Uses and Administration

Benzylpenicillin is used in the treatment of infections due to susceptible organisms (see Antimicrobial Action, above). They include abscess, actinomycosis, anthrax, bites and stings, diphtheria, endocarditis, gas gangrene, leptospirosis, Lyme disease, meningitis, meningococcal infections, necrotising enterocolitis, necrotising fasciitis, neonatal conjunctivitis (if gonococci are sensitive), perinatal streptococcal infections (intrapartum prophylaxis against group B streptococci), pharyngitis (or tonsillitis), pneumonia, skin infections, syphilis (neurosyphilis and congenital syphilis), tetanus, toxic shock syndrome, and Whipple's disease. It is also used for surgical infection prophylaxis in first trimester abortion in women at high risk of pelvic infection. For details of these infections and their treatment, see under Choice of Antibacterial, p.162.

Administration and dosage. Benzylpenicillin is usually given intramuscularly or intravenously. For some indications benzathine benzylpenicillin (p.212) or procaine benzylpenicillin (p.319), which provide a prolonged effect, are preferred; they are given intramuscularly. Benzylpenicillin is sometimes given orally for infections of moderate severity, but one of the acid-resistant penicillins such as phenoxymethylpenicillin (p.314) is preferable.

Benzylpenicillin is available as the potassium or sodium salt. The dose of benzylpenicillin should be sufficient to achieve an optimum bactericidal concentration in the blood as rapidly as possible; concentrations may be increased by giving it with probenecid (p.559). In some countries, doses are still expressed in units. Benzylpenicillin potassium 600 mg or benzylpenicillin sodium 600 mg have generally been considered to be equivalent to about 1 million units (1 mega unit).

For some infections, adult doses of 0.6 to 4.8 g of benzylpenicillin daily in 2 to 4 divided doses by intramuscular or slow intravenous injection or intravenous infusion may be adequate, but higher doses given intravenously, often by infusion, are more usual for severe infections. For example, in endocarditis, benzylpenicillin 7.2 g daily (1.2 g every 4 hours) intravenously, usually with an aminoglycoside, is recommended; doses of up to 18 g daily are not unusual for less sensitive streptococci and enterococci. In meningococcal and pneumococcal meningitis, benzylpenicillin 14.4 g daily (2.4 g every 4 hours) intravenously is recommended; up to 18 g daily has been recommended for meningococcal meningitis. High doses should be given slowly to avoid irritation of the CNS and electrolyte imbalance, and a rate of not more than 300 mg/minute is recommended for intravenous doses above 1.2 g. High doses may need to be reduced in patients with renal impairment.

Infants and children from 1 month to 12 years may be given 100 mg/kg daily in 4 divided doses; infants aged 1 to 4 weeks, 75 mg/kg daily in 3 divided doses; and neonates 50 mg/kg daily in 2 divided doses.

As in adults, higher paediatric doses may be necessary in severe infections. A dose of 180 to 300 mg/kg daily given intravenously in 4 to 6 divided doses is recommended for meningococcal meningitis in infants and children from 1 month to 12 years of age; infants aged 1 to 4 weeks may be given 150 mg/kg daily in 3 divided doses; neonates up to 7 days old may be given 100 mg/kg daily in 2 divided doses.

In patients with suspected meningococcal infection, an intravenous or intramuscular injection of benzylpenicillin should be given before transfer to hospital. Doses are: adults and children aged 10 years or more, 1.2 g; children aged 1 to 9 years, 600 mg; children under 1 year, 300 mg.

A dose for intrapartum prophylaxis against group B streptococcal infection is benzylpenicillin 3 g intravenously initially, then 1.5 g every 4 hours until delivery.

Other routes. Benzylpenicillin eye drops and eye ointment are used in the treatment of susceptible eye infections. For subconjunctival injection, 300 or 600 mg of benzylpenicillin has been dissolved in 0.5 to 1.0 mL of water, or another suitable solvent such as lidocaine 2% with or without adrenaline 1 in 200 000 or similar.

Benzylpenicillin has also been given orally on an empty stomach in adult doses of 125 to 312 mg every 4 to 6 hours.

Intrathecal injections are no longer recommended.

Preparations

BP 2008: Benzylpenicillin Injection;
USP 31: Penicillin G Potassium Capsules; Penicillin G Potassium for Injection; Penicillin G Potassium for Oral Solution; Penicillin G Potassium Injection; Penicillin G Potassium Tablets; Penicillin G Sodium for Injection.

Proprietary Preparations (details are given in Part 3)
Arg.: Penilfedrin P; ***Austral.:*** Benpen; ***Braz.:*** Aricilina; Benzecilin; Cristalpen; Megapen†; Pencil P; ***Canad.:*** Crystapen; ***Fin.:*** Geepenil; ***India:*** Pencip; Pentids; ***Irl.:*** Crystapen; ***Mex.:*** Farmabep; Pendiben L-A; Pengesod; Penisol; Procasol; Prosodina; Sodipen; Unicil 3/1; Unicil 6:3:3; Unicil Mega; Xozacil†; ***NZ:*** Benpen; ***Philipp.:*** Pencarv; ***S.Afr.:*** Benzatec; Bio-Pen; Novopen†; ***Spain:*** Coliriocilina†; Penibiot; Penilevel; Peniroger†; Sodiopen; Unicilina; ***Turk.:*** Benzapen 6.3.3; Deposilin 6.3.3; Devapen; Iecilline; Kristapen; Kristasil; Penadur 6.3.3; Pencrist; Penkain-K; Pensilina; Procillin; ***UK:*** Crystapen; ***USA:*** Pfizerpen; ***Venez.:*** Pebencil†; Pronapen; Silcopen†.

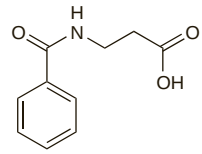
Multi-ingredient: ***Austria:*** Fortepen; Ophcillin N; Retarpen compositum; ***Braz.:*** Benapen; Benzapen G; Despacilina; Drenovac†; Expectovac†; Ginurovac†; Linfocilin†; Odontovac†; Ortocilin†; Pencil 400; Penkaron; Wycillin; ***Chile:*** Karbasalin†; Prevepen Forte; ***Fr.:*** Biclinocilline; ***Ger.:*** Bipensaar; Jenacillin A†; Retacillin compositum; ***Hong Kong:*** Penicillin G Procaine Fortified; ***Hung.:*** Promptcillin Forte; ***India:*** Bistrepen; ***Ital.:*** Tri-Wycillina†; ***Mex.:*** Aguipental; Anapenil; Bencelin Combinado; Benzanil Compuesto; Benzetacil Combinado; Hidrocilina; Lugaxil; Megapenil Forte; Pecivax; Pendiben Compuesto; Penicil; Penipot; Penisodina; Penprocilina; Procilin; Robencaxil; Suipen; ***Neth.:*** Penidural D/F†; ***Port.:*** Atralcilina; Atralmicina; Lentocilin; Penadur 6.3.3†; Prevecilina; ***Rus.:*** Bicillin-3 (Бициллин-3); ***S.Afr.:*** Penilente Forte†; Ultracillin; ***Spain:*** Aquicilina D A; Benzetacil Compuesta; Cepacilina 633; Neopenyl; Penilevel Retard; ***Venez.:*** Benzetacil 3-3; Benzetacil 6-3-3.

Betamipron *(rINN)*

N-Benzoyl-β-alanine; Bétamipron; Betamipronum; CS-443. 3-Benzamidopropionic acid.

Бетамипрон

$C_{10}H_{11}NO_3$ = 193.2.
CAS — 3440-28-6.



Profile

Betamipron is a renal protectant used with the carbapenem antibacterial panipenem to reduce its adverse renal effects.

Preparations

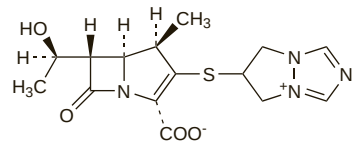
Proprietary Preparations (details are given in Part 3)
Multi-ingredient: ***Jpn:*** Carbenin.

Biapenem *(USAN, rINN)*

Biapénem; Biapenemum; CL-186815; L-627; LJC-10627. 6-{[(4*R*,5*S*,6*S*)-2-Carboxy-6-[(1*R*)-1-hydroxyethyl]-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-en-3-yl]thio}-6,7-dihydro-5*H*-pyrazolo[1,2-*a*]-s-triazol-4-ium hydroxide, inner salt.

Биапенем

$C_{15}H_{18}N_4O_4S$ = 350.4.
CAS — 120410-24-4.



Profile

Biapenem is a carbapenem beta-lactam antibacterial similar to imipenem (p.286), although it is reported to be more stable to renal dehydropeptidase I than imipenem.

◊ Reviews.

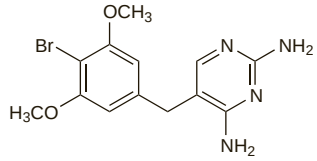
1. Perry CM, Ibbotson T. Biapenem. *Drugs* 2002; **62:** 2221–34.

Brodimoprim *(rINN)*

Brodimoprima; Brodimoprime; Brodimoprimum. 2,4-Diamino-5-(4-bromo-3,5-dimethoxybenzyl)pyrimidine.

Бродимоприм

$C_{13}H_{15}BrN_4O_2$ = 339.2.
CAS — 56518-41-3.
ATC — J01EA02.
ATC Vet — QJ01EA02.



Profile

Brodimoprim is closely related structurally to trimethoprim (p.355) and has been used in the treatment of infections of the respiratory tract and ear.

◊ References.

1. Braunsteiner AR, Finsinger F. Brodimoprim: therapeutic efficacy and safety in the treatment of bacterial infections. *J Chemother* 1993; **5:** 507–11.

Preparations

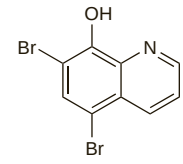
Proprietary Preparations (details are given in Part 3)
Mex.: Novatrim†.

Broxyquinoline *(rINN)*

Broksikinoliini; Broxichinolinum; Broxikinolin; Broxiquinolina; Broxyquinolinum. 5,7-Dibromoquinolin-8-ol.

Броксихинолин

$C_9H_5Br_2NO$ = 303.0.
CAS — 521-74-4.
ATC — A07AX01; G01AC06; P01AA01.
ATC Vet — QA07AX01; QG01AC06.



Profile

Broxyquinoline is a halogenated hydroxyquinoline used topically in vaginal infections. It was formerly given by mouth, with broxaldine, in the treatment of intestinal protozoal infections, including amoebiasis, but less toxic drugs are preferred.

Preparations

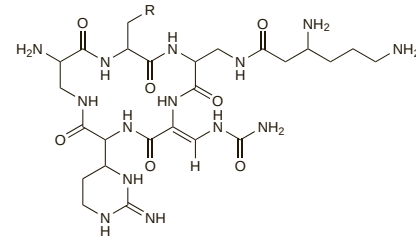
Proprietary Preparations (details are given in Part 3)
Fin.: Starogyn.
Multi-ingredient: ***Fin.:*** Senikolp†.

Capreomycin Sulfate *(USAN, rINNM)*

34977; Capreomycin Sulphate *(BANM)*; Capréomycine, Sulfate de; Capreomycini Sulfas; Capromycin Sulphate; Sulfato de capreomicina.

Капреомицина Сульфат

CAS — 11003-38-6 (capreomycin); 1405-37-4 (capreomycin sulfate).
ATC — J04AB30.
ATC Vet — QJ04AB30.



Capreomycin IA R = OH
Capreomycin IB R = H

(capreomycin)