

Profile

Oxlofrine is a sympathomimetic (p.1407) related to ephedrine (p.1558). It is given orally as the hydrochloride in the treatment of hypotensive states in usual doses of 16 mg three times daily, although higher doses have been given. It has also been used in antitussive preparations.

Preparations

Proprietary Preparations (details are given in Part 3)

Austria: Carnigen; **Ger.:** Carnigen.

Multi-ingredient: **Canad.:** Cophylac.

Oxprenolol Hydrochloride

(BANM, USAN, rINNM) ⊗

Ba-39089; Hidrocloruro de oxiprenolol; Hidrocloruro de oxprenolol; Oksprenolol Hidroklorür; Oksprenololhidroklorid; Oksprenololo hidrokloridas; Oksprenololu chlorowoderek; Oxprénolol, chlorhydrate d'; Oxprenolol hydrochlorid; Oxprenololhidroklorid; Oxprenololhydrochlorid; Oxprenololi hydrochloridum; Oxyprenolol Hydrochloride. 1-(*o*-Allyloxyphenoxy)-3-isopropylaminopropan-2-ol hydrochloride.

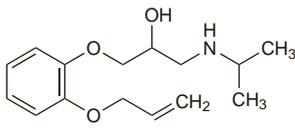
Окспренолола Гидрохлорид

C₁₅H₂₃NO₃·HCl = 301.8.

CAS — 6452-71-7 (oxprenolol); 6452-73-9 (oxprenolol hydrochloride).

ATC — C07AA02.

ATC Vet — QC07AA02.



(oxprenolol)

NOTE. Compounded preparations of oxprenolol hydrochloride may be represented by the following names:

- Co-prenozide (BAN)—oxprenolol hydrochloride 640 parts and cyclopenthiiazide 1 part (w/w).

Pharmacopoeias. In *Eur.* (see p.vii), *Jpn.* and *US.* *Chin.* includes the base.

Ph. Eur. 6.2 (Oxprenolol Hydrochloride). A white or almost white, crystalline powder. Very soluble in water; freely soluble in alcohol. A 10% solution in water has a pH of 4.5 to 6.0. Protect from light.

USP 31 (Oxprenolol Hydrochloride). A white crystalline powder. Freely soluble in water, in alcohol, and in chloroform; sparingly soluble in acetone; practically insoluble in ether. A 10% solution in water has a pH of 4.0 to 6.0.

Adverse Effects, Treatment, and Precautions

As for Beta Blockers, p.1226.

Breast feeding. Oxprenolol is distributed into breast milk but the amount likely to be ingested by an infant is small (see under Pharmacokinetics, below). No adverse effects have been seen in breast-fed infants whose mothers were given oxprenolol and the American Academy of Pediatrics considers¹ that it is therefore usually compatible with breast feeding.

1. American Academy of Pediatrics. The transfer of drugs and other chemicals into human milk. *Pediatrics* 2001; **108**: 776–89. Correction. *ibid*: 1029. Also available at: <http://aappolicy.aappublications.org/cgi/content/full/pediatrics%3b108/3/776> (accessed 10/01/08)

Hypersensitivity. Oxprenolol-induced drug fever has been reported¹ in a patient and was confirmed by a challenge test.

1. Hasegawa K, *et al.* Drug fever due to oxprenolol. *BMJ* 1980; **281**: 27–8.

Overdosage. Rhabdomyolysis with myoglobinuria has been reported¹ as a complication of severe overdosage with oxprenolol.

1. Schofield PM, *et al.* Recovery after severe oxprenolol overdose complicated by rhabdomyolysis. *Hum Toxicol* 1985; **4**: 57–60.

Interactions

The interactions associated with beta blockers are discussed on p.1228.

Pharmacokinetics

Oxprenolol is well absorbed from the gastrointestinal tract, but is subject to first-pass metabolism resulting in

variable bioavailability (20 to 70%). Peak plasma concentrations occur about 1 or 2 hours after a dose. Oxprenolol is about 80% bound to plasma proteins. It crosses the placenta and is distributed into breast milk. It is moderately lipid-soluble and crosses the blood-brain barrier. Oxprenolol is metabolised in the liver and almost entirely excreted in the urine. An elimination half-life of 1 to 2 hours has been reported.

Pregnancy and breast feeding. The placental transfer of oxprenolol and its passage into breast milk was studied¹ in 32 pregnant women given a preparation containing oxprenolol and dihydralazine (*Trasipressol*). At delivery the mean maternal plasma concentration was 0.386 nanomoles/mL compared with 0.071 and 0.081 nanomoles/mL in plasma from the umbilical artery and vein respectively. Oxprenolol plasma concentrations in the newborn ranged from 0 to 0.186 nanomoles/mL during the first 24 hours of life. The concentrations of oxprenolol in breast milk 3 to 6 days after delivery ranged from 0 to 1.342 nanomoles/mL, and the milk to plasma concentration ratio was 0.45:1. Based on the highest milk concentration seen it was calculated that a breast-fed infant could receive, at a maximum, a daily dose at least 60 times less than an average adult daily dose (240 mg daily) for hypertension. In another study² in 12 women given oxprenolol, mean milk to plasma concentration ratios were 0.21:1 to 0.43:1, depending on dose.

1. Sioufi A, *et al.* Oxprenolol placental transfer, plasma concentrations in newborns and passage into breast milk. *Br J Clin Pharmacol* 1984; **18**: 453–6.

2. Fidler J, *et al.* Excretion of oxprenolol and timolol in breast milk. *Br J Obstet Gynaecol* 1983; **90**: 961–5.

Uses and Administration

Oxprenolol is a non-cardioselective beta blocker (p.1225). It is reported to possess intrinsic sympathomimetic and membrane-stabilising activity.

Oxprenolol is given orally as the hydrochloride in the management of hypertension (p.1171), angina pectoris (p.1157), and cardiac arrhythmias (p.1160). It is also used in anxiety disorders (p.952).

In **hypertension** oxprenolol hydrochloride is given in a usual dose of 80 to 160 mg daily in two or three divided doses. The dose may be increased at weekly or fortnightly intervals until a satisfactory response is achieved. The usual maximum dose is 320 mg daily although up to 480 mg daily has been given.

The usual dose for **angina pectoris** is 80 to 160 mg daily in two or three divided doses with a usual maximum of 320 mg daily.

For **cardiac arrhythmias** a dose of 40 mg daily to not more than 240 mg daily in two or three divided doses may be used.

To relieve **anxiety** in stressful situations oxprenolol hydrochloride is given in usual doses of 40 to 80 mg daily, either as a single dose or in two divided doses.

Modified-release preparations allowing once daily dosing are also available.

Preparations

BP 2008: Oxprenolol Tablets;

USP 31: Oxprenolol Hydrochloride Extended-release Tablets; Oxprenolol Hydrochloride Tablets.

Proprietary Preparations (details are given in Part 3)

Austral.: Corbeton; **Austria:** Trasicor; **Canad.:** Slow-Trasicor†; Trasicor; **Denm.:** Trasicor†; **Fr.:** Trasicor; **Ger.:** Trasicor; **Gr.:** Trasicor; **Hung.:** Trasicor†; **Neth.:** Trasicor; **NZ:** Captol†; Slow-Trasicor†; **Spain:** Trasicor; **Switz.:** Slow-Trasicor; Trasicor; **Turk.:** Trasicor; **UK:** Slow-Trasicor; Trasicor.

Multi-ingredient: **Austria:** Trasitensin; Trepress; **Fr.:** Trasitensin; **Ger.:** Impresso†; Trasitensin†; Trepress; **Gr.:** Trasitensin; **Ital.:** Trasitensin; **Spain:** Trasitensin; **Switz.:** Slow-Trasitensin; **UK:** Trasidrex.

Oxyfedrine Hydrochloride (BANM, rINNM)

D-563; Hidrocloruro de oxifedrina; Oxifedrin Chloridum; Oxyfedrine, Chlorhydrate d'; Oxifedrin Hydrochloridum. L-3-(β-Hydroxy-α-methylphenethylamino)-3'-methoxypropiofenone hydrochloride.

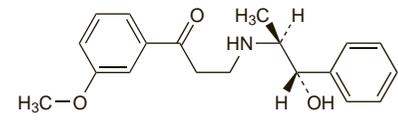
Оксифедрина Гидрохлорид

C₁₉H₂₃NO₃·HCl = 349.9.

CAS — 15687-41-9 (oxyfedrine); 16777-42-7 (oxyfedrine hydrochloride).

ATC — C01DX03.

ATC Vet — QC01DX03.



(oxyfedrine)

Profile

Oxyfedrine hydrochloride has vasodilator properties and has been used in angina pectoris, and myocardial infarction. It is metabolised to phenylpropanolamine (p.1569).

Preparations

Proprietary Preparations (details are given in Part 3)

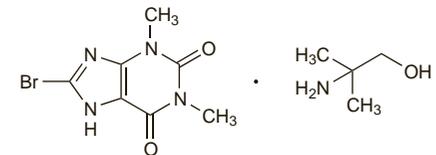
Austria: Ildamen; **Cz.:** Myofedrin†; **Ger.:** Ildamen†; Myofedrin†; **India:** Ildamen; **Philipp.:** Ildamen; **Port.:** Ildamen.

Pamabrom (USAN) ⊗

Pamabromo. 2-Amino-2-methylpropan-1-ol 8-bromotheophyllinate.

C₄H₁₁NO₇·C₇H₇BrN₄O₂ = 348.2.

CAS — 606-04-2.



Pharmacopoeias. In *US.*

Profile

Pamabrom is a weak diuretic that has been used, with analgesics and antihistamines, for symptomatic relief of the premenstrual syndrome.

Preparations

Proprietary Preparations (details are given in Part 3)

USA: Maximum Strength Aqua-Ban.

Multi-ingredient: **Arg.:** Everfem; **Canad.:** Extra Strength Multi-Symptom PMS Relief†; Midol PMS Extra Strength; Painaid PMF; Pamprin; Relievol PMS; Trendar PMS†; Tylenol Menstrual; **Chile:** Kitadol Periodo Menstrual; Minfacien; Preudal; Tapsin Periodo Menstrual; **Malaysia:** Panadol Menstrual; **Mex.:** Femsedin Kutz; **Singapore:** Panadol Menstrual; **USA:** Fem-1†; Lurline PMS; Midol Pre-Menstrual Syndrome; Midol Teen Formula; Painaid PMF Premenstrual Formula; Pamprin; Premsyn PMS; Womens Tylenol Multi-Symptom Menstrual Relief.

Pamiteplase (rINM)

Pamiteplasa; Pamiteplase; Pamiteplasm; YM-866. 275-L-Glutamic acid-(1-91)-(174-527)-plasminogen activator (human tissue-type protein moiety).

Памитеплаза

CAS — 151912-42-4.

Profile

Pamiteplase is a thrombolytic related to alteplase (p.1207) used in acute myocardial infarction. It has been investigated in ischaemic stroke.

Preparations

Proprietary Preparations (details are given in Part 3)

Jpn.: Solinase†.

Pantethine

Pantetina. (R)-NN'-[Dithiobis(ethylamine)carbonyl(ethylene)]-bis(2,4-dihydroxy-3,3-dimethylbutylamide).

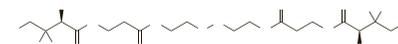
Пантетин

C₂₂H₄₂N₄O₈S₂ = 554.7.

CAS — 16816-67-4.

ATC — A11HA32.

ATC Vet — QA11HA32.



Pharmacopoeias. In *Jpn.*

Profile

Pantethine, a derivative of pantothenic acid (p.1959), is a component of coenzyme A. It is used as a lipid regulating drug in the