

Articaine Hydrochloride (BANM, USAN, rINN)

40045; Articaine, chlorhydrate d'; Articaini hydrochloridum; Artikainihydrokloridi; Artikain Hidroklorür; Artikain-hidroklorid; Artikainhydroklorid; Artikainhydroklorid; Artikaino hidrochloridas; Carticaine Hydrochloride; Carticaini Hydrochloridum; Hidrochloruro de articaina; Hoe-045; Karticainhydroklorid; Kartikainihydrokloridi; Kartikain Hidroklorür; Methyl 4-methyl-3-(2-propylaminopropionamido)thiophene-2-carboxylate hydrochloride.

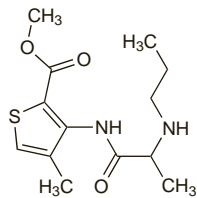
Артикаина Гидрохлорид

$C_{13}H_{20}N_2O_3S \cdot HCl = 320.8$.

CAS — 23964-58-1 (articaine); 23964-57-0 (articaine hydrochloride).

ATC — N01BB08.

ATC Vet — QN01BB08.



(articaine)

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

Ph. Eur. 6.2 (Articaine Hydrochloride). A white or almost white crystalline powder. Freely soluble in water and in alcohol. A 1% solution in water has a pH of 4.2 to 5.2. Protect from light.

Profile

Articaine hydrochloride is an amide local anaesthetic (p.1850). It has been used as a 1 or 2% solution with or without adrenaline for infiltration and regional anaesthesia. A 4% solution of articaine hydrochloride with adrenaline is used similarly in dentistry. A hyperbaric solution of articaine hydrochloride with glucose has been used for spinal block.

Porphyria. Articaine hydrochloride is considered to be unsafe in patients with porphyria because it has been shown to be porphyrinogenic in *in-vitro* systems.

Preparations

Proprietary Preparations (details are given in Part 3)

Austria: Septanest; Ubistesin; Ultracain Dental; **Belg.:** Ubistesin†; **Canad.:** Astracaine†; **Cz.:** Septanest S; Supracain; Ubistesin; Ultracain D-S†; Ultracain†; **Denm.:** Septanest; Septocaine; Ubistesin; **Fin.:** Septocaine; Ubistesin; Ultracain D-Suprarenin; **Fr.:** Alphacaine; Predesic†; Ubistesin Adrenalinee; **Ger.:** Ubistesin; Ultracain; Ultracain D-S; Ultracain hyperbar†; Ultracain Suprarenin; **Hong Kong:** Ubistesin; **Hung.:** Ubistesin; Ultracain D-S; **Ital.:** Alfaccina; Cartidont; Citocartin; Primacaine†; Sarticain; Septanest; **NZ:** Septanest; **Neth.:** Septanest; Ubistesin; Ultracain D-S; **Norw.:** Septocaine; **Port.:** Alphacaine; Artinlisa; Artinostrom; Meganest; Septanest; Ubistesin; **Rus.:** Ultracain (Ультракаин); **Spain:** Articaina C/E; Meganest; Ultracain; **Switz.:** Alphacaine; Rudocaine; Septanest; Ubistesin; Ultracain D-S; **Turk.:** Ultracain; **UK:** Septanest; **USA:** Septocaine.

Benzocaine (BAN, rINN)

Anaesthesinum; Anestezin; Anesthamine; Bensokain; Bentsokain; Benzocaina; Benzocaine; Benzocainum; Benzokain; Benzokaina; Benzokainas; Ethoform; Éthoforme; Ethyl Aminobenzoate; Ethylis Aminobenzoas. Ethyl 4-aminobenzoate.

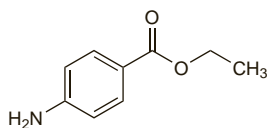
БЕНЗОКАИН

$C_9H_{11}NO_2 = 165.2$.

CAS — 94-09-7.

ATC — C05AD03; D04AB04; N01BA05; R02AD01.

ATC Vet — QC05AD03; QD04AB04; QN01AX92; QN01BA05; QR02AD01.



NOTE. The following terms have been used as 'street names' (see p.vi) or slang names for various forms of benzocaine: Coco snow.

Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), *Int.*, *Jpn.* and *US*. **Ph. Eur. 6.2** (Benzocaine). Colourless crystals or a white or almost white, crystalline powder. M.p. 89° to 92°. Very slightly soluble in water; freely soluble in alcohol. Protect from light.

USP 31 (Benzocaine). Small, white crystals or a white odourless crystalline powder. M.p. 88° to 92°. Soluble 1 in 2500 of water; 1 in 5 of alcohol, 1 in 2 of chloroform, 1 in 4 of ether, and 1 in 30 to 50 of almond oil or olive oil; dissolves in dilute acids.

Adverse Effects and Treatment

As for Local Anaesthetics in general, p.1850.

Abuse. Benzocaine has been used as an adulterant or 'cutting' agent in the preparation of cocaine for illicit use and adverse effects such as methaemoglobinemia have been seen after cocaine overdosage as a result of the benzocaine content.¹

- McKinney CD, et al. Benzocaine-adulterated street cocaine in association with methemoglobinemia. *Clin Chem* 1992; **38**: 596-7.

Hypersensitivity. The incidence of positive reactions in patients patch tested with benzocaine has ranged from 3.3 to 5.9%.^{1,2} Patch testing with benzocaine has been recommended by The International Contact Dermatitis Research Group as an indicator of contact hypersensitivity to local anaesthetics. However, it was found that 40 patients who had had positive reactions to benzocaine with tetracaine and cinchocaine, 21 were not allergic to benzocaine alone.³

- Rudzki E, Kleniewska D. The epidemiology of contact dermatitis in Poland. *Br J Dermatol* 1970; **83**: 543-5.
- Bandmann H-J, et al. Dermatitis from applied medicaments. *Arch Dermatol* 1972; **106**: 335-7.
- Beck MH, Holden A. Benzocaine—an unsatisfactory indicator of topical local anaesthetic sensitization for the UK. *Br J Dermatol* 1988; **118**: 91-4.

Precautions

As for Local Anaesthetics in general, p.1851.

Interactions

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

Pharmacokinetics

See under Local Anaesthetics, p.1852.

Uses and Administration

Benzocaine, a para-aminobenzoic acid ester, is a local anaesthetic used for surface anaesthesia (p.1853); it has low potency and low systemic toxicity. It is used, often with other drugs such as analgesics, antiseptics, antibacterials, antifungals, and antipruritics, for the temporary local relief of pain associated with dental conditions, oropharyngeal disorders, haemorrhoids, anal pruritus, and ear pain.

Lozenges containing benzocaine in usual doses of up to 10 mg are used for the relief of sore throat. Gels, pastes, solutions, and sprays containing benzocaine in concentrations of up to 20% have been used for surface anaesthesia of the mouth and throat.

Benzocaine is used in ear drops, creams, ointments, lotions, solutions, sprays, gels, and suppositories in concentrations up to 20% for topical analgesia and anaesthesia.

Benzocaine has also been used as the hydrochloride.

Obesity. It has been reported¹ that despite the inclusion of benzocaine in some over-the-counter appetite suppressants there is no good evidence of its value in obesity (p.2149).

- Anonymous. A nasal decongestant and a local anaesthetic for weight control? *Med Lett Drugs Ther* 1979; **21**: 65-6.

Preparations

USP 31: Antipyrine and Benzocaine Otic Solution; Antipyrine, Benzocaine, and Phenylephrine Hydrochloride Otic Solution; Benzocaine and Menthol Topical Aerosol; Benzocaine Cream; Benzocaine Gel; Benzocaine Lozenges; Benzocaine Ointment; Benzocaine Otic Solution; Benzocaine Topical Aerosol; Benzocaine Topical Solution; 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.

Proprietary Preparations (details are given in Part 3)

Arg.: Cerax; Lanacain†; Lodo; **Austral.:** Applacaine; **Austria:** Anaestherit; **Braz.:** Solarcaine; **Canad.:** Anbesol; Anbesol Baby; Anbesol Extra Strength; Baby Orajel; Detanet†; Maintain; ManDelay; Orajel; Outgro; Zilactin Baby; Zilactin Tooth & Gum Pain Reliever; Zilactin Toothache Swab; Zilactin-B; **Chile:** Anbesol; Baby Orajel†; BBDent Gel Topico; Dentispray; Foille; Kalmafta; Orajel†; **Cz.:** Babydent; **Ger.:** Anaesthesin; Anaesthesin N; Flavamed Halbtalbeten†; Kontakto Derm†; Labocaine; Subcutin N; Zaherol N†; **Hung.:** Babydent; **Israel:** Anadent; Baby Gel; Lanacane; Maintain; **Mex.:** Auralyl; Gomas Garde B; Graneodin B; **NZ:** Solarcaine; **Pol.:** Baby Orajel; Orajel; **Port.:** Dentispray; Topigel; **Rus.:** Relief Advance (Релиф Адванс); **S.Afr.:** Kiddigum; **Spain:** Dentispray; Gartricin†; Hurricaine; Lanacane; Nani Pre Dental; **UK:** AAA; Burneze; Lanacane; Orajel; Ultra Chloraseptic; Ultracare; **USA:** Americaine Anesthetic†; Americaine Otic†; Americaine†; Baby Anbesol; Baby Orajel; Benzocaine O-Shetic; Benzodent; Chigger-Tox; Dent's Extra Strength Toothache Gum; Dent's Maximum Strength Toothache Drops; Dent-O-Kain†; Dermoplast; Detane; Hurricaine; Lanacane; Medicone; Mycintettes; Numzident†; Orabase Baby; Orabase Gel; Orabase-B; Orajel; OraMagic Plus; Otocain; SensoGARD; Trocaine; Zilactin-B Medicated.

Multi-ingredient Arg.: Adermicina; Adermicina A; Algident; Angiotrat; Apracur Bucofaringeo†; Amecrem†; Aseptobron Carmelos; Aseptobron N; Bagociletas; Balsamina; Bucoangin N; Bucotricin; Caest; Callicida; Carmelos Antibioticos; Carmelos Antibioticos Lefmar; Carmelos Oriental; Carnot Colutorio; Cartiflex; Collubiazol; Coltix†; Cristalomocina; Dermo Vagisil Crema; Dermosan; Detebenclil; Dotrin; Esculeol P; Esmedent con Fluor; Fanaletas; Filotricin A; Flebotropin†; Fongergine; Gargaletas; Graneodin N; Hexa-Defital; Iodotiazol†; Leroid†; Lyndan; Muco-Anestyl†; Mucobase; Muelita; Nene Dent; Neo Coltrot; No-Tos Pocket; Oralson C; Otocalmia; Otoseptil; Parenclast†; Pastillas Lorbi; Pastillas Medex; Pruripelen†; Pulmosan Carmelos; Razaegleda Plus†; Salicrem; Sapuca†; Suavian N; Suavisant†; Sulfanoral T; TavineX; **Austral.:** Animine; Auralgan; Ayrton's Chiblain; Cepacaine; Cepacol Anaesthetic; Cepacol Cough & Sore Throat; Cornk†; Le Trim-BM†; Nyal Toothache Drops; Rectinol; **Austria:** Dequalinett; Dorithrin; Herposic; Sulgan 99; Tyrothrin comp; Tyrothrin compositum; **Belg.:** Transvane; **Braz.:** Albicon; Amidalin†; Amidagen; Amidagidam†; Andolba; Angiotricin; Bromil; Cepacaine; Cetildrops†; Claudemor; Dentalivio†; Dequadin; Fenotricin†; Gargotat†; Gingilone; Larintil†; Malvatricin Pastillas; Malvona†; Mentozil†; Mironoidin†; Neopiridin; Otovix†; Passilint†; Predmicin; Sanilin; Senol†; Silencium; Traumac; **Canad.:**

Anbesol Maximum Strength; Antibiotic Cold Sore Ointment; Appedrine†; Auralgan; Bionet; Boil Ease†; Cepacol Extra Strength; Chloraseptic Lozenge; Dexam†; Endospray†; Kank-A; Lanacane Medicated Cream; Onrextal; Orajel Mouth Sore Medicine; Orajel Ultra Mouth Sore; Osmopak-Plus; Oxipor; Rectogel; Rectogel HC; Solarcaine; Sore Throat Lozenges; Tanac; Thermo-Gel; Throat Lozenges; Thunars Pile†; Vagisil; **Chile:** Aucucis; Carlamyl; Kank-Eze; Konrub; Lerflinm; Medikem†; Orajel Compuesto†; Otandrol; Solarcaine Spray Aerosol; **Cz.:** Dr Rentscher Halbtalbeten†; Herbadent; Hexoral; Hexoralletten N; **Denm.:** Dolodent; Hekocain; **Fin.:** Bafucin; Toncis; **Fr.:** Nestosyl; Sedormidol; **Ger.:** Anaesthesin-Rivanol; Combustin Heilsalbe; Dolo-Dobendin; Dorithrin Original; Eulatin NN; Frubizin Forte†; Gelum†; Hexoralletten N; Inspiroil Halsschmerztabletten†; Nordapanin N†; Nordathrinic N†; Salistopem†; Stipof†; Trachiform†; Tyrosolvetten†; **Gr.:** Myalgescic†; **Hong Kong:** Borraginol-N; Pharynx; Setrongest†; Tyricine; Tyrocaine; Tyrothrinic Co; **Hung.:** Almagel A; Dorithrinic; **India:** Chloromycetin Ear Drops; Clearwax; Healex; Nit-N-Mitte†; Paraxin Ear†; Perlocyn; Proctosedyl; Scaboma; Tytin; Waxolve; **Indon.:** Benzomid; Borraginol-N; Borraginol-S; FG Ointment; Otolin; **Ir.:** Dequacaine; Mero-caine; Tyrozets; **Israel:** Anadent†; Dentin; Gingisan; Hemo; Kalgaron; Kank-A; Noxacorn; Otomylin; Proctozorin-N; Pronestin; Rafathrin with Benzocaine; Rectozorin; **Ital.:** Antiscabbia Candioli al DDT Terapeutico; Antiscabbia CM; Boma; Dentosedo; Fialetta Odontalgica Dr Knapp; Foille Scottature; Foille Sole; Golumaxin; Labocaina; Pinselina Knapp; Prepacort H; Preparazione Antiemorroidaria†; Proctidol; Proctosedyl; Proctosoll; Sedalen Cort†; Sedilene Procto†; **Malaysia:** Cetylpyridinium B; Horf; Pharynx; Setrongest†; **Mex.:** Cepacaine; Cloran Otic; Graneodin D Mentol; Otodex; Otolar; Otolon†; Soldin; Sulfrexal P; Troiclets A; **NZ:** Auralgan; Cepacaine; Cepacol Anaesthetic; Cepacol Cough Discs; Lanacane; Solarcaine; Toothache Drops†; **Philipp.:** Auralgan; United Home Burn Ointment; **Pol.:** Dentosept A; Dermopur; Hemorol; Icy Rub; Puder Plynny; Puder Plynny z Anestezyna; Pudroderm; Pudrospon; Rectosec; Sanofil; Sapoven AT; Savarix; Septolette Plus; Variderm; **Port.:** Afonina; Anginova; Claudemor†; Dek Drocpina; Halitol†; Hibitane Mentaf†; Hibitane†; Medifon; Mentocaina R; Otoceril; Solpic†; Tantum Verde; **Rus.:** Almagel A (Алмагель А); Анаэстетол (Анэстэтол); Heparin Ointment (Гепариновая Мазь); Nigepan (Нигепан); Septolette Plus (Септолете Плюс); **S.Afr.:** AAA†; Auralyl; Auraset; Aurore Forte; Benzett†; Calasthetic; Cepacaine; Cepacol Cough Discs; Cetoaxol; Covancaine; Covotop; Endcol Lozenges; Histamed; Medi-Kain†; Medi-Keel A; Orochlor; Otised; Oto-Phen Forte; Oxipor VHC; Prodol; Trochain; Viodor; **Singapore:** Dorithrinic; Pharynx; **Spain:** Angileptol; Antiemorroidal; Bucodrin; Bucometasana; Bucospray; Callicida Oro; Callivoro Marthand; Callik; Caltonson Balsamico; Cicatral; Cremsol; Dentokriso; Diformiltricina; Dril; Edifaringin; Faringenilo; Faringesic; Gargari; Garydol; Gradin Del D Andreu†; Grietalgen; Grietalgen Hidrocort†; Hemoal; Hemodren Compuesto†; Hibitane; Mastiol; Miozets; Nasopomada; Neo Analsona; Oto Difusor†; Oto Vitna†; Otocerum; Otolina†; Otosedol Biotico; Pastillas Koki Ment Tiro; Phonal; Sedofanin; Topicaing†; Tos Mai; Vicks Formula 44†; **Swed.:** Bafucin; **Switz.:** Benzocaine PD; Neocones; **Thai.:** Auralgan†; Doproct; Iwazin; Sigatricin; Trocain; Troneq†; **Turk.:** Emedur; Katalgin; Kostas; Ma-Ka-Ta; **UK:** Anthisan Plus; Dequacaine; Intraing†; Merocaine; Rinted; Solarcaine; Tyrozets; Wasp-Eze; **USA:** Aerocaine†; Allergen; Americaine First Aid†; Anbesol; Anbesol Cold Sore Therapy; Auralgan; Aurogard Otic; Auro†; Babebe; Bicozene; Boil Ease; Boyol Salve; Calamylin; Cepacol Anesthetic; Cepacol Maximum Strength Sore Throat; Cepacol Ultra Sore Throat Plus Cough; Cetacaine; Chiggerex; Chloraseptic Sore Throat; Cough-C; Cy-Gesic; Cylex; Dendracin Neurodendtracxin; Dentapaine; Dermacort; Dermasept Antifungal; Dermoplast Antibacterial; Double-Action Toothache Kit; Foille; Fungi-Nail; Hem-Prep; Kank-A; Legatrin Rubi; Lipmagik; Maximum Strength Anbesol; Medicone Dermast; Numzint†; Orabase Lip; Orajel Mouth Aid; Orajel P†; Orasept; Orasol; Otocalm†; Pazo; Rectagene Medicated Rectal Balm; Rid-a-Pain; Solarcaine; Soothaderm; Sting-Eze; Sting-Kill; Tanac; Tanac Dual Core; Therevac Plus; Tigan†; Toothache Gel; Triban†; Tympag-escic†; Unguentine Maximum Strength; Vagi-Gard Medicated Cream; Vagisil; Z-Xtra; **Venez.:** Claudemor†; Otan; Otofrin†.

Bupivacaine Hydrochloride

(BANM, USAN, rINN)

AH-2250; Bupivacaine, chlorhydrate de; Bupivacaini hydrochloridum; Bupivacaini Hydrochloridum Monohydratum; Bupivakainihydrokloridi; Bupivakaini Hidroklorür; Bupivakain-hidroklorid; Bupivakainhydroklorid; Bupivakain-hydrochlorid monohydrát; Bupivakainhydroklorid; Bupivakaino hidrochloridas; Bupivakainy chlorowoderek; Hidrochloruro de bupivacaina; LAC-43; Win-11318. (±)-(1-Butyl-2-piperidyl)formo-2',6'-xylylide hydrochloride monohydrate.

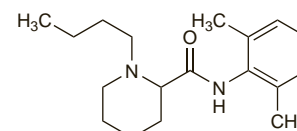
Бупивакаина Гидрохлорид

$C_{18}H_{28}N_2O \cdot HCl \cdot H_2O = 342.9$.

CAS — 2180-92-9 (bupivacaine); 18010-40-7 (anhydrous bupivacaine hydrochloride); 14252-80-3 (bupivacaine hydrochloride monohydrate).

ATC — N01BB01.

ATC Vet — QN01BB01.



(bupivacaine)

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

Ph. Eur. 6.2 (Bupivacaine Hydrochloride). A white or almost white, crystalline powder or colourless crystals. Soluble in water; freely soluble in alcohol. Protect from light.

USP 31 (Bupivacaine Hydrochloride). A white, odourless, crystalline powder. Freely soluble in water and in alcohol; slightly soluble in acetone and in chloroform. A 1% solution in water has a pH of 4.5 to 6.0.