Preparations

Proprietary Preparations (details are given in Part 3)

Multi-ingredient: ***Spain:*** Detraine.

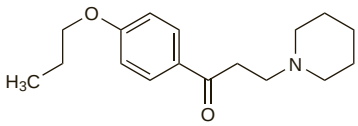
Propipocaine *(rINN)*

Propipocaína; Propipocaïne; Propipocainum; Propoxypiperocaine. 3-Piperidino-4′-propoxypropiophenone.

Пропипокаин

$C_{17}H_{25}NO_2$ = 275.4.

CAS — 3670-68-6.



Profile

Propipocaine is a local anaesthetic (p.1850) that has been used for surface anaesthesia.

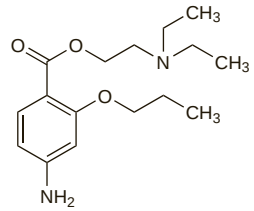
Propoxycaine Hydrochloride *(rINNM)*

Hidrocloruro de propoxicaína; Propoxycaïne, Chlorhydrate de; Propoxycaini Hydrochloridum; Propoxycainium Chloride. 2-Diethylaminoethyl 4-amino-2-propoxybenzoate hydrochloride.

Пропоксикаина Гидрохлорид

$C_{16}H_{26}N_2O_3$,HCl = 330.9.

CAS — 86-43-1 (propoxycaine); 550-83-4 (propoxycaine hydrochloride).



(propoxycaine)

Pharmacopoeias. In *US*.

USP 31 (Propoxycaine Hydrochloride). A white odourless crystalline solid. It discolours on prolonged exposure to light and air. Soluble 1 in 2 of water, 1 in 10 of alcohol, and 1 in 80 of ether; practically insoluble in acetone and in chloroform. A 2% solution in water has a pH of about 5.4. Protect from light.

Profile

Propoxycaine hydrochloride, a para-aminobenzoic acid ester, is a local anaesthetic (p.1850). It has been used with procaine hydrochloride and a vasoconstrictor for infiltration anaesthesia and nerve block in dental procedures. Propoxycaine has a more rapid onset and a longer duration of action than that of procaine.

Preparations

USP 31: Propoxycaine and Procaine Hydrochlorides and Levonordefrin Injection; Propoxycaine and Procaine Hydrochlorides and Norepinephrine Bitartrate Injection.

Proxymetacaine Hydrochloride

(BANM, rINNM)

Hidrocloruro de proximetacaína; Proksimetakain Hidroklorür; Proparacaine Hydrochloride; Proparakain Hidroklorür; Proxymétacaïne, Chlorhydrate de; Proxymetacaini Hydrochloridum. 2-Diethylaminoethyl 3-amino-4-propoxybenzoate hydrochloride.

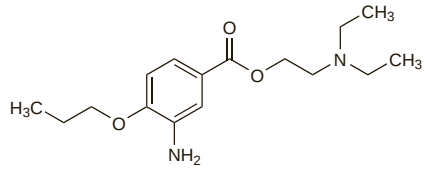
Проксиметакаина Гидрохлорид

$C_{16}H_{26}N_2O_3$,HCl = 330.9.

CAS — 499-67-2 (proxymetacaine); 5875-06-9 (proxymetacaine hydrochloride).

ATC — S01HA04.

ATC Vet — QS01HA04.



(proxymetacaine)

NOTE. PROX is a code approved by the BP 2008 for use on single unit doses of eye drops containing proxymetacaine hydrochloride where the individual container may be too small to bear all the appropriate labelling information. PROXFLN is a similar code approved for eye drops containing proxymetacaine hydrochloride and fluorescein sodium.

Pharmacopoeias. In *Br.* and *US*.

BP 2008 (Proxymetacaine Hydrochloride). A white or almost white, odourless or almost odourless, crystalline powder. Soluble in water and in chloroform; very soluble in dehydrated alcohol; practically insoluble in ether. A 1% solution in water has a pH of 5.7 to 6.4. Protect from light.

USP 31 (Proparacaine Hydrochloride). A white to off-white, or faintly buff-coloured, odourless, crystalline powder. Soluble in water, in warm alcohol, and in methyl alcohol; insoluble in ether and in benzene.

Adverse Effects, Treatment, and Precautions

As for Local Anaesthetics in general, p.1850.

A severe immediate-type corneal reaction to proxymetacaine may rarely occur. Allergic contact dermatitis has also been reported.

Effects on the skin. Exacerbation of Stevens-Johnson syndrome has been reported[1] in a woman after ophthalmic anaesthesia with proxymetacaine hydrochloride.

1. Ward B, *et al*. Dermatologic reaction in Stevens-Johnson syndrome after ophthalmic anesthesia with proparacaine hydrochloride. *Am J Ophthalmol* 1978; **86:** 133–5.

Interactions

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

Pharmacokinetics

See under Local Anaesthetics, p.1852.

Uses and Administration

Proxymetacaine hydrochloride, a meta-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) in ophthalmology in a concentration of 0.5%. Proxymetacaine is of similar potency to tetracaine in equal concentrations and induces anaesthesia within about 20 seconds. The duration of action may be 15 minutes or longer. Instillation of 1 or 2 drops permits tonometry after 30 seconds. For removal of foreign bodies or sutures from the cornea 1 or 2 drops are instilled every 5 to 10 minutes for up to 3 applications, or 1 or 2 drops are instilled 2 to 3 minutes before the procedure. For deeper anaesthesia such as needed for cataract extraction 1 drop is instilled every 5 to 10 minutes to a total of 5 to 7 applications.

Trigeminal neuralgia. There have been anecdotal reports that proxymetacaine eye drops relieved trigeminal neuralgia (p.9) refractory to carbamazepine.[1,2] However, a controlled study failed to demonstrate any benefit.[3]

1. Zavon MR, Fichte CM. Trigeminal neuralgia relieved by ophthalmic anesthetic. *JAMA* 1991; **265:** 2807.
2. Zavon MR, Fichte CM. Trigeminal neuralgia relieved by optical anesthesia. *JAMA* 1991; **266:** 1649.
3. Kondziolka D, *et al*. The effect of single-application topical ophthalmic anesthesia in patients with trigeminal neuralgia: a randomized double-blind placebo-controlled trial. *J Neurosurg* 1994; **80:** 993–7.

Preparations

BP 2008: Proxymetacaine Eye Drops;
USP 31: Fluorescein Sodium and Proparacaine Hydrochloride Ophthalmic Solution; Proparacaine Hydrochloride Ophthalmic Solution.

Proprietary Preparations (details are given in Part 3)
Arg.: Anestalcon; Poencaina; ***Austral.:*** Alcaine; Ophthetic†; ***Belg.:*** Alcaine; ***Braz.:*** Anestalcon; Visonest; ***Canad.:*** Ak-Taine; Alcaine; Diocaine†; Ophthetic†; ***Chile:*** Anestalcon; ***Ger.:*** Proparakain-POS; ***Gr.:*** Alcaine; ***Hong Kong:*** Alcaine; ***Malaysia:*** Alcaine; ***Mex.:*** Alcaine; ***Norw.:*** Alcaine; ***NZ:*** Ophthetic; ***Philipp.:*** Alcaine; ***Pol.:*** Alcaine; ***Rus.:*** Alcaine (Алкаин); ***Singapore:*** Alcaine; ***Switz.:*** Alcaine; ***Turk.:*** Alcaine; Opticaine; ***USA:*** Ak-Taine; Alcaine; Ocu-Caine; Ophthetic; Parcaine; ***Venez.:*** Alcaine; Oftaine†; Poencaina.

Multi-ingredient: ***Canad.:*** Fluoracaine†; ***USA:*** Fluoracaine; Fluorocaine.

Quinisocaine Hydrochloride *(BANM, rINNM)*

Chinisocainum Hydrochloride; Dimethisoquin Hydrochloride *(USAN)*; Dimethisoquinium Chloride; Hidrocloruro de quinisocaína; Quinisocaïne, Chlorhydrate de; Quinisocaini Hydrochloridum. 2-(3-Butyl-1-isoquinolyloxy)-*NN*-dimethylethylamine hydrochloride.

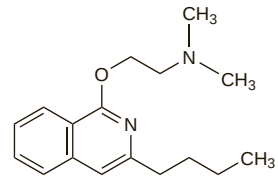
Хинизокаина Гидрохлорид

$C_{17}H_{24}N_2O$,HCl = 308.8.

CAS — 86-80-6 (quinisocaine); 2773-92-4 (quinisocaine hydrochloride).

ATC — D04AB05.

ATC Vet — QD04AB05.



(quinisocaine)

Profile

Quinisocaine hydrochloride is a local anaesthetic (p.1850) that has been used as a surface anaesthetic in the form of an ointment or cream in a concentration of 0.5% for the relief of pruritus, anogenital or anorectal irritation, and minor skin conditions. It has also been used as suppositories.

Preparations

Proprietary Preparations (details are given in Part 3)
Fr.: Quotane; ***Ger.:*** Haenal; Isochinol†; ***Switz.:*** Isochinol.

Multi-ingredient: ***Fr.:*** Rectoquotane.

Ropivacaine Hydrochloride

(BANM, rINNM)

AL-281; Hidrocloruro de ropivacaína; Ropivacaïne, chlorhydrate de; Ropivacaini hydrochloridum; Ropivakaiinihydrokloridi; Ropivakain Hidroklorür; Ropivakainhydroklorid. (*S*)-2′,6′-Dimethyl-1-propylpiperidine-2-carboxanilide hydrochloride monohydrate.

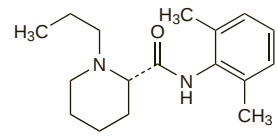
Ропивакаина Гидрохлорид

$C_{17}H_{26}N_2O$,HCl,H_2O = 328.9.

CAS — 84057-95-4 (ropivacaine); 98717-15-8 (anhydrous ropivacaine hydrochloride); 132112-35-7 (ropivacaine hydrochloride monohydrate).

ATC — N01BB09.

ATC Vet — QN01BB09.



(ropivacaine)

Pharmacopoeias. In *Eur.* (see p.vii) and *US*.

Ph. Eur. 6.2 (Ropivacaine Hydrochloride Monohydrate). A white or almost white, crystalline powder. Soluble in water and in alcohol; slightly soluble in dichloromethane. pH of a 2% solution in water is 4.5 to 6.0.

USP 31 (Ropivacaine Hydrochloride). A white crystalline powder. Soluble in water. A 1% solution in water has a pH of 4.5 to 6.0.

Adverse Effects, Treatment, and Precautions

As for Local Anaesthetics in general, p.1850.

Ropivacaine is contra-indicated for use in intravenous regional anaesthesia (Bier's block) and for paracervical block in obstetrics.

Effects on the cardiovascular system. Ropivacaine is structurally related to bupivacaine, but data from extensive *animal* studies suggest that ropivacaine may be less cardiotoxic than bupivacaine.[1] Results from a study[2] in 12 healthy male volunteers support these data; at doses producing CNS symptoms car-