Uses and Administration

Oxybuprocaine, a para-aminobenzoic acid ester, is a local anaesthetic with actions and uses similar to those described on p.1852. It is used for surface anaesthesia (p.1853) and is reported to be less irritant than tetracaine when applied to the conjunctiva in therapeutic concentrations.

Oxybuprocaine is used as the hydrochloride in a 0.4% solution in short ophthalmological procedures. One drop instilled into the conjunctival sac anaesthetises the surface of the eye sufficiently to allow tonometry after 60 seconds and a further drop after 90 seconds provides adequate anaesthesia for the fitting of contact lenses. Three drops at 90-second intervals produces sufficient anaesthesia after 5 minutes for removal of a foreign body from the corneal epithelium, or for incision of a Meibomian cyst through the conjunctiva. The sensitivity of the cornea is normal again after about 1 hour.

A 1% solution of oxybuprocaine hydrochloride is used for surface anaesthesia of the ear, nose, and throat.

Preparations

BP 2008: Oxybuprocaine Eye Drops;
USP 31: Benoxinate Hydrochloride Ophthalmic Solution; Fluorescein Sodium and Benoxinate Hydrochloride Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)
Arg.: Oftalmocaina†; ***Austria:*** Benoxinat; Novain; ***Belg.:*** Unicaine; ***Braz.:*** Oxinest; ***Cz.:*** Benoxi; Novesin; ***Fin.:*** Oftan Obucain; ***Fr.:*** Cebesine; Novesine†; ***Ger.:*** Benoxinat SE†; Conjuncain-EDO; Novesine; Oxbarukain†; ***Hong Kong:*** Benoxinate†; Novesin†; ***Hung.:*** Humacain; ***India:*** Bendzon; ***Israel:*** Localin; ***Ital.:*** Novesina; ***Malaysia:*** Novesin†; ***Philipp.:*** Oxyben; ***Port.:*** Anestocil; ***Rus.:*** Inokain (Инокаин); ***S.Afr.:*** Novesin; ***Singapore:*** Novesin†; ***Spain:*** Prescaina; ***Switz.:*** Cebesin; Novesin; ***Thai.:*** Novesin; ***Turk.:*** Benoxinate; Novesin.

Multi-ingredient: ***Austral.:*** Fluress; ***Austria:*** Flurekain; ***Cz.:*** Thilorbin†; ***Fin.:*** Oftan Flurekain; ***Fr.:*** Collu-Blache†; ***Ger.:*** Thilorbin; ***Mex.:*** Mentalgina; ***NZ:*** Fluress†; ***Port.:*** Fluotest; Mebocaina; ***Spain:*** Anestesi Doble; Fluotest; ***Swed.:*** Fluress; ***Switz.:*** Collu-Blache; Mebucaine; ***UAE:*** B-Cool; ***USA:*** Flu-Oxinate†; Fluorox; Flurate; Fluress; Flurox.

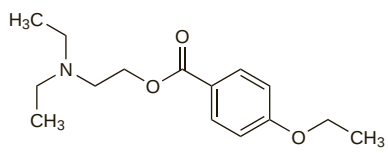
Parethoxycaine Hydrochloride *(rINNM)*

Hidrocloruro de paretoxicaína; Paréthoxycaïne, Chlorhydrate de; Parethoxycaini Hydrochloridum. 2-Diethylaminoethyl 4-ethoxybenzoate hydrochloride.

Парэтоксикаина Гидрохлорид

$C_{15}H_{23}NO_3$,HCl = 301.8.

CAS — 94-23-5 (parethoxycaine); 136-46-9 (parethoxycaine hydrochloride).



(parethoxycaine)

Profile

Parethoxycaine hydrochloride, a para-aminobenzoic acid ester, is a local anaesthetic (p.1850) that has been used in pastilles for painful conditions of the mouth and throat.

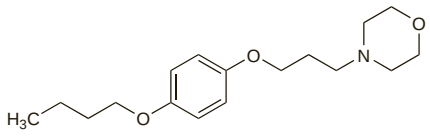
Pramocaine Hydrochloride *(BANM, rINNM)*

Hidrocloruro de pramocaína; Pramocaïne, Chlorhydrate de; Pramocaini Hydrochloridum; Pramoksiinihydrokloridi; Pramoxine Hydrochloride; Pramoxinhydroklorid; Pramoxini Hydrochloridum; Pramoxinium Chloride. 4-[3-(4-Butoxyphenoxy)propyl]morpholine hydrochloride.

Прамокаина Гидрохлорид

$C_{17}H_{27}NO_3$,HCl = 329.9.

CAS — 140-65-8 (pramocaine); 637-58-1 (pramocaine hydrochloride).
ATC — C05AD07; D04AB07.
ATC Vet — QC05AD07; QD04AB07.



(pramocaine)

Pharmacopoeias. In *US.*
USP 31 (Pramoxine Hydrochloride). A white or almost white crystalline powder; it may have a faint aromatic odour. Freely soluble in water and in alcohol; soluble 1 in 35 of chloroform; very slightly soluble in ether. A 1% solution in water has a pH of about 4.5. Store in airtight containers.

Profile

Pramocaine hydrochloride is a local anaesthetic (p.1850) used for surface anaesthesia. It is used alone or with corticosteroids and other drugs, usually in a concentration of 1%, in a wide range of formulations for the relief of pain and itching associated with minor skin conditions and anorectal disorders. Initial burning or stinging may occur following topical application. It should not be used for the nose or eyes. The base has been used similarly.

Preparations

USP 31: Neomycin and Polymyxin B Sulfates and Pramoxine Hydrochloride Cream; Pramoxine Hydrochloride Cream; Pramoxine Hydrochloride Jelly.

Proprietary Preparations (details are given in Part 3)
Fr.: Tronothane; ***Israel:*** Anti Itch; ***Ital.:*** Tronotene; ***S.Afr.:*** Anugesic; ***Spain:*** Balsabit; Pramox; ***USA:*** Campho-Phenique Cold Sore Treatment & Scab Relief; Fleet Pain Relief; Pramox; Prax; Proctofoam; Sarna Sensitive Anti-Itch; Tronothane.

Multi-ingredient: ***Arg.:*** Anusol Duo; Anusol Duo S; Anusol-A; Tocorectal; ***Belg.:*** Nestosyl; ***Canad.:*** Anugesic-HC; Anusol Plus; Anuzinc HC Plus; Anuzinc Plus; Aveeno Anti-Itch; Caladryl; Hemorrhoid Ointment; Onguent Hemorrhoidal; Polysporin Itch Relief; PrameGel; Pramox HC; Proctodan-HC; Proctofoam-HC; Sarna-P; ***Chile:*** Caladryl Clear; ***Irl.:*** Anugesic-HC; Proctofoam-HC; ***Israel:*** Epifoam; Hemorid; Procto-Glyvenol; Proctofoam-HC; ***Ital.:*** Proctofoam-HC; ***Mex.:*** Caladryl Clear; Soyaloid Apruri; ***Neth.:*** Nestosyl; ***S.Afr.:*** Anugesic; Proctofoam†; ***UK:*** Anugesic-HC; Proctofoam-HC; ***USA:*** 1 + 1-F; AmLactin AP; Analpram-HC; Anusol; Bactine Pain Relieving Cleansing; Betadine Plus First Aid Antibiotics & Pain Reliever; Bite & Itch Lotion; Caladryl; Caladryl Clear; Cortane-B; Cortic ND; Cyotic; Enzone; Epifoam; HC Pramoxine; Hemorid For Women; Itch-X; Mediotic-HC; Neosporin + Pain Relief; Novacort; Oti-Med†; Otomar-HC; Phicon; Phicon-F; PrameGel; Pramosone; PramOtic; Preparation H; Proctofoam-HC; Sarna Ultra; Summers Eve Anti-Itch; Tri-Biozene; Tri-Otic†; Tronolane; Tucks; Zone-A; Zoto-HC.

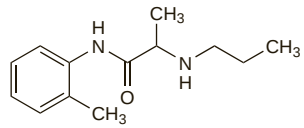
Prilocaine *(BAN, USAN, rINN)*

Prilocaína; Prilocaïne; Prilocainum; Prilokaiini; Prilokain; Prilokainas. 2-Propylaminopropiono-*o*-toluidide.

Прилокаин

$C_{13}H_{20}N_2O$ = 220.3.

CAS — 721-50-6.
ATC — N01BB04.
ATC Vet — QN01BB04.



Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Prilocaine). A white or almost white, crystalline powder. M.p. 36° to 39°. Slightly soluble in water; very soluble in alcohol and in acetone.
USP 31 (Prilocaine). A white or almost white powder or crystal aggregates. M.p. 36° to 39°. Slightly soluble in water; very soluble in alcohol and in acetone. Store at a temperature below 25°.

Eutectic mixture. Prilocaine forms a mixture with lidocaine that has a melting-point lower than that of either ingredient. This eutectic mixture is used in the preparation of topical dosage forms.

Prilocaine Hydrochloride *(BANM, USAN, rINNM)*

Astra-1512; Hidrocloruro de prilocaína; L-67; Prilocaïne, chlorhydrate de; Prilocaini hydrochloridum; Prilokaiinihydrokloridi; Prilokain Hidroklorür; Prilokain hydrochlorid; Prilokain-hidroklorid; Prilokainhydroklorid; Prilokaino hidrochloridas; Propitocaine Hydrochloride.

Прилокаина Гидрохлорид

$C_{13}H_{20}N_2O$,HCl = 256.8.

CAS — 721-50-6 (prilocaine); 1786-81-8 (prilocaine hydrochloride).
ATC — N01BB04.
ATC Vet — QN01BB04.

Pharmacopoeias. In *Eur.* (see p.vii) and *US.*
Ph. Eur. 6.2 (Prilocaine Hydrochloride). A white or almost white, crystalline powder or colourless crystals. M.p. 168° to 171°. Freely soluble in water and in alcohol; very slightly soluble in acetone.
USP 31 (Prilocaine Hydrochloride). A white odourless crystalline powder. M.p. 166° to 169°. Soluble 1 in 3.5 of water, 1 in 4.2 of alcohol, and 1 in 175 of chloroform; very slightly soluble in acetone; practically insoluble in ether.

pH of solutions. For a discussion of the effect that pH has on the stability of local anaesthetic solutions and the pain associated with their injection, see p.1852.

Adverse Effects, Treatment, and Precautions

As for Local Anaesthetics in general, p.1850.

Prilocaine has relatively modest toxicity compared with most amide-type local anaesthetics. However, dose-related methaemoglobinaemia and cyanosis, attributed to the metabolite *o*-toluidine, appear to occur more frequently with prilocaine than with other local anaesthetics (see Methaemoglobinaemia, p.1850). Symptoms usually occur when doses of prilocaine hydrochloride exceed about 8 mg/kg but the very young may be more susceptible. Methaemoglobinaemia has been observed in neonates whose mothers received prilocaine shortly before delivery and it has also been reported after prolonged topical application of a prilocaine/lidocaine eutectic mixture in children. (See under Surface Anaesthesia in Lidocaine, p.1866 for precautions to be observed with such a eutectic mixture.) Methaemoglobinaemia may be treated by giving oxygen followed, if necessary, by an injection of methylthioninium chloride.

Prilocaine is contra-indicated for paracervical block in obstetrics.

Prilocaine should be avoided in patients with anaemia, congenital or acquired methaemoglobinaemia, cardiac or ventilatory failure, or hypoxia.

Effects on the CNS. For reference to the prilocaine serum concentrations associated with CNS toxicity, see Absorption under Pharmacokinetics, below.

Porphyria. Prilocaine has been associated with acute attacks of porphyria and is considered unsafe in porphyric patients.

Interactions

For interactions associated with local anaesthetics, see p.1851.

Methaemoglobinaemia may occur at lower doses of prilocaine in patients receiving therapy with other drugs known to cause such conditions (e.g. sulfonamides such as sulfamethoxazole in co-trimoxazole).

Neuromuscular blockers. For a possible interaction between *mivacurium* and prilocaine, see under Atracurium, p.1904.

Pharmacokinetics

Prilocaine is reported to be 55% bound to plasma proteins. It is rapidly metabolised mainly in the liver and also in the kidneys and is excreted in the urine mainly as metabolites. One of the principal metabolites excreted in the urine is *o*-toluidine, which is believed to cause the methaemoglobinaemia observed after large doses. Prilocaine crosses the placenta and during prolonged epidural anaesthesia may produce methaemoglobinaemia in the fetus. It is distributed into breast milk.

See also under Local Anaesthetics, p.1852.

Absorption. Peak serum concentrations of prilocaine hydrochloride attained after the use of 8.5 mL of a 1% solution for retrobulbar and facial nerve block were well below the concentration of 20 micrograms/mL associated with CNS toxicity due to prilocaine.[1]

1. Goggin M, *et al.* Serum concentrations of prilocaine following retrobulbar block. *Br J Anaesth* 1990; **64:** 107–9.

Uses and Administration

Prilocaine is a local anaesthetic of the amide type with actions and uses similar to those described on p.1852. It has a similar anaesthetic potency to lidocaine. However, it has a slower onset of action, less vasodilator activity, and a slightly longer duration of action; it is also less toxic. Prilocaine hydrochloride is used for infiltration anaesthesia and nerve blocks in solutions of 0.5%, 1%, and 2%. A 1% solution is also used for epidural analgesia and a 2% solution is used for epidural anaesthesia; for intravenous regional anaesthesia 0.5% solutions are used. A 3% solution with the vasoconstrictor felypressin (p.2302) or a 4% solution without are used for dental procedures. A 4% solution with adrenaline 1 in 200 000 is also used for dentistry in