide
($C_{19}H_{19}ClN_2O_4$; *69038-50-2*) see: Indometacin

4-chlorobenzoic acid ethylidene(4-methoxyphenyl)-hydrazide
($C_{16}H_{15}ClN_2O_2$; *13815-59-3*) see: Indometacin

4-chlorobenzoic acid 4-fluorophenyl ester
($C_{13}H_8ClFO_2$; *29558-88-1*) see: Progabide

4-chlorobenzoic acid 1-(4-methoxyphenyl)hydrazide hydrochloride
($C_{14}H_{14}Cl_2N_2O_2$; *16390-18-4*) see: Indometacin

4-chlorobenzoic acid methyl ester
($C_8H_7ClO_2$; *1126-46-1*) see: Moclobemide

2-chlorobenzoic acid potassium salt
($C_7H_4ClKO_2$; *16463-38-0*) see: Thiethylperazine

2-chlorobenzonitrile
(C_7H_4ClN; *873-32-5*) see: Ketamine; Repaglinide

3-chlorobenzonitrile
(C_7H_4ClN; *766-84-7*) see: Amfebutamone

2-chlorobenzophenone
($C_{13}H_9ClO$; *5162-03-8*) see: Clofedanol; Clotrimazole

4-chlorobutyryl chloride
($C_4H_6Cl_2O$; *4635-59-0*) see: Bromperidol; Fexofenadine hydrochloride; Haloperidol

8-chlorocaffeine
($C_8H_9ClN_4O_2$; *4921-49-7*) see: Cafaminol

α-(chlorocarbonyl)benzeneacetic acid
($C_9H_7ClO_3$; *41393-81-1*) see: Carfecillin

α-(chlorocarbonyl)benzeneacetic acid phenyl ester
($C_{15}H_{11}ClO_3$; *27031-18-1*) see: Carfecillin

α-(chlorocarbonyl)benzenemethanesulfonic acid
($C_8H_7ClO_4S$; *40125-73-3*) see: Sulbenicillin

(*S*)-γ-(chlorocarbonyl)-1,3-dihydro-1,3-dioxo-2*H*-isoindole-2-butanoic acid phenylmethyl ester
($C_{20}H_{16}ClNO_5$; *88767-16-2*) see: Cilazapril

1-chlorocarbonyl-4-methylpiperazine
($C_6H_{11}ClN_2O$; *39539-66-7*) see: Zopiclone

3-(chlorocarbonyl)-5-nitrobenzoic acid methyl ester
($C_9H_6ClNO_5$; *1955-04-0*) see: Ioxitalamic acid

3-[(chlorocarbonyl)oxy]-3-(dimethylamino)-2-methyl-1-ethoxypropylium chloride
($C_9H_{17}Cl_2NO_3$) see: Sulfaperin

***N*-[[(chlorocarbonyl)oxy]methylene]-*N*-methylmethanaminium chloride**
($C_4H_7Cl_2NO_2$; *53726-30-0*) see: Sulfaperin

α-(chlorocarbonyl)-3-thiopheneacetic acid
($C_7H_5ClO_3S$; *60822-08-4*) see: Ticarcillin

6-chloro-5-(chloroacetyl)-1,3-dihydro-2*H*-indol-2-one
($C_{10}H_7Cl_2NO_2$; *118307-04-3*) see: Ziprasidone hydrochloride

***N*-[[1-[4-chloro-2-(2-chlorobenzoyl)phenyl]-3-[(dimethylamino)carbonyl]-1*H*-1,2,4-triazol-5-yl]methyl]-1,3-dihydro-1,3-dioxo-2*H*-isoindole-2-acetamide**
($C_{29}H_{22}Cl_2N_6O_5$; *65699-00-5*) see: Rilmazafone

7-chloro-5-(1-chlorocyclohexyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{15}H_{16}Cl_2N_2O$; *10379-01-8*) see: Tetrazepam

2-chloro-5-(1-chloro-1,3-dihydro-3-oxo-1-isobenzofuranyl)benzenesulfonyl chloride
($C_{14}H_7Cl_3O_4S$; *68592-11-0*) see: Chlortalidone

β-chloro-*N*-(2-chloroethyl)benzeneethanamine hydrochloride
($C_{10}H_{14}Cl_3N$; *40371-11-7*) see: Levamisole

β-chloro-*N*-(2-chloroethyl)-*N*-methylbenzeneethanamine
($C_{11}H_{15}Cl_2N$; *22270-22-0*) see: Mianserin

6-chloro-5-(2-chloroethyl)oxindole
($C_{10}H_9Cl_2NO$; *118289-55-7*) see: Ziprasidone hydrochloride

2-chloro-3-(2-chloroethyl)tetrahydro-2*H*-1,3,2-oxazaphosphorine 2-oxide
($C_5H_{10}Cl_2NO_2P$; *40722-73-4*) see: Ifosfamide

6-chloro-2-(chloromethyl)-4-(2-chlorophenyl)quinazoline 3-oxide
($C_{15}H_9Cl_3N_2O$; *13949-50-3*) see: Lorazepam

6-chloro-3-(chloromethyl)-3,4-dihydro-2*H*-1,2,4-benzothiadiazine-7-sulfonamide 1,1-dioxide
($C_8H_9Cl_2N_3O_4S_2$; *1824-47-1*) see: Altizide

2-chloro-*N*-(2-chloro-6-methylphenyl)acetamide
($C_9H_9Cl_2NO$; *6307-67-1*) see: Butanilicaine

6-chloro-2-(chloromethyl)-4-phenylquinazoline 3-oxide
($C_{15}H_{10}Cl_2N_2O$; *5958-24-7*) see: Camazepam; Chlordiazepoxide; Oxazepam

2-chloro-*N*-(2-chloro-4-methyl-3-pyridinyl)-3-pyridinecarboxamide
($C_{12}H_9Cl_2N_3O$; *133627-46-0*) see: Nevirapine

2-chloro-3-(chloromethyl)thiophene
($C_5H_4Cl_2S$; *109459-94-1*) see: Tioconazole

5-chloro-2-chloromethylthiophene
($C_5H_4Cl_2S$; *23784-96-5*) see: Chloropyrilene

3-chloro-4-(3-chloro-2-nitrophenyl)-1*H*-pyrrole-2,5-dicarboxylic acid
($C_{12}H_6Cl_2N_2O_6$; *5875-88-7*) see: Pyrrolnitrin

7-chloro-5-(2-chlorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one 4-oxide
($C_{15}H_{10}Cl_2N_2O_2$; *2955-37-5*) see: Lorazepam

6-chloro-2-(4-chlorophenyl)-3-[(dimethylamino)methyl]-imidazo[1,2-*a*]pyridine
($C_{16}H_{15}Cl_2N_3$) see: Alpidem

6-chloro-2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine
($C_{13}H_8Cl_2N_2$; *88964-99-2*) see: Alpidem

6-chloro-2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine-3-acetic acid
($C_{15}H_{10}Cl_2N_2O_2$; *82626-74-2*) see: Alpidem

7-chloro-5-(*o*-chlorophenyl)-2-(methylamino)-3*H*-1,4-benzodiazepine 4-oxide
($C_{16}H_{13}Cl_2N_3O$; *13949-51-4*) see: Lorazepam

7-chloro-5-(2-chlorophenyl)-1-methyl-2-oxo-1,3-dihydro-2*H*-1,4-benzodiazepine 4-oxide
($C_{16}H_{12}Cl_2N_2O_2$; *4187-04-6*) see: Lormetazepam

7-chloro-5-(2-chlorophenyl)-2-oxo-2,3-dihydro-1*H*-1,4-benzodiazepine
($C_{15}H_{10}Cl_2N_2O$; *2894-67-9*) see: Triazolam

7-chloro-5-(2-chlorophenyl)-2-thioxo-2,3-dihydro-1*H*-1,4-benzodiazepine
($C_{15}H_{10}Cl_2N_2S$; *2894-71-5*) see: Triazolam

3-chloro-5-(3-chloropropyl)-10,11-dihydro-5*H*-dibenz-[*b*,*f*]azepine
($C_{17}H_{17}Cl_2N$; *51551-41-8*) see: Clocapramine

2-chloro-10-(3-chloropropyl)phenothiazine
($C_{15}H_{13}Cl_2NS$; *2765-59-5*) see: Perphenazine; Pipamazine; Prochlorperazine

4-chloro-3-(chlorosulfonyl)benzoic acid
($C_7H_4Cl_2O_4S$; *2494-79-3*) see: Bumetanide; Clopamide

2-[4-chloro-3-(chlorosulfonyl)benzoyl]benzoic acid
($C_{14}H_8Cl_2O_5S$; *68592-12-1*) see: Chlortalidone

4-chloro-5-(chlorosulfonyl)-2-hydroxybenzoic acid
($C_7H_4Cl_2O_5S$; *14665-31-7*) see: Xipamide

4-chloro-3-(chlorosulfonyl)-5-nitrobenzoic acid
($C_7H_3Cl_2NO_6S$; *22892-95-1*) see: Bumetanide

6α-chlorocortisone
($C_{21}H_{29}ClO_6$; *16319-99-6*) see: Chloroprednisone acetate

2'-chloro-2-cyanoacetophenone
see under 2-chlorobenzoyl-acetonitrile

2-chloro-5-cyano-4-fluorobenzenesulfonamide
($C_7H_4ClFN_2O_2S$; *27589-31-7*) see: Azosemide

3-chloro-2-cyanopyrazine
($C_5H_2ClN_3$; *55557-52-3*) see: Sulfalene

2-chloro-5-cyano-*N*⁴-2-thenylsulfanilamide
($C_{12}H_{10}ClN_3O_2S_2$; *27589-57-7*) see: Azosemide

7-chloro-1,3-dihydro-1-methyl-5-phenyl-2*H*-1,4-benzodiazepin-2-one 4-oxide
($C_{16}H_{13}ClN_2O_2$; *2888-64-4*) see: Camazepam; Temazepam

8-chloro-6,11-dihydro-11-(1-methyl-4-piperidinyl)-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridin-11-ol
($C_{20}H_{23}ClN_2O$; *38089-93-9*) see: Loratadine

6-chloro-3,4-dihydro-3-oxo-2*H*-1,2,4-benzothiadiazine-7-sulfonamide *S,S*-dioxide
($C_7H_6ClN_3O_5S_2$; *89813-56-9*) see: Ambuside; Methyclothiazide

2-chloro-5-(1,3-dihydro-3-oxo-1-isobenzofuranyl)benzenesulfonyl chloride
($C_{14}H_8Cl_2O_4S$; *73617-81-9*) see: Chlortalidone

2-chloro-5-(2,3-dihydro-3-oxo-1*H*-isoindol-1-yl)benzenesulfonamide
($C_{14}H_{11}ClN_2O_3S$; *82875-49-8*) see: Chlortalidone

7-chloro-2,3-dihydro-2-oxo-5-phenyl-1*H*-1,4-benzodiazepine-3-carboxylic acid ethyl ester
($C_{18}H_{15}ClN_2O_3$; *5606-55-3*) see: Dipotassium clorazepate

7-chloro-2,3-dihydro-5-phenyl-1*H*-1,4-benzodiazepine
($C_{15}H_{13}ClN_2$; *1694-78-6*) see: Medazepam

(*Z*)-7-chloro-1,3-dihydro-5-phenyl-2*H*-1,4-benzodiazepin-2-one hydrazone
($C_{15}H_{13}ClN_4$; *112393-62-1*) see: Estazolam

8-chloro-6,11-dihydro-11-(4-piperidinylidene)-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridine
($C_{19}H_{19}ClN_2$; *100643-71-8*) see: Loratadine

(4*S*)-6-chloro-3,4-dihydro-2*H*-thieno[3,2-*e*]-1,2-thiazin-4-ol 1,1-dioxide
($C_6H_6ClNO_3S_2$; *160982-16-1*) see: Brinzolamide

2-chloro-3',4'-dihydroxyacetophenone
($C_8H_7ClO_3$; *99-40-1*) see: Adrenalone; Bitolterol; Dipivefrine; Epinephrine; Hexoprenaline; Isoprenaline; Protokylol; Theodrenaline

3-chloro-1,2-dihydroxypropane
($C_3H_7ClO_2$; *96-24-2*) see: Chlorphenesin; Diprophylline; Doxofylline; Guaifenesin; Guanadrel; Iohexol; Iopydol; Mephenesin

2-chloro-1-(1,2-dimesyloxyethyl)benzene
($C_{10}H_{13}ClO_6S_2$; *110309-60-9*) see: Lanoconazole

2-chloro-3,4-dimethoxybenzaldehyde
($C_9H_9ClO_3$; *5417-17-4*) see: Fenoldopam mesilate

4'-chloro-3,5-dimethoxy-4-hydroxybenzophenone
($C_{15}H_{13}ClO_4$; *54094-08-5*) see: Morclofone

2-chloro-3,4-dimethoxyphenylacetonitrile
($C_{10}H_{10}ClNO_2$; *7537-07-7*) see: Fenoldopam mesilate

2-(2-chloro-3,4-dimethoxyphenyl)ethylamine
($C_{10}H_{14}ClNO_2$; *67287-36-9*) see: Fenoldopam mesilate

α-[[[2-(2-chloro-3,4-dimethoxyphenyl)ethyl]amino]-methyl]-4-methoxybenzenemethanol
($C_{19}H_{24}ClNO_4$; *71636-38-9*) see: Fenoldopam mesilate

2-chloro-*N*-[2-(2,5-dimethoxyphenyl)-2-oxoethyl]acetamide
($C_{12}H_{14}ClNO_4$; *59908-77-9*) see: Midodrine

α-chloro-2,6-dimethylacetanilide
($C_{10}H_{12}ClNO$; *1131-01-7*) see: Lidocaine; Lidoflazine; Pyrrocaine

[1*S*-(1α,4aα,5β,5aα,11β,11aα,12α,12aα)]-5a-chloro-1-(dimethylamino)-1,4,4a,5,5a,6,11,11a,12,12a-decahydro-2,4a,5,7,12-pentahydroxy-11-methyl-4,6-dioxo-5,11-epoxynaphthacene-3-carboxamide
($C_{22}H_{23}ClN_2O_9$; *35689-72-6*) see: Doxycycline

[4*S*-(4α,4aα,5α,5aα,11aα,12aα)]-11a-chloro-4-(dimethylamino)-1,4,4a,5,5a,6,11,11a,12,12a-decahydro-3,5,10,12a-tetrahydroxy-6-methylene-1,11,12-trioxo-2-naphthacenecarboxamide
($C_{22}H_{21}ClN_2O_8$; *31461-51-5*) see: Doxycycline

1-chloro-3-dimethylamino-2-methylpropane
($C_6H_{14}ClN$; *23349-86-2*) see: Alimemazine; Cyamemazine; Etymemazine; Levomepromazine; Oxomemazine; Trimipramine

2'-chloro-3-dimethylaminopropiophenone
($C_{11}H_{14}ClNO$; *91131-19-0*) see: Clofedanol

2-chloro-9-[3-(dimethylamino)propyl]-9*H*-thioxanthen-9-ol
($C_{18}H_{20}ClNOS$; *4295-65-2*) see: Chlorprothixene

[*R*-(*R,*S**)]-β-chloro-*N*,α-dimethylbenzeneethanamine**
($C_{10}H_{14}ClN$; *110925-64-9*) see: Thiadrine

2-chloro-*N*,*N*-dimethylbutyramide
($C_6H_{12}ClNO$; *59843-83-3*) see: Cropropamide; Crotetamide

6-chloro-9-[2-(2,2-dimethyl-1,3-dioxan-5-yl)ethyl]-9*H*-purin-2-amine
($C_{13}H_{18}ClN_5O_2$; *97845-59-5*) see: Penciclovir

[6*R*-[6α,7β(*R)]]-3-chloro-7-[[[[(1,1-dimethylethoxy)carbonyl]amino]phenylacetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (4-nitrophenyl)methyl ester**
($C_{27}H_{27}ClN_4O_8S$; *53994-84-6*) see: Cefaclor

(*S*)-7-chloro-2-[(*E*)-2-[3-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-[2-[1-methyl-1-[(tetrahydro-2*H*-pyran-2-yl)oxy]ethyl]phenyl]propyl]phenyl]ethenyl]quinoline
($C_{40}H_{50}ClNO_3Si$) see: Montelukast sodium

4-chloro-2,3-dimethylpyridine *N*-oxide
(C_7H_8ClNO; *59886-90-7*) see: Rabeprazole sodium

6-chloro-1,3-dimethyluracil
($C_6H_7ClN_2O_2$; *6972-27-6*) see: Urapidil

2-chloro-1,3,2-dioxaphospholane 2-oxide
($C_2H_4ClO_3P$; *6609-64-9*) see: Miltefosine

α-chlorodiphenylacetyl chloride
($C_{14}H_{10}Cl_2O$; *2902-98-9*) see: Trospium chloride

1-(4-chlorodiphenylmethyl)piperazine
see under 1-(4-chlorobenzhydryl)piperazine

4-chloro-2,6-dipyrrolidinopyrimidine
($C_{12}H_{17}ClN_4$; *111669-15-9*) see: Tirilazad mesilate

5-chloro-2,4-disulfamoylaniline
see under 4-amino-6-chloro-1,3-benzenedisulfamide

21-chloro-9β,11β-epoxy-3-ethoxy-16β-methyl-17-propionyloxypregna-3,5-dien-20-one
($C_{27}H_{37}ClO_5$; *83880-41-5*) see: Ulobetasol propionate

21-chloro-9β,11β-epoxy-6α-fluoro-16β-methyl-17-propionyloxypregn-4-ene-3,20-dione
($C_{25}H_{32}ClFO_5$; *66852-57-1*) see: Ulobetasol propionate

21-chloro-9β,11β-epoxy-16β-methyl-17-propionyloxypregn-4-ene-3,20-dione
($C_{25}H_{33}ClO_5$; *66852-55-9*) see: Ulobetasol propionate

5-chloro-*N*-ethoxycarbonyl-2-methylaniline
($C_{10}H_{12}ClNO_2$; *35442-34-3*) see: Metolazone

10-chloro-9-fluoro-2,3-dihydro-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzothiazine-6-carboxylic acid 1-oxide
($C_{12}H_7ClFNO_4S$; *101337-84-2*) see: Rufloxacin hydrochloride

7-chloro-6-fluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid ethyl ester
($C_{12}H_9ClFNO_3$; *75073-15-3*) see: Norfloxacin

2-chloro-6-fluoro-*N*-hydroxybenzenecarboximidoyl chloride
($C_7H_4Cl_2FNO$; *51088-25-6*) see: Flucloxacillin

4-chloro-5-fluoro-2-(methylthio)pyrimidine
($C_5H_4ClFN_2S$; *6096-45-3*) see: Flucytosine

8-chloro-7-fluoro-3-oxo-3,4-dihydro-2*H*-1,4-benzothiazine
($C_8H_5ClFNOS$; *101337-95-5*) see: Rufloxacin hydrochloride

5-chloro-2-[(4-fluorophenyl)amino]benzoic acid methyl ester
($C_{14}H_{11}ClFNO_2$) see: Sertindole

[[(3-chloro-4-fluorophenyl)amino]methylene]propanedioic acid diethyl ester
($C_{14}H_{15}ClFNO_4$; *70032-30-3*) see: Norfloxacin; Pefloxacin

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{15}H_{10}ClFN_2O$; *2886-65-9*) see: Cinolazepam; Doxefazepam; Flutazolam; Flutoprazepam; Midazolam

***N*-[[7-chloro-5-(2-fluorophenyl)-2,3-dihydro-1*H*-1,4-benzodiazepin-2-yl]methyl]acetamide**
($C_{18}H_{17}ClFN_3O$; *59467-68-4*) see: Midazolam

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-1-(2-hydroxyethyl)-2*H*-1,4-benzodiazepin-2-one 4-oxide
($C_{17}H_{14}ClFN_2O_3$) see: Doxefazepam

5-chloro-1-(4-fluorophenyl)-1,2-dihydro-3*H*-indol-3-one
($C_{14}H_9ClFNO$; *170232-17-4*) see: Sertindole

8-chloro-6-(2-fluorophenyl)-3a,4-dihydro-1-methyl-3*H*-imidazo[1,5-*a*][1,4]benzodiazepine
($C_{18}H_{15}ClFN_3$; *59467-69-5*) see: Midazolam

7-chloro-5-(2-fluorophenyl)-2,3-dihydro-2-(nitromethylene)-1*H*-1,4-benzodiazepine
($C_{16}H_{11}ClFN_3O_2$; *59467-63-9*) see: Midazolam

7-chloro-5-(2-fluorophenyl)-1,3-dihydro-1-(2,2,2-trifluoroethyl)-2*H*-1,4-benzodiazepin-2-one
($C_{17}H_{11}ClF_4N_2O$; *49606-44-2*) see: Quazepam

5-chloro-3-(2-fluorophenyl)-1*H*-indole-2-carbonitrile
($C_{15}H_8ClFN_2$; *24106-94-3*) see: Flutoprazepam

5-chloro-3-(2-fluorophenyl)indole-2-carbonyl chloride
($C_{15}H_8Cl_2FNO$; *32502-22-0*) see: Flutoprazepam

1-[2-[4-[5-chloro-1-(4-fluorophenyl)-1*H*-indol-3-yl]-3,6-dihydro-1(2*H*)-pyridinyl]ethyl]-2-imidazolidinone
($C_{24}H_{24}ClFN_4O$; *106516-54-5*) see: Sertindole

5-chloro-2-[(4-fluorophenyl)[(methoxycarbonyl)methyl]-amino]benzoic acid methyl ester
($C_{17}H_{15}ClFNO_4$) see: Sertindole

7-chloro-5-(2-fluorophenyl)-*N*-methyl-3*H*-1,4-benzodiazepin-2-amine
($C_{16}H_{13}ClFN_3$; *59467-61-7*) see: Midazolam

3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolecarbonyl chloride
($C_{11}H_6Cl_2FNO_2$; *69399-79-7*) see: Flucloxacillin

3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolecarboxylic acid
($C_{11}H_7ClFNO_3$; *3919-74-2*) see: Flucloxacillin

3-(2-chloro-6-fluorophenyl)-5-methyl-4-isoxazolecarboxylic acid methyl ester
($C_{12}H_9ClFNO_3$; *4415-09-2*) see: Flucloxacillin

7-chloro-5-(2-fluorophenyl)-*N*-methyl-*N*-nitroso-3*H*-1,4-benzodiazepin-2-amine
($C_{16}H_{12}ClFN_4O$; *59467-62-8*) see: Midazolam

5-chloro-1-(4-fluorophenyl)-3-(1,2,3,6-tetrahydro-4-pyridinyl)-1*H*-indole
($C_{19}H_{16}ClFN_2$; *106516-07-8*) see: Sertindole

(11β,16α)-21-chloro-9-fluoro-11,16,17-trihydroxypregn-4-ene-3,20-dione
($C_{21}H_{28}ClFO_5$; *982-91-2*) see: Halcinonide

chloroform
($CHCl_3$; *67-66-3*) see: Chlorobutanol; Ciprofibrate; Clinofibrate; Clofibrate; Fenofibrate

chloroformic acid ethyl ester
($C_3H_5ClO_2$; *541-41-3*) see: Alfuzosin; Amoxapine; Amoxicillin; Ampicillin; Apalcillin; Azidocillin; Butorphanol; Carbimazole; Cefbuperazone; Cefradine; Cinitapride; Cisapride; Clebopride; Desipramine; Dibekacin; Docarpamine; Ebastine; Fluoxetine; Flupirtine; Foscarnet sodium; Ketanserin; Loratadine; Loteprednol etabonate; Loxapine; Metahexamide; Metolazone; Molsidomine; Moracizine; Nemonapride; Nipradilol; Nortriptyline; Paroxetine; Romurtide; Telmesteine; Tiracizine; Todralazine; Tolazamide

chloroformic acid isobutyl ester
($C_5H_9ClO_2$; *543-27-1*) see: Aspoxicillin; Cefaloglycin; Nelfinavir mesylate; Pheneticillin; Propicillin; Sobuzoxane

(1*R*-*cis*)-*N*-[4-chloro-5-(formylamino)-6-[[4-(hydroxymethyl)-2-cyclopenten-1-yl]amino]-2-pyrimidinyl]acetamide
($C_{13}H_{16}ClN_5O_3$; *136522-32-2*) see: Abacavir

***N*-chloroformyl-bis(2-chloroethyl)amine**
($C_5H_8Cl_3NO$; *2998-56-3*) see: Estramustine phosphate

1-chloroformylimidazolidinone
($C_4H_5ClN_2O_2$; *13214-53-4*) see: Azlocillin

3-chloroformyl-1-methanesulfonyl-2-imidazolidinone
($C_5H_7ClN_2O_4S$; *41762-76-9*) see: Mezlocillin

8-chloroformyl-3-methylflavone
($C_{17}H_{11}ClO_3$; *51950-71-1*) see: Flavoxate

(16α)-21-chloro-17-[(2-furanylcarbonyl)oxy]-16-methylpregna-1,4,9(11)-triene-3,20-dione
($C_{27}H_{29}ClO_5$; *83880-65-3*) see: Mometasone furoate

chloroglyoxylic acid ethyl ester
($C_4H_5ClO_3$; *4755-77-5*) see: Oxitefonium bromide; Penthienate methobromide; Tiaprofenic acid

6-chloro-2-hydrazino-4-phenylquinoline
($C_{15}H_{12}ClN_3$; *27537-93-5*) see: Alprazolam

6α-chlorohydrocortisone
($C_{21}H_{29}ClO_5$; *96744-43-3*) see: Cloprednol

6α-chlorohydrocortisone 21-acetate
($C_{23}H_{31}ClO_6$; *112652-74-1*) see: Cloprednol

3-chloro-4-hydroxybenzaldehyde
($C_7H_5ClO_2$; *2420-16-8*) see: Alclofenac

2-chloro-*N*-hydroxybenzenecarboximidoyl chloride
($C_7H_5Cl_2NO$; *29568-74-9*) see: Cloxacillin

2-(chloromethyl)-2,3-dihydro-1,4-benzodioxin
($C_9H_9ClO_2$; *2164-33-2*) see: Guanoxan

4-chloromethyl-6,7-dihydroxychromen-2-one
($C_{10}H_7ClO_4$; *85029-91-0*) see: Folescutol

2-chloromethyl-3,4-dimethoxypyridinium chloride
($C_8H_{11}Cl_2NO_2$; *72830-09-2*) see: Pantoprazole sodium

[6*R*-[6α,7β(*Z*)]]-3-(chloromethyl)-7-[[[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino][2-(formylamino)-4-thiazolyl]acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester
($C_{31}H_{32}ClN_5O_8S_2$; *79349-95-4*) see: Cefixime

2-[5-(chloromethyl)-3-(1,1-dimethylethyl)-2-oxazolidinyl]-4-fluorophenol
($C_{14}H_{19}ClFNO_2$; *58929-09-2*) see: Butofilolol

2-(chloromethyl)-1,4-dioxaspiro[4.5]decane
($C_9H_{15}ClO_2$; *5503-32-2*) see: Guanadrel

3-(chloromethyl)-5,5-diphenylhydantoin
($C_{16}H_{13}ClN_2O_2$; *93360-07-7*) see: Fosphenytoin sodium

[1*R*-[1α,5α,6(*R)]]-α-[1-(chloromethyl)ethenyl]-7-oxo-3-phenyl-4-oxa-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid diphenylmethyl ester**
($C_{28}H_{23}ClN_2O_4$; *67977-79-1*) see: Latamoxef

1-[3-(chloromethyl)-4-hydroxyphenyl]ethanone
($C_9H_9ClO_2$; *24085-05-0*) see: Salbutamol

2-chloromethyl-Δ^2-imidazoline
($C_4H_7ClN_2$; *50342-08-0*) see: Antazoline

2-chloromethyl-Δ^2-imidazoline hydrochloride
($C_4H_8Cl_2N_2$; *13338-49-3*) see: Phentolamine

chloromethyl iodide
(CH_2ClI; *593-71-5*) see: Loteprednol etabonate

4-(chloromethyl)-2-isopropylthiazole hydrochloride
($C_7H_{11}Cl_2NS$; *65386-28-9*) see: Ritonavir

1-(chloromethyl)-4-methoxybenzene
(C_8H_9ClO; *824-94-2*) see: Meropenem

2-(chloromethyl)-4-methoxy-3,5-dimethylpyridine
($C_9H_{12}ClNO$; *84006-10-0*) see: Omeprazole

2-(chloromethyl)-4-(3-methoxypropoxy)-3-methylpyridine
($C_{11}H_{16}ClNO_2$; *117977-20-5*) see: Rabeprazole sodium

4-(chloromethyl)-α-methylbenzeneacetic acid ethyl ester
($C_{12}H_{15}ClO_2$; *43153-03-3*) see: Loxoprofen

chloromethyl methyl ether
(C_2H_5ClO; *107-30-2*) see: Cefoxitin; Troglitazone

3-(chloromethyl)-1-methylpiperidine
($C_7H_{14}ClN$; *52694-50-5*) see: Metixene

2-chloromethyl-1-methyl-1,4,5,6-tetrahydropyrimidine
($C_6H_{11}ClN_2$) see: Oxyphencyclimine

4-chloro-2-methyl-5-(4-morpholinyl)-3(2*H*)-pyridazinone
($C_9H_{12}ClN_3O_2$; *1080-85-9*) see: Emorfazone

1-chloromethylnaphthalene
($C_{11}H_9Cl$; *86-52-2*) see: Butenafine; Naftidrofuryl

5-chloro-1-methyl-4-nitro-1*H*-imidazole
($C_4H_4ClN_3O_2$; *4897-25-0*) see: Azathioprine

6-chloro-2-methyl-4-oxo-4*H*-3,1-benzoxazine
($C_9H_6ClNO_2$; *7033-50-3*) see: Tetrazepam

2-chloromethylphenethyl benzoate
($C_{16}H_{15}ClO_2$; *168476-58-2*) see: Ropinirole

2-chloro-5-methylphenol
(C_7H_7ClO; *615-74-7*) see: Bupranolol

5-(4-chloromethylphenoxymethyl)-3-isopropyl-2-oxazolidinone
($C_{14}H_{18}ClNO_3$; *87844-82-4*) see: Bisoprolol

4-chloro-2-[(methylphenylamino)sulfonyl]benzoic acid methyl ester
($C_{15}H_{14}ClNO_4S$) see: Tianeptine sodium

2-chloro-*N*-methyl-*N*-(phenyl-*tert*-butyl)acetamide
($C_{13}H_{18}ClNO$; *2293-55-2*) see: Oxetacaine

(2-chloro-4-methylphenyl)carbamimidothioic acid methyl ester monohydriodide
($C_9H_{12}ClIN_2S$; *52041-81-3*) see: Tolonidine

(2-chloro-5-methylphenyl) glycidyl ether
($C_{10}H_{11}ClO_2$; *53732-26-6*) see: Bupranolol

5-chloro-1-methyl-3-phenyl-1*H*-indole-2-carboxamide
($C_{16}H_{13}ClN_2O$; *21139-24-2*) see: Diazepam

5-chloro-1-methyl-3-phenyl-1*H*-indole-2-carboxylic acid ethyl ester
($C_{18}H_{16}ClNO_2$; *21139-26-4*) see: Diazepam

3-chloro-4-methyl-6-phenylpyridazine
($C_{11}H_9ClN_2$; *28657-39-8*) see: Minaprine

***N*-(2-chloro-4-methylphenyl)thiourea**
($C_8H_9ClN_2S$; *57005-14-8*) see: Tolonidine

7-chloro-1-methyl-5-phenyl[1,2,4]triazolo[4,3-*a*]quinoline
($C_{17}H_{12}ClN_3$; *36916-18-4*) see: Alprazolam

(chloromethyl)phosphonic dichloride
(CH_2Cl_3OP; *1983-26-2*) see: Cidofovir

3-chloro-1-methylpiperidine
($C_6H_{12}ClN$; *22704-36-5*) see: Mepenzolate bromide

4-chloro-1-methylpiperidine
($C_6H_{12}ClN$; *5570-77-4*) see: Cyproheptadine; Propiverine

chloromethyl pivalate
($C_6H_{11}ClO_2$; *18997-19-8*) see: Pivampicillin; Pivmecillinam; Raltitrexed

1-chloro-2-methyl-2-propanol
(C_4H_9ClO; *558-42-9*) see: Lercanidipine hydrochloride

1-chloro-2-methyl-1-propanol propanoate
($C_7H_{13}ClO_2$; *58304-65-7*) see: Fosinopril

D-3-chloro-2-methylpropionyl chloride
($C_4H_6Cl_2O$; *80141-50-0*) see: Captopril

***N*-[(2*S*)-3-chloro-2-methylpropionyl]-L-proline**
($C_9H_{14}ClNO_3$; *80141-53-3*) see: Captopril

***N*-[1-(chloromethyl)propyl]acetamide**
($C_6H_{12}ClNO$; *59173-61-4*) see: Ethambutol

4-chloro-1-(2-methylpropyl)-1*H*-imidazo[4,5-*c*]quinoline
($C_{14}H_{14}ClN_3$; *99010-64-7*) see: Imiquimod

10-(3-chloro-2-methylpropyl)-10*H*-phenothiazine
($C_{16}H_{16}ClNS$; *40256-08-4*) see: Dixyrazine

2-chloro-*N*⁴-(2-methylpropyl)-3,4-quinolinediamine
($C_{13}H_{16}ClN_3$; *133860-76-1*) see: Imiquimod

2-(chloromethyl)pyridine
(C_6H_6ClN; *4377-33-7*) see: Pimeprofen

4-(chloromethyl)pyridine hydrochloride
($C_6H_7Cl_2N$; *1822-51-1*) see: Tropicamide

***N*-(2-chloro-4-methyl-3-pyridinyl)-2-(cyclopropylamino)-3-pyridinecarboxamide**
($C_{15}H_{15}ClN_4O$; *133627-47-1*) see: Nevirapine

4-chloro-6-methyl-2-pyrimidinamine
($C_5H_6ClN_3$; *5600-21-5*) see: Sulfamerazine

3-chloromethyl-quinuclidine
($C_8H_{14}ClN$; *64099-45-2*) see: Mequitazine

4-chloro-α-(1-oxopropyl)benzeneacetonitrile
($C_{11}H_{10}ClNO$; *55474-40-3*) see: Pyrimethamine

(*Z*)-2-[[[2-chloro-2-oxo-1-[2-[(triphenylmethyl)amino]-4-thiazolyl]ethylidene]amino]oxy]-2-methylpropanoic acid 1,1-dimethylethyl ester
($C_{32}H_{32}ClN_5O_4S$; *91622-14-9*) see: Ceftazidime

3-chloro-2-pentanol
($C_5H_{11}ClO$; *139121-35-0*) see: Bifluranol

3-chloro-2-pentanone
(C_5H_9ClO; *13280-00-7*) see: Bifluranol

5-chloro-2-pentanone
(C_5H_9ClO; *5891-21-4*) see: Hydroxychloroquine

5-chloropentanoyl chloride
($C_5H_6Cl_2O$; *1575-61-7*) see: Cilostazol

***N*-(5-chloropentanoyl)cyclohexylamine**
($C_{11}H_{20}ClNO$; *15865-18-6*) see: Cilostazol

1-chloro-1-penten-3-one
(C_5H_7ClO; *105-32-8*) see: Ethchlorvynol

5-chloro-1-pentyne
(C_5H_7Cl; *14267-92-6*) see: Efavirenz

***m*-chloroperbenzoic acid**
($C_7H_5ClO_3$; *937-14-4*) see: Dolasetron mesilate; Rabeprazole sodium

2-chlorophenol
(C_6H_5ClO; *95-57-8*) see: Alclofenac; Diclofenamide

4-chlorophenol
(C_6H_5ClO; *106-48-9*) see: Amoxapine; Chlorphenesin; Clofibrate; Dichlorophen; Dodeclonium bromide; Fenticlor

2-chlorophenothiazine
($C_{12}H_8ClNS$; *92-39-7*) see: Chlorpromazine; Cyamemazine; Perphenazine; Pipamazine; Prochlorperazine

(4-chlorophenoxy)acetic acid
($C_8H_7ClO_3$; *122-88-3*) see: Meclofenoxate

(4-chlorophenoxy)acetyl chloride
($C_8H_6Cl_2O_2$; *4122-68-3*) see: Clofexamide; Fipexide

2-(4-chlorophenoxy)aniline
($C_{12}H_{10}ClNO$; *2770-11-8*) see: Amoxapine; Loxapine

2-(4-chlorophenoxy)isobutyric acid
($C_{10}H_{11}ClO_3$; *882-09-7*) see: Alufibrate; Clofibrate; Etofibrate; Simfibrate

2-(4-chlorophenoxy)-2-methylpropanoic acid 2-hydroxyethyl ester
($C_{12}H_{15}ClO_4$; *31637-96-4*) see: Etofibrate

2-(4-chlorophenoxy)-2-methylpropanoic acid 3-hydroxypropyl ester
($C_{13}H_{17}ClO_4$; *14496-75-4*) see: Ronifibrate

2-(4-chlorophenoxy)-2-methylpropionic acid
see under 2-(4-chlorophenoxy)isobutyric acid

[2-(4-chlorophenoxy)phenyl]carbamic acid ethyl ester
($C_{15}H_{14}ClNO_3$; *31879-60-4*) see: Amoxapine; Loxapine

4-[[*o*-(*p*-chlorophenoxy)phenyl]carbamoyl]-1-piperazinecarboxylic acid ethyl ester
($C_{20}H_{22}ClN_3O_4$; *31879-61-5*) see: Amoxapine

***N*-[2-(4-chlorophenoxy)phenyl]-4-methyl-1-piperazinecarboxamide**
($C_{18}H_{20}ClN_3O_2$; *69478-73-5*) see: Loxapine

3-(4-chlorophenoxy)-1,2-propanediol
($C_9H_{11}ClO_3$; *104-29-0*) see: Chlorphenesin carbamate

2-chloro-2'-*O*-phenoxythiocarbonyl-3',5'-*O*-(tetraisopropyldisiloxanylene)adenosine
($C_{29}H_{42}ClN_5O_6SSi_2$; *149681-75-4*) see: Cladribine

2-[(4-chlorophenyl)acetyl]benzoic acid
($C_{15}H_{11}ClO_3$; *53242-76-5*) see: Azelastine

α-chlorophenylacetyl chloride
($C_8H_6Cl_2O$; *2912-62-1*) see: Bietamiverine; Fenozolone

1-(chlorophenylacetyl)-3-ethylurea
($C_{11}H_{13}ClN_2O_2$; *23420-63-5*) see: Fenozolone

4-chloro-D-phenylalanine
($C_9H_{10}ClNO_2$; *14091-08-8*) see: Cetrorelix

2-chlorophenyl allyl ether
(C_9H_9ClO; *20788-42-5*) see: Alclofenac

[[(3-chlorophenyl)amino]methylene]propanedioic acid diethyl ester
($C_{14}H_{16}ClNO_4$; *3412-99-5*) see: Chloroquine

(4-chlorophenyl)arsonic acid
($C_6H_6AsClO_3$; *5440-04-0*) see: Acetarsol

α-chloro-α-phenylbenzeneacetic acid 1-methyl-4-piperidinyl ester
($C_{20}H_{22}ClNO_2$; *118108-64-8*) see: Propiverine

7-chloro-5-phenyl-3*H*-1,4-benzodiazepin-2-amine
($C_{15}H_{12}ClN_3$; *7564-07-0*) see: Estazolam

1-(4-chlorophenyl)biguanide hydrochloride
($C_8H_{11}Cl_2N_5$; *4022-81-5*) see: Chlorazanil

4-(4-chlorophenyl)-1-chloro-2-butanol
($C_{10}H_{12}Cl_2O$; *59363-13-2*) see: Butoconazole

1-(3-chlorophenyl)-4-(3-chloropropyl)piperazine
($C_{13}H_{18}Cl_2N_2$; *39577-43-0*) see: Etoperidone; Nefazodone hydrochloride; Trazodone

3-[3-(4-chlorophenyl)-1-(5-chloro-2-thienyl)-3-oxopropyl]-4-hydroxy-2*H*-1-benzopyran-2-one
($C_{22}H_{14}Cl_2O_4S$; *22619-37-0*) see: Tioclomarol

1-(4-chlorophenyl)-3-(5-chloro-2-thienyl)-2-propen-1-one
($C_{13}H_8Cl_2OS$; *22619-36-9*) see: Tioclomarol

1-(4-chlorophenyl)cyclobutyl cyanide
($C_{11}H_{10}ClN$; *28049-61-8*) see: Sibutramine hydrochloride

1-(2-chlorophenyl)-2,2-dichloroethanol
($C_8H_7Cl_3O$; *27683-60-9*) see: Mitotane

(4-chlorophenyl)dicyanodiamide
($C_8H_7ClN_4$; *1482-62-8*) see: Chlorhexidine; Proguanil

5-(2-chlorophenyl)-1,3-dihydro-7-nitro-2*H*-1,4-benzodiazepine-2-thione
($C_{15}H_{10}ClN_3O_2S$; *35628-48-9*) see: Loprazolam

6-(2-chlorophenyl)-2,4-dihydro-8-nitro-1*H*-imidazo-[1,2-*a*][1,4]benzodiazepin-1-one
($C_{17}H_{11}ClN_4O_3$; *61198-06-9*) see: Loprazolam

5-(2-chlorophenyl)-1,3-dihydro-2*H*-thieno[2,3-*e*]-1,4-diazepin-2-one
($C_{13}H_9ClN_2OS$; *36811-58-2*) see: Brotizolam

α-(4-chlorophenyl)-α-[2-(dimethylamino)ethyl]-2-pyridineacetonitrile
($C_{17}H_{18}ClN_3$; *65676-21-3*) see: Chlorphenamine

6-(2-chlorophenyl)-2-[(dimethylamino)methylene]-2,4-dihydro-8-nitro-1*H*-imidazo[1,2-*a*][1,4]benzodiazepin-1-one
($C_{20}H_{16}ClN_5O_3$; *61197-47-5*) see: Loprazolam

***N*-(4-chlorophenyl)-2,2-dimethylpropanamide**
($C_{11}H_{14}ClNO$; *65854-91-3*) see: Efavirenz

7-chloro-5-phenyl-2-oxo-2,3-dihydro-1*H*-1,4-benzodiazepine
see under 7-chloro-2-oxo-5-phenyl-2,3-dihydro-1*H*-1,4-benzodiazepine

7-chloro-5-phenyl-2-oxo-1,3-dihydro-2*H*-1,4-benzodiazepine 4-oxide
($C_{15}H_{11}ClN_2O_2$; *963-39-3*) see: Camazepam; Oxazepam; Temazepam

1-(4-chlorophenyl)-1-phenylethanol
see under 4-chloro-α-methylbenzhydrol

2-[2-[1-(4-chlorophenyl)-1-phenylethoxy]ethyl]-1-methylpyrrolidine
($C_{21}H_{26}ClNO$; *7723-51-5*) see: Clemastine

3-(4-chlorophenyl)-1-phenyl-1*H*-pyrazole-4-carboxaldehyde
($C_{16}H_{11}ClN_2O$; *36663-00-0*) see: Lonazolac

3-(4-chlorophenyl)-1-phenyl-1*H*-pyrazole-4-methanol
($C_{16}H_{13}ClN_2O$; *36640-39-8*) see: Lonazolac

3-(4-chlorophenyl)phthalide
($C_{14}H_9ClO_2$; *4889-69-4*) see: Chlortalidone

3-(4-chlorophenyl)phthalimide
($C_{14}H_{10}ClNO$; *2224-77-3*) see: Chlortalidone

***N*-(3-chlorophenyl)piperazine**
($C_{10}H_{13}ClN_2$; *6640-24-0*) see: Etoperidone

2-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-5-ethyl-2,4-dihydro-3*H*-1,2,4-triazol-3-one
($C_{17}H_{24}ClN_5O$; *57059-58-2*) see: Nefazodone hydrochloride

2-(4-chlorophenyl)propene
(C_9H_9Cl; *1712-70-5*) see: Haloperidol

(4-chlorophenyl)(2-pyridyl)acetonitrile
($C_{13}H_9ClN_2$; *5005-37-8*) see: Chlorphenamine

(4-chlorophenyl)(2-pyridyl)carbinol
($C_{12}H_{10}ClNO$; *27652-89-7*) see: Carbinoxamine

9b-(4-chlorophenyl)-1,2,3,9b-tetrahydro-5*H*-imidazo-[2,1-*a*]isoindol-5-one
($C_{16}H_{13}ClN_2O$; *6038-49-9*) see: Mazindol

9b-(4-chlorophenyl)-1,2,3,9b-tetrahydro-1-[(4-methylphenyl)sulfonyl]-5*H*-imidazo[2,1-*a*]isoindol-5-one
($C_{23}H_{19}ClN_2O_3S$; *22590-16-5*) see: Mazindol

4-(4-chlorophenyl)-1,2,3,6-tetrahydropyridine
($C_{11}H_{12}ClN$; *30005-58-4*) see: Haloperidol

(+)-2-(2-chlorophenyl)-*N*-[2-(2-thienyl)ethyl]glycine methyl ester
($C_{15}H_{16}ClNO_2S$; *141109-20-8*) see: Clopidogrel hydrogensulfate

2-[(4-chlorophenyl)thio]benzeneacetic acid
($C_{14}H_{11}ClO_2S$; *13459-62-6*) see: Zotepine

2-[(4-chlorophenyl)thio]benzoic acid
($C_{13}H_9ClO_2S$; *6469-85-8*) see: Chlorprothixene

2-[(4-chlorophenyl)thio]benzoyl chloride
($C_{13}H_8Cl_2OS$; *6469-86-9*) see: Chlorprothixene

1-[2-[(4-chlorophenyl)thio]phenyl]ethanone
($C_{14}H_{11}ClOS$; *41932-35-8*) see: Zotepine

1-[(2-chlorophenyl)thio]-2-propanone
(C_9H_9ClOS; *17514-52-2*) see: Sertaconazole

7-chloro-5-phenyl-2-thioxo-2,3-dihydro-1*H*-1,4-benzodiazepine
($C_{15}H_{11}ClN_2S$; *4547-02-8*) see: Alprazolam; Estazolam

***N*-(4-chlorophenyl)-*N*-(2,2,2-trifluoroethyl)-1,2-ethanediamine**
($C_{10}H_{12}ClF_3N_2$; *34483-02-8*) see: Quazepam

α-(4-chlorophenyl)-2,2,8-trimethyl-4*H*-1,3-dioxino[4,5-*c*]-pyridine-5-methanol
($C_{17}H_{18}ClNO_3$; *133545-64-9*) see: Cicletanine

1-chlorophthalazine
($C_8H_5ClN_2$; *5784-45-2*) see: Hydralazine

4-chlorophthalimide
($C_8H_4ClNO_2$; *7147-90-2*) see: Clorexolone

2-chloro-1-piperidinopropane
($C_8H_{16}ClN$; *698-92-0*) see: Propiram

chloro[3-(1-piperidinyl)propyl]magnesium
($C_8H_{16}ClMgN$; *34924-24-8*) see: Difenidol

(9β,10α)-6-chloropregna-4,6-diene-3,20-dione
($C_{21}H_{27}ClO_2$; *4202-98-6*) see: Trengestone

1-chloro-2,3-propanediol
see under 3-chloro-1,2-dihydroxypropane

3-chloropropane-1,2-diol
see under 3-chloro-1,2-dihydroxypropane

1-chloro-2-propanol
(C_3H_7ClO; *127-00-4*) see: Bethanechol chloride; Proxyphylline

3-chloro-1-propanol
(C_3H_7ClO; *627-30-5*) see: Cyclomethycaine; Piperocaine

2-chloro-9-(2-propenylidene)-9*H*-thioxanthene
($C_{16}H_{11}ClS$; *56987-24-7*) see: Chlorprothixene

3-chloropropionaldehyde
(C_3H_5ClO; *19434-65-2*) see: Chlorthenoxazine

3-chloropropionaldehyde diethyl acetal
($C_7H_{15}ClO_2$; *35573-93-4*) see: Pipoxolan

β-chloropropionic acid
($C_3H_5ClO_2$; *107-94-8*) see: Tertatolol

3-chloropropionitrile
(C_3H_4ClN; *542-76-7*) see: Famotidine

2-chloropropionyl chloride
($C_3H_4Cl_2O$; *7623-09-8*) see: Omoconazole nitrate

3-chloropropionyl chloride
($C_3H_4Cl_2O$; *625-36-5*) see: Beclamide; Clidanac; Moracizine; Oxolamine; Proxazole

α-chloropropionylglycine
($C_5H_8ClNO_3$; *85038-45-5*) see: Stepronin

3'-chloropropiophenone
(C_9H_9ClO; *34841-35-5*) see: Amfebutamone

1-(3-chloropropoxy)-4-fluorobenzene
($C_9H_{10}ClFO$; *1716-42-3*) see: Cisapride

1-(3-chloropropyl)-2-benzimidazolone
($C_{10}H_{11}ClN_2O$; *62780-89-6*) see: Domperidone; Oxatomide

3-chloropropyl benzoate
($C_{10}H_{11}ClO_2$; *942-95-0*) see: Piperocaine

5-(3-chloropropyl)-5*H*-dibenz[*b*,*f*]azepine
($C_{17}H_{16}ClN$; *51551-40-7*) see: Opipramol

2-(3-chloropropyl)-4,5-diethyl-Δ^5-1,2,4-triazolin-3-one
($C_9H_{16}ClN_3O$; *52883-44-0*) see: Etoperidone

5-(3-chloropropyl)-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine
($C_{17}H_{18}ClN$; *16036-79-6*) see: Desipramine

***N*-(3-chloropropyl)-3,4-dimethoxy-*N*-methylbenzeneethanamine**
($C_{14}H_{22}ClNO_2$; *36770-74-8*) see: Gallopamil; Verapamil

6β-chloro-5,11β,17,21-tetrahydroxy-5α-pregnane-3,20-dione
($C_{21}H_{31}ClO_6$; *11313-99-8*) see: Cloprednol

2-chloro-3',5'-*O*-[1,1,3,3-tetrakis(1-methylethyl)-1,3-disiloxanediyl]adenosine
($C_{22}H_{38}ClN_5O_5Si_2$; *111556-90-2*) see: Cladribine

8-chlorotheophylline
($C_7H_7ClN_4O_2$; *85-18-7*) see: Dimenhydrinate; Piprinhydrinate

chlorothiazide
($C_7H_6ClN_3O_4S_2$; *58-94-6*) see: Hydrochlorothiazide

2-chloro-5-thiophenecarboxaldehyde
(C_5H_3ClOS; *7283-96-7*) see: Tioclomarol

4-chlorothiophenol
(C_6H_5ClS; *106-54-7*) see: Chlorprothixene; Zotepine

2-chlorothioxanthone
($C_{13}H_7ClOS$; *86-39-5*) see: Chlorprothixene

8-chloro-11-thioxo-10,11-dihydro-5*H*-dibenzo[*b*,*e*][1,4]diazepine
($C_{13}H_9ClN_2S$; *15980-68-4*) see: Clozapine

2-chlorotoluene
(C_7H_7Cl; *95-49-8*) see: Clotrimazole

1-chloro-3-(*m*-tolyloxy)-2-propanol
($C_{10}H_{13}ClO_2$; *42865-04-3*) see: Bevantolol

4-chloro-1-tosylaminobenzene
($C_{13}H_{12}ClNO_2S$; *2903-34-6*) see: Medazepam

chloro(triethylphosphine)gold
($C_6H_{15}AuClP$; *15529-90-5*) see: Auranofin

4-chloro-2-(trifluoroacetyl)aniline hydrochloride
($C_8H_6Cl_2F_3NO$; *173676-59-0*) see: Efavirenz

1-chloro-1,2,2-trifluoro-2-diethylaminoethane
($C_6H_{11}ClF_3N$; *357-83-5*) see: Zidovudine

2-chloro-1,1,1-trifluoroethane
($C_2H_2ClF_3$; *75-88-7*) see: Halothane

[5-chloro-2-[(2,2,2-trifluoroethyl)amino]phenyl](2-fluorophenyl)methanone
($C_{15}H_{10}ClF_4NO$; *50939-39-4*) see: Quazepam

4-chloro-*N*-(2,2,2-trifluoroethyl)aniline
($C_8H_7ClF_3N$; *22753-82-8*) see: Quazepam

2-chloro-1,1,2-trifluoroethyl dichloromethyl ether
($C_3H_2Cl_3F_3O$; *428-96-6*) see: Enflurane

7-chloro-1-(2,2,2-trifluoroethyl)-2,3-dihydro-5-(2-fluorophenyl)-1*H*-1,4-benzodiazepin-2-one
($C_{17}H_{11}ClF_4N_2$; *34482-99-0*) see: Quazepam

2-chloro-1,1,2-trifluoroethyl methyl ether
($C_3H_4ClF_3O$; *425-87-6*) see: Enflurane

1-chloro-4-(trifluoromethyl)benzene
($C_7H_4ClF_3$; *98-56-6*) see: Fluoxetine

4-(4-chloro-3-trifluoromethylphenyl)-4-hydroxypiperidine
($C_{12}H_{13}ClF_3NO$; *21928-50-7*) see: Penfluridol

4-(4-chloro-3-trifluoromethylphenyl)-4-hydroxypiperidine-1-carboxylic acid methyl ester
($C_{14}H_{15}ClF_3NO_3$) see: Penfluridol

4-chloro-3-trifluoromethylphenylmagnesium bromide
($C_7H_3BrClF_3Mg$; *61895-77-0*) see: Penfluridol

4-chloro-7-(trifluoromethyl)quinoline
($C_{10}H_5ClF_3N$; *346-55-4*) see: Antrafenine

4-chloro-8-(trifluoromethyl)quinoline
($C_{10}H_5ClF_3N$; *23779-97-7*) see: Floctafenine

2-chloro-2',3',4'-trihydroxyacetophenone
($C_8H_7ClO_4$; *17345-68-5*) see: Methoxsalen

2-chlorotriphenylcarbinol
($C_{19}H_{15}ClO$; *66774-02-5*) see: Clotrimazole

2-chlorotriphenylmethyl chloride
($C_{19}H_{14}Cl_2$; *42074-68-0*) see: Clotrimazole

(±)-chlorpheniramine
($C_{16}H_{19}ClN_2$; *132-22-9*) see: Dexchlorpheniramine

chlorprothixen
($C_{18}H_{18}ClNS$; *113-59-7*) see: Clopenthixol

chlortetracycline
($C_{22}H_{23}ClN_2O_8$; *57-62-5*) see: Tetracycline

chlortetracycline hydrochloride
($C_{22}H_{24}Cl_2N_2O_8$; *64-72-2*) see: Clomocycline

(3β)-cholesta-5,7-diene-3,25-diol 3-acetate
($C_{29}H_{46}O_3$; *24281-78-5*) see: Calcifediol

(1α,3β)-cholesta-5,7-diene-1,3,25-triol triacetate
($C_{33}H_{50}O_6$; *39783-16-9*) see: Calcitriol

cholesta-1,4,6-triene-3,24-dione
($C_{27}H_{38}O_2$; *57701-40-3*) see: Tacalcitol

1,5,7-cholestatrien-3β-ol
($C_{27}H_{42}O$; *54604-59-0*) see: Alfacalcidol

(3β)-cholest-5-ene-3,25-diol diacetate
($C_{31}H_{50}O_4$; *59975-17-6*) see: Calcifediol

(1α,3β)-cholest-5-ene-1,3,24-triol
($C_{27}H_{46}O_3$; *59780-19-7*) see: Tacalcitol

(1α,3β)-cholest-5-ene-1,3,25-triol triacetate
($C_{33}H_{52}O_6$; *39783-14-7*) see: Calcitriol

(1α,3β,24*R*)-cholest-5-ene-1,3,24-triol tribenzoate
($C_{48}H_{58}O_6$; *57701-50-5*) see: Tacalcitol

cholic acid
($C_{24}H_{40}O_5$; *81-25-4*) see: Chenodeoxycholic acid; Dehydrocholic acid

choline chloride
($C_5H_{14}ClNO$; *67-48-1*) see: Acetylcholine chloride; Choline salicylate

choline hydrogen carbonate
($C_6H_{15}NO_4$; *78-73-9*) see: Choline theophyllinate

choline hydroxide
($C_5H_{15}NO_2$; *123-41-1*) see: Acetylcholine chloride; Choline chloride; Choline dihydrogen citrate; Choline stearate

choline naphthalene-1,5-disulfonate
($C_{20}H_{34}N_2O_8S_2$) see: Aclatonium napadisilate

choline tosylate
($C_{12}H_{21}NO_4S$; *55357-38-5*) see: Miltefosine

cinnamaldehyde
(C_9H_8O; *104-55-2*) see: Alverine; Kawain; Toremifene

cinnamic acid
($C_9H_8O_2$; *140-10-3*) see: Tolterodine

cinnamoyl chloride
(C_9H_7ClO; *102-92-1*) see: Cinmetacin

cinnamyl alcohol
($C_9H_{10}O$; *104-54-1*) see: Chloramphenicol; Zipeprol

***trans*-cinnamyl alcohol**
($C_9H_{10}O$; *4407-36-7*) see: Reboxetine

cinnamyl bromide
(C_9H_9Br; *4392-24-9*) see: Cinnamedrine

cinnamyl chloride
(C_9H_9Cl; *2687-12-9*) see: Cinnarizine; Naftifine

3-cyano-1,2-dihydro-2-oxo-6-propyl-4-pyridinecarboxylic acid
($C_{10}H_{10}N_2O_3$) see: Protionamide

1-cyano-2,2-diphenylcyclopropane
($C_{16}H_{13}N$; *30932-41-3*) see: Cibenzoline

γ-cyano-γ-ethylbenzenebutanoic acid methyl ester
($C_{14}H_{17}NO_2$; *90424-96-7*) see: Glutethimide

α-cyano-α-ethyl-1-cycloheptene-1-acetic acid methyl ester
($C_{13}H_{19}NO_2$; *84803-64-5*) see: Heptabarb

3-cyano-6-ethyl-1,2-dihydro-2-oxo-4-pyridinecarboxylic acid ethyl ester
($C_{11}H_{12}N_2O_3$; *31718-05-5*) see: Ethionamide

1-(2-cyanoethyl)-1-ethylurea
($C_6H_{11}N_3O$; *28461-57-6*) see: Sulfacitine

5-(-2-cyanoethyl)hydantoin
($C_6H_7N_3O_2$; *1007-06-3*) see: L-Tryptophan

γ-cyano-γ-ethyl-4-nitrobenzenebutanoic acid methyl ester
($C_{14}H_{16}N_2O_4$; *101939-07-5*) see: Aminoglutethimide

1-(2-cyanoethyl)-2-piperidineethanol
($C_{10}H_{18}N_2O$) see: Tiquizium bromide

***N*-[[[4-[[(2-cyanoethyl)thio]methyl]-2-thiazolyl]amino]thioxomethyl]benzamide**
($C_{15}H_{14}N_4OS_3$; *76823-90-0*) see: Famotidine

[4-[[(2-cyanoethyl)thio]methyl]-2-thiazolyl]guanidine
($C_8H_{11}N_5S_2$; *76823-93-3*) see: Famotidine

9-cyanofluorene
($C_{14}H_9N$; *1529-40-4*) see: Indecainide

[3*S*-[1(*cis*),3α,4β]]-1-[4-cyano-4-(4-fluorophenyl)cyclohexyl]-3-methyl-4-phenyl-4-piperidinecarboxylic acid phenylmethyl ester
($C_{33}H_{35}FN_2O_2$) see: Levocabastine

4-cyano-4-(4-fluorophenyl)heptanedioic acid dimethyl ester
($C_{16}H_{18}FNO_4$; *56326-92-2*) see: Levocabastine

5-cyano-5-(4-fluorophenyl)-2-oxocyclohexanecarboxylic acid methyl ester
($C_{15}H_{14}FNO_3$; *56326-95-5*) see: Levocabastine

cyanogen bromide
(CBrN; *506-68-3*) see: Anagrelide hydrochloride; Desloratadine; Epinastine hydrochloride; Fluoxetine; Nalorphine; Naloxone; Pergolide

cyanogen chloride
(CClN; *506-77-4*) see: Oxcarbazepine

4-cyanohexahydro-1,1-dimethyl-4-phenyl-1*H*-azepinium bromide
($C_{15}H_{21}BrN_2$; *7512-10-9*) see: Ethoheptazine

2-cyano-2-hydroxyindane
($C_{10}H_9NO$; *55589-21-4*) see: Indanorex

3-cyano-4-hydroxy-6,7-methylenedioxycinnoline
($C_{10}H_5N_3O_3$; *28657-78-5*) see: Cinoxacin

3-cyano-4-imino-9-methyl-4*H*-pyrido[1,2-*a*]pyrimidine
($C_{10}H_8N_4$; *102781-19-1*) see: Pemirolast

5-cyano-5-(*m*-methoxyphenyl)heptanoic acid ethyl ester
($C_{17}H_{23}NO_3$; *27180-88-7*) see: Meptazinol

4-cyano-4-(3-methoxyphenyl)-1-methylpiperidine
($C_{14}H_{18}N_2O$; *5460-79-7*) see: Ketobemidone

2-cyano-3-methoxypyrazine
($C_6H_5N_3O$; *75018-05-2*) see: Sulfalene

α-cyano-α-methyl-5*H*-[1]benzopyrano[2,3-*b*]pyridine-7-acetic acid ethyl ester
($C_{18}H_{16}N_2O_3$; *52549-16-3*) see: Pranoprofen

1-cyanomethylimidazole
($C_5H_5N_3$; *98873-55-3*) see: Lanoconazole

***N*-cyano-*N*'-[2-[[(5-methyl-1*H*-imidazol-4-yl)methyl]-thio]ethyl]carbamimidothioic acid methyl ester**
($C_{10}H_{15}N_5S_2$; *52378-40-2*) see: Cimetidine

2-cyano-*N*-methyl-*N*-[(methylamino)carbonyl]acetamide
($C_6H_9N_3O_2$; *39615-79-7*) see: Theophylline

5-cyano-4-methyloxazole
($C_5H_4N_2O$; *1003-52-7*) see: Pyridoxine

2-cyano-3-methyl-2-pentenoic acid ethyl ester
($C_9H_{13}NO_2$; *759-51-3*) see: Ethosuximide

4-cyano-1-methyl-4-phenylhexahydroazepine
($C_{14}H_{18}N_2$; *6315-32-8*) see: Ethoheptazine

cyanomethyl phenyl ketone
(C_9H_7NO; *614-16-4*) see: Fluoxetine

4-cyano-1-methyl-4-phenylpiperidine
($C_{13}H_{16}N_2$; *3627-62-1*) see: Pethidine

2-cyano-3-methylpyridine
($C_7H_6N_2$; *20970-75-6*) see: Loratadine

2-cyano-2-methyltetrahydrofuran
(C_6H_9NO; *19679-75-5*) see: Mefruside

2-cyano-*N*-methyl-*N*-tetrahydrofuroylethylamine
($C_9H_{14}N_2O_2$; *72104-44-0*) see: Alfuzosin

2-cyano-8-nitro-1-benzopyran-4-one
($C_{10}H_4N_2O_4$; *141283-41-2*) see: Pranlukast

5-cyano-10-nitro-5*H*-dibenz[*b,f*]azepine
($C_{15}H_9N_3O_2$; *78880-63-4*) see: Oxcarbazepine

4-cyano-2-nitrotoluene
($C_8H_6N_2O_2$; *939-79-7*) see: Hydroxystilbamidine isethionate

2-cyano-3-phenethylpyridine
($C_{14}H_{12}N_2$; *14578-23-5*) see: Azatadine

2-cyanophenothiazine
($C_{13}H_8N_2S$; *38642-74-9*) see: Cyamemazine; Periciazine

***N*-[2-[3-(2-cyanophenoxy)-2-hydroxypropylamino]ethyl]-4-benzyloxyphenylacetamide**
($C_{27}H_{29}N_3O_4$) see: Epanolol

α-cyanophenylacetic acid ethyl ester
($C_{11}H_{11}NO_2$; *4553-07-5*) see: Mephenytoin; Phenobarbital

4-(2-cyanophenyl)benzyl bromide
see under 4'-(bromomethyl)biphenyl-2-carbonitrile

2-cyano-3-phenyl-2-butenoic acid methyl ester
($C_{12}H_{11}NO_2$; *14505-27-2*) see: Mesuximide

2-cyano-2-phenylbutyramide
($C_{11}H_{12}N_2O$; *80544-75-8*) see: Mephenytoin

2-cyano-2-phenylbutyric acid ethyl ester
($C_{13}H_{15}NO_2$; *718-71-8*) see: Phenobarbital

1-cyano-1-phenylcyclohexane
($C_{13}H_{15}N$; *2201-23-2*) see: Dicycloverine

1-cyano-1-phenylcyclopentane
($C_{12}H_{13}N$; *77-57-6*) see: Pentoxyverine

4-[2-(4-cyanophenyl)ethenyl]-3-hydroxybenzonitrile
($C_{16}H_{10}N_2O$; *67466-66-4*) see: Hydroxystilbamidine isethionate

(cyanophenylmethyl)urea
($C_9H_9N_3O$; *88169-89-5*) see: Ethotoin

α-cyclohexyl-4-methyl-α-phenyl-1-piperazineethanol
($C_{19}H_{30}N_2O$; *7556-54-9*) see: Hexocyclium metilsulfate
6-cyclohexyl-4-methyl-2-pyrone
($C_{12}H_{16}O_2$; *14818-35-0*) see: Ciclopirox
4-(cyclohexyloxy)benzoic acid
($C_{13}H_{16}O_3$; *139-61-7*) see: Cyclomethycaine
3-(4-cyclohexylphenyl)dihydro-2,5-furandione
($C_{16}H_{18}O_3$; *36414-05-8*) see: Clidanac
α-cyclohexyl-α-phenylglycolic acid
($C_{14}H_{18}O_3$; *4335-77-7*) see: Oxyphencyclimine
α-cyclohexylphenylglycolic acid 2-diethylaminoethyl ester
($C_{18}H_{27}NO_3$; *25520-98-3*) see: Oxyphenonium bromide
α-cyclohexylphenylglycolic acid methyl ester
($C_{15}H_{20}O_3$; *10399-13-0*) see: Oxybutynin; Oxyphenonium bromide; Oxypyrronium bromide
α-cyclohexylphenylglycolic acid (1-methyl-2-pyrrolidinyl)methyl ester
($C_{20}H_{29}NO_3$; *94868-25-4*) see: Oxypyrronium bromide
α-cyclohexylphenylglycolic acid propargyl ester
($C_{17}H_{20}O_3$; *81039-74-9*) see: Oxybutynin
[(4-cyclohexylphenyl)methylene]propanedioic acid diethyl ester
($C_{20}H_{26}O_4$; *29041-00-7*) see: Clidanac
***trans*-4-cyclohexyl-L-proline**
($C_{11}H_{19}NO_2$; *103201-78-1*) see: Fosinopril
cyclohexyl(3-thienyl)acetic acid
($C_{12}H_{16}O_2S$; *16199-74-9*) see: Cetiedil
cyclohexyl(3-thienyl)glycolic acid
($C_{12}H_{16}O_3S$; *3193-02-0*) see: Cetiedil
cyclopentadiene
(C_5H_6; *542-92-7*) see: Abacavir; Biperidene; Bornaprine; Cyclothiazide; Fencamfamin
2,4-cyclopentadienylmethyl benzyl ether
($C_{13}H_{14}O$; *39939-07-6*) see: Dinoprost
cyclopentaneacetonitrile
($C_7H_{11}N$; *5732-87-6*) see: Cyclopentamine
cyclopentanone
(C_5H_8O; *120-92-3*) see: Amcinonide; Cyclopentamine; Cyclopentolate; Irbesartan
3-cyclopentene-1-carboxylic acid
($C_6H_8O_2$; *7686-77-3*) see: Dolasetron mesilate
cyclopentylacetaldehyde
($C_7H_{12}O$; *5623-81-4*) see: Cyclopenthiazide
cyclopentylacetone
($C_8H_{14}O$; *1122-98-1*) see: Cyclopentamine
cyclopentyl alcohol
($C_5H_{10}O$; *96-41-3*) see: Penmesterol; Pentagestrone acetate; Quingestanol acetate
cyclopentyl bromide
(C_5H_9Br; *137-43-9*) see: Quinestrol
cyclopentyl chloroformate
($C_6H_9ClO_2$; *50715-28-1*) see: Zafirlukast
α-cyclopentyl-α-hydroxybenzeneacetic acid 1-methyl-3-pyrrolidinyl ester
($C_{18}H_{25}NO_3$; *13118-11-1*) see: Glycopyrronium bromide
cyclopentylideneacetonitrile
(C_7H_9N; *5732-88-7*) see: Cyclopentamine
cyclopentylmagnesium bromide
(C_5H_9BrMg; *33240-34-5*) see: Cycrimine; Glycopyrronium bromide; Ketamine; Penthienate methobromide
4-[5-(cyclopentyloxycarbonylamino)-1-methylindol-3-ylmethyl]-3-methoxybenzoic acid
($C_{24}H_{26}N_2O_5$; *107754-20-1*) see: Zafirlukast
3-cyclopentyloxy-17-oxo-3,5-androstadiene
($C_{24}H_{34}O_2$; *15236-92-7*) see: Penmesterol
2-cyclopentylphenol
($C_{11}H_{14}O$; *1518-84-9*) see: Penbutolol
3-cyclopentylpropionic acid
($C_8H_{14}O_2$; *140-77-2*) see: Estradiol cypionate
3-cyclopentylpropionyl chloride
($C_8H_{13}ClO$; *104-97-2*) see: Estradiol cypionate; Testosterone cypionate
cyclopentyl-2-thienylglycolic acid
($C_{11}H_{14}O_3S$; *3899-50-1*) see: Penthienate methobromide
cyclopentyl-2-thienylglycolic acid 2-diethylaminoethyl ester
($C_{17}H_{27}NO_3S$; *15421-88-2*) see: Penthienate methobromide
cyclopropanecarbonyl chloride
(C_4H_5ClO; *4023-34-1*) see: Buprenorphine; Fexofenadine hydrochloride; Naltrexone; Prazepam
cyclopropanecarboxylic acid ethyl ester
($C_6H_{10}O_2$; *4606-07-9*) see: Pimozide
1,1-cyclopropanedimethanol
($C_5H_{10}O_2$; *39590-81-3*) see: Montelukast sodium
1,1-cyclopropanedimethanol monobenzoate
($C_{12}H_{14}O_3$; *142148-11-6*) see: Montelukast sodium
cyclopropylacetylene
(C_5H_6; *6746-94-7*) see: Efavirenz
cyclopropylamine
(C_3H_7N; *765-30-0*) see: Abacavir; Ciprofloxacin; Grepafloxacin; Moxifloxacin hydrochloride; Nevirapine; Sparfloxacin
2-(cyclopropylamino)-*N*-(2,6-dichloro-4-methyl-3-pyridinyl)-3-pyridinecarboxamide
($C_{15}H_{14}Cl_2N_4O$; *142266-59-9*) see: Nevirapine
2-(cyclopropylamino)-*N*-(2-methoxy-4-methyl-3-pyridinyl)-3-pyridinecarboxamide
($C_{16}H_{18}N_4O_2$; *162709-30-0*) see: Nevirapine
α-[(cyclopropylamino)methylene]-2,4,5-trifluoro-3-methoxy-β-oxobenzenepropanoic acid ethyl ester
($C_{16}H_{16}F_3NO_4$; *112811-70-8*) see: Moxifloxacin hydrochloride
(1α,3β,5*E*,7*E*,20*S*,22*E*)-24-cyclopropyl-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-9,10-secochola-5,7,10(19),22-tetraen-24-one
($C_{39}H_{66}O_3Si_2$; *115648-68-5*) see: Calcipotriol
(1α,3β,5*E*,7*E*,20*S*,22*E*,24*S*)-24-cyclopropyl-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-9,10-secochola-5,7,10(19),22-tetraen-24-ol
($C_{39}H_{68}O_3Si_2$; *134523-61-8*) see: Calcipotriol
(1α,3β,5*Z*,7*E*,20*S*,22*E*,24*S*)-24-cyclopropyl-1,3-bis[[(1,1-dimethylethyl)dimethylsilyl]oxy]-9,10-secochola-5,7,10(19),22-tetraen-24-ol
($C_{39}H_{68}O_3Si_2$; *134523-70-9*) see: Calcipotriol
17-(cyclopropylcarbonyl)-4,5α-epoxy-3,14-dihydroxymorphinan-6-one cyclic ethylene acetal 3-cyclopropanecarboxylate
($C_{26}H_{29}NO_7$; *16676-30-5*) see: Naltrexone
(cyclopropylcarbonylmethylene)triphenylphosphorane
($C_{22}H_{21}OP$; *7691-76-1*) see: Calcipotriol

4-*O*-demethyldaunomycinone
($C_{20}H_{16}O_8$; *52744-22-6*) see: Idarubicin
4'-demethylepipodophyllotoxin
($C_{21}H_{20}O_8$; *6559-91-7*) see: Teniposide
4'-demethylpodophyllotoxin
($C_{21}H_{20}O_8$; *40505-27-9*) see: Teniposide
6-demethyltetracycline
($C_{21}H_{22}N_2O_8$; *987-02-0*) see: Minocycline
4-*O*-demethyl-4-*O*-(*p*-toluenesulfonyl)daunomycinone 1^9-ethylene acetal
($C_{29}H_{26}O_{11}S$; *125310-15-8*) see: Idarubicin
2-deoxo-9a-aza-9a-homoerythromycin A
($C_{37}H_{70}N_2O_{12}$; *76801-85-9*) see: Azithromycin
deoxyanisoin
($C_{16}H_{16}O_3$; *120-44-5*) see: Diethylstilbestrol; Mofezolac; Raloxifene hydrochloride
deoxyanisoin oxime
($C_{16}H_{17}NO_3$; *5471-45-4*) see: Mofezolac
2-deoxy-3,5-bis-*O*-[(1,1-dimethylethyl)dimethylsilyl]-2,2-difluoro-D-*erythro*-pentonic acid γ-lactone
($C_{17}H_{34}F_2O_4Si_2$; *95058-78-9*) see: Gemcitabine
2-deoxy-2,2-difluoro-4,5-*O*-(1-methylethylidene)-D-*erythro*-pentonic acid ethyl ester
($C_{10}H_{16}F_2O_5$; *95058-92-7*) see: Gemcitabine
2-deoxy-2,2-difluoro-D-*erythro*-pentano-1,4-lactone
($C_5H_6F_2O_4$; *95058-77-8*) see: Gemcitabine
2-deoxy-2,2-difluoro-D-ribopyranose
($C_5H_8F_2O_4$) see: Gemcitabine
3'-deoxy-5'-*O*-[(1,1-dimethylethyl)diphenylsilyl]-5-methyl-2'-*S*-phenyl-2'-thiouridine
($C_{32}H_{36}N_2O_4SSi$; *129778-51-4*) see: Stavudine
3-deoxy-5-*O*-[(1,1-dimethylethyl)diphenylsilyl]-2-*S*-phenyl-2-thio-D-*erythro*-pentonic acid γ-lactone
($C_{27}H_{30}O_3SSi$; *129778-50-3*) see: Stavudine
1-deoxy-1-[[4,5-dimethyl-2-(phenylazo)phenyl]amino]-D-ribitol
($C_{19}H_{25}N_3O_4$; *21037-26-3*) see: Riboflavin
2-deoxy-3,5-di-*O*-*p*-toluoyl-α-D-*erythro*-pentofuranosyl chloride
($C_{21}H_{21}ClO_5$; *4330-21-6*) see: Cladribine
(+)-deoxyephedrine
($C_{10}H_{15}N$; *537-46-2*) see: Benzphetamine
1-(2-deoxy-3,5-epoxy-β-D-*threo*-pentofuranosyl)cytosine
($C_9H_{11}N_3O_3$; *7481-87-0*) see: Zalcitabine
5'-deoxy-5-fluorocytidine
($C_9H_{12}FN_3O_4$; *66335-38-4*) see: Capecitabine
5'-deoxy-5-fluoro-5'-iodouridine
($C_9H_{10}FIN_2O_5$; *61787-13-1*) see: Doxifluridine
5'-deoxy-5-fluoro-*N*-[(pentyloxy)carbonyl]cytidine 2',3'-bis(pentyl carbonate)
($C_{27}H_{42}FN_3O_{10}$; *174667-24-4*) see: Capecitabine
5'-deoxy-5-fluoro-*N*-[(pentyloxy)carbonyl]cytidine 2',3'-diacetate
($C_{19}H_{26}FN_3O_8$; *162204-20-8*) see: Capecitabine
1-deoxy-1-[(2-hydroxyethyl)amino]-D-glucitol
($C_8H_{19}NO_6$; *54662-27-0*) see: Miglitol
6-deoxy-6-[(2-hydroxyethyl)amino]-L-sorbose
($C_8H_{17}NO_6$) see: Miglitol
5'-deoxy-5'-iodoadenosine
($C_{10}H_{12}IN_5O_3$; *4099-81-4*) see: Cobamamide
5'-deoxy-5'-iodo-2',3'-*O*-isopropylidene-5-fluorouridine
($C_{12}H_{14}FIN_2O_5$; *61787-10-8*) see: Doxifluridine
2'-deoxy-5-iodouridine 3',5'-bis(4-methylbenzenesulfonate)
($C_{23}H_{23}IN_2O_9S_2$) see: Idoxuridine
5'-deoxy-2',3'-*O*-isopropylidene-5-fluorouridine
($C_{12}H_{15}FN_2O_5$; *66335-39-5*) see: Doxifluridine
2-deoxyribofuranosyl chloride 3,5-bis(4-nitrobenzoate)
($C_{19}H_{15}ClN_2O_9$; *51841-98-6*) see: Trifluridine
2-deoxy-D-ribose
($C_5H_{10}O_4$; *533-67-5*) see: Idoxuridine
2'-deoxyuridine
($C_9H_{12}N_2O_5$; *951-78-0*) see: Idoxuridine
dequalinium iodide
($C_{30}H_{40}I_2N_4$; *2019-42-3*) see: Dequalinium chloride
desipramine
($C_{18}H_{23}ClN_2$; *58-28-6*) see: Lofepramine
deslanoside
($C_{47}H_{74}O_{19}$; *17598-65-1*) see: Lanatoside C
Dess-Martin periodinane
($C_{13}H_{13}IO_8$; *87413-09-0*) see: Tacrolimus
dexamethasone
($C_{22}H_{29}FO_5$; *50-02-2*) see: Dexamethasone *tert*-butylacetate; Dexamethasone 21-isonicotinate; Dexamethasone 21-linolate; Dexamethasone phosphate; Dexamethasone pivalate
dexamphetamine
($C_9H_{13}N$; *51-64-9*) see: Clobenzorex
dextrin
(unspecified; *9004-53-9*) see: Cadexomer iodine
2,6-diacetamido-9-(2,3,5-tri-*O*-benzyl-β-D-arabinofuranosyl)purine
($C_{35}H_{36}N_6O_6$; *25146-54-7*) see: Fludarabine phosphate
diacetone-2-oxo-L-gulonic acid
($C_{12}H_{18}O_7$; *18467-77-1*) see: Ascorbic acid
diacetone-L-sorbose
($C_{12}H_{20}O_6$; *17682-70-1*) see: Ascorbic acid
3',5'-diacetoxyacetophenone
($C_{12}H_{12}O_5$; *35086-59-0*) see: Fenoterol; Orciprenaline
3',5'-diacetoxy-2-bromoacetophenone
see under 2-bromo-3',5'-diacetoxyacetophenone
16α,21-diacetoxy-11β,17-dihydroxy-3,20-dioxo-9-fluoro-4-pregnene
($C_{25}H_{33}FO_8$; *426-39-1*) see: Triamcinolone; Triamcinolone diacetate
16α,21-diacetoxy-3,20-dioxo-17-hydroxy-9β,11β-epoxy-1,4-pregnadiene
($C_{25}H_{30}O_8$; *96670-24-5*) see: Triamcinolone diacetate
5α,21-diacetoxy-6β-fluoro-3β,17-dihydroxy-16α-methylpregnan-20-one
($C_{26}H_{39}FO_7$; *2707-32-6*) see: Paramethasone
3β,26-diacetoxy-5α-furost-20(22)-en-11-one
($C_{31}H_{46}O_6$; *108248-58-4*) see: Alfaxalone
2-diacetoxymethyl-5-nitrofuran
($C_9H_9NO_7$; *92-55-7*) see: Nitrofurantoin
3β,17β-diacetoxy-17α-methyl-7-oxo-5-androstene
($C_{24}H_{34}O_5$; *37038-00-9*) see: Calusterone
3α,20-diacetoxy-16β-methylpregn-17(20)-ene-11-one
($C_{26}H_{38}O_5$; *76564-00-6*) see: Betamethasone

3,5-di-*O*-benzoyl-2-deoxy-2,2-difluoro-1-*O*-methanesulfonyl-D-ribofuranose
($C_{20}H_{18}F_2O_8S$; *134877-43-3*) see: Gemcitabine

***N*^{1},*N*^{4}-dibenzoylsulfanilamide**
($C_{20}H_{16}N_2O_4S$) see: Sulfabenzamide

(±)-*O*,*N*-dibenzoyltyrosine
($C_{23}H_{19}NO_5$; *97485-13-7*) see: Tiropramide

1,3-di-*O*-benzyl-2-*O*-(acetoxymethyl)glycerol
($C_{20}H_{24}O_5$; *84245-11-4*) see: Ganciclovir

dibenzylamine
($C_{14}H_{15}N$; *103-49-1*) see: Imiquimod; Labetalol

4(*S*)-dibenzylamino-3-oxo-5-phenylpentanenitrile
($C_{25}H_{24}N_2O$; *156732-12-6*) see: Ritonavir

***O*-3,4-di-*O*-benzyl-2,6-bis(carboxyamino)-2,6-dideoxy-α-D-glucopyranosyl-(1→4)-*O*-[β-D-ribofuranosyl-(1→5)]-*N*,*N*′-dicarboxy-2-deoxystreptamine tetrabenzyl ester tribenzoate (ester)**
($C_{84}H_{82}N_4O_{21}$; *34128-45-5*) see: Ribostamycin

1,3-dibenzyl-4-(3-ethoxypropyl)-4-hydroxy-*cis*-perhydrothieno[3,4-*d*]imidazol-2-one
($C_{24}H_{30}N_2O_3S$) see: Biotin

1,3-dibenzyl-4-(3-ethoxypropylidene)-*cis*-perhydrothieno-[3,4-*d*]imidazol-2-one
($C_{24}H_{28}N_2O_2S$; *51591-97-0*) see: Biotin

1,3-dibenzyl-4-(3-ethoxypropyl)-*cis*-perhydrothieno-[3,4-*d*]imidazol-2-one
($C_{24}H_{30}N_2O_2S$) see: Biotin

***N*,*N*′-dibenzylethylenediamine**
($C_{16}H_{20}N_2$; *140-28-3*) see: Benzathine benzylpenicillin

1,3-di-*O*-benzylglycerol
($C_{17}H_{20}O_3$; *6972-79-8*) see: Ganciclovir

***N*,*N*′-dibenzylhexamethylenediamine**
($C_{20}H_{28}N_2$; *30070-99-6*) see: Hexoprenaline

***N*^{2},*N*^{5}-dibenzylideneornithine methyl ester**
($C_{20}H_{22}N_2O_2$; *69955-51-7*) see: Eflornithine

(3a*S*)-1,3-dibenzyl-4*t*-(3-methoxypropyl)-(3a*r*,6a*c*)-tetrahydrothieno[3,4-*d*]imidazol-2-one
($C_{23}H_{28}N_2O_2S$) see: Biotin

***cis*-1,3-dibenzyl-2-oxoimidazolidine-4,5-dicarboxylic acid**
($C_{19}H_{18}N_2O_5$; *51591-75-4*) see: Biotin

***cis*-1,3-dibenzyl-2-oxoimidazolidine-4,5-dicarboxylic acid monocyclohexyl ester**
($C_{25}H_{28}N_2O_5$; *85610-97-5*) see: Biotin

[3a*S*-(3aα,4β,6aα)]-[3-(1,3-dibenzyl-2-oxoperhydrothieno[3,4-*d*]imidazol-4-yl)propyl]malonic acid diethyl ester
($C_{29}H_{36}N_2O_5S$; *101469-35-6*) see: Biotin

1,3-dibenzyl-2-oxo-3a,8b-*cis*-perhydrothieno[1′,2′:1,2]-thieno[3,4-*d*]imidazolium bromide
($C_{22}H_{25}BrN_2OS$) see: Biotin

3′,5′-dibenzyloxyacetophenone
($C_{22}H_{20}O_3$; *28924-21-2*) see: Terbutaline

3′,4′-dibenzyloxybutyrophenone
($C_{24}H_{24}O_3$; *24538-59-8*) see: Isoetarine

***cis*-1,3-dibenzylperhydrofuro[3,4-*d*]imidazole-2,4,6-trione**
($C_{19}H_{16}N_2O_4$; *26339-42-4*) see: Biotin

***cis*-1,3-dibenzylperhydrothieno[3,4-*d*]imidazole-2,4-dione**
($C_{19}H_{18}N_2O_3S$; *33607-57-7*) see: Biotin

***N*,*N*-dibenzyl-L-phenylalanine benzyl ester**
($C_{30}H_{29}NO_2$; *111138-83-1*) see: Ritonavir; Saquinavir

6,8-dibenzylthiooctanoic acid
($C_{22}H_{28}O_2S_2$; *95809-78-2*) see: Thioctic acid

2,5-dibromoamyl acetate
($C_7H_{12}Br_2O_2$; *30727-26-5*) see: Oxypyrronium bromide

(2α,4α,5α)-2,4-dibromoandrostane-3,17-dione
($C_{19}H_{26}Br_2O_2$; *42453-26-9*) see: Estrone

1,4-dibromobutane
($C_4H_8Br_2$; *110-52-1*) see: Butorphanol; Pentoxyverine; Trospium chloride

1,4-dibromo-2-butene
($C_4H_6Br_2$; *6974-12-5*) see: Betacarotene

1,10-dibromodecane
($C_{10}H_{20}Br_2$; *4101-68-2*) see: Decamethonium bromide; Tiadenol

9,10-dibromo-9,10-dihydro-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophen-4-one
($C_{13}H_8Br_2OS$; *34580-10-4*) see: Ketotifen

2,4-dibromo-17,21-dihydroxy-5β-pregnane-3,11,20-trione 21-acetate
($C_{23}H_{30}Br_2O_6$; *115114-29-9*) see: Prednisone

1,3-dibromo-5,5-dimethylhydantoin
($C_5H_6Br_2N_2O_2$; *77-48-5*) see: Calcifediol; Calcitriol; Diflucortolone valerate; Halopredone diacetate; Tacalcitol

***N*,*N*′-dibromo-5,5-dimethylhydantoin**
see under 1,3-dibromo-5,5-dimethylhydantoin

1,2-dibromoethane
($C_2H_4Br_2$; *106-93-4*) see: Amosulalol; Bamifylline; Cafedrine; Dodeclonium bromide; Fenalcomine; Guanoclor; Ketoprofen; Malotilate; Pimefylline

2′,7′-dibromofluorescein
($C_{20}H_{10}Br_2O_5$; *25709-81-3*) see: Merbromin

1,6-dibromohexane
($C_6H_{12}Br_2$; *629-03-8*) see: Salmeterol

(2α,4α,5α,17β)-2,4-dibromo-17-hydroxyandrostan-3-one
($C_{19}H_{28}Br_2O_2$) see: Estradiol

[*S*-(*R,*R**)]-2,4-dibromo-3-hydroxybutanoic acid methyl ester**
($C_5H_8Br_2O_3$; *88824-11-7*) see: Carumonam

2β,4β-dibromo-17α-hydroxy-16β-methyl-5β-pregn-9(11)-ene-3,20-dione
($C_{22}H_{30}Br_2O_3$; *13656-79-6*) see: Betamethasone

dibromomethane
(CH_2Br_2; *74-95-3*) see: Clodronate disodium

1,6-dibromo-2-naphthol
($C_{10}H_6Br_2O$; *16239-18-2*) see: Naproxen

6,6-dibromopenicillanic acid
($C_8H_9Br_2NO_3S$; *24158-88-1*) see: Sulbactam

6,6-dibromopenicillanic acid *S*,*S*-dioxide
($C_8H_9Br_2NO_5S$; *76646-91-8*) see: Sulbactam

1,5-dibromopentane
($C_5H_{10}Br_2$; *111-24-0*) see: Cilastatin; Dezocine; Dicycloverine; Pentamidine

21,21-dibromopregn-4-ene-3,11,20-trione
($C_{21}H_{26}Br_2O_3$) see: Hydrocortisone

1,3-dibromopropane
($C_3H_6Br_2$; *109-64-8*) see: Brinzolamide; Carpipramine; Dibrompropamidine; Ethoheptazine; Pentoxifylline; Sibutramine hydrochloride

2,3-dibromo-1-propanol
($C_3H_6Br_2O$; *96-13-9*) see: Dimercaprol

1,7-dichloro-5-cyclohexyl-1,3-dihydro-2*H*-1,4-benzodiazepin-2-one
($C_{15}H_{16}Cl_2N_2O$; *10379-00-7*) see: Tetrazepam

2,4-dichloro-α-[(cyclopropylamino)methylene]-5-fluoro-β-oxobenzenepropanoic acid methyl ester
($C_{14}H_{12}Cl_2FNO_3$; *105392-26-5*) see: Ciprofloxacin

4-(2,2-dichlorocyclopropyl)aniline
($C_9H_9Cl_2N$; *52179-27-8*) see: Ciprofibrate

4-(2,2-dichlorocyclopropyl)phenol
($C_9H_8Cl_2O$; *52179-26-7*) see: Ciprofibrate

1,10-dichlorodecane
($C_{10}H_{20}Cl_2$; *2162-98-3*) see: Tiadenol

2,6-dichloro-9-(2-deoxy-3,5-di-*O*-*p*-toluoyl-β-D-*erythro*-pentofuranosyl)purine
($C_{26}H_{22}Cl_2N_4O_5$; *38925-80-3*) see: Cladribine

1,5-dichloro-1,5-dideoxy-L-arabinitol 2,4-diacetate
($C_9H_{14}Cl_2O_5$; *118227-48-8*) see: Tacrolimus

1,1-dichloro-2,2-difluoroethylene
($C_2Cl_2F_2$; *79-35-6*) see: Methoxyflurane

2,6-dichloro-α-[[(2,4-difluorophenyl)amino]methylene]-5-fluoro-β-oxo-3-pyridinepropanoic acid ethyl ester
($C_{17}H_{11}Cl_2F_3N_2O_3$; *100490-99-1*) see: Tosufloxacin

1,3-dichloro-2-(2,4-difluorophenyl)-2-propanol
($C_9H_8Cl_2F_2O$; *86386-74-5*) see: Fluconazole

8,11-dichloro-6,11-dihydro-5*H*-benzo[5,6]cyclohepta[1,2-*b*]pyridine
($C_{14}H_{11}Cl_2N$; *117810-66-9*) see: Loratadine

3,11-dichloro-6,11-dihydro-6-methyldibenzo[*c*,*f*][1,2]thiazepine *S*,*S*-dioxide
($C_{14}H_{11}Cl_2NO_2S$; *26638-66-4*) see: Tianeptine sodium

2,4-dichloro-6,7-dimethoxyquinazoline
($C_{10}H_8Cl_2N_2O_2$; *27631-29-4*) see: Alfuzosin; Prazosin

2,4-dichloro-α-[(dimethylamino)methylene]-5-fluoro-β-oxobenzenepropanoic acid methyl ester
($C_{13}H_{12}Cl_2FNO_3$; *105392-19-6*) see: Ciprofloxacin

[2,3-dichloro-4-[2-[(dimethylamino)methyl]-1-oxobutyl]phenoxy]acetic acid
($C_{15}H_{19}Cl_2NO_4$; *1160-10-7*) see: Etacrynic acid

4,5-dichloro-2,6-dimethyl-3(2*H*)-pyridazinone
($C_6H_6Cl_2N_2O$) see: Emorfazone

2,6-dichlorodiphenylamine
($C_{12}H_9Cl_2N$; *15307-93-4*) see: Diclofenac

2,6-dichloro-4,8-dipiperidinopyrimido[5,4-*d*]pyrimidine
($C_{16}H_{20}Cl_2N_6$; *7139-02-8*) see: Dipyridamole

1,2-dichloroethane
($C_2H_4Cl_2$; *107-06-2*) see: Dofetilide; Ethambutol; Trientine

2',4'-dichloro-5'-fluoroacetophenone
($C_8H_5Cl_2FO$; *704-10-9*) see: Temafloxacin

2,4-dichloro-5-fluorobenzoyl chloride
($C_7H_2Cl_3FO$; *86393-34-2*) see: Ciprofloxacin

2,6-dichloro-5-fluoronicotinoyl chloride
(C_6HCl_3FNO; *96568-02-4*) see: Tosufloxacin

2,3-dichloro-1-fluoro-4-nitrobenzene
($C_6H_2Cl_2FNO_2$; *36556-51-1*) see: Rufloxacin hydrochloride

2,4-dichloro-5-fluoropyrimidine
($C_4HCl_2FN_2$; *2927-71-1*) see: Flucytosine

***N*-[4,6-dichloro-5-(formylamino)-2-pyrimidinyl]acetamide**
($C_7H_6Cl_2N_4O_2$; *136470-91-2*) see: Abacavir

2,6-dichloro-*N*-hydroxybenzenecarboximidoyl chloride
($C_7H_4Cl_3NO$; *6579-27-7*) see: Dicloxacillin

2,3-dichloro-4-hydroxybenzoic acid
($C_7H_4Cl_2O_3$; *66584-09-6*) see: Tienilic acid

3,4-dichloro-5-hydroxy-2(5*H*)-furanone
($C_4H_2Cl_2O_3$; *766-40-5*) see: Amezinium metilsulfate

2,2-dichloro-*N*-(hydroxymethyl)acetamide
($C_3H_5Cl_2NO_2$; *1555-91-5*) see: Iodamide

(2,3-dichloro-4-hydroxyphenyl)-2-thienylmethanone
($C_{11}H_6Cl_2O_2S$; *40180-03-8*) see: Tienilic acid

2,3-dichloro-1-iodobenzene
($C_6H_3Cl_2I$; *2401-21-0*) see: Lamotrigine

6,9-dichloro-2-methoxyacridine
($C_{14}H_9Cl_2NO$; *86-38-4*) see: Mepacrine

3,4-dichloro-α-methoxybenzeneacetyl chloride
($C_9H_7Cl_3O_2$; *83833-34-5*) see: Clometocillin

2,3-dichloro-4-methoxybenzoic acid
($C_8H_6Cl_2O_3$; *55901-80-9*) see: Tienilic acid

2,3-dichloro-4-methoxybenzoyl chloride
($C_8H_5Cl_3O_2$; *76238-31-8*) see: Tienilic acid

3,4-dichloro-α-methoxyphenylacetic acid
($C_9H_8Cl_2O_3$; *13911-20-1*) see: Clometocillin

(2,3-dichloro-4-methoxyphenyl)-2-thienylmethanone
($C_{12}H_8Cl_2O_2S$; *40180-05-0*) see: Tienilic acid

4,6-dichloro-5-methoxypyrimidine
($C_5H_4Cl_2N_2O$; *5018-38-2*) see: Sulfadoxine

2-(dichloromethoxy)-1,1,1-trifluoroethane
($C_3H_3Cl_2F_3O$; *26644-86-0*) see: Isoflurane

4,6-dichloro-2-methyl-5-(1-acetyl-2-imidazolin-2-ylamino)pyrimidine
($C_{10}H_{11}Cl_2N_5O$; *75438-54-9*) see: Moxonidine

2,6-dichloro-3-methylaniline
($C_7H_7Cl_2N$; *64063-37-2*) see: Meclofenamic acid

1,2-dichloro-3-methylbenzene
($C_7H_6Cl_2$; *32768-54-0*) see: Anagrelide hydrochloride

***N*-[4-(dichloromethyleneamino)-3,5-dichlorophenyl]trichloroacetamide**
($C_9H_3Cl_7N_2O$; *86861-35-0*) see: Apraclonidine

(dichloromethylene)bisphosphonic acid tetrakis(1-methylethyl) ester
($C_{13}H_{28}Cl_2O_6P_2$; *10596-22-2*) see: Clodronate disodium

dichloromethyl methyl ether
($C_2H_4Cl_2O$; *4885-02-3*) see: Clidanac

4,5-dichloro-2-methyl-3-(2*H*)-pyridazone
($C_5H_4Cl_2N_2O$; *933-76-6*) see: Emorfazone

2,6-dichloro-4-methyl-3-pyridinecarbonitrile
($C_7H_4Cl_2N_2$; *875-35-4*) see: Nevirapine

2,6-dichloro-4-methyl-3-pyridinecarboxamide
($C_7H_6Cl_2N_2O$; *38841-54-2*) see: Nevirapine

2,4-dichloro-6-methylpyrimidine
($C_5H_4Cl_2N_2$; *5424-21-5*) see: Epirizole

2,5-dichloro-*N*-methyl-3-thiophenesulfonamide
($C_5H_5Cl_2NO_2S_2$; *56946-84-0*) see: Lornoxicam

2,6-dichloro-4-nitroaniline
($C_6H_4Cl_2N_2O_2$; *99-30-9*) see: Apraclonidine

2,4-dichloro-1-nitrobenzene
($C_6H_3Cl_2NO_2$; *611-06-3*) see: Clobazam; Pirprofen

2,5-dichloro-1-nitrobenzene
($C_6H_3Cl_2NO_2$; *89-61-2*) see: Domperidone; Triclosan

2,3-dichloro-6-nitrobenzonitrile
($C_7H_2Cl_2N_2O_2$; *2112-22-3*) see: Anagrelide hydrochloride

cis-2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolane-4-methanol methanesulfonate
($C_{14}H_{15}Cl_2N_3O_5S$; *67914-86-7*) see: Itraconazole

cis-1-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]piperazine
($C_{23}H_{25}Cl_2N_5O_3$; *67915-50-8*) see: Itraconazole

cis-4-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]-2,4-dihydro-3*H*-1,2,4-triazol-3-one
($C_{31}H_{30}Cl_2N_8O_4$; *89848-41-9*) see: Itraconazole

cis-[4-[4-[4-[[2-(2,4-dichlorophenyl)-2-(1*H*-1,2,4-triazol-1-ylmethyl)-1,3-dioxolan-4-yl]methoxy]phenyl]-1-piperazinyl]phenyl]carbamic acid phenyl ester
($C_{36}H_{34}Cl_2N_6O_5$; *89848-11-3*) see: Itraconazole

2,6-dichloropurine
($C_5H_2Cl_2N_4$; *5451-40-1*) see: Aciclovir; Cladribine

2-[(2,6-dichloro-9*H*-purin-9-yl)methoxy]ethanol benzoate (ester)
($C_{15}H_{12}Cl_2N_4O_3$; *59277-96-2*) see: Aciclovir

3,6-dichloropyridazine
($C_4H_2Cl_2N_2$; *141-30-0*) see: Azintamide; Cadralazine; Pildralazine; Sulfachlorpyridazine

2,6-dichloropyridine
($C_5H_3Cl_2N$; *2402-78-0*) see: Flupirtine

3,5-dichloro-4-pyridone
($C_5H_3Cl_2NO$; *17228-70-5*) see: Cefazedone

4,7-dichloroquinoline
($C_9H_5Cl_2N$; *86-98-6*) see: Amodiaquine; Chloroquine; Glafenine; Hydroxychloroquine

3,3-dichloro-2,3,4,5-tetrahydro-1*H*-1-benzazepin-2-one
($C_{10}H_9Cl_2NO$; *86499-22-1*) see: Benazepril

1,3-dichloro-1,1,3,3-tetraisopropyldisiloxane
($C_{12}H_{28}Cl_2OSi_2$; *69304-37-6*) see: Cladribine

3,4-dichloro-1,2,5-thiadiazole
($C_2Cl_2N_2S$; *5728-20-1*) see: Timolol

[2,3-dichloro-4-(2-thienylcarbonyl)phenoxy]acetic acid ethyl ester
($C_{15}H_{12}Cl_2O_4S$; *66883-42-9*) see: Tienilic acid

2,5-dichlorothiophene
($C_4H_2Cl_2S$; *3172-52-9*) see: Lornoxicam

2,5-dichloro-3-thiophenesulfonyl chloride
($C_4HCl_3O_2S_2$; *56946-83-9*) see: Lornoxicam

2,6-dichlorothiophenol
($C_6H_4Cl_2S$; *24966-39-0*) see: Butoconazole

2,5-dichlorotoluene
($C_7H_6Cl_2$; *19398-61-9*) see: Ziprasidone hydrochloride

1,3-dichloro-6-(trifluoromethyl)-9-phenanthrenecarboxaldehyde
($C_{16}H_7Cl_2F_3O$; *38492-84-1*) see: Halofantrine

1,3-dichloro-6-(trifluoromethyl)-9-phenanthrenecarboxylic acid
($C_{16}H_7Cl_2F_3O_2$; *38635-85-7*) see: Halofantrine

diclofenac
($C_{14}H_{11}Cl_2NO_2$; *15307-86-5*) see: Aceclofenac

α,β-dicyanobenzenepropanoic acid ethyl ester
($C_{13}H_{12}N_2O_2$; *5473-13-2*) see: Phensuximide

α,α'-dicyano-1,1-cyclohexanediacetimide compd. with ammonia
($C_{12}H_{16}N_4O_2$; *108669-05-2*) see: Gabapentin

dicyanodiamide
($C_2H_4N_4$; *461-58-5*) see: Cyclobarbital; Hexobarbital; Metformin; Moroxydine

2,4-dicyano-3-ethyl-3-methylglutarimide
($C_{10}H_{11}N_3O_2$; *1135-62-2*) see: Bemegride

dicyanogen
(C_2N_2; *460-19-5*) see: Sulfametrole

α,β-dicyano-β-methylhydrocinnamic acid methyl ester
($C_{13}H_{12}N_2O_2$; *29840-30-0*) see: Mesuximide

4,4'-dicyano-2-nitrostilbene
($C_{16}H_9N_3O_2$; *67466-65-3*) see: Hydroxystilbamidine isethionate

dicyclohexylamine
($C_{12}H_{23}N$; *101-83-7*) see: Cefoxitin

dicyclohexylcarbinol
($C_{13}H_{24}O$; *4453-82-1*) see: Perhexiline

***N,N'*-dicyclohexylcarbodiimide**
($C_{13}H_{22}N_2$; *538-75-0*) see: Repaglinide

dicyclohexyl ketone
($C_{13}H_{22}O$; *119-60-8*) see: Perhexiline

1,1-dicyclohexyl-2-(2-pyridyl)ethanol hydrochloride
($C_{19}H_{30}ClNO$; *94439-07-3*) see: Perhexiline

1,1-dicyclohexyl-2-(2-pyridyl)ethylene hydrochloride
($C_{19}H_{28}ClN$; *6746-72-1*) see: Perhexiline

dicyclopropylmethylamine
($C_7H_{13}N$; *13375-29-6*) see: Rilmenidine

3',4'-didehydro-4'-deoxy-6'-[(trifluoroacetyl)oxy]vincaleukoblastinium mono(trifluoroacetate)
($C_{50}H_{56}F_6N_4O_{12}$) see: Vinorelbine

3',4'-didehydro-4'-deoxyvincaleukoblastine 6'-oxide
($C_{46}H_{56}N_4O_9$; *60332-19-6*) see: Vinorelbine

2',3'-didehydro-2',3'-dideoxycytidine
($C_9H_{11}N_3O_3$; *7481-88-1*) see: Zalcitabine

11,12-didehydro-7,10-dihydro-10-hydroxyretinol
($C_{20}H_{30}O_2$; *3230-75-9*) see: Retinol

(5α,6α)-7,8-didehydro-4,5-epoxymorphinan-3,6-diol
($C_{16}H_{17}NO_3$; *466-97-7*) see: Nalorphine

2',3'-dideoxyadenosine
($C_{10}H_{13}N_5O_2$; *4097-22-7*) see: Didanosine

(*S*)-2,4-dideoxy-1,3-*O*-[(4-methoxyphenyl)methylene]-4-(2-propenyl)-D-*erythro*-pentitol
($C_{16}H_{22}O_4$; *118207-50-4*) see: Tacrolimus

[3*R*-[3α(*S),5β]]-2,4-dideoxy-5-*O*-[(4-methoxyphenyl)methyl]-2-methyl-5-*C*-[tetrahydro-5-(iodomethyl)-3-furanyl]-3-*O*-[tris(1-methylethyl)silyl]-L-*threo*-pentose**
($C_{28}H_{47}IO_5Si$; *128708-25-8*) see: Tacrolimus

2,4-dideoxy-5-*O*-[(4-methoxyphenyl)methyl]-2-(2-propenyl)-L-*erythro*-pentonic acid methyl ester
($C_{17}H_{24}O_5$; *118207-49-1*) see: Tacrolimus

[2*R*-(2*R,3*S**,4*R**,5*R**,8*R**,10*R**,11*R**,12*S**,13*S**,14*R**)]-13-[(2,6-dideoxy-3-*C*-methyl-3-*O*-methyl-α-L-*ribo*-hexopyranosyl)oxy]-2-ethyl-3,4,6,10-tetrahydroxy-3,5,8,10,12,14-hexamethyl-13-[[3,4,6-trideoxy-3-(dimethyloxidoamino)-β-D-*xylo*-hexopyranosyl]oxy]-1-oxa-6-azacyclopentadecan-15-one**
($C_{37}H_{70}N_2O_{13}$; *90503-04-1*) see: Azithromycin

4-diethylamino-2-(2-methoxycarbonylethyl)-2-phenylbutyronitrile
($C_{18}H_{26}N_2O_2$; *190912-70-0*) see: Phenglutarimide

2-(diethylaminomethyl)imidazole
($C_8H_{15}N_3$; *54534-77-9*) see: Nizofenone

2-diethylamino-4-methyl-1-pentanol
($C_{10}H_{23}NO$; *115985-81-4*) see: Leucinocaine

***N*-[(diethylamino)methyl]pyrazinecarboxamide**
($C_{10}H_{16}N_4O$; *1017-28-3*) see: Morinamide

1-diethylamino-4-pentanone
($C_9H_{19}NO$; *105-14-6*) see: Chloroquine

4-diethylamino-2-phenylbutyronitrile
($C_{14}H_{20}N_2$; *3699-29-4*) see: Phenglutarimide

3-(diethylamino)-1-propanol
($C_7H_{17}NO$; *622-93-5*) see: Bornaprine

3-diethylaminopropiophenone
($C_{13}H_{19}NO$; *94-38-2*) see: Tridihexethyl chloride

3-diethylaminopropyl chloride
($C_7H_{16}ClN$; *104-77-8*) see: Aprindine

diethylammonium hydrogen sulfite
($C_4H_{13}NO_3S$; *53690-20-3*) see: Etamsylate

***N,N*-diethylaniline**
($C_{10}H_{15}N$; *91-66-7*) see: Nedocromil

diethyl benzylidenemalonate
($C_{14}H_{16}O_4$; *5292-53-5*) see: Acetorphan

diethyl benzylmalonate
($C_{14}H_{18}O_4$; *607-81-8*) see: Dimetindene

diethyl butylmalonate
see under butylmalonic acid diethyl ester

diethyl 2-*sec*-butyl-2-methylmalonate
($C_{12}H_{22}O_4$; *64770-18-9*) see: Mebutamate

diethylcarbamodithioic acid sodium salt
($C_5H_{10}NNaS_2$; *148-18-5*) see: Disulfiram

diethylcarbamoyl chloride
($C_5H_{10}ClNO$; *88-10-8*) see: Celiprolol; Diethylcarbamazine

diethyl carbonate
($C_5H_{10}O_3$; *105-58-8*) see: Ambuside; Bisoprolol; Fenspiride; Flurbiprofen; Furazolidone; Ketoprofen; Mephenytoin; Nifuratel; Phenobarbital; Pranoprofen; Protizinic acid; Temafloxacin; Toloxatone; Tybamate; Zolmitriptan

diethyl (*E*)-4-[2-(2-carboxyethenyl)phenyl]-1,4-dihydro-2,6-dimethyl-3,5-pyridinedicarboxylate
($C_{22}H_{25}NO_6$; *103890-71-7*) see: Lacidipine

***N,N*-diethylchloroacetamide**
($C_6H_{12}ClNO$; *2315-36-8*) see: Azintamide; Propanidid

***N,N*-diethylcyanoacetamide**
($C_7H_{12}N_2O$; *26391-06-0*) see: Entacapone

diethyl 2-cyano-3-(4-fluorophenyl)pentanedioate
($C_{16}H_{18}FNO_4$; *198640-81-2*) see: Paroxetine

diethyl 2-(cyclohexylamino)vinylphosphonate
($C_{12}H_{24}NO_3P$; *20061-84-1*) see: Cerivastatin sodium

diethyl 3-cyclopentene-1,1-dicarboxylate
($C_{11}H_{16}O_4$; *21622-00-4*) see: Dolasetron mesilate

diethyl 2-cyclopentenylmalonate
($C_{12}H_{18}O_4$; *53608-93-8*) see: Cyclopentobarbital

diethyl cyclopropane-1,1-dicarboxylate
($C_9H_{14}O_4$; *1559-02-0*) see: Montelukast sodium

diethyl (2,4-dichloro-5-fluorobenzoyl)malonate
($C_{14}H_{13}Cl_2FO_5$; *86483-50-3*) see: Ciprofloxacin

diethyl diethylmalonate
($C_{11}H_{20}O_4$; *77-25-8*) see: Barbital

diethyl [(7,8-difluoro-3-methoxymethyl-2,3-dihydro-4*H*-1,4-benzoxazin-4-yl)methylene]malonate
($C_{18}H_{21}F_2NO_6$; *91040-37-8*) see: Levofloxacin

diethyl 1,4-dihydro-2,6-diisopropyl-4-(4-fluorophenyl)pyridine-3,5-dicarboxylate
($C_{23}H_{30}FNO_4$; *124863-78-1*) see: Cerivastatin sodium

diethyl 1,1'-(dithiodi-2,1-ethanediyl)bis[6,8-difluoro-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-3-quinolinecarboxylic acid diethyl ester]
($C_{38}H_{44}F_4N_6O_6S_2$; *165541-88-8*) see: Rufloxacin hydrochloride

(*R*,S)-1,1'-(1,2-diethyl-1,2-ethanediyl)bis[4-methoxybenzene]**
($C_{20}H_{26}O_2$; *28231-25-6*) see: Hexestrol

1,1'-(1,2-diethyl-1,2-ethenediyl)bis[4-methoxybenzene]
($C_{20}H_{24}O_2$; *7773-34-4*) see: Diethylstilbestrol

diethyl ethoxycarbonylphosphonate
($C_7H_{15}O_5P$; *1474-78-8*) see: Foscarnet sodium

diethyl ethoxymethylenemalonate
($C_{10}H_{16}O_5$; *87-13-8*) see: Apalcillin; Chloroquine; Enoxacin; Floctafenine; Flumequine; Levofloxacin; Lomefloxacin; Nalidixic acid; Norfloxacin; Ofloxacin; Oxolinic acid; Pefloxacin; Pipemidic acid; Rosoxacin; Rufloxacin hydrochloride

diethyl ethyl-*sec*-butylmalonate
($C_{13}H_{24}O_4$; *76-71-1*) see: Secbutabarbital

diethyl 2-ethyl-2-(3-chloropropyl)malonate
($C_{12}H_{21}ClO_4$; *32821-60-6*) see: Vincamine

diethyl 9-ethyl-6,9-dihydro-10-propyl-4,6-dioxo-4*H*-pyrano[3,2-*g*]quinoline-2,8-dicarboxylate
($C_{23}H_{25}NO_7$; *69049-72-5*) see: Nedocromil

***N,N*-diethylethylenediamine**
($C_6H_{16}N_2$; *100-36-7*) see: Ambenonium chloride; Bromopride; Cinchocaine; Clofexamide; Mefexamide; Metoclopramide; Procainamide; Tiapride

diethyl 2-(3,3-ethylenedioxybutyl)malonate
($C_{13}H_{22}O_6$; *7796-23-8*) see: Kebuzone

diethyl α-ethyl-α-isopentylmalonate
($C_{14}H_{26}O_4$; *77-24-7*) see: Amobarbital

diethyl ethylmalonate
($C_9H_{16}O_4$; *133-13-1*) see: Amobarbital; Pentobarbital; Secbutabarbital; Thiopental; Vincamine

diethyl ethyl(1-methylbutyl)malonate
($C_{14}H_{26}O_4$; *76-72-2*) see: Pentobarbital; Thiopental

diethyl ethylphenylmalonate
($C_{15}H_{20}O_4$; *76-67-5*) see: Methylphenobarbital; Phenobarbital

diethyl formamidomalonate
($C_8H_{13}NO_5$; *6326-44-9*) see: Oxitriptan

diethyl L-glutamate hydrochloride
($C_9H_{18}ClNO_4$; *1118-89-4*) see: Methotrexate

***N,N*-diethyl-1,3,4,6,7,11b-hexahydro-9,10-dimethoxy-2-oxo-2*H*-benzo[*a*]quinolizine-3-carboxamide**
($C_{20}H_{28}N_2O_4$; *2214-63-3*) see: Benzquinamide

***N,N*-diethyl-1,3,4,6,7,11b-hexahydro-2-hydroxy-9,10-dimethoxy-2*H*-benzo[*a*]quinolizine-3-carboxamide**
($C_{20}H_{30}N_2O_4$; *53-68-9*) see: Benzquinamide

(*S*)-[(7,8-difluoro-2,3-dihydro-3-methyl-4*H*-1,4-benzoxazin-4-yl)methylene]propanedioic acid diethyl ester
($C_{17}H_{19}F_2NO_5$; *106939-43-9*) see: Levofloxacin

[(7,8-difluoro-2,3-dihydro-3-methyl-4*H*-1,4-benzoxazin-4-yl)methylene]propanedioic acid diethyl ester
($C_{17}H_{19}F_2NO_5$; *86760-99-8*) see: Ofloxacin

[*S*-(*R,*R**)]-7,8-difluoro-3,4-dihydro-3-methyl-4-[[1-[(4-methylphenyl)sulfonyl]-2-pyrrolidinyl]carbonyl]-2*H*-1,4-benzoxazine**
($C_{21}H_{22}F_2N_2O_4S$; *106939-44-0*) see: Levofloxacin

9,10-difluoro-2,3-dihydro-3-methyl-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzoxazine-6-carboxylic acid
($C_{13}H_9F_2NO_4$; *82419-35-0*) see: Ofloxacin

(6α,11β,16α,17α)-6,9-difluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-diene-17-carboxylic acid
($C_{21}H_{26}F_2O_5$; *28416-82-2*) see: Fluticasone propionate

(6α,11β,16α)-6,9-difluoro-11,21-dihydroxy-16-methylpregna-1,4-diene-3,20-dione
($C_{22}H_{28}F_2O_4$; *2607-06-9*) see: Diflucortolone valerate; Fluticasone propionate

6α,9α-difluoro-3,20-dioxo-16β-methyl-11β,17,21-trihydroxy-1,4-pregnadiene
($C_{22}H_{28}F_2O_5$; *2557-49-5*) see: Diflorasone diacetate

6,8-difluoro-1-(2-fluoroethyl)-1,4-dihydro-7-(4-methyl-1-piperazinyl)-4-oxo-3-quinolinecarbonitrile
($C_{17}H_{17}F_3N_4O$; *133369-53-6*) see: Fleroxacin

(6α,11β)-6,9-difluoro-11-hydroxy-17,21-[(1-methoxybutylidene)bis(oxy)]pregna-1,4-diene-3,20-dione
($C_{25}H_{34}F_2O_6$; *23640-92-8*) see: Difluprednate

(6α,11β,16β)- 6,9-difluoro-11-hydroxy-17,21-[(1-methoxyethylidene)bis(oxy)]-16-methyl-pregna-1,4-diene-3,20-dione
($C_{25}H_{32}F_2O_6$; *50630-18-7*) see: Diflorasone diacetate

(6α,11β,16α,17α)-6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)androsta-1,4-diene-17-carbothioic acid
($C_{24}H_{30}F_2O_5S$; *80474-45-9*) see: Fluticasone propionate

(6α,11β,16α,17α)-6,9-difluoro-11-hydroxy-16-methyl-3-oxo-17-(1-oxopropoxy)androsta-1,4-diene-17-carboxylic acid
($C_{24}H_{30}F_2O_6$; *65429-42-7*) see: Fluticasone propionate

(*R*)-3,4-difluoro-2-(2-hydroxypropoxy)-1-nitrobenzene
($C_9H_9F_2NO_4$; *124409-94-5*) see: Levofloxacin

4-(difluoromethoxy)aniline
($C_7H_7F_2NO$; *22236-10-8*) see: Pantoprazole sodium

5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]thio]-1*H*-benzimidazole
($C_{16}H_{15}F_2N_3O_3S$; *102625-64-9*) see: Pantoprazole sodium

5-(difluoromethoxy)-2-mercaptobenzimidazole
($C_8H_6F_2N_2OS$; *97963-62-7*) see: Pantoprazole sodium

4-(difluoromethoxy)-2-nitrobenzenamine
($C_7H_6F_2N_2O_3$; *97963-76-3*) see: Pantoprazole sodium

***N*-[4-(difluoromethoxy)phenyl]acetamide**
($C_9H_9F_2NO_2$; *22236-11-9*) see: Pantoprazole sodium

2-(difluoromethoxy)-1,1,1-trifluoroethane
($C_3H_3F_5O$; *1885-48-9*) see: Isoflurane

(*S*)-9,10-difluoro-3-methyl-7-oxo-2,3-dihydro-7*H*-pyrido[1,2,3-*de*]-1,4-benzoxazine-6-carboxylic acid
($C_{13}H_9F_2NO_4$; *100986-89-8*) see: Levofloxacin

[(difluoromethyl)thio]acetic acid
($C_3H_4F_2O_2S$; *83494-32-0*) see: Flomoxef

***cis*-7-[[[(difluoromethyl)thio]acetyl]amino]-7-methoxy-3-[[[1-[2-[[[(4-methylphenyl)methoxy]carbonyl][oxy]ethyl]-1*H*-tetrazol-5-yl]thio]methyl]-8-oxo-5-oxa-1-azabicyclo-[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester**
($C_{37}H_{36}F_2N_6O_9S_2$; *92823-08-0*) see: Flomoxef

2,3-difluoro-6-nitrophenol
($C_6H_3F_2NO_4$; *82419-26-9*) see: Levofloxacin; Ofloxacin

1-(2,3-difluoro-6-nitrophenoxy)-3-methoxy-2-propanone
($C_{10}H_9F_2NO_5$; *91040-35-6*) see: Levofloxacin

1-(2,3-difluoro-6-nitrophenoxy)-2-propanone
($C_9H_7F_2NO_4$; *82419-32-7*) see: Ofloxacin

2,3-difluoro-6-nitrophenyl oxiranylmethyl ether
($C_9H_7F_2NO_4$; *91040-33-4*) see: Levofloxacin

4-(2,4-difluorophenyl)anisole
($C_{13}H_{10}F_2O$; *90101-30-7*) see: Diflunisal

1-[2-(2,4-difluorophenyl)-2,3-epoxypropyl]-1*H*-1,2,4-triazole
($C_{11}H_9F_2N_3O$; *86386-76-7*) see: Fluconazole

(2,4-difluorophenyl)lithium
($C_6H_3F_2Li$; *87820-35-7*) see: Fluconazole

4-(2,4-difluorophenyl)phenol
($C_{12}H_8F_2O$; *59089-68-8*) see: Diflunisal

(2,4-difluorophenyl)-4-piperidinylmethanone oxime
($C_{12}H_{14}F_2N_2O$; *84163-46-2*) see: Risperidone

(2,4-difluorophenyl)(tetrahydropyran-4-yl)methanone
($C_{12}H_{12}F_2O_2$; *181479-09-4*) see: Risperidone

(*Z*)-(2,4-difluorophenyl)(tetrahydro-2*H*-pyran-4-yl)methanone oxime
($C_{12}H_{13}F_2NO_2$; *181479-10-7*) see: Risperidone

6α,9-difluoroprednisolone
($C_{21}H_{26}F_2O_5$; *806-29-1*) see: Difluprednate

6α,9-difluoro-11β,16α,17,21-tetrahydroxypregna-1,4-dien-3,20-dione 16,21-diacetate
($C_{25}H_{30}F_2O_8$; *3914-23-6*) see: Fluocinolone acetonide

1,1-difluoro-2,2,2-trichloroethane
($C_2HCl_3F_2$; *354-12-1*) see: Methoxyflurane

digitoxin
($C_{41}H_{64}O_{13}$; *71-63-6*) see: Acetyldigitoxin

diglycolic chloride
($C_4H_4Cl_2O_3$; *21062-20-4*) see: Ioglycamic acid

digoxin
($C_{41}H_{64}O_{14}$; *20830-75-5*) see: α-Acetyldigoxin; β-Acetyldigoxin; Metildigoxin

3,4-dihydro-2*H*-1-benzopyran-3,8-diol
($C_9H_{10}O_3$; *81486-17-1*) see: Nipradilol

(*S*)-3,4-dihydro-6-chloro-4-hydroxy-2-(3-methoxypropyl)-2*H*-thieno[3,2-*e*]-1,2-thiazine 1,1-dioxide
($C_{10}H_{14}ClNO_4S_2$; *160982-13-8*) see: Brinzolamide

1,3-dihydro-5-(2-chlorophenyl)-2*H*-1,4-benzodiazepin-2-one
see under 5-(2-chlorophenyl)-2-oxo-2,3-dihydro-1*H*-1,4-benzodiazepine

dihydrocortisone 21-acetate
($C_{23}H_{32}O_6$; *1499-59-8*) see: Cortisone; Prednisone

6,7-dihydro-5*H*-dibenz[*c*,*e*]azepine
($C_{14}H_{13}N$; *6672-69-1*) see: Azapetine

7,10-dihydro-10-hydroxyretinol 15-acetate
($C_{22}H_{34}O_3$; *95404-32-3*) see: Retinol
(3α,16α)-14,15-dihydro-14-hydroxy-1,14-secoeburnamenine-14-carboxylic acid methyl ester
($C_{21}H_{28}N_2O_3$; *41173-96-0*) see: Vincamine
(*S*)-3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2*H*-1-benzopyran-2-methanol
($C_{14}H_{20}O_3$; *69427-83-4*) see: Troglitazone
3,4-dihydro-6-hydroxy-2,5,7,8-tetramethyl-2*H*-1-benzopyran-2-methanol α-acetate
($C_{16}H_{22}O_4$; *233757-09-0*) see: Troglitazone
(±)-3,4-dihydro-4-hydroxy-2*H*-thieno[3,2-*e*]-1,2-thiazine 1,1-dioxide
($C_6H_7NO_3S_2$; *138890-97-8*) see: Brinzolamide
dihydro-2-imino-5-methoxy-4,6(1*H*,5*H*)-pyrimidinedione
($C_5H_7N_3O_3$; *89280-05-7*) see: Sulfametoxydiazine
2,3-dihydro-2-(1-iminopropyl)-2-[(trimethylsilyl)oxy]-1*H*-indene
($C_{15}H_{23}NOSi$) see: Indanorex
(1*S*-*cis*)-2,3-dihydro-1*H*-indene-1,2-diol
($C_9H_{10}O_2$; *67528-22-7*) see: Indinavir sulfate
(1a*S*)-1a,6a-dihydro-6*H*-indeno[1,2-*b*]oxirene
(C_9H_8O; *67528-26-1*) see: Indinavir sulfate
(2,3-dihydro-1*H*-inden-4-yl)carbamimidothioic acid methyl ester monohydriodide
($C_{11}H_{15}IN_2S$; *40507-77-5*) see: Indanazoline
2,3-dihydro-1*H*-indole-2-carboxylic acid ethyl ester
($C_{11}H_{13}NO_2$; *50501-07-0*) see: Perindopril
2,3-dihydro-5-mercapto-3-oxo-4-isothiazolecarboxylic acid methyl ester, monosodium salt
($C_5H_4NaO_3S_2$) see: Cefotetan
dihydro-5(*S*)-(methanesulfonyloxymethyl)-3(*R*)-phenylmethyl-2(3*H*)-furanone
($C_{13}H_{16}O_5S$; *150323-17-4*) see: Indinavir sulfate
4,5-dihydro-6-[4-(4-methoxybenzoylamino)-3-nitrophenyl]-5-methyl-3(2*H*)-pyridazinone
($C_{19}H_{18}N_4O_5$; *74149-73-8*) see: Pimobendan
4-[2-(3,4-dihydro-7-methoxy-4,4-dimethyl-1,3-dioxo-2(1*H*)-isoquinolinyl)ethyl]benzenesulfonamide
($C_{20}H_{22}N_2O_5S$; *33456-68-7*) see: Gliquidone
3,4-dihydro-6-(methoxymethoxy)-2,5,7,8-tetramethyl-2*H*-1-benzopyran-2-methanol
($C_{16}H_{24}O_4$; *107188-55-6*) see: Troglitazone
3,4-dihydro-6-(methoxymethoxy)-5,7,8-trimethyl-2*H*-1-benzopyran-2-carboxylic acid ethyl ester
($C_{17}H_{24}O_5$; *107187-97-3*) see: Troglitazone
1,2-dihydro-6-methoxy-4-methylnaphthalene
($C_{12}H_{14}O$; *30021-91-1*) see: Dezocine
2,4-dihydro-2-(4-methoxy-6-methyl-2-pyrimidinyl)-5-methyl-3*H*-pyrazol-3-one
($C_{10}H_{12}N_4O_2$; *18694-45-6*) see: Epirizole
(*E*)-2-[2-(3,4-dihydro-6-methoxy-1(2*H*)-naphthalenylidene)ethyl]-2-ethyl-1,3-cyclopentanedione
($C_{20}H_{24}O_3$; *62298-52-6*) see: Levonorgestrel
[2*S*-[2α(*E*),3β]]-2-[2-(3,4-dihydro-6-methoxy-1(2*H*)-naphthalenylidene)ethyl]-2-ethyl-3-hydroxycyclopentanone
($C_{20}H_{26}O_3$; *51773-47-8*) see: Levonorgestrel
3,4-dihydro-2-(3-methoxypropyl)-4-oxo-2*H*-thieno[3,2-*e*]-1,2-thiazine-6-sulfonamide 1,1-dioxide
($C_{10}H_{14}N_2O_6S_3$; *154127-41-0*) see: Brinzolamide
(4*S*)-3,4-dihydro-2-(3-methoxypropyl)-2*H*-thieno[3,2-*e*]-1,2-thiazin-4-ol 1,1-dioxide
($C_{10}H_{15}NO_4S_2$) see: Brinzolamide
3,4-dihydro-6-methyl-2*H*-1-benzothiopyran-7-sulfonyl chloride 1,1-dioxide
($C_{10}H_{11}ClO_4S_2$; *1084-64-6*) see: Meticrane
10,11-dihydro-5-methyl-5*H*-dibenz[*b*,*f*]azepin-10-amine
($C_{15}H_{16}N_2$; *21808-11-7*) see: Metapramine
***N*-(10,11-dihydro-5-methyl-5*H*-dibenz[*b*,*f*]azepin-10-yl)formamide**
($C_{16}H_{16}N_2O$; *21737-56-4*) see: Metapramine
(4*S*-*trans*)-*N*-(5,6-dihydro-6-methyl-7,7-dioxido-4*H*-thieno[2,3-*b*]thiopyran-4-yl)acetamide
($C_{10}H_{13}NO_3S_2$; *147086-83-7*) see: Dorzolamide
1,3-dihydro-6-methylfuro[3,4-*c*]pyridin-7-ol
($C_8H_9NO_2$; *5196-20-3*) see: Pyridoxine
1,3-dihydro-4-methyl-2*H*-imidazol-2-one
($C_4H_6N_2O$; *1192-34-3*) see: Enoximone
3,4-dihydro-2-methyl-4-oxo-2*H*-1,2-benzothiazine-3-carboxylic acid methyl ester 1,1-dioxide
($C_{11}H_{11}NO_5S$; *29209-30-1*) see: Piroxicam
3-(4,7-dihydro-1-methyl-7-oxo-3-propyl-1*H*-pyrazolo[4,3-*d*]pyrimidin-5-yl)-4-ethoxybenzenesulfonyl chloride
($C_{17}H_{19}ClN_4O_4S$; *139756-22-2*) see: Sildenafil
5,6-dihydro-6-methyl-4-oxo-4*H*-thieno[2,3-*b*]thiopyran
($C_8H_8OS_2$; *120279-85-8*) see: Dorzolamide
5,6-dihydro-6-methyl-4-oxo-4*H*-thieno[2,3-*b*]thiopyran-2-sulfonamide
($C_8H_9NO_3S_3$; *120279-88-1*) see: Dorzolamide
5,6-dihydro-6-methyl-4-oxo-4*H*-thieno[2,3-*b*]thiopyran-2-sulfonic acid
($C_8H_8O_4S_3$; *120279-86-9*) see: Dorzolamide
1,3-dihydro-1-[(1-methyl-2-phenylethylidene)amino]-2*H*-indol-2-one
($C_{17}H_{16}N_2O$; *51135-33-2*) see: Amfenac sodium
10,11-dihydro-*N*-methyl-*N*-(phenylmethyl)-5*H*-dibenz[*b*,*f*]azepine-5-propanamine
($C_{25}H_{28}N_2$; *3978-87-8*) see: Desipramine
1,3-dihydro-4-[2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-2*H*-indol-2-one
($C_{17}H_{17}NO_4S$; *139122-20-6*) see: Ropinirole
9,10-dihydro-4-(1-methyl-4-piperidinyl)-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene-4-ol
($C_{19}H_{23}NOS$; *5189-10-6*) see: Pizotifen
4,10-dihydro-4-(1-methyl-4-piperidinylidene)-9*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophen-9-one
($C_{19}H_{19}NOS$; *34580-09-1*) see: Ketotifen
4,9-dihydro-4-(1-methyl-4-piperidinylidene)-10*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophen-10-one
($C_{19}H_{19}NOS$; *34580-13-7*) see: Ketotifen
4,5-dihydro-4-methylpyrazole
($C_4H_8N_2$; *5920-30-9*) see: Fomepizole
(4*S*-*trans*)-5,6-dihydro-6-methyl-4*H*-thieno[2,3-*b*]thiopyran-4-ol acetate 7,7-dioxide
($C_{10}H_{12}O_4S_2$; *147086-82-6*) see: Dorzolamide
(4*S*-*trans*)-5,6-dihydro-6-methyl-4*H*-thieno[2,3-*b*]thiopyran-4-ol 7,7-dioxide
($C_8H_{10}O_3S_2$; *147086-81-5*) see: Dorzolamide

2,5-dihydroxybenzoic acid
($C_7H_6O_4$; *490-79-9*) see: Flecainide
3,5-dihydroxybenzoic acid
($C_7H_6O_4$; *99-10-5*) see: Brodimoprim
2,5-dihydroxybenzoic acid monopotassium salt
($C_7H_5KO_4$; *52843-95-5*) see: Gentisic acid
1,2-dihydroxy-2-butene
($C_4H_8O_2$; *110-64-5*) see: Iotrolan
1α,25-dihydroxycholesterol
($C_{27}H_{46}O_3$; *50392-32-0*) see: Calcitriol
3,4-dihydroxycinnamoyl chloride cyclic carbonate
($C_{10}H_5ClO_4$; *116133-06-3*) see: Cynarine
6,7-dihydroxycoumaranone
($C_8H_6O_4$; *6272-27-1*) see: Methoxsalen
1α,25-dihydroxy-3,5-cyclovitamin D_2 1-acetate 6-methyl ether
($C_{31}H_{48}O_4$) see: Paricalcitol
6,7-dihydroxy-2,3-dihydrobenzofuran
($C_8H_8O_3$; *42484-95-7*) see: Methoxsalen
2,4-dihydroxy-6,7-dimethoxyquinazoline
($C_{10}H_{10}N_2O_4$; *28888-44-0*) see: Alfuzosin; Prazosin
(*S*)-4-[(2,4-dihydroxy-3,3-dimethyl-1-oxobutyl)amino]butanoic acid
($C_{10}H_{19}NO_5$; *49831-65-4*) see: Calcium hopantenate
3β,5-dihydroxy-6β,17-dimethyl-5α-pregnan-20-one
($C_{23}H_{38}O_3$; *95671-00-4*) see: Medrogestone
11β,17-dihydroxy-3,20-dioxo-9α-fluoro-21-iodo-16β-methyl-1,4-pregnadiene
($C_{22}H_{28}FIO_4$; *51548-34-6*) see: Betamethasone adamantoate
11β,17-dihydroxy-3,20-dioxo-9α-fluoro-4-pregnene
($C_{21}H_{29}FO_4$; *337-03-1*) see: Flugestone acetate
11β,17-dihydroxy-3,20-dioxo-21-iodo-4-pregnene
($C_{21}H_{29}IO_4$; *33767-06-5*) see: Hydrocortisone sodium phosphate; Tixocortol pivalate
5α,17α-dihydroxy-3,20-dioxo-6β-methylpregnane
($C_{22}H_{34}O_4$; *23706-51-6*) see: Medroxyprogesterone acetate
2,5-dihydroxy-1,3-dithiane
($C_4H_8O_2S_2$; *200396-18-5*) see: Brotizolam
1,8-dihydroxy-3-hydroxymethylanthraquinone
($C_{15}H_{10}O_5$; *481-72-1*) see: Diacerein
11α,17β-dihydroxy-2-(hydroxymethylene)-17-methylandrost-4-en-3-one
($C_{21}H_{30}O_4$; *2384-26-1*) see: Formebolone
[1*R*-[1α(*Z*),2β(*R),3α,5α]]-7-[3,5-dihydroxy-2-(3-hydroxy-5-phenylpentyl)cyclopentyl]-5-heptenoic acid**
($C_{23}H_{34}O_5$; *41639-83-2*) see: Latanoprost
3',5'-dihydroxy-2-(isopropylamino)acetophenone
($C_{11}H_{15}NO_3$; *94200-14-3*) see: Orciprenaline
4'-[(4,6-dihydroxy-5-methoxy-2-pyrimidinyl)sulfamoyl]acetanilide
($C_{13}H_{14}N_4O_6S$; *92024-58-3*) see: Sulfametoxydiazine
3',4'-dihydroxy-2-methylaminoacetophenone
($C_9H_{11}NO_3$; *99-45-6*) see: Dipivefrine; Epinephrine
3β,17β-dihydroxy-17α-methyl-5-androstene
($C_{20}H_{32}O_2$; *521-10-8*) see: Bolasterone; Methyltestosterone
(11β,17β)-11,17-dihydroxy-17-methylandrost-4-en-3-one
($C_{20}H_{30}O_3$; *1043-10-3*) see: Fluoxymesterone
17,21-dihydroxy-16-methylenepregn-4-ene-3,20-dione
($C_{22}H_{30}O_4$; *1570-80-5*) see: Fluprednidene acetate; Prednylidene
3β,17-dihydroxy-6-methyl-16-methylenepregn-5-en-20-one 17-acetate
($C_{25}H_{36}O_4$; *101611-22-7*) see: Melengestrol acetate
11α,17β-dihydroxy-17-methyl-3-oxo-4-androstene
($C_{20}H_{30}O_3$; *1807-02-9*) see: Formebolone
(11β,16α)-11,17-dihydroxy-16-methyl-21-(1-oxopropoxy)pregna-1,4,6-triene-3,20-dione
($C_{25}H_{32}O_6$; *69426-18-2*) see: Alclometasone dipropionate
(3α,16α)-3,17-dihydroxy-16-methylpregnane-11,20-dione
($C_{22}H_{34}O_4$; *25324-87-2*) see: Dexamethasone
(3α,16β)-3,17-dihydroxy-16-methylpregnane-11,20-dione
($C_{22}H_{34}O_4$; *25273-82-9*) see: Meprednisone
3α,17α-dihydroxy-16β-methylpregnane-11,20-dione
($C_{22}H_{34}O_4$; *803-09-8*) see: Betamethasone
5,17-dihydroxy-6β-methyl-5α-pregnane-3,20-dione cyclic bis(ethylene acetal)
($C_{26}H_{42}O_6$; *3386-01-4*) see: Medroxyprogesterone acetate
3α,17α-dihydroxy-16β-methyl-5β-pregnane-11,20-dione 20-ethylene acetal
($C_{24}H_{38}O_5$; *5078-92-2*) see: Betamethasone
17α,21-dihydroxy-16β-methylpregnane-3,11,20-trione 21-acetate
($C_{24}H_{34}O_6$; *1253-36-7*) see: Betamethasone
(5α,5'β)-3β,11β-dihydroxy-2'-methyl-5'*H*-pregnano[17,16-*d*]oxazol-20-one
($C_{23}H_{35}NO_4$; *13649-86-0*) see: Deflazacort; Fluazacort
3β,11β-dihydroxy-2'-methyl-5'β*H*-5α-pregnano[17,16-*d*]oxazol-20-one 3-acetate
($C_{25}H_{37}NO_5$; *13649-87-1*) see: Fluazacort
17α,21-dihydroxy-16α-methyl-1,4,9(11)-pregnatriene-3,20-dione 17-(2-furoate)
($C_{27}H_{30}O_6$; *83880-62-0*) see: Mometasone furoate
3α,17α-dihydroxy-16β-methyl-5β-pregn-9(11)-en-20-one
($C_{22}H_{34}O_3$; *13656-77-4*) see: Betamethasone
2,4-dihydroxy-6-methylpyrimidine
($C_5H_6N_2O_2$; *626-48-2*) see: Dipyridamole; Epirizole
(11β)-11,17-dihydroxy-21-[(methylsulfonyl)oxy]pregna-1,4-diene-3,20-dione
($C_{22}H_{30}O_7S$; *35410-28-7*) see: Prednisolone sodium sulfobenzoate
(11β)-11,17-dihydroxy-21-[(methylsulfonyl)oxy]pregn-4-ene-3,20-dione
($C_{22}H_{32}O_7S$; *6677-96-9*) see: Hydrocortisone sodium phosphate
3,4-dihydroxy-5-nitrobenzaldehyde
($C_7H_5NO_5$; *116313-85-0*) see: Entacapone
11β,17α-dihydroxy-3-oxoandrosta-1,4-diene-17β-carboxylic acid
($C_{20}H_{26}O_5$; *37927-29-0*) see: Loteprednol etabonate
1-[(11β,17α),11,17-dihydroxy-3-oxoandrosta-1,4-dien-17-yl]-1,2-propanedione
($C_{22}H_{28}O_5$; *6911-15-5*) see: Fluperolone acetate
1α,25-dihydroxy-10-oxo-3,5-cyclo-19-norvitamin D_2 1-acetate 6-methyl ether
($C_{30}H_{46}O_5$) see: Paricalcitol
(3β)-3,23-dihydroxy-20-oxo-21-norchola-5,22-dien-24-oic acid ethyl ester sodium salt
($C_{25}H_{35}NaO_5$) see: Desoxycortone acetate
2,4-dihydroxyphenyl benzyl ketone
($C_{14}H_{12}O_3$; *3669-41-8*) see: Ipriflavone

3,4-dimethoxybenzoyl chloride
($C_9H_9ClO_3$; *3535-37-3*) see: Itopride hydrochloride; Mebeverine; Vesnarinone

3-[2-(3,4-dimethoxybenzoyl)-4,5-dimethoxyphenyl]-2-pentanone 2-hydrazone
($C_{22}H_{28}N_2O_5$; *37952-09-3*) see: Tofisopam

3,4-dimethoxybenzyl chloride
($C_9H_{11}ClO_2$; *7306-46-9*) see: Papaverine

2,6-dimethoxy-3-bromobenzoyl chloride
($C_9H_8BrClO_3$; *84225-91-2*) see: Remoxipride

1-[3,3-(dimethoxycarbonyl)propyl]-2-(methanesulfonyl)-5-benzoylpyrrole
($C_{19}H_{21}NO_7S$; *80965-05-5*) see: Ketorolac

3,4-dimethoxycinnamoyl chloride
($C_{11}H_{11}ClO_3$; *39856-08-1*) see: Tranilast

2,2-dimethoxy-*N*,*N*-dimethylacetamide
($C_6H_{13}NO_3$; *25408-61-1*) see: Zolpidem

3,5-dimethoxy-α,α-dimethylbenzeneacetonitrile
($C_{12}H_{15}NO_2$; *22972-63-0*) see: Nabilone

1,2-dimethoxyethane
($C_4H_{10}O_2$; *110-71-4*) see: Docetaxel

3,5-dimethoxy-4-ethoxycarbonyloxybenzoyl chloride
($C_{12}H_{13}ClO_6$; *18780-68-2*) see: Syrosingopine

3,5-dimethoxy-4-hydroxybenzonitrile
($C_9H_9NO_3$; *72684-95-8*) see: Morclofone

5,6-dimethoxy-1-indanone
($C_{11}H_{12}O_3$; *2107-69-9*) see: Donepezil hydrochloride

3,5-dimethoxy-4-(2-methoxyethoxy)benzenepropanoic acid ethyl ester
($C_{16}H_{24}O_6$; *55211-63-7*) see: Tetroxoprim

2,3-dimethoxy-5-methyl-6-(9-carboxynonyl)benzoquinone
($C_{19}H_{28}O_6$; *58185-99-2*) see: Idebenone

5,6-dimethoxy-2-methyl-3-indolylacetic acid
($C_{13}H_{15}NO_4$; *71987-65-0*) see: Oxypertine

5,6-dimethoxy-2-methyl-3-(4-phenylpiperazinocarbonylmethyl)indole
($C_{23}H_{27}N_3O_3$; *71987-57-0*) see: Oxypertine

3,4-dimethoxy-2-methylpyridine 1-oxide
($C_8H_{11}NO_3$; *72830-07-0*) see: Pantoprazole sodium

6,7-dimethoxy-2-methyl-1,2,3,4-tetrahydroisoquinoline-1-acetic acid
($C_{14}H_{19}NO_4$; *54170-09-1*) see: Glaziovine

(*E*,*E*,*E*)-1,1-dimethoxy-7-methyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-4,6,8-nonatrien-3-one
($C_{21}H_{32}O_3$; *82925-39-1*) see: Retinol

(*E*)-5,5-dimethoxy-3-methyl-1-(2,6,6-trimethyl-1-cyclohexen-1-yl)-1-penten-3-ol
($C_{17}H_{30}O_3$; *1224-76-6*) see: Retinol

3,5-dimethoxy-4-[2-(4-morpholinyl)ethoxy]benzonitrile
($C_{15}H_{20}N_2O_4$) see: Morclofone

1,6-dimethoxynaphthalene
($C_{12}H_{12}O_2$; *3900-49-0*) see: Quinagolide hydrochloride

4,5-dimethoxy-2-nitrobenzaldehyde
($C_9H_9NO_5$; *20357-25-9*) see: Alfuzosin

4,5-dimethoxy-2-nitrobenzamide
($C_9H_{10}N_2O_5$; *4959-60-8*) see: Alfuzosin

3,4-dimethoxyphenethylamine
($C_{10}H_{15}NO_2$; *120-20-7*) see: Benzquinamide; Bevantolol; Denopamine; Dobutamine; Dopamine; Dopexamine; Papaverine

2-(3,4-dimethoxyphenethylamino)-4'-benzyloxyacetophenone
($C_{25}H_{27}NO_4$; *64434-48-6*) see: Denopamine

(3,4-dimethoxyphenyl)acetone
($C_{11}H_{14}O_3$; *776-99-8*) see: Carbidopa; Dimoxyline; Methyldopa

3,4-dimethoxyphenylacetonitrile
($C_{10}H_{11}NO_2$; *93-17-4*) see: Methyldopa; Papaverine; Verapamil

(3,4-dimethoxyphenyl)dimethylaminoacetonitrile
($C_{12}H_{16}N_2O_2$; *37672-97-2*) see: Vetrabutine

α-(3,4-dimethoxyphenyl)-α-(dimethylamino)benzenepentanenitrile
($C_{21}H_{26}N_2O_2$) see: Vetrabutine

2-(3,4-dimethoxyphenyl)ethylamine
see under 3,4-dimethoxyphenethylamine

***N*-[2-(3,4-dimethoxyphenyl)ethyl]-4-methoxy-(α-methylbenzenepropanamine**
($C_{21}H_{29}NO_3$; *61413-44-3*) see: Dobutamine

***N*-[2-(3,4-dimethoxyphenyl)ethyl]methylamine**
($C_{11}H_{17}NO_2$; *3490-06-0*) see: Gallopamil; Verapamil

***N*-[2-(3,4-dimethoxyphenyl)ethyl]-*N'*-(2-phenylethyl)hexanediamide**
($C_{24}H_{32}N_2O_4$; *86480-25-3*) see: Dopexamine

L-3-(3,4-dimethoxyphenyl)-2-hydrazino-2-methylalanine
($C_{12}H_{18}N_2O_4$; *28860-96-0*) see: Carbidopa

***N*-[1-[(3,4-dimethoxyphenyl)hydroxymethyl]propyl]benzeneacetamide**
($C_{20}H_{25}NO_4$) see: Moxaverine

L-3-(3,4-dimethoxyphenyl)-2-methylalanine
($C_{12}H_{17}NO_4$; *39948-18-0*) see: Carbidopa

(±)-3-(3,4-dimethoxyphenyl)-2-methylalanine
($C_{12}H_{17}NO_4$; *10128-06-0*) see: Methyldopa

2-(3,4-dimethoxyphenyl)-3-methylbutyronitrile
($C_{13}H_{17}NO_2$; *20850-49-1*) see: Verapamil

1-[(3,4-dimethoxyphenyl)methyl]-3,4-dihydro-6,7-dimethoxy-2(1*H*)-isoquinolinepropanoic acid 1,5-pentanediyl ester
($C_{51}H_{66}N_2O_{12}$; *64228-77-9*) see: Atracurium besilate

***N*-[2-(3,4-dimethoxyphenyl)-1-methylethyl]-4-ethoxy-3-methoxybenzeneacetamide**
($C_{22}H_{29}NO_5$; *93-31-2*) see: Dimoxyline

2-(3,5-dimethoxyphenyl)-2-methyl-3-octanone
($C_{17}H_{26}O_3$; *55048-08-3*) see: Nabilone

1-(3,4-dimethoxyphenyl)-2-nitro-1-butanol
($C_{12}H_{17}NO_5$; *1779-85-7*) see: Moxaverine

1-(2,5-dimethoxyphenyl)-1,2-propanedione 2-oxime
($C_{11}H_{13}NO_4$; *121347-31-7*) see: Methoxamine

1-(3,4-dimethoxyphenyl)-2-propanone oxime
($C_{11}H_{15}NO_3$; *1454-62-2*) see: Dimoxyline

α-(3,4-dimethoxyphenyl)-2-pyridinemethanol
($C_{14}H_{15}NO_3$; *31749-10-7*) see: Rimiterol

3,4-dimethoxyphenyl 2-pyridinyl ketone
($C_{14}H_{13}NO_3$; *27693-42-1*) see: Rimiterol

2',5'-dimethoxypropiophenone
($C_{11}H_{14}O_3$; *5803-30-5*) see: Methoxamine

2,4-dimethoxypyrimidine
($C_6H_8N_2O_2$; *3551-55-1*) see: Cytarabine

2-(dimethylamino)ethyl chloride
($C_4H_{10}ClN$; *107-99-3*) see: Bephenium hydroxynaphthoate; Binedaline; Brompheniramine; Captodiame; Carbinoxamine; Chlorphenamine; Chlorphenoxamine; Cyclopentolate; Dibenzepine; Diltiazem; Dimetindene; Doxylamine; Ethoheptazine; Itopride hydrochloride; Meclofenoxate; Mepyramine; Moxisylyte; Normethadone; Noxiptiline; Pheniramine; Phenyltoloxamine; Tamoxifen; Toremifene; Trimethobenzamide; Tripelennamine; Zotepine

2-[2-(dimethylamino)ethyl]-2,3-dihydro-1-[1-(2-pyridinyl)ethyl]-1*H*-inden-1-ol
($C_{20}H_{26}N_2O$; *70080-51-2*) see: Dimetindene

2-(2-dimethylaminoethyl)-1-indanone
($C_{13}H_{17}NO$; *3409-21-0*) see: Dimetindene

3-[3-(2-dimethylaminoethyl)-1*H*-indol-5-yl]-L-alanine
($C_{15}H_{21}N_3O_2$) see: Zolmitriptan

2-dimethylaminoethyl mercaptan
($C_4H_{11}NS$; *108-02-1*) see: Ecothiopate iodide

α-[2-(dimethylamino)ethyl]-4-methyl-α-phenylbenzenemethanol
($C_{18}H_{23}NO$; *58574-44-0*) see: Tolpropamine

(±)-3-[1-(dimethylamino)ethyl]phenol
($C_{10}H_{15}NO$; *105601-04-5*) see: Rivastigmine

[2-(dimethylamino)ethyl](phenylmethyl)propanedioic acid diethyl ester
($C_{18}H_{27}NO_4$; *1805-03-4*) see: Dimetindene

(2-dimethylaminoethyl)phenyl(2-pyridyl)acetonitrile
($C_{17}H_{19}N_3$; *71486-42-5*) see: Pheniramine

1-(2-dimethylaminoethyl)-1*H*-tetrazole-5-thiol
($C_5H_{11}N_5S$; *61607-68-9*) see: Cefotiam

2-dimethylamino-6-hydroxybenzothiazole
($C_9H_{10}N_2OS$; *943-04-4*) see: Dimazole

***trans*-2,2-dimethyl-5-amino-6-hydroxy-1,3-dioxepane**
($C_7H_{15}NO_3$; *79944-37-9*) see: Iotrolan

4-(dimethylamino)-3-(imidazo[1,2-*a*]pyridin-6-yl)-3-buten-2-one
($C_{13}H_{15}N_3O$; *106730-70-5*) see: Olprinone hydrochloride

β-dimethylaminoisobutyrophenone
($C_{12}H_{17}NO$; *91-03-2*) see: Dextropropoxyphene

(–)-β-dimethylaminoisobutyrophenone
($C_{12}H_{17}NO$; *48141-77-1*) see: Dextropropoxyphene

3-(dimethylamino)-2-(2-methoxyethoxy)-2-propenal
($C_8H_{15}NO_3$; *15131-88-1*) see: Glymidine

2-(dimethylaminomethyl)-4-(2-aminoethylthiomethyl)thiazole
($C_9H_{17}N_3S_2$; *78441-62-0*) see: Nizatidine

3-dimethylamino-7-methyl-1,2,4-benzotriazine 1-oxide
($C_{10}H_{12}N_4O$; *50632-92-3*) see: Azapropazone

4-(dimethylamino)-3-methyl-2-butanone
($C_7H_{15}NO$; *22104-62-7*) see: Clobutinol

2-[(dimethylamino)methyl]cyclohexanone
($C_9H_{17}NO$; *15409-60-6*) see: Tramadol

3-dimethylamino-7-methyl-1,2-dihydro-1,2,4-benzotriazine
($C_{10}H_{14}N_4$; *43171-03-5*) see: Azapropazone

2-dimethylamino-1-methylethyl chloride
see under 1-dimethylamino-2-chloropropane

5-(dimethylaminomethyl)furfuryl alcohol
($C_7H_{11}NO_2$; *80020-43-5*) see: Ranitidine

2-dimethylaminomethyl-1-methylpyrrole
($C_8H_{14}N_2$; *56139-76-5*) see: Tolmetin

α-[(dimethylamino)methyl]-4-nitrobenzeneacetic acid
($C_{11}H_{14}N_2O_4$; *71593-63-0*) see: Alminoprofen

3-dimethylamino-2-methylpropyl chloride
see under 1-chloro-3-dimethylamino-2-methylpropane

5-[3-(dimethylamino)-2-methylpropyl]-10,11-dihydro-5*H*-dibenzo[*a*,*d*]cyclohepten-5-ol
($C_{21}H_{27}NO$; *2625-17-4*) see: Butriptyline

3-dimethylamino-2-methylpropylmagnesium chloride
($C_6H_{14}ClMgN$; *36795-29-6*) see: Butriptyline

10-(3-dimethylamino-2-methylpropyl)phenothiazine
($C_{18}H_{22}N_2S$; *84-96-8*) see: Oxomemazine

3-[(dimethylamino)methyl]-1,2,3,9-tetrahydro-4*H*-carbazol-4-one
($C_{15}H_{18}N_2O$; *35556-30-0*) see: Ondansetron

2-[(dimethylamino)methyl]-4-thiazolemethanol
($C_7H_{12}N_2OS$; *78441-69-7*) see: Nizatidine

[4*S*-(4α,4aα,5α,5aα,6α,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,5,10,12,12a-pentahydroxy-1,11-dioxo-6-[(phenylthio)methyl]-2-naphthacenecarboxamide
($C_{28}H_{28}N_2O_8S$; *146253-71-6*) see: Doxycycline

[4*S*-(4α,4aα,5aα,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-1,11-dioxo-2-naphthacenecarboxamide
($C_{21}H_{22}N_2O_7$; *808-26-4*) see: Minocycline

[4*S*-(4α,4aα,5aα,12aα)]-4-(dimethylamino)-1,4,4a,5,5a,6,11,12a-octahydro-3,10,12,12a-tetrahydroxy-9-nitro-1,11-dioxo-2-naphthacenecarboxamide
($C_{21}H_{21}N_3O_9$; *4199-35-3*) see: Minocycline

(*R*)-[2-(dimethylamino)-2-oxo-1-[(phenylthio)methyl]ethyl]carbamic acid phenylmethyl ester
($C_{19}H_{22}N_2O_3S$; *197302-34-4*) see: Nelfinavir mesylate

***N*-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]acetamide**
($C_{13}H_{16}N_2O_2$; *96605-61-7*) see: Zaleplon

***N*-[3-[3-(dimethylamino)-1-oxo-2-propenyl]phenyl]-*N*-ethylacetamide**
($C_{15}H_{20}N_2O_2$; *96605-66-2*) see: Zaleplon

9-[3-(dimethylamino)-1-oxopropyl]-*N*,*N*-dimethyl-9*H*-thioxanthene-2-sulfonamide
($C_{20}H_{24}N_2O_3S$) see: Tiotixene

3-(dimethylamino)phenol
($C_8H_{11}NO$; *99-07-0*) see: Edrophonium chloride; Neostigmine methylsulfate

3-dimethylaminophenol sodium salt
($C_8H_{10}NNaO$; *65161-06-0*) see: Demecarium bromide

1-dimethylamino-2-phenoxyethane
($C_{10}H_{15}NO$; *13468-02-5*) see: Bephenium hydroxynaphthoate; Domiphen bromide; Thenium closilate

4-dimethylamino-2-phenylbutyronitrile
($C_{12}H_{16}N_2$; *50599-78-5*) see: Ethoheptazine

4-dimethylaminophenylmagnesium bromide
($C_8H_{10}BrMgN$; *7353-91-5*) see: Mifepristone

[*R*-(*R,*S**)]-2-(dimethylamino)-1-phenylpropyl octanoate**
($C_{19}H_{31}NO_2$; *114264-02-7*) see: Orlistat

3-(dimethylamino)-1-propanol
($C_5H_{13}NO$; *3179-63-3*) see: Clomipramine

1,3-dimethyl-6-(3-chloropropylamino)uracil
($C_9H_{14}ClN_3O_2$; *34654-81-4*) see: Urapidil

dimethylcyanamide
($C_3H_6N_2$; *1467-79-4*) see: Azapropazone

dimethyl cyanocarboimidodithioate
($C_4H_6N_2S_2$; *10191-60-3*) see: Cimetidine

dimethyl cyclohexylidenemalonate
($C_{11}H_{16}O_4$; *94286-34-7*) see: Gabapentin

(*S*)-2,2-dimethylcyclopropanecarboxamide
($C_6H_{11}NO$; *75885-58-4*) see: Cilastatin

4,4-dimethyl-3,4-dihydro-2*H*-1-benzothiopyran
($C_{11}H_{14}S$; *66165-06-8*) see: Tazarotene

2,2-dimethyl-4,7-dihydro-1,3-dioxepin
($C_7H_{12}O_2$; *1003-83-4*) see: Iotrolan; Nelfinavir mesylate; Pyridoxine

dimethyl 1,4-dihydro-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate
($C_{15}H_{14}N_2O_6$; *43113-96-8*) see: Rosoxacin

dimethyl 2,6-dimethoxyterephthalate
($C_{12}H_{14}O_6$; *16849-68-6*) see: Brodimoprim

6,6-dimethyl-5,7-dioxaspiro[2.5]octane-4,8-dione
($C_8H_{10}O_4$; *5617-70-9*) see: Ketorolac

2,2-dimethyl-1,3-dioxolane-4-methanol 2-aminobenzoate
($C_{13}H_{17}NO_4$; *4934-23-0*) see: Glafenine

2,2-dimethyl-1,3-dioxolane-4-methanol 2-nitrobenzoate
($C_{13}H_{15}NO_6$; *4601-17-6*) see: Glafenine

6,16α-dimethyl-3,20-dioxo-11β,17,21-trihydroxy-4,6-pregnadiene
($C_{23}H_{32}O_5$; *39932-51-9*) see: Cortivazol

***N,N'*-dimethyl-1,2-diphenyl-1,2-ethanediamine**
($C_{16}H_{20}N_2$; *22751-68-4*) see: Paroxetine

dimethyl(3,3-diphenyltetrahydro-2-furylidene)ammonium bromide
($C_{18}H_{20}BrNO$; *37743-18-3*) see: Loperamide

3-*O*,17α-dimethylestradiol
($C_{20}H_{28}O_2$; *15236-73-4*) see: Methylestrenolone

***N*-[(1,1-dimethylethoxy)carbonyl]-L-alanyl-*N*-[(1α,5α,6α)-3-[8-(2,4-difluorophenyl)-6-(ethoxycarbonyl)-3-fluoro-5,8-dihydro-5-oxo-1,8-naphthyridin-2-yl]-3-azabicyclo[3.1.0]hex-6-yl]-L-alaninamide**
($C_{33}H_{37}F_3N_6O_7$; *186772-86-1*) see: Alatrofloxacin mesilate

N^2-[*N*-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-D-α-glutamine phenylmethyl ester
($C_{20}H_{29}N_3O_6$; *18814-49-8*) see: Romurtide

N^2-[N^2-[*N*-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-D-α-glutaminyl]-N^6-[(phenylmethoxy)carbonyl]-L-lysine phenylmethyl ester
($C_{34}H_{47}N_5O_9$; *59524-63-9*) see: Romurtide

1-[*N*-[(1,1-dimethylethoxy)carbonyl]-L-alanyl]-L-proline phenylmethyl ester
($C_{20}H_{28}N_2O_5$; *35084-69-6*) see: Enalapril

(*S*)-α-[[(1,1-dimethylethoxy)carbonyl]amino]benzeneacetic acid methyl ester
($C_{14}H_{19}NO_4$; *143978-88-5*) see: Docetaxel

[2a*R*-[2aα,4β,4aβ,6β,9α(α*R,β*S**),11α,12α,12aα,12bα]]-β-[[(1,1-dimethylethoxy)carbonyl]amino]-α-[1-(ethoxy)-ethoxy]benzenepropanoic acid 12b-(acetyloxy)-12-(benzoyloxy)-4,6-bis[[(2,2,2-trichloroethoxy)carbonyl]oxy]-2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-7,11-methano-1*H*-cyclodeca[3,4]benz[1,2-*b*]oxet-9-yl ester**
($C_{53}H_{63}Cl_3NO_{19}$) see: Docetaxel

[2a*R*-[2aα,4β,4aβ,6β,9α(α*R,β*S**),11α,12α,12aα,12bα]]-β-[[(1,1-dimethylethoxy)carbonyl]amino]-α-hydroxybenzenepropanoic acid 2a,3,4,4a,5,6,9,10,11,12,12a,12b-dodecahydro-11-hydroxy-4a,8,13,13-tetramethyl-5-oxo-4,6-bis[[(2,2,2-trichloroethoxy)carbonyl]oxy]-7,11-methano-1*H*-cyclodeca[3,4]benz[1,2-*b*]oxet-9-yl ester**
($C_{49}H_{55}Cl_6NO_{18}$; *114915-14-9*) see: Docetaxel

[6*R*-[6α,7β(*R)]]-[[7-[[[[(1,1-dimethylethoxy)carbonyl]-amino](4-hydroxyphenyl)acetyl]amino]-2-[(diphenylmethoxy)carbonyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-en-3-yl]methyl]triphenylphosphonium iodide**
($C_{52}H_{49}IN_3O_7PS$; *92676-83-0*) see: *cis*-Cefprozil

[6*R*-[6α,7β(*R)]]-7-[[[[(1,1-dimethylethoxy)carbonyl]-amino]phenylacetyl]amino]-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid**
($C_{21}H_{25}N_3O_6S$; *28180-92-9*) see: Cefalexin

1-[N^6-[(1,1-dimethylethoxy)carbonyl]-N^2-[(phenylmethoxy)carbonyl]-L-lysyl]-L-proline phenylmethyl ester
($C_{31}H_{41}N_3O_7$; *90826-23-6*) see: Lisinopril

[*S*-(*R,*R**)]-γ-[[6-[(1,1-dimethylethoxy)carbonyl]tetrahydro-1(2*H*)-pyridazinyl]carbonyl]-1,3-dihydro-1,3-dioxo-2*H*-isoindole-2-butanoic acid**
($C_{22}H_{27}N_3O_7$; *88767-18-4*) see: Cilazapril

[6*R*-[6α,7β(Z)]]-7-[[[[2-(1,1-dimethylethoxy)-2-oxoethoxy]imino][2-(formylamino)-4-thiazolyl]acetyl]amino]-3-ethenyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester
($C_{34}H_{31}N_5O_8S_2$; *79350-29-1*) see: Cefixime

[6*R*-[6α,7β(*Z*)]]-7-[[[[2-(*1*,1-dimethylethoxy)-2-oxoethoxy]imino][2-(formylamino)-4-thiazolyl]acetyl]amino]-3-(triphenylphosphoranediyl)-8-oxo-5-thia-1-azabicyclo-[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester
($C_{51}H_{46}N_5O_8PS_2$) see: Cefixime

(*S*)-3-[[(*1*,1-dimethylethyl)*amino*]carbonyl]-3,4-dihydro-2(1*H*)-isoquinolinecarboxylic acid phenylmethyl ester
($C_{22}H_{26}N_2O_3$; *149182-71-8*) see: Saquinavir

5-[[(1,1-dimethylethyl)amino]carbonyl]-2,3-dihydro-1,4-pyrazinedicarboxylic acid 1-(1,1-dimethylethyl) 4-(phenylmethyl) ester
($C_{22}H_{31}N_3O_5$; *171504-92-0*) see: Indinavir sulfate

[3*S*-[2(1*S,2*S**),3α,4aβ,8aβ]]-[3-[3-[[(1,1-dimethylethyl)amino]carbonyl]octahydro-2(1*H*)-isoquinolinyl]-2-hydroxy-1-[(phenylthio)methyl]propyl]carbamic acid phenylmethyl ester**
($C_{32}H_{45}N_3O_4S$; *159878-04-3*) see: Nelfinavir mesylate

(*S*)-2-[[(*1*,1-dimethylethyl)*amino*]carbonyl]-1,4-piperazinedicarboxylic acid 4-(1,1-dimethylethyl) 1-(phenylmethyl) ester
($C_{22}H_{33}N_3O_5$; *150323-34-5*) see: Indinavir sulfate

2-[3-[(1,1-dimethylethyl)amino]-2-hydroxypropoxy]-5-fluorobenzaldehyde
($C_{14}H_{20}FNO_3$; *58929-11-6*) see: Butofilolol

[1*R*-[1α[*E*[1*S(*S**),2*S**,3*S**,5*S**,6*S**]],3α,4β]]-1-(1,1-dimethylethyl)-1,2-piperidinedicarboxylic acid 2-[6-formyl-5-[(4-methoxyphenyl)methoxy]-1-[2-[3-methoxy-4-[[tris(1-methylethyl)silyl]oxy]cyclohexyl]-1-methylethenyl]-2-methyl-3-[[tris(1-methylethyl)silyl]oxy]-8-nonenyl] ester**
($C_{58}H_{101}NO_{10}Si_2$; *128684-97-9*) see: Tacrolimus

***N*-(1,1-dimethylethyl)pyrazinecarboxamide**
($C_9H_{13}N_3O$; *121885-10-7*) see: Indinavir sulfate

(1,1-dimethylethyl)[[(3β,5*E*,7*E*,22*E*)-9,10-secoergosta-5,7,10(19),22-tetraen-3-yl]oxy]dimethylsilane
($C_{34}H_{58}OSi$; *104846-63-1*) see: Calcipotriol

***N*-(1,1-dimethylethyl)-1,4,5,6-tetrahydropyrazinecarboxamide**
($C_9H_{17}N_3O$; *171504-80-6*) see: Indinavir sulfate

dimethylformamide
(C_3H_7NO; *68-12-2*) see: Acrivastine; Amlexanox; Amrinone; Etretinate; Fluvastatin sodium; Gitaloxin; Glymidine; Isradipine; Lonazolac; Nomegestrol acetate; Sulfaperin

dimethylformamide diethyl acetal
($C_7H_{17}NO_2$; *1188-33-6*) see: Loprazolam; Rufloxacin hydrochloride

***N,N*-dimethylformamide diethyl acetal**
see under dimethylformamide diethyl acetal

dimethylformamide dimethyl acetal
($C_5H_{13}NO_2$; *4637-24-5*) see: Milrinone; Olprinone hydrochloride; Zaleplon

***N,N*-dimethylformamide di-tert-butyl acetal**
($C_{11}H_{25}NO_2$; *36805-97-7*) see: Lacidipine

6,6-dimethyl-1-hepten-4-yn-3-ol
($C_9H_{14}O$; *78629-20-6*) see: Terbinafine

3-(1,1-dimethylheptyl)-7,10-dihydro-1-hydroxy-6*H*-dibenzo[*b,d*]pyran-6,9(8*H*)-dione
($C_{22}H_{28}O_4$; *56469-12-6*) see: Nabilone

3-(1,1-dimethylheptyl)-7,10-dihydro-1-hydroxyspiro[9*H*-dibenzo[*b,d*]pyran-9,2'-[1,3]dioxolan]-6(8*H*)-one
($C_{24}H_{32}O_5$; *56469-13-7*) see: Nabilone

5-(1,1-dimethylheptyl)resorcinol
($C_{15}H_{24}O_2$; *56469-10-4*) see: Nabilone

3-(1,1-dimethylheptyl)-6,6a,7,8-tetrahydro-1-hydroxy-6,6-dimethyl-9*H*-dibenzo[*b,d*]pyran-9-one
($C_{24}H_{34}O_3$; *56469-14-8*) see: Nabilone

[4a*S*-[4aα,6α,8aα,8bβ,10aα,11α(*S),13aβ,13bα]]-11-(1,5-dimethylhexyl)-5,6,8a,8b,10,10a,11,12,13,13a-decahydro-6-hydroxy-8a,10a-dimethyl-2-phenyl-4a,13b-etheno-1*H*,9*H*-benzo[*c*]cyclopenta[*h*][1,2,4]triazolo[1,2-*a*]cinnoline-1,3(2*H*)-dione**
($C_{35}H_{47}N_3O_3$; *57102-18-8*) see: Alfacalcidol

5,9-dimethyl-2'-hydroxybenzo-6-morphen
($C_{14}H_{19}NO$; *16808-63-2*) see: Phenazocine

***N,N*-dimethyl-α-(1-hydroxycyclohexyl)-4-methoxyphenylthioacetamide**
($C_{17}H_{25}NO_2S$; *131801-70-2*) see: Venlafaxine

***N*-(1,1-dimethyl-2-hydroxyethyl)propylamine**
($C_7H_{17}NO$; *55968-10-0*) see: Meprylcaine

***N,N*-dimethylhydroxylamine**
(C_2H_7NO; *5725-96-2*) see: Amitriptylinoxide

2,2-dimethyl-4-hydroxymethyl-1,3-dioxolane
($C_6H_{12}O_3$; *100-79-8*) see: Floctafenine; Glafenine

5,9-dimethyl-2'-hydroxy-2-phenylacetylbenzo-6-morphen
($C_{22}H_{25}NO_2$) see: Phenazocine

1,3-dimethyl-6-(3-hydroxypropylamino)uracil
($C_9H_{15}N_3O_3$; *34654-80-3*) see: Urapidil

5,5-dimethyl-2-isopropylthiazolidine-4-carbonitrile
($C_9H_{16}N_2S$; *13206-50-3*) see: D-Penicillamine

5,5-dimethyl-2-isopropylthiazolidine-4-carboxylic acid
($C_9H_{17}NO_2S$; *13206-31-0*) see: D-Penicillamine

5,5-dimethyl-2-isopropyl-Δ³-thiazoline
($C_8H_{15}NS$; *32899-85-7*) see: D-Penicillamine

***N*-[4-[[(3,4-dimethyl-5-isoxazolyl)amino]sulfonyl]phenyl]acetamide**
($C_{13}H_{15}N_3O_4S$; *4206-74-0*) see: Sulfafurazole

dimethyl malonate
($C_5H_8O_4$; *108-59-8*) see: Biotin; Dolasetron mesilate; Gabapentin; Ziprasidone hydrochloride

2,6-dimethyl-5-methoxycarbonyl-4-(3-nitrophenyl)-1,4-dihydropyridine-3-carboxylic acid
($C_{16}H_{16}N_2O_6$; *74936-72-4*) see: Barnidipine; Lercanidipine hydrochloride

4,4-dimethyl-7-methoxyisochroman-1,3-dione
($C_{12}H_{12}O_4$; *55974-25-9*) see: Gliquidone

dimethyl methoxymalonate
($C_6H_{10}O_5$; *5018-30-4*) see: Sulfadoxine

[2*S*-(2α,5α,6α)]-3,3-dimethyl-6-methoxy-7-oxo-6-[[3-oxo-3-phenoxy-2-(3-thienyl)-1-propenylidene]amino]-4-thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid (4-nitrophenyl)methyl ester
($C_{30}H_{25}N_3O_9S_2$) see: Temocillin

4,4-dimethyl-2-(2-methoxyphenyl)-2-oxazoline
($C_{12}H_{15}NO_2$; *57598-33-1*) see: Losartan potassium

***N,N*-dimethyl-4-methoxyphenylthioacetamide**
($C_{11}H_{15}NOS$; *76579-52-7*) see: Venlafaxine

2,3-dimethyl-4-methylamino-1-phenyl-5-Δ³-pyrazolone
($C_{12}H_{15}N_3O$; *519-98-2*) see: Metamizole sodium

***N,N*-dimethyl-5-[[(methylamino)sulfonyl]methyl]-α-oxo-1*H*-indole-3-acetamide**
($C_{14}H_{17}N_3O_4S$; *103628-49-5*) see: Sumatriptan

dimethyl *N*-methylcarbonimidodithioate
($C_4H_9NS_2$; *18805-25-9*) see: Ranitidine

(*E*)-*N,N*-dimethyl-3-(4-methylphenyl)-3-phenyl-2-propen-1-amine
($C_{18}H_{21}N$; *58325-63-6*) see: Tolpropamine

dimethyl methylphosphonate
($C_3H_9O_3P$; *756-79-6*) see: Iloprost

***cis*-2,6-dimethylmorpholine**
($C_6H_{13}NO$; *6485-55-8*) see: Amorolfine

dimethyl naphthalene-1,5-disulfonate
($C_{12}H_{12}O_6S_2$; *20779-13-9*) see: Aclatonium napadisilate

dimethyl 5-nitroisophthalate
($C_{10}H_9NO_6$; *13290-96-5*) see: Iohexol; Iotalamic acid

2,3-dimethyl-4-nitropyridine *N*-oxide
($C_7H_8N_2O_3$; *37699-43-7*) see: Lansoprazole; Rabeprazole sodium

2,3-dimethyl-4-nitroso-1-phenyl-5-Δ³-pyrazolone
($C_{11}H_{11}N_3O_2$; *885-11-0*) see: Aminophenazone

dimethyl oxalate
($C_4H_6O_4$; *553-90-2*) see: Ceftriaxone; Misoprostol; Sulfadoxine

4'-[[1,4'-dimethyl-2'-propyl[2,6'-bi-1*H*-benzimidazol]-1'-yl]methyl]-[1,1'-biphenyl]-2-carboxylic acid 1,1-dimethylethyl ester
($C_{37}H_{38}N_4O_2$; *144702-26-1*) see: Telmisartan

1,3-dimethyl-7-propylxanthine
($C_{10}H_{14}N_4O_2$; *27760-74-3*) see: Propentofylline

2,5-dimethylpyrazine
($C_6H_8N_2$; *123-32-0*) see: Acipimox

2,5-dimethylpyrazine 1-oxide
($C_6H_8N_2O$; *6890-37-5*) see: Acipimox

3,5-dimethylpyrazole-1-carboxamidine nitrate
($C_6H_{11}N_5O_3$; *38184-47-3*) see: Eptifibatide

2,3-dimethylpyridine
(C_7H_9N; *583-61-9*) see: Rabeprazole sodium

3,4-dimethylpyridine
(C_7H_9N; *583-58-4*) see: Pentazocine; Phenazocine

2,6-dimethylpyridine 1-oxide
(C_7H_9NO; *1073-23-0*) see: Pyridinol carbamate

2,3-dimethylpyridine *N*-oxide
(C_7H_9NO; *22710-07-2*) see: Rabeprazole sodium

***N,N*-dimethyl-*N'*-(2-pyridyl)ethylenediamine**
see under 2-(2-dimethylaminoethylamino)pyridine

***N*-[4-[[(2,6-dimethyl-4-pyrimidinyl)amino]sulfonyl]phenyl]acetamide**
($C_{14}H_{16}N_4O_3S$; *3163-31-3*) see: Sulfisomidine

***N*-(2,6-dimethyl-4-pyrimidinyl)-4-nitrobenzenesulfonamide**
($C_{12}H_{12}N_4O_4S$) see: Sulfisomidine

2,6-dimethyl-4(3*H*)-quinazolinone
($C_{10}H_{10}N_2O$; *18731-19-6*) see: Raltitrexed

2-dimethylsulfamoylphenothiazine
see under 2-dimethylaminosulfonylphenothiazine

dimethyl sulfate
($C_2H_6O_4S$; *77-78-1*) see: α-Acetyldigoxin; Adrafinil; Alizapride; Amezinium metilsulfate; Aminophenazone; Azatadine; Betanidine; Bevonium metilsulfate; Brodimoprim; Bromopride; Caffeine; Camazepam; Cefotaxime; Clebopride; Clotiazepam; Diazepam; Diphemanil metilsulfate; Epimestrol; Epirizole; Etozolin; Flosequinan; Flurbiprofen; Gliquidone; Guajacol; Hexamethonium chloride; Hexobarbital; Hexocyclium metilsulfate; Isoflurane; Kawain; Ketazolam; Mecobalamin; Medazepam; Mefruside; Mephenytoin; Metamizole sodium; Methoxsalen; Metildigoxin; Metoclopramide; Metrizoic acid; Miltefosine; Nandrolone; Nemonapride; Neostigmine methylsulfate; Nimetazepam; Ondansetron; Paramethadione; Pentetrazol; Picotamide; Promestriene; Propyphenazone; Quinagolide hydrochloride; Setastine; Sildenafil; Sulpiride; Temazepam; Tienilic acid; Tilisolol hydrochloride; Timepidium bromide; Tipepidine; Trimethadione

dimethyl sulfide
(C_2H_6S; *75-18-3*) see: Ketorolac

dimethyl sulfinyl sodium
(C_2H_5NaOS; *15590-23-5*) see: Flosequinan; Promestriene

(*R,R*)-dimethyl tartrate
($C_6H_{10}O_6$; *608-68-4*) see: Naproxen

***N,N*-dimethyl-2-thenylamine**
($C_7H_{11}NS$; *26019-17-0*) see: Thenium closilate

4,4-di(3-methyl-2-thienyl)-3-butenyl bromide
($C_{14}H_{15}BrS_2$; *109857-81-0*) see: Tiagabine

di(3-methyl-2-thienyl)cyclopropylcarbinol
($C_{14}H_{16}OS_2$; *148319-26-0*) see: Tiagabine

di(3-methyl-2-thienyl)ketone
($C_{11}H_{10}OS_2$; *30717-55-6*) see: Tiagabine

dimethyl 2-thioxo-1,3-dithiole-4,5-dicarboxylate
($C_7H_6O_4S_3$; *7396-41-0*) see: Malotilate

***N,N*-dimethyl-5-[(1*H*-1,2,4-triazol-1-yl)methyl]-2-trimethylsilyl-1*H*-indol-3-ethanamine**
($C_{18}H_{27}N_5Si$) see: Rizatriptan benzoate

2,3-dimethyl-4-(2,2,2-trifluoroethoxy)pyridine 1-oxide
($C_9H_{10}F_3NO_2$; *103577-61-3*) see: Lansoprazole

(*all-E*)-[3,7-dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraenylidene]triphenylphosphorane
($C_{38}H_{43}P$; *51283-60-4*) see: Betacarotene

(*all-E*)-[3,7-dimethyl-9-(2,6,6-trimethyl-1-cyclohexen-1-yl)-2,4,6,8-nonatetraenyl]triphenylphosphonium sulfate (1:1)
($C_{38}H_{45}O_4PS$; *62075-45-0*) see: Betacarotene

2,2-dimethyltrimethylene acetonylphosphonate
($C_8H_{15}O_4P$; *111011-80-4*) see: Efonidipine hydrochloride ethanol

2,2-dimethyltrimethylene 2-amino-1-propenylphosphonate
($C_8H_{16}NO_3P$; *111011-81-5*) see: Efonidipine hydrochloride ethanol

***N,N*-dimethyl-2-trimethylsilyl-1,3-dithiane-2-propanamine**
($C_{12}H_{27}NS_2Si$) see: Rizatriptan benzoate

4,4-dimethyl-3,5,8-trioxabicyclo[5.1.0]octane
($C_7H_{12}O_3$; *57280-22-5*) see: Iotrolan; Nelfinavir mesylate

***N,N'*-dimethylurea**
($C_3H_8N_2O$; *96-31-1*) see: Theophylline

dimorpholinophosphinic chloride
($C_8H_{16}ClN_2O_3P$; *7264-90-6*) see: Dexamethasone phosphate; Paramethasone; Prednisolone sodium phosphate

(11β,16α)-21-[(di-4-morpholinylphosphinyl)oxy]-9-fluoro-11,17-dihydroxy-16-methylpregna-1,4-diene-3,20-dione
($C_{30}H_{44}FN_2O_8P$; *3864-50-4*) see: Dexamethasone phosphate

dimsyl sodium
see under dimethyl sulfinyl sodium

3,5-dinitrobenzoic acid
($C_7H_4N_2O_6$; *99-34-3*) see: Amidotrizoic acid

3,5-dinitrobenzoyl chloride
($C_7H_3ClN_2O_5$; *99-33-2*) see: Levofloxacin

(*R*)-3-[[(3,5-dinitrobenzoyl)oxy]methyl]-9,10-difluoro-2,3-dihydro-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzoxazine-6-carboxylic acid ethyl ester
($C_{22}H_{15}F_2N_3O_{10}$; *100993-11-1*) see: Levofloxacin

4,4'-dinitrophenyl sulfide
($C_{12}H_8N_2O_4S$; *1223-31-0*) see: Dapsone

4,4'-dinitrophenyl sulfone
($C_{12}H_8N_2O_6S$; *1156-50-9*) see: Dapsone

diosgenin
($C_{27}H_{42}O_3$; *512-04-9*) see: Pregnenolone

2-(1,4-dioxaspiro[4.5]dec-2-ylmethyl)-1*H*-isoindole-1,3(2*H*)-dione
($C_{17}H_{19}NO_4$; *22216-81-5*) see: Guanadrel

5,7-dioxa-6-thiaspiro[2.5]octane 6-oxide
($C_5H_8O_3S$; *89729-09-9*) see: Montelukast sodium

3,17-dioxo-1,4-androstadiene
($C_{19}H_{24}O_2$; *897-06-3*) see: Estrone

diphenylacetic acid
($C_{14}H_{12}O_2$; *117-34-0*) see: Adiphenine
diphenylacetic pyrrolidide
($C_{18}H_{19}NO$; *60678-46-8*) see: Dextromoramide
1,1-diphenylacetone
($C_{15}H_{14}O$; *781-35-1*) see: Diphenadione
diphenylacetonitrile
($C_{14}H_{11}N$; *86-29-3*) see: Diisopromine; Diphenoxylate; Doxapram; Fenpiverinium bromide; Isopropamide iodide; Methadone; Normethadone; Prozapine
diphenylacetyl chloride
($C_{14}H_{11}ClO$; *1871-76-7*) see: Adiphenine; Dextromoramide; Diphenadione; Piperidolate
diphenylamine
($C_{12}H_{11}N$; *122-39-4*) see: Dimetacrine; Fencarbamide
4-(1,2-diphenyl-1-butenyl)phenol
($C_{22}H_{20}O$; *68684-63-9*) see: Tamoxifen
1,2-diphenyl-4-butyl-4-(hydroxymethyl)pyrazolidine-3,5-dione
($C_{20}H_{22}N_2O_3$; *2311-33-3*) see: Feclobuzone; Suxibuzone
diphenylcarbamoyl chloride
($C_{13}H_{10}ClNO$; *83-01-2*) see: Fencarbamide
diphenyl *N*-cyanoimidocarbonate
($C_{14}H_{10}N_2O_2$; *79463-77-7*) see: Anagrelide hydrochloride
3,3-diphenyl-3-cyanopropyl bromide
see under 4-bromo-2,2-diphenylbutyronitrile
4,4-diphenyl-2-cyclohexen-1-one
($C_{18}H_{16}O$; *4528-64-7*) see: Pramiverine
diphenyldiazomethane
($C_{13}H_{10}N_2$; *883-40-9*) see: Benzatropine; Cefbuperazone; Cefixime; Cefoxitin; *cis*-Cefprozil; Cibenzoline; Latamoxef
(*RS,RS*)-1,2-diphenyl-1-[4-[2-(dimethylamino)ethoxy]phenyl]butane-1,4-diol
($C_{26}H_{31}NO_3$; *141854-25-3*) see: Toremifene
(*Z*)-1,2-diphenyl-1-[4-(2-(dimethylamino)ethoxy)phenyl]-1-buten-4-ol
($C_{26}H_{29}NO_2$; *97151-03-6*) see: Toremifene
2-[4-(1,2-diphenylethenyl)phenoxy]-*N,N*-diethylethanamine
($C_{26}H_{29}NO$; *19957-52-9*) see: Clomifene
(–)-*cis*-2,4-diphenyl-5-(1-ethoxyethoxy)-4,5-dihydro-1,3-oxazin-6-one
($C_{20}H_{21}NO_4$; *182072-57-7*) see: Paclitaxel
β,β-diphenylethyl methyl ketone
($C_{16}H_{16}O$; *5409-60-9*) see: Terodiline
1,2-diphenyl-1-(4-ethylphenyl)ethene
($C_{22}H_{20}$; *111077-74-8*) see: Broparestrol
2,2-diphenyl-4-(hexahydro-1*H*-azepino)butyronitrile
($C_{22}H_{26}N_2$; *83898-29-7*) see: Prozapine
diphenylmethanethiol
($C_{13}H_{12}S$; *4237-48-3*) see: Adrafinil
[6*R*-(6α,7α)]-7-[[3-(diphenylmethoxy)-2-(4-hydroxyphenyl)-1,3-dioxopropyl]amino]-7-methoxy-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-oxa-1-azabicyclo[4.2.0]-oct-2-ene-2-carboxylic acid diphenylmethyl ester
($C_{46}H_{40}N_6O_9S$) see: Latamoxef
diphenylmethyl 7-aminocephalosporanate
($C_{23}H_{22}N_2O_5S$; *27266-61-1*) see: Cefbuperazone; Cefoxitin; Cefuroxime
diphenylmethyl 7-amino-3-chloromethyl-3-cephem-4-carboxylate hydrochloride
($C_{21}H_{20}Cl_2N_2O_3S$; *107837-26-3*) see: *cis*-Cefprozil
diphenylmethyl 7-amino-3-chloromethyl-3-cephem-4-carboxylate monohydrochloride
($C_{21}H_{20}Cl_2N_2O_3S$; *79349-53-4*) see: Cefixime
diphenylmethyl 7-amino-7-methoxycephalosporanate
($C_{24}H_{24}N_2O_6S$; *35565-04-9*) see: Cefoxitin
diphenylmethyl 7(*R*)-7-amino-7-methoxy-3-(1-methyl-tetrazol-5-ylthiomethyl)-1-oxa-1-dethia-3-cephem-4-carboxylate
($C_{24}H_{24}N_6O_5S$; *66510-99-4*) see: Latamoxef
diphenylmethyl 7(*R*)-amino-3-(1-methyl-1*H*-tetrazol-5-ylthiomethyl)-3-cephem-4-carboxylate
($C_{23}H_{22}N_6O_3S_2$; *53090-86-1*) see: Cefbuperazone
diphenylmethyl 7-amino-3-[(*Z*)-1-propenyl]-3-cephem-4-carboxylate
($C_{23}H_{22}N_2O_3S$; *106447-41-0*) see: *cis*-Cefprozil
diphenylmethyl 7-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride
($C_{22}H_{21}ClN_2O_3S$; *79349-67-0*) see: Cefixime
diphenylmethyl 7(*S*)-azido-7-bromocephalosporanate
($C_{23}H_{19}BrN_4O_5S$; *35565-02-7*) see: Cefoxitin
diphenylmethyl 7(*S*)-azido-7-methoxycephalosporanate
($C_{24}H_{22}N_4O_6S$; *35565-03-8*) see: Cefoxitin
diphenylmethyl 7α-benzamido-3-chloromethyl-1-oxa-3-cephem-4-carboxylate
($C_{28}H_{23}ClN_2O_5$; *68314-04-5*) see: Flomoxef; Latamoxef
diphenylmethyl 7-[5-benzamido-5-(diphenylmethoxycarbonyl)pentanamido]-3-hydroxymethyl-3-cephem-4-carboxylate
($C_{47}H_{43}N_3O_8S$; *55779-09-4*) see: Cefixime
diphenylmethyl 6-benzamidopenicillanate
($C_{28}H_{26}N_2O_4S$; *64324-01-2*) see: Latamoxef
diphenylmethyl bromide
see under benzhydryl bromide
diphenylmethyl (6*R*,7*R*)-3-(chloromethyl)-8-oxo-7-[(phenylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate
($C_{29}H_{25}ClN_2O_4S$; *64308-63-0*) see: *cis*-Cefprozil
diphenylmethyl 7-diazocephalosporanate
($C_{23}H_{19}N_3O_5S$; *35609-55-3*) see: Cefoxitin
diphenylmethyl [6*R*-[6α,7β(*R)]]-7-[[[[(1,1-dimethylethoxy)carbonyl]amino](4-hydroxyphenyl)acetyl]amino]-3-(iodomethyl)-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate**
($C_{34}H_{34}IN_3O_7S$; *92676-82-9*) see: *cis*-Cefprozil
4-(diphenylmethylene)-1-methylpiperidine
($C_{19}H_{21}N$; *6071-93-8*) see: Diphemanil metilsulfate
diphenylmethyl 6-*epi*-benzamidopenicillanate
($C_{28}H_{26}N_2O_5S$; *69780-18-3*) see: Latamoxef
diphenylmethyl (6*R*,7*R*)-3-(hydroxymethyl)-8-oxo-7-[(phenylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylate
($C_{29}H_{26}N_2O_5S$; *35246-64-1*) see: *cis*-Cefprozil
diphenylmethyl 7-methoxy-7-[2-(2-thienyl)acetamido]-cephalosporanate
($C_{30}H_{28}N_2O_7S_2$; *35565-05-0*) see: Cefoxitin

E

edrophonium bromide
($C_{10}H_{16}BrNO$; *302-83-0*) see: Edrophonium chloride

elliptinium iodide
($C_{18}H_{17}IN_2O$; *58447-24-8*) see: Elliptinium acetate

embonic acid
($C_{23}H_{16}O_6$; *130-85-8*) see: Pyrvinium embonate

enalapril
($C_{20}H_{28}N_2O_5$; *75847-73-3*) see: Enalaprilat

enanthic anhydride
($C_{14}H_{26}O_3$; *626-27-7*) see: Norethisterone enanthate; Prasterone enanthate; Testosterone enanthate

L-ephedrine
($C_{10}H_{15}NO$; *299-42-3*) see: Cinnamedrine; Methamphetamine; Thiadrine

epichlorohydrin
(C_3H_5ClO; *106-89-8*) see: Acebutolol; Alprenolol; Atenolol; Befunolol; Bepridil; Betaxolol; Bevantolol; Bisoprolol; Bopindolol; Bucumolol; Bufetolol; Bunitrolol; Bupranolol; Butoconazole; Butofilolol; Cadexomer iodine; Carazolol; Carnitine; Carteolol; Carvedilol; Celiprolol; Cromoglicic acid; Detajmium bitartrate; Esmolol; Febuprol; Ganciclovir; Guanoxan; Indeloxacine; Indenolol; Levobunolol; Levofloxacin; Mepindolol; Metipranolol; Metoprolol; Milnacipran hydrochloride; Nadolol; Nadoxolol; Naftopidil; Nifuratel; Nipradilol; Oxprenolol; Penbutolol; Pindolol; Prenalterol; Prolonium iodide; Propafenone; Propranolol; Talinolol; Tertatolol; Tilisolol hydrochloride; Timolol; Toliprolol; Viloxazine; Xamoterol; Xantinol nicotinate; Xibenolol

(±)-epichlorohydrin
see under epichlorohydrin

epinastine
($C_{16}H_{15}N_3$; *80012-43-7*) see: Epinastine hydrochloride

DL-epinephrine
($C_9H_{13}NO_3$; *329-65-7*) see: Epinephrine

epinephrine
($C_9H_{13}NO_3$; *51-43-4*) see: Carbazochrome

epinine
($C_9H_{13}NO_2$; *501-15-5*) see: Ibopamine

epithiostanol
($C_{19}H_{30}OS$; *2363-58-8*) see: Mepitiostane

(±)-epivincamine
($C_{21}H_{26}N_2O_3$; *18210-81-6*) see: Vincamine

(–)-14-epivincamine
($C_{21}H_{26}N_2O_3$; *6835-99-0*) see: Vincamine

4,5-epoxyandrostane-3,17-dione
($C_{19}H_{26}O_3$; *77057-73-9*) see: Formestane

(4α,5α,17β)-4,5-epoxyandrost-2-eno[2,3-*d*]isoxazol-17-ol
($C_{20}H_{27}NO_3$; *20051-76-7*) see: Trilostane

3,4-epoxy-1-butene
(C_4H_6O; *930-22-3*) see: Retinol

1,2-epoxy-3-(2-cyanophenoxy)propane
($C_{10}H_9NO_2$; *38465-16-6*) see: Bunitrolol; Epanolol

1,2-epoxy-3-(2-cyclopentylphenoxy)propane
($C_{14}H_{18}O_2$; *28163-40-8*) see: Penbutolol

(±)-1,2-epoxy-3-[*p*-[2-(cyclopropylmethoxy)ethyl]phenoxy]propane
($C_{15}H_{20}O_3$; *63659-17-6*) see: Betaxolol

9β,11β-epoxy-17α,21-dihydroxy-16β-methyl-1,4-pregnadiene-3,20-dione 21-acetate
($C_{24}H_{30}O_6$; *912-38-9*) see: Betamethasone

(5α)-4,5-epoxy-3,14-dihydroxymorphinan-6-one cyclic 1,2-ethanediyl acetal
($C_{19}H_{21}NO_5$; *16739-57-4*) see: Naltrexone

16α,17-epoxy-3β,11α-dihydroxy-5α-pregnan-20-one
($C_{21}H_{32}O_4$; *113454-48-1*) see: Halopredone diacetate

(5α,6α,17Z)-5,6-epoxy-3,3-[1,2-ethanediylbis(oxy)]-11-oxopregn-17(20)-en-21-oic acid methyl ester
($C_{24}H_{32}O_6$; *985-95-5*) see: Fluprednisolone acetate

9,11β-epoxy-6α-fluoro-16α,17,21-trihydroxy-9β-pregn-4-ene-3,20-dione 16,21-diacetate
($C_{25}H_{31}FO_8$; *2265-01-2*) see: Fluocinolone acetonide

(2α,3α,5α,16α)-2,3-epoxy-16-hydroxyandrostan-17-one
($C_{19}H_{28}O_3$) see: Vecuronium bromide

16α,17-epoxy-3β-hydroxy-6,16-dimethylpregn-5-en-20-one acetate
($C_{25}H_{36}O_4$; *101611-21-6*) see: Melengestrol acetate

5,6α-epoxy-3β-hydroxy-17-methyl-5α-androstane-17β-carboxylic acid methyl ester
($C_{22}H_{34}O_4$; *106598-97-4*) see: Medrogestone

(9β,11β,17β)-9,11-epoxy-17-hydroxy-17-methylandrost-4-en-3-one
($C_{20}H_{28}O_3$; *1042-33-7*) see: Fluoxymesterone

(5α,6α)-5,6-epoxy-17-hydroxypregnane-3,20-dione cyclic bis(1,2-ethanediyl acetal)
($C_{25}H_{38}O_6$; *3496-78-4*) see: Medroxyprogesterone acetate

(6α,7α)-6,7-epoxy-17-hydroxypregn-4-ene-3,20-dione
($C_{21}H_{28}O_4$; *4913-88-6*) see: Chlormadinone acetate

(3β,16α)-16,17-epoxy-3-hydroxypregn-5-en-20-one
($C_{21}H_{30}O_3$; *974-23-2*) see: Hydrocortisone; Hydroxyprogesterone

16α,17α-epoxy-3-methoxyestra-1,3,5(10)-trien-17-ol acetate
($C_{21}H_{26}O_4$; *39057-00-6*) see: Estriol

1,2-epoxy-3-[4-(2-methoxyethyl)phenoxy]propane
($C_{12}H_{16}O_3$; *56718-70-8*) see: Metoprolol

1,2-epoxy-3-methoxy-3-phenylpropane
($C_{10}H_{12}O_2$; *32785-08-3*) see: Zipeprol

2,3-epoxy-1-(1-naphthyloxy)propane
($C_{13}H_{12}O_2$; *2461-42-9*) see: Nadoxolol; Naftopidil; Propranolol

(2*R*,3*S*)-1,2-epoxy-4-penten-3-ol
($C_5H_8O_2$; *100017-22-9*) see: Tacrolimus

6α,7α-epoxy-9β,10α-pregn-4-ene-3,20-dione
($C_{21}H_{28}O_3$) see: Trengestone

4-(2,3-epoxypropoxy)carbazole
($C_{15}H_{13}NO_2$; *51997-51-4*) see: Carazolol; Carvedilol

4-(2,3-epoxypropoxy)phenylacetamide
($C_{11}H_{13}NO_3$; *29122-69-8*) see: Atenolol

1-(2,3-epoxypropoxy)-2-(tetrahydrofurfuryloxy)benzene
($C_{14}H_{18}O_4$; *63342-69-8*) see: Bufetolol

5-(2,3-epoxypropoxy)-1,2,3,4-tetrahydroquinolin-2-one
($C_{12}H_{13}NO_3$; *51781-14-7*) see: Carteolol

5-(2,3-epoxypropoxy)-1-tetralone
($C_{13}H_{14}O_3$; *27562-62-5*) see: Levobunolol

4-(2,3-epoxypropyl)-*N*-methylisocarbostyril
($C_{13}H_{13}NO_3$; *62775-08-0*) see: Tilisolol hydrochloride

ethoxyacetylene
(C_4H_6O; *927-80-0*) see: Tacrolimus
β-ethoxyacryloyl chloride
($C_5H_7ClO_2$; *6191-99-7*) see: Vesnarinone
(3β)-3-ethoxyandrost-5-en-17-one
($C_{21}H_{32}O_2$; *62502-29-8*) see: Methandriol
2-ethoxyaniline
($C_8H_{11}NO$; *94-70-2*) see: Actinoquinol
4-ethoxyaniline
($C_8H_{11}NO$; *156-43-4*) see: Ethacridine; Ethoxzolamide; Lactylphenetidin; Phenacetin
2-(4-ethoxyanilino)-4-nitrobenzoic acid
($C_{15}H_{14}N_2O_5$; *74859-51-1*) see: Ethacridine
2-ethoxybenzoic acid
($C_9H_{10}O_3$; *134-11-2*) see: Sildenafil
4-ethoxybenzoic acid
($C_9H_{10}O_3$; *619-86-3*) see: Parethoxycaine
6-ethoxybenzothiazole-2-sulfenamide
($C_9H_{10}N_2OS_2$; *5304-15-4*) see: Ethoxzolamide
6-ethoxybenzothiazole-2-thiole
($C_9H_9NOS_2$; *120-53-6*) see: Ethoxzolamide
4-[(2-ethoxybenzoyl)amino]-1-methyl-3-propyl-1*H*-pyrazole-5-carboxamide
($C_{17}H_{22}N_4O_3$; *139756-03-9*) see: Sildenafil
2-ethoxybenzoyl chloride
($C_9H_9ClO_2$; *42926-52-3*) see: Sildenafil
4-ethoxybenzoyl chloride
($C_9H_9ClO_2$; *16331-46-7*) see: Parethoxycaine
4-(ethoxycarbonylamino)benzenesulfonyl chloride
($C_9H_{10}ClNO_4S$; *21208-62-8*) see: Sulfaphenazole
2-[(ethoxycarbonyl)amino]benzoic acid ethyl ester
($C_{12}H_{15}NO_4$; *108890-73-9*) see: Ketanserin
3-ethoxycarbonyl-1-benzyl-4-piperidone
($C_{15}H_{19}NO_3$; *41276-30-6*) see: Benperidol; Droperidol
***N*-[(*S*)-1-ethoxycarbonylbutyl]-L-alanine**
($C_{10}H_{19}NO_4$; *82834-12-6*) see: Perindopril
[2*S*-[1[*R(*R**)],2α,3aβ,7aβ]]-1-[2-[[1-(ethoxycarbonyl)butyl]amino]-1-oxopropyl]octahydro-1*H*-indole-2-carboxylic acid phenylmethyl ester**
($C_{26}H_{38}N_2O_5$; *122454-52-8*) see: Perindopril
4-ethoxycarbonyl-1,2-cyclopentanediol
($C_8H_{14}O_4$; *115956-02-0*) see: Dolasetron mesilate
3-ethoxycarbonyl-4-(3,4-dichlorophenyl)-4-phenylbut-3-enoic acid
($C_{19}H_{16}Cl_2O_4$; *79560-16-0*) see: Sertraline
7-ethoxycarbonyl-9-(ethoxycarbonylmethyl)-9-azabicyclo[3.3.1]nonan-3-one
($C_{15}H_{23}NO_5$; *115956-03-1*) see: Dolasetron mesilate
2-[4-(ethoxycarbonyl)-3-ethoxyphenyl]acetic acid
($C_{13}H_{16}O_5$; *99469-99-5*) see: Repaglinide
4-ethoxycarbonyl-5-(4-fluorophenyl)-2-methylpent-4-en-3-one
($C_{15}H_{17}FO_3$; *122930-45-4*) see: Cerivastatin sodium
(±)-*trans*-3-ethoxycarbonyl-4-(4-fluorophenyl)-*N*-methylpiperidine-2,6-dione
($C_{15}H_{16}FNO_4$; *109887-52-7*) see: Paroxetine
β-ethoxycarbonylglutaraldehyde
($C_8H_{12}O_4$; *115973-49-4*) see: Dolasetron mesilate
***N*-ethoxycarbonyl-14-hydroxy-3-methoxyisomorphinan**
($C_{20}H_{27}NO_4$; *58115-90-5*) see: Butorphanol
3-ethoxycarbonyl-4-hydroxy-2-methyl-2*H*-1,2-benzothiazine 1,1-dioxide
($C_{12}H_{13}NO_5S$; *24683-26-9*) see: Droxicam; Isoxicam
3-ethoxycarbonyl-4-hydroxy-2-methyl-2*H*-thieno[2,3-*e*]-1,2-thiazine 1,1-dioxide
($C_{10}H_{11}NO_5S_2$; *98827-42-0*) see: Tenoxicam
3-ethoxycarbonyl-4-hydroxy-8-trifluoromethylquinoline
($C_{13}H_{10}F_3NO_3$; *23851-84-5*) see: Floctafenine
3-ethoxycarbonyl-4-hydroxy-6,7,8-trifluoroquinoline
($C_{12}H_8F_3NO_3$; *80104-36-5*) see: Lomefloxacin
(*R*)-5-ethoxycarbonyl-2-mercapto-1-(1-phenylethyl)-imidazole
($C_{14}H_{16}N_2O_2S$; *84711-26-2*) see: Etomidate
***N*-ethoxycarbonyl-3-methoxy-8,14-didehydromorphinan**
($C_{20}H_{25}NO_3$; *58025-69-7*) see: Butorphanol
2'-ethoxycarbonylmethoxy-4'-(3-methyl-2-butenyloxy)-acetophenone
($C_{17}H_{22}O_5$; *64506-46-3*) see: Sofalcone
3-ethoxycarbonyl-2-methyl-5,6-dihydro-4*H*-pyran
($C_9H_{14}O_3$) see: Pentoxifylline
(*R*)-*N*-(ethoxycarbonylmethyl)-*N*-formyl-1-phenylethylamine
($C_{13}H_{17}NO_3$; *66514-85-0*) see: Etomidate
(*R*)-*N*-(ethoxycarbonylmethyl)-1-phenylethylamine
($C_{12}H_{17}NO_2$; *66512-37-6*) see: Etomidate
***N*^{1}-ethoxycarbonyl-2-methylpiperazine**
($C_8H_{16}N_2O_2$; *120737-73-7*) see: Temafloxacin
7a-ethoxycarbonylmethylpyrrolizine
($C_{11}H_{19}NO_2$; *88069-56-1*) see: Pilsicainide
6-ethoxycarbonyl-2-methylthio-5-oxo-5,8-dihydropyrido[2,3-*d*]pyrimidine
($C_{11}H_{11}N_3O_3S$; *34711-92-7*) see: Pipemidic acid
4-[[1-(ethoxycarbonyl)-2-oxocyclopentyl]methyl]-α-methylbenzeneacetic acid ethyl ester
($C_{20}H_{26}O_5$; *68767-26-0*) see: Loxoprofen
(*S*)-*N*-(1-ethoxycarbonyl-3-oxo-3-phenylpropyl)-L-alanine
($C_{15}H_{19}NO_5$; *87269-99-6*) see: Ramipril
1-[*N*-[1-(ethoxycarbonyl)-3-oxo-3-phenylpropyl]-L-alanyl]-L-proline phenylmethyl ester
($C_{27}H_{32}N_2O_6$; *105878-11-3*) see: Enalapril
17α-(ethoxycarbonyloxy)-11β-hydroxy-3-oxoandrosta-1,4-diene-17-carboxylic acid
($C_{23}H_{30}O_7$; *133991-63-6*) see: Loteprednol etabonate
(3β,16β,17α,18β,20α)-18-[[3-[4-[(ethoxycarbonyl)oxy]-3-methoxyphenyl]-1-oxo-2-propenyl]oxy]-11,17-dimethoxyyohimban-16-carboxylic acid methyl ester
($C_{36}H_{42}N_2O_{10}$; *49806-34-0*) see: Rescimetol
***N*-(1-ethoxycarbonyl-3-phenylpropyl)-L-alanine**
($C_{14}H_{19}NO_4$) see: Quinapril hydrochloride
***N*-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanine**
($C_{15}H_{21}NO_4$; *82717-96-2*) see: Imidapril; Moexipril; Quinapril hydrochloride; Spirapril; Trandolapril
***N*-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanine benzothiazol-2-ylthio ester**
($C_{22}H_{24}N_2O_3S_2$; *124492-03-1*) see: Spirapril
***N*-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanine benzyl ester**
($C_{22}H_{27}NO_4$; *82717-95-1*) see: Spirapril

***N*-(3-ethoxy-3-oxopropyl)-*N*-(phenylmethyl)-β-alanine ethyl ester**
($C_{17}H_{25}NO_4$; *6938-07-4*) see: Benperidol

2-ethoxyphenol
($C_8H_{10}O_2$; *94-71-3*) see: Tamsulosin hydrochloride; Viloxazine

2-ethoxyphenol sodium salt
($C_8H_9NaO_2$; *63449-45-6*) see: Reboxetine

1-(2-ethoxyphenoxy)-2,3-epoxypropane
($C_{11}H_{14}O_3$; *5296-35-5*) see: Viloxazine

2-[(2-ethoxyphenoxy)methyl]-4-(phenylmethyl)morpholine
($C_{20}H_{25}NO_3$; *47374-79-8*) see: Viloxazine

6-[(2-ethoxyphenoxy)methyl]-4-(phenylmethyl)-3-morpholinone
($C_{20}H_{23}NO_4$; *70154-82-4*) see: Viloxazine

1-(2-ethoxyphenoxy)-3-[(phenylmethyl)amino]-2-propanol
($C_{18}H_{23}NO_3$; *23184-52-3*) see: Viloxazine

(*R*,R)-[(2-ethoxyphenoxy)phenylmethyl]oxirane**
($C_{17}H_{18}O_3$; *98769-72-3*) see: Reboxetine

(*R*,R)-3-(2-ethoxyphenoxy)-3-phenyl-1,2-propanediol 2-methanesulfonate 1-(4-nitrobenzoate)**
($C_{25}H_{25}NO_9S$) see: Reboxetine

5-(2-ethoxyphenyl)-1,4-dihydro-1-methyl-3-propyl-7*H*-pyrazolo[4,3-*d*]pyrimidin-7-one
($C_{17}H_{20}N_4O_2$; *139756-21-1*) see: Sildenafil

***N'*-(4-ethoxyphenyl)-*N,N*-dimethylthiourea**
($C_{11}H_{16}N_2OS$; *5304-13-2*) see: Dimazole

1-(2-ethoxy-2-phenylethyl)piperazine
($C_{14}H_{22}N_2O$; *6722-51-6*) see: Eprazinone

4-ethoxyphenyl isothiocyanate
(C_9H_9NOS; *3460-49-9*) see: Dimazole

3-ethoxypropionitrile
(C_5H_9NO; *2141-62-0*) see: Thiamine; Trimethoprim

(11β,16α)-17,21-[(1-ethoxypropylidene)bis(oxy)]-11-hydroxy-16-methylpregna-1,4,6-triene-3,20-dione
($C_{27}H_{36}O_6$; *67212-72-0*) see: Alclometasone dipropionate

3-ethoxypropylmagnesium bromide
($C_5H_{11}BrMgO$; *121317-16-6*) see: Biotin

8-ethoxyquinoline
($C_{11}H_{11}NO$; *1555-94-8*) see: Actinoquinol

(3β,22*E*)-3-ethoxystigmasta-5,22-diene
($C_{31}H_{52}O$; *63201-36-5*) see: Methandriol

β-ethoxystyrene
($C_{10}H_{12}O$; *17655-74-2*) see: Bendroflumethiazide

(6*R-trans*)-3-[[(ethoxythioxomethyl)thio]methyl]-8-oxo-7-[(2-thienylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (4-nitrophenyl)methyl ester
($C_{24}H_{23}N_3O_7S_4$) see: Cefaclor

4-ethoxy-*N,N,N*-trimethyl-2,4-dioxo-1-butanaminium chloride
($C_9H_{18}ClNO_3$; *10485-23-1*) see: Camitine

ethoxytrimethylsilane
($C_5H_{14}OSi$; *1825-62-3*) see: Dimethicone

2-ethoxy-1-[2'-(1-triphenylmethyltetrazol-5-yl)biphenyl-4-ylmethyl]benzimidazole-7-carboxylic acid
($C_{33}H_{34}N_6O_3$; *139481-72-4*) see: Candesartan cilexetil

ethyl 2-acetamido-2-(ethoxycarbonyl)-3-(2-oxo-1,2-dihydroquinolin-4-yl)propionate
($C_{19}H_{22}N_2O_6$; *4900-38-3*) see: Rebamipide

ethyl acetate
($C_4H_8O_2$; *141-78-6*) see: Meglutol; Methyldopa; Milrinone; Mofezolac; Perindopril

ethyl acetoacetate
see under acetoacetic acid ethyl ester

(±)-ethyl 3-[4-[(6-acetoxy-2,5,7,8-tetramethylchroman-2-yl)methoxy]phenyl]-2-chloropropionate
($C_{27}H_{33}ClO_6$; *97322-68-4*) see: Troglitazone

ethyl (3*R*,4*R*,5*S*)-4-(acetylamino)-5-azido-3-(1-ethylpropoxy)-1-cyclohexene-1-carboxylate
($C_{16}H_{26}N_4O_4$; *204255-06-1*) see: Oseltamivir

ethyl acrylate
($C_5H_8O_2$; *140-88-5*) see: Acrivastine; Azelastine; Benperidol; Benzquinamide; Setiptiline; Troglitazone

ethyl adipoyl chloride
($C_8H_{13}ClO_3$; *1071-71-2*) see: Dopexamine; Thioctic acid

ethyl 5-allyl-2-hydroxy-3-methoxybenzoate
($C_{13}H_{16}O_4$; *7152-89-8*) see: Alibendol

ethylamine
(C_2H_7N; *75-04-7*) see: Alverine; Brinzolamide; Cadralazine; Crotetamide; Dorzolamide; Etilefrine; Mebeverine; Piperidolate; Tropicamide

ethyl (1α,5α,6α)-7-(6-amino-3-azabicyclo[3.1.0]hex-3-yl)-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate
($C_{22}H_{19}F_3N_4O_3$; *171176-56-0*) see: Alatrofloxacin mesilate

ethyl 4-aminobenzoate
($C_9H_{11}NO_2$; *94-09-7*) see: Procaine; Tetracaine

L-ethyl 2-aminobutyrate hydrochloride
($C_6H_{14}ClNO_2$; *91462-82-7*) see: Ethambutol

ethyl *N*-(2-amino-6-chlorobenzyl)glycinate
($C_{11}H_{15}ClN_2O_2$) see: Anagrelide hydrochloride

ethyl (3*R*,4*S*)-*rel*-4-[(4-amino-5-chloro-2-methoxybenzoyl)amino]-3-methoxy-1-piperidinecarboxylate
($C_{17}H_{24}ClN_3O_5$; *83863-70-1*) see: Cisapride

α-ethyl-3-aminocinnamic acid
($C_{11}H_{13}NO_2$; *59150-78-6*) see: Bunamiodyl

ethyl 3-aminocrotonate
($C_6H_{11}NO_2$; *7318-00-5*) see: Felodipine; Lacidipine

ethyl 1-amino-1-cyclopentanecarboxylate
($C_8H_{15}NO_2$; *1664-35-3*) see: Irbesartan

ethyl 5-amino-1-cyclopropyl-6,7,8-trifluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylate
($C_{15}H_{13}F_3N_2O_3$; *103772-13-0*) see: Sparfloxacin

ethyl 5-amino-2,2-diethyl-3-oxo-4-pentenoate
($C_{11}H_{19}NO_3$; *74367-91-2*) see: Methyprylon; Pyrithyldione

(4*R-trans*)-4-(ethylamino)-5,6-dihydro-6-methyl-4*H*-thieno[2,3-*b*]thiopyran-2-sulfonamide 7,7-dioxide
($C_{10}H_{16}N_2O_4S_3$; *120279-95-0*) see: Dorzolamide

2-(ethylamino)-*N,N*-dimethylbutanamide
($C_8H_{18}N_2O$; *84803-61-2*) see: Crotetamide

2-(ethylamino)ethanol
($C_4H_{11}NO$; *110-73-6*) see: Bamifylline; Hydroxychloroquine

ethyl β-amino-β-ethoxyacrylate
($C_7H_{13}NO_3$; *39632-87-6*) see: Muzolimine

ethyl 7-aminoheptanoate
($C_9H_{19}NO_2$; *1117-66-4*) see: Amineptine; Tianeptine sodium

ethyl 5-bromo-3-methyl-4-oxothiazolidin-2-ylideneacetate
($C_8H_{10}BrNO_3S$; *86379-70-6*) see: Etozolin

ethyl 7-bromo-2-oxoheptanoate
($C_9H_{15}BrO_3$; *107871-17-0*) see: Cilastatin

ethyl (±)-3-bromo-4-oxo-1-piperidinecarboxylate
($C_8H_{12}BrNO_3$; *95629-02-0*) see: Cisapride

(±)-ethyl 2-bromo-4-phenylbutanoate
($C_{12}H_{15}BrO_2$; *82586-61-6*) see: Moexipril; Quinapril hydrochloride; Temocapril

ethyl 2-bromo-4-phenylbutyrate
see under (±)-ethyl 2-bromo-4-phenylbutanoate

ethyl *N*-[(4-bromophenyl)sulfonyl]methanimidate
($C_9H_{10}BrNO_3S$; *100981-68-8*) see: Ebrotidine

ethyl 2-bromopropionate
($C_5H_9BrO_2$; *535-11-5*) see: Naproxen

ethyl 5-bromo-3-(2-pyridyl)indole-2-carboxylate 1'-oxide
($C_{16}H_{13}BrN_2O_3$; *29310-54-1*) see: Bromazepam

ethyl bromopyruvate
($C_5H_7BrO_3$; *70-23-5*) see: Nizatidine

2-ethylbutanenitrile
($C_6H_{11}N$; *617-80-1*) see: Valdetamide

ethyl (2*R*,3*S*)-3-*tert*-butoxycarbonylamino-2-hydroxy-3-phenylpropionate
($C_{16}H_{23}NO_5$; *143527-75-7*) see: Docetaxel

ethyl 2-(*tert*-butoxycarbonylamino)-3-nitrobenzoate
($C_{14}H_{18}N_2O_6$; *136285-65-9*) see: Candesartan cilexetil

ethyl (*Z*)-2-(1-*tert*-butoxycarbonyl-1-methylethoxyimino)-2-(2-tritylaminothiazol-4-yl)acetate
($C_{34}H_{37}N_3O_5S$; *68672-65-1*) see: Ceftazidime

ethyl 4-butoxyphenylacetate
($C_{14}H_{20}O_3$; *4547-58-4*) see: Bufexamac

ethyl 4-butylaminobenzoate
($C_{13}H_{19}NO_2$; *94-32-6*) see: Benzonatate

2-ethylbutyric acid
($C_6H_{12}O_2$; *88-09-5*) see: Carbromal

ethyl carbamate
($C_3H_7NO_2$; *51-79-6*) see: Carisoprodol; Felbamate; Mebutamate; Tybamate

ethyl carbazate
($C_3H_8N_2O_2$; *4114-31-2*) see: Cadralazine

ethyl (*S*,*S*)-2-[(1-carboxyethyl)amino]-4-phenylbutanoate
see under *N*-[1(*S*)-ethoxycarbonyl-3-phenylpropyl]-L-alanine

ethyl chloride
(C_2H_5Cl; *75-00-3*) see: Oxeladin; Phenacetin

ethyl 2-(2-chloroacetamido-4-thiazolyl)-2-methoxyiminoacetate
($C_{10}H_{12}ClN_3O_4S$; *60846-16-4*) see: Ceftriaxone

ethyl chloroacetate
($C_4H_7ClO_2$; *105-39-5*) see: Azimilide hydrochloride; Cloricromen; Etomidate; Ibuprofen; Piracetam; Retinol; Tiaramide; Tienilic acid

ethyl 2-chloroacetoacetate
($C_6H_9ClO_3$; *609-15-4*) see: Cimetidine; Pyridoxine

ethyl 4-chloroacetoacetate
($C_6H_9ClO_3$; *638-07-3*) see: Amlodipine; Carnitine; Folescutol

ethyl α-(3-chloro-4-aminophenyl)propionate
($C_{11}H_{14}ClNO_2$; *26406-97-3*) see: Pirprofen

ethyl 4-(4-chlorobenzhydryl)piperazine-1-carboxylate
($C_{20}H_{23}ClN_2O_2$; *80476-89-7*) see: Buclizine; Cetirizine

7-ethyl-10-(chlorocarbonyloxy)camptothecin
($C_{23}H_{19}ClN_2O_6$; *97682-31-0*) see: Irinotecan

ethyl 4-chlorocinnamate
($C_{11}H_{11}ClO_2$; *6048-06-2*) see: Baclofen

ethyl 7-chloro-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate
($C_{17}H_{10}ClF_3N_2O_3$; *100491-29-0*) see: Tosufloxacin; Trovafloxacin mesilate

ethyl 7-chloro-1-(2,4-difluorophenyl)-6-fluoro-4-oxo-1,4-dihydro-1,8-naphthyridine-3-carboxylate
see under ethyl 7-chloro-1-(2,4-difluorophenyl)-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate

ethyl 2-chloro-6-ethylisonicotinate
($C_{10}H_{12}ClNO_2$; *4009-26-1*) see: Ethionamide

ethyl 1-(2-chloroethyl)-4-phenyl-piperidine-4-carboxylate
($C_{16}H_{22}ClNO_2$; *76100-61-3*) see: Diphenoxylate

ethyl 7-chloro-6-fluoro-4-hydroxyquinoline-3-carhoxylate
($C_{12}H_9ClFNO_3$; *70458-93-4*) see: Pefloxacin

ethyl 10-chloro-9-fluoro-7-oxo-2,3-dihydro-7*H*-pyrido[1,2,3-*de*]-1,4-benzothiazine-6-carboxylate
($C_{14}H_{11}ClFNO_3S$; *101337-97-7*) see: Rufloxacin hydrochloride

ethyl 5-chloro-3-(2-fluorophenyl)indole-2-carboxylate
($C_{17}H_{13}ClFNO_2$; *24106-88-5*) see: Flutoprazepam

ethyl chloroformate
see under chloroformic acid ethyl ester

ethyl 6-chloroformyl-hexanoate
($C_9H_{15}ClO_3$; *14794-32-2*) see: Seratrodast

ethyl 2-chloroformyl-3-nitrobenzoate
($C_{10}H_8ClNO_5$; *136285-66-0*) see: Candesartan cilexetil

ethyl 9-chloroformylnonanoate
($C_{12}H_{21}ClO_3$; *6946-46-9*) see: Idebenone

ethyl 3-chloroformylpropionate
($C_6H_9ClO_3$; *14794-31-1*) see: Erythromycin ethylsuccinate; Mebeverine

ethyl 4-chloro-2-hydroxyiminoacetoacetate
($C_6H_8ClNO_4$; *50382-11-1*) see: Ceftazidime

ethyl 5-chloro-4-hydroxy-2-quinolinecarhoxylate
($C_{12}H_{10}ClNO_3$; *21640-98-2*) see: Chloroquine

ethyl 7-chloro-4-hydroxy-2-quinolinecarboxylate
($C_{12}H_{10}ClNO_3$; *21640-97-1*) see: Chloroquine

ethyl 7-chloro-4-hydroxy-3-quinolinecarboxylate
($C_{12}H_{10}ClNO_3$; *16600-22-9*) see: Chloroquine

ethyl 2-chloromethylbenzoate
($C_{10}H_{11}ClO_2$; *1531-78-8*) see: Indoprofen

ethyl 6-chloro-α-methyl-1,2,3,4-tetrahydro-9*H*-carhazole-2-acetate
($C_{17}H_{16}ClNO_2$; *52262-88-1*) see: Carprofen

ethyl 6-chloronicotinate
($C_8H_8ClNO_2$; *49608-01-7*) see: Tazarotene

α-ethyl-*N*-(3-chloro-1-oxopropoxy)benzeneethanimidamide
($C_{13}H_{17}ClN_2O_2$) see: Proxazole

ethyl 3-(4-chlorophenyl)-3-hydroxybutyrate
($C_{12}H_{15}ClO_3$; *21133-98-2*) see: Fenpentadiol

ethyl 5-chloro-3-phenylindole-2-carboxylate
($C_{17}H_{14}ClNO_2$; *21139-32-2*) see: Diazepam

1-ethyl-3-chloropiperidine
($C_7H_{14}ClN$; *2167-11-5*) see: Pipenzolate bromide

ethyl (1α,5α,6α)-1-(2,4-difluorophenyl)-7-[6-[[(1,1-dimethylethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]hex-3-yl]-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate
see under ethyl (1α,5α,6α)-1-(2,4-difluorophenyl)-7-[6-[[(1,1-dimethylethoxy)carbonyl]amino]-3-azabicyclo[3.1.0]-hex-3-yl]-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate

ethyl 3,4-dihydro-6,7-dimethoxy-1-isoquinolineacetate
($C_{15}H_{19}NO_4$; *21271-01-2*) see: Benzquinamide

ethyl 3,6-dihydro-4-methoxy-1(2*H*)-pyridinecarboxylate
($C_9H_{15}NO_3$; *203984-87-6*) see: Cisapride

3-ethyl-2,5-dihydro-4-methyl-2-oxo-*N*-(2-phenylethyl)-1*H*-pyrrole-1-carboxamide
($C_{16}H_{20}N_2O_2$; *247098-18-6*) see: Glimepiride

6-ethyl-1,2-dihydro-2-oxo-4-pyridinecarboxylic acid
($C_8H_9NO_3$; *54881-17-3*) see: Ethionamide

***N*-[4-[[(1-ethyl-1,2-dihydro-2-oxo-4-pyrimidinyl)amino]-sulfonyl]phenyl]acetamide**
($C_{14}H_{16}N_4O_4S$; *25855-46-3*) see: Sulfacitine

5-ethyl-2,4-dihydro-4-(2-phenoxyethyl)-3*H*-1,2,4-triazol-3-one
($C_{12}H_{15}N_3O_2$; *95885-13-5*) see: Nefazodone hydrochloride

1-ethyl-1,4-dihydro-5*H*-tetrazol-5-one
($C_3H_6N_4O$; *69048-98-2*) see: Alfentanil

ethyldiisopropylamine
($C_8H_{19}N$; *7087-68-5*) see: Mibefradil hydrochloride; Pinacidil

ethyl 2,6-diisopropyl-4-(4-fluorophenyl)-5-hydroxymethyl-pyridine-3-carboxylate
($C_{21}H_{26}FNO_3$; *124863-80-5*) see: Cerivastatin sodium

ethyl *N*-(3,4-dimethoxyphenethyl)malonamate
($C_{15}H_{21}NO_5$; *79641-41-1*) see: Benzquinamide

ethyl 2-(dimethylaminomethyl)-4-thiazolecarboxylate
($C_9H_{14}N_2O_2S$; *82586-66-1*) see: Nizatidine

***N*-ethyl-*N'*-[3-(dimethylamino)propyl]carbodiimide**
($C_8H_{17}N_3$; *1892-57-5*) see: Cabergoline

ethyl 1,4-dimethyl-3-ethoxycarbonylpyrrole-2-acetate
($C_{13}H_{19}NO_4$; *33369-26-5*) see: Zomepirac

ethyl 2-[[2-[3-(1,1-dimethylethoxy)-3-oxo-1-propenyl]phenyl]methylene]-3-oxobutanoate
($C_{20}H_{24}O_5$; *108700-28-3*) see: Lacidipine

[3a*R*-(2*E*,3aα,4α,5β,6aα)]-ethyl [4-[[[(1,1-dimethylethyl)-dimethylsilyl]oxy]methyl]hexahydro-5-hydroxy-2*H*-cyclopenta[*b*]furan-2-ylidene]acetate
($C_{18}H_{32}O_5Si$; *79745-54-3*) see: Iloprost

[3a*S*-(3aα,4α,5β,6aα)]-ethyl 4-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]octahydro-5-hydroxy-2-oxo-1-pentalenecarboxylate
($C_{18}H_{32}O_5Si$; *79745-56-5*) see: Iloprost

ethyl 7-(1,1-dimethylheptyl)-5-hydroxy-4-methyl-2-oxo-2*H*-1-benzopyran-3-propionate
($C_{24}H_{34}O_5$; *56469-11-5*) see: Nabilone

ethyl 2,4-dioxoheptanoate
($C_9H_{14}O_4$; *36983-31-0*) see: Protionamide; Sildenafil

ethyl 2,4-dioxohexanoate
($C_8H_{12}O_4$; *13246-52-1*) see: Ethionamide

ethyl 2-[2-(1,3-dioxolan-2-yl)ethylamino]-2-(4-fluorophenyl)acetate
($C_{15}H_{20}FNO_4$; *110862-42-5*) see: Atorvastatin calcium

[6*R*-[6α,7α,7(2*R,3*S**)]]-7-[[2-[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-3-hydroxy-1-oxobutyl]amino]-7-methoxy-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester**
($C_{35}H_{39}N_9O_9S_2$; *76610-83-8*) see: Cefbuperazone

[6*R*-[6α,7β(2*R,3*S**)]]-7-[[2-[[(4-ethyl-2,3-dioxo-1-piperazinyl)carbonyl]amino]-3-hydroxy-1-oxobutyl]amino]-3-[[(1-methyl-1*H*-tetrazol-5-yl)thio]methyl]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid diphenylmethyl ester**
($C_{34}H_{37}N_9O_8S_2$; *76610-82-7*) see: Cefbuperazone

ethyl diphenylacetate
($C_{16}H_{16}O_2$; *3468-99-3*) see: Loperamide

1-ethyl-α,α-diphenyl-3-pyrrolidineacetic acid
($C_{20}H_{23}NO_2$; *3471-97-4*) see: Doxapram

1-ethyl-α,α-diphenyl-3-pyrrolidineacetonitrile
($C_{20}H_{22}N_2$; *3212-87-1*) see: Doxapram

ethyl dipropylcyanoacetate
($C_{11}H_{19}NO_2$; *66546-90-5*) see: Valproic acid

ethyl 1,3-dithiane-2-carboxylate
($C_7H_{12}O_2S_2$; *20462-00-4*) see: Cilastatin

ethylene
(C_2H_4; *74-85-1*) see: Maprotiline; Mibefradil hydrochloride; Thioctic acid

ethylene carbonate
($C_3H_4O_3$; *96-49-1*) see: Hexcarbacholine bromide; Raloxifene hydrochloride

ethylene chlorohydrin
(C_2H_5ClO; *107-07-3*) see: Acetylcholine chloride; Carbachol; Choline chloride; Etofylline; Homofenazine; Metronidazole; Oxypendyl; Tofenacin; Troxerutin

ethylenediamine
($C_2H_8N_2$; *107-15-3*) see: Apraclonidine; Benzathine benzylpenicillin; Brimonidine; Clonidine; Edetic acid; Epanolol; Fenoxazoline; Indanazoline; Lofexidine; Mazindol; Medazepam; Naphazoline; Oxymetazoline; Tetryzoline; Theophylline ethylenediamine; Tiamenidine; Tinazoline hydrochloride; Tizanidine; Tolazoline; Tolonidine; Tramazoline; Trientine; Xylometazoline

ethylenediaminetetraacetonitrile
($C_{10}H_{12}N_6$; *5766-67-6*) see: Edetic acid

ethylenediamine *p*-toluenesulfonate
($C_9H_{16}N_2O_3S$; *14034-59-4*) see: Cibenzoline

20,20-ethylenedioxy-16α,17α-epoxy-5β-pregnane-3α,11β-diol
($C_{23}H_{36}O_5$; *13643-93-1*) see: Betamethasone

3,3-(ethylenedioxy)estra-5(10),9(11)-dien-17-one
($C_{20}H_{26}O_3$; *5571-36-8*) see: Mifepristone

3,3-ethylenedioxy-13-ethyl-17β-hydroxy-17α-ethynylgona-4,9,11-triene
($C_{23}H_{28}O_3$; *15343-94-9*) see: Gestrinone

3,3-ethylenedioxy-13-ethyl-17-oxogona-5(10),9(11)-diene
($C_{21}H_{28}O_3$; *10109-61-2*) see: Gestrinone

3,3-ethylenedioxy-17β-hydroxy-6-methyl-17α-(1-propynyl)-5-androstene
($C_{25}H_{36}O_3$) see: Dimethisterone

3,3-ethylenedioxy-6-methyl-17-oxo-5-androstene
($C_{22}H_{32}O_3$) see: Dimethisterone

2,2-(ethylenedioxy)-1-propanol
($C_5H_{10}O_3$; *10004-17-8*) see: Aranidipine

(12a*S*-*cis*)-12a-ethyl-2,3,5,12,12a,12b-hexahydro-1*H*,4*H*-3a,9b-diazabenzo[*a*]naphth[2,1,8-*cde*]azulene-10,11-dione 12-oxime
($C_{20}H_{23}N_3O_2$; *35226-42-7*) see: Vincamine

ethyl 1,3,4,6,7,11b-hexahydro-9,10-dimethoxy-2-oxo-2*H*-benzo[*a*]quinolizine-3-carboxylate
($C_{18}H_{23}NO_5$; *5911-33-1*) see: Benzquinamide

ethyl (3a*R*,5*S*,7*R*,7a*R*)-hexahydro-5-hydroxy-2,2-dimethyl-7-[(methylsulfonyl)oxy]-1,3-benzodioxole-5-carboxylate
($C_{13}H_{22}O_8S$; *204254-81-9*) see: Oseltamivir

1-ethyl-1,2,3,4,6,7-hexahydroindolo[2,3-*a*]quinolizin-5-ium perchlorate
($C_{17}H_{21}ClN_2O_4$; *59639-73-5*) see: Vincamine

(13*S*-*cis*)-13-ethyl-7,11,12,13,16,17-hexahydro-3-methoxy-6*H*-cyclopenta[*a*]phenanthren-17-ol acetate
($C_{22}H_{26}O_3$; *2911-81-1*) see: Levonorgestrel

3-ethylhexahydro-3-(3-methoxyphenyl)-1*H*-azepine
($C_{15}H_{23}NO$; *27180-90-1*) see: Meptazinol

6-ethylhexahydro-6-(3-methoxyphenyl)-2*H*-azepin-2-one
($C_{15}H_{21}NO_2$; *27180-89-8*) see: Meptazinol

3-ethylhexahydro-3-(3-methoxyphenyl)-1-methyl-1*H*-azepine
($C_{16}H_{25}NO$; *71556-73-5*) see: Meptazinol

2-ethyl-1-hexanol
($C_8H_{18}O$; *104-76-7*) see: Sodium dioctyl sulfosuccinate

2-ethylhexylamine
($C_8H_{19}N$; *104-75-6*) see: Butoctamide; Hexetidine

***N*-(2-ethylhexyl)-3-oxobutanamide**
($C_{12}H_{23}NO_2$; *32837-36-8*) see: Butoctamide

13-ethyl-11β-hydroperoxy-17-hydroxy-18,19-dinor-17α-pregna-4,9-dien-20-yn-3-one
($C_{21}H_{26}O_4$; *23637-81-2*) see: Gestrinone

ethyl 4-hydroxybenzoic acid
($C_9H_{10}O_3$; *120-47-8*) see: Gabexate

ethyl (2-hydroxybenzylamino)acetate
($C_{11}H_{15}NO_3$; *57938-78-0*) see: Caroxazone

7-ethyl-10-hydroxycamptothecin
($C_{22}H_{20}N_2O_5$; *86639-52-3*) see: Irinotecan

α-ethyl-3-hydroxycinnamic acid
($C_{11}H_{12}O_3$; *59150-87-7*) see: Iophenoic acid

ethyl 3(*R*)-hydroxy-4-cyanobutyrate
($C_7H_{11}NO_3$; *141942-85-0*) see: Atorvastatin calcium

α-ethyl-1-hydroxycyclohexaneacetic acid ethyl ester
($C_{12}H_{22}O_3$; *51632-39-4*) see: Cyclobutyrol

13-ethyl-17-hydroxy-18,19-dinor-17α-pregna-5(10),9(11)-dien-20-yn-3-one cyclic ethylene acetal
($C_{23}H_{30}O_3$; *23637-79-8*) see: Gestrinone

***cis*-1-ethyl-1-(2-hydroxy-2-ethoxycarbonylethyl)-1,2,3,4,6,7,12,12b-octahydroindolo[2,3-*a*]quinolizine**
($C_{22}H_{30}N_2O_3$; *43184-10-7*) see: Vinburnine

5-[ethyl(2-hydroxyethyl)amino]-2-pentanone
($C_9H_{19}NO_2$; *74509-79-8*) see: Hydroxychloroquine

7-ethyl-3-(2-hydroxyethyl)indole
($C_{12}H_{15}NO$; *41340-36-7*) see: Etodolac

3-ethyl-5-(2-hydroxyethyl)-4-methylthiazolium
($C_8H_{14}NOS$; *45892-42-0*) see: Atorvastatin calcium

ethyl 2-hydroxyiminoacetoacetate
($C_6H_9NO_4$; *5408-04-8*) see: Cefotaxime; Ceftazidime

ethyl (*Z*)-2-hydroxyimino-2-(2-tritylaminothiazol-4-yl)-acetate
($C_{26}H_{23}N_3O_3S$; *66338-99-6*) see: Ceftazidime

2-ethyl-5-hydroxy-*N*-[2-(1*H*-indol-3-yl)ethyl]pentanamide
($C_{17}H_{24}N_2O_2$; *52250-53-0*) see: Vincamine

ethyl 2-hydroxyisobutyrate
($C_6H_{12}O_3$; *80-55-7*) see: Dimethadione; Trimethadione

ethyl α-hydroxyisobutyrate
see under ethyl 2-hydroxyisobutyrate

ethyl hydroxymethoxyacetate
($C_5H_{10}O_4$; *19757-96-1*) see: Retinol

ethyl 2-hydroxy-3-methoxybenzoate
($C_{10}H_{12}O_4$; *35030-98-9*) see: Alibendol

β-ethyl-β-hydroxy-6-methoxy-α,α-dimethyl-2-naphthalenepropanoic acid ethyl ester
($C_{20}H_{26}O_4$; *85536-81-8*) see: Methallenestril

(17β)-13-ethyl-17-hydroxy-11-methylenegon-4-en-3-one cyclic 1,2-ethanediyl mercaptole
($C_{22}H_{32}OS_2$; *54024-19-0*) see: Desogestrel

ethyl 4-hydroxy-1,5-naphthyridine-3-carboxylate
($C_{11}H_{10}N_2O_3$; *13801-51-9*) see: Apalcillin

(±)-17α-ethyl-17β-hydroxy-3-oxo-18-homo-5(10)-estrene
($C_{21}H_{32}O_2$; *900-88-9*) see: Norboletone

17α-ethyl-17β-hydroxy-3-oxo-19-nor-4-androstene
($C_{20}H_{30}O_2$; *52-78-8*) see: Ethylestrenol

ethyl 4-hydroxyphenylacetate
($C_{10}H_{12}O_3$; *17138-28-2*) see: Betaxolol

α-ethyl-β-hydroxy-β-phenylbenzenepropanenitrile
($C_{17}H_{17}NO$; *22101-20-8*) see: Etifelmine

ethyl (2*R*,3*S*)-2-hydroxy-3-[(*S*)-1-phenylethylamino]-3-phenylpropionate
($C_{19}H_{23}NO_3$) see: Docetaxel

1-ethyl-3-hydroxypiperidine
($C_7H_{15}NO$; *13444-24-1*) see: Piperidolate

***N*-ethyl-2-hydroxypropylamine**
($C_5H_{13}NO$; *40171-86-6*) see: Cadralazine

2-ethyl-2-(3-hydroxypropyl)malonic acid
($C_8H_{14}O_5$; *52250-47-2*) see: Vincamine

1-ethyl-3-hydroxypyrrolidine
($C_6H_{13}NO$; *30727-14-1*) see: Benzilonium bromide

ethyl 6-hydroxy-5,7,8-trimethyl-4-oxo-4*H*-chromene-2-carboxylate
($C_{15}H_{16}O_5$; *107188-52-3*) see: Troglitazone

4,6-*O*-(*R*)-ethylidene-2,3-di-*O*-acetyl-β-D-glucopyranose
($C_{12}H_{18}O_8$; *118139-63-2*) see: Etoposide

ethylidenetriphenylphosphorane
($C_{20}H_{19}P$; *1754-88-7*) see: Promegestone

ethyl 4-(1-imidazolyl)methyl)cinnamate
($C_{15}H_{16}N_2O_2$; *74002-88-3*) see: Ozagrel

ethyl indole-2-carboxylate
($C_{11}H_{11}NO_2$; *3770-50-1*) see: Perindopril

ethyl indole-3-glyoxylate
($C_{12}H_{11}NO_3$; *51079-10-8*) see: Indoramin

ethyl iodide
(C_2H_5I; *75-03-6*) see: Butibufen; Cinoxacin; Diethylstilbestrol; Enoxacin; Ethotoin; Gallamine triethiodide; Imiquimod; Lomefloxacin; Mosapride citrate; Nalidixic acid; Oxolinic acid; Pefloxacin; Pipemidic acid; Tridihexethyl chloride; Zaleplon

ethyl 5-methyl-3-phenylisoxazole-4-carboxylate
($C_{13}H_{13}NO_3$; *1143-82-4*) see: Oxacillin

ethyl 2-methyl-2-phenylpropionate
($C_{12}H_{16}O_2$; *2901-13-5*) see: Fexofenadine hydrochloride

ethyl 1-methylpiperidine-2-carboxylate
($C_9H_{17}NO_2$; *30727-18-5*) see: Mepivacaine

5-ethyl-2-methylpyridine
($C_8H_{11}N$; *104-90-5*) see: Nicotinic acid

3-ethyl-4-methyl-δ^3-pyrrolin-2-one
($C_7H_{11}NO$; *766-36-9*) see: Glimepiride

2-ethyl-2-methylsuccinic acid
($C_7H_{12}O_4$; *631-31-2*) see: Ethosuximide

ethyl 2-(5-methyl-1*H*-tetrazol-1-yl)benzoate
($C_{11}H_{12}N_4O_2$; *77177-26-5*) see: Imiquimod

ethyl 2-(methylthio)acetate
($C_5H_{10}O_2S$; *4455-13-4*) see: Bromfenac sodium

8-ethyl-2-methylthio-5-oxo-5,8-dihydropyrido[2,3-*d*]pyrimidine-6-carboxylic acid
($C_{11}H_{11}N_3O_3S$; *19572-11-3*) see: Pipemidic acid; Piromidic acid

ethyl 2-(2-methyl-3,4,6-trifluorobenzoyl)-3-cyclopropylaminoacrylate
($C_{16}H_{16}F_3NO_3$; *119915-45-6*) see: Grepafloxacin

ethyl 2-(2-methyl-3,4,6-trifluorobenzoyl)-3-ethoxyacrylate
($C_{15}H_{15}F_3O_4$; *119915-44-5*) see: Grepafloxacin

ethyl nicotinate
($C_8H_9NO_2$; *614-18-6*) see: Azatadine; Tipepidine

ethyl nipecotinate
($C_8H_{15}NO_2$; *5006-62-2*) see: Tiagabine

α-ethyl-4-nitrobenzeneacetic acid
($C_{10}H_{11}NO_4$; *7463-53-8*) see: Indobufen

ethyl 4-nitrobenzoate
($C_9H_9NO_4$; *99-77-4*) see: Benzocaine

ethyl 3-nitro-benzylideneacetoacetate
($C_{13}H_{13}NO_5$; *39562-16-8*) see: Nitrendipine

ethyl *N*-(2-nitro-6-chlorobenzyl)glycinate
($C_{11}H_{13}ClN_2O_4$; *50608-25-8*) see: Anagrelide hydrochloride

α-ethyl-3-nitrocinnamic acid
($C_{11}H_{11}NO_4$; *5253-02-1*) see: Bunamiodyl; Iopanoic acid

ethyl 1-(nitromethyl)cyclohexaneacetate
($C_{11}H_{19}NO_4$; *133938-45-1*) see: Gabapentin

ethyl 8-nitro-4-oxo-1-benzopyran-2-carboxylate
($C_{12}H_9NO_6$; *110683-75-5*) see: Pranlukast

ethyl 5-nitro-3-phenylindol-2-carboxylate
($C_{17}H_{14}N_2O_4$; *23515-78-8*) see: Nimetazepam

ethyl nortriptyline-*N*-carboxylate
($C_{22}H_{25}NO_2$; *16234-88-1*) see: Nortriptyline

ethyl L-norvalinate hydrochloride
($C_7H_{16}ClNO_2$; *40918-51-2*) see: Perindopril

ethyl 2,3,4,4a,5,6,7,8-octahydro-3-oxo-6-pyrido[4,3-*c*]pyridazinecarboxylate
($C_{10}H_{15}N_3O_3$; *39716-41-1*) see: Endralazine

ethyl orthocarbonate
($C_9H_{20}O_4$; *78-09-1*) see: Candesartan cilexetil; Prednicarbate

ethyl orthoformate
($C_7H_{16}O_3$; *122-51-0*) see: Allopurinol; Azacitidine; Betacarotene; Ciprofloxacin; Cortivazol; Ebrotidine; Eprosartan; Flumedroxone acetate; Formocortal; Gestodene; Grepafloxacin; Imiquimod; Incadronic acid; Ipriflavone; Leflunomide; Levofloxacin; Meproscillarin; Methandriol; Methylestrenolone; Moxifloxacin hydrochloride; Norethisterone; Penmesterol; Sparfloxacin; Temafloxacin; Thiamine; Tosufloxacin; Ulobetasol propionate

2-ethyl-2-oxazoline
(C_5H_9NO; *10431-98-8*) see: Nefazodone hydrochloride

(±)-ethyl 2-oxo-3-benzoylamino-3-phenylpropionate
($C_{18}H_{17}NO_4$; *153433-79-5*) see: Paclitaxel

ethyl 3-oxocaproate
($C_8H_{14}O_3$; *3249-68-1*) see: Propylthiouracil

ethyl 2-oxocyclohexanecarboxylate
($C_9H_{14}O_3$; *1655-07-8*) see: Tacrine

ethyl 2-oxocyclopentanecarboxylate
($C_8H_{12}O_3$; *611-10-9*) see: Loxoprofen

ethyl 6-oxo-6-[2-(3,4-dimethoxyphenyl)ethylamino]hexanoate
($C_{18}H_{27}NO_5$; *101889-12-7*) see: Dopexamine

ethyl 3-oxopentanoate
($C_7H_{12}O_3$; *4949-44-4*) see: Etodolac

ethyl 2-oxo-4-phenylbutanoate
($C_{12}H_{14}O_3$; *64920-29-2*) see: Benazepril; Cilazapril; Enalapril; Lisinopril; Spirapril

ethyl 2-oxo-4-phenylbutyrate
see under ethyl 2-oxo-4-phenylbutanoate

ethyl 4-oxo-1-piperidinecarboxylate
see under 1-(ethoxycarbonyl)-4-piperidinone

ethyl 4-oxo-1-piperidinecarboxylic acid
see under 1-(ethoxycarbonyl)-4-piperidinone

ethyl (3-oxopropylthio)acetate
($C_7H_{12}O_3S$; *94088-65-0*) see: Letosteine

ethyl 4-oxo-7-(4-pyridyl)-1,4-dihydroquinoline-3-carboxylate
($C_{17}H_{14}N_2O_3$; *40034-41-1*) see: Rosoxacin

ethyl 2-oxo-1-pyrrolidineacetate
($C_8H_{13}NO_3$; *61516-73-2*) see: Piracetam; Pramiracetam hydrochloride

ethyl 4-oxothiazolidin-2-ylideneacetate
($C_7H_9NO_3S$; *24146-36-9*) see: Etozolin; Piprozolin

(3-ethyl-4-oxo-2-thiazolidinylidene)acetic acid ethyl ester
($C_9H_{13}NO_3S$; *36958-87-9*) see: Piprozolin

ethyl pentafluorobenzoylacetate
($C_{11}H_7F_5O_3$; *3516-87-8*) see: Sparfloxacin

ethyl pentanimidate
($C_7H_{15}NO$; *999-09-7*) see: Irbesartan

5-(1-ethylpentyl)hydantoin sodium salt
($C_{10}H_{17}N_2NaO_2$) see: Clodantoin

2-ethyl-10*H*-phenothiazine
($C_{14}H_{13}NS$; *61852-27-5*) see: Etymemazine

ethyl phenothiazine-2-carbamate
($C_{15}H_{14}N_2O_2S$; *37711-29-8*) see: Moracizine

ethyl 2-phenoxymethylbenzoate
($C_{16}H_{16}O_3$; *4504-85-2*) see: Doxepin

ethyl phenylacetate
($C_{10}H_{12}O_2$; *101-97-3*) see: Methylphenobarbital; Phenobarbital

ethyl [3*R*-(Z)]-3-[(tetrahydro-2*H*-pyran-2-yl)oxy]-6-tetradecenoate
($C_{21}H_{38}O_4$; *104801-91-4*) see: Orlistat
***N*-(5-ethyl-1,3,4-thiadiazol-2-yl)-4-nitrobenzenesulfonamide**
($C_{10}H_{10}N_4O_4S_2$; *76170-72-4*) see: Sulfaethidole
ethyl thiazole-5-carboxylate
($C_6H_7NO_2S$; *32955-22-9*) see: Ritonavir
3-ethylthioaniline
($C_8H_{11}NS$; *1783-82-0*) see: Thiethylperazine
3-ethylthiodiphenylamine
($C_{14}H_{15}NS$; *68083-49-8*) see: Thiethylperazine
2-ethylthiophenothiazine
($C_{14}H_{13}NS_2$; *46815-10-5*) see: Thiethylperazine
***N*-(3-ethylthiophenyl)anthranilic acid**
($C_{15}H_{15}NO_2S$; *18902-94-8*) see: Thiethylperazine
ethyl 4-toluenesulfonylcarbamate
($C_{10}H_{13}NO_4S$; *5577-13-9*) see: Glibornuride; Gliclazide; Tolazamide
ethyl (*E*)-3-[6-(*p*-toluoyl)-2-pyridinyl]acrylate
($C_{18}H_{17}NO_3$; *87848-98-4*) see: Acrivastine
ethyl 3-[4-(*o*-tolyl)-1-piperazinyl]propionate
($C_{16}H_{24}N_2O_2$; *63853-99-6*) see: Dapiprazole
ethyl *N*-(*p*-tolylsulfonyl)carbamate
see under ethyl 4-toluenesulfonylcarbamate
ethyl trifluoroacetate
($C_4H_5F_3O_2$; *383-63-1*) see: Celecoxib; Efavirenz; Lisinopril
ethyl γ,γ,γ-trifluoroacetoacetate
($C_6H_7F_3O_3$; *372-31-6*) see: Mefloquine
1-ethyl-6,7,8-trifluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid
($C_{12}H_8F_3NO_3$; *75338-42-0*) see: Lomefloxacin
1-ethyl-6,7,8-trifluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid ethyl ester
($C_{14}H_{12}F_3NO_3$; *100501-62-0*) see: Lomefloxacin
ethyl 2-(2,4,5-trifluoro-3-methoxybenzoyl)acetate
($C_{12}H_{11}F_3O_4$; *112811-68-4*) see: Moxifloxacin hydrochloride
ethyl 2,3,5-trifluoro-4-(4-methyl-1-piperazinyl)benzoylacetate
($C_{16}H_{19}F_3N_2O_3$; *108860-30-6*) see: Rufloxacin hydrochloride
ethyl 2,3,5-Trifluoro-4-(4-methyl-1-piperazinyl)-α-ethoxymethylenebenzoylacetate
($C_{19}H_{23}F_3N_2O_4$) see: Rufloxacin hydrochloride
ethyl 2(*R*)-trifluoromethylsulfonyloxy-4-phenylbutyrate
($C_{13}H_{15}F_3O_5S$; *88767-98-0*) see: Temocapril
ethyl 3,4,5-trimethoxybenzylcyanoacetate
($C_{15}H_{19}NO_5$; *29958-02-9*) see: Trimethoprim
ethyl 3-(3,4,5-trimethoxyphenyl)propionate
($C_{14}H_{20}O_5$; *70311-20-5*) see: Trimethoprim
ethyl (±)-3,4,4-trimethoxy-1-piperidinecarboxylate
($C_{11}H_{21}NO_5$; *83863-73-4*) see: Cisapride
ethyl (triphenylphosphoranylidene)acetate
($C_{22}H_{21}O_2P$; *1099-45-2*) see: Sorivudine
ethyl 2-(triphenylphosphoranylidene)propanoate
($C_{23}H_{23}O_2P$; *5717-37-3*) see: Sorivudine
ethyl 1-trityltetrazole-5-carboxylate
($C_{23}H_{20}N_4O_2$; *139348-78-0*) see: Pranlukast
ethyl 10-undecylenate
($C_{13}H_{24}O_2$; *692-86-4*) see: Iofendylate
ethylurea
($C_3H_8N_2O$; *625-52-5*) see: Fenozolone
ethyl vinyl ether
(C_4H_8O; *109-92-2*) see: Betacarotene; Brinzolamide; Docetaxel; Paclitaxel
ethylxanthic acid [5-hydroxy-4-(hydroxymethyl)-6-methyl-3-pyridyl]methyl ester
($C_{11}H_{15}NO_3S_2$; *92147-37-0*) see: Pyritinol
1-ethynylcyclohexanol
($C_8H_{12}O$; *78-27-3*) see: Ethinamate
17-ethynyl-3β,17β-dihydroxy-5-androstene
($C_{21}H_{30}O_2$; *3604-60-2*) see: Ethisterone; Spironolactone
6-ethynyl-4,4-dimethyl-3,4-dihydro-2*H*-1-benzothiopyran
($C_{13}H_{14}S$; *118292-06-1*) see: Tazarotene
17-ethynylestradiol
($C_{20}H_{24}O_2$; *57-63-6*) see: Quinestrol
17α-ethynyl-17β-hydroxy-3-oxo-4-androstene
see under ethisterone
ethynylmagnesium bromide
(C_2HBrMg; *4301-14-8*) see: Gestodene; Norgestrienone
[1*R*-(1α,2β,4β)]-[(4-ethynyl-2-methoxycyclohexyl)oxy]-tris(1-methylethyl)silane
($C_{18}H_{34}O_2Si$; *122948-76-9*) see: Tacrolimus
17α-ethynyl-6β-methyl-3β,5α,17β-trihydroxyandrostane
($C_{22}H_{34}O_3$; *96707-49-2*) see: Dimethisterone
etofylline
($C_9H_{12}N_4O_3$; *519-37-9*) see: Pyridofylline
etoposide
($C_{29}H_{32}O_{13}$; *33419-42-0*) see: Etopophos
etynodiol
($C_{20}H_{28}O_2$; *1231-93-2*) see: Etynodiol acetate

F

farnesylacetic acid
($C_{17}H_{28}O_2$; *6040-06-8*) see: Gefarnate
***rac*-fenfluramine**
($C_{12}H_{16}F_3N$; *458-24-2*) see: Dexfenfluramine
fenpipramide
($C_{21}H_{26}N_2O$; *77-01-0*) see: Fenpiverinium bromide
fludrocortisone
($C_{21}H_{29}FO_5$; *127-31-1*) see: Triamcinolone
fludrocortisone 21-acetate
($C_{23}H_{31}FO_6$; *514-36-3*) see: Fludrocortisone; Isoflupredone acetate
fludroxycortide
($C_{24}H_{33}FO_6$; *1524-88-5*) see: Flunisolide
flufenamic acid potassium salt
($C_{14}H_9F_3KNO_2$; *35982-11-7*) see: Etofenamate
flumetasone acetate
($C_{24}H_{30}F_2O_6$; *2823-42-9*) see: Halometasone
fluocinolone
($C_{21}H_{26}F_2O_6$; *807-38-5*) see: Fluocinolone acetonide
fluocinolone acetonide
($C_{24}H_{30}F_2O_6$; *67-73-2*) see: Fluocinonide

N-(β-fluoroethyl)nortropine benzilate
($C_{23}H_{26}FNO_3$; *63516-27-8*) see: Flutropium bromide
6β-fluorohydrocortisone 21-acetate
($C_{23}H_{31}FO_6$; *986-37-8*) see: Fluprednisolone acetate
5'-fluoro-2'-hydroxybutyrophenone
($C_{10}H_{11}FO_2$; *575-67-7*) see: Butofilolol
(Z)-6β-fluoro-5-hydroxy-3,11-dioxo-5α-pregn-17(20)-en-21-oic acid methyl ester cyclic 3-(ethylene acetal)
($C_{24}H_{33}FO_6$; *5319-18-6*) see: Fluprednisolone acetate
9α-fluoro-16-hydroxyhydrocortisone
($C_{21}H_{29}FO_6$; *337-02-0*) see: Halcinonide
(11β,16β)-9-fluoro-11-hydroxy-16-methyl-21-[(methylsulfonyl)oxy]-17-(1-oxobutoxy)pregna-1,4-diene-3,20-dione
($C_{27}H_{37}FO_8S$; *25092-11-9*) see: Clobetasone butyrate
(11β,16β)-9-fluoro-11-hydroxy-16-methyl-21-[(methylsulfonyl)oxy]-17-(1-oxopropoxy)pregna-1,4-diene-3,20-dione
($C_{26}H_{35}FO_8S$; *15423-80-0*) see: Clobetasol propionate
(6α,16α)-6-fluoro-21-hydroxy-16-methylpregn-4-ene-3,20-dione
($C_{22}H_{31}FO_3$; *1244-13-9*) see: Fluocortolone
6β-fluoro-21-hydroxy-16α-methylpregn-4-ene-3,20-dione acetate
($C_{24}H_{33}FO_4$; *1251-27-0*) see: Fluocortolone
2-fluoro-3-hydroxy-2-propenoic acid ethyl ester
($C_5H_7FO_3$; *185692-96-0*) see: Fluorouracil
6-fluoro-γ-(2-iodoethyl)-1,2-benzisoxazole-3-propanol methanesulfonate (ester)
($C_{13}H_{15}FINO_4S$; *181479-14-1*) see: Risperidone
fluoroiodomethane
(CH_2FI; *373-53-5*) see: Fluticasone propionate
5-fluoroisatoic anhydride
($C_8H_4FNO_3$; *321-69-7*) see: Flumazenil
1-[4-fluoro-2-(methylamino)phenyl]-2-(methylsulfinyl)-ethanone
($C_{10}H_{12}FNO_2S$; *154639-75-5*) see: Flosequinan
4-fluoro-N-methylanthranilic acid
($C_8H_8FNO_2$; *128992-62-1*) see: Flosequinan
7-fluoro-1-methyl-2H-3,1-benzoxazine-2,4(1H)-dione
($C_9H_6FNO_3$; *97927-92-9*) see: Flosequinan
4-fluoro-α-methylcinnamic acid
($C_{10}H_9FO_2$; *22138-72-3*) see: Sulindac
7-fluoro-4-methyl-3,4-dihydro-2H-1,4-benzodiazepin-2,5(1H)-dione
($C_{10}H_9FN_2O_2$; *78755-80-3*) see: Flumazenil
4-fluoro-α-methyldihydrocinnamic acid
($C_{10}H_{11}FO_2$; *22138-73-4*) see: Sulindac
5-fluoro-2',3'-O-(1-methylethylidene)uridine
($C_{12}H_{15}FN_2O_6$; *2797-17-3*) see: Doxifluridine
9-fluoro-6α-methyl-hydrocortisone
($C_{22}H_{31}FO_5$; *382-51-4*) see: Fluorometholone
5-fluoro-2-methyl-3-indanone
($C_{10}H_9FO$; *37794-19-7*) see: Sulindac
5-fluoro-2-methyl-1H-indene-3-acetic acid
($C_{12}H_{11}FO_2$; *32004-66-3*) see: Sulindac
2-(fluoromethyl)-3-(2-methylphenyl)-6-nitro-4(3H)-quinazolinone
($C_{16}H_{12}FN_3O_3$; *56287-73-1*) see: Afloqualone
5-fluoro-2-methyl-1-(4-methylthiobenzylidene)indene-3-acetic acid
($C_{20}H_{17}FO_2S$; *32004-67-4*) see: Sulindac
6β-fluoro-16α-methylpregn-17(20)-ene-3β,5α,20-triol triacetate
($C_{28}H_{41}FO_6$; *75083-51-1*) see: Flumetasone; Paramethasone
7-fluoro-3-(methylthio)-4(1H)-quinolinone
($C_{10}H_8FNOS$; *76561-48-3*) see: Flosequinan
5-fluoro-2-methylthiouracil
($C_5H_5FN_2OS$; *1480-92-8*) see: Flucytosine; Fluorouracil
4-fluoro-1-nitrobenzene
($C_6H_4FNO_2$; *350-46-9*) see: Nitrefazole; Pioglitazone
1-[5-fluoro-2-(oxiranylmethoxy)phenyl]-1-butanone
($C_{13}H_{15}FO_3$; *94135-58-7*) see: Butofilolol
6-fluoro-4-oxobenzopyran-2-carboxylic acid
($C_{10}H_5FO_4$; *99199-59-4*) see: Nebivolol
4-fluorophenol
(C_6H_5FO; *371-41-5*) see: Butofilolol; Cisapride; Progabide
1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinamine
($C_{15}H_{23}FN_2O_2$; *108913-89-9*) see: Cisapride
trans-1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinamine
($C_{15}H_{23}FN_2O_2$; *104860-54-0*) see: Cisapride
(3R,4S)-rel-1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinamine
($C_{15}H_{23}FN_2O_2$; *104860-26-6*) see: Cisapride
(±)-1-[3-(4-fluorophenoxy)propyl]-3-methoxy-4-piperidinone
($C_{15}H_{20}FNO_3$; *137472-67-4*) see: Cisapride
4-fluorophenylacetonitrile
(C_8H_6FN; *459-22-3*) see: Levocabastine
(–)-trans-4-(4-fluorophenyl)-3-[(1,3-benzodioxol-5-yloxy)-methyl]-1-methylpiperidine
($C_{20}H_{22}FNO_3$; *110429-36-2*) see: Paroxetine
1-(4-fluorophenyl)-5-chloro-1H-indole
($C_{14}H_9ClFN$; *138900-22-8*) see: Sertindole
1-(4-fluorophenyl)-1-cyano-4-oxo-cyclohexane
($C_{13}H_{12}FNO$; *56326-98-8*) see: Levocabastine
5-(2-fluorophenyl)-1,3-dihydro-2H-1,4-benzodiazepin-2-one
($C_{15}H_{11}FN_2O$; *2648-01-3*) see: Flunitrazepam
2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-N-(1(R)-phenylethyl)-1H-pyrrole-1-heptanamide
($C_{41}H_{44}FN_3O_4$) see: Atorvastatin calcium
[R-(R*,R*)]-2-(4-fluorophenyl)-β,δ-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrole-1-heptanoic acid 1,1-dimethylethyl ester
($C_{37}H_{43}FN_2O_5$; *134395-00-9*) see: Atorvastatin calcium
1-[3-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]propyl]-N-(2-nitrophenyl)-4-piperidinamine
($C_{23}H_{28}FN_3O_4$; *60703-66-4*) see: Timiperone
2-(4-fluorophenyl)ethanol
(C_8H_9FO; *7589-27-7*) see: Paraflutizide
(2-fluorophenyl)-(4-fluorophenyl)-phenylchloromethane
($C_{19}H_{13}ClF_2$; *128092-75-1*) see: Flutrimazole
2-(4-fluorophenyl)-3-hydroxy-3-methylbutyric acid
($C_{11}H_{13}FO_3$; *193673-85-7*) see: Mibefradil hydrochloride
(R)-2-(4-fluorophenyl)-δ-hydroxy-5-(1-methylethyl)-β-oxo-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrole-1-heptanoic acid 1,1-dimethylethyl ester
($C_{37}H_{41}FN_2O_5$; *134394-98-2*) see: Atorvastatin calcium

6-fluoro-1,2,3,4-tetrahydro-2-methylquinoline
($C_{10}H_{12}FN$; *42835-89-2*) see: Flumequine

6-fluoro-3-(tetrahydropyran-4-yl)-1,2-benzisoxazole
($C_{12}H_{12}FNO_2$; *181479-12-9*) see: Risperidone

(6α,11β,16α)-6-fluoro-11,16,17,21-tetrahydroxypregna-1,4-diene-3,20-dione
($C_{21}H_{27}FO_6$; *3915-36-4*) see: Flunisolide

6β-fluoro-5,11β,17,21-tetrahydroxy-5α-pregnane-3,20-dione 21-acetate
($C_{23}H_{33}FO_7$; *913-49-5*) see: Fluprednisolone acetate

4-fluorothiophenol
(C_6H_5FS; *371-42-6*) see: Bicalutamide

2-fluorotoluene
(C_7H_7F; *95-52-3*) see: Flutoprazepam

9-fluoro-11β,17,21-trihydroxy-16-methylenepregn-4-ene-3,20-dione 21-acetate
($C_{24}H_{31}FO_6$; *2728-31-6*) see: Fluprednidene acetate

9-fluoro-11β,17,21-trihydroxy-6α-methylpregn-4-ene-3,20-dione 21-methanesulfonate
($C_{23}H_{33}FO_7S$; *2647-52-1*) see: Fluorometholone

6α-fluoro-16α,17,21-trihydroxypregna-4,9(11)-diene-3,20-dione 16,21-diacetate
($C_{25}H_{31}FO_7$; *2965-61-9*) see: Fluocinolone acetonide

(6α,16α)-6-fluoro-16,17,21-trihydroxypregn-4-ene-3,20-dione
($C_{21}H_{29}FO_5$; *804-82-0*) see: Fludroxycortide

5-fluorouracil
($C_4H_3FN_2O_2$; *51-21-8*) see: Carmofur; Flucytosine; Tegafur

5-fluorouridine
($C_9H_{11}FN_2O_6$; *316-46-1*) see: Doxifluridine

folic acid
($C_{19}H_{19}N_7O_6$; *59-30-3*) see: Folinic acid

formaldehyde
(CH_2O; *50-00-0*) see: Aciclovir; Alclofenac; Alminoprofen; Alpidem; Altretamine; Amodiaquine; Azithromycin; Bromperidol; Budipine; Calcium pantothenate; Cicloxilic acid; Clofedanol; Clomocycline; Cortivazol; Dextropropoxyphene; Dichlorophen; Domiphen bromide; Edetic acid; Eperisone; Eprozinol; Etacrynic acid; Ethambutol; Etretinate; Fenticonazole; Fluoxetine; Fosphenytoin sodium; Haloperidol; Hepronicate; Hexetidine; Hydrochlorothiazide; Ibuprofen; Lercanidipine hydrochloride; Levorphanol; Loxoprofen; Lymecycline; Meprobamate; Meptazinol; Metampicillin; Methenamine; Methotrexate; Minocycline; Moexipril; Molsidomine; Moperone; Morinamide; Nifurtoinol; Noxytiolin; Oxitriptan; Papaverine; Penimepicycline; Phenindamine; Pipebuzone; Pirbuterol; Pranoprofen; Quinapril hydrochloride; Rizatriptan benzoate; Salbutamol; Saquinavir; Setiptiline; Sobuzoxane; Sulbentine; Sulfaloxic acid; Suxibuzone; Ticlopidine; Timonacic; Tiotixene; α-Tocopherol; Tolmetin; Topotecan; Trometamol; Tyloxapol; Venlafaxine; Zimeldine; Zolmitriptan; Zolpidem

formaldehyde dimethyl mercaptal *S*-oxide
($C_3H_8OS_2$; *33577-16-1*) see: Alclofenac

formaldehyde polymer with 4-(1,1,3,3-tetramethylbutyl)phenol
(unspecified; *26678-93-3*) see: Tyloxapol

formamide
(CH_3NO; *75-12-7*) see: Allopurinol; Chlorothiazide; Cimetidine; Fludarabine phosphate; Fluspirilene; Heptaminol; Primidone; Protriptyline; Pyridoxine; Razoxane; Sulfadoxine; Theophylline

formamidine
(CH_4N_2; *463-52-5*) see: Itraconazole

formamidine hydrochloride
(CH_5ClN_2; *6313-33-3*) see: Allopurinol

7-formamidocephalosporanic acid
($C_{11}H_{12}N_2O_6S$; *27267-35-2*) see: Cefamandole

2-(2-formamido-4-thiazolyl)-2-methoxyiminoacetic acid
($C_7H_7N_3O_4S$; *83594-38-1*) see: Ceftizoxime

(2-formamidothiazol-4-yl)oxoacetic acid
($C_6H_4N_2O_4S$; *64987-06-0*) see: Cefixime

formic acid
(CH_2O_2; *64-18-6*) see: Abacavir; α-Acetyldigoxin; Apraclonidine; Azacosterol; Cefamandole; Chlorazanil; Cortivazol; Desoxycortone acetate; Diethylcarbamazine; Estazolam; Folinic acid; Formoterol; Gitaloxin; Gitoformate; Hydroxyprogesterone; Pioglitazone; Temocillin

***N*-formyl-DL-alanine ethyl ester**
($C_6H_{11}NO_3$; *4289-99-0*) see: Pyridoxine

2-(formylamino)isocamphane
($C_{11}H_{19}NO$; *86351-88-4*) see: Mecamylamine

3-formylamino-3-methyl-2-phenylbutane
($C_{12}H_{17}NO$; *22876-59-1*) see: Pentorex

6β-formylaminopenicillanic acid benzyl ester
($C_{16}H_{18}N_2O_4S$; *53628-26-5*) see: Temocillin

(formylamino)[[5-(phenylmethoxy)-1*H*-indol-3-yl]methyl]propanedioic acid diethyl ester
($C_{24}H_{26}N_2O_6$) see: Oxitriptan

[6*R*-[6α,7β(*Z*)]]-7-[[[2-(formylamino)-4-thiazolyl](methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (4-nitrophenyl)methyl ester
($C_{21}H_{18}N_6O_8S_2$; *68401-78-5*) see: Ceftizoxime

[6*R*-[6α,7β(*Z*)]]-7-[[[2-(formylamino)-4-thiazolyl](methoxyimino)acetyl]amino]-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid
($C_{14}H_{13}N_5O_6S_2$; *68401-79-6*) see: Ceftizoxime

5-formyl-1-β-D-arabinofuranosyluracil
($C_{10}H_{12}N_2O_7$; *87877-24-5*) see: Sorivudine

2-formylcinnamic acid
($C_{10}H_8O_3$; *28873-89-4*) see: Lacidipine

(*Z*)-β-formylcrotonic acid
($C_5H_6O_3$; *70143-04-3*) see: Isotretinoin

3-formylcrotyl acetate
($C_7H_{10}O_3$; *14918-80-0*) see: Retinol

2-formyl-1,4-dihydro-6-methyl-4-(3-nitrophenyl)-3,5-pyridinedicarboxylic acid 3-methyl 5-(1-methylethyl) ester
($C_{19}H_{20}N_2O_7$; *75530-60-8*) see: Nilvadipine

DL-*N*-formyl-3,5-diiodothyronine
($C_{16}H_{13}I_2NO_5$; *94298-44-9*) see: Dextrothyroxine

L-*N*-formyl-3,5-diiodothyronine
($C_{16}H_{13}I_2NO_5$) see: Levothyroxine

D(−)-*N*-formyl-3,5-diiodothyronine
($C_{16}H_{13}I_2NO_5$; *120408-14-2*) see: Dextrothyroxine

***N*-formyl-1,5-dimethyl-4-hexenamine**
($C_9H_{17}NO$) see: Heptaminol

10-formylfolic acid
($C_{20}H_{19}N_7O_7$; *134-05-4*) see: Folinic acid

1-formyl-hexahydroazepine
($C_7H_{13}NO$; *25114-81-2*) see: Mecillinam; Pivmecillinam

1-formyl-homopiperazine
($C_6H_{12}N_2O$; *29053-62-1*) see: Bunazosin

G

L-glutamine
($C_5H_{10}N_2O_3$; *56-85-9*) see: Aceglutamide aluminum; Thalidomide

glutaric acid
($C_5H_8O_4$; *110-94-1*) see: Gusperimus trihydrochloride

glutethimide
($C_{13}H_{15}NO_2$; *77-21-4*) see: Aminoglutethimide

D-glyceraldehyde
($C_3H_6O_3$; *453-17-8*) see: Timolol

glycerin
($C_3H_8O_3$; *56-81-5*) see: Actinoquinol; Itraconazole; Ketoconazole; Oxyquinoline; Phanquinone; Primaquine; Terconazole

glycerol
see under glycerin

glycerol 1-benzyl ether
($C_{10}H_{14}O_3$; *4799-67-1*) see: Domiodol

glycerol triricinoleate
($C_{57}H_{104}O_9$; *2540-54-7*) see: Azelaic acid

glycide
($C_3H_6O_2$; *556-52-5*) see: Chlorphenesin; Diperodon; Diprophylline; Dropropizine; Guaifenesin; Iopydol; Mephenesin; Metaxalone; Toloxatone

glycide isobutyl ether
($C_7H_{14}O_2$; *3814-55-9*) see: Bepridil

(*R*)-glycidol
($C_3H_6O_2$; *57044-25-4*) see: Cidofovir

glycidol
see under glycide

17β-glycidoyl-11β,17-dihydroxyandrosta-1,4-dien-3-one
($C_{22}H_{28}O_5$; *102084-59-3*) see: Fluperolone acetate

glycidyl *n*-butyl ether
($C_7H_{14}O_2$; *2426-08-6*) see: Febuprol

(2*S*)-glycidyl 3-nitrobenzenesulfonate
($C_9H_9NO_6S$; *115314-14-2*) see: Indinavir sulfate

glycidyl phenyl ether
($C_9H_{10}O_2$; *122-60-1*) see: Bisoprolol; Febuprol

(2*S*)-glycidyl tosylate
($C_{10}H_{12}O_4S$; *70987-78-9*) see: Indinavir sulfate

glycine
($C_2H_5NO_2$; *56-40-6*) see: Eptifibatide; Levodopa; Loprazolam; Stepronin; Tiopronin

glycine benzyl ester tosylate
($C_{16}H_{19}NO_5S$; *1738-76-7*) see: Acetorphan

glycine potassium salt
($C_2H_4KNO_2$; *15743-44-9*) see: Thiamphenicol

glycolic acid
($C_2H_4O_3$; *79-14-1*) see: Nedaplatin; Roxatidine acetate

glycyrrhetic acid
($C_{30}H_{46}O_4$; *471-53-4*) see: Carbenoxolone

Gly-OEt.HCl
see under ethyl glycinate hydrochloride

glyoxal
($C_2H_2O_2$; *107-22-2*) see: Amiloride; Pyrazinamide

glyoxylic acid
($C_2H_2O_3$; *298-12-4*) see: Allantoin; Ethyl biscoumacetate; Lamivudine; Orotic acid

gold iodide (AuI)
(AuI; *10294-31-2*) see: Sodium aurothiomalate

guaiacol
($C_7H_8O_2$; *90-05-1*) see: Amosulalol; Guaifenesin

guaiene
($C_{15}H_{24}$; *88-84-6*) see: Guaiazulene

guaifenesin
($C_{10}H_{14}O_4$; *93-14-1*) see: Methocarbamol

guaiol
($C_{15}H_{26}O$; *489-86-1*) see: Guaiazulene

guanidine
(CH_5N_3; *113-00-8*) see: Abacavir; Amiloride; Folic acid; Guanfacine; Pemoline; Pyrimethamine; Sulfamerazine; Tetroxoprim

guanidine carbonate (1:1)
($C_2H_7N_3O_3$; *124-46-9*) see: Brodimoprim; Sulfaguanidine; Sulfametoxydiazine; Trimethoprim

guanidine hydrochloride
(CH_6ClN_3; *50-01-1*) see: Trimethoprim

guanidine nitrate
($CH_4N_4O_3$; *506-93-4*) see: Triamterene

4-guanidinobenzoic acid
($C_8H_9N_3O_2$; *16060-65-4*) see: Camostat; Nafamostat

4-guanidinobenzoyl chloride
($C_8H_8ClN_3O$; *60131-35-3*) see: Nafamostat

4-guanidinobenzoyl chloride hydrochloride
($C_8H_9Cl_2N_3O$; *7035-79-2*) see: Camostat

ω-guanidinocaproic acid
($C_7H_{15}N_3O_2$; *6659-35-4*) see: Gabexate

ω-guanidinocaproyl chloride
($C_7H_{14}ClN_3O$; *41651-94-9*) see: Gabexate

7-guanidinoheptanamide hydrochloride
($C_8H_{19}ClN_4O$; *85503-05-5*) see: Gusperimus trihydrochloride

***trans*-4-(guanidinomethyl)cyclohexanecarboxylic acid**
($C_9H_{17}N_3O_2$; *38697-86-8*) see: Benexate

guanine
($C_5H_5N_5O$; *73-40-5*) see: Aciclovir; Tioguanine

guanosine
($C_{10}H_{13}N_5O_5$; *118-00-3*) see: Cladribine

H

H-Asn-Arg-Val-Tyr-Val-His-Pro-Phe-O-CH$_3$
($C_{50}H_{72}N_{14}O_{11}$; *47917-11-3*) see: Angiotensinamide

heptaminol
($C_8H_{19}NO$; *372-66-7*) see: Acefylline

heptanal
($C_7H_{14}O$; *111-71-7*) see: Undecylenic acid

[3a*R*-(3aα,4α,5β,6aα)]-4-[2-(2-heptyl-1,3-dioxolan-2-yl)ethyl]hexahydro-5-hydroxy-2*H*-cyclopenta[*b*]furan-2-one
($C_{19}H_{32}O_5$; *118696-65-4*) see: Unoprostone isopropyl

hesperidin
($C_{28}H_{34}O_{15}$; *520-26-3*) see: Diosmin

hexadecanol
($C_{16}H_{34}O$; *36653-82-4*) see: Miltefosine

2-(hexadecylamino)cyclohexanol
($C_{22}H_{45}NO$) see: Cethexonium bromide

2-(hexadecylamino)cyclohexanol hydrobromide
($C_{22}H_{46}BrNO$) see: Cethexonium bromide

3-(4-hexyloxyphenyl)propionyl chloride
($C_{15}H_{21}ClO_2$) see: Nandrolone hexyloxyphenylpropionate

(2*S*,3*S*,5*R*)-2-hexyl-3-(phenylmethoxy)-5-(tetrahydro-1*H*-pyran-2-yloxy)hexadecanoic acid
($C_{34}H_{58}O_5$) see: Orlistat

(3*S*,4*S*)-3-hexyl-4-[(2*R*)-2-(phenylmethoxy)tridecyl]-2-oxetanone
($C_{29}H_{48}O_3$; *114264-05-0*) see: Orlistat

[3*R*-[3α,4α(*R)]]-3-hexyl-4-[2-(phenylmethoxy)tridecyl]-2-oxetanone**
($C_{29}H_{48}O_3$; *125638-37-1*) see: Orlistat

3-hexyltetrahydro-4-hydroxy-6-undecyl-2*H*-pyran-2-one
($C_{22}H_{42}O_3$; *104801-94-7*) see: Orlistat

[3*S*-(3α,4α,6α)]-3-hexyltetrahydro-4-hydroxy-6-undecyl-2*H*-pyran-2-one
($C_{22}H_{42}O_3$; *104801-96-9*) see: Orlistat

[3*S*-[3α,4β(*S)]]-3-hexyl-4-[2-[(tetrahydro-2*H*-pyran-2-yl)oxy]tridecyl]-2-oxetanone**
($C_{27}H_{50}O_4$; *112836-65-4*) see: Orlistat

3-hexyn-2-ol
($C_6H_{10}O$; *109-50-2*) see: Methohexital

H-His-OMe.2HCl
($C_7H_{13}Cl_2N_3O_2$; *7389-87-9*) see: Protirelin

homatropine
($C_{16}H_{21}NO_3$; *87-00-3*) see: Homatropine methylbromide

homoarginine
($C_7H_{16}N_4O_2$; *156-86-5*) see: Eptifibatide

homocysteine thiolactone
(C_4H_7NOS; *10593-85-8*) see: Erdosteine; Omapatrilat

homomyrtenol
($C_{11}H_{18}O$; *128-50-7*) see: Myrtecaine

homopiperazine
($C_5H_{12}N_2$; *505-66-8*) see: Fasudil

homoveratric acid
($C_{10}H_{12}O_4$; *93-40-3*) see: Papaverine

homoveratronitrile
see under 3,4-dimethoxyphenylacetonitrile

homoveratrylamine
see under 3,4-dimethoxyphenethylamine

***N*-homoveratrylhomoveratramide**
($C_{20}H_{25}NO_5$; *139-76-4*) see: Papaverine

H-Pro-NH$_2$.HCl
($C_5H_{11}ClN_2O$; *42429-27-6*) see: Protirelin

H-Val-Tyr-Val-His-Pro-Phe-O-CH$_3$
($C_{40}H_{54}N_8O_8$; *40488-86-6*) see: Angiotensinamide

hydantoic acid nitrile
($C_3H_3N_3O$; *5962-07-2*) see: Orotic acid

hydantoin
($C_3H_4N_2O_2$; *461-72-3*) see: Levodopa

3-(5-hydantoinyl)propionaldehyde
($C_6H_8N_2O_3$; *7686-13-7*) see: L-Tryptophan

hydralazine
($C_8H_8N_4$; *86-54-4*) see: Budralazine; Todralazine

hydrallostane 21-acetate
($C_{23}H_{34}O_6$; *4004-68-6*) see: Prednisolone

hydratropic aldehyde
($C_9H_{10}O$; *93-53-8*) see: Bemetizide

hydrazine
(H_4N_2; *302-01-2*) see: Acetazolamide; Allopurinol; Brotizolam; Carbidopa; Cibenzoline; Desmopressin; Estazolam; Etizolam; Guanadrel; Isoniazid; Itraconazole; Mitopodozide; Nifuroxazide; Nifurtimox; Nitrofurantoin; Phenelzine; Primaquine; Ziprasidone hydrochloride

hydrazine-1,2-bis(thiocarboxamide)
($C_2H_6N_4S_2$; *142-46-1*) see: Acetazolamide

hydrazinecarboxylic acid phenylmethyl ester
($C_8H_{10}N_2O_2$; *5331-43-1*) see: Ceruletide

hydrazine hydrate
(H_6N_2O; *7803-57-8*) see: Alprazolam; Betazole; Dapiprazole; Dihydralazine; Endralazine; Epirizole; Flurazepam; Fomepizole; Guanoclor; Gusperimus trihydrochloride; Hydralazine; Isocarboxazid; Nefazodone hydrochloride; Nifuratel; Pantethine; Pildralazine; Pimobendan; Pramipexole hydrochloride; Propentofylline; Sildenafil; Tofisopam; Zaleplon

2-hydrazinoacetic acid
($C_2H_6N_2O_2$; *14150-64-2*) see: Nitrofurantoin

4-hydrazinobenzenesulfonamide
($C_6H_9N_3O_2S$; *4392-54-5*) see: Celecoxib

(*S*)-5-(4-hydrazinobenzyl)-2,4-imidazolidinedione hydrochloride
($C_{10}H_{13}ClN_4O_2$) see: Zolmitriptan

(*S*)-4-(4-hydrazinobenzyl)-2-oxazolidinone hydrochloride
($C_{10}H_{14}ClN_3O_2$; *139264-57-6*) see: Zolmitriptan

α-hydrazino-3,4-dimethoxy-α-methylbenzenepropanenitrile
($C_{12}H_{17}N_3O_2$; *40248-74-6*) see: Carbidopa

2-hydrazinoethanol
($C_2H_8N_2O$; *109-84-2*) see: Furazolidone

2-hydrazino-Δ^2-imidazoline
($C_3H_8N_4$; *51420-32-7*) see: Bisantrene

2-hydrazino-4-methoxy-2-methylpyrimidine
($C_6H_{10}N_4O$; *36951-92-5*) see: Epirizole

4-hydrazino-1-methylpiperidine dihydrochloride
($C_6H_{17}Cl_2N_3$; *53242-78-7*) see: Piperylone

2-(4-hydrazinophenyl)-*N*-methylethanesulfonamide
($C_9H_{15}N_3O_2S$) see: Naratriptan

4-hydrazinophenyl-*N*-methylmethanesulfonamide
($C_8H_{13}N_3O_2S$; *139272-29-0*) see: Sumatriptan

1-[(4-hydrazinophenyl)methyl]-1*H*-1,2,4-triazole
($C_9H_{11}N_5$; *144035-22-3*) see: Rizatriptan benzoate

2-hydrazino-Δ^1-tetrahydroazepine
($C_6H_{13}N_3$; *31030-25-8*) see: Pentetrazol

hydrazobenzene
($C_{12}H_{12}N_2$; *122-66-7*) see: Kebuzone; Phenylbutazone; Sulfinpyrazone

hydridocobalamin
($C_{62}H_{89}CoN_{13}O_{14}P$; *18534-66-2*) see: Cobamamide; Mecobalamin

hydrocinnamoyl chloride
(C_9H_9ClO; *645-45-4*) see: Indinavir sulfate

hydrocodone
($C_{18}H_{21}NO_3$; *125-29-1*) see: Thebacon

hydrocortisone
($C_{21}H_{30}O_5$; *50-23-7*) see: Bendacort; Cloprednol; Desonide; Hydrocortisone acetate; Hydrocortisone 17-butyrate; Hydrocortisone sodium phosphate; Methylprednisolone; Prednisolone

9-(2-hydroxy-3,4-dimethoxy-6-methylbenzoyl)nonanoic acid
($C_{19}H_{28}O_6$; *58185-79-8*) see: Idebenone

(*S*)-α-hydroxy-2,5-dimethoxy-α,3,4,6-tetramethylbenzenebutanal
($C_{16}H_{24}O_4$; *85148-24-9*) see: Troglitazone

6-hydroxy-6-(3-dimethylaminopropyl)-6,12-dihydrobenzofuro[3,2-*c*][1]benzoxepin
($C_{21}H_{23}NO_3$; *27450-47-1*) see: Oxetorone

2'-hydroxy-5,9-dimethylbenzo-6-morphen
($C_{14}H_{19}NO$; *25144-78-9*) see: Pentazocine

11β-hydroxy-6,16α-dimethyl-17,20:20,21-bis(methylenedioxy)pregna-4,6-dien-3-one
($C_{25}H_{34}O_6$; *4968-27-8*) see: Cortivazol

D(−)-2-hydroxy-3,3-dimethylbutanolide
($C_6H_{10}O_3$; *599-04-2*) see: Calcium pantothenate; Dexpanthenol

5-hydroxy-6β,17-dimethyl-5α-pregnane-3,20-dione
($C_{23}H_{36}O_3$; *95565-52-9*) see: Medrogestone

3β-hydroxy-6,17-dimethylpregn-5-en-20-one
($C_{23}H_{36}O_2$; *95565-41-6*) see: Medrogestone

15α-hydroxy-3,3-(2,2-dimethyltrimethylenedioxy)-13-ethyl-5(10)-gonen-17-one
($C_{24}H_{36}O_4$; *60919-51-9*) see: Gestodene

15α-hydroxy-3,3-(2,2-dimethyltrimethylenedioxy)-13-ethyl-5-gonen-17-one
($C_{24}H_{36}O_4$; *60919-47-3*) see: Gestodene

5-[(4-hydroxy-3,5-dinitrophenyl)methyl]-5-methyl-2,4-imidazolidinedione
($C_{11}H_{10}N_4O_7$; *56891-54-4*) see: Etiroxate

3-hydroxy-2,5-dioxocyclopentaneheptanoic acid
($C_{12}H_{18}O_5$; *22935-43-9*) see: Misoprostol

4-(hydroxydiphenylmethyl)-1-methylpyridinium methyl sulfate (salt)
($C_{20}H_{21}NO_5S$; *148302-52-7*) see: Diphemanil metilsulfate

4-[4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-oxobutyl]-α,α-dimethylbenzeneacetaldehyde
($C_{32}H_{37}NO_3$; *191155-95-0*) see: Fexofenadine hydrochloride

4-[4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-oxobutyl]-α,α-dimethylbenzeneacetic acid
($C_{32}H_{37}NO_4$; *76811-98-8*) see: Fexofenadine hydrochloride

4-[4-[4-(hydroxydiphenylmethyl)-1-piperidinyl]-1-oxobutyl]-α,α-dimethylbenzeneacetic acid ethyl ester
($C_{34}H_{41}NO_4$; *76812-02-7*) see: Fexofenadine hydrochloride

3α-hydroxy-2β,16β-dipiperidino-5α-androstan-17-one
($C_{29}H_{48}N_2O_2$; *13522-14-0*) see: Pancuronium bromide; Vecuronium bromide

9-hydroxyellipticine
($C_{17}H_{14}N_2O$; *51131-85-2*) see: Elliptinium acetate

3-hydroxyestra-1,3,5(10),6-tetraen-17-one
($C_{18}H_{20}O_2$; *2208-12-0*) see: Estrone

17β-hydroxy-4-estrene
($C_{18}H_{28}O$; *3646-30-8*) see: Allylestrenol; Lynestrenol

11β-hydroxy-8^4-estrene-3,17-dione
($C_{18}H_{24}O_3$; *15313-96-9*) see: Desogestrel

(11β)-11-hydroxyestr-5-ene-3,17-dione cyclic bis(1,2-ethanediyl acetal)
($C_{22}H_{32}O_5$; *59017-03-7*) see: Desogestrel

(17β)-17-hydroxyestr-4-en-3-one cyclic 1,2-ethanediyl dithioacetal
($C_{20}H_{30}OS_2$; *74531-93-4*) see: Allylestrenol; Ethylestrenol; Lynestrenol

2-(2-hydroxyethoxy)ethyl chloride
($C_4H_9ClO_2$; *628-89-7*) see: Etofenamate; Hydroxyzine; Quetiapine fumarate

1-[2-(2-hydroxyethoxy)ethyl]piperazine
($C_8H_{18}N_2O_2$; *13349-82-1*) see: Dixyrazine; Quetiapine fumarate

(5α,17β)-17-hydroxy-2-(ethoxymethylene)androstan-3-one
($C_{22}H_{34}O_3$) see: Drostanolone

3'-hydroxy-2-ethylamino-acetophenone
($C_{10}H_{13}NO_2$; *22510-12-9*) see: Etilefrine

3-[[(2-hydroxyethyl)amino]carbonyl]-5-nitrobenzoic acid
($C_{10}H_{10}N_2O_6$; *22871-56-3*) see: Ioxitalamic acid

α-[[(2-hydroxyethyl)amino]methyl]benzenemethanol
($C_{10}H_{15}NO_2$; *4397-15-3*) see: Levamisole

2-(2-hydroxyethylamino)-1-phenyl-1-propanol
($C_{11}H_{17}NO_2$; *54804-28-3*) see: Phenmetrazine

[(3*S*)-(1*R*)]-3-(1-hydroxyethyl)-2-azetidinone
($C_5H_9NO_2$; *120236-28-4*) see: Faropenem sodium

2-(1-hydroxyethyl)benzo[*b*]thiophene
($C_{10}H_{10}OS$; *51868-95-2*) see: Zileuton

15α-hydroxy-13-ethyl-4-gonene-3,17-dione
($C_{19}H_{26}O_3$; *60919-46-2*) see: Gestodene

1-(2-hydroxyethyl)hexahydro-1*H*-azepine
($C_8H_{17}NO$; *20603-00-3*) see: Prozapine

***N*-(2-hydroxyethyl)-2-hydroxypropylamine**
($C_5H_{13}NO_2$; *6579-55-1*) see: Levocabastine

(2-hydroxyethyl)(2-hydroxypropyl)sulfide
($C_5H_{12}O_2S$; *6713-03-7*) see: Nifurtimox

2-(1-hydroxyethyl)-2-imidazoline
($C_5H_{10}N_2O$; *22995-60-4*) see: Lofexidine

3-(2-hydroxyethyl)indole
($C_{10}H_{11}NO$; *526-55-6*) see: Indoramin

α-[[(2-hydroxyethyl)methylamino]methyl]benzenemethanol
($C_{11}H_{17}NO_2$; *23175-16-8*) see: Mianserin

2-[[(2-hydroxyethyl)methylamino]methyl]-α-phenylbenzenemethanol
($C_{17}H_{21}NO_2$; *60725-36-2*) see: Nefopam

α-[*N*-(2-hydroxyethyl)methylamino]propiophenone
($C_{12}H_{17}NO_2$) see: Phendimetrazine

1-(2-hydroxyethyl)-4-(2-methylbenzyl)piperazine
($C_{13}H_{20}N_2O$; *40004-66-8*) see: Chlorbenzoxamine

[2*R*-[2α(*R),3β(*R**)]]-3-(1-hydroxyethyl)-γ-methyl-β,4-dioxo-2-azetidinebutanoic acid (4-nitrophenyl)methyl ester**
($C_{17}H_{20}N_2O_7$; *90822-23-4*) see: Meropenem

4-(2-hydroxyethyl)-3-methyl-2-phenylmorpholine
($C_{13}H_{19}NO_2$; *92197-26-7*) see: Fenbutrazate

3-(2-hydroxyethyl)-2-methyl-4*H*-pyrido[1,2-*a*]pyrimidin-4-one
($C_{11}H_{12}N_2O_2$; *41078-67-5*) see: Risperidone

5-(2-hydroxyethyl)-4-methylthiazole
(C_6H_9NOS; *137-00-8*) see: Thiamine

***N*-(2-hydroxyethyl)-5-nitroisophthalamic acid methyl ester**
($C_{11}H_{12}N_2O_6$; *28179-40-0*) see: Ioxitalamic acid

(11β)-3-hydroxy-11-methoxyestra-1,3,5(10)-trien-17-one
($C_{19}H_{24}O_3$; *21375-11-1*) see: Moxestrol
4-hydroxy-3-methoxy-4'-methylbenzophenone
($C_{15}H_{14}O_3$; *134612-39-8*) see: Tolcapone
α-hydroxy-6-methoxy-α-methyl-2-naphthaleneacetic acid
($C_{14}H_{14}O_4$; *32721-11-2*) see: Naproxen
4-hydroxy-3-methoxy-4'-methyl-5-nitrobenzophenone
($C_{15}H_{13}NO_5$; *134612-80-9*) see: Tolcapone
[*S*-(*R,*R**)]-α-hydroxy-4-methoxy-β-[(2-nitrophenyl)-thio]benzenepropanoic acid**
($C_{16}H_{15}NO_6S$; *42399-45-1*) see: Diltiazem
(*R,*R**)-(±)-α-hydroxy-4-methoxy-β-[(2-nitrophenyl)-thio]benzenepropanoic acid methyl ester**
($C_{17}H_{17}NO_6S$; *42399-43-9*) see: Diltiazem
3-hydroxy-2-methoxy-5-oxo-1-cyclopentene-1-heptanoic acid methyl ester
($C_{14}H_{22}O_5$; *32406-04-5*) see: Misoprostol
4-hydroxy-2-methoxy-5-oxo-1-cyclopentene-1-heptanoic acid methyl ester
($C_{14}H_{22}O_5$; *32561-42-5*) see: Misoprostol
5-[1-hydroxy-2-[[2-(2-methoxyphenoxy)ethyl](phenylmethyl)amino]ethyl]-2-methylbenzenesulfonamide
($C_{25}H_{30}N_2O_5S$; *70958-78-0*) see: Amosulalol
(4-hydroxy-3-methoxyphenyl)acetone
($C_{10}H_{12}O_3$; *2503-46-0*) see: Methyldopa
5-[(4-hydroxy-3-methoxyphenyl)methylene]-2,4-imidazolidinedione
($C_{11}H_{10}N_2O_4$; *52036-16-5*) see: Levodopa
***N*-[5-[1-hydroxy-2-[[2-(4-methoxyphenyl)-1-methylethyl](phenylmethyl)amino]ethyl]-2-(phenylmethoxy)phenyl]-formamide**
($C_{33}H_{36}N_2O_4$; *43229-70-5*) see: Formoterol
1-(4-hydroxy-3-methoxyphenyl)-1,2-propanediol 2-formate
($C_{11}H_{14}O_5$) see: Methyldopa
17-hydroxy-20-methoxypregna-4,20-dien-3-one
($C_{22}H_{32}O_3$; *63973-94-4*) see: Hydroxyprogesterone
6-hydroxy-5-methoxy-4(1*H*)-pyrimidinone
($C_5H_6N_2O_3$; *5193-84-0*) see: Sulfadoxine
6-hydroxy-2-methoxy-2,5,7,8-tetramethylchromane
($C_{14}H_{20}O_3$; *53209-24-8*) see: Troglitazone
4'-hydroxy-2-methylaminoacetophenone
($C_9H_{11}NO_2$; *21213-89-8*) see: Synephrine
(17β)-17-hydroxy-17-methylandrosta-4,9(11)-dien-3-one
($C_{20}H_{28}O_2$; *1039-17-4*) see: Fluoxymesterone
17β-hydroxy-17-methylandrosta-4,6-dien-3-one
($C_{20}H_{28}O_2$; *5585-85-3*) see: Bolasterone
(5α,17β)-17-hydroxy-17-methylandrostane-2,3-dione dioxime
($C_{20}H_{32}N_2O_3$; *3137-81-3*) see: Furazabol
5-(hydroxymethyl)-1-β-D-arabinofuranosyluracil
($C_{10}H_{14}N_2O_7$; *28608-82-4*) see: Sorivudine
(1α,5α,6α)-6-(hydroxymethyl)-3-azabicyclo[3.1.0]hexane
($C_6H_{11}NO$; *134575-13-6*) see: Trovafloxacin mesilate
[7(*S*)-(1α,2β,4β,5α,7β)]-α(hydroxymethyl)benzeneacetic acid 9-ethyl-3-oxa-9-azatricyclo[3.3.1.0^{2,4}]non-7-yl ester
($C_{19}H_{23}NO_4$; *67009-40-9*) see: Oxitropium bromide
[7(*S*)-(1α,2β,4β,5α,7β)]-α-(hydroxymethyl)benzeneacetic acid 3-oxa-9-azatricyclo[3.3.1.0^{2,4}]non-7-yl ester
($C_{16}H_{19}NO_4$; *4684-28-0*) see: Oxitropium bromide
3-hydroxy-2-methylbenzoic acid
($C_8H_8O_3$; *603-80-5*) see: Nelfinavir mesylate
(11β,16α)-11-hydroxy-16-methyl-17,21-bis(1-oxopropoxy)pregna-1,4,6-triene-3,20-dione
($C_{28}H_{36}O_7$; *67212-74-2*) see: Alclometasone dipropionate
2'-hydroxy-4'-(3-methyl-2-butenyloxy)acetophenone
($C_{13}H_{16}O_3$; *24672-83-1*) see: Sofalcone
2-hydroxy-2-methylbutyric acid ethyl ester
($C_7H_{14}O_3$; *77-70-3*) see: Paramethadione
2-hydroxy-2-methylbutyronitrile
(C_5H_9NO; *4111-08-4*) see: Paramethadione
5(*R*)-(hydroxymethyl)-2-cyclopenten-1(*R*)-ol
($C_6H_{10}O_2$; *143395-28-2*) see: Abacavir
2-[1-(hydroxymethyl)cyclopropyl]acetonitrile
(C_6H_9NO; *152922-71-9*) see: Montelukast sodium
(±)-*cis*-2-hydroxymethyl-*N*,*N*-diethyl-1-phenylcyclopropanecarboxamide
($C_{15}H_{21}NO_2$; *131091-01-5*) see: Milnacipran hydrochloride
2-hydroxymethyl-2,3-dihydro-1,4-benzodioxin
($C_9H_{10}O_3$; *3663-82-9*) see: Guanoxan
2-hydroxymethyl-3,4-dimethoxypyridine
($C_8H_{11}NO_3$; *72830-08-1*) see: Pantoprazole sodium
2-(hydroxymethyl)-3,5-dimethyl-4-methoxypyridine
($C_9H_{13}NO_2$; *86604-78-6*) see: Omeprazole
4-hydroxy-6,7-methylenedioxycinnoline
($C_9H_6N_2O_3$; *28657-76-3*) see: Cinoxacin
4-hydroxy-6,7-methylenedioxyquinoline-3-carboxylic acid ethyl ester
($C_{13}H_{11}NO_5$; *14205-65-3*) see: Oxolinic acid
α-(hydroxymethylene)-3,4,5-trimethoxybenzenepropanoic acid ethyl ester
($C_{15}H_{20}O_6$; *72830-04-7*) see: Trimethoprim
[1*R*[1α,5α,6(*R)]]-α-[1-(hydroxymethyl)ethenyl]-7-oxo-3-phenyl-4-oxa-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid diphenylmethyl ester**
($C_{28}H_{24}N_2O_5$; *67977-88-2*) see: Latamoxef
8-hydroxy-5-[2-[(1-methylethyl)amino]-1-oxobutyl]-2(1*H*)-quinolinone
($C_{16}H_{20}N_2O_3$; *63235-39-2*) see: Procaterol
4-[2-hydroxy-3-[(1-methylethyl)amino]propoxy]phenol
($C_{12}H_{19}NO_3$; *62340-37-8*) see: Prenalterol
2-hydroxy-2-methyl-3-(4-fluorophenylthio)propionic acid
($C_{10}H_{11}FO_3S$) see: Bicalutamide
4-hydroxy-2-methylindole
(C_9H_9NO; *35320-67-3*) see: Bopindolol; Mepindolol
3(*S*)-hydroxymethyl-7-methoxy-7-[2-(2-thienyl)acetamido]-3-cephem-4-carboxylic acid potassium salt
($C_{15}H_{15}KN_2O_6S_2$; *37051-16-4*) see: Cefoxitin
4-hydroxymethyl-5-methylimidazole hydrochloride
($C_5H_9ClN_2O$; *38585-62-5*) see: Cimetidine
2-hydroxymethyl-1-methylpiperidine
($C_7H_{15}NO$; *20845-34-5*) see: Bevonium metilsulfate
α-hydroxy-α-methyl-4-(2-methylpropyl)benzeneacetonitrile
($C_{13}H_{17}NO$; *63367-12-4*) see: Ibuprofen
α-hydroxy-α-methyl-4-(2-methylpropyl)benzeneethanimidic acid methyl ester hydrochloride
($C_{14}H_{22}ClNO_2$) see: Ibuprofen
2-hydroxymethyl-5-methylpyrazine
($C_6H_8N_2O$; *61892-95-3*) see: Acipimox

(4-hydroxy-3-nitrophenyl)arsonic acid
($C_6H_6AsNO_6$; *121-19-7*) see: Acetarsol

4-hydroxy-3-nitroquinoline
($C_9H_6N_2O_3$; *50332-66-6*) see: Imiquimod

8-hydroxy-5-nitrosoquinoline
($C_9H_6N_2O_2$; *3565-26-2*) see: Nitroxoline

4-hydroxynorephedrine
($C_9H_{13}NO_2$; *552-85-2*) see: Buphenine; Isoxsuprine

L-ε-hydroxynorleucine methyl ester
($C_7H_{15}NO_3$; *167090-40-6*) see: Omapatrilat

17β-hydroxy-19-norpregna-4,9,11-trien-20-yn-3-one oxime
($C_{20}H_{27}NO_2$; *19636-23-8*) see: Norgestrienone

17-hydroxy-19-norprogesterone
($C_{20}H_{28}O_3$; *2137-18-0*) see: Gestonorone caproate

***trans*-5-hydroxy-1,3-oxathiolane-2-carboxylic acid**
($C_4H_6O_4S$; *147027-04-1*) see: Lamivudine

17β-hydroxy-3-oxo-5α-androst-1-ene
($C_{19}H_{28}O_2$; *65-06-5*) see: Mesterolone; Metenolone acetate

3β-hydroxy-17-oxo-5-androstene
see under androstenolone

3-(17β-hydroxy-3-oxo-4-androsten-17-yl)propionic acid lactone
($C_{22}H_{30}O_3$; *976-70-5*) see: Potassium canrenoate; Spironolactone

3-hydroxy-5-oxo-1-cyclopentene-1-heptanoic acid methyl ester
($C_{13}H_{20}O_4$; *40098-26-8*) see: Misoprostol

17β-hydroxy-3-oxo-4,9,11-estratriene
($C_{18}H_{22}O_2$; *10161-33-8*) see: Norgestrienone; Trenbolone hexahydrobenzyl carbonate

(*S*)-2-[3-hydroxy-2-oxo-1-(phenylmethyl)propyl]-1*H*-isoindole-1,3(2*H*)-dione
($C_{18}H_{15}NO_4$; *136465-82-2*) see: Saquinavir

[*S*-(*R,*S**)]-[2-hydroxy-3-oxo-1-[(phenylthio)methyl]propyl]carbamic acid phenylmethyl ester**
($C_{18}H_{19}NO_4S$; *197302-37-7*) see: Nelfinavir mesylate

3β-hydroxy-20-oxo-5,16-pregnadiene
see under 16-dehydropregnenolone

(4*S*)-3-[(2*S*,3*R*)-3-hydroxy-1-oxo-2-(2-propenyl)-4-pentenyl]-4-(phenylmethyl)-2-oxazolidinone
($C_{18}H_{21}NO_4$; *178327-17-8*) see: Abacavir

5-[[4-(4-hydroxyphenoxy)-3,5-diiodophenyl]methyl]-5-methyl-2,4-imidazolidinedione
($C_{17}H_{14}I_2N_2O_4$; *5165-06-0*) see: Etiroxate

4-hydroxyphenylacetamide
($C_8H_9NO_2$; *17194-82-0*) see: Atenolol

4-hydroxyphenylacetic acid
($C_8H_8O_3$; *156-38-7*) see: Atenolol; Camostat

4-hydroxyphenylacetone
($C_9H_{10}O_2$; *770-39-8*) see: Pholedrine

(−)-1-hydroxy-1-phenylacetone
($C_9H_{10}O_2$; *1798-60-3*) see: L(−)-Ephedrine

1-(4-hydroxyphenyl)-4-acetylpiperazine
($C_{12}H_{16}N_2O_2$; *67914-60-7*) see: Itraconazole; Ketoconazole

1-(4-hydroxyphenyl)-2-amino-1-propanol
see under 4-hydroxynorephedrine

(3*R*,4*S*)-3-hydroxy-4-phenyl-2-azetidinone
($C_9H_9NO_2$; *132127-34-5*) see: Paclitaxel

α-hydroxy-α-phenylbenzeneacetic acid 1-azabicyclo[2.2.2]oct-3-yl ester
($C_{21}H_{23}NO_3$; *6581-06-2*) see: Clidinium bromide

α-hydroxy-α-phenylbenzeneacetic acid 1-ethyl-3-piperidinyl ester
($C_{21}H_{25}NO_3$; *3567-12-2*) see: Pipenzolate bromide

3*endo*-α-hydroxy-α-phenylbenzeneacetic acid 6-methoxy-8-methyl-8-azabicyclo[3.2.1]oct-3-yl ester
($C_{23}H_{27}NO_4$) see: Tropenziline bromide

α-hydroxy-α-phenylbenzeneacetic acid 1-methyl-4-piperidinyl ester
($C_{20}H_{23}NO_3$; *3608-67-1*) see: Propiverine

(−)-(1*S*,2*S*)-*N*-(2-hydroxy-1-phenyl-3-butenyl)benzamide
($C_{17}H_{17}NO_2$; *136693-02-2*) see: Paclitaxel

[hydroxy(4-phenylbutyl)phosphinyl]acetic acid phenylmethyl ester
($C_{19}H_{23}O_4P$; *87460-09-1*) see: Fosinopril

1-(4-hydroxyphenyl)ethanone oxime
($C_8H_9NO_2$; *34523-34-7*) see: Paracetamol

4-hydroxy-1-(2-phenylethyl)-4-piperidinecarbonitrile
($C_{14}H_{18}N_2O$; *23804-59-3*) see: Fenspiride

***N*-[3-(2-hydroxy-2-phenylethyl)-2-thiazolidinylidene]acetamide**
($C_{13}H_{16}N_2O_2S$; *5028-81-9*) see: Levamisole

4-hydroxy-3-phenyl-2(5*H*)-furanone
($C_{10}H_8O_3$; *23782-85-6*) see: Rofecoxib

D-4-hydroxyphenylglycine
($C_8H_9NO_3$; *22818-40-2*) see: Aspoxicillin

DL-4-hydroxyphenylglycine
($C_8H_9NO_3$; *938-97-6*) see: Atenolol

D(−)-4-hydroxyphenylglycine sodium salt
($C_8H_8NNaO_3$; *55361-61-0*) see: Cefoperazone

D-*p*-hydroxyphenylglycyl chloride hydrochloride
($C_8H_9Cl_2NO_2$; *51431-08-4*) see: Amoxicillin; Cefatrizine; *cis*-Cefprozil

D(−)-2-(4-hydroxyphenyl)glycyl chloride hydrochloride
see under D-*p*-hydroxyphenylglycyl chloride hydrochloride

7-hydroxy-7-phenylheptanoic acid
($C_{13}H_{18}O_3$; *103187-18-4*) see: Seratrodast

[*R*-(*R,*S**)]-[2-hydroxy-1-[[(phenylmethoxy)amino]carbonyl]propyl]carbamic acid 1,1-dimethylethyl ester**
($C_{16}H_{24}N_2O_5$; *75624-31-6*) see: Aztreonam

(*R*)-3-hydroxy-7-[[(phenylmethoxy)carbonyl]amino]heptanoic acid
($C_{15}H_{21}NO_5$) see: Gusperimus trihydrochloride

4-[hydroxy[5-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-4-oxobutanoic acid
($C_{17}H_{24}N_2O_6$; *106410-46-2*) see: Deferoxamine

D-α-(4-hydroxyphenyl)-α-(2-methoxycarbonyl-1-methylethenylamino)acetic acid anhydride with monoethyl carbonate
($C_{16}H_{19}NO_7$; *78858-51-2*) see: Amoxicillin

[1*S*-(1α,4α,5β)]-4-hydroxy-5-[(phenylmethoxy)methyl]-2-cyclopentene-1-acetic acid
($C_{15}H_{18}O_4$; *41787-51-3*) see: Dinoprost

***N*-[2-[[2-hydroxy-3-[4-(phenylmethoxy)phenoxy]propyl]amino]ethyl]-4-morpholinecarboxamide**
($C_{23}H_{31}N_3O_5$; *69630-21-3*) see: Xamoterol

hydroxystenozole
($C_{21}H_{30}N_2O$; *19120-01-5*) see: Stanozolol

***N*-hydroxysuccinimide**
($C_4H_5NO_3$; *6066-82-6*) see: Amprenavir; Aspoxicillin; Cefotiam; Imidapril; Nateglinide; Ritonavir; Romurtide; Spirapril

(*S*)-(+)-3-hydroxytetrahydrofuran
($C_4H_8O_2$; *86087-23-2*) see: Amprenavir

2-[2(*R*)-hydroxy-3-[(tetrahydro-2*H*-pyran-2-yl)oxy]-1(*S*)-(phenylmethyl)propyl]-1*H*-isoindole-1,3(2*H*)-dione
($C_{23}H_{25}NO_5$) see: Saquinavir

5-hydroxy-1,2,3,4-tetrahydroquinolin-2-one
($C_9H_9NO_2$; *30389-33-4*) see: Carteolol

5-hydroxy-1-tetralone
($C_{10}H_{10}O_2$; *28315-93-7*) see: Levobunolol

(±)-6-hydroxy-2,5,7,8-tetramethylchroman-2-carboxylic acid
($C_{14}H_{18}O_4$; *53188-07-1*) see: Troglitazone

(±)-6-hydroxy-2,5,7,8-tetramethylchroman-2-ylmethanol
($C_{14}H_{20}O_3$; *79907-49-6*) see: Troglitazone

8-hydroxythiochroman
($C_9H_{10}OS$; *30073-50-8*) see: Tertatolol

α-hydroxy-2-thiopheneacetonitrile
(C_6H_5NOS; *89380-68-7*) see: Temocapril

4-hydroxy-4-(*p*-tolyl)piperidine
($C_{12}H_{17}NO$; *57988-60-0*) see: Moperone

6-hydroxy-2,4,5-triaminopyrimidine
($C_4H_7N_5O$; *1004-75-7*) see: Folic acid

4-hydroxy-4-(3-trifluoromethylphenyl)piperidine
($C_{12}H_{14}F_3NO$; *2249-28-7*) see: Trifluperidol

4-hydroxy-8-trifluoromethylquinoline
($C_{10}H_6F_3NO$; *23779-96-6*) see: Floctafenine

4-hydroxy-8-(trifluoromethyl)-3-quinolinecarboxylic acid
($C_{11}H_6F_3NO_3$; *23779-95-5*) see: Floctafenine

2'-hydroxy-2,5,9-trimethylbenzo-6-morphen
($C_{15}H_{21}NO$; *25144-79-0*) see: Pentazocine

4-hydroxy-2,4,6-trimethyl-2,5-cyclohexadien-1-one
($C_9H_{12}O_2$; *16404-66-3*) see: Metipranolol

α-hydroxy-*N*,*N*,6-trimethyl-2-(4-methylphenyl)imidazo-[1,2-*a*]pyridine-3-acetamide
($C_{19}H_{21}N_3O_2$; *118026-14-5*) see: Zolpidem

(22*E*)-23-hydroxy-3,11,20-trioxo-21-norchola-4,22-dien-24-oic acid ethyl ester sodium salt
($C_{25}H_{31}NaO_6$; *74220-39-6*) see: Cortisone; Hydrocortisone

(+)-(*S*)-5-hydroxy-γ-valerolactone
($C_5H_8O_3$; *32780-06-6*) see: Stavudine

25-hydroxyvitamin D_2
($C_{28}H_{44}O_2$; *21343-40-8*) see: Paricalcitol

L-hyoscyamine
($C_{17}H_{23}NO_3$; *101-31-5*) see: Butropium bromide; Fentonium bromide

hyoscyamine
see under L-hyoscyamine

hypochlorous acid sodium salt
(ClNaO; *7681-52-9*) see: Halazone

hypoxanthine
($C_5H_4N_4O$; *68-94-0*) see: Mercaptopurine

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ibuprofen
($C_{13}H_{18}O_2$; *15687-27-1*) see: Ibuprofen lysinate; Ibuproxam; Mabuprofen

ibuprofen methyl ester
($C_{14}H_{20}O_2$; *61566-34-5*) see: Ibuprofen

ibuprofen sodium salt
($C_{13}H_{17}NaO_2$; *31121-93-4*) see: Pimeprofen

imidazole
($C_3H_4N_2$; *288-32-4*) see: Bifonazole; Butoconazole; Clotrimazole; Eprosartan; Fenticonazole; Isoconazole; Ketoconazole; Miconazole; Neticonazole hydrochloride; Omoconazole nitrate; Oxiconazole; Ozagrel

1*H*-imidazole lithium salt
($C_3H_3LiN_2$; *55986-39-5*) see: Flutrimazole

2-imidazolidinone
($C_3H_6N_2O$; *120-93-4*) see: Azlocillin; Mezlocillin

2-[1-(1*H*-imidazol-1-yl)ethenyl]phenol
($C_{11}H_{10}N_2O$; *74204-47-0*) see: Croconazole

1-imidazo[1,2-*a*]pyridin-6-yl-2-propanone
($C_{10}H_{10}N_2O$; *116355-08-9*) see: Olprinone hydrochloride

2-imino-1,3-benzoxathiol-6-ol
($C_7H_5NO_2S$) see: Tioxolone

iminodibenzyl
($C_{14}H_{13}N$; *494-19-9*) see: Carpipramine; Desipramine; Imipramine; Quinupramine; Tiracizine; Trimipramine

2-imino-α-phenyl-3-thiazolidineethanol
($C_{11}H_{14}N_2OS$; *10060-88-5*) see: Levamisole

iminostilbene
($C_{14}H_{11}N$; *256-96-2*) see: Carbamazepine; Opipramol; Oxcarbazepine

2-iminothiazolidine
($C_3H_6N_2S$; *1779-81-3*) see: Levamisole

2-(2-imino-3-thiazolidinyl)-1-phenylethanone
($C_{11}H_{12}N_2OS$; *6649-75-8*) see: Levamisole

imipramine
($C_{19}H_{24}N_2$; *50-49-7*) see: Desipramine

1,3-indanedione
($C_9H_6O_2$; *606-23-5*) see: Diphenadione

5-indanol
($C_9H_{10}O$; *1470-94-6*) see: Carindacillin

2-indanone
(C_9H_8O; *615-13-4*) see: Aprindine; Indanorex

***N*-(4-indanyl)-*N'*-benzoylthiourea**
($C_{17}H_{16}N_2OS$; *40507-75-3*) see: Indanazoline

***N*-(2-indanylidene)aniline**
($C_{15}H_{13}N$; *3201-41-0*) see: Aprindine

2-indanyl methanesulfonate
($C_{10}H_{12}O_3S$; *777-72-0*) see: Aprindine

(5-indanyloxycarbonyl)phenylketene
($C_{18}H_{14}O_3$; *58137-69-2*) see: Carindacillin

indazole-3-carboxylic acid
($C_8H_6N_2O_2$; *4498-67-3*) see: Granisetron; Lonidamine

indene
(C_9H_8; *95-13-6*) see: Indinavir sulfate

[(1*H*-inden-4-yloxy)methyl]oxirane
($C_{12}H_{12}O_2$; *64966-57-0*) see: Indenolol

[(1*H*-inden-7-yloxy)methyl]oxirane
($C_{12}H_{12}O_2$; *30190-85-3*) see: Indenolol

4-isobutylbenzyl chloride
($C_{11}H_{15}Cl$; *60736-79-0*) see: Ibuprofen
isobutyl bromide
(C_4H_9Br; *78-77-3*) see: Butalbital
isobutyl chloride
(C_4H_9Cl; *513-36-0*) see: Butethamine; Olprinone hydrochloride
isobutyl chloroformate
see under chloroformic acid isobutyl ester
isobutylene
see under isobutene
isobutylene chloride
(C_4H_7Cl; *563-47-3*) see: Alminoprofen
isobutyl 2-(2-nitrobenzylidene)acetoacetate
($C_{15}H_{17}NO_5$; *61312-59-2*) see: Nisoldipine
2-(4-isobutylphenyl)acetonitrile
($C_{12}H_{15}N$; *40784-95-0*) see: Butibufen; Ibuprofen
1-(4-isobutylphenyl)ethanol
($C_{12}H_{18}O$; *40150-92-3*) see: Ibuprofen
2-(4-isobutylphenyl)propionaldehyde
($C_{13}H_{18}O$; *51407-46-6*) see: Ibuprofen
(*RS*)-2-(4-isobutylphenyl)propionyl chloride
($C_{13}H_{17}ClO$; *34715-60-1*) see: Mabuprofen; Pimeprofen
2-(4-isobutylphenyl)propionyl chloride
see under (*RS*)-2-(4-isobutylphenyl)propionyl chloride
isobutyraldehyde
see under isobutanal
isobutyric acid
($C_4H_8O_2$; *79-31-2*) see: Captopril
2-isobutyrylacetanilide
($C_{12}H_{15}NO_2$; *124401-38-3*) see: Atorvastatin calcium
isobutyryl chloride
(C_4H_7ClO; *79-30-1*) see: Atorvastatin calcium; Flutamide; Ibopamine; Mibefradil hydrochloride; Ritonavir
isochroman
($C_9H_{10}O$; *493-05-0*) see: Ropinirole
2-isocyanatodiphenylmethane
($C_{14}H_{11}NO$; *146446-96-0*) see: Perlapine
***1*-[3-isocyanato-4-(phenylmethoxy)phenyl]ethanone**
($C_{16}H_{13}NO_3$; *35037-75-3*) see: Carbuterol
6β-isocyanopenicillanic acid benzyl ester
($C_{16}H_{16}N_2O_3S$; *53628-27-6*) see: Temocillin
isoeugenol
($C_{10}H_{12}O_2$; *97-54-1*) see: Methyldopa
(+)-isoisopulegol
($C_{10}H_{18}O$; *96612-21-4*) see: (−)-Menthol
D-isolysergazide
($C_{16}H_{15}N_5O$) see: Methylergometrine
(±)-isomenthol
($C_{10}H_{20}O$; *3623-52-7*) see: (−)-Menthol
isoniazid
($C_6H_7N_3O$; *54-85-3*) see: Glyconiazide; Iproniazid; Nialamide; Pasiniazid; Streptoniazid
isonicotinamide
($C_6H_6N_2O$; *1453-82-3*) see: Cefsulodin
isonicotinic acid 2-(2-carboxyethyl)hydrazide methyl ester
($C_{10}H_{13}N_3O_3$; *90872-10-9*) see: Nialamide
isonicotinoyl chloride
(C_6H_4ClNO; *14254-57-0*) see: Dexamethasone 21-isonicotinate
α-isonitrosopropiophenone
($C_9H_9NO_2$; *119-51-7*) see: Phenylpropanolamine
isopentyl bromide
see under isoamyl bromide
4-isopentyloxyaniline
($C_{11}H_{17}NO$; *5198-05-0*) see: Tiocarlide
4-isopentyloxy-1-nitrobenzene
($C_{11}H_{15}NO_3$; *7244-79-3*) see: Tiocarlide
isophthalaldehyde
($C_8H_6O_2$; *626-19-7*) see: Montelukast sodium
isophthaloyl chloride
($C_8H_4Cl_2O_2$; *99-63-8*) see: Ditophal
isophytol
($C_{20}H_{40}O$; *505-32-8*) see: α-Tocopherol
isoprene
(C_5H_8; *78-79-5*) see: Troglitazone
isoprenyl bromide
(C_5H_9Br; *870-63-3*) see: Pentazocine; Sofalcone; Tazarotene
isopropanol
(C_3H_8O; *67-63-0*) see: Fenofibrate; Imiquimod; Isoflurophate; Nimodipine
isopropenyl acetate
($C_5H_8O_2$; *108-22-5*) see: Desoxycortone acetate; Estriol; Pancuronium bromide; Pipecuronium bromide; Vecuronium bromide
4-isopropenyltoluene
($C_{10}H_{12}$; *1195-32-0*) see: Moperone
4-isopropoxybenzoyl chloride
($C_{10}H_{11}ClO_2$; *36823-82-2*) see: Sulfaproxyline
2-isopropoxyethanol
($C_5H_{12}O_2$; *109-59-1*) see: Bisoprolol
4-[(2-isopropoxyethoxy)methyl]phenol
($C_{12}H_{18}O_3$; *177034-57-0*) see: Bisoprolol
5-[4-[(2-isopropoxyethoxy)methyl]phenoxymethyl]-3-isopropyl-2-oxazolidinone
($C_{19}H_{29}NO_5$; *87844-84-6*) see: Bisoprolol
2-[[4-(2-isopropoxyethoxy)methyl]phenoxymethyl]oxirane
($C_{15}H_{22}O_4$; *66722-57-4*) see: Bisoprolol
isopropyl acetoacetate
($C_7H_{12}O_3$; *542-08-5*) see: Isradipine; Nilvadipine; Nimodipine
isopropyl alcohol
see under isopropanol
isopropylamine
(C_3H_9N; *75-31-0*) see: Acebutolol; Alprenolol; Atenolol; Befunolol; Betaxolol; Bisoprolol; Carazolol; Carisoprodol; Clorprenaline; Esmolol; Indecainide; Indenolol; Isoctarine; Isoprenaline; Mepindolol; Metipranolol; Metoprolol; Nifenalol; Nipradilol; Orciprenaline; Oxaflozane; Oxprenolol; Pindolol; Pramiverine; Prenalterol; Procarbazine; Procaterol; Proguanil; Propranolol; Sotalol; Toliprolol
isopropyl 3-aminocrotonate
($C_7H_{13}NO_2$; *14205-46-0*) see: Nimodipine
2-isopropylamino-3',5'-dimethoxyacetophenone
($C_{13}H_{19}NO_3$) see: Orciprenaline
2-isopropylamino-4-methylbenzophenone
($C_{17}H_{19}NO$; *23070-81-7*) see: Proquazone
***N*-isopropylaniline**
($C_9H_{13}N$; *768-52-5*) see: Fluvastatin sodium

K

L

leucomycin V 3,4B-dipropanoate 2A,3B,9-triacetate
($C_{47}H_{73}NO_{18}$; *55881-06-6*) see: Midecamycin acetate
leuco-1,4,5,8-tetrahydroxyanthraquinone
($C_{14}H_8O_6$; *81-60-7*) see: Mitoxantrone
levonorgestrel acetate
($C_{23}H_{30}O_3$; *13732-69-9*) see: Norgestimate
levulinic acid
($C_5H_8O_3$; *123-76-2*) see: Acemetacin; Cinmetacin; Indometacin
lincomycin
($C_{18}H_{34}N_2O_6S$; *154-21-2*) see: Clindamycin
lipstatin
($C_{29}H_{49}NO_5$; *96829-59-3*) see: Orlistat
lithium acetylide (Li(C2H))
(C_2HLi; *1111-64-4*) see: Levonorgestrel
lithium ethyl acetate
($C_4H_7LiO_2$; *189811-59-4*) see: Iloprost
lithium tri-sec-butylborohydride
($C_{12}H_{28}BLi$; *38721-52-7*) see: Rosiglitazone
loratadine
($C_{22}H_{23}ClN_2O_2$; *79794-75-5*) see: Desloratadine
lovastatin
($C_{24}H_{36}O_5$; *75330-75-5*) see: Simvastatin
lumazine
($C_6H_4N_4O_2$; *487-21-8*) see: Amiloride
lumilysergol 10-methyl ether
($C_{17}H_{22}N_2O_2$; *35121-60-9*) see: Nicergoline
lumilysergol 10-methyl ether 8-*O*-(5-bromonicotinate)
($C_{23}H_{24}BrN_3O_3$; *35264-46-1*) see: Nicergoline
2,6-lutidine
(C_7H_9N; *108-48-5*) see: Pyridinol carbamate; Raltitrexed
D-lysergazide
($C_{16}H_{15}N_5O$; *62074-28-6*) see: Methylergometrine
D-lysergic acid
($C_{16}H_{16}N_2O_2$; *82-58-6*) see: Ergometrine; Pergolide
lysergic acid
see under D-lysergic acid
lysergol
($C_{16}H_{18}N_2O$; *602-85-7*) see: Nicergoline
L-lysine
($C_6H_{14}N_2O_2$; *56-87-1*) see: Eptifibatide; Gusperimus trihydrochloride; Ibuprofen lysinate; Lisinopril; Lymecycline

M

magnesium monoperoxyphthalate
($C_8H_4MgO_5$; *109536-69-8*) see: Rofecoxib
maleic acid monoureide
($C_5H_6N_2O_4$; *105-61-3*) see: Orotic acid
maleic anhydride
($C_4H_2O_3$; *108-31-6*) see: Azintamide
(*S*)-malic acid
($C_4H_6O_5$; *97-67-6*) see: Barnidipine; Orlistat
L-malic acid
see under (*S*)-malic acid
malic acid
($C_4H_6O_5$; *6915-15-7*) see: Bucumolol; Methoxsalen
malonic acid
($C_3H_4O_4$; *141-82-2*) see: Acrivastine; Pilsicainide
malononitrile
($C_3H_2N_2$; *109-77-3*) see: Thiamine; Triamterene; Trimethoprim
malonyl chloride
($C_3H_2Cl_2O_2$; *1663-67-8*) see: Iotrolan
(±)-mandelic acid
($C_8H_8O_3$; *90-64-2*) see: Cyclandelate; Fenozolone; Fenyramidol; Homatropine; Micinicate
D(−)-mandelic acid
($C_8H_8O_3$; *611-71-2*) see: Sertraline
mandelic acid ethyl ester
($C_{10}H_{12}O_3$; *4358-88-7*) see: Pemoline
mandelonitrile
(C_8H_7NO; *532-28-5*) see: Ethotoin
D-mannitol
($C_6H_{14}O_6$; *69-65-8*) see: Mitobronitol
MCPBA
see under *m*-chloroperbenzoic acid
medroxyprogesterone
see under 17-hydroxy-6α-methylprogesterone
megestrol
($C_{22}H_{30}O_3$; *3562-63-8*) see: Megestrol acetate
melamine
($C_3H_6N_6$; *108-78-1*) see: Altretamine
menadiol
($C_{11}H_{10}O_2$; *481-85-6*) see: Menadiol sodium diphosphate
menadiol 1-acetate
($C_{13}H_{12}O_3$; *2211-27-0*) see: Phytomenadione
menadiol diacetate
($C_{15}H_{14}O_4$; *573-20-6*) see: Phytomenadione
menadione
($C_{11}H_8O_2$; *58-27-5*) see: Menadiol diacetate; Menadiol sodium diphosphate; Menadione sodium bisulfite
(+)-*p*-mentha-2,8-dien-1-ol
($C_{10}H_{16}O$) see: Dronabinol
(1*S*-*cis*)-*p*-menth-2-ene-1,8-diol
($C_{10}H_{18}O_2$; *15910-72-2*) see: Dronabinol
(±)-menthol
($C_{10}H_{20}O$; *89-78-1*) see: (−)-Menthol
(−)-menthol
($C_{10}H_{20}O$; *2216-51-5*) see: Paroxetine
(±)-menthyl benzoate
($C_{17}H_{24}O_2$; *38649-18-2*) see: (−)-Menthol
(±)-mepromazine
($C_{19}H_{24}N_2OS$; *51019-87-5*) see: Levomepromazine
mercaptoacetaldehyde dimethyl acetal
($C_4H_{10}O_2S$; *89055-43-6*) see: Epitizide; Lamivudine
3-mercaptoanisole
(C_7H_8OS; *15570-12-4*) see: Raloxifene hydrochloride
2-mercaptobenzimidazole
($C_7H_6N_2S$; *583-39-1*) see: Lansoprazole
2-mercapto-4-(5-carbamoyl-2-thienyl)thiazole
($C_8H_6N_2OS_3$; *52560-89-1*) see: Arotinolol
***cis*-4-mercapto-*N*,*N*-dimethyl-1-(*p*-nitrobenzyloxycarbonyl)-L-prolinamide**
($C_{15}H_{19}N_3O_5S$; *96034-64-9*) see: Meropenem
2-mercaptoethanol
(C_2H_6OS; *60-24-2*) see: Nifurtimox; Tiadenol
(+)-mercaptolactic acid
($C_3H_6O_3S$; *30163-03-2*) see: Lamivudine

8-methoxy-2*H*-1-benzopyran-3(4*H*)-one
($C_{10}H_{10}O_3$; *91520-00-2*) see: Nipradilol

4-(4-methoxybenzoylamino)butyric acid
($C_{12}H_{15}NO_4$; *72432-14-5*) see: Aniracetam

2-methoxybenzoyl chloride
($C_8H_7ClO_2$; *21615-34-9*) see: Losartan potassium

3-methoxybenzoyl chloride
($C_8H_7ClO_2$; *1711-05-3*) see: Nelfinavir mesylate

***p*-methoxybenzyl alcohol**
($C_8H_{10}O_2$; *105-13-5*) see: Efavirenz; Raloxifene hydrochloride

4-methoxybenzylamine
($C_8H_{11}NO$; *2393-23-9*) see: Idarubicin

2-(4-methoxybenzylamino)pyridine
($C_{13}H_{14}N_2O$; *52818-63-0*) see: Mepyramine

4-methoxybenzyl bromide
(C_8H_9BrO; *2746-25-0*) see: Tacrolimus

4-methoxybenzyl 3-(chloromethyl)-7(*R*)-(phenylacetamido)-3-cephem-4-carboxylate
($C_{24}H_{23}ClN_2O_5S$; *104146-10-3*) see: Cefditoren pivoxil

***N*-(4-methoxybenzyl)-4-chloro-2-(trifluoroacetyl)aniline**
($C_{16}H_{13}ClF_3NO_2$; *173676-54-5*) see: Efavirenz

3-methoxybenzyl cyanide
(C_9H_9NO; *19924-43-7*) see: Ketobemidone

2-(4-methoxybenzyl)-3,4-dimethyl-1-phenethyl-1,2,5,6-tetrahydropyridine
($C_{23}H_{29}NO$; *1100-37-4*) see: Phenazocine

1-(4-methoxybenzyl)-3,4,5,6,7,8-hexahydroisoquinoline
($C_{17}H_{21}NO$; *51072-35-6*) see: Levorphanol

17,21-*O*-(α-methoxybenzylidene)betamethasone
($C_{30}H_{35}FO_6$; *31020-77-6*) see: Betamethasone benzoate

4-methoxybenzylmagnesium chloride
(C_8H_9ClMgO; *38769-92-5*) see: Chlorotrianisene; Pentazocine; Phenazocine

5-(4-methoxybenzyl)-5-methylhydantoin
($C_{12}H_{14}N_2O_3$; *13500-24-8*) see: Etiroxate; Metirosine

4-methoxybenzyl methyl ketone
($C_{10}H_{12}O_2$; *122-84-9*) see: Etiroxate; Mebeverine; Metirosine; Tamsulosin hydrochloride

1-(4-methoxybenzyl)-2-methyl-1,2,3,4,5,6,7,8-octahydroisoquinoline
($C_{18}H_{25}NO$; *38969-65-2*) see: Levorphanol

1-(4-methoxybenzyl)-1,2,3,4,5,6,7,8-octahydroisoquinoline
($C_{17}H_{23}NO$; *51072-36-7*) see: Levallorphan; Levorphanol

(±)-1-(4-methoxybenzyl)-1,2,3,4,5,6,7,8-octahydroisoquinoline
see under 1-(4-methoxybenzyl)-1,2,3,4,5,6,7,8-octahydroisoquinoline

2-(4-methoxybenzyl)-1,3,4-trimethyl-1,2,5,6-tetrahydropyridine
($C_{16}H_{25}NO$; *33216-38-5*) see: Pentazocine

4-methoxy-α,α-bis(4-methoxyphenyl)benzeneethanol
($C_{23}H_{24}O_4$; *1817-87-4*) see: Chlorotrianisene

3-methoxycarbazole
($C_{13}H_{11}NO$; *18992-85-3*) see: Ramatroban

4-methoxycarbonyl-2-azaspiro[4.5]decan-3-one
($C_{11}H_{17}NO_3$; *128262-17-9*) see: Gabapentin

2-(methoxycarbonyl)benzenediazonium chloride
($C_8H_7ClN_2O_2$; *35358-78-2*) see: Saccharin

2-methoxycarbonylbenzenesulfonamide
($C_8H_9NO_4S$; *57683-71-3*) see: Saccharin

1-methoxycarbonyl-3-cyclopentene oxide (*cis/trans*-mixt.)
($C_7H_{10}O_3$) see: Dolasetron mesilate

8β-methoxycarbonylergoline
($C_{16}H_{18}N_2O_2$; *30341-92-5*) see: Cabergoline; Pergolide

D-8β-methoxycarbonylergoline
see under 8β-methoxycarbonylergoline

β-methoxycarbonylglutaraldehyde
($C_7H_{10}O_4$) see: Dolasetron mesilate

(methoxycarbonylmethylene)triphenylphosphorane
($C_{21}H_{19}O_2P$; *2605-67-6*) see: Latanoprost; Tirofiban hydrochloride

***N*-(2-methoxycarbonyl-1-methylethenyl)-D(−)-phenylglycine sodium salt**
($C_{13}H_{14}NNaO_4$; *13291-96-8*) see: Ampicillin; Cefalexin

3-methoxycarbonyl-4-oxo-3,4-dihydro-2*H*-1,2-benzothiazine 1,1-dioxide
($C_{10}H_9NO_5S$; *29209-29-8*) see: Piroxicam

4-methoxycarbonyl-4-[(1-oxopropyl)phenylamino]piperidine
($C_{16}H_{22}N_2O_3$; *72996-78-2*) see: Remifentanil

4-methoxycarbonyl-1-piperidineacetic acid ethyl ester
($C_{11}H_{19}NO_4$) see: Clidinium bromide

(1*R*-*cis*)-5-methoxy-3-cyclohexene-1-carboxylic acid
($C_8H_{12}O_3$; *118207-41-3*) see: Tacrolimus

1-methoxycyclopentene
($C_6H_{10}O$; *1072-59-9*) see: Mepitiostane

10-methoxy-5*H*-dibenz[*b*,*f*]azepine
($C_{15}H_{13}NO$; *4698-11-7*) see: Oxcarbazepine

10-methoxy-5*H*-dibenz[*b*,*f*]azepine-5-carboxamide
($C_{16}H_{14}N_2O_2$; *28721-09-7*) see: Oxcarbazepine

3-methoxy-8,14-didehydromorphinan
($C_{17}H_{21}NO$; *54313-11-0*) see: Butorphanol

7-methoxy-α,10-dimethylphenothiazine-2-malonic acid ethyl methyl ester
($C_{21}H_{23}NO_5S$; *13891-17-3*) see: Protizinic acid

1-methoxy-4,4-dimethyl-1-phospha-2,6-dioxacyclohexane
($C_6H_{13}O_3P$; *1005-69-2*) see: Efonidipine hydrochloride ethanol

4-methoxy-3,5-dimethyl-2-pyridinemethanol acetate
($C_{11}H_{15}NO_3$; *91219-90-8*) see: Omeprazole

9-methoxyellipticine
($C_{18}H_{16}N_2O$; *10371-86-5*) see: Elliptinium acetate

(11β)-11-methoxyestra-4,9-diene-3,17-dione
($C_{19}H_{24}O_3$; *21391-55-9*) see: Moxestrol

(17β)-3-methoxyestra-2,5(10)-dien-17-ol
($C_{19}H_{28}O_2$; *1091-93-6*) see: Nandrolone

3-methoxyestra-1,3,5(10),16-tetraen-17-ol acetate
($C_{21}H_{26}O_3$; *6038-28-4*) see: Estriol

(17β)-3-methoxyestra-1,3,5(10)-trien-17-ol
($C_{19}H_{26}O_2$; *1035-77-4*) see: Nandrolone

2-methoxyethanol
($C_3H_8O_2$; *109-86-4*) see: Nimodipine

3-methoxy-4-(ethoxycarbonyloxy)cinnamoyl chloride
($C_{13}H_{13}ClO_5$; *49806-45-3*) see: Rescimetol

2-methoxyethyl 3-aminocrotonate
($C_7H_{13}NO_3$; *50899-10-0*) see: Cilnidipine

3-methoxy-13-ethyl-2,5(10)-gonadien-17-one
($C_{20}H_{28}O_2$; *2322-77-2*) see: Gestodene

(*S*)-2-(6-methoxy-2-naphthalenyl)-α,5,5-trimethyl-1,3-dioxane-2-methanol methanesulfonate
($C_{20}H_{26}O_6S$; *111197-92-3*) see: Naproxen

2-(6-methoxy-2-naphthoyl)-2-methylpropionic acid ethyl ester
($C_{18}H_{20}O_4$; *101743-90-2*) see: Methallenestril

6-methoxy-2-naphthylacetic acid
($C_{13}H_{12}O_3$; *23981-47-7*) see: Naproxen

2-(6-methoxy-2-naphthyl)acrylic acid
($C_{14}H_{12}O_3$; *27602-79-5*) see: Naproxen

4-(6-methoxy-2-naphthyl)-3-buten-2-one
($C_{15}H_{14}O_2$; *56600-90-9*) see: Nabumetone

DL-2-(6-methoxy-2-naphthyl)propionic acid
($C_{14}H_{14}O_3$; *23981-80-8*) see: Naproxen

2-methoxy-4-nitroaniline
($C_7H_8N_2O_3$; *97-52-9*) see: Amsacrine

3-methoxy-4-nitroaniline
($C_7H_8N_2O_3$; *16292-88-9*) see: Amsacrine

4-methoxy-2-nitroaniline
($C_7H_8N_2O_3$; *96-96-8*) see: Primaquine

2-methoxy-6-nitrobenzaldehyde
($C_8H_7NO_4$; *19689-88-4*) see: Mepindolol

4-methoxy-2-nitrobenzaldehyde
($C_8H_7NO_4$; *22996-21-0*) see: Clometacin

2-methoxy-6-nitrobenzyl bromide
($C_8H_8BrNO_3$; *19689-86-2*) see: Mepindolol

***N*-(3-methoxy-4-nitrophenyl)methanesulfonamide**
($C_8H_{10}N_2O_5S$; *57165-05-6*) see: Amsacrine

1-(2-methoxy-6-nitrophenyl)-2-nitroprop-1-ene
($C_{10}H_{10}N_2O_5$; *75595-49-2*) see: Mepindolol

***N*-(2-methoxy-4-nitrophenyl)pentanamide**
($C_{12}H_{16}N_2O_4$) see: Amsacrine

6-methoxy-8-nitroquinoline
($C_{10}H_8N_2O_3$; *85-81-4*) see: Primaquine

(17α)-3-methoxy-19-norpregna-2,5(10)-dien-20-yn-17-ol
($C_{21}H_{28}O_2$; *19357-36-9*) see: Noretynodrel

3-methoxy-19-norpregna-1,3,5(10),17(20)-tetraene
($C_{21}H_{28}O$; *32043-13-3*) see: Promegestone

5-methoxy-1,3-oxathiolane-2-methanol benzoate
($C_{12}H_{14}O_4S$; *139253-83-1*) see: Lamivudine

3-(methoxyoxoacetyl)-2,4,5-trioxocyclopentaneheptanoic acid
($C_{15}H_{18}O_8$; *22935-41-7*) see: Misoprostol

methoxyoxobutanedioic acid dimethyl ester
($C_7H_{10}O_6$; *36797-93-0*) see: Sulfadoxine

3-methoxy-17-oxo-2,5(10)-estradiene
($C_{19}H_{26}O_2$; *17976-32-8*) see: Noretynodrel

3-methoxy-20-oxo-19-norpregna-1,3,5(10),16-tetraene
($C_{21}H_{26}O_2$; *21321-91-5*) see: Demegestone; Promegestone

***N*-[3-methoxy-4-[(1-oxopentyl)amino]phenyl]methanesulfonamide**
($C_{13}H_{20}N_2O_4S$) see: Amsacrine

α-[[(3-methoxy-3-oxopropyl)methylamino]methyl]-2-(phenylmethyl)benzeneacetic acid ethyl ester
($C_{24}H_{31}NO_4$) see: Setiptiline

6-methoxy-3-oxo-2,4-tropanedicarboxylic acid dimethyl ester
($C_{13}H_{19}NO_6$) see: Tropenziline bromide

4-methoxyphenacyl bromide
($C_9H_9BrO_2$; *2632-13-5*) see: Raloxifene hydrochloride

5-methoxy-4,7-phenanthroline
($C_{13}H_{10}N_2O$; *951-06-4*) see: Phanquinone

2-methoxyphenothiazine
($C_{13}H_{11}NOS$; *1771-18-2*) see: Levomepromazine; Perimetazine

(4-methoxyphenoxy)acetic acid
($C_9H_{10}O_4$; *1877-75-4*) see: Mefexamide

(4-methoxyphenoxy)acetyl chloride
($C_9H_9ClO_3$; *42082-29-1*) see: Mefexamide

5-[[4-(4-methoxyphenoxy)-3,5-dinitrophenyl]methyl]-5-methyl-2,4-imidazolidinedione
($C_{18}H_{16}N_4O_8$; *5487-34-3*) see: Etiroxate

2-(2-methoxyphenoxy)ethylamine
($C_9H_{13}NO_2$; *1836-62-0*) see: Carvedilol

***N*-[2-(2-methoxyphenoxy)ethyl]benzylamine**
($C_{16}H_{19}NO_2$; *3246-03-5*) see: Amosulalol

5-[[*N*-[2-(2-methoxyphenoxy)ethyl]benzylamino]acetyl]-2-methylbenzenesulfonamide
($C_{25}H_{28}N_2O_5S$) see: Amosulalol

4-methoxyphenylacetic acid
($C_9H_{10}O_3$; *104-01-8*) see: Anisindione

(4-methoxyphenyl)acetone
see under 4-methoxybenzyl methyl ketone

4-methoxyphenylacetone
see under 4-methoxybenzyl methyl ketone

4-methoxyphenylacetonitrile
(C_9H_9NO; *104-47-2*) see: Venlafaxine

4-methoxyphenylacetyl chloride
($C_9H_9ClO_2$; *4693-91-8*) see: Levorphanol

3-methoxy-4-(phenylazo)benzenamine
($C_{13}H_{13}N_3O$; *80830-39-3*) see: Amsacrine

***N*-[3-methoxy-4-(phenylazo)phenyl]methanesulfonamide**
($C_{14}H_{15}N_3O_3S$) see: Amsacrine

3-methoxy-*N*-phenylbenzamide
($C_{14}H_{13}NO_2$; *6833-23-4*) see: Nelfinavir mesylate

4-(4-methoxyphenyl)-2-butanone
($C_{11}H_{14}O_2$; *104-20-1*) see: Dobutamine

2-(3-methoxyphenyl)butyronitrile
($C_{11}H_{13}NO$; *1611-75-2*) see: Meptazinol

5-(4-methoxyphenyl)-1,2-dithiol-3-one
($C_{10}H_8O_2S_2$; *831-30-1*) see: Anethole trithione

4-methoxy-*o*-phenylenediamine
($C_7H_{10}N_2O$; *102-51-2*) see: Omeprazole

[*R*-(*R,*R**)]-2-methoxy-5-[2-[(1-phenylethyl)amino]propyl]benzenesulfonamide monohydrochloride**
($C_{18}H_{25}ClN_2O_3S$; *116091-64-6*) see: Tamsulosin hydrochloride

2-methoxy-2-phenylethyl bromide
($C_9H_{11}BrO$; *13685-00-2*) see: Eprozinol; Zipeprol

2-(4-methoxyphenyl)ethyl methanesulfonate
($C_{10}H_{14}O_4S$; *73735-36-1*) see: Astemizole

1-(2-methoxy-2-phenylethyl)piperazine
($C_{13}H_{20}N_2O$; *6722-54-9*) see: Eprozinol; Zipeprol

3-[4-(2-methoxy-2-phenylethyl)-1-piperazinyl]-1-phenyl-1-propanone
($C_{22}H_{28}N_2O_2$) see: Eprozinol

4-methoxyphenylhydrazine
($C_7H_{10}N_2O$; *3471-32-7*) see: Acemetacin; Ramatroban

4-methoxy-2,3,5-trimethylpyridine *N*-oxide
($C_9H_{13}NO_2$; *86604-80-0*) see: Omeprazole

7-methoxy-2,4,4-trimethyl-1,2,3,4-tetrahydroisoquinoline-1,3-dione
($C_{13}H_{15}NO_3$; *191988-38-2*) see: Gliquidone

[1*R*-(1α,3α,4β)]-3-methoxy-4-[[tris(1-methylethyl)silyl]-oxy]cyclohexanecarboxylic acid methyl ester
($C_{18}H_{36}O_4Si$; *128684-90-2*) see: Tacrolimus

6-methoxytropine
($C_9H_{17}NO_2$) see: Tropenziline bromide

6-methoxytropinone
($C_9H_{15}NO_2$; *112843-64-8*) see: Tropenziline bromide

***exo*-2-(6-methoxy-3,4-xylyl)bornane**
($C_{19}H_{28}O$; *31467-21-7*) see: Xibornol

methyl 4-acetamido-5-bromo-2-methoxybenzoate
($C_{10}H_{10}BrNO_4$; *89481-86-7*) see: Bromopride

methyl 4-acetamido-5-chloro-2-methoxybenzoate
($C_{11}H_{12}ClNO_4$; *4093-31-6*) see: Clebopride; Metoclopramide

methyl 2-acetamido-3-chloropropionate
($C_6H_{10}ClNO_3$; *87333-22-0*) see: Ramipril

methyl α-acetamido-3,5-diiodo-4-(4-methoxyphenoxy)-cinnamate
($C_{19}H_{17}I_2NO_5$; *94256-36-7*) see: Dextrothyroxine

methyl 4-acetamido-2-methoxybenzoate
($C_{11}H_{13}NO_4$; *4093-29-2*) see: Bromopride; Metoclopramide

methyl 2-acetamido-3-(2-oxocyclopentyl)propionate
($C_{11}H_{17}NO_4$; *87269-85-0*) see: Ramipril

methyl 4-acetamidosalicylate
($C_{10}H_{11}NO_4$; *4093-28-1*) see: Bromopride; Metoclopramide; Mosapride citrate

methyl acetate
($C_3H_6O_2$; *79-20-9*) see: Clopidogrel hydrogensulfate; Tiotixene

methyl acetoacetate
($C_5H_8O_3$; *105-45-3*) see: Ampicillin; Cefradine; Cerivastatin sodium; Dicloxacillin; Epicillin; Felodipine; Flucloxacillin; Fluvastatin sodium; Nifedipine; Nitrendipine; Sultamicillin; Talampicillin

2-methylacetoacetonitrile
(C_5H_7NO; *4468-47-7*) see: Sulfafurazole

4'-methylacetophenone
($C_9H_{10}O$; *122-00-9*) see: Celecoxib; Moperone; Triprolidine

methyl 2-acetoxyacrylate
($C_6H_8O_4$; *686-46-4*) see: Vincamine

16α-methyl-21-acetoxy-11β,17-α-dihydroxypregna-1,4,6-triene-3,20-dione
($C_{24}H_{30}O_6$; *13796-64-0*) see: Alclometasone dipropionate

methyl (2*R*,3*S*)-4-acetoxy-2,3-epoxybutanoate
($C_7H_{10}O_5$; *117069-14-4*) see: Carumonam

methyl 4-[[5-(acetoxymethyl)-2-butylimidazol-1-yl]methyl]benzoate
($C_{19}H_{24}N_2O_4$; *149550-86-7*) see: Eprosartan

methyl 4-(acetylamino)-2-ethoxybenzoate
($C_{12}H_{15}NO_4$, *59-06-3*) see: Mosapride citrate

methyl acetylenecarboxylate
($C_4H_4O_2$; *922-67-8*) see: Rosoxacin

methyl β-(acetyloxy)-2-butyl-1-[(4-carboxyphenyl)methyl]-α-(2-thienylmethyl)-1*H*-imidazole-5-propanoate
($C_{26}H_{30}N_2O_6S$) see: Eprosartan

methyl β-(acetyloxy)-2-butyl-1-[[4-(methoxycarbonyl)phenyl]methyl]-α-(2-thienylmethyl)-1*H*-imidazole-5-propanoate
($C_{27}H_{32}N_2O_6S$; *133040-05-8*) see: Eprosartan

methyl acetylsalicylate
($C_{10}H_{10}O_4$; *580-02-9*) see: Acenocoumarol

methyl 5-acetylsalicylate
($C_{10}H_{10}O_4$; *16475-90-4*) see: Spizofurone

methyl 6-acetylthio-8-chlorooctanoate
($C_{11}H_{19}ClO_3S$; *923-78-4*) see: Octotiamine

methyl 2-[1-(acetylthiomethyl)cyclopropyl]acetate
($C_9H_{14}O_3S$; *142148-14-9*) see: Montelukast sodium

9-methylacridine
($C_{14}H_{11}N$; *611-64-3*) see: Dimetacrine

methyl acrylate
see under acrylic acid methyl ester

2-methylallyl 1-piperazinecarboxylate
($C_9H_{16}N_2O_2$) see: Trimazosin

methylamine
(CH_5N; *74-89-5*) see: Adrenalone; Aspoxicillin; Benzoctamine; Betahistine; Betanidine; Butenafine; Carbimazole; Chlordiazepoxide; Chlormezanone; Cimetidine; Cyclopentamine; Deferiprone; Desipramine; Dipivefrine; L(−)-Ephedrine; Epinephrine; Flosequinan; Homatropine; Iotalamic acid; Isometheptene; Ketamine; Lorazepam; Lornoxicam; Maprotiline; Mesuximide; Midazolam; Nefopam; Nortriptyline; Oxilofrine; Oxypyrronium bromide; Phenindamine; Phensuximide; Pholedrine; Ranitidine; Ritonavir; Sertraline; Setiptiline; Tofenacin; Tropenziline bromide; Zomepirac

(methylamino)acetonitrile
($C_3H_6N_2$; *5616-32-0*) see: Synephrine

4-(methylamino)benzoic acid
($C_8H_9NO_2$; *10541-83-0*) see: Methotrexate

***N*-[4-(methylamino)benzoyl]-L-glutamic acid**
($C_{13}H_{16}N_2O_5$; *52980-68-4*) see: Methotrexate

***N*-methyl-*N*-(2-aminobenzyl)-2-hydroxy-2-phenylethylamine**
($C_{16}H_{20}N_2O$; *65514-97-8*) see: Nomifensine

***N*-methyl-*N*-(2-aminobenzyl)phenacylamine**
($C_{16}H_{18}N_2O$; *119810-30-9*) see: Nomifensine

4-(methylamino)butanoic acid hydrochloride
($C_5H_{12}ClNO_2$; *6976-17-6*) see: Azelastine

methyl 3-amino-2-butenoate
($C_5H_9NO_2$; *14205-39-1*) see: Amlodipine; Aranidipine; Barnidipine; Benidipine; Isradipine; Lercanidipine hydrochloride; Manidipine; Nicardipine; Nisoldipine; Nitrendipine

3-[(methylamino)carbonyl]-5-nitrobenzoic acid
($C_9H_8N_2O_5$; *1954-97-8*) see: Iotalamic acid

methyl 3-amino-5-chlorosalicylate
($C_8H_8ClNO_3$; *5043-81-2*) see: Nazasetron

methyl 3-aminocrotonate
see under methyl 3-amino-2-butenoate

methyl 6-amino-6-deoxy-α-D-glucopyranoside
($C_7H_{15}NO_5$; *5155-47-5*) see: Ranimustine

methyl 4-amino-3,5-dimethoxybenzoate
($C_{10}H_{13}NO_4$; *56066-25-2*) see: Brodimoprim

methyl 3-amino-4,4-dimethoxycrotonate
($C_7H_{13}NO_4$; *85396-57-2*) see: Nilvadipine

methyl 2-benzylaminobenzoate
($C_{15}H_{15}NO_2$; *55369-69-2*) see: Benzydamine

2-(methylbenzylamino)ethyl acetoacetate
($C_{14}H_{19}NO_4$; *54527-65-0*) see: Nicardipine

methyl 2-(benzylaminomethyl)-3-oxobutanoate
($C_{13}H_{17}NO_3$) see: Faropenem sodium

***p*-methylbenzyl chloroformate**
($C_9H_9ClO_2$; *39545-34-1*) see: Flomoxef

1-(4-methylbenzyl)-1,2,5,6,7,8-hexahydroisoquinoline
($C_{18}H_{23}N$; *38973-15-8*) see: Dimemorfan

4-methylbenzylmagnesium chloride
(C_8H_9ClMg; *29875-07-8*) see: Dimemorfan

***p*-methylbenzylmercaptopropionic acid**
($C_{11}H_{14}O_2S$; *78981-22-3*) see: Eptifibatide

methyl 4-benzyloxyphenylacetate
($C_{16}H_{16}O_3$; *68641-16-7*) see: Epanolol

2-(4'-methylbiphenyl-2-yl)-4,4-dimethyl-2-oxazoline
($C_{18}H_{19}NO$; *84392-32-5*) see: Losartan potassium

5-(4'-methyl[1,1'-biphenyl]-2-yl)-1-(triphenylmethyl)-1*H*-tetrazole
($C_{33}H_{26}N_4$; *124750-53-4*) see: Losartan potassium

methyl bromide
(CH_3Br; *74-83-9*) see: Ciclonium bromide; Clidinium bromide; Demecarium bromide; Distigmine bromide; Domiphen bromide; Fenpiverinium bromide; Flutropium bromide; Glycopyrronium bromide; Heteronium bromide; Homatropine methylbromide; Ipratropium bromide; Mepenzolate bromide; Methscopolamine bromide; Methysergide; Octatropine methylbromide; Otilonium bromide; Oxitefonium bromide; Oxitropium bromide; Oxyphenonium bromide; Oxypyrronium bromide; Pancuronium bromide; Paroxetine; Penthienate methobromide; Pipecuronium bromide; Pipenzolate bromide; Propantheline bromide; Propyramazine bromide; Pyridostigmine bromide; Timepidium bromide; Tiquizium bromide; Tropenziline bromide; Valethamate bromide; Vecuronium bromide

methyl 3-(2-bromoacetylamino)-5-chlorosalicylate
($C_{10}H_9BrClNO_4$) see: Nazasetron

methyl 2-bromobenzoate
($C_8H_7BrO_2$; *610-94-6*) see: Montelukast sodium

methyl 4-bromo-3,5-dimethoxybenzoate
($C_{10}H_{11}BrO_4$; *26050-64-6*) see: Brodimoprim

methyl 2-bromo-3-[4-[2-(5-ethyl-2-pyridyl)ethoxy]phenyl]propionate
($C_{19}H_{22}BrNO_3$; *105355-25-7*) see: Pioglitazone

methyl (4-bromomethyl)benzoate
($C_9H_9BrO_2$; *2417-72-3*) see: Eprosartan; Procarbazine

methyl 4-bromomethylbenzoate
see under methyl (4-bromomethyl)benzoate

methyl 4-bromomethyl-3-methoxybenzoate
($C_{10}H_{11}BrO_3$; *70264-94-7*) see: Zafirlukast

2-methyl-2-butene-1,4-diol
($C_5H_{10}O_2$; *61842-14-6*) see: Troglitazone

3-methyl-2-butenyl bromide
see under isoprenyl bromide

4-[(3-methyl-2-butenyl)oxy]benzaldehyde
($C_{12}H_{14}O_2$; *28090-12-2*) see: Sofalcone

3-methyl-2-butenyl phenyl sulfide
($C_{11}H_{14}S$; *10276-04-7*) see: Tazarotene

5-(1-methylbutyl)barbituric acid
($C_9H_{14}N_2O_3$; *83-29-4*) see: Secobarbital; Vinylbital

***N*-methyl-4-*tert*-butylbenzylamine**
($C_{12}H_{19}N$; *65542-26-9*) see: Butenafine

methyl 4-[(2-butyl-4-chloro-5-formylimidazol-1-yl)methyl]benzoate
($C_{17}H_{19}ClN_2O_3$; *133040-02-5*) see: Eprosartan

methyl (*S*)-4-(*tert*-butyldiphenylsilyloxy)-2-hydroxybutanoate
($C_{21}H_{28}O_4Si$; *153011-60-0*) see: Orlistat

methyl 2-butyl-4-formyl-1*H*-imidazole-1-propanoate
($C_{12}H_{18}N_2O_3$; *212004-16-5*) see: Eprosartan

methyl 4-[(2-butyl-5-formyl-1*H*-imidazol-1-yl)methyl]-benzoate
($C_{17}H_{20}N_2O_3$; *133040-03-6*) see: Eprosartan

methyl 4-[(2-butyl-5-formyl-4-iodoimidazol-1-yl)methyl]-benzoate
($C_{17}H_{19}IN_2O_3$; *154371-54-7*) see: Eprosartan

methyl (*E*)-α-[[2-butyl-1-[2-(4-methoxycarbonyl)ethyl]-1*H*-imidazol-4-yl]methylene]-2-thiophenepropanoate
($C_{20}H_{26}N_2O_4S$) see: Eprosartan

methyl (*E*)-α-[[2-butyl-1-[[4-(methoxycarbonyl)phenyl]methyl]-1*H*-imidazol-5-yl]methylene]-2-thiophenepropanoate
($C_{25}H_{28}N_2O_4S$; *133040-06-9*) see: Eprosartan

methyl 4-[*N*-(*tert*-butyloxycarbonyl)piperidin-4-yl]but-2-enoate
($C_{15}H_{25}NO_4$; *142355-80-4*) see: Tirofiban hydrochloride

methyl 4-butyramido-3-methylbenzoate
($C_{13}H_{17}NO_3$) see: Telmisartan

methyl 4-butyramido-3-methyl-5-nitrobenzoate
($C_{13}H_{16}N_2O_5$; *152628-01-8*) see: Telmisartan

2-methylbutyric acid
($C_5H_{10}O_2$; *116-53-0*) see: Beclobrate

methyl camphor-3-sulfonate
($C_{11}H_{18}O_4S$) see: Camphotamide

methyl carbazate
($C_2H_6N_2O_2$; *6294-89-9*) see: Nefazodone hydrochloride

D(−)-methyl 3-(α-carboxybenzylamino)crotonate sodium salt
see under *N*-(2-methoxycarbonyl-1-methylethenyl)-D(−)-phenylglycine sodium salt

methyl chloride
(CH_3Cl; *74-87-3*) see: Atropine methonitrate; Clobazam; Dimethyltubocurarinium chloride; Methylmethionine sulfonium chloride; Naproxen; Suxamethonium chloride

methyl chloroacetate
($C_3H_5ClO_2$; *96-34-4*) see: Cefixime; Clometacin; Diltiazem; Meloxicam; Piroxicam

methyl 4-chlorobenzenesulfonate
($C_7H_7ClO_3S$; *15481-45-5*) see: Thenium closilate

methyl 2-chlorobenzoate
($C_8H_7ClO_2$; *610-96-8*) see: Clozapine

methyl chloro(2-chlorophenyl)acetate
($C_9H_8Cl_2O_2$; *90055-47-3*) see: Clopidogrel hydrogensulfate

1-methyl-3-chloro-6-(2-chlorophenyl)-1,2,3,4-tetrahydro-1,5-benzodiazocine
($C_{17}H_{16}Cl_2N_2$; *63062-27-1*) see: Metaclazepam

methyl 6-chloro-3,5-diaminopyrazine-2-carboxylate
($C_6H_7ClN_4O_2$; *1458-01-1*) see: Amiloride

16β-methyl-17α,21-dihydroxy-1,4,9(11)-pregnatriene-3,20-dione 21-acetate
see under 21-acetoxy-17-hydroxy-16β-methylpregna-1,4,9(11)-triene-3,20-dione

methyl *erythro*-(*E*)-7-[2,6-diisopropyl-4-(4-fluorophenyl)-5-methoxymethyl-3-pyridyl]-3,5-dihydroxy-hept-6-enoate
($C_{27}H_{36}FNO_5$; *157242-01-8*) see: Cerivastatin sodium

4-methyl-4-(3,4-dimethoxybenzyl)hydantoin
($C_{13}H_{16}N_2O_4$; *892-02-4*) see: Methyldopa

methyl 2-(2,4-dimethoxybenzyloxy)acetate
($C_{12}H_{16}O_5$; *128685-11-0*) see: Tacrolimus

methyl 3-dimethylaminoacrylate
($C_6H_{11}NO_2$; *999-59-7*) see: Ciprofloxacin

4-[2-(2-methyl-1,3-dioxolan-2-yl)ethyl]-1,2-diphenyl-3,5-pyrazolidinedione
($C_{21}H_{22}N_2O_4$; *116604-64-9*) see: Kebuzone

1-methyl-α,α-diphenyl-4-piperidinemethanol
($C_{19}H_{23}NO$; *6071-92-7*) see: Diphemanil metilsulfate

methyl (*S*)-1,4-dithia-7-azaspiro[4.4]nonane-8-carboxylate hydrochloride
($C_8H_{14}ClNO_2S_2$; *83552-42-5*) see: Spirapril

methyl di(2-thienyl)glycolate
($C_{11}H_{10}O_3S_2$; *26447-85-8*) see: Mazaticol

1-methyl-α,α-di-2-thienyl-3-piperidinemethanol
($C_{15}H_{19}NOS_2$; *5166-68-7*) see: Tipepidine

methyldopa
($C_{10}H_{13}NO_4$; *555-30-6*) see: Methyldopate

(±)-methyldopa
($C_{10}H_{13}NO_4$; *55-40-3*) see: Methyldopa

3,4-methylenedioxyaniline
($C_7H_7NO_2$; *14268-66-7*) see: Oxolinic acid

1,2-methylenedioxybenzene
($C_7H_6O_2$; *274-09-9*) see: Oxolinic acid

1-(3,4-methylenedioxybenzyl)piperazine
($C_{12}H_{16}N_2O_2$; *32231-06-4*) see: Fenoverine; Fipexide; Medibazine; Pifamine; Piribedil

4,5-methylenedioxy-2-nitroacetophenone
($C_9H_7NO_5$; *56136-84-6*) see: Cinoxacin

3,4-methylenedioxy-1-nitrobenzene
($C_7H_5NO_4$; *2620-44-2*) see: Oxolinic acid

L-3-(3,4-methylenedioxyphenyl)alanine
($C_{10}H_{11}NO_4$; *32161-31-2*) see: Levodopa

16-methylenehydrocortisone
($C_{22}H_{30}O_5$; *14339-90-3*) see: Fluprednidene acetate; Prednylidene

11-methylene-18-methyl-Δ^4-estrene-3,17-dione
($C_{20}H_{26}O_2$; *54024-17-8*) see: Desogestrel

α-methylene-4-nitrobenzeneacetic acid methyl ester
($C_{10}H_9NO_4$; *28042-27-5*) see: Alminoprofen

α-methylene-2-(phenylmethyl)benzeneacetic acid ethyl ester
($C_{18}H_{18}O_2$) see: Setiptiline

methylenetriphenylphosphorane
($C_{19}H_{17}P$; *3487-44-3*) see: Desogestrel

(−)-*N*-methylephedrine
($C_{11}H_{17}NO$; *552-79-4*) see: Orlistat

methyl 2,3-epoxy-2-methylpropionate
($C_5H_8O_3$; *58653-97-7*) see: Bicalutamide

methyl 3-[4-(2,3-epoxypropoxy)phenyl]propionate
($C_{13}H_{16}O_4$; *81147-94-6*) see: Esmolol

methylergometrine
($C_{20}H_{25}N_3O_2$; *113-42-8*) see: Methysergide

(7α)-7-methylestr-5(10)-ene-3,17-dione
($C_{19}H_{26}O_2$; *105186-32-1*) see: Tibolone

3-*O*-methylestrone
see under estrone 3-methyl ether

***N*-methyl-9,10-ethanoanthracene-9(10*H*)-propanamide**
($C_{20}H_{21}NO$; *23716-34-9*) see: Maprotiline

[1*R*-[1α,5α,6(*R)]]-α-(1-methylethenyl)-7-oxo-3-phenyl-4-oxa-2,6-diazabicyclo[3.2.0]hept-2-ene-6-acetic acid diphenylmethyl ester**
($C_{28}H_{24}N_2O_4$; *67977-61-1*) see: Latamoxef

***N*-[4-(1-methylethoxy)benzoyl]-4-nitrobenzenesulfonamide**
($C_{16}H_{16}N_2O_6S$) see: Sulfaproxyline

methyl 1-ethyl-6-acetyl-7-hydroxy-4-oxo-8-propyl-4*H*-quinoline-2-carboxylate
($C_{18}H_{21}NO_5$; *69049-70-3*) see: Nedocromil

***N*-[4-[[(1-methylethyl)amino]acetyl]phenyl]methanesulfonamide**
($C_{12}H_{18}N_2O_3S$; *60735-85-5*) see: Sotalol

1-[(1-methylethyl)amino]-3-[4-(phenylmethoxy)phenoxy]-2-propanol
($C_{19}H_{25}NO_3$; *34380-47-7*) see: Prenalterol

3-[(1-methylethyl)amino]propyl chloride
($C_6H_{14}ClN$) see: Indecainide

9-[3-[(1-methylethyl)amino]propyl]-9-cyanofluorene
($C_{20}H_{22}N_2$; *74517-92-3*) see: Indecainide

1-[3-[(1-methylethyl)amino]-2-pyridinyl]-4-[(5-nitro-1*H*-indol-2-yl)carbonyl]piperazine
($C_{21}H_{24}N_6O_3$; *136817-57-7*) see: Delavirdine mesilate

(1-methylethyl)carbamic acid 2-(hydroxymethyl)-2-methylpentyl ester
($C_{11}H_{23}NO_3$; *25462-17-3*) see: Carisoprodol

4-(1-methylethyl)cyclohexanecarboxylic acid
($C_{10}H_{18}O_2$; *62067-45-2*) see: Nateglinide

***cis*-methyl 3,3-ethylenedioxy-11-oxo-5,17(20)-pregnadiene-21-carboxylate**
($C_{24}H_{32}O_5$; *3546-75-6*) see: Fluprednisolone acetate

1,2-*O*-(1-methylethylidene)-α-D-glucofuranose 6-(4-methylbenzenesulfonate)
($C_{16}H_{22}O_8S$; *26275-20-7*) see: Prenalterol

1,2-*O*-(1-methylethylidene)-6-*O*-[4-(phenylmethoxy)phenyl]-α-D-glucofuranose
($C_{22}H_{26}O_7$; *57528-81-1*) see: Prenalterol

1,2-*O*-(1-methylethylidene)-3,5,6-tris-*O*-(phenylmethyl)-α-D-glucofuranose
($C_{30}H_{34}O_6$; *53928-30-6*) see: Tribenoside

1,2-*O*-(1-methylethylidene)-α-D-xylofuranose 3-acetate 5-benzoate
($C_{17}H_{20}O_7$; *190003-74-8*) see: Stavudine

methyl ethyl ketone
see under butanone

4-[4-(1-methylethyl)-1-piperazinyl]phenol
($C_{13}H_{20}N_2O$; *67914-97-0*) see: Terconazole

1-[4-[(1-methylethyl)thio]phenyl]-2-(octylamino)-1-propanone
($C_{20}H_{33}NOS$; *69708-39-0*) see: Suloctidil

methyl 3-(3-fluoroanilino)-2-(methylthio)acrylate
($C_{11}H_{12}FNO_2S$; *76561-34-7*) see: Flosequinan

methyl isocyanate
(C_2H_3NO; *624-83-9*) see: Pyridinol carbamate
methyl isonicotinate
($C_7H_7NO_2$; *2459-09-8*) see: Clidinium bromide
***N*-[methyl(2-isopropyl-4-thiazolylmethyl)aminocarbonyl]-L-valine**
($C_{14}H_{23}N_3O_3S$; *154212-61-0*) see: Ritonavir
methyl isothiocyanate
(C_2H_3NS; *556-61-6*) see: Betanidine; Thiamazole
***S*-methylisothiosemicarbazide hydriodide**
($C_2H_8IN_3S$; *35600-34-1*) see: Guanoxabenz
***S*-methylisothiourea**
($C_2H_6N_2S$; *2986-19-8*) see: Flubendazole; Zanamivir
***S*-methylisothiouronium sulfate**
($C_2H_8N_2O_4S_2$; *14527-26-5*) see: Debrisoquin
5-methylisoxazole-4-carbonyl chloride
($C_5H_4ClNO_2$; *67305-24-2*) see: Leflunomide
5-methylisoxazole-3-carboxylic acid
($C_5H_5NO_3$; *3405-77-4*) see: Glisoxepide; Isocarboxazid
5-methylisoxazole-4-carboxylic acid
($C_5H_5NO_3$; *42831-50-5*) see: Leflunomide
5-methyl-3-isoxazolecarboxylic acid hydrazide
($C_5H_7N_3O_2$; *62438-03-3*) see: Isocarboxazid
3-methyl-5-isoxazolecarboxylic acid (phenylmethylene)hydrazide
($C_{12}H_{11}N_3O_2$; *1085-33-2*) see: Isocarboxazid
***N*-[4-[[(5-methyl-3-isoxazolyl)amino]sulfonyl]phenyl]acetamide**
($C_{12}H_{13}N_3O_4S$; *21312-10-7*) see: Sulfamethoxazole
[[4-[2-[[(5-methyl-3-isoxazolyl)carbonyl]amino]ethyl]phenyl]sulfonyl]carbamic acid methyl ester
($C_{15}H_{17}N_3O_6S$; *24489-02-9*) see: Glisoxepide
methyl levulinate
($C_6H_{10}O_3$; *624-45-3*) see: Indometacin
methyllithium
(CH_3Li; *917-54-4*) see: Calusterone
methyl lysergate
($C_{17}H_{18}N_2O_2$; *4579-64-0*) see: Nicergoline
methylmagnesium bromide
(CH_3BrMg; *75-16-1*) see: Betamethasone; Binedaline; Bolasterone; Cyclopentamine; Desogestrel; Desoximetasone; Dihydroxydibutyl ether; Dimetacrine; Flumetasone; Fluoxymesterone; Gestrinone; Medrogestone; Medroxyprogesterone acetate; Mestanolone; Metenolone acetate; Methylestrenolone; Methylprednisolone; Miboleronc; Misoprostol; Montelukast sodium; Moperone; Penmesterol; Pentorex; Retinol; Setastine; Spironolactone
methylmagnesium chloride
(CH_3ClMg; *676-58-4*) see: Chlorphenoxamine; Clemastine
methylmagnesium iodide
(CH_3IMg; *917-64-6*) see: Calcifediol; Dexamethasone; Fenpentadiol; Indalpine; Mesterolone; Methyltestosterone; Nabilone; Paramethasone; Tiaprofenic acid; Troglitazone
methyl malonamate
($C_4H_7NO_3$; *51513-29-2*) see: Cefotetan
methyl malonate lithium salt
($C_4H_5LiO_4$; *63460-24-2*) see: Misoprostol
methyl mercaptane
(CH_4S; *74-93-1*) see: Neticonazole hydrochloride; Nifuratel; Pergolide
methyl methacrylate
($C_5H_8O_2$; *80-62-6*) see: Bicalutamide
***N*-methylmethanamine sodium salt**
(C_2H_6NNa; *14314-59-1*) see: Proguanil
methyl 2-methoxy-4-acetamido-5-chlorobenzoate
see under methyl 4-acetamido-5-chloro-2-methoxybenzoate
methyl methoxyacetate
($C_4H_8O_3$; *6290-49-9*) see: Sulfadoxine
methyl 3-methoxyacrylate
($C_5H_8O_3$; *34846-90-7*) see: Mofezolac
methyl 6-methoxybenzotriazole-5-carboxylate
($C_9H_9N_3O_3$; *59338-86-2*) see: Alizapride
methyl 3-[4-(4-methoxybenzoylamino)-3-nitrobenzoyl]-butyrate
($C_{20}H_{20}N_2O_7$; *74149-72-7*) see: Pimobendan
methyl methoxycarbonyl disulfide
($C_3H_6O_2S_2$; *55048-60-7*) see: Temocillin
methyl 2-[[(methoxycarbonylmethyl)methylamino]carbonyl]benzoate
($C_{13}H_{15}NO_5$; *83073-63-6*) see: Tilisolol hydrochloride
1-methyl-2-[[4-(methoxycarbonyl)phenyl]methyl]-1,2-hydrazinedicarboxylic acid bis(phenylmethyl) ester
($C_{26}H_{26}N_2O_6$) see: Procarbazine
5-methyl-8-methoxycoumarin
($C_{11}H_{10}O_3$; *36651-80-6*) see: Bucumolol
methyl 6-methoxy-5-iodo-1-naphthalenecarboxylate
($C_{13}H_{11}IO_3$; *84532-68-3*) see: Tolrestat
1-methyl-10α-methoxylumilysergol
($C_{18}H_{24}N_2O_2$; *35155-28-3*) see: Nicergoline
(±)-methyl 4-methoxymandelate
($C_{10}H_{12}O_4$; *13305-14-1*) see: Fenoldopam mesilate
methyl 3-methoxy-4-methylbenzoate
($C_{10}H_{12}O_3$; *3556-83-0*) see: Zafirlukast
1-methyl-2-methoxymethyl-5-(2-chlorophenyl)-2,3-dihydro-1*H*-1,4-benzodiazepine
($C_{18}H_{19}ClN_2O$; *103380-39-8*) see: Metaclazepam
methyl 5-methoxy-2-methylindole-3-acetate
($C_{13}H_{15}NO_3$; *7588-36-5*) see: Indometacin
methyl 3-methoxy-4-(1-methyl-5-nitroindol-3-ylmethyl)-benzoate
($C_{19}H_{18}N_2O_5$; *107754-15-4*) see: Zafirlukast
methyl 3-(2-methoxy-5-methylphenyl)-3-phenylpropionate
($C_{18}H_{20}O_3$; *124937-62-8*) see: Tolterodine
methyl 2-methoxy-4-(*N*-methyl-*N*-tosylamino)benzoate
($C_{17}H_{19}NO_5S$; *78784-42-6*) see: Nemonapride
methyl 6-methoxy-1-naphthalenecarboxylate
($C_{13}H_{12}O_3$; *61109-48-6*) see: Tolrestat
methyl 6-methoxy-2-naphthylacetate
($C_{14}H_{14}O_3$; *23981-48-8*) see: Naproxen
methyl DL-2-(6-methoxy-1-naphthyl)propionate
($C_{15}H_{16}O_3$; *30012-51-2*) see: Naproxen
methyl 3-methoxy-4-(5-nitroindol-3-ylmethyl)benzoate
($C_{18}H_{16}N_2O_5$; *107786-36-7*) see: Zafirlukast
5α-methyl-3-methoxy-5,6,7,8,9,10,11α,12-octahydro-5,11-methanobenzocyclodecen-13-one oxime
($C_{17}H_{23}NO_2$; *42263-97-8*) see: Dezocine
(2*R*,3*S*)-methyl 3-(4-methoxyphenyl)glycidate
($C_{11}H_{12}O_4$; *105560-93-8*) see: Diltiazem

2-methyl-4-nitro-1*H*-imidazole sodium salt
($C_4H_4N_3NaO_2$; *74571-67-8*) see: Nitrefazole
***N*-methylnitrone**
(C_2H_5NO; *54125-41-6*) see: Fluoxetine
2-methyl-*N*-(*m*-nitrophenyl)-β-alanine
($C_{10}H_{12}N_2O_4$; *16034-75-6*) see: Iocetamic acid
***N*-methyl-*N*-(*o*-nitrophenyl)anthranilic acid methyl ester**
($C_{15}H_{14}N_2O_4$; *16813-63-1*) see: Dibenzepine
***N*-methyl-2-(4-nitrophenyl)ethylamine**
($C_9H_{12}N_2O_2$; *85176-37-0*) see: Dofetilide
***N*-[4-[2-[methyl[2-(4-nitropbenyl)ethyl]amino]ethoxy]phenyl]methanesulfonamide**
($C_{18}H_{23}N_3O_5S$; *115256-44-5*) see: Dofetilide
1-methyl-5-nitro-3-phenyl-1*H*-indole-2-carbonitrile
($C_{16}H_{11}N_3O_2$; *30008-52-7*) see: Nimetazepam
1-methyl-5-nitro-3-phenyl-1*H*-indole-2-carboxylic acid
($C_{16}H_{12}N_2O_4$; *30016-53-6*) see: Nimetazepam
2-methyl-2-nitro-1-phenyl-1-propanol
($C_{10}H_{13}NO_3$; *33687-74-0*) see: Phentermine
N^4-methyl-N^2-(*o*-nitrophenylsulfenyl)-D-asparagine
($C_{11}H_{13}N_3O_5S$; *63329-61-3*) see: Aspoxicillin
[2*S*-(2α,5α,6β)]-*N*-methyl-N^2-[(2-nitrophenyl)thio]-D-asparaginyl-*N*-(2-carboxy-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]hept-6-yl)-D-2-(4-hydroxyphenyl)glycinamide
($C_{27}H_{30}N_6O_9S_2$; *63329-62-4*) see: Aspoxicillin
2-methyl-2-nitropropane-1,3-diol
($C_4H_9NO_4$; *77-49-6*) see: Hexetidine
1-methyl-4-nitro-3-propyl-1*H*-pyrazole-5-carboxamide
($C_8H_{12}N_4O_3$; *139756-01-7*) see: Sildenafil
1-methyl-4-nitro-1*H*-pyrrole-2-carbonyl chloride
($C_6H_5ClN_2O_3$; *28494-51-1*) see: Stallimycin
3-(1-methyl-4-nitropyrrole-2-carboxamido)propionitrile
($C_9H_{10}N_4O_3$; *3185-95-3*) see: Stallimycin
1-methyl-4-nitro-1*H*-pyrrole-2-carboxylic acid
($C_6H_6N_2O_4$; *13138-78-8*) see: Stallimycin
methyl 4-nitrosalicylate
($C_8H_7NO_5$; *13684-28-1*) see: Propoxycaine
2-methyl-1-nitrosoindoline
($C_9H_{10}N_2O$; *85440-79-5*) see: Indapamide
***O*-methyl-*N*-nitrosourea**
($C_2H_5N_3O_2$; *85503-10-2*) see: Gusperimus trihydrochloride
17-methyl-19-norpregn-5(10)-ene-3,20-dione
($C_{21}H_{30}O_2$; *10110-91-5*) see: Promegestone
(±)-4-methyl-1-octyn-4-ol
($C_9H_{16}O$; *22128-43-4*) see: Misoprostol
2-methyl-1,4-oxathiane
($C_5H_{10}OS$; *7670-56-6*) see: Nifurtimox
2-methyl-1,4-oxathiane 4,4-dioxide
($C_5H_{10}O_3S$; *26475-39-8*) see: Nifurtimox
4-methyloxazole
(C_4H_5NO; *693-93-6*) see: Pyridoxine
4-methyloxazole-5-carboxamide
($C_5H_6N_2O_2$; *4866-00-6*) see: Pyridoxine
2-methyl-4-(oxiranylmethoxy)-1*H*-indole
($C_{12}H_{13}NO_2$; *62119-47-5*) see: Bopindolol; Mepindolol
(2*S*-*trans*)-(2-methyl-4-oxo-3-azetidinyl)carbamic acid 1,1-dimethylethyl ester
($C_9H_{16}N_2O_3$; *80582-03-2*) see: Aztreonam
2-methyl-4-oxo-3,1-benzoxazine
($C_9H_7NO_2$; *525-76-8*) see: Imiquimod
methyl 5-oxo-4,5-bis(4-methoxyphenyl)-3-pentenoate
($C_{20}H_{20}O_5$; *139475-11-9*) see: Mofezolac
2-methyl-3-oxo-2-butanol
($C_5H_{10}O_2$; *115-22-0*) see: Phenaglycodol
5-methyl-10-oxo-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine
($C_{15}H_{13}NO$; *4904-83-0*) see: Metapramine
2-methyl-6-oxo-2-heptene
($C_8H_{14}O$; *110-93-0*) see: Heptaminol; Isometheptene
(3-methyl-2-oxo-5-heptynyl)phosphonic acid dimethyl ester
($C_{10}H_{17}O_4P$; *70073-58-4*) see: Iloprost
4-methyl-1-(2-oxo-2-phenylethyl)piperazine
($C_{13}H_{18}N_2O$; *41298-85-5*) see: Hexocyclium metilsulfate
(2*S*-*trans*)-[2-methyl-4-oxo-1-(phenylmethoxy)-3-azetidinyl]carbamic acid 1,1-dimethylethyl ester
($C_{16}H_{22}N_2O_4$; *75659-16-4*) see: Aztreonam
[*S*-(*R,*R**)]-α-[[1-methyl-2-oxo-2-(phenylmethoxy)ethyl]-amino]-γ-oxobenzenebutanoic acid ethyl ester**
($C_{22}H_{25}NO_5$; *87269-98-5*) see: Ramipril
***N*-[2-methyl-1-oxo-2-[(phenylmethyl)thio]propyl]-*S*-(phenylmethyl)-L-cysteine**
($C_{21}H_{25}NO_3S_2$; *65002-16-6*) see: Bucillamine
6α-methyl-11-oxoprogesterone
($C_{22}H_{30}O_3$; *3642-85-1*) see: Medrysone
[[2-methyl-1-(1-oxopropoxy)propoxy](4-phenylbutyl)-phosphinyl]acetic acid
($C_{19}H_{29}O_6P$; *123599-78-0*) see: Fosinopril
[*S*-(*R,*S**)]-[[2-methyl-1-(1-oxopropoxy)propoxy](4-phenylbutyl)phosphinyl]acetic acid**
($C_{19}H_{29}O_6P$; *128948-00-5*) see: Fosinopril
[[2-methyl-1-(1-oxopropoxy)propoxy](4-phenylbutyl)-phosphinyl]acetic acid phenylmethyl ester
($C_{26}H_{35}O_6P$; *123599-80-4*) see: Fosinopril
(6*R*-*trans*)-3-methyl-8-oxo-7-[(trimethylsilyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid trimethylsilyl ester
($C_{14}H_{26}N_2O_3SSi_2$; *31461-05-9*) see: Cefalexin
methyl palmitate
($C_{17}H_{34}O_2$; *112-39-0*) see: Retinol
2-methylpentane-2,4-diol
($C_6H_{14}O_2$; *107-41-5*) see: Chloralodol
4-methyl-2-pentanone
($C_6H_{12}O$; *108-10-1*) see: Ramatroban
3-(methylpentylamino)propionic acid
($C_9H_{19}NO_2$) see: Ibandronate sodium monohydrate
(1-methyl-2-pentynyl)propanedioic acid diethyl ester
($C_{13}H_{20}O_4$; *78800-00-7*) see: Methohexital
3-methylphenol
(C_7H_8O; *108-39-4*) see: Bevantolol; Toliprolol
4-[(10-methylphenothiazin-2-yl)thioacetyl]morpholine
($C_{19}H_{20}N_2OS_2$; *13611-85-3*) see: Metiazinic acid
α-methyl-3-phenoxybenzeneacetonitrile
($C_{15}H_{13}NO$; *32852-95-2*) see: Fenoprofen
α-methyl-3-phenoxybenzenemethanol
($C_{14}H_{14}O_2$; *32852-93-0*) see: Fenoprofen
2-[(1-methyl-2-phenoxyethyl)amino]-1-[4-(phenylmethoxy)phenyl]-1-propanone
($C_{25}H_{27}NO_3$; *1860-67-9*) see: Isoxsuprine

2-methylpiperidine
($C_6H_{13}N$; *109-05-7*) see: Cyclomethycaine; Piperocaine

4-methylpiperidine
($C_6H_{13}N$; *626-58-4*) see: Melperone

1-methyl-3-piperidinecarboxylic acid ethyl ester
($C_9H_{17}NO_2$; *5166-67-6*) see: Tipepidine

3-(2-methylpiperidino)-1-propanol
($C_9H_{19}NO$; *94-88-2*) see: Cyclomethycaine

3-(2-methylpiperidino)propyl chloride
($C_9H_{18}ClN$; *66773-94-2*) see: Cyclomethycaine

2-(1-methyl-4-piperidinyl)acetaldehyde
($C_8H_{15}NO$; *10333-64-9*) see: Naratriptan

2-(methyl-4-piperidinylamino)-4(3*H*)-pyrimidinone
($C_{10}H_{16}N_4O$; *108612-74-4*) see: Mizolastine

1-methyl-4-[10-(1-piperidinyl)-4*H*-benzo[4,5]cyclohepta-[1,2-*b*]thien-4-ylidene]piperidine
($C_{24}H_{28}N_2S$; *59743-86-1*) see: Ketotifen

1-methyl-4-[9-(1-piperidinyl)-4*H*-benzo[4,5]cyclohepta-[1,2-*b*]thien-4-ylidene]piperidine
($C_{24}H_{28}N_2S$; *59743-85-0*) see: Ketotifen

5-(1-methyl-4-piperidinyl)-5*H*-dibenzo[*a*,*d*]cyclohepten-5-ol
($C_{21}H_{23}NO$; *3967-32-6*) see: Cyproheptadine

1-methylpiperidin-4-ylmagnesium chloride
($C_6H_{12}ClMgN$; *63463-36-5*) see: Azatadine; Cyproheptadine; Ketotifen; Loratadine; Pizotifen

3-methyl-1-[2-(1-piperidinyl)phenyl]-1-butanimine
($C_{16}H_{24}N_2$; *147769-96-8*) see: Repaglinide

3-methyl-1-[2-(1-piperidinyl)phenyl]-1-butanone
($C_{16}H_{23}NO$; *147770-03-4*) see: Repaglinide

***N*-[(1*E*)-3-methyl-1-[2-(1-piperidinyl)phenyl]-1-butenyl]-acetamide**
($C_{18}H_{26}N_2O$; *147769-95-7*) see: Repaglinide

***N*-[(1*Z*)-3-methyl-1-[2-(1-piperidinyl)phenyl]-1-butenyl]-acetamide**
($C_{18}H_{26}N_2O$; *147769-97-9*) see: Repaglinide

(*S*)-3-methyl-1-[2-(1-piperidinyl)phenyl]butylamine
($C_{16}H_{26}N_2$; *147769-93-5*) see: Repaglinide

(±)-3-methyl-1-[2-(1-piperidinyl)phenyl]butylamine
($C_{16}H_{26}N_2$; *108157-52-4*) see: Repaglinide

(1-methyl-4-piperidinyl)[3-(2-phenylethyl)-2-pyridinyl]-methanone
($C_{20}H_{24}N_2O$; *38093-13-9*) see: Azatadine

(α*R*)-α-methyl-*N*-[[2-(1-piperidinyl)phenyl]methylene]-benzenemethanamine
($C_{20}H_{24}N_2$; *147770-05-6*) see: Repaglinide

1-methyl-4-piperidone
($C_6H_{11}NO$; *1445-73-4*) see: Bamipine; Mebhydrolin; Naratriptan; Piperylone; Thenalidine

1-methyl-4-piperidylmagnesium chloride
see under 1-methylpiperidin-4-ylmagnesium chloride

(1-methyl-2-piperidylmethyl) benzilate
($C_{21}H_{25}NO_3$; *94909-90-7*) see: Bevonium metilsulfate

16β-methylprednisolone
($C_{22}H_{30}O_5$; *2597-76-4*) see: Betamethasone

16α-methylprednisolone 21-acetate
($C_{24}H_{32}O_6$; *13209-52-4*) see: Alclometasone dipropionate

16β-methylprednisolone 21-acetate
($C_{24}H_{32}O_6$; *18769-24-9*) see: Betamethasone; Betamethasone acetate

16α-methyl-1,4,9(11)-pregnatriene-17α,21-diol-3,20-dione 21-acetate
($C_{24}H_{30}O_5$; *10106-41-9*) see: Mometasone furoate

16α-methylpregnenolone 3β-acetate
($C_{24}H_{36}O_3$; *1863-41-8*) see: Flumetasone; Fluocortolone; Paramethasone

2-methylpropanethioamide
(C_4H_9NS; *13515-65-6*) see: Ritonavir

2-methyl-2-propanethiol
($C_4H_{10}S$; *75-66-1*) see: Raloxifene hydrochloride

2-methylpropanoic acid anhydride
($C_8H_{14}O_3$; *97-72-3*) see: Ibudilast

2-methyl-2-propenal
(C_4H_6O; *78-85-3*) see: Fomepizole

2-methyl-2-propenyl acetate
($C_6H_{10}O_2$; *820-71-3*) see: Fexofenadine hydrochloride

6-(2-methyl-2-propenyl)imidazo[1,2-*a*]pyridine
($C_{11}H_{12}N_2$; *116355-20-5*) see: Olprinone hydrochloride

(+)-(*R*)-β-methylpropiolactone
($C_4H_6O_2$; *32082-74-9*) see: Dorzolamide

methyl propionate
($C_4H_8O_2$; *554-12-1*) see: Pyrimethamine

3'-methyl-4'-propionyloxy-propiophenone
($C_{13}H_{16}O_3$; *137937-51-0*) see: Methestrol dipropionate

4'-methylpropiophenone
($C_{10}H_{12}O$; *5337-93-9*) see: Tolperisone

2-[(2-methylpropyl)amino]ethanol 4-nitrobenzoate (ester)
($C_{13}H_{18}N_2O_4$) see: Butethamine

α-(1-methylpropyl)benzeneacetic acid 2-(diethylamino)-ethyl ester
($C_{18}H_{29}NO_2$; *26878-41-1*) see: Valethamate bromide

7-methyl-2-propyl-1*H*-benzimidazole-5-carboxylic acid
($C_{12}H_{14}N_2O_2$; *152628-03-0*) see: Telmisartan

1-(2-methylpropyl)-*N*,*N*-bis(phenylmethyl)-1*H*-imidazo-[4,5-*c*]quinolin-4-amine
($C_{28}H_{28}N_4$; *157875-56-4*) see: Imiquimod

***N*⁴-(2-methylpropyl)-*N*³,*N*³-bis(phenylmethyl)-2,3,4-quinolinetriamine**
($C_{27}H_{30}N_4$) see: Imiquimod

5-methyl-5-propyl-1,3-dioxan-2-one
($C_8H_{14}O_3$; *7148-50-7*) see: Tybamate

1-(2-methylpropyl)-1*H*-imidazo[4,5-*c*]quinoline 5-oxide
($C_{14}H_{15}N_3O$; *99010-63-6*) see: Imiquimod

***N*-[1-(2-methylpropyl)-1*H*-imidazo[4,5-*c*]quinolin-4-yl]-benzamide**
($C_{21}H_{20}N_4O$; *144660-62-8*) see: Imiquimod

6-(2-methylpropyl)-6*H*-imidazo[4,5-*c*]tetrazolo[1,5-*a*]quinoline
($C_{14}H_{14}N_6$; *201030-97-9*) see: Imiquimod

(2-methylpropyl)magnesium bromide
(C_4H_9BrMg; *926-62-5*) see: Repaglinide; Sibutramine hydrochloride

2-methylpropylmagnesium bromide
see under (2-methylpropyl)magnesium bromide

methyl 2-propyl-4-methylbenzimidazole-6-carboxylate
($C_{13}H_{16}N_2O_2$; *152628-00-7*) see: Telmisartan

***N*-(2-methylpropyl)-4-nitrobenzenesulfonamide**
($C_{10}H_{14}N_2O_4S$; *89840-80-2*) see: Amprenavir

17α-methyltestosterone 4,5-epoxide
($C_{20}H_{30}O_3$; *51154-09-7*) see: Oxymesterone
***N*¹-methyl-*N*²-tetrahydrofuroyltrimethylenediamine**
($C_9H_{18}N_2O_2$; *81403-67-0*) see: Alfuzosin
2-methyl-5,6,7,8-tetrahydroisoquinolinium bromide
($C_{10}H_{14}BrN$) see: Dimemorfan
methyl 7-[3(*RS*)-tetrahydropyran-2-yloxy-5-oxocyclopent-1-en-1-yl]heptanoate
($C_{18}H_{28}O_5$; *40098-24-6*) see: Misoprostol
1-methyl-1*H*-tetrazole-5-thiol
($C_2H_4N_4S$; *13183-79-4*) see: Cefbuperazone; Cefmenoxime; Cefoperazone; Cefotetan
1-methyl-1*H*-tetrazole-5-thiol sodium salt
($C_2H_3N_4NaS$; *51138-06-8*) see: Cefamandole; Latamoxef
2-(5-methyl-1*H*-tetrazol-1-yl)benzoic acid
($C_9H_8N_4O_2$; *72470-51-0*) see: Imiquimod
***N*-methyl-2-thenylamine**
(C_6H_9NS; *58255-18-8*) see: Thenium closilate
***N*-(5-methyl-1,3,4-thiadiazol-2-yl)-4-nitrobenzenesulfonamide**
($C_9H_8N_4O_4S_2$) see: Sulfamethizole
4-methylthiazole-5-carboxaldehyde
(C_5H_5NOS; *82294-70-0*) see: Cefditoren pivoxil
[6*R*-[3(*Z*),6α,7β]]-3-[2-(4-methyl-5-thiazolyl)ethenyl]-8-oxo-7-[(phenylacetyl)amino]-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid (4-methoxyphenyl)methyl ester
($C_{29}H_{27}N_3O_5S_2$; *138514-31-5*) see: Cefditoren pivoxil
methyl[4-(2-thienylcarbonyl)phenyl]propanedioic acid diethyl ester
($C_{19}H_{20}O_5S$; *52779-57-4*) see: Suprofen
methyl 3-(2-thienyl)propionate
($C_8H_{10}O_2S$; *16862-05-8*) see: Eprosartan
4'-methylthioacetophenone
($C_9H_{10}OS$; *1778-09-2*) see: Rofecoxib
6α-methylthio-6β-aminopenicillanic acid benzyl ester
($C_{16}H_{20}N_2O_3S_2$; *40514-98-5*) see: Temocillin
3-methylthioaniline
(C_7H_9NS; *1783-81-9*) see: Thioridazine
4-(methylthio)benzaldehyde
(C_8H_8OS; *3446-89-7*) see: Sulindac
4-(methylthio)benzoyl chloride
(C_8H_7ClOS; *1442-06-4*) see: Enoximone
6-methylthiochroman
($C_{10}H_{12}S$; *71153-74-7*) see: Meticrane
6-methylthiochroman 1,1-dioxide
($C_{10}H_{12}O_2S$; *1077-61-8*) see: Meticrane
6-methyl-thiochroman-4-one
($C_{10}H_{10}OS$; *6948-34-1*) see: Meticrane
3-methylthiodiphenylamine
($C_{13}H_{13}NS$; *13313-45-6*) see: Thioridazine
2-methylthio-1,3-dithiolium iodide
($C_4H_5IS_3$; *53059-74-8*) see: Malotilate
(3-methylthio-2-hydroxypropyl)hydrazine
($C_4H_{12}N_2OS$; *14359-97-8*) see: Nifuratel
6α-methylthio-6β-isocyanopenicillanic acid benzyl ester
($C_{17}H_{18}N_2O_3S_2$; *53628-34-5*) see: Temocillin
1-(methylthio)-2-nitro-*N*-methylethylenamine
($C_4H_8N_2O_2S$; *61832-41-5*) see: Nizatidine; Ranitidine
α-methyl-2-thiopheneacetic acid
($C_7H_8O_2S$; *54955-39-4*) see: Tiaprofenic acid
methyl 2-thiophenepropanoate
see under methyl 3-(2-thienyl)propionate
4-methylthiophenol
(C_7H_8S; *106-45-6*) see: Meticrane
2-methylthiophenothiazine
($C_{13}H_{11}NS_2$; *7643-08-5*) see: Mesoridazine; Thioridazine
***N*-(3-methylthiophenyl)anthranilic acid**
($C_{14}H_{13}NO_2S$; *18902-93-7*) see: Thioridazine
[4-(methylthio)phenyl]boronic acid
($C_7H_9BO_2S$; *98546-51-1*) see: Rofecoxib
4-[4-(methylthio)phenyl]-2(5*H*)-furanone
($C_{11}H_{10}O_2S$; *162012-28-4*) see: Rofecoxib
4-[4-(methylthio)phenyl]-3-phenyl-2(5*H*)-furanone
($C_{17}H_{14}O_2S$; *162012-30-8*) see: Rofecoxib
3-(methylthio)propionyl chloride
(C_4H_7ClOS; *7031-23-4*) see: Suplatast tosilate
[[[2-(methylthio)-4-pyrimidinyl]amino]methylene]propanedioic acid diethyl ester
($C_{13}H_{17}N_3O_4S$; *37917-93-4*) see: Pipemidic acid
2-(methylthio)pyrrole
(C_5H_7NS; *53391-61-0*) see: Ketorolac
2-*S*-methylthiouracil
($C_5H_6N_2OS$; *5751-20-2*) see: Mizolastine
methylthiourea
($C_2H_6N_2S$; *598-52-7*) see: Noxytiolin
***S*-methylthiouronium chloride**
($C_2H_7ClN_2S$; *53114-57-1*) see: Benexate
***S*-methylthiouronium sulfate**
($C_2H_8N_2O_4S_2$; *2260-00-6*) see: Fluorouracil; Guanadrel; Guanethidine sulfate; Guanoclor; Guanoxan; Mebendazole
methyl 4-toluenesulfonate
($C_8H_{10}O_3S$; *80-48-8*) see: Acriflavinium chloride; Binedaline; Suplatast tosilate
2-methyl-3-(*p*-toluenesulfonyloxy)propyl chloride
($C_{11}H_{15}ClO_3S$; *123094-45-1*) see: Perimetazine
***N*-methyl-3-toluidine**
($C_8H_{11}N$; *696-44-6*) see: Tolnaftate
methyl 1-(2,3,5-tri-*O*-acetyl-β-D-ribofuranosyl)-1,2,4-triazole-3-carboxylate
($C_{15}H_{19}N_3O_9$; *39925-10-5*) see: Ribavirin
methyl 1,2,4-triazole-3-carboxylate
($C_4H_5N_3O_2$; *4928-88-5*) see: Ribavirin
methyl 2,3,6-trideoxy-3-amino-α-L-*lyxo*-hexopyranoside
($C_7H_{15}NO_3$; *18977-92-9*) see: Epirubicin
methyl 2,3,6-trideoxy-3-[(trifluoroacetyl)amino]-α-L-*arabino*-hexopyranoside
($C_9H_{14}F_3NO_4$; *56390-11-5*) see: Epirubicin
methyl 2,3,6-trideoxy-3-[(trifluoroacetyl)amino]-α-L-*lyxo*-hexopyranoside
($C_9H_{14}F_3NO_4$; *56390-10-4*) see: Epirubicin
methyl 2,3,6-trideoxy-3-[(trifluoroacetyl)amino]-α-L-*threo*-hexopyranosid-4-ulose
($C_9H_{12}F_3NO_4$; *56354-07-5*) see: Epirubicin
(±)-(*E*)-4-methyl-4-triethylsilyloxy-1-octenyl iodide
($C_{15}H_{31}IOSi$; *58682-78-3*) see: Misoprostol
(*E*)-[4-methyl-4-[(triethylsilyl)oxy]-1-octenyl]-1-pentynylcuprate(1-) lithium
($C_{20}H_{38}CuLiOSi$) see: Misoprostol
2-methyl-3,4,6-trifluorobenzoic acid
($C_8H_5F_3O_2$; *119916-22-2*) see: Grepafloxacin

morpholine
(C_4H_9NO; *110-91-8*) see: Bufexamac; Citicoline; Doxapram; Emorfazone; Fenclofenac; Folescutol; Fomocaine; Metiazinic acid; Molindone; Moracizine; Morinamide; Moroxydine; Naproxen; Protizinic acid; Rocuronium bromide; Sulmetozin; Tiemonium iodide; Timolol; Trimetozine

2-morpholinoethanol
($C_6H_{13}NO_2$; *622-40-2*) see: Mycophenolate mofetil

2-morpholinoethyl chloride
($C_6H_{12}ClNO$; *3240-94-6*) see: Floredil; Morclofone; Nimorazole; Pholcodine

3-morpholinopropionitrile
($C_7H_{12}N_2O$; *4542-47-6*) see: Brodimoprim

3-morpholinopropyl chloride
($C_7H_{14}ClNO$; *7357-67-7*) see: Pramocaine

(4-morpholinylamino)acetonitrile
($C_6H_{11}N_3O$; *16142-26-0*) see: Molsidomine

(4-morpholinylnitrosoamino)acetonitrile
($C_6H_{10}N_4O_2$; *26687-79-6*) see: Molsidomine

mucochloric acid
($C_4H_2Cl_2O_3$; *87-56-9*) see: Amezinium metilsulfate

mycophenolic acid chloride
($C_{17}H_{19}ClO_5$; *111512-13-1*) see: Mycophenolate mofetil

N

naltrexone
($C_{20}H_{23}NO_4$; *16590-41-3*) see: Nalmefene

nandrolone
($C_{18}H_{26}O_2$; *434-22-0*) see: Allylestrenol; Ethylestrenol; Lynestrenol; Methylestrenolone; Nandrolone decanoate; Nandrolone hexyloxyphenylpropionate; Nandrolone phenylpropionate; Nandrolone undecylate

naphthalene
($C_{10}H_8$; *91-20-3*) see: Perflunafene

1-naphthalenecarboxaldehyde
($C_{11}H_8O$; *66-77-3*) see: Butenafine

1-naphthalenecarboxylic acid
($C_{11}H_8O_2$; *86-55-5*) see: Butenafine

1,6-naphthalenediol
($C_{10}H_8O_2$; *575-44-0*) see: Quinagolide hydrochloride

1-naphthaleneethanimidic acid ethyl ester hydrochloride
($C_{14}H_{16}ClNO$; *43002-67-1*) see: Naphazoline

1-naphthol
($C_{10}H_8O$; *90-15-3*) see: Nadoxolol; Naftopidil; Propranolol

2-naphthol
($C_{10}H_8O$; *135-19-3*) see: Naproxen; Tolnaftate

3-(1-naphthoxy)propylene oxide
see under 2,3-epoxy-1-(1-naphthyloxy)propane

(1-naphthyl)acetonitrile
($C_{12}H_9N$; *132-75-2*) see: Naphazoline

2-naphthyl-D-alanine
($C_{13}H_{13}NO_2$; *76985-09-6*) see: Cetrorelix

(1-naphthylmethyl)methylamine
see under *N*-methyl-1-naphthylmethylamine

1-(1-naphthyloxy)-2,3-epoxypropane
see under 2,3-epoxy-1-(1-naphthyloxy)propane

2-naphthylsulfonyl chloride
($C_{10}H_7ClO_2S$; *93-11-8*) see: Orlistat

3-(1-naphthyl)-2-(tetrahydrofurfuryl)propanoic acid
($C_{18}H_{20}O_3$; *25379-26-4*) see: Naftidrofuryl

naproxen
($C_{14}H_{14}O_3$; *22204-53-1*) see: Piproxen

(+)-neoisoisopulegol
($C_{10}H_{18}O$; *144541-38-8*) see: (–)-Menthol

(+)-neoisopulegol
($C_{10}H_{18}O$; *20549-46-6*) see: (–)-Menthol

(±)-neomenthol
($C_{10}H_{20}O$; *3623-51-6*) see: (–)-Menthol

nicethamide
($C_{10}H_{14}N_2O$; *59-26-7*) see: Camphotamide

nicotinic acid
($C_6H_5NO_2$; *59-67-6*) see: Aluminum nicotinate; Inositol nicotinate; Micinicate; Nicorandil; Nicotinamide; Nicotinic acid benzyl ester; Nikethamide; Xantinol nicotinate

nicotinonitrile
($C_6H_4N_2$; *100-54-9*) see: Nicotinamide; Nicotinyl alcohol

nicotinoyl chloride
(C_6H_4ClNO; *10400-19-8*) see: Etofibrate; Hepronicate; Inositol nicotinate; Micinicate; Niaprazine; Niceritrol; Nicoclonate; Nicotafuryl; Nifenazone; Ronifibrate

nicotinoyl chloride hydrochloride
($C_6H_5Cl_2NO$; *20260-53-1*) see: Nicofuranose

nitric acid
(HNO_3; *7697-37-2*) see: Gallium nitrate

4'-nitroacetophenone
($C_8H_7NO_3$; *100-19-6*) see: Chloramphenicol; Clenbuterol

3-nitro-5-acetyl-10,11-dihydro-5*H*-dibenz[*b*,*f*]azepine
($C_{16}H_{14}N_2O_3$; *79752-03-7*) see: Tiracizine

***p*-nitroaniline**
($C_6H_6N_2O_2$; *100-01-6*) see: Dantrolene; Nimetazepam; Vesnarinone

2-nitroaniline
($C_6H_6N_2O_2$; *88-74-4*) see: Astemizole

3-nitroaniline
($C_6H_6N_2O_2$; *99-09-2*) see: Iocetamic acid

4-nitroaniline
see under *p*-nitroaniline

2-(2-nitroanilino)-5-methylthiophene-3-carbonitrile
($C_{12}H_9N_3O_2S$; *138564-59-7*) see: Olanzapine

4-(2-nitroanilino)piperidine
($C_{11}H_{15}N_3O_2$; *57718-44-2*) see: Timiperone

5-nitroanthranilic acid
($C_7H_6N_2O_4$; *616-79-5*) see: Afloqualone

nitro-L-arginine methyl ester hydrochloride
($C_7H_{16}ClN_5O_4$; *51298-62-5*) see: Angiotensinamide

4-nitrobenzalacetone
($C_{10}H_9NO_3$; *3490-37-7*) see: Acenocoumarol

2-nitrobenzaldehyde
($C_7H_5NO_3$; *552-89-6*) see: Aranidipine; Nifedipine; Nisoldipine

3-nitrobenzaldehyde
($C_7H_5NO_3$; *99-61-6*) see: Barnidipine; Benidipine; Efonidipine hydrochloride ethanol; Iopanoic acid; Lercanidipine hydrochloride; Manidipine; Nicardipine; Nilvadipine; Nimodipine; Nitrendipine; Rosoxacin

nitrobenzene
($C_6H_5NO_2$; *98-95-3*) see: Oxyquinoline

5-nitroorotic acid
($C_5H_3N_3O_6$; *17687-24-0*) see: Dipyridamole
5-nitro-1-pentanamine
($C_5H_{12}N_2O_2$) see: Deferoxamine
(5-nitropentyl)carbamic acid benzyl ester
($C_{13}H_{18}N_2O_4$; *92034-20-3*) see: Deferoxamine
4-nitrophenethylamine hydrochloride
($C_8H_{11}ClN_2O_2$; *29968-78-3*) see: Dofetilide
4-nitrophenetole
($C_8H_9NO_3$; *100-29-8*) see: Phenacetin
4-nitrophenol
($C_6H_5NO_3$; *100-02-7*) see: Paracetamol; Phenacetin; Talinolol; Troglitazone
***N*-[(4-nitrophenoxy)carbonyl]-L-valine methyl ester**
($C_{13}H_{16}N_2O_6$; *162537-10-2*) see: Ritonavir
(±)-1-(4-nitrophenoxy)-2-hydroxy-3-(*tert*-butylamino)-propane
($C_{13}H_{20}N_2O_4$; *133228-95-2*) see: Talinolol
4-(*p*-nitrophenoxy)-3-methyl-2-buten-1-ol
($C_{11}H_{13}NO_4$; *171180-10-2*) see: Troglitazone
4-(*p*-nitrophenoxy)-3-methyl-2-butenyl acetate
($C_{13}H_{15}NO_5$; *171180-09-9*) see: Troglitazone
2-[4-(*p*-nitrophenoxy)-3-methyl-2-butenyl]-3,5,6-trimethylhydroquinone
($C_{20}H_{23}NO_5$; *171180-12-4*) see: Troglitazone
1-(4-nitrophenoxy)-5-(4-nitrophenyl)-3-methyl-3-azapentane
($C_{17}H_{19}N_3O_5$; *115287-37-1*) see: Dofetilide
1-(4-nitrophenoxy)-2-propanone
($C_9H_9NO_4$; *6698-72-2*) see: Troglitazone
3-nitro-4-phenoxy-5-sulfamoylbenzoic acid
($C_{13}H_{10}N_2O_7S$; *28328-53-2*) see: Bumetanide; Piretanide
4-nitro-L-phenylalanine
($C_9H_{10}N_2O_4$; *949-99-5*) see: Melphalan; Zolmitriptan
4-nitro-L-phenylalanine ethyl ester monohydrochloride
($C_{11}H_{15}ClN_2O_4$; *58816-66-3*) see: Melphalan
3-[(2-nitrophenyl)amino]-1-propanol
($C_9H_{12}N_2O_3$; *56636-93-2*) see: Domperidone
4-[[[(2-nitrophenyl)amino]thioxomethyl]amino]-1-piperidinecarboxylic acid ethyl ester
($C_{15}H_{20}N_4O_4S$) see: Astemizole
5-nitro-6-phenylbicyclo[2.2.1]hept-2-ene
($C_{13}H_{13}NO_2$; *92028-79-0*) see: Fencamfamin
2-(4-nitrophenyl)butyronitrile
($C_{10}H_{10}N_2O_2$; *94814-82-1*) see: Aminoglutethimide
4-nitrophenyl chloroformate
($C_7H_4ClNO_4$; *7693-46-1*) see: Ritonavir
2-(4-nitrophenyl)ethyl bromide
($C_8H_8BrNO_2$; *5339-26-4*) see: Anileridine
2-(4-nitrophenyl)-2-ethylglutarimide
($C_{13}H_{14}N_2O_4$; *38527-73-0*) see: Aminoglutethimide
5-(4-nitrophenyl)-2-furancarboxaldehyde
($C_{11}H_7NO_4$; *7147-77-5*) see: Dantrolene
5-nitro-3-phenyl-1*H*-indole-2-carboxylic acid
($C_{15}H_{10}N_2O_4$; *14182-37-7*) see: Nimetazepam
2-(3-nitrophenylmethlene)butyric acid
see under α-ethyl-3-nitrocinnamic acid
4,4'-[(3-nitrophenyl)methylene]bismorpholine
($C_{15}H_{21}N_3O_4$; *40891-03-0*) see: Efonidipine hydrochloride ethanol
2-[(3-nitrophenyl)methylene]-3-oxobutanoic acid 2-[(3,3-diphenylpropyl)methylamino]-1,1-dimethylethyl ester
($C_{31}H_{34}N_2O_5$; *210579-45-6*) see: Lercanidipine hydrochloride
2-[(2-nitrophenyl)methylene]-3-oxobutanoic acid methyl ester
($C_{12}H_{11}NO_5$; *39562-27-1*) see: Aranidipine
4-nitrophenyl-*N*-methylmethanesulfonamide
($C_8H_{10}N_2O_4S$; *85952-29-0*) see: Sumatriptan
4-(3-nitrophenyl)pyridine
($C_{11}H_8N_2O_2$; *4282-48-8*) see: Rosoxacin
***o*-nitrophenylsulfenyl chloride**
($C_6H_4ClNO_2S$; *7669-54-7*) see: Aspoxicillin
5-(3-nitrophenyl)tetrazole
($C_7H_5N_5O_2$; *21871-44-3*) see: Tazanolast
***N*-[(2-nitrophenyl)thio]-D-aspartic acid 4-methyl ester**
($C_{11}H_{12}N_2O_6S$; *63341-33-3*) see: Aspoxicillin
3-nitrophthalic acid
($C_8H_5NO_6$; *603-11-2*) see: Candesartan cilexetil
1-nitropropane
($C_3H_7NO_2$; *108-03-2*) see: Ethambutol; Moxaverine
2-nitropropane
($C_3H_7NO_2$; *79-46-9*) see: Phentermine
3-nitro-4-propoxybenzoic acid
($C_{10}H_{11}NO_5$; *35288-44-9*) see: Proxymetacaine
4-nitro-2-propoxybenzoic acid
($C_{10}H_{11}NO_5$; *103204-41-7*) see: Propoxycaine
3-nitro-4-propoxybenzoic acid 2-(diethylamino)ethyl ester
($C_{16}H_{24}N_2O_5$) see: Proxymetacaine
4-nitro-2-propoxybenzoic acid 2-(diethylamino)ethyl ester
($C_{16}H_{24}N_2O_5$) see: Propoxycaine
1-(3-nitro-2-pyridyl)piperazine
($C_9H_{12}N_4O_2$; *87394-48-7*) see: Delavirdine mesilate
3-nitro-5-(4-pyridyl)-2(1*H*)-pyridinone
($C_{10}H_7N_3O_3$; *62749-33-1*) see: Amrinone
5-nitrosalicylic acid
($C_7H_5NO_5$; *96-97-9*) see: Mesalazine
4-nitrosothymol
($C_{10}H_{13}NO_2$; *2364-54-7*) see: Moxisylyte
5-nitroso-2,4,6-triaminopyrimidine
($C_4H_6N_6O$; *1006-23-1*) see: Triamterene
β-nitrostyrene
($C_8H_7NO_2$; *102-96-5*) see: Fencamfamin
4-nitrostyrene oxide
($C_8H_7NO_3$; *6388-74-5*) see: Nifenalol
nitrosyl chloride ((NO)Cl)
(ClNO; *2696-92-6*) see: Aldosterone
4-nitrotetrazolo[1,5-*a*]quinolin-5-yl trifluoroacetate
($C_{11}H_4F_3N_5O_4$) see: Imiquimod
8-nitro-2-(tetrazol-5-yl)-1-benzopyran-4-one
($C_{10}H_5N_5O_4$; *141283-42-3*) see: Pranlukast
***S*-[2-nitro-1-(2-thienyl)ethyl]-*N*-*tert*-butoxycarbonyl-L-cysteine**
($C_{14}H_{20}N_2O_6S_2$; *102090-86-8*) see: Temocapril
5-nitro-2-thiophenecarbohydrazide
($C_5H_5N_3O_3S$; *39978-44-4*) see: Nifurzide
2-nitrothiophenol
($C_6H_5NO_2S$; *4875-10-9*) see: Diltiazem

olivetol
($C_{11}H_{16}O_2$; *500-66-3*) see: Dronabinol

orthoacetic acid triethyl ester
($C_8H_{18}O_3$; *78-39-7*) see: Acetyldigitoxin; Alprazolam; Brotizolam; Diazoxide

orthoformic acid triethyl ester
see under ethyl orthoformate

oxalic acid
($C_2H_2O_4$; *144-62-7*) see: Gestodene; Gestrinone; Oxaliplatin; Pyridoxine

oxalic acid diethyl ester
see under diethyl oxalate

oxalic acid monochloride butyl ester
($C_6H_9ClO_3$; *20963-23-9*) see: Tazanolast

oxalyl chloride
($C_2Cl_2O_2$; *79-37-8*) see: Cefuroxime; Diclofenac; Dorzolamide; Fexofenadine hydrochloride; Granisetron; Gusperimus trihydrochloride; Indoramin; Maprotiline; Micinicate; Ritonavir; Saquinavir; Sumatriptan; Tacrolimus; Tirofiban hydrochloride; Tropisetron; Viminol

8-oxaspiro[4.5]decane-7,9-dione
($C_9H_{12}O_3$; *5662-95-3*) see: Buspirone

1-[2-(oxiranylmethoxy)phenyl]-3-phenyl-1-propanone
($C_{18}H_{18}O_3$; *22525-95-7*) see: Propafenone

4-[4-(oxiranylmethoxy)-1,2,5-thiadiazol-3-yl]morpholine
($C_9H_{13}N_3O_3S$; *58827-68-2*) see: Timolol

***O*-(2-oxiranylmethyl)-2-acetyl-4-butyramidophenol**
($C_{15}H_{19}NO_4$; *28197-66-2*) see: Acebutolol

3-oxo-4-androstene-17β-carboxylic acid
($C_{20}H_{28}O_3$; *302-97-6*) see: Finasteride

3-oxo-4-aza-5α-androstane-17β-carboxylic acid
($C_{19}H_{29}NO_3$; *103335-55-3*) see: Finasteride

3-oxo-1,2-benzisothiazole-2(3*H*)-acetic acid ethyl ester 1,1-dioxide
($C_{11}H_{11}NO_5S$; *24683-20-3*) see: Piroxicam

5-oxo-5*H*-[1]-benzopyrano[2,3-*b*]pyridine
($C_{12}H_7NO_2$; *6537-46-8*) see: Pranoprofen

3-oxobutanoic acid 2-methoxyethyl ester
($C_7H_{12}O_4$; *22502-03-0*) see: Nimodipine

3-oxo-1,4,6-cholestatriene
($C_{27}H_{40}O$; *3464-60-6*) see: Alfacalcidol

3-oxo-1,5,7-cholestatriene
($C_{27}H_{40}O$; *54604-58-9*) see: Alfacalcidol

4-oxo-4-(4-cyclohexylphenyl)butyric acid
($C_{16}H_{20}O_3$; *35288-13-2*) see: Bucloxic acid

9-oxodecanoic acid
($C_{10}H_{18}O_3$; *1422-26-0*) see: Misoprostol

4-oxo-9,10-dihydro-4*H*-benzo[4,5]cyclohepta[1,2-*b*]thiophene
($C_{13}H_{10}OS$; *1622-55-5*) see: Ketotifen; Pizotifen

6-oxo-6,12-dihydrobenzofuro[3,2-*c*][1]benzoxepin
($C_{16}H_{10}O_3$; *28763-77-1*) see: Oxetorone

6-oxo-5,6-dihydro-11*H*-dibenz[*b,e*]azepine
($C_{14}H_{11}NO$; *1211-06-9*) see: Perlapine

5-oxo-10,11-dihydro-5*H*-dibenzo[*a,d*]cycloheptene
see under dibenzosuberone

11-oxo-6,11-dihydrodibenzo[*b,e*]thiepin
($C_{14}H_{10}OS$; *1531-77-7*) see: Dosulepin

11-oxo-6,11-dihydrodibenz[*b,e*]oxepin
($C_{14}H_{10}O_2$; *4504-87-4*) see: Doxepin

3-(2-oxo-1,2-dihydroquinolin-4-yl)alanine
($C_{12}H_{12}N_2O_3$; *5162-90-3*) see: Rebamipide

6-oxo-6-[2-(3,4-dimethoxyphenyl)ethylamino]hexanoic acid
($C_{16}H_{23}NO_5$; *7574-86-9*) see: Dopexamine

(2*S*)-3-oxo-1,4-dioxaspiro[4.5]decane-2-acetic acid
($C_{10}H_{14}O_5$; *153011-57-5*) see: Orlistat

3-oxo-2,7-dioxa-5-thiabicyclo[2.2.1]heptane
($C_4H_4O_3S$; *161683-18-7*) see: Lamivudine

17-oxo-4-estrene
($C_{18}H_{26}O$; *3646-28-4*) see: Allylestrenol; Ethylestrenol; Lynestrenol

2-oxo-L-gulonic acid
($C_6H_{10}O_7$; *526-98-7*) see: Ascorbic acid

9-oxo-1*H*-imidazole-1-nonanoic acid methyl ester
($C_{13}H_{20}N_2O_3$; *112497-48-0*) see: Misoprostol

***N*-(2-oxoimidazolidinocarbonyl)-D-phenylglycine**
($C_{12}H_{13}N_3O_4$; *37091-70-6*) see: Azlocillin

2-(1-oxoindan-4-yloxymethyl)-4-(triphenylmethyl)morpholine
($C_{33}H_{31}NO_3$; *60929-58-0*) see: Indeloxacine

11-oxo-5-methyl-10,11-dihydro-5*H*-dibenzo[*b,e*][1,4]diazepine
($C_{14}H_{12}N_2O$; *5026-42-6*) see: Dibenzepine

3-oxo-17α-methyl-20-hydroxy-19-norpregn-5(10)-ene
($C_{21}H_{32}O_2$; *10110-90-4*) see: Demegestone; Promegestone

1-oxo-3-morpholino-1-(2-thienyl)propane
($C_{11}H_{15}NO_2S$; *3339-36-4*) see: Tiemonium iodide

(2-oxononyl)phosphonic acid dimethyl ester
($C_{11}H_{23}O_4P$; *37497-25-9*) see: Unoprostone isopropyl

(*S*)-4-[[4-[(2-oxo-4-oxazolidinyl)methyl]phenyl]hydrazono]butanenitrile
($C_{14}H_{16}N_4O_2$; *139264-80-5*) see: Zolmitriptan

(4*S*)-3-(1-oxo-4-pentenyl)-4-(phenylmethyl)-2-oxazolidinone
($C_{15}H_{17}NO_3$; *104266-88-8*) see: Abacavir

3-oxo-*N*-phenylbutanamide
($C_{10}H_{11}NO_2$; *102-01-2*) see: Rebamipide

3-oxo-2-phenylbutane
($C_{10}H_{12}O$; *769-59-5*) see: Pentorex

(2-oxo-4-phenylbutyl)phosphonic acid dimethyl ester
($C_{12}H_{17}O_4P$; *41162-19-0*) see: Latanoprost

2-oxo-4-phenylbutyric acid
($C_{10}H_{10}O_3$; *710-11-2*) see: Lisinopril

2-oxo-5-phenyl-2,3-dihydro-1*H*-1,4-benzodiazepine
($C_{15}H_{12}N_2O$; *2898-08-0*) see: Nitrazepam

***N*-[3-(2-oxo-2-phenylethyl)-2-thiazolidinylidene]acetamide**
($C_{13}H_{14}N_2O_2S$; *6649-36-1*) see: Levamisole

(4*S*-*cis*)-2-oxo-4-(phenylmethyl)-5-oxazolidinecarboxylic acid
($C_{11}H_{11}NO_4$; *147976-18-9*) see: Saquinavir

(±)-2-oxo-1-phenyl-3-oxabicyclo[3.1.0]hexane
($C_{11}H_{10}O_2$; *63106-93-4*) see: Milnacipran hydrochloride

2-oxo-1-phenylpentane
($C_{11}H_{14}O$; *6683-92-7*) see: Prolintane

1-(3-oxo-3-phenylpropyl)-4-phenyl-4-piperidinecarboxylic acid ethyl ester
($C_{23}H_{27}NO_3$; *4310-87-6*) see: Phenoperidine

P

2-pentyl bromide
($C_5H_{11}Br$; *107-81-3*) see: Pentobarbital; Secobarbital; Thiopental

pentyl chloroformate
($C_6H_{11}ClO_2$; *638-41-5*) see: Capecitabine

***n*-pentylmagnesium bromide**
($C_5H_{11}BrMg$; *693-25-4*) see: Nabilone

1-pentynylcopper(I) bis(hexamethylphosphoric triamide)
($C_{17}H_{43}CuN_6O_2P_2$; *67840-54-4*) see: Misoprostol

peracetic acid
($C_2H_4O_3$; *79-21-0*) see: Oxcarbazepine

perbenzoic acid
($C_7H_6O_3$; *93-59-4*) see: Pipecuronium bromide

perchloryl fluoride
($ClFO_3$; *7616-94-6*) see: Ulobetasol propionate

(*S*)-(–)-perillic acid
($C_{10}H_{14}O_2$; *23635-14-5*) see: Nateglinide

perphenazine
($C_{21}H_{26}ClN_3OS$; *58-39-9*) see: Thiopropazate

phenacetin
($C_{10}H_{13}NO_2$; *62-44-2*) see: Phenacaine

phenacyl bromide
see under 2-bromoacetophenone

1-phenacyl-2-tetralone
($C_{18}H_{16}O_2$; *57859-83-3*) see: Fendosal

***p*-phenetidine**
see under 4-ethoxyaniline

phenmetrazine
($C_{11}H_{15}NO$; *134-49-6*) see: Fenbutrazate

phenobarbital
($C_{12}H_{12}N_2O_3$; *50-06-6*) see: Barbexaclone

phenobarbital-4-imine
($C_{12}H_{13}N_3O_2$; *58042-96-9*) see: Phenobarbital

phenol
(C_6H_6O; *108-95-2*) see: Beclobrate; Bisacodyl; Bisoprolol; Carfecillin; Clinofibrate; Doxepin; Febuprol; Fenticlor; Fomocaine; Medifoxamine; Nefazodone hydrochloride; Normolaxol; Octopamine; Oxetorone; Oxyphenisatin acetate; Paracetamol; Phenolphthalein; Phenoxybenzamine; Pranoprofen; Propofol; Synephrine

phenothiazine
($C_{12}H_9NS$; *92-84-2*) see: Alimemazine; Aminopromazine; Dimethoxanate; Dixyrazine; Fenoverine; Mequitazine; Methdilazine; Oxomemazine; Pecazine; Perazine; Profenamine; Promazine; Promethazine; Propyramazine bromide

phenothiazine-10-carbonyl chloride
($C_{13}H_8ClNOS$; *18956-87-1*) see: Dimethoxanate

phenoxyacetone
($C_9H_{10}O_2$; *621-87-4*) see: Racefemine

3'-phenoxyacetophenone
($C_{14}H_{12}O_2$; *32852-92-9*) see: Fenoprofen

1-phenoxy-2-bromopropane
($C_9H_{11}BrO$; *90561-10-7*) see: Isoxsuprine

2-phenoxybutyric acid
($C_{10}H_{12}O_3$; *13794-14-4*) see: Propicillin

2-phenoxycarbonylaminopyridine
($C_{12}H_{10}N_2O_2$; *20951-00-2*) see: Droxicam

[1-[(2-phenoxyethyl)amino]propylidene]hydrazinecarboxylic acid methyl ester
($C_{13}H_{19}N_3O_3$; *99153-69-2*) see: Nefazodone hydrochloride

2-phenoxyethyl bromide
(C_8H_9BrO; *589-10-6*) see: Domiphen bromide; Nefazodone hydrochloride; Thenium closilate

***N*-(2-phenoxyethyl)dimethylamine**
see under 1-dimethylamino-2-phenoxyethane

***N*-(2-phenoxyethyl)propanamide**
($C_{11}H_{15}NO_2$; *99153-71-6*) see: Nefazodone hydrochloride

***N*-(2-phenoxyethyl)-2-thiophenemethanamine**
($C_{13}H_{15}NOS$) see: Thenium closilate

1-phenoxy-3-isopropylamino-2-propanol
($C_{12}H_{19}NO_2$; *7695-63-8*) see: Bisoprolol

2'-phenoxymethanesulfonanilide
($C_{13}H_{13}NO_3S$; *51765-51-6*) see: Nimesulide

2-(phenoxymethyl)benzoic acid
($C_{14}H_{12}O_3$; *724-98-1*) see: Doxepin

4-(phenoxymethyl)benzonitrile
($C_{14}H_{11}NO$; *57928-75-3*) see: Fomocaine

3-phenoxymethylcoumarilic acid ethyl ester
($C_{18}H_{16}O_4$) see: Oxetorone

3-phenoxymethylcoumariloyl chloride
($C_{16}H_{11}ClO_3$) see: Oxetorone

5-phenoxymethyl-3-isopropyl-2-oxazolidinone
($C_{13}H_{17}NO_3$; *39631-50-0*) see: Bisoprolol

phenoxymethylpenicillin
($C_{16}H_{18}N_2O_5S$; *87-08-1*) see: Peninepicycline

3-(4-phenoxymethylphenyl)propyl chloride
($C_{16}H_{17}ClO$; *69156-40-7*) see: Fomocaine

4-[3-[4-(phenoxymethyl)phenyl]-1-thioxopropyl]morpholine
($C_{20}H_{23}NO_2S$; *65053-11-4*) see: Fomocaine

4'-phenoxymethylpropiophenone
($C_{16}H_{16}O_2$; *65053-10-3*) see: Fomocaine

2-phenoxynicotinic acid
($C_{12}H_9NO_3$; *35620-71-4*) see: Pranoprofen

2-phenoxy-4-nitroaniline
($C_{12}H_{10}N_2O_3$; *5422-92-4*) see: Nimesulide

***N*-(2-phenoxyphenyl)acetamide**
($C_{14}H_{13}NO_2$; *143359-96-0*) see: Nimesulide

1-phenoxy-2-propanol
($C_9H_{12}O_2$; *770-35-4*) see: Phenoxybenzamine

2-phenoxypropionic acid
($C_9H_{10}O_3$; *940-31-8*) see: Pheneticillin

1-phenoxy-2-propyl chloride
($C_9H_{11}ClO$; *53491-30-8*) see: Phenoxybenzamine

7-(phenylacetamido)cephalosporanic acid sodium salt
($C_{18}H_{17}N_2NaO_6S$; *26382-85-4*) see: *cis*-Cefprozil

phenylacetic acid
($C_8H_8O_2$; *103-82-2*) see: *cis*-Cefprozil; Deptropine; Rofecoxib; Sulbenicillin

phenylacetic acid ethyl ester
see under ethyl phenylacetate

phenylacetic acid sodium salt
($C_8H_7NaO_2$; *114-70-5*) see: Cyclopentolate; Rofecoxib

phenylacetone
($C_9H_{10}O$; *103-79-7*) see: Amfenac sodium; Fenetylline; Mefenorex; Metirosine; Prenylamine

phenylacetonitrile
see under benzyl cyanide

phenylacetylcarbinol
see under (–)-1-hydroxy-1-phenylacetone

1-(2-phenylethyl)-4-piperidone
($C_{13}H_{17}NO$; *39742-60-4*) see: Fenspiride

3-(2-phenylethyl)pyridine
($C_{13}H_{13}N$; *6312-09-0*) see: Azatadine

3-(2-phenylethyl)pyridine 1-oxide
($C_{13}H_{13}NO$; *14578-22-4*) see: Azatadine

phenyl glycidyl ether
see under glycidyl phenyl ether

(*S*)-phenylglycine
($C_8H_9NO_2$; *2935-35-5*) see: Docetaxel

D(−)-α-phenylglycine
($C_8H_9NO_2$; *875-74-1*) see: Azlocillin; Cefradine; Epicillin

D(−)-α-phenylglycine chloride hydrochloride
($C_8H_9Cl_2NO$; *39878-87-0*) see: Ampicillin

phenylglycine isopentyl ester
($C_{13}H_{19}NO_2$; *84580-27-8*) see: Camylofin

D(−)-phenylglycine sodium salt
($C_8H_8NNaO_2$; *56337-83-8*) see: Ampicillin

(+)-(*S*)-phenylglycinol
($C_8H_{11}NO$; *20989-17-7*) see: Cerivastatin sodium

5-phenylhydantoin
($C_9H_8N_2O_2$; *89-24-7*) see: Ethotoin

phenylhydrazine
($C_6H_8N_2$; *100-63-0*) see: Amezinium metilsulfate; Aminophenazone; Cortivazol; Lonazolac; Mofebutazone; D-Penicillamine; Propyphenazone; Sulfaphenazole; L-Tryptophan

3-(2-phenylhydrazino)propanenitrile
($C_9H_{11}N_3$; *26955-79-3*) see: Sulfaphenazole

(±)-3-phenyl-3-hydroxy-1-propanamine
($C_9H_{13}NO$; *5053-63-4*) see: Fluoxetine

***N*-(3-phenyl-1*H*-indol-1-yl)acetamide**
($C_{16}H_{14}N_2O$; *57647-16-2*) see: Binedaline

phenyl isocyanate
(C_7H_5NO; *103-71-9*) see: Diperodon

phenyllithium
(C_6H_5Li; *591-51-5*) see: Alphaprodine

phenylmagnesium bromide
(C_6H_5BrMg; *100-58-3*) see: Azacyclonol; Biperidene; Broparestrol; Budipine; Clemastine; Clofedanol; Clotrimazole; Diphemanil metilsulfate; Dipotassium clorazepate; Doxylamine; Flutrimazole; Fosinopril; Hexestrol; Lercanidipine hydrochloride; Medazepam; Oxitefonium bromide; Pridinol; Procyclidine; Propiverine; Tiemonium iodide

phenylmalonic acid
($C_9H_8O_4$; *2613-89-0*) see: Carfecillin; Carindacillin

phenylmalonic acid benzyl ester chloride
($C_{16}H_{13}ClO_3$; *35353-13-0*) see: Carbenicillin

phenylmalonic acid diethyl ester
see under diethyl phenylmalonate

phenylmercuric acetate
($C_8H_8HgO_2$; *62-38-4*) see: Phenylmercuric borate

phenylmercuric hydroxide
(C_6H_6HgO; *100-57-2*) see: Phenylmercuric borate

***N*-[(phenylmethoxy)carbonyl]-DL-homocysteine acetate (ester)**
($C_{14}H_{17}NO_5S$) see: Omapatrilat

***N*-[(phenylmethoxy)carbonyl]-L-homocysteine acetate (ester)**
($C_{14}H_{17}NO_5S$; *167305-82-0*) see: Omapatrilat

4-(phenylmethoxy)-1*H*-indole-2-acetic acid
($C_{17}H_{15}NO_3$) see: Mepindolol

***anti*-8-[(phenylmethoxy)methyl]-2-oxabicyclo[3.2.1]oct-6-en-3-one**
($C_{15}H_{16}O_3$; *50889-56-0*) see: Dinoprost

6-*O*-[4-(phenylmethoxy)phenyl]-α-D-glucofuranose
($C_{19}H_{22}O_7$) see: Prenalterol

[4-(phenylmethoxy)phenyl]hydrazine
($C_{13}H_{14}N_2O$; *51145-58-5*) see: Oxitriptan

5-(phenylmethoxy)-*N*-[(phenylmethoxy)carbonyl]-L-tryptophan
($C_{26}H_{24}N_2O_5$; *3520-59-0*) see: Oxitriptan

1-[4-(phenylmethoxy)phenyl]-2-[[2-[4-(phenylmethoxy)-phenyl]ethyl]amino]-1-propanone
($C_{31}H_{31}NO_3$) see: Ritodrine

1-[4-(phenylmethoxy)phenyl]-2-[4-(phenylmethyl)-1-piperidinyl]-1-propanone
($C_{28}H_{31}NO_2$; *35133-39-2*) see: Ifenprodil

1-[3-(phenylmethoxy)phenyl]-1,2-propanedione 2-oxime
($C_{16}H_{15}NO_3$) see: Metaraminol

(±)-1-phenyl-3-(methylamino)propan-1-ol
($C_{10}H_{15}NO$; *42142-52-9*) see: Fluoxetine

***N*-phenyl-*N*-methyl-*N'*-(2-chlorobenzoyl)-2-hydroxy-1,3-diaminopropane**
($C_{17}H_{19}ClN_2O_2$; *61677-60-9*) see: Metaclazepam

(*R*)-phenylmethyl [3-chloro-2-oxo-1-[(phenylthio)methyl]-propyl]carbamate
($C_{18}H_{18}ClNO_3S$; *159878-01-0*) see: Nelfinavir mesylate

3-[(phenylmethylene)amino]-2-oxazolidinone
($C_{10}H_{10}N_2O_2$; *4341-14-4*) see: Furazolidone

3-(phenylmethylene)-1(3*H*)-isobenzofuranone
($C_{15}H_{10}O_2$; *575-61-1*) see: Deptropine

***N*-phenyl-*N*-methyl-2-hydroxy-1,3-diaminopropane**
($C_{10}H_{16}N_2O$; *63062-22-6*) see: Metaclazepam

α,α'-[[(phenylmethyl)imino]bis(methylene)]bis[6-fluoro-3,4-dihydro-2*H*-1-benzopyran-2-methanol] stereoisomer
($C_{29}H_{31}F_2NO_4$; *129050-28-8*) see: Nebivolol

2-[(phenylmethyl)methylamino]-1-[4-(phenylmethoxy)-phenyl]-1-propanone
($C_{24}H_{25}NO_2$) see: Oxilofrine

[*S*-(*R,*S**)]-phenylmethyl [1-oxiranyl-2-(phenylthio)-ethyl]carbamate**
($C_{18}H_{19}NO_3S$; *163462-16-6*) see: Nelfinavir mesylate

1-(phenylmethyl)-4-piperidinone oxime
($C_{12}H_{16}N_2O$; *949-69-9*) see: Clebopride

***N*-[1-(phenylmethyl)-4-piperidinylidene]benzenamine**
($C_{18}H_{20}N_2$; *1155-57-3*) see: Fentanyl

6-(phenylmethyl)-5*H*-pyrrolo[3,4-*b*]pyridine-5,7(6*H*)-dione
($C_{14}H_{10}N_2O_2$; *18184-75-3*) see: Moxifloxacin hydrochloride

1-phenyl-3-morpholino-1-propanone
($C_{13}H_{17}NO_2$; *2298-48-8*) see: Tiemonium iodide

phenyloxalacetic acid diethyl ester
see under diethyl 3-oxo-2-phenylsuccinate

***cis*-3-phenyloxiranecarboxylic acid methyl ester**
($C_{10}H_{10}O_3$; *40956-18-1*) see: Paclitaxel

(2*R*,3*R*)-*rel*-3-phenyloxiranemethanol
($C_9H_{10}O_2$; *40641-81-4*) see: Reboxetine

4-phenylphenacyl bromide
($C_{14}H_{11}BrO$; *135-73-9*) see: Fentonium bromide

phosphorothioic acid *S*-[2-(dimethylamino)ethyl] *O,O*-diethyl ester
($C_8H_{20}NO_3PS$; *3147-20-4*) see: Ecothiopate iodide

phosphorus trichloride
(Cl_3P; *7719-12-2*) see: Isoflurophate

phosphoryl chloride
(Cl_3OP; *10025-87-3*) see: Cyclophosphamide; Estramustine phosphate; Etopophos; Ifosfamide; Miltefosine; Torasemide; Vidarabine

phosphorylcholine chloride
($C_5H_{15}ClNO_4P$; *107-73-3*) see: Citicoline

phthalaldehyde
($C_8H_6O_2$; *643-79-8*) see: Lacidipine

phthalazone
($C_8H_6N_2O$; *119-39-1*) see: Hydralazine

phthalic anhydride
($C_8H_4O_3$; *85-44-9*) see: Anisindione; Chlortalidone; Cilazapril; Deptropine; Fluorescein; Hydralazine; Indobufen; Indoprofen; Melphalan; Phenolphthalein; Phthalylsulfathiazole; Pizotifen; Pramipexole hydrochloride; Saquinavir; Sulfaloxic acid; Thalidomide; Tilisolol hydrochloride

phthalide
($C_8H_6O_2$; *87-41-2*) see: Anisindione; Bromindione; Hydralazine; Indoprofen

phthalimide
($C_8H_5NO_2$; *85-41-6*) see: Guanadrel

phthalimide potassium
($C_8H_4KNO_2$; *1074-82-4*) see: Milnacipran hydrochloride; Zidovudine

phthalimidoacetyl chloride
($C_{10}H_6ClNO_3$; *6780-38-7*) see: Flurazepam; Ioxaglic acid; Prazepam

4-(phthalimido)cyclohexanol
($C_{14}H_{15}NO_3$; *104618-31-7*) see: Pramipexole hydrochloride

4-(phthalimido)cyclohexanone
($C_{14}H_{13}NO_3$; *104618-32-8*) see: Pramipexole hydrochloride

6-(phthalimidomethyl)-11*H*-dibenz[*b,e*]azepine
($C_{23}H_{16}N_2O_2$; *74860-00-7*) see: Epinastine hydrochloride

6-(phthalimidomethyl)-6,11-dihydro-5*H*-dibenz[*b,e*]azepine
($C_{23}H_{18}N_2O_2$; *143878-20-0*) see: Epinastine hydrochloride

phthalonitrile
($C_8H_4N_2$; *91-15-6*) see: Dihydralazine

***N*-phthaloyl-L-cysteine benzhydryl ester**
($C_{24}H_{19}NO_4S$; *102089-87-2*) see: Temocapril

***N*-phthaloylglutamic acid**
($C_{13}H_{11}NO_6$; *6349-98-0*) see: Thalidomide

***N*-phthaloylglutamic anhydride**
($C_{13}H_9NO_5$; *3343-28-0*) see: Thalidomide

***N*-phthaloyl-L-glutamine**
($C_{13}H_{12}N_2O_5$; *3343-29-1*) see: Thalidomide

***N*-phthaloylglycyl chloride**
see under phthalimidoacetyl chloride

phthalylglycylglycyl chloride
($C_{12}H_9ClN_2O_4$; *59180-28-8*) see: Rilmazafone

phytol
($C_{20}H_{40}O$; *150-86-7*) see: Phytomenadione

phytomenadiol 1-acetate
($C_{33}H_{50}O_3$; *50281-47-5*) see: Phytomenadione

2-picoline
(C_6H_7N; *109-06-8*) see: Betahistine; Bromazepam; Ibudilast; Perhexiline

4-picoline
(C_6H_7N; *108-89-4*) see: Milrinone; Tirofiban hydrochloride

2-picoline 1-oxide
(C_6H_7NO; *931-19-1*) see: Bromazepam

picolinic acid
($C_6H_5NO_2$; *98-98-6*) see: Rimiterol

picolinic acid 2,6-xylidide
($C_{14}H_{14}N_2O$; *39627-98-0*) see: Bupivacaine; Mepivacaine

2',6'-picolinoxylidide
see under picolinic acid 2,6-xylidide

3-picolylamine
see under 3-(aminomethyl)pyridine

3-picolyl chloride
(C_6H_6ClN; *3099-31-8*) see: Indinavir sulfate

(±)-α-pinene
($C_{10}H_{16}$; *80-56-8*) see: Sobrerol

(±)-α-pinene oxide
($C_{10}H_{16}O$; *95044-43-2*) see: Sobrerol

L-pipecolic acid
($C_6H_{11}NO_2$; *3105-95-1*) see: Ropivacaine hydrochloride

pipecolinic acid 2,6-xylidide
($C_{14}H_{20}N_2O$; *15883-20-2*) see: Bupivacaine; Mepivacaine

pipecolinoyl chloride
($C_6H_{10}ClNO$; *130606-00-7*) see: Bupivacaine

L-pipecoloyl chloride
($C_6H_{10}ClNO$) see: Ropivacaine hydrochloride

piperazine
($C_4H_{10}N_2$; *110-85-0*) see: Acefylline; Ciprofloxacin; Delavirdine mesilate; Doxazosin; Eprazinone; Eprozinol; Norfloxacin; Pipecuronium bromide; Pipemidic acid; Pipobroman; Piproxen; Quetiapine fumarate; Terazosin; Tirilazad mesilate; Zipeprol; Ziprasidone hydrochloride

piperazinoacetic acid pyrrolidide
($C_{10}H_{19}N_3O$; *39890-45-4*) see: Cinepazide

11-piperazinodibenzo[*b,f*][1,4]thiazepine
($C_{17}H_{17}N_3S$; *5747-48-8*) see: Quetiapine fumarate

6-piperazino-3,4-dihydro-2(1*H*)-quinolinone
($C_{13}H_{17}N_3O$; *87154-95-8*) see: Vesnarinone

2-piperazinoethanol
see under 1-(2-hydroxyethyl)piperazine

10-(3-piperazinopropyl)-10*H*-pyrido[3,2-*b*][1,4]benzothiazine
($C_{18}H_{22}N_4S$; *42351-33-7*) see: Oxypendyl

10-(3-piperazinopropyl)-2-trifluoromethylphenothiazine
($C_{20}H_{22}F_3N_3S$; *2804-16-2*) see: Fluphenazine; Oxaflumazine

2-(1-piperazinyl)ethanol
see under 1-(2-hydroxyethyl)piperazine

piperidine
($C_5H_{11}N$; *110-89-4*) see: Acrivastine; Benproperine; Bietamiverine; Biperidene; Cycrimine; Diperodon; Dipyridamole; Dyclonine; Eperisone; Etozolin; Ketotifen; Minoxidil; Pancuronium bromide; Pifoxime; Pipoxolan; Piprozolin; Pridinol; Primaperone; Pyrvinium embonate; Raloxifene hydrochloride; Repaglinide; Roxatidine acetate; Trihexyphenidyl; Vecuronium bromide

4-piperidineacetic acid
($C_7H_{13}NO_2$; *51052-78-9*) see: Indalpine

prajmalium hydroxide (aldehyde base)
($C_{23}H_{32}N_2O_2$) see: Prajmalium bitartrate

prasterone
see under androstenolone

precholecalciferol
($C_{27}H_{44}O$; *1173-13-3*) see: Colecalciferol

prednisolone
($C_{21}H_{28}O_5$; *50-24-8*) see: Loteprednol etabonate; Prednicarbate; Prednimustine; Prednisolamate; Prednisolone sodium phosphate; Prednisolone sodium succinate; Prednisolone sodium sulfobenzoate; Prednisolone steaglate; Prednisolone tebutate; Prednisolone 21-trimethylacetate; Prednival acetate

prednisolone-21-acetate
($C_{23}H_{30}O_6$; *52-21-1*) see: Dichlorisone

prednisolone 17,21-diethyl orthocarbonate
($C_{26}H_{36}O_7$; *26129-79-3*) see: Prednicarbate

prednisolone 17-ethylcarbonate
($C_{24}H_{32}O_7$; *104286-02-4*) see: Prednicarbate

prednisolone 21-phosphate (monosodium salt)
($C_{21}H_{28}NaO_8P$; *2681-16-5*) see: Prednisolone sodium phosphate

prednisolone 17-valerate
($C_{26}H_{36}O_6$; *15180-00-4*) see: Prednival acetate

prednylidene
($C_{22}H_{28}O_5$; *599-33-7*) see: Prednylidene diethylaminoacetate

preergocalciferol
($C_{28}H_{44}O$; *21307-05-1*) see: Ergocalciferol

(3β)-pregna-5,16,20-triene-3,20-diol 20-acetate 3-formate
($C_{24}H_{32}O_4$; *62490-12-4*) see: Desoxycortone acetate

pregnenolone
($C_{21}H_{32}O_2$; *145-13-1*) see: Desoxycortone acetate; Progesterone

pregnenolone acetate
($C_{23}H_{34}O_3$; *1778-02-5*) see: Prasterone

progesterone
($C_{21}H_{30}O_2$; *57-83-0*) see: Alfaxalone; Cortisone; Desoxycortone acetate; Hydrocortisone; Testolactone

proglumide
($C_{18}H_{26}N_2O_4$; *6620-60-6*) see: Proglumetacin

L(−)-prolinamide
($C_5H_{10}N_2O$; *7531-52-4*) see: Remoxipride

L-proline
($C_5H_9NO_2$; *147-85-3*) see: Captopril; Cetrorelix; Enalapril; Eptifibatide; Lisinopril

L-proline benzyl ester
($C_{12}H_{15}NO_2$; *41324-66-7*) see: Enalapril

L-proline benzyl ester hydrochloride
($C_{12}H_{16}ClNO_2$; *16652-71-4*) see: Lisinopril

L-proline *tert*-butyl ester
($C_9H_{17}NO_2$; *2812-46-6*) see: Captopril

L-Pro-L-Lys(Tos)-Gly-NH_2
($C_{20}H_{31}N_5O_5S$; *6697-01-4*) see: Felypressin

(*S*)-1,2-propanediamine
($C_3H_{10}N_2$; *15967-72-3*) see: Dexrazoxane

propanedioic acid mono[(4-nitrophenyl)methyl] ester magnesium salt
($C_{20}H_{16}MgN_2O_{12}$; *105995-50-4*) see: Meropenem

(*R*)-1,2-propanediol
($C_3H_8O_2$; *4254-14-2*) see: Levofloxacin

1,3-propanediol
($C_3H_8O_2$; *504-63-2*) see: Simfibrate

1,3-propanedithiol
($C_3H_8S_2$; *109-80-8*) see: Tacrolimus

4,4'-[1,3-propanediylbis(oxy)]bis[3-bromobenzonitrile]
($C_{17}H_{12}Br_2N_2O_2$; *93840-60-9*) see: Dibrompropamidine

propane sultone
($C_3H_6O_3S$; *1120-71-4*) see: Pyrantel; Sultroponium

propanoic anhydride
($C_6H_{10}O_3$; *123-62-6*) see: Alclometasone dipropionate; Alfentanil; Alphaprodine; Beclometasone; Dextropropoxyphene; Diethylstilbestrol dipropionate; Fentanyl; Propiram; Sulindac; Testosterone propionate

propanol
(C_3H_8O; *71-23-8*) see: Propiverine; Propyliodone

propargyl alcohol
(C_3H_4O; *107-19-7*) see: Spironolactone

propargyl bromide
(C_3H_3Br; *106-96-7*) see: Haloprogin; Pargyline; Parsalmide; Pinazepam; Selegiline

2-propenyl (3*S*,4*R*)-3-[(1*R*)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2-oxo-4-[(2*R*)-[(tetrahydro-2-furanyl)carbonyl]thio]-α-hydroxy-1-azetidineacetate
($C_{21}H_{35}NO_7SSi$) see: Faropenem sodium

[5*R*-[3(*R),5α,6α(*R**)]]-2-propenyl 6-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-7-oxo-3-(tetrahydro-2-furanyl)-4-thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate**
($C_{21}H_{33}NO_5SSi$; *120705-67-1*) see: Faropenem sodium

[[[(1*R*)-1-(2-propenyl)dodecyl]oxy]methyl]benzene
($C_{22}H_{36}O$; *152906-18-8*) see: Orlistat

9-(2-propenylidene)-2-(trifluoromethyl)-9*H*-thioxanthene
($C_{17}H_{11}F_3S$; *28973-34-4*) see: Flupentixol

9-(2-propenyl)-2-(trifluoromethyl)-9*H*-thioxanthen-9-ol
($C_{17}H_{13}F_3OS$) see: Flupentixol

Pro-Phe-O-CH_3
($C_{15}H_{20}N_2O_3$; *54793-80-5*) see: Angiotensinamide

propionaldehyde
(C_3H_6O; *123-38-6*) see: Amorolfine; Anethole; Ethiazide; Pramipexole hydrochloride; Proligestone; Retinol

propionaldehyde thiosemicarbazone
($C_4H_9N_3S$; *22042-87-1*) see: Sulfaethidole

propionic acid
($C_3H_6O_2$; *79-09-4*) see: Imiquimod

propionic anhydride
see under propanoic anhydride

propionimidoylphloroglucinol
($C_9H_{11}NO_3$; *109817-53-0*) see: Flopropione

propionitrile
(C_3H_5N; *107-12-0*) see: Flopropione

propionyl chloride
(C_3H_5ClO; *79-03-8*) see: Betamethasone butyrate propionate; Betamethasone dipropionate; Docarpamine; Erythromycin estolate; Erythromycin monopropionate mercaptosuccinate; Flavoxate; Fluticasone propionate; Naproxen; Prednicarbate; Quinethazone

2-propionyloxybenzoic acid
($C_{10}H_{10}O_4$; *6328-44-5*) see: Flavoxate

2-propionylphenothiazine
($C_{15}H_{11}NOS$; *92-33-1*) see: Carfenazine

3-propionylsalicylic acid
($C_{10}H_{10}O_4$; *35888-92-7*) see: Flavoxate

(4-pyridinylmethyl)lithium
(C_6H_6LiN; *26954-25-6*) see: Tirofiban hydrochloride
(4-pyridinylthio)acetyl chloride
(C_7H_6ClNOS; *52998-13-7*) see: Cefapirin
4(1*H*)-pyridone
(C_5H_5NO; *108-96-3*) see: Diodone; Propyliodone
pyridoxine
($C_8H_{11}NO_3$; *65-23-6*) see: Cicletanine; Pyridofylline
pyridoxine hydrochloride
($C_8H_{12}ClNO_3$; *58-56-0*) see: Pirisudanol
2-(3-pyridyl)acetic acid
($C_7H_7NO_2$; *501-81-5*) see: Risedronate sodium
3-pyridyl-D-alanine
($C_8H_{10}N_2O_2$; *70702-47-5*) see: Cetrorelix
α-2-pyridyl-2,8-bis(trifluoromethyl)-4-quinolinemethanol
($C_{17}H_{10}F_6N_2O$; *68496-04-8*) see: Mefloquine
***N*-(2-pyridyl)chloroacetamide**
($C_7H_7ClN_2O$; *5221-37-4*) see: Piroxicam
2-(4-pyridyl)-3-dimethylaminoacrolein
($C_{10}H_{12}N_2O$; *26806-49-9*) see: Amrinone
4-pyridyldiphenylcarbinol
($C_{18}H_{15}NO$; *1620-30-0*) see: Azacyclonol; Diphemanil metilsulfate
2-(2-pyridyl)ethanol
(C_7H_9NO; *103-74-2*) see: Betahistine
4-pyridyl isothiocyanate
($C_6H_4N_2S$; *76105-84-5*) see: Pinacidil
2-pyridyllithium
(C_5H_4LiN; *17624-36-1*) see: Mefloquine; Pirmenol hydrochloride
3-pyridyllithium
(C_5H_4LiN; *60573-68-4*) see: Zimeldine
2-pyridylmagnesium bromide
(C_5H_4BrMgN; *21970-13-8*) see: Mefloquine
4-pyridylmalonaldehyde
($C_8H_7NO_2$; *51076-46-1*) see: Amrinone
1-(4-pyridyl)-2-propanone
(C_8H_9NO; *6304-16-1*) see: Milrinone
1-(4-pyridyl)pyridinium chloride
($C_{10}H_9ClN_2$; *22752-98-3*) see: Diodone
4-pyridylthioacetic acid
($C_7H_7NO_2S$; *10351-19-6*) see: Cefapirin
***N*-(4-pyridyl)-*N'*-(1,2,2-trimethylpropyl)thiourea**
($C_{12}H_{19}N_3S$; *67027-06-9*) see: Pinacidil
***N*-[4-[(2-pyrimidinylamino)sulfonyl]phenyl]acetamide**
($C_{12}H_{12}N_4O_3S$; *127-74-2*) see: Sulfadiazine
1-(pyrimidin-2-yl)piperazine
($C_8H_{12}N_4$; *20980-22-7*) see: Buspirone; Tandospirone
4-[4-(pyrimidin-2-yl)piperazin-1-yl]butylamine
($C_{12}H_{21}N_5$; *33386-20-8*) see: Buspirone; Tandospirone
1-(2-pyrimidyl)-4-(4-aminobutyl)piperazine
see under 4-[4-(pyrimidin-2-yl)piperazin-1-yl]butylamine
4-(2-pyrimidyl)-1-(3-cyanopropyl)piperazine
($C_{12}H_{17}N_5$; *33386-14-0*) see: Buspirone
1-(2-pyrimidyl)piperazine
see under 1-(pyrimidin-2-yl)piperazine
pyrocatechol
see under catechol
pyrogallol
($C_6H_6O_3$; *87-66-1*) see: Exifone; Gallamine triethiodide; Methoxsalen
DL-pyroglutamic acid
($C_5H_7NO_3$; *149-87-1*) see: Arginine pidolate
4*H*-pyrone
($C_5H_4O_2$; *108-97-4*) see: Betazole
pyrrole
(C_4H_5N; *109-97-7*) see: Ketorolac
pyrrolidine
(C_4H_9N; *123-75-1*) see: Amixetrine; Bepridil; Buflomedil; Clemizole; Cortisone; Dextromoramide; Endralazine; Fendosal; Fluoxymesterone; Piromidic acid; Procyclidine; Prolintane; Propyramazine bromide; Pyrovalerone; Pyrrobutamine; Pyrrocaine; Ramosetron hydrochloride; Rocuronium bromide; Rolitetracycline; Tirilazad mesilate; Triprolidine; Vincamine
1-pyrrolidino-1-butene
($C_8H_{15}N$; *13937-89-8*) see: Vincamine
4-pyrrolidinobutyronitrile
($C_8H_{14}N_2$; *35543-25-0*) see: Buflomedil
(*RS*)-5-pyrrolidinocarbonyl-4,5,6,7-tetrahydro-1*H*-benzimidazole hydrochloride
($C_{12}H_{18}ClN_3O$; *132036-42-1*) see: Ramosetron hydrochloride
1-pyrrolidinocyclopentene
($C_9H_{15}N$; *7148-07-4*) see: Ramipril
2-pyrrolidino-3,4-dihydronaphthalene
($C_{14}H_{17}N$; *21403-95-2*) see: Fendosal
(2-pyrrolidinoethyl)triphenylphosphonium bromide
($C_{24}H_{27}BrNP$; *23072-03-9*) see: Acrivastine
3-pyrrolidinomethylrifamycin SV
($C_{42}H_{56}N_2O_{12}$; *4075-42-7*) see: Rifampicin
3-pyrrolidinopropiophenone
($C_{13}H_{17}NO$; *94-39-3*) see: Procyclidine; Pyrrobutamine
4-pyrrolidinopyridine
($C_9H_{12}N_2$; *2456-81-7*) see: Simvastatin
1-pyrrolidino-3-(4-tolyl)propan-3-one
see under 4'-methyl-3-pyrrolidinopropiophenone
3-(1-pyrrolidinyl)pregna-3,5,17(20)-triene-11β,21-diol
($C_{25}H_{37}NO_2$; *115486-29-8*) see: Cortisone
2-pyrrolidone
(C_4H_7NO; *616-45-5*) see: Aniracetam; Piracetam; Pramiracetam hydrochloride
pyruvaldehyde
($C_3H_4O_2$; *78-98-8*) see: Folic acid
pyrvinium iodide
($C_{26}H_{28}IN_3$; *35648-29-4*) see: Pyrvinium embonate

Q

quinaldic acid
($C_{10}H_7NO_2$; *93-10-7*) see: Saquinavir
(–)-quinic acid
($C_7H_{12}O_6$; *77-95-2*) see: Oseltamivir
quinic acid γ-lactone
($C_7H_{10}O_5$; *27783-00-2*) see: Cynarine
quinine
($C_{20}H_{24}N_2O_2$; *130-95-0*) see: Quinidine

silver dihydrogen phosphate
(AgH_2O_4P; *18725-91-2*) see: Betamethasone phosphate

silver nitrate
($AgNO_3$; *7761-88-8*) see: Carboplatin

silver sulfate
(Ag_2O_4S; *10294-26-5*) see: Carboplatin

sisomicin
($C_{19}H_{37}N_5O_7$; *32385-11-8*) see: Netilmicin

sodium acetate
($C_2H_3NaO_2$; *127-09-3*) see: α-Acetyldigoxin; Dextrothyroxine; Fluazacort; Fluprednidene acetate; Pioglitazone; Pyrrocaine

sodium acetoacetic acid ethyl ester
($C_6H_9NaO_3$; *19232-39-4*) see: Pentoxifylline

sodium acetylide (Na(C2H))
(C_2HNa; *1066-26-8*) see: Retinol

sodium amide
(H_2NNa; *7782-92-5*) see: Milnacipran hydrochloride

sodium 5-(3-aminopropyl)-4,6-dihydroxy-1,3,2,4,6-dioxatriphosphorinan-5-olate 2,4,6-trioxide
($C_4H_{11}NNaO_9P_3$) see: Alendronate sodium

sodium azide
(N_3Na; *26628-22-8*) see: Alfentanil; Azosemide; Benazepril; Docetaxel; Fluazacort; Imiquimod; Irbesartan; Midodrine; Oseltamivir; Paclitaxel; Pemirolast; Pranlukast; Tazanolast; Tranylcypromine; Zanamivir; Zidovudine

sodium benzenesulfinate
($C_6H_5NaO_2S$; *873-55-2*) see: Betacarotene; Retinol

sodium 1,2-benzisoxazole-3-methanesulfonate
($C_8H_6NNaO_4S$; *73101-64-1*) see: Zonisamide

sodium benzoate
($C_7H_5NaO_2$; *532-32-1*) see: Benzyl benzoate; Flavoxate; Stavudine

sodium chloroacetate
($C_2H_2ClNaO_2$; *3926-62-3*) see: Betaine hydrate

sodium 2-(4-chlorophenoxy)-2-methylpropionate
($C_{10}H_{10}ClNaO_3$; *7314-47-8*) see: Ronifibrate

sodium cyanate
(CNNaO; *917-61-3*) see: Carisoprodol; Hydroxycarbamide; Orotic acid; Prazosin

sodium cyanide
(CNNa; *143-33-9*) see: Alclofenac; Alpidem; Amphetaminil; Atorvastatin calcium; Azatadine; Calcium pantothenate; Carnitine; Clopidogrel hydrogensulfate; Clortermine; Dexrazoxane; Diclofenac; Diloxanide; Edetic acid; Epinastine hydrochloride; Ethosuximide; Ethotoin; Fenoprofen; Ibuprofen; Irbesartan; Ketoprofen; Lonazolac; Mephenytoin; Mepindolol; Montelukast sodium; Nabilone; Oxymetazoline; Paramethadione; Pentorex; Saquinavir; Suprofen; Thiamphenicol; Tolmetin; Trimazosin; Zolpidem

sodium cyanoborohydride
(CH_3BNNa; *25895-60-7*) see: Fluoxetine; Netilmicin; Rizatriptan benzoate

sodium 2,6-dimethylphenolate
(C_8H_9NaO; *16081-16-6*) see: Mexiletine

sodium ethylate
(C_2H_5NaO; *141-52-6*) see: Azelastine; Emorfazone; Methyprylon; Oseltamivir; Pentobarbital; Promestriene; Propallylonal; Protionamide

sodium 2-ethylhexanoate
($C_8H_{15}NaO_2$; *19766-89-3*) see: Faropenem sodium

sodium formaldehydesulfoxylate
(CH_3NaO_3S; *149-44-0*) see: Sulfoxone sodium

sodium formate
($CHNaO_2$; *141-53-7*) see: D-Penicillamine

sodium [2*S*-(2*R,3*R**,5*S**)]-2-hexyl-5-hydroxy-3-(phenylmethoxy)hexadecanoate**
($C_{29}H_{49}NaO_4$) see: Orlistat

sodium 3-hydroxy-2-naphthoate
($C_{11}H_7NaO_3$; *14206-62-3*) see: Bephenium hydroxynaphthoate

sodium D(−)-α-(4-hydroxyphenyl)-α-(2-methoxycarbonyl-1-methylethenylamino)acetate
see under DANE salt

sodium methylate
(CH_3NaO; *124-41-4*) see: Atorvastatin calcium; Brinzolamide; Ciprofloxacin; Cisapride; Dextrothyroxine; Epirizole; Hydroxyprogesterone; Metaclazepam; Moxifloxacin hydrochloride; Moxonidine; Oxcarbazepine; Pantoprazole sodium; Sulfadimethoxine; Sulfalene; Sulfamethoxypyridazine; Tacrolimus; Vincamine

sodium 3,4-(methylenedioxy)phenolate
($C_7H_5NaO_3$; *51114-03-5*) see: Paroxetine

sodium 4-nitrophenolate
($C_6H_4NNaO_3$; *824-78-2*) see: Dofetilide; Tiocarlide

sodium orotate
($C_5H_3N_2NaO_4$; *154-85-8*) see: Orazamide

sodium phenolate
(C_6H_5NaO; *139-02-6*) see: Bephenium hydroxynaphthoate; Bumetanide; Fomocaine; Salicylic acid

sodium phenylacetate
see under phenylacetic acid sodium salt

sodium phenylmercaptide
(C_6H_5NaS; *930-69-8*) see: Oxfendazole

sodium phenylsulfinate
see under sodium benzenesulfinate

sodium phosphite
(HNa_2O_3P; *13708-85-5*) see: Fosinopril

sodium pyruvate
($C_3H_3NaO_3$; *113-24-6*) see: Flurbiprofen

sodium salicylate
see under salicylic acid sodium salt

sodium 3-sulfobenzoate
($C_7H_5NaO_5S$; *17625-03-5*) see: Prednisolone sodium sulfobenzoate

sodium *S*-tetrahydrofurfuryl thiosulfate
($C_5H_9NaO_4S_2$; *77339-73-2*) see: Fursultiamine

sodium 1,2,4-triazolide
($C_2H_2N_3Na$; *41253-21-8*) see: Anastrozole; Rizatriptan benzoate

D-sorbitol
($C_6H_{14}O_6$; *50-70-4*) see: Ascorbic acid; Isosorbide dinitrate

L-sorbose
($C_6H_{12}O_6$; *87-79-6*) see: Ascorbic acid

stearic acid
($C_{18}H_{36}O_2$; *57-11-4*) see: Choline stearate

stearoyl chloride
($C_{18}H_{35}ClO$; *112-76-5*) see: Erythromycin stearate

stearoylglycoloyl chloride
($C_{20}H_{37}ClO_3$; *7454-39-9*) see: Prednisolone steaglate

***N*-(stearoyloxy)-5-norbornene-2,3-dicarboximide**
($C_{27}H_{43}NO_4$; *77290-17-6*) see: Romurtide

sulfur trioxide-2-picoline complex
($C_6H_7NO_3S$; *18370-14-4*) see: Carumonam

T

(–)-tabersonine
($C_{21}H_{24}N_2O_2$; *4429-63-4*) see: Vincamine
***cis,trans*-tamoxifen**
($C_{26}H_{29}NO$; *7728-73-6*) see: Tamoxifen
L-tartaric acid
($C_4H_6O_6$; *87-69-4*) see: Detajmium bitartrate; Prajmalium bitartrate; Selegiline
testosterone
($C_{19}H_{28}O_2$; *58-22-0*) see: Drostanolone; Testosterone cypionate; Testosterone enanthate; Testosterone propionate; Trilostane
2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranose
($C_{14}H_{20}O_{10}$; *3947-62-4*) see: Teniposide
***S*-(2,3,4,6-tetra-*O*-acetyl-β-D-glucopyranosyl)thiuronium bromide**
($C_{15}H_{23}BrN_2O_9S$; *40591-65-9*) see: Auranofin
1,2,3,5-tetra-*O*-acetyl-β-D-ribofuranose
($C_{13}H_{18}O_9$; *13035-61-5*) see: Azacitidine; Ribavirin
2,2',4,4'-tetraaminodiphenylmethane
($C_{13}H_{16}N_4$; *181189-62-8*) see: Acriflavinium chloride
2,4,5,6-tetraaminopyrimidine
($C_4H_8N_6$; *1004-74-6*) see: Fludarabine phosphate; Methotrexate
2,4,5,6-tetraaminopyrimidine dihydrobromide
($C_4H_{10}Br_2N_6$; *158754-80-4*) see: Methotrexate
tetrabromopyrocatechol
($C_6H_2Br_4O_2$; *488-47-1*) see: Bibrocathol
tetrabutylammonium (3*S*,4*S*)-3-(benzyloxycarbonylamino)-4-hydroxymethyl-2-oxoazetidine-1-sulfonate
($C_{28}H_{49}N_3O_7S$; *92973-33-6*) see: Carumonam
tetrabutylammonium hydrogen sulfate
($C_{16}H_{37}NO_4S$; *32503-27-8*) see: Aztreonam; Carumonam
tetrachloromethane
(CCl_4; *56-23-5*) see: Tienilic acid
2,4,6,8-tetrachloropyrimido[5,4-*d*]pyrimidine
($C_6Cl_4N_4$; *32980-71-5*) see: Dipyridamole
tetracycline
($C_{22}H_{24}N_2O_8$; *60-54-8*) see: Lymecycline; Penimepicycline; Rolitetracycline
2,3,7,8-tetradeoxy-2,8-dimethyl-4,6-di-*O*-methyl-L-*glycero*-L-*manno*-nonaric acid dimethyl ester
($C_{15}H_{28}O_7$; *118299-02-8*) see: Tacrolimus
2,3,7,8-tetradeoxy-2,8-dimethyl-4,6-di-*O*-methyl-L-*glycero*-L-*talo*-nonuronic acid δ-lactone cyclic 1-(1,3-propanediyl dithioacetal)
($C_{16}H_{28}O_4S_2$; *118227-57-9*) see: Tacrolimus
2,3,7,8-tetradeoxy-5-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-L-*arabino*-nonanoic acid di-γ-lactone
($C_{15}H_{26}O_5Si$) see: Tacrolimus
2,3,7,8-tetradeoxy-2,8-dimethyl-5-*O*-(phenylmethyl)-L-*glycero*-L-*manno*-nonaric acid di-γ-lactone
($C_{18}H_{22}O_5$; *118246-95-0*) see: Tacrolimus
tetraethyl (cycloheptylamino)methylenebis(phosphonate)
($C_{16}H_{35}NO_6P_2$; *124351-81-1*) see: Incadronic acid
tetraethylene glycol
($C_8H_{18}O_5$; *112-60-7*) see: Iotroxic acid
tetraethyl orthocarbonate
see under ethyl orthocarbonate
2,3,4,5-tetrafluorobenzoyl chloride
(C_7HClF_4O; *94695-48-4*) see: Levofloxacin; Rufloxacin hydrochloride
(*S*)-2,3,4,5-tetrafluoro-α-[[(2-hydroxy-1-methylethyl)amino]methylene]-β-oxobenzenepropanoic acid ethyl ester
($C_{15}H_{15}F_4NO_4$; *110548-02-2*) see: Levofloxacin
1,2,3,4-tetrahydroacridine
($C_{13}H_{13}N$; *3295-64-5*) see: Tacrine
1,2,3,4-tetrahydro-9-acridinecarboxamide
($C_{14}H_{14}N_2O$; *42878-53-5*) see: Tacrine
1,2,3,4-tetrahydroacridine *N*-oxide
($C_{13}H_{13}NO$; *24403-51-8*) see: Tacrine
1,2,3,4-tetrahydro-9-acridone
($C_{13}H_{13}NO$; *13161-85-8*) see: Tacrine
2,3,4,5-tetrahydro-1*H*-benzazepin-2-one
($C_{10}H_{11}NO$; *4424-80-0*) see: Benazepril
(*RS*)-4,5,6,7-tetrahydro-1*H*-benzimidazole-5-carboxylic acid
($C_8H_{10}N_2O_2$; *26751-24-6*) see: Ramosetron hydrochloride
(3a*S*-*cis*)-tetrahydro-1,3-bis(phenylmethyl)-1*H*-furo[3,4-*d*]imidazole-2,4-dione
($C_{19}H_{18}N_2O_3$; *28092-62-8*) see: Biotin
1,2,4,9-tetrahydrocarbazol-3-one
($C_{12}H_{11}NO$; *51145-61-0*) see: Ramatroban
(4a*R*-*cis*)-4,4a,5,7a-tetrahydrocyclopenta-1,3-dioxin-2-one
($C_7H_8O_3$; *159418-20-9*) see: Abacavir
(3a*S*,8a*R*)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[2(*S*)-benzyl-5-[4-(*tert*-butoxycarbonyl)-2(*S*)-(*tert*-butylcarbamoyl)-piperazino]-4(*R*)-hydroxyvaleryl]-2*H*-indeno[1,2-*d*]oxazole
($C_{38}H_{54}N_4O_6$; *166740-50-7*) see: Indinavir sulfate
(3a*S*,8a*R*)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[2(*S*)-benzyl-5-[2(*S*)-(*tert*-butylcarbamoyl)piperazino]-4(*R*)-hydroxyvaleryl]-2*H*-indeno[1,2-*d*]oxazole
($C_{33}H_{46}N_4O_4$; *182950-24-9*) see: Indinavir sulfate
(3a*S*,8a*R*)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[(2*S*)-2-benzyl-4-pentenoyl]-2*H*-indeno[1,2-*d*]oxazole
($C_{24}H_{27}NO_2$; *150323-06-1*) see: Indinavir sulfate
[3a*S*-[3[*S(*R**)],3aα,8aα]]-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-[2-(oxiranylmethyl)-1-oxo-3-phenylpropyl]-2*H*-indeno[1,2-*d*]oxazole**
($C_{24}H_{27}NO_3$; *158512-24-4*) see: Indinavir sulfate
(3a*S*,8a*R*)-3,3a,8,8a-tetrahydro-2,2-dimethyl-3-(3-phenylpropionyl)-2*H*-indeno[1,2-*d*]oxazole
($C_{21}H_{23}NO_2$; *141018-37-3*) see: Indinavir sulfate
9,10,11,12-tetrahydro-9,10-[4,5][1,3]dioxoloanthracen-14-one
($C_{17}H_{12}O_3$; *5675-70-7*) see: Bisantrene
(*R*)-(+)-tetrahydrofuran-2-carboxylic acid
($C_5H_8O_3$; *87392-05-0*) see: Faropenem sodium
(±)-tetrahydrofuran-2-carboxylic acid
($C_5H_8O_3$; *16874-33-2*) see: Alfuzosin; Faropenem sodium
tetrahydro-2-furancarboxylic acid anhydride with ethyl hydrogen carbonate
($C_8H_{12}O_5$; *167391-50-6*) see: Alfuzosin

(3'a*S*,4'*R*,5'*R*,6'a*R*)-5'-[(tetrahydro-2*H*-pyran-2-yl)oxy]-4'-[(1*E*,3*S*)-4-methyl-3-[(tetrahydro-2*H*-pyran-2-yl)oxy]-1-octen-6-ynyl]-spiro[1,3-dioxolan-2,2'-[1*H*]pentalene]
($C_{29}H_{44}O_6$) see: Iloprost

[3*R*-(3*R,6*Z*)]-3-[(tetrahydro-2*H*-pyran-2-yl)oxy]-6-tetradecenal**
($C_{19}H_{34}O_3$; *108051-90-7*) see: Orlistat

1-(1,2,3,6-tetrahydro-4-pyridyl)-2-benzimidazolinone
($C_{12}H_{13}N_3O$; *2147-83-3*) see: Droperidol

2,3,5,6-tetrahydro-1*H*-pyrrolizine
($C_7H_{11}N$; *20463-30-3*) see: Pilsicainide

4,6,7,8-tetrahydro-2,5(1*H*,3*H*)-quinolinedione
($C_9H_{11}NO_2$; *5057-12-5*) see: Carteolol

[8*S*-[8α(*S),10α]]-7,8,9,10-tetrahydro-6,8,10,11-tetrahydroxy-1-methoxy-8-(4-methoxy-2,2-dimethyl-1,3-dioxolan-4-yl)-5,12-naphthacenedione**
($C_{25}H_{26}O_{10}$; *56354-10-0*) see: Epirubicin

2,3,4,9-tetrahydro-*N,N,N*,9-tetramethyl-4-oxo-1*H*-carbazole-3-methanaminium iodide
($C_{17}H_{23}IN_2O$; *99614-63-8*) see: Ondansetron

4,5,6,7-tetrahydrothieno[3,2-*c*]pyridine
(C_7H_9NS; *54903-50-3*) see: Clopidogrel hydrogensulfate; Ticlopidine

1,4,5,8-tetrahydroxyanthraquinone
see under leuco-1,4,5,8-tetrahydroxyanthraquinone

(5α,6β,11β)-5,11,17,21-tetrahydroxy-6-methylpregnane-3,20-dione
($C_{22}H_{34}O_6$; *76338-56-2*) see: Methylprednisolone

3β,11α,17,21-tetrahydroxy-5α-pregnan-20-one 21-acetate
($C_{23}H_{36}O_6$; *104068-20-4*) see: Halopredone diacetate

2,4,6,8-tetrahydroxypyrimido[5,4-*d*]pyrimidine
($C_6H_4N_4O_4$; *6713-54-8*) see: Dipyridamole

tetraisopropyl (4-chlorophenylthio)methylenediphosphonate
($C_{19}H_{33}ClO_6P_2S$; *89987-31-5*) see: Tiludronate disodium

tetraisopropyl methylenediphosphonate
($C_{13}H_{30}O_6P_2$; *1660-95-3*) see: Clodronate disodium; Tiludronate disodium

(*S*)-*N,N,N',N'*-tetrakis(cyanomethyl)-1,2-propanediamine
($C_{11}H_{14}N_6$) see: Dexrazoxane

2-tetralone
($C_{10}H_{10}O$; *530-93-8*) see: Fendosal

tetramethyl 2-butene-1,4-diylbisphosphonate
($C_8H_{18}O_6P_2$; *3858-16-0*) see: Betacarotene

4-(1,1,3,3-tetramethylbutyl)phenol
($C_{14}H_{22}O$; *140-66-9*) see: Benzethonium chloride; Clofoctol; Tyloxapol

2-[2-[4-(1,1,3,3-tetramethylbutyl)phenoxy]ethoxy]ethyl chloride
($C_{18}H_{29}ClO_2$; *65925-28-2*) see: Benzethonium chloride

γ,2,6,6-tetramethyl-1-cyclohexene-1-sorbaldehyde diethyl acetal
($C_{20}H_{34}O_2$; *99711-43-0*) see: Betacarotene

***N,N,N',N'*-tetramethylhexamethylenediamine**
see under 1,6-bis(dimethylamino)hexane

***N,N,N',N'*-tetramethylmethanediammine**
($C_5H_{14}N_2$; *51-80-9*) see: Topotecan

***N,N,N*,1-tetramethyl-1*H*-pyrrole-2-methanaminium iodide**
($C_9H_{17}IN_2$; *54828-80-7*) see: Tolmetin

DL-2,2,5,5-tetramethylthiazolidine-4-carboxylic acid
($C_8H_{15}NO_2S$; *58131-62-7*) see: D-Penicillamine

4,7,10,13-tetraoxahexadecanedinitrile
($C_{12}H_{20}N_2O_4$; *57741-46-5*) see: Iodoxamic acid

4,7,10,13-tetraoxahexadecanedioyl chloride
($C_{12}H_{20}Cl_2O_6$; *31127-86-3*) see: Iodoxamic acid

tetraphosphorus hexaoxide
(O_6P_4; *12440-00-5*) see: Etidronic acid

1*H*-tetrazol-5-carboxylic acid 2-acetyl-6-(acetylamino)-phenyl ester
($C_{12}H_{11}N_5O_4$) see: Pranlukast

tetrazole-1-acetic acid
($C_3H_4N_4O_2$; *21732-17-2*) see: Cefazolin; Ceftezole

tetrazolo[1,5-*a*]quinolin-5-ol
($C_9H_6N_4O$; *77177-27-6*) see: Imiquimod

3-(5-tetrazolyl)aniline
($C_7H_7N_5$; *73732-51-1*) see: Tazanolast

thebaine
($C_{19}H_{21}NO_3$; *115-37-7*) see: Buprenorphine; Oxymorphone

thenium iodide
($C_{15}H_{20}INOS$; *109732-56-1*) see: Thenium closilate

2-thenoyl chloride
(C_5H_3ClOS; *5271-67-0*) see: Stepronin; Suprofen; Tenonitrozole; Tienilic acid

2-(2-thenoylthio)propionic acid
($C_8H_8O_3S_2$; *81466-67-3*) see: Stepronin

2-thenylamine
(C_5H_7NS; *27757-85-3*) see: Azosemide; Thenium closilate

3-thenyl bromide
(C_5H_5BrS; *34846-44-1*) see: Thenyldiamine

2-thenyl chloride
(C_5H_5ClS; *765-50-4*) see: Methapyrilene; Thenalidine; Thenium closilate

theobromine
($C_7H_8N_4O_2$; *83-67-0*) see: Pentifylline; Protheobromine

theobromine sodium salt
($C_7H_7N_4NaO_2$; *1010-59-9*) see: Pentoxifylline

theophylline
($C_7H_8N_4O_2$; *58-55-9*) see: Acefylline; Cafedrine; Caffeine; Choline theophyllinate; Diprophylline; Doxofylline; Etamiphylline; Etofylline; Lomifylline; Pimefylline; Proxyphylline; Reproterol; Theophylline ethylenediamine; Xantinol nicotinate

theophylline-7-acetaldehyde
($C_9H_{10}N_4O_3$; *5614-53-9*) see: Doxofylline

***O*-[2-(7-theophyllinyl)ethyl] hydrogen sulfate**
($C_9H_{12}N_4O_6S$; *53403-96-6*) see: Pyridofylline

thevetin A
($C_{42}H_{64}O_{19}$; *37933-66-7*) see: Peruvoside

thiamine
($C_{12}H_{17}ClN_4OS$; *59-43-8*) see: Acetiamine; Benfotiamine; Bentiamine; Bisbentiamine; Cocarboxylase; Fursultiamine; Midoriamin

thiamine bromide
($C_{12}H_{17}BrN_4OS$; *7019-71-8*) see: Thiamine

thiamine chloride
($C_{12}H_{18}Cl_2N_4OS$; *67-03-8*) see: Octotiamine

thiamine disulfide
($C_{24}H_{34}N_8O_4S_2$; *67-16-3*) see: Bisbentiamine

thiophosgene
(CCl_2S; *463-71-8*) see: Tizanidine; Tolnaftate

thiophosphoryl chloride
(Cl_3PS; *3982-91-0*) see: Thiotepa

thiosalicylic acid
($C_7H_6O_2S$; *147-93-3*) see: Chlorprothixene; Thiomersal

thiosemicarbazide
(CH_5N_3S; *79-19-6*) see: Ambazone; Guanoxabenz

thiourea
(CH_4N_2S; *62-56-6*) see: Adrafinil; Amiphenazole; Auranofin; Brinzolamide; Captodiame; Cefixime; Cefmenoxime; Cefotaxime; Ceftazidime; Ceftriaxone; Dipyridamole; Famotidine; Levamisole; Mesna; Methylthiouracil; Modafinil; Pioglitazone; Pramipexole hydrochloride; Propylthiouracil; Talipexole; Thiamylal; Thiopental; Troglitazone

"thiovandid"
($C_{12}H_{17}NO_2S$; *24115-07-9*) see: Etamivan

thioxanthene
($C_{13}H_{10}S$; *261-31-4*) see: Metixene; Tiotixene

9*H*-thioxanthene-2-sulfonic acid
($C_{12}H_{10}O_3S_2$) see: Tiotixene

2-thioxo-1,3-dithiolane
($C_3H_4S_3$; *822-38-8*) see: Malotilate

2-thioxo-1,3-dithiole-4,5-dicarboxylic acid
($C_5H_2O_4S_3$; *1008-62-4*) see: Malotilate

threo-ethyl 2-hydroxy-3-amino-3-phenylpropionate
see under ethyl *threo*-3-amino-2-hydroxy-3-phenylpropionate

(±)-threo-methyl 2-hydroxy-3-(2-aminophenylthio)-3-(4-methoxyphenyl)propionate
($C_{17}H_{19}NO_4S$; *84645-12-5*) see: Diltiazem

DL-threo-3-(4-methylsulfonylphenyl)serine
($C_{10}H_{13}NO_5S$; *31925-26-5*) see: Thiamphenicol

D-threo-3-(4-methylsulfonylphenyl)serine ethyl ester
($C_{12}H_{17}NO_5S$; *31925-29-8*) see: Thiamphenicol

L-threoninamide
($C_4H_{10}N_2O_2$; *49705-99-9*) see: Aztreonam

D-threonine
($C_4H_9NO_3$; *632-20-2*) see: Cefbuperazone

L-threonine
($C_4H_9NO_3$; *72-19-5*) see: Aztreonam

L-threonine methyl ester hydrochloride
($C_5H_{12}ClNO_3$; *39994-75-7*) see: Aztreonam

thymidine
($C_{10}H_{14}N_2O_5$; *50-89-5*) see: Stavudine; Zidovudine

thymine
($C_5H_6N_2O_2$; *65-71-4*) see: Stavudine

thymol
($C_{10}H_{14}O$; *89-83-8*) see: (−)-Menthol; Moxisylyte

"*cis,trans*-tilidine"
($C_{17}H_{23}NO_2$; *17243-69-5*) see: Tilidine

tinazoline hydriodide
($C_{11}H_{12}IN_3S$; *55107-59-0*) see: Tinazoline hydrochloride

γ-tocopherol
($C_{28}H_{48}O_2$; *54-28-4*) see: α-Tocopherol

toluene
(C_7H_8; *108-88-3*) see: Saccharin

***p*-toluenesulfamide sodium salt**
($C_7H_8NNaO_2S$; *18522-92-4*) see: Nitrazepam; Tolbutamide

***p*-toluenesulfochloride**
($C_7H_7ClO_2S$; *98-59-9*) see: Benproperine; Brinzolamide; Carzenide; Cefoxitin; Diazepam; Flurotyl; Fosinopril; Gusperimus trihydrochloride; Idarubicin; Idoxuridine; Indeloxacine; Levocabastine; Mazindol; Medazepam; Mibefradil hydrochloride; Nemonapride; Pioglitazone; Prenalterol; Ropinirole; Tinidazole; Tolterodine

***p*-toluenesulfonamide**
($C_7H_9NO_2S$; *70-55-3*) see: Carzenide; Tolazamide

2-toluenesulfonamide
($C_7H_9NO_2S$; *88-19-7*) see: Saccharin; Zafirlukast

***p*-toluenesulfonamide sodium salt**
see under *p*-toluenesulfamide sodium salt

***p*-toluenesulfonic acid**
($C_7H_8O_3S$; *104-15-4*) see: Cefaclor; Ganciclovir; Perindopril

***p*-toluenesulfonic acid methyl ester**
see under methyl 4-toluenesulfonate

***p*-toluenesulfonyl chloride**
see under *p*-toluenesulfochloride

2-toluenesulfonyl chloride
($C_7H_7ClO_2S$; *133-59-5*) see: Saccharin

(2*R*)-2-(*p*-toluenesulfonyloxy)propionyl chloride
($C_{10}H_{11}ClO_4S$; *88081-65-6*) see: Imidapril

3-(*p*-toluenesulfonyloxy)propyl chloride
($C_{10}H_{13}ClO_3S$; *632-02-0*) see: Periciazine; Pipotiazine

(*S*)-*N*-(*p*-toluenesulfonyl)proline chloride
($C_{12}H_{14}ClNO_3S$; *54731-09-8*) see: Levofloxacin

***m*-toluidine**
(C_7H_9N; *108-44-1*) see: Toloxatone; Torasemide

***o*-toluidine**
(C_7H_9N; *95-53-4*) see: Afloqualone; Methaqualone; Metolazone; Prilocaine

3-(*m*-toluidino)-1,2-propanediol
($C_{10}H_{15}NO_2$; *42902-52-3*) see: Toloxatone

***p*-tolunitrile**
(C_8H_7N; *104-85-8*) see: Acrivastine; Tranexamic acid

***p*-toluoyl chloride**
see under *p*-methylbenzoyl chloride

(*E*)-3-[6-(*p*-toluoyl)-2-pyridinyl]acrylic acid
($C_{16}H_{13}NO_3$; *94094-27-6*) see: Acrivastine

6-[2-(*p*-tolyl)-1,3-dioxol-2-yl]pyridine-2-carboxaldehyde
($C_{16}H_{15}NO_3$; *87848-97-3*) see: Acrivastine

4-tolylmagnesium bromide
(C_7H_7BrMg; *4294-57-9*) see: Losartan potassium; Tolpropamine

***S*-tolylmethyl-L-cysteine**
($C_{11}H_{15}NO_2S$) see: Eptifibatide

1-(*o*-tolyl)piperazine
($C_{11}H_{16}N_2$; *39512-51-1*) see: Dapiprazole

3-[4-(*o*-tolyl)-1-piperazinyl]propionic acid hydrazide
($C_{14}H_{22}N_4O$; *72822-10-7*) see: Dapiprazole

4-(*p*-tolyl)-1,2,3,6-tetrahydropyridine
($C_{12}H_{15}N$; *59084-09-2*) see: Moperone

Tos-Cl
see under *p*-toluenesulfochloride

***O*-tosyl-3-(*tert*-butoxycarbonylamino)-1-propanol**
($C_{15}H_{23}NO_5S$; *80909-96-2*) see: Gusperimus trihydrochloride

2,3,6-trideoxy-1,4-di-*O*-(trifluoroacetyl)-3-[(trifluoroacetyl)amino]-α-L-*arabino*-hexopyranose
($C_{12}H_{10}F_9NO_6$) see: Epirubicin

2,3,6-trideoxy-3-trifluoroacetamido-4-*O*-trifluoroacetyl-α-L-*arabino*-hexopyranosyl chloride
($C_{10}H_{10}ClF_6NO_4$; *56354-09-7*) see: Epirubicin

2,3,6-trideoxy-3-trifluoroacetamido-4-*O*-trifluoroacetyl-α-L-*lyxo*-hexopyranosyl chloride
($C_{10}H_{10}ClF_6NO_4$; *57785-90-7*) see: Idarubicin

2,3,6-trideoxy-3-[(trifluoroacetyl)amino]-α-L-*arabino*-hexopyranose
($C_8H_{12}F_3NO_4$; *56354-08-6*) see: Epirubicin

triethanolamine
($C_6H_{15}NO_3$; *102-71-6*) see: Trolnitrate

triethoxymethane
see under ethyl orthoformate

4,5,6-triethoxy-7-nitro-3-(5,6,7,8-tetrahydro-4-methoxy-6-methyl-1,3-dioxolo[4,5-*g*]isoquinolin-5-yl)-1(3*H*)-isobenzofuranone
($C_{26}H_{30}N_2O_{10}$; *4973-70-0*) see: Tritoqualine

triethylaluminum
($C_6H_{15}Al$; *97-93-8*) see: Ibuprofen

triethylamine
($C_6H_{15}N$; *121-44-8*) see: Acrivastine; Carindacillin; Docetaxel

triethylammonium acetate
($C_8H_{19}NO_2$; *5204-74-0*) see: Deflazacort

triethylene glycol
($C_6H_{14}O_4$; *112-27-6*) see: Iodoxamic acid

triethylene glycol monochlorohydrin
($C_6H_{13}ClO_3$; *5197-62-6*) see: Etodroxizine

triethyl[[1-methyl-1-(2-propynyl)pentyl]oxy]silane
($C_{15}H_{30}OSi$; *58682-77-2*) see: Misoprostol

triethyl orthoacetate
see under orthoacetic acid triethyl ester

triethyl orthoformate
see under ethyl orthoformate

triethyl orthopropionate
($C_9H_{20}O_3$; *115-80-0*) see: Alclometasone dipropionate; Betamethasone dipropionate

triethyl phosphate
($C_6H_{15}O_4P$; *78-40-0*) see: Fludarabine phosphate

triethyl phosphite
($C_6H_{15}O_3P$; *122-52-1*) see: Foscarnet sodium; Gestrinone

7-*O*-triethylsilylbaccatin III
($C_{37}H_{52}O_{11}Si$; *115437-21-3*) see: Paclitaxel

4-triethylsilyl-3-butyn-1-ol triethylsilyl ether
($C_{16}H_{34}OSi_2$; *160194-28-5*) see: Rizatriptan benzoate

triethylsilyl chloride
($C_6H_{15}ClSi$; *994-30-9*) see: Misoprostol; Paclitaxel; Rizatriptan benzoate

7-*O*-triethylsilyl-10-deacetylbaccatin III
($C_{35}H_{50}O_{10}Si$; *115437-18-8*) see: Paclitaxel

[(triethylsilyl)oxy]acetic acid ethyl ester
($C_{10}H_{22}O_3Si$) see: Paclitaxel

***cis*-3-(triethylsilyloxy)-4-phenyl-2-azetidinone**
($C_{15}H_{23}NO_2Si$) see: Paclitaxel

trifluoroacetic anhydride
($C_4F_6O_3$; *407-25-0*) see: Dofetilide; Dolasetron mesilate; Epirubicin; Imiquimod; Vinorelbine

1,1,1-trifluoroacetone
($C_3H_3F_3O$; *421-50-1*) see: Mefloquine

N^6-trifluoroacetyl-N^2-carboxy-L-lysine anhydride
($C_9H_{11}F_3N_2O_4$; *42267-27-6*) see: Lisinopril

N^6-(trifluoroacetyl)-L-lysine
($C_8H_{13}F_3N_2O_3$; *10009-20-8*) see: Lisinopril

N^6-(trifluoroacetyl)-L-lysyl-L-proline
($C_{13}H_{20}F_3N_3O_4$; *103300-89-6*) see: Lisinopril

2,3,4-trifluoroaniline
($C_6H_4F_3N$; *3862-73-5*) see: Lomefloxacin

2,2,2-trifluoroethanol
($C_2H_3F_3O$; *75-89-8*) see: Flurotyl; Fluroxene; Isoflurane; Lansoprazole

2,2,2-trifluoroethanol 4-methylbenzenesulfonate
($C_9H_9F_3O_3S$; *433-06-7*) see: Flurotyl

2,2,2-trifluoroethanol potassium salt
($C_2H_2F_3KO$; *1652-14-8*) see: Fluroxene

2,2,2-trifluoroethanol sodium salt
($C_2H_2F_3NaO$; *420-87-1*) see: Flurotyl

2,2,2-trifluoroethyl 2,5-bis(2,2,2-trifluoroethoxy)benzoate
($C_{13}H_9F_9O_4$; *50778-57-9*) see: Flecainide

2,2,2-trifluoroethyl iodide
($C_2H_2F_3I$; *353-83-3*) see: Epitizide

(2,2,2-trifluoroethylthio)acetaldehyde dimethyl acetal
($C_6H_{11}F_3O_2S$; *84455-36-7*) see: Epitizide; Polythiazide

2,2,2-trifluoroethyl trichloromethanesulfonate
($C_3H_2Cl_3F_3O_3S$; *23199-56-6*) see: Quazepam

2,2,2-trifluoroethyl trifluoromethanesulfonate
($C_3H_2F_6O_3S$; *6226-25-1*) see: Flecainide

6,7,8-trifluoro-1-(2-fluoroethyl)-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid
($C_{12}H_7F_4NO_3$; *79660-52-9*) see: Fleroxacin

6,7,8-trifluoro-4-hydroxy-3-quinolinecarboxylic acid
($C_{10}H_4F_3NO_3$; *151391-68-3*) see: Fleroxacin

trifluoromethanesulfonic acid
(CHF_3O_3S; *1493-13-6*) see: Loratadine; Oseltamivir

trifluoromethanesulfonic acid 4-[(2-methylpropyl)amino]-3-nitro-2-quinolinyl ester
($C_{14}H_{14}F_3N_3O_5S$; *157875-53-1*) see: Imiquimod

trifluoromethanesulfonic acid 3-nitro-2,4-quinolinediyl ester
($C_{11}H_4F_6N_2O_8S_2$; *157875-58-6*) see: Imiquimod

trifluoromethanesulfonic acid triethylsilyl ester
($C_7H_{15}F_3O_3SSi$; *79271-56-0*) see: Tacrolimus

trifluoromethanesulfonic anhydride
($C_2F_6O_5S_2$; *358-23-6*) see: Imiquimod; Zanamivir

4-trifluoromethoxyaniline
($C_7H_6F_3NO$; *461-82-5*) see: Riluzole

2,4,5-trifluoro-3-methoxybenzenamine
($C_7H_6F_3NO$; *114214-45-8*) see: Moxifloxacin hydrochloride

2,4,5-trifluoro-3-methoxybenzoyl chloride
($C_8H_4ClF_3O_2$; *112811-66-2*) see: Moxifloxacin hydrochloride

1,1,1-trifluoro-2-methoxyethane
($C_3H_5F_3O$; *460-43-5*) see: Isoflurane

3'-trifluoromethylacetanilide
($C_9H_8F_3NO$; *351-36-0*) see: Flutamide; Nilutamide

2-trifluoromethylaniline
($C_7H_6F_3N$; *88-17-5*) see: Floctafenine; Mabuterol; Mefloquine

triisopropyl borate
($C_9H_{21}BO_3$; *5419-55-6*) see: Losartan potassium; Rofecoxib

triisopropyl phosphite
($C_9H_{21}O_3P$; *116-17-6*) see: Clodronate disodium

(3*R*,4*S*)-3-(triisopropylsilyloxy)-4-phenyl-2-azetidinone
($C_{18}H_{29}NO_2Si$; *132127-31-2*) see: Docetaxel

triisopropylsilyl trifluoromethanesulfonate
($C_{10}H_{21}F_3O_3SSi$; *80522-42-5*) see: Tacrolimus

3,4,5-trimethoxybenzaldehyde
($C_{10}H_{12}O_4$; *86-81-7*) see: Sulmetozin; Trimethoprim

3-(3,4,5-trimethoxybenzamido)pyridine
($C_{15}H_{16}N_2O_4$; *31638-96-7*) see: Troxipide

3,4,5-trimethoxybenzenamine
($C_9H_{13}NO_3$; *24313-88-0*) see: Trimetrexate glucuronate

1,2,3-trimethoxybenzene
($C_9H_{12}O_3$; *634-36-6*) see: Trimetazidine

1,3,5-trimethoxybenzene
($C_9H_{12}O_3$; *621-23-8*) see: Buflomedil

3,4,5-trimethoxybenzoyl chloride
($C_{10}H_{11}ClO_4$; *4521-61-3*) see: Dilazep; Hexobendine; Trimethobenzamide; Trimetozine; Troxipide

2,3,4-trimethoxybenzyl chloride
($C_{10}H_{13}ClO_3$; *1133-49-9*) see: Trimetazidine

3,4,5-trimethoxybenzyl chloride
($C_{10}H_{13}ClO_3$; *3840-30-0*) see: Trimethoprim

3,4,5-trimethoxycinnamoyl chloride
($C_{12}H_{13}ClO_4$; *10263-19-1*) see: Cinepazet; Cinepazide

3,4,5-trimethoxyphenylacetonitrile
($C_{11}H_{13}NO_3$; *13338-63-1*) see: Gallopamil

3-(3,4,5-trimethoxyphenyl)glycidic acid sodium salt
($C_{12}H_{13}NaO_6$; *39757-38-5*) see: Tretoquinol

2-(3,4,5-trimethoxyphenyl)-3-methylbutyronitrile
($C_{14}H_{19}NO_3$; *36622-33-0*) see: Gallopamil

[(3,4,5-trimethoxyphenyl)methylene]propanedinitrile
($C_{13}H_{12}N_2O_3$; *5688-82-4*) see: Trimethoprim

4-[(2,3,4-trimethoxyphenyl)methyl]-1-piperazinecarboxaldehyde
($C_{15}H_{22}N_2O_4$; *92700-82-8*) see: Trimetazidine

3,4,5-trimethoxytoluene
($C_{10}H_{14}O_3$; *6443-69-2*) see: Idebenone

trimethylacetyl chloride
see under pivaloyl chloride

trimethylamine
(C_3H_9N; *75-50-3*) see: Acetylcholine chloride; Betaine hydrate; Bethanechol chloride; Carbachol; Carnitine; Cetrimonium bromide; Choline chloride; Choline hydroxide; Decamethonium bromide; Hexcarbacholine bromide; Miltefosine; Prolonium iodide

2,3,5-trimethylanisole
($C_{10}H_{14}O$; *20469-61-8*) see: Etretinate

6,6,9-trimethyl-9-azabicyclo[3.3.1]nonan-3β-ol
($C_{11}H_{21}NO$; *36970-58-8*) see: Mazaticol

trimethylchlorosilane
(C_3H_9ClSi; *75-77-4*) see: Amoxicillin; Cefbuperazone; *cis*-Cefprozil; Fadrozole; Gestodene; Indanorex; Orlistat; Trifluridine

3,3,5-trimethylcyclohexanol
($C_9H_{18}O$; *116-02-9*) see: Cyclandelate

***cis*-3,3,5-trimethylcyclohexanol**
($C_9H_{18}O$; *933-48-2*) see: Micinicate

5-(2,6,6-trimethyl-1-cyclohexen-1-yl)-3-oxo-4-pentenal
($C_{14}H_{20}O_2$) see: Retinol

(1*R*-*cis*)-1,2,2-trimethyl-1,3-cyclopentanedicarboxylic acid compd. with (*S*)-*N*-ethyl-α-methyl-3-(trifluoromethyl)-benzeneethanamine (1:1)
($C_{22}H_{32}F_3NO_4$; *17325-68-7*) see: Dexfenfluramine

3',4',6'-trimethyl-2',5'-dihydroxyacetophenone
($C_{11}H_{14}O_3$; *64794-45-2*) see: Troglitazone

3-(2,5,5-trimethyl-1,3-dioxan-2-yl)thiophene
($C_{11}H_{16}O_2S$; *138890-86-5*) see: Brinzolamide

3-(2,5,5-trimethyl-1,3-dioxan-2-yl)-2-thiophenesulfonamide
($C_{11}H_{17}NO_4S_2$; *138890-87-6*) see: Brinzolamide

3,7,11-trimethyl-2,6,10-dodecatrienol
($C_{15}H_{26}O$; *4602-84-0*) see: Indometacin farnesil

2,2,8-trimethyl-5-formyl-4*H*-pyrido[3,4-*d*]-1,3-dioxane
($C_{11}H_{13}NO_3$; *6560-65-2*) see: Cicletanine

2,3,5-trimethylhydroquinone
($C_9H_{12}O_2$; *700-13-0*) see: Seratrodast; α-Tocopherol; Troglitazone

(*S*)-2,2,4-trimethyl-4-[2-[(1-methylethyl)thio]ethyl]-1,3-dioxolane
($C_{11}H_{22}O_2S$; *123450-78-2*) see: Troglitazone

(*S*)-2,3,5-trimethyl-6-[1-[(1-methylethyl)thio]-2-(2,2,4-trimethyl-1,3-dioxolan-4-yl)ethyl]-1,4-benzenediol 4-acetate
($C_{22}H_{34}O_5S$) see: Troglitazone

***N*,*N*,6-trimethyl-2-(4-methylphenyl)imidazo[1,2-*a*]pyridine-3-methanamine**
($C_{18}H_{21}N_3$; *106961-33-5*) see: Zolpidem

trimethylolpropane
($C_6H_{14}O_3$; *77-99-6*) see: Propatyl nitrate

trimethyl orthoacetate
($C_5H_{12}O_3$; *1445-45-0*) see: Brinzolamide; Diflorasone diacetate

trimethyl orthobenzoate
($C_{10}H_{14}O_3$; *707-07-3*) see: Betamethasone benzoate

trimethyl orthobutyrate
($C_7H_{16}O_3$; *43083-12-1*) see: Difluprednate

trimethyl orthoformate
($C_4H_{10}O_3$; *149-73-5*) see: Cisapride; Flosequinan; Lamivudine; Pyrimethamine; Troglitazone

trimethyl orthovalerate
($C_8H_{18}O_3$; *13820-09-2*) see: Betamethasone valerate; Prednival acetate

2,3,6-trimethyl-4-(oxiranylmethoxy)phenol acetate
($C_{14}H_{18}O_4$; *22664-53-5*) see: Metipranolol

2,3,5-trimethylphenol
($C_9H_{12}O$; *697-82-5*) see: Etretinate

2,4,6-trimethylphenol
($C_9H_{12}O$; *527-60-6*) see: Metipranolol

***N*,*N*,*N*-trimethyl-4-(phenylmethoxy)-1*H*-indole-2-methanaminium iodide**
($C_{19}H_{23}N_2O$) see: Mepindolol

trimethyl phosphate
($C_3H_9O_4P$; *512-56-1*) see: Lamivudine

trimethyl phosphite
($C_3H_9O_3P$; *121-45-9*) see: Betacarotene

1,2,2-trimethylpropylamine
($C_6H_{15}N$; *3850-30-4*) see: Pinacidil

tropine 2-propylvalerate
($C_{16}H_{29}NO_2$; *25333-49-7*) see: Octatropine methylbromide
tropinone
($C_8H_{13}NO$; *532-24-1*) see: Homatropine
tropinone-2,4-dicarboxylic acid
($C_{10}H_{13}NO_5$) see: Homatropine
Trp-Met-Asp-Phe-NH_2
($C_{29}H_{36}N_6O_6S$; *1947-37-1*) see: Ceruletide
tryptamine
($C_{10}H_{12}N_2$; *61-54-1*) see: Vincamine
L-tryptophan
($C_{11}H_{12}N_2O_2$; *73-22-3*) see: Eptifibatide; Oxitriptan
DL-tryptophan
($C_{11}H_{12}N_2O_2$; *54-12-6*) see: L-Tryptophan
tyramine
($C_8H_{11}NO$; *51-67-2*) see: Bezafibrate
Tyr-NH-NH-Z.HCl
($C_{17}H_{20}ClN_3O_4$; *17664-73-2*) see: Ceruletide
L-tyrosine
($C_9H_{11}NO_3$; *60-18-4*) see: Bentiromide; Cetrorelix; Levodopa; Tirofiban hydrochloride
DL-tyrosine
($C_9H_{11}NO_3$; *556-03-6*) see: Tiropramide
Tyr-Phe-OMe.HCl
($C_{19}H_{23}ClN_2O_4$; *65918-99-2*) see: Desmopressin

U

undecanal
($C_{11}H_{22}O$; *112-44-7*) see: Orlistat
undecanoyl chloride
($C_{11}H_{21}ClO$; *17746-05-3*) see: Estradiol undecylate; Nandrolone undecylate
10-undecenoyl chloride
($C_{11}H_{19}ClO$; *38460-95-6*) see: Boldenone undecenylate
uracil
($C_4H_4N_2O_2$; *66-22-8*) see: Fluorouracil
urea
(CH_4N_2O; *57-13-6*) see: Alfuzosin; Allantoin; Amobarbital; Barbital; Bromisoval; Butalbital; Carbasalate calcium; Carbromal; Cyclopentobarbital; Dimethadione; Dipyridamole; Enoximone; Ethotoin; Heptabarb; Metaxalone; Methyclothiazide; Orotic acid; Paramethadione; Pentobarbital; Phenacemide; Pheneturide; Phenobarbital; Phenytoin; Proquazone; Secbutabarbital; Secobarbital; Sulfadimethoxine; Thalidomide; Trimethadione

V

valeric anhydride
($C_{10}H_{18}O_3$; *2082-59-9*) see: Estradiol valerate
valeronitrile
(C_5H_9N; *110-59-8*) see: Eprosartan
valeryl chloride
(C_5H_9ClO; *638-29-9*) see: Amsacrine; Betamethasone divalerate; Diflucortolone valerate; Irbesartan; Valsartan
valienamine
($C_7H_{13}NO_4$; *38231-86-6*) see: Voglibose
valiolamine
($C_7H_{15}NO_5$; *83465-22-9*) see: Voglibose
vanillic acid
($C_8H_8O_4$; *121-34-6*) see: Etamivan
vanillin
($C_8H_8O_3$; *121-33-5*) see: Cyclovalone; Entacapone; Etamivan; Levodopa
veratraldehyde
($C_9H_{10}O_3$; *120-14-9*) see: Alfuzosin; Fenoldopam mesilate; Moxaverine; Rimiterol; Vetrabutine
veratrole
($C_8H_{10}O_2$; *91-16-7*) see: Papaverine; Tolcapone
vidarabine
($C_{10}H_{13}N_5O_4$; *5536-17-4*) see: Vidarabine
vinblastine
($C_{46}H_{58}N_4O_9$; *865-21-4*) see: Vindesine
(–)-vincadifformine
($C_{21}H_{26}N_2O_2$; *3247-10-7*) see: Vincamine
(–)-vincadifformine 9-oxide
($C_{21}H_{26}N_2O_3$; *38199-35-8*) see: Vincamine
(+)-vincamine
($C_{21}H_{26}N_2O_3$; *1617-90-9*) see: Vinpocetine
(±)-vincamine
($C_{21}H_{26}N_2O_3$; *2122-39-6*) see: Vincamine
vinylene carbonate
($C_3H_2O_3$; *872-36-6*) see: Bisantrene
vinyl-β-ionol
($C_{15}H_{24}O$; *5208-93-5*) see: Isotretinoin; Retinol; Tretinoin
vinylmagnesium bromide
(C_2H_3BrMg; *1826-67-1*) see: Docetaxel; Montelukast sodium; Paclitaxel
vinylmagnesium chloride
(C_2H_3ClMg; *3536-96-7*) see: Levonorgestrel
5-vinyl-2-pyrrolidone
(C_6H_9NO; *7529-16-0*) see: Vigabatrin
vitamin A acid ethyl ester
($C_{22}H_{32}O_2$; *3899-20-5*) see: Tretinoin
vitamin D_2
($C_{28}H_{44}O$; *50-14-6*) see: Calcipotriol

W

Wieland-Gumlich aldehyde
($C_{19}H_{22}N_2O_2$; *466-85-3*) see: Alcuronium chloride
wintergreen oil
see under methyl salicylate

X

xanthene-9-carbonyl chloride
($C_{14}H_9ClO_2$; *26454-53-5*) see: Propantheline bromide
xanthene-9-carboxylic acid
($C_{14}H_{10}O_3$; *82-07-5*) see: Propantheline bromide
9*H*-xanthene-9-carboxylic acid 2-[bis(1-methylethyl)-amino]ethyl ester
($C_{22}H_{27}NO_3$; *13347-41-6*) see: Propantheline bromide
xanthinol
($C_{13}H_{21}N_5O_4$; *2530-97-4*) see: Xantinol nicotinate
2,3-xylenol
($C_8H_{10}O$; *526-75-0*) see: Xibenolol

Z