some countries. Carbonated solutions of prilocaine have also been tried in some countries in epidural and brachial plexus nerve blocks (see under Administration, p.1852). Prilocaine is used for surface anaesthesia in a eutectic mixture with lidocaine. (Local anaesthetic techniques are discussed on p.1853.)

The dosage used in various **local anaesthetic procedures** varies with the site of injection and the procedure used. The recommended **maximum single dose** in adults for prilocaine hydrochloride is 400 mg if used alone, or 300 mg if used with felypressin. Doses should be reduced in elderly or debilitated patients. The dose for children over 6 months of age is up to 5 mg/kg. For *dental infiltration* or *dental nerve blocks*, the usual adult dose of prilocaine hydrochloride without felypressin is 40 to 80 mg (1 to 2 mL) as a 4% solution; children under 10 years generally require about 40 mg (1 mL). Similar doses of the 4% solution with adrenaline (1:200 000) may be used for most routine dental procedures. The usual adult dose of prilocaine hydrochloride with felypressin 0.03 international units/mL is 30 to 150 mg (1 to 5 mL) as a 3% solution; children under 10 years generally require 30 to 60 mg (1 to 2 mL).

A eutectic mixture of prilocaine base 2.5% and lidocaine base 2.5% (see Surface Anaesthesia, under Lidocaine, p.1866) is applied as a cream under an occlusive dressing to produce *surface anaesthesia* of the skin before procedures requiring needle puncture, surgical treatment of localised lesions, and split skin grafting; it has been used similarly, but without an occlusive dressing, before removal of genital warts.

Action. For a comparison of the vasoactivity of prilocaine and some other local anaesthetics, see p.1852.

Infiltration anaesthesia. Addition of felypressin at a concentration of 0.03 international units/mL to prilocaine 3% injection did not reduce plasma concentrations of prilocaine after infiltration of a 60-mg dose into the upper premolar region.[1]

1. Cannell H, Whelpton R. Systemic uptake of prilocaine after injection of various formulations of the drug. *Br Dent J* 1986; **160:** 47–9.

Preparations

BP 2008: Prilocaine Injection;
USP 31: Lidocaine and Prilocaine Cream; Prilocaine and Epinephrine Injection; Prilocaine Hydrochloride Injection.

Proprietary Preparations (details are given in Part 3)

Austral.: Citanest; Citanest Dental; ***Belg.:*** Citanest; ***Braz.:*** Citanest; Citocaina; ***Canad.:*** Citanest†; ***Denm.:*** Citanest Octapressin; ***Fin.:*** Citanest Octapressin; ***Ger.:*** Xylonest; ***Ital.:*** Citanest con Octapressin; ***Mex.:*** Citanest Octapresin†; Pristesin F; ***Neth.:*** Citanest; Citanest Octapressine; ***Norw.:*** Citanest Octapressin; ***NZ:*** Citanest; Citanest with Octapressin†; ***Spain:*** Citanest; Citanest Octapressin; ***Swed.:*** Citanest; Citanest Octapressin; ***Switz.:*** Citanest Octapressin; Xylonest; ***Turk.:*** Citanest; Citanest Octapressin; ***UK:*** Citanest; Citanest with Octapressin; ***USA:*** Citanest.

Multi-ingredient: ***Arg.:*** Emla; ***Austral.:*** Emla; ***Austria:*** Emla; ***Belg.:*** Emla; ***Braz.:*** Emla; ***Canad.:*** Emla; ***Chile:*** Eutecaina; ***Cz.:*** Emla; ***Denm.:*** Emla; Oraqix; ***Fin.:*** Emla; Oraqix; ***Fr.:*** Emla; Emlapatch; Oraqix; ***Ger.:*** Emla; ***Gr.:*** Emla; Prinex; ***Hong Kong:*** Emla; ***Indon.:*** Emla; Estesia; Topsy; ***Irl.:*** Emla; ***Israel:*** Emla; ***Ital.:*** Emla; ***Malaysia:*** Emla; ***Mex.:*** Emla; ***Neth.:*** Emla; Oraqix; ***Norw.:*** Emla; Oraqix; ***NZ:*** Emla; ***Philipp.:*** Emla; ***Pol.:*** Emla; ***Port.:*** Emla; Oraqix; ***Rus.:*** Emla (Эмла); ***S.Afr.:*** Emla; Topla; ***Singapore:*** Emla; ***Spain:*** Emla; ***Swed.:*** Emla; Oraqix; ***Switz.:*** Emla; ***Thai.:*** Emla; ***Turk.:*** Emla; ***UK:*** Emla; Oraqix; ***USA:*** Emla.

Procaine Hydrochloride *(BANM, rINNM)*

Allocaine; Ethocaine Hydrochloride; Hidrocloruro de procaína; Novocainum; Procaïne, chlorhydrate de; Procaini hydrochloridum; Procainii Chloridum; Procainium Chloride; Prokaiinihydrokloridi; Prokain Hidroklorür; Prokain-hidroklorid; Prokain-hydrochlorid; Prokainhydroklorid; Prokaino hidrochloridas; Prokainy chlorowodorek; Syncaine. 2-Diethylaminoethyl 4-aminobenzoate hydrochloride.

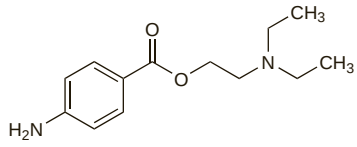
Прокаина Гидрохлорид

$C_{13}H_{20}N_2O_2$,HCl = 272.8.

CAS — 59-46-1 (procaine); 51-05-8 (procaine hydrochloride).

ATC — C05AD05; N01BA02; S01HA05.

ATC Vet — QC05AD05; QN01BA02; QS01HA05.



(procaine)

Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), *Int.*, *Jpn*, *US*, and *Viet.*

Ph. Eur. 6.2 (Procaine Hydrochloride). A white or almost white crystalline powder or colourless crystals. Very soluble in water; soluble in alcohol. A 2% solution in water has a pH of 5.0 to 6.5. Protect from light.

USP 31 (Procaine Hydrochloride). Odourless, small, white crystals or white, crystalline powder. Soluble 1 in 1 of water and 1 in 15 of alcohol; slightly soluble in chloroform; practically insoluble in ether.

Incompatibility. Procaine hydrochloride has been reported to be incompatible with aminophylline, barbiturates, magnesium sulfate, phenytoin sodium, sodium bicarbonate, and amphotericin B.

Stability of solutions. Degradation of procaine in a cardioplegic solution containing magnesium, sodium, potassium, and calcium salts was found to be temperature dependent.[1] At a storage temperature of 6° the shelf-life of the solution was 5 weeks and this was increased to 9 weeks when the storage temperature was –10°. Using carbon dioxide instead of nitrogen in the head space did not affect stability of procaine.

1. Synave R, *et al*. Stability of procaine hydrochloride in a cardioplegic solution containing bicarbonate. *J Clin Hosp Pharm* 1985; **10:** 385–8.

Adverse Effects, Treatment, and Precautions

As for Local Anaesthetics in general, p.1850.

Effects on the cardiovascular system. Severe hypotension leading to cardiac arrest and death developed in a patient following the infusion of 600 mg of procaine for malignant hyperthermia.[1]

1. MacLachlan D, Forrest AL. Procaine and malignant hyperthermia. *Lancet* 1974; **i:** 355.

Hypersensitivity. Of 600 persons with dermatitis or eczema submitted to patch testing with 2% aqueous solution of procaine hydrochloride, 4.8% gave a positive reaction.[1]

For reports of hypersensitivity including anaphylactic reactions associated with procaine and other local anaesthetics, see under Adverse Effects of Local Anaesthetics, p.1850.

1. Rudzki E, Kleniewska D. The epidemiology of contact dermatitis in Poland. *Br J Dermatol* 1970; **83:** 543–5.

Systemic lupus erythematosus. The limited theoretical risk from using procaine for local anaesthesia in patients who have had procainamide-induced SLE was aired some years ago.[1-3]

1. Dubois EL. Procaine anesthesia after procainamide-induced systemic erythematosus. *JAMA* 1977; **238:** 2201.
2. Alarcón-Segovia D. Procaine anesthesia after procainamide-induced systemic erythematosus. *JAMA* 1977; **238:** 2201.
3. Lee SL. Procaine anesthesia after procainamide-induced systemic erythematosus. *JAMA* 1977; **238:** 2201.

Interactions

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

Diuretics. Use with *acetazolamide* extends the plasma half-life of procaine.[1]

1. Calvo R, *et al*. Effects of disease and acetazolamide on procaine hydrolysis by red blood cell enzymes. *Clin Pharmacol Ther* 1980; **27:** 179–83.

Pharmacokinetics

Procaine is poorly absorbed from mucous membranes and is usually given parenterally. It is rapidly hydrolysed by plasma cholinesterase to para-aminobenzoic acid and diethylaminoethanol; some may also be metabolised in the liver. Only about 6% is bound to plasma proteins. About 80% of the para-aminobenzoic acid is excreted unchanged or conjugated in the urine. About 30% of the diethylaminoethanol is excreted in the urine, the remainder being metabolised in the liver.

See also under Local Anaesthetics, p.1852.

Uses and Administration

Procaine hydrochloride, a para-aminobenzoic acid ester, is a local anaesthetic with actions and uses similar to those described on p.1852. Because of its poor penetration of intact mucous membranes, procaine is ineffective for surface application and has been chiefly used by injection, although in general it has been replaced by lidocaine and other local anaesthetics. It has a slow onset of action and a short duration of action. It has vasodilator activity and therefore a vasoconstrictor may be added to delay absorption and increase the duration of action. Procaine has mainly been used for infiltration anaesthesia, peripheral nerve blocks, and spinal block. (Local anaesthetic techniques are discussed on p.1853.) It has also been used in cardioplegic solutions to protect the myocardium during cardiac surgery.

For *infiltration anaesthesia* 0.25 or 0.5% solutions of procaine hydrochloride have been used in doses of 350 to 600 mg.

For *peripheral nerve block* a usual dose of 500 mg of procaine hydrochloride has been given as a 0.5% (100 mL), 1% (50 mL), or 2% (25 mL) solution. Doses up to 1 g have been used. For infiltration and peripheral nerve block adrenaline has been added to solutions, in general to give a final concentration of 1 in 200 000 to 1 in 100 000.

Procaine hydrochloride has been used with propoxycaine in dentistry.

Procaine forms poorly soluble salts or conjugates with some drugs, for example penicillin, and is used to prolong their action after injection. It may also reduce the pain of injection.

Procaine-*N*-glucoside hydrochloride has been included in a preparation for gastrointestinal disorders, and procaine ascorbate has been included in a multivitamin preparation.

Action. For a comparison of the vasoactivity of procaine and some other local anaesthetics, see p.1852.

Preparations

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

Proprietary Preparations (details are given in Part 3)

Arg.: Endocaina†; Fadacaina; Procanest; ***Austria:*** Geroaslan H3; Gerovital H3; Novanaest; ***Canad.:*** Novocain†; ***Ger.:*** Hewedolor Procain; Lophakomp-Procain; Novocain†; Pasconeural-Injektopas; ***Hong Kong:*** Gerovital H3; ***Ital.:*** Lenident; ***USA:*** Novocain; ***Venez.:*** Artrocel; Bioquel; Genaplex.

Multi-ingredient: ***Arg.:*** 6 Copin; Dastonil; Gero H3 Aslan†; Gingeron; Muco-Anestyl†; Otalex G; Otonorthia; Sicadentol Plus†; ***Austral.:*** Cardioplegia Concentrate; ***Austria:*** Aslavital; Causat; Gerontin; KH3; Regenerin†; ***Braz.:*** Aftine; Algidente†; Bismu-Jet; Claudemor; Colutoide; Dentisan; Fonergin; Otobel†; Otoloide; Oturga; Passaja†; Pradente†; Timpanol†; Usedent†; ***Chile:*** Betonvit†; Diltotal; KH3-Vit†; KH3†; Megavit†; Pantiban; ***Cz.:*** Solutan†; Solutio Thomas cum Procaino; ***Denm.:*** Kardioplex; ***Ger.:*** Bismolan N†; Cardioplegin N†; Gero H3 Aslan; Hewedolor plus Coffein; KH3†; NeyPulpin N (Revitorgan-Dilutionen N Nr 10)†; Otalgan; Polytamin†; Procaneural†; Revicain comp plus†; Revicain comp†; Revicain†; Veno-Kattwiga N†; ***Gr.:*** Cardioplegia; ***Hong Kong:*** Cardioplegia; KH3; ***Hung.:*** Hemorid; Noditran†; Trypsin†; ***Indon.:*** Cardioplegia; ***Israel:*** Bedodeka Antineuralgica†; ***Ital.:*** Dentosedina; Ginvapast; Mios; Neo-Ustiol; Otalgan; Otomidone; Otopax; Rinantipiol†; Ustiosan; ***Malaysia:*** Cardioplegia; ***NZ:*** KH3; ***Port.:*** Claudemor†; Otocalma†; ***Rus.:*** Solutan (Солутан); ***S.Afr.:*** Salusa†; Universal Earache Drops; ***Singapore:*** Cardioplegia; ***Spain:*** Anestesia Loc Braun S/A; Co Bucal; Coliriocilina Adren Astr; Dentol Topico; Eupnol; Kanafosal; Kanafosal Predni; Neocolan; Nulacin Fermentos; Oftalmol Ocular; Otalgan†; Otosedol; Tangenol†; ***Switz.:*** Anaestalgin; Ginvapast; Otalgan; Otosan; ***Thai.:*** Cardioplegia; KH3.

Used as an adjunct in: ***Arg.:*** Betametasona B12; ***Braz.:*** Cianotrat-Dexa; Dexa-Neuriberi†; Dexacobal; Dexador; Dexagil; Dexaneurin; ***Ger.:*** Eukalisan N; ***Ital.:*** Neuroftal†; ***Malaysia:*** Alinamin B12†; ***Singapore:*** Alinamin B12†; ***Spain:*** Sulmetin Papaverina†; Sulmetin†; ***USA:*** Hytinic†.

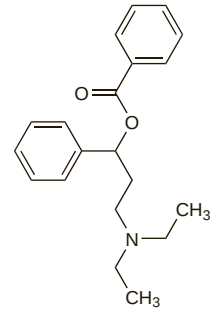
Propanocaine Hydrochloride *(rINNM)*

467D$_3$; Hidrocloruro de propanocaína; Propanocaïne, Chlorhydrate de; Propanocaini Hydrochloridum. 3-Diethylamino-1-phenylpropyl benzoate hydrochloride.

Пропанокаина Гидрохлорид

$C_{20}H_{25}NO_2$,HCl = 347.9.

CAS — 493-76-5 (propanocaine); 1679-79-4 (propanocaine hydrochloride).



(propanocaine)

Profile

Propanocaine hydrochloride, a benzoic acid ester, is a local anaesthetic (p.1850) that has been used topically for surface anaesthesia.