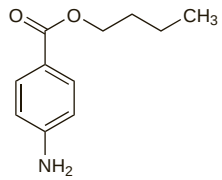
Butyl Aminobenzoate

Butamben *(USAN)*; Butilaminobenzoato; Butoforme. Butyl 4-aminobenzoate.

$C_{11}H_{15}NO_2$ = 193.2.
CAS — 94-25-7.



Pharmacopoeias. In *Fr.* and *US*.
USP 31 (Butamben). A white, odourless, crystalline powder. M.p. 57° to 59°. Soluble 1 in 7000 of water; soluble in alcohol, in ether, in chloroform, in fixed oils, and in dilute acids. It slowly hydrolyses when boiled with water.

Butyl Aminobenzoate Picrate

Abbott-34842; Butamben Picrate *(USAN)*; Butilaminobenzoato, picrato de.

$(C_{11}H_{15}NO_2)_2,C_6H_3N_3O_7$ = 615.6.
CAS — 577-48-0.

Profile

Butyl aminobenzoate, a para-aminobenzoic acid ester, is a local anaesthetic (p.1850) that has been used for surface anaesthesia of the skin and mucous membranes. It has also been used for relief of pain and pruritus associated with anorectal disorders. A suspension of butyl aminobenzoate 5 or 10% has been given epidurally.

Butyl aminobenzoate picrate has been applied to the skin as an ointment.

◊ References.

1. Korsten HH, *et al.* Long-lasting epidural sensory blockade by n-butyl-p-aminobenzoate in the terminally ill intractable cancer pain patient. *Anesthesiology* 1991; **75:** 950–60.
2. Armstrong DG, Kanat IO. Analgesic efficacy of topical butamben picrate. *J Am Podiatr Med Assoc* 1995; **85:** 738–40.
3. Shulman M, *et al.* Nerve blocks with 5% butamben suspension for the treatment of chronic pain syndromes. *Reg Anesth Pain Med* 1998; **23:** 395–401.

Preparations

USP 31: Benzocaine, Butamben, and Tetracaine Hydrochloride Gel; Benzocaine, Butamben, and Tetracaine Hydrochloride Ointment; Benzocaine, Butamben, and Tetracaine Hydrochloride Topical Aerosol; Benzocaine, Butamben, and Tetracaine Hydrochloride Topical Solution; Erythromycin Ethylsuccinate Injection.

Proprietary Preparations (details are given in Part 3)
Braz.: Unguento Picrato de Butesin.

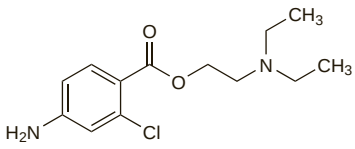
Multi-ingredient: ***Austral.:*** Butesin Picrate†; ***Chile:*** Butesin; ***Fr.:*** Nestosyl; Preparation H; ***India:*** Proctosedyl; ***Ital.:*** Prurex; ***Spain:*** Alvogil; Topicaina†; ***Switz.:*** Alvogyl; ***USA:*** Cetacaine.

Chloroprocaine Hydrochloride *(rINNM)*

Chloroprocaïne, Chlorhydrate de; Chloroprocaini Hydrochloridum; Hidrocloruro de cloroprocaína. 2-Diethylaminoethyl 4-amino-2-chlorobenzoate hydrochloride.

Хлоропрокаина Гидрохлорид

$C_{13}H_{19}ClN_2O_2$,HCl = 307.2.
CAS — 133-16-4 (chloroprocaine); 3858-89-7 (chloroprocaine hydrochloride).
ATC — N01BA04.
ATC Vet — QN01BA04.



(chloroprocaine)

Pharmacopoeias. In *US*.
USP 31 (Chloroprocaine Hydrochloride). A white odourless crystalline powder. Soluble 1 in 20 of water and 1 in 100 of alcohol; very slightly soluble in chloroform; practically insoluble in ether. Solutions are acid to litmus.

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. Chloroprocaine is said to be unsuitable for intravenous regional anaesthesia (Bier's block) because of a high incidence of thrombophlebitis associated with such use. It is also contra-indicated in spinal anaesthesia due to potential neurotoxicity.

Interactions

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

Pharmacokinetics

Chloroprocaine is hydrolysed rapidly in the circulation by plasma cholinesterase. It has a half-life of 19 to 26 seconds in adults. It is excreted in the urine mainly as metabolites.

See also under Local Anaesthetics, p.1852.

Uses and Administration

Chloroprocaine, a para-aminobenzoic acid ester, is a local anaesthetic with actions and uses similar to those described on p.1852. It has properties similar to those of procaine (p.1869). It has a rapid onset (6 to 12 minutes) and short duration (one hour) of action.

Chloroprocaine is used as the hydrochloride for infiltration, peripheral nerve block, and central nerve block including lumbar and caudal epidural blocks. It may be given, if necessary, with adrenaline 1 in 200 000 to delay absorption and reduce toxicity. Chloroprocaine is not an effective surface anaesthetic. It should not be used for spinal anaesthesia. (Local anaesthetic techniques are discussed on p.1853.)

The dosage of chloroprocaine used depends on the site of injection and the procedure used. In adults the **maximum single dose** of chloroprocaine hydrochloride without adrenaline should not exceed 800 mg; when given with adrenaline 1 in 200 000 the maximum single dose should not exceed 1 g. A test dose of chloroprocaine, preferably with adrenaline, should be given before starting epidural block to detect inadvertent intravascular injection. Doses for various procedures include:

- *mandibular nerve block*: 40 to 60 mg (2 to 3 mL) as a 2% solution
- *infra-orbital nerve block*: 10 to 20 mg (0.5 to 1 mL) as a 2% solution
- *brachial plexus block*: 600 to 800 mg (30 to 40 mL) as a 2% solution
- *digital nerve block*: 30 to 40 mg (3 to 4 mL) as a 1% solution without adrenaline
- in obstetrics a dose of 200 mg (10 mL) per side as a 2% solution is suggested for *pudendal block* and for a *paracervical block* a 1% solution in a dose of 30 mg (3 mL) at each of 4 sites
- *lumbar epidural block*: 40 to 50 mg (2 to 2.5 mL) as a 2% solution or 60 to 75 mg (2 to 2.5 mL) as a 3% solution for each segment to be anaesthetised, the usual total dose being 300 to 750 mg with smaller repeat doses being given at intervals of 40 to 50 minutes
- *caudal block*: 300 to 500 mg (15 to 25 mL) as a 2% solution or 450 to 750 mg (15 to 25 mL) as a 3% solution may be given and repeated at intervals of 40 to 60 minutes

Dosages should be reduced in children, elderly or debilitated patients, and those with cardiac or liver disease. For children concentrations of 0.5 to 1% are suggested for infiltration and 1 to 1.5% for nerve block procedures.

Preparations

USP 31: Chloroprocaine Hydrochloride Injection.

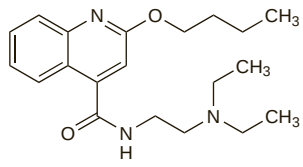
Proprietary Preparations (details are given in Part 3)
Canad.: Nesacaine; ***Switz.:*** Ivracain; Nesacain; ***USA:*** Nesacaine.

Cinchocaine *(BAN, rINN)*

Cincainum; Cinchocaïne; Cinchocainum; Cincocaína; Cinkokain; Dibucaine; Sinkokaiini. 2-Butoxy-*N*-(2-diethylaminoethyl)cinchoninamide; 2-Butoxy-*N*-(2-diethylaminoethyl)quinoline-4-carboxamide.

Цинхокаин

$C_{20}H_{29}N_3O_2$ = 343.5.
CAS — 85-79-0.
ATC — C05AD04; D04AB02; N01BB06; S01HA06.
ATC Vet — QC05AD04; QD04AB02; QN01BB06; QS01HA06.



Pharmacopoeias. In *US*.
USP 31 (Dibucaine). A white to off-white powder, with a slight characteristic odour. M.p. 62.5° to 66°. Soluble 1 in 4600 of water, 1 in 0.7 of alcohol, 1 in 0.5 of chloroform, and 1 in 1.4 of ether; soluble in 1N hydrochloric acid. It darkens on exposure to light. Store in airtight containers. Protect from light.

Cinchocaine Hydrochloride *(BANM, rINNM)*

Cincaini Chloridum; Cinchocaïne, chlorhydrate de; Cinchocaini hydrochloridum; Cinchokain-hidroklorid; Cinchokain-hydrochlorid; Cinchokaino hidrochloridas; Cinkokainhydroklorid; Dibucaine Hydrochloride; Dibucainium Chloride; Hidrocloruro de cincocaína; Percainum; Sinkokaiinihydrokloridi; Sinkokain Hidroklorür; Sovcainum.

Цинхокаина Гидрохлорид

$C_{20}H_{29}N_3O_2$,HCl = 379.9.
CAS — 61-12-1.
ATC — C05AD04; D04AB02; N01BB06; S01HA06.
ATC Vet — QC05AD04; QD04AB02; QN01BB06; QS01HA06.

NOTE. This compound was originally marketed under the name Percaine, but accidents occurred owing to the confusion of this name with procaine.

Pharmacopoeias. In *Eur.* (see p.vii), *Jpn*, and *US*.
Ph. Eur. 6.2 (Cinchocaine Hydrochloride). A white or almost white, crystalline powder or colourless crystals; it is hygroscopic. It agglomerates very easily. Very soluble in water; freely soluble in alcohol, in acetone, and in dichloromethane. A 2% solution in water has a pH of 5.0 to 6.0. Store in airtight containers. Protect from light.
USP 31 (Dibucaine Hydrochloride). Colourless or white to off-white crystals or white to off-white, crystalline powder. It is odourless, somewhat hygroscopic, and darkens on exposure to light. Freely soluble in water, in alcohol, in acetone, and in chloroform. Its solutions have a pH of about 5.5. Store in airtight containers. Protect from light.

Profile

Cinchocaine is an amide local anaesthetic (p.1850) that is now generally only used for surface anaesthesia. It is one of the most potent and toxic of the long-acting local anaesthetics and its parenteral use was restricted to spinal anaesthesia.

For surface anaesthesia cinchocaine has been used, as the base or hydrochloride, in creams and ointments containing up to 1% and in suppositories for the temporary relief of pain and itching associated with skin and anorectal conditions. Cinchocaine benzoate has also been used topically.

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

Plasma cholinesterase deficiency. For mention of the use of cinchocaine in the determination of plasma cholinesterase activity, see under Precautions of Suxamethonium Chloride, p.1911.

Preparations

USP 31: Dibucaine Cream; Dibucaine Hydrochloride Injection; Dibucaine Ointment.

Proprietary Preparations (details are given in Part 3)
Braz.: Nupercainal; ***Canad.:*** Nupercainal; ***Denm.:*** Cincain; ***Ger.:*** Dolo-Posterine N; ***India:*** Nupercainal; ***Port.:*** Nupercainal; ***Swed.:*** Cincain; ***UK:*** Nupercainal; ***USA:*** Nupercainal.

Multi-ingredient: ***Arg.:*** Procto Venart; Proctyl; Scheriproct; Ultraproct; ***Austral.:*** Proctosedyl; Rectinol HC; Scheriproct; Ultraproct; ***Austria:*** Ciloprin cum Anaestheticо†; Scheriproct; Ultraproct; ***Belg.:*** Scheriproct; Trihistalex; Ultraproct; ***Braz.:*** Proctyl; Senol†; Ultraproct; ***Canad.:*** Nupercainal; Proctol; Proctomyxin HC; Proctosedyl; ratio-Proctosone; ***Chile:*** Scheriproct; Ultraproct; ***Cz.:*** Aviril H†; Faktu; Otobacid N; Proctosedyl†; Proctospre†; Spofax; ***Denm.:*** Proctosedyl; ***Fin.:*** Ciloprin cum Anaestheticо†; Faktu†; Proctosedyl; Scheriproct; ***Fr.:*** Deliproct; Ultraproct; ***Ger.:*** Anumedin†; Faktu; Otobacid N; Procto-Kaban†; Proctospre†; Scheriproct†; Ultraproct†; ***Gr.:*** Scheriproct Neo; ***Hong Kong:*** Borraginol-N; Decatylen; Faktu; Proctosedyl†; Proctosone†; Ultraproct†; ***India:*** Otogesic; ***Indon.:*** Borraginol-N; Faktu; Ultraproct; ***Irl.:*** Proctosedyl; Scheriproct; Ultraproct; ***Ital.:*** Ultraproct; ***Jpn:*** Una A Gel; ***Malaysia:*** Decatylen; Proctosedyl; Proctosone†; ***Mex.:*** Proctoacid; Scheriproct; Ultraproct; ***Neth.:*** Proctosedyl; ***Norw.:*** Proctosedyl; Scheriproct; ***NZ:*** Proctosedyl; Ultraproct; ***Philipp.:*** Faktu; Proctosedyl; Ultraproct; ***Pol.:*** Proctosone; ***Port.:*** Decatyleno; Faktu; Scheriproct; ***Rus.:*** Ultraproct (Ультрапрокт); ***S.Afr.:*** Cepacaine†; Medi-Keel A; Proctosedyl; Scheriproct; ***Singapore:*** Decatylen; Faktu†; Proctosedyl; ***Spain:*** Anestesia Loc Braun S/A; Ruscus; Scheriproct; ***Swed.:*** Proctosedyl†; Scheriproct N; ***Switz.:*** Ciloprin ca†; Decatylene Neo; Faktu; Locaseptil-Neo; Scheriproct; ***Thai.:*** Proctosedyl; Scheriproct†; ***Turk.:*** Ultraproct; ***UAE:*** Supraproct-S; ***UK:*** Proctosedyl; Scheriproct; Ultraproct; Uniroid-HC; ***USA:*** Corticaine; ***Venez.:*** Scheriproct.

Coca ⊗

Coca Leaves; Hoja de Coca.

Profile

Coca is the dried leaves of *Erythroxylum coca* (Bolivian or Huanuco leaf) or of *E. truxillense* (Peruvian or Truxillo leaf) (Erythroxylaceae), indigenous to Bolivia and Peru and cultivated in Colombia and Indonesia.

Coca leaves contain about 0.7 to 1.5% of total alkaloids, of which cocaine, cinnamyl-cocaine, and α-truxilline are the most important.

Coca was formerly used for its stimulant action and for the relief of gastric pain, nausea, and vomiting, but it has no place in modern medicine. The practice of coca leaf chewing still continues in South America.

Cocaine *(BAN)* ⊗

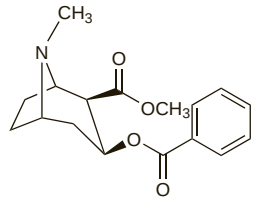
Cocaína; Cocainum; Kokaiini; Kokain; Methyl Benzoylecgonine. (1*R*,2*R*,3*S*,5*S*)-2-(Methoxycarbonyl)tropan-3-yl benzoate.

$C_{17}H_{21}NO_4$ = 303.4.

CAS — 50-36-2.

ATC — N01BC01; R02AD03; S01HA01; S02DA02.

ATC Vet — QN01BC01; QR02AD03; QS01HA01; QS02DA02.



NOTE. The following terms have been used as 'street names' (see p.vi) or slang names for various forms of cocaine:
24-7; 151; 256; A1-Yola; All-American drug; Angie; Apple jacks; Aspirin; Aunt; Aunt Nora; Baby T; Bad; Badrock; Bahsay; Baise; Ball; Balls Mahoney; Bane; Barbs; Basa; Base; Baseball; Basing; Basuco; Batman; Bazooka; Bazulco; Beak; Beam; Beamers; Beans; Beat; Beautiful boulders; Bebe; Beemers; Berni; Bernice; Bernie; Bernie's flakes; Bernie's gold dust; Big bloke; Big C; Big flake; Big rush; Bill blass; Billie hoke; Bing; Bing Crosby; Bings; Birdie powder; Biscuits; Bjs; BJ's; B.J.'s; Black rock; Blanca; Blanco; Blast; Blizzard; Blotter; Blow; Blowcaine; Blowout; Blue; Bobo; Bogota Bullion; Bolivian; Bolivian marching powder; Bollo; Bolo; Bomb; Bonecrusher; Bones; Booger; Booger Sugar; Boost; Booth; Bopper; Botray; Boubou; Boulder; Boulya; Bouncing powder; Boutros; Boy; Branco; Breakfast of champions; Brick; Brooke Shields; Bubble gum; Bugar sugar; Buger sugar; Bullia capital; Bullion; Bump; Bumper; Bunk; Burese; Burnese; Bush; Butler; Butter; Butter Sandwich; Butter Sandwiches; Butu; C; Cabello; Cadillac; Caine; Cakes; California cornflakes; Came; Candy; Candy C; Candy cane; Candy sugar; Candycaine; Cane; Cap; Caps; Capsula; Carnie; Carrie; Carrie Nation; Casper; Casper the ghost; Cat's pee; Caviar; CDs; C-dust; Cecil; C-game; Cha; Chabbie; Chach; Chalk; Champagne; Champagne of drugs; Chan; Chandi; Chang; Charlie; Charlie girl; Chaz; Cheap basing; Cheddar; Cheese; Chemical; Chewies; Chez; Chinese Sky Candy; Ching; Chippy; Choe; Cholly; Climax; Cloud; Cloud nine; Coc; Co-caee-na; Coca; Coca-Cola; Coco; Coconut; Cokane; Coke; Cola; Colombian Dancing Dust; Colombian Foot Soldiers; Colombian Marching Powder; Colombo; Colorado; Combol; Cookie; Cookies; Coover; Coovers; Corine; Cornbread; Corrine; Corrinne; Crack; Crackers; Crank; Crib; Crill; Cristaux; Crow; Crumbs; Crunch & Munch; Crusty treats; Crystal; Cubes; Cuch; Dama blanca; Demo; Demolish; Devil drug; Devil's dandruff; Devilsmoke; Dice; Dime; Dime special; Dip; Dirty basing; Divits; DOA; Double bubble; Double yoke; Dream; Duct; Dust; Dutch Bliss; Eastside player; Egg; Eggs; El Perico; Electric koolaid; Esnortiar; Eve; Everclear; Eye opener; Eye openers; Famous dimes; Farlopa; Fast white lady; Fat bags; Fifty-one; Fish scales; Flake; Flave; Florida snow; Foo Foo; Foo foo stuff; Foo-foo dust; Foolish powder; Freebase; Freeze; French fries; Fries; Friskie powder; Fry; Gak; Garbage rock; Gas; Gear; Geek; Get your own; Gift-of-the-sun; Gift-of-the-sun-god; Gin; Girl; Girlfriend; Glad stuff; Glo; Gold; Gold dust; Gold star; Golf ball; Golf balls; Gooka; Gravel; Green gold; Grit; Grits; Groceries; Gulosa; Gutter glitter; Hail; Half track; Hamburger; Hamburger helper; Hamburgers; Handball; Happy dust; Happy powder; Happy trails; Hard; Hard ball; Hard line; Hard rock; Hardball; Have a dust; Haven dust; Heaven; Heaven dust; Heavy stuff; Hell; Henry VIII; Her; Hit; Hocus-Pocus; Hollywood; Homer; Hooter; Hoove; Hotcakes; How do you like me now?; Hubba; Hubba, I am back; Hubbas; Hunter; I am back; Ice; Ice cube; Icing; Inca message; Incentive; Issues; Ivory flakes; Jam; Jejo; Jelly; Jelly beans; Jessica Simpson; "Jiffy"; Johnny; Johnson; Joy powder; Junk; Kangaroo; Kangoroo; Kate; Kibbles & Bits; King; King's habit; Kitty; Kokomo; Kryptonite; Kubba; Kubs; Kuff; Lady; Lady C; Lady caine; Lady snow; Late night; Leaf; Lido; Line; Lines; Liquid lady; Llello; Love; Love affair; Lucifer Left-Nostril; Ma'a; Mama coca; Marching dust; Marching powder; Mayo; Merca; Merck; Merk; Mighty white; Mix; Mixed jive; Mobbeles; Mojo; Monster; Mosquitos; Movie star drug; Mr. B.; Mujer; Munch; Neige; Neve; New addition; Nieve; Nighttrain; Nose; Nose candy; Nose powder; Nose stuff; Nuggets; Number 3; One-fifty-one; One-to-one; Oyster stew; Pala; Paradise; Paradise white; Pariba; Parlay; Partying; Pasta; Paste; Patico; Pearl; Pebbles; Pee Wee; Pepsi; Percia; Percio; Perico; Peruvian; Peruvian flake; Peruvian lady; Peruvian Marching Powder; P.F.; Picnic in Stevenage; Piece; Piedra; Piedras; Pile; Piles; Pimp; Polvo blanco; Pony; Pop; Powder; Powder diamonds; Press; Prime time; Primo; Product; Purple caps; Purple haze; Quick; Quik; Quill; Race horse Charlie; Racehorse Charlie; Railers; Rane; Raw; Ready rock; Real tops; Red caps; Regular "P"; Rest in peace; Ringer; Ringers; Roca; Rock; Rock attack; Rocks; Rocks of hell; Rocky III; Rooster; Rox; Roxanne; Royalty; Roz; Rush; Schmeck; Schoolboy; Schoolcraft; Scorpion; Scottie; Scotty; Scrabble; Scramble; Scruples; Serpico 21; Seven-Up; Sevenup; Shabu; Shake; She; Sherms; Shit; Shnazzle; Shneg; Shootin' Caine; Showbiz Sherbert; Shrile; Sightball; Skeeter; Skeezell; Slab; Sleet; Sleigh ride; Smack; Smoke; Snai; Sniff; Snort; Snow; Snow bird; Snow coke; Snow cone; Snow soke; Snow toke; Snow Train; Snow white; Snowcones; Soap; Society high; Soda; Soft; Soup; Space; Space dust; Speed; Speed boat; Square time Bob; Squares; Squib; Squirrel; Star; Star dust; Star-spangled powder; Stardust; Stone; Stones; Strawberry; Street Caviar; Studio fuel; Suga buga; Sugar; Sugar block; Sugar boogers; Super cloud; Sutta; Sweet stuff; Swell up; T; Talco; Tardust; Teenager; Teeth; Tension; The champagne of stimulants; The devil; The great white hope; Thing; Tissue; Tony; Toot; Tootie; Top gun; Topo; Tornado; Toss up; Toss-ups; Trails; Trey; Troop; Turkey; Turtle stuff; Tutti-frutti; Tweaks; Twenty rock; Twinkie; Ultimate; Uptown; Uzi; Wacky dust; Wash; Washed rock; Wave; Weasel dust; Whack; White; White ball; White boy; White cloud; White Devil; White dragon; White dust; White ghost; White girl; White horse; White lade; White lady; White Lion; White mosquito; White Pony; White powder; White stuff; White sugar; White tornado; Whites; Whiz bang; Window pane; Wings; Witch; Woolies; Wrecking crew; Yada; Yadidi; Yahoo; Yak; Yale; Yam; Yams; Ya Yo; Yao; Yay; Yayo; Yayoo; Yay-yo; Yeah-O; Yeaho; Yee Yoo; Yeo; Yeyo; Yimyom; Yiz; Yola; Zing; Zip; Zulu.

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

BP 2008 (Cocaine). It may be obtained from the leaves of *Erythroxylum coca* and other spp. of *Erythroxylum*, or by synthesis. Colourless crystals or a white, crystalline powder. It is slightly volatile. M.p. 96° to 98°. Practically insoluble in water; freely soluble in alcohol and in ether; very soluble in chloroform; soluble in arachis oil; slightly soluble in liquid paraffin.

USP 31 (Cocaine). Colourless to white crystals or white, crystalline powder. M.p. 96° to 98°. Soluble 1 in 600 of water, 1 in 7 of alcohol, 1 in 1 of chloroform, 1 in 3.5 of ether, 1 in 12 of olive oil, and 1 in 80 to 100 of liquid paraffin. A saturated solution in water is alkaline to litmus. Protect from light.

Cocaine Hydrochloride *(BANM)* ⊗

Chloridrato de Cocaína; Cocaína, hidrocloruro de; Cocaïne, chlorhydrate de; Cocaine Hydrochlor.; Cocaini hydrochloridum; Cocainium Chloratum; Kokaiinihydrokloridi; Kokain-hidroklorid; Kokain-hydrochlorid; Kokainhydroklorid; Kokaino hidrochloridas; Kokainy chlorowodorek.

$C_{17}H_{21}NO_4$,HCl = 339.8.

CAS — 53-21-4.

ATC — N01BC01; R02AD03; S01HA01; S02DA02.

ATC Vet — QN01BC01; QR02AD03; QS01HA01; QS02DA02.

NOTE. CCN is a code approved by the BP 2008 for use on single unit doses of eye drops containing cocaine hydrochloride where the individual containers may be too small to bear all the appropriate labelling information.

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

Ph. Eur. 6.2 (Cocaine Hydrochloride). Colourless crystals or a white or almost white crystalline powder. M.p. about 197° with decomposition. Very soluble in water; freely soluble in alcohol. Protect from moisture and light.

USP 31 (Cocaine Hydrochloride). Colourless crystals or white, crystalline powder. Soluble 1 in 0.5 of water, 1 in 3.5 of alcohol, and 1 in 15 of chloroform; soluble in glycerol; insoluble in ether. Protect from light.

Stability in solutions. ***ALKALIS***. Solutions of cocaine hydrochloride are adversely affected by alkalis.

PHENOL. A stability study[1] was conducted in response to queries over conflicting data on the incompatibility of cocaine hydrochloride solutions and phenol. Some pharmacists had reported that cocaine hydrochloride eye drops preserved with phenol had shown no sign of physical incompatibility. The BPC 1973 states that cocaine hydrochloride is incompatible with phenol but suggests that cocaine hydrochloride solutions may be preserved with chlorocresol. The study found that there was no sign of physical incompatibility in aqueous solutions containing cocaine hydrochloride 5% and phenol 0.5% stored for a year at temperatures of 0° to 37° but there was a fall in pH, greatest at the higher temperatures, which was suggestive of chemical change. It was recommended that such solutions should be stored in a cool place.

1. *PSGB Lab Report P/75/14* 1975.

Adverse Effects

Because the therapeutic use of cocaine is now very restricted many reports of adverse effects occur in the context of abuse. However, both systemic and local effects have followed its use as a surface anaesthetic. Although some effects are similar to those of other local anaesthetics (p.1850), cocaine differs in that it acts as a potent indirect-acting sympathomimetic. It stimulates the CNS causing agitation, dilated pupils, tachycardia, hypertension, hallucinations, hypertonia, and hyperreflexia. Convulsions, coma, and metabolic acidosis may develop. Symptoms of CNS stimulation and sympathetic overactivity are very marked in overdosage with cocaine. A single oral dose of 1 g may be fatal, but some persons have a cocaine idiosyncrasy and severe toxicity may occur after doses of only 10 mg intravenously. Systemic absorption of small doses may slow the heart, but with increasing doses tachycardia, hypertension, and ventricular fibrillation occur.

High concentrations of cocaine should not be used topically as, in addition to risks of systemic toxicity after absorption, lasting local damage may occur.

Topical application of cocaine to the cornea can cause corneal damage with clouding, pitting, sloughing, and occasionally ulceration. Topical application to the nose or mouth has been reported to cause loss of smell and taste respectively.

Prolonged use of cocaine by nasal inhalation may cause mucosal damage or perforation of the nasal septum.

Abuse. Cocaine abuse and its effects have been discussed in a number of reviews.[1-6]

Cocaine abuse was once only in the form of chewing of coca leaves containing small amounts of cocaine, but processing of the leaves has led to abuse with a variety of more dangerous preparations containing higher concentrations of cocaine.[7] Coca paste, produced by maceration of the leaves with petrol and sulfuric acid, contains about 40 to 90% of cocaine sulfate and is smoked with tobacco or cannabis. Treatment of coca paste with hydrochloric acid produces cocaine hydrochloride, which is abused by intravenous injection, either alone or with diamorphine, or by sniffing to achieve nasal absorption. Alkaloidal cocaine (cocaine base; 'freebase'), which is abused by smoking, is produced by treating cocaine hydrochloride with alkali, followed either by heating (to form 'crack' cocaine) or by extracting the base from ether or another organic solvent. The route by which cocaine is taken determines the rate and extent of its absorption, and hence the abuse potential, although once absorbed, the pharmacokinetics are independent of route. Intravenous cocaine hydrochloride and smoked cocaine base have a greater potential for abuse than intranasal cocaine hydrochloride because of their greater rapidity and intensity of effects.

The psychological effects of cocaine abuse may be described by a cycle of initial euphoria followed by dysphoria and finally schizophreniform psychosis.[7,8] Euphoria may be accompanied by other symptoms of stimulation such as sexual arousal, anorexia, insomnia, hyperexcitability, loquacity, and grandiosity, and users may appear manic. After a short time these feelings are replaced by symptoms of dysphoria including considerable anxiety, fear, depression, apathy, irritability, and suspiciousness. Dysphoria may be ameliorated by repeated use, so the user develops the need to take the drug continuously to feel relatively well, but repeated use appears to diminish the intensity of the effects.[7] During euphoria and dysphoria users may experience a wide range of physical symptoms including palpitations, headache, dizziness, gastrointestinal effects, hyperhidrosis, tremors, tachycardia, hypertension, fever, and myoclonic jerks. Seizures can also occur after repeated use. In chronic abusers psychological deterioration may eventually occur, resulting in loss of mental function, compulsive disorders, suicidal ideation, psychopathic disorders, and ultimately a psychosis resembling acute paranoid schizophrenia similar to that seen with amfetamines.[7,8] Symptoms may include paranoia, stereotyped behaviour, delusions, loss of impulse control, violence, and visual, olfactory, auditory, gustatory, and tactile hallucinations. Overdosage can result in death due to status epilepticus, hyperthermia, ventricular tachycardia, and cardiac or respiratory arrest.[7]

For further details of the adverse effects of cocaine abuse, including effects due to use during pregnancy, see below.

1. Johanson C-E, Fischman MW. The pharmacology of cocaine related to its abuse. *Pharmacol Rev* 1989; **41:** 3–52.
2. Warner EA. Cocaine abuse. *Ann Intern Med* 1993: **119:** 226–35.
3. Strang J, *et al.* Cocaine in the UK—1991. *Br J Psychiatry* 1993; **162:** 1–13.
4. Das G. Cocaine abuse in North America: a milestone in history. *J Clin Pharmacol* 1993; **33:** 296–310.
5. Hatsukami DK, Fischman MW. Crack cocaine and cocaine hydrochloride: are the differences myth or reality? *JAMA* 1996; **276:** 1580–8.
6. Brownlow HA, Pappachan J. Pathophysiology of cocaine abuse. *Eur J Anaesthesiol* 2002; **19:** 395–414.
7. Arif A, ed. *Adverse health consequences of cocaine abuse.* Geneva: WHO, 1987.
8. Leikin JB, *et al.* Clinical features and management of intoxication due to hallucinogenic drugs. *Med Toxicol Adverse Drug Exp* 1989; **4:** 324–50.

ADULTERATION. For a report of methaemoglobinaemia as a result of the ingestion of cocaine adulterated with benzocaine, see Abuse under Adverse Effects of Benzocaine, p.1854.

BREAST FEEDING. The American Academy of Pediatrics[1] has stated that, when used as a drug of abuse by breast-feeding mothers, cocaine has caused signs of intoxication in the infant, notably diarrhoea, vomiting, irritability, seizures, and tremulousness.