erably be taken at least 30 minutes before meals. Peak concentrations in plasma are attained in about 1 to 2 hours and after a 500-mg oral dose are reported to range from 3 to 6 micrograms/mL.

Peak plasma concentrations of ampicillin after a 500-mg intramuscular dose given as the sodium salt occur within about 1 hour and are reported to range from 7 to 14 micrograms/mL.

Ampicillin is widely distributed and therapeutic concentrations can be achieved in ascitic, pleural, and joint fluids. It crosses the placenta and small amounts are distributed into breast milk. There is little diffusion into the CSF except when the meninges are inflamed. About 20% is bound to plasma proteins and the plasma half-life is about 1 to 1.5 hours, but this may be increased in neonates, the elderly, and patients with renal impairment; in severe renal impairment half-lives of 7 to 20 hours have been reported.

Ampicillin is metabolised to some extent to penicilloic acid which is excreted in the urine.

Renal clearance of ampicillin occurs partly by glomerular filtration and partly by tubular secretion; it is reduced by probenecid. About 20 to 40% of an oral dose may be excreted unchanged in the urine in 6 hours; urinary concentrations have ranged from 0.25 to 1 mg/mL after a dose of 500 mg. After parenteral use about 60 to 80% is excreted in the urine within 6 hours. Ampicillin is removed by haemodialysis. High concentrations are reached in bile; it undergoes enterohepatic recycling and some is excreted in the faeces.

Ampicillin with sulbactam. The pharmacokinetics of ampicillin and sulbactam are broadly similar and neither appears to affect the other to any great extent.

Uses and Administration

Ampicillin is used in the treatment of a variety of infections due to susceptible organisms (see Antimicrobial Action, above). They include biliary-tract infections, bronchitis, endocarditis, gastro-enteritis (including salmonella enteritis and shigellosis), gonorrhoea, listeriosis, meningitis, perinatal streptococcal infections (intrapartum prophylaxis against group B streptococci), peritonitis, pneumonia, septicaemia, typhoid and paratyphoid fever, and urinary-tract infections. Resistance to ampicillin is increasingly a problem in some infections, for example, gonorrhoea, pneumococcal infections, respiratory-tract infections due to *Haemophilus influenzae* or *Moraxella catarrhalis* (*Branhamella catarrhalis*), *Salmonella* infections, shigellosis, and infections due to *Escherichia coli*. For details of these infections and their treatment, see under Choice of Antibacterial, p.162. If beta-lactamase-producing organisms are present, ampicillin can be given with a beta-lactamase inhibitor such as sulbactam (see below) or a penicillinase-resistant drug such as cloxacillin, dicloxacillin, or flucloxacillin (known as co-fluampicil). It may also be used with an aminoglycoside to increase the spectrum of organisms covered; it is advisable to give the injections separately.

Administration and dosage. The dosage of ampicillin will depend on the severity of the disease, the age of the patient, and renal function. Ampicillin is usually given orally as the trihydrate and by injection as the sodium salt. Doses are expressed in terms of the equivalent amount of ampicillin; 1.06 g of ampicillin sodium and 1.15 g of ampicillin trihydrate are each equivalent to about 1 g of ampicillin.

The usual adult oral dose is 0.25 to 1 g every 6 hours taken at least 30 minutes before or 2 hours after food. Children may be given half the adult dose. The usual adult dose by injection is 500 mg every 4 to 6 hours intramuscularly or by slow intravenous injection over 3 to 5 minutes or by infusion. Again, children may be given half the adult dose.

For urinary-tract infections, ampicillin 500 mg is given orally every 8 hours.

For typhoid and paratyphoid fever where *Salmonella typhi* strains remain sensitive to ampicillin, an oral dose of 1 to 2 g may be given every 6 hours for 2 weeks for acute infections, and for 4 to 12 weeks in carriers. An intramuscular dose of 10 mg/kg (maximum dose 250 mg) every 6 hours for 4 to 6 weeks has been suggested for children who are chronic carriers.

Ampicillin 2 g given with probenecid 1 g, as a single oral dose, has been used in the treatment of uncomplicated gonorrhoea in areas where gonococci remain sensitive; repeated doses are recommended in females.

In meningitis, higher parenteral doses of 2 to 3 g given intravenously every 4 or 6 hours have been suggested. For infants and children with meningitis, an intravenous dose of 150 mg/kg daily in divided doses may be given; a dose of 50 mg/kg (maximum 3 g) every 4 to 6 hours has also been suggested. Neonates may be given a dose of 50 mg/kg every 12 hours for those under 1 week of age, or every 8 hours for older neonates.

For intrapartum prophylaxis against group B streptococcal infection in the neonate, a maternal dose of 2 g by intravenous injection initially then 1 g every 4 hours until delivery has been suggested.

Ampicillin may also be given by other routes, usually as a supplement to systemic therapy. Intraperitoneal or intrapleural injections are given in a dose of 500 mg daily dissolved in 5 to 10 mL of water. For intra-articular injection, ampicillin 500 mg daily is given dissolved in up to 5 mL of water or a solution of procaine hydrochloride 0.5%.

Ampicillin benzathine has also been given by intramuscular injection.

Ampicillin with sulbactam. The sodium salts of ampicillin and sulbactam (p.335) may be given intramuscularly or intravenously in the treatment of infections due to beta-lactamase-producing organisms. Doses are expressed in terms of the equivalent amounts of ampicillin and sulbactam; available injections contain ampicillin and sulbactam in the ratio 2:1, respectively. The usual dose is ampicillin 1 g with sulbactam 500 mg every 6 hours; doses may be doubled in severe infections.

For oral use sultamicillin (p.344), a mutual prodrug of ampicillin and sulbactam, may be given.

Administration in renal impairment. The dose of ampicillin should be reduced, or the dose interval increased, in severe renal impairment (creatinine clearance less than 10 mL/minute). Patients undergoing dialysis should receive an additional dose after the session.

Preparations

BP 2008: Ampicillin Capsules; Ampicillin Injection; Ampicillin Oral Suspension; Co-fluampicil Capsules; Co-fluampicil Oral Suspension;
USP 31: Ampicillin and Probenecid for Oral Suspension; Ampicillin and Sulbactam for Injection; Ampicillin Capsules; Ampicillin for Injectable Suspension; Ampicillin for Injection; Ampicillin for Oral Suspension; Ampicillin Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Alpovex; Aminoxidin†; Ampi-Bis; Ampi†; Ampicler; Ampigen; Ampigrand; Ampinox; Ampitenk; Ampixen; Atecilina; Bactilina; Decilina†; Fabopcilina; Galcicilina†; Grampenil†; Histopen; Poenbiotico†; Trifacilina; Trimicro; Welticilina; ***Austral.:*** Alphacin; Ampicyn; Austrapen; Ibimicyn; ***Austria:*** Standacillin; ***Belg.:*** Pentrexyl; ***Braz.:*** Ampi; Ampicilfan; Ampiciklyna†; Ampicil; Ampicilab; Ampicilase; Ampicilib†; Ampicilil; Ampicilon; Ampicimax†; Ampicinal†; Ampifar†; Ampigran; Ampilozin; Ampitrat†; Ampival†; Ampixin†; Amplacilina; Amplacin; Amplibac; Amplofen†; Bacterinil†; Binotal; Bipencil†; Cilinon; Cilipen; Emicilin; Expectocilin; Gonol; Gramcilina; Lifacilina†; Notacilin†; Praticilin†; Tandrexin†; ***Canad.:*** Apo-Ampi; Nu-Ampi; ***Cz.:*** Apo-Ampi†; Penstabil†; Standacillin†; ***Denm.:*** Doktacillin†; Pentrexyl; ***Fin.:*** A-Pen; ***Fr.:*** Totapen; ***Ger.:*** Binotal; ***Gr.:*** Copercilex; Isticilline; Pentrexyl; ***Hong Kong:*** Amprexyl; Dhacillin†; Pamecil; Penbritin†; Penodil; Pentrexyl; ***Hung.:*** Penstabil†; Semicillin; Standacillin; ***India:*** Ampilin; Ampipen; Ampisyn; Aristocillin; Biocilin; Campicillin; Ipacillin†; Roscillin; Synthocilin; ***Indon.:*** Ambiopi; Amcillin; Ampi; Arcocillin; Binotal; Biopensyn; Cetacillin; Corsacillin; Kalpicilin; Opicillin; Parpicillin; Penbiotic; Penbritin; Polypen; Primacillin; Sanpicillin; Standacillin; Ultrapen; Viccillin; Xepacillin; ***Irl.:*** Clonamp; Novapen; Penbritin; ***Israel:*** Penibrin; ***Ital.:*** Ampilisa†; Ampilux; Ampiplus Simplex; Amplital; Amplizer; Ibimicyn†; Pentrexyl; ***Malaysia:*** Ampilin; Biocil†; Pamecil; Setcillin†; Standacillin; ***Mex.:*** Acilmed; Alphapen; Alvedrin; Am-An; Ambidrin; Ambiosol; Ampex; Ampi-Quim; Ampi-Tecno; Ampibal†; Ampicidar†; Ampidrat†; Ampigrin; Ampilon†; Ampimex; Ampiset†; Amprexyn; Amsapen; Anglopen; Azpencil; Bestcilina-A; Binotal; Bremecina; Brupen; Deamcilina; Dibacilina; Diferin; Expicin; Flamicina; Gramipen-F; Iqfacilina; Lampicin; Marovilina; Meprizina; Mexapin; Mibiot; Omnipen; Pebiot; Penbritin; Pentiver; Pentrexyl; Procilina; Prodifer; Promecilina; Rayepen†; Sinaplin; Tronex; Yapamicin; Zumorin; ***Neth.:*** Pentrexyl†; ***Norw.:*** Pentrexyl; ***Philipp.:*** Aldribid; Ampicin; Ampinex; Bactimed; Clovillin; Eurocin; Excillin; Gramcil; Obocil; Panacta; Penbritin; Pentrexyl; Picaplin; Polypen; Rotocin; Shinapen; Vatacil; ***Port.:*** Amplifar; Cilin†; Estreptobroncol; Hiperbiotico; Hiperbiotico Retard; ***S.Afr.:*** Ampimax; Ampipen; Be-Ampicil; Penbritin; Penrite; Petercillin; Ranamp; Spectracil; ***Singapore:*** Ampilin; Dhacillin; Pamecil†; Pricillin†; Standacillin; ***Spain:*** Ampiplus; Antibiopen; Britapen; Gobemicina; Nuvapen; ***Swed.:*** Doktacillin; ***Thai.:*** Amcillin†; Amilin; Ampicyn; Ampilin; Ampillin†; Ampra; Amprexyl; Ampro†; Eracillin; Penbritin; Pencotrex; Pentrexyl; Siampicil; Sumapen; Vacillin; Viccillin; ***Turk.:*** Alfasilin; Ampisid; Ampsina; Neosilin; Penbisin; Silina; ***UAE:*** Julphapen; ***UK:*** Magnapen; Penbritin; Rimacillin; ***USA:*** Principen; ***Venez.:*** Alampen; Ampen†; Ampenina; Ampiga†; Ampilan; Arcocilin; Fibrapen†; Intrapen†; Neoampicil†.

Multi-ingredient: ***Arg.:*** Aminoxidin Sulbactam; Ampi-Bis Plus; Ampigen SB; Amplibenzatin Bronquial; Aseptobron Ampicilina†; Cronopen Balsamico; Grampenil Bronquial†; Meticil; Prixin; Unasyna; Unsayna†; ***Austria:*** Unasyn; ***Braz.:*** Ambezetal; Amplotal; Benzotal; Combactan; Durapen; Optacilin; Parenzyme Ampicilina; Sulbacter†; Unasyn; Urobiotic†; Uropielon; ***Chile:*** Unasyn; ***Cz.:*** Ampiclox†; Unasyn; ***Fr.:*** Unacim; ***Ger.:*** Unacid; ***Gr.:*** Begalin-P; ***Hong Kong:*** Ampiclox†; APT-Ampicloxa; Pamedox; Roscilox; Unasyn; ***Hung.:*** Unasyn; ***India:*** Adilox; Ampilox; Ampilox-LB; Amplus; Ampoxin; Ampoxin-LB; Campicillin Plus; Campilox; Clax; Megaclox; Megaclox LB; Megapen; Sulbacin; ***Irl.:*** Ampiclox†; ***Israel:*** Unasyn; ***Ital.:*** Ampiplus†; Amplium; Bethacil; Diamplicil†; Loricin; Unasyn; ***Jpn:*** Sulperazon†; Unasyn-S†; ***Malaysia:*** Sulbacin; Unasyn; ***Mex.:*** Ampiclox-D; Anglotex; Bisolvon A; Brucilina; Brupen Compuesto; Diamprex; Doxapen; Mucolin A; Panac; Panac K; Pentibrom; Pentidix; Pentrexyl Expec; Unasyna; ***Philipp.:*** Unasyn; ***Pol.:*** Unasyn; ***Rus.:*** Oxamp (Оксамп)†; Sultasin (Сультасин); Unasyn (Уназин); ***S.Afr.:*** Ampiclox; Apen; Cloxam; Megamox; Ranclosil†; ***Singapore:*** Unasyn; ***Spain:*** Ampilevel; Espectral†; Espectrosira†; Gobemicina Retard; Maxicilina; Penisintex Bronquial†; Pulminflamatoria†; Pulmosterin Retard; Retarpen; Retarpen Balsamico†; Retarpen Mucolitico†; Ultrapenil; Unasyn; ***Thai.:*** Ampiclox; Sulam; Unasyn; Viccillin-S; ***Turk.:*** Azosilin; Combicid; Duobak; Duobaktam; Duocid; Nobecid; Sulbaksit; Sulcid; Sultasid; ***UK:*** Magnapen; ***USA:*** Unasyn; ***Venez.:*** Ampibactan; Ampitren†; Fipexiam; Sinif; Unasyn.

Apramycin *(BAN, USAN, rINN)*

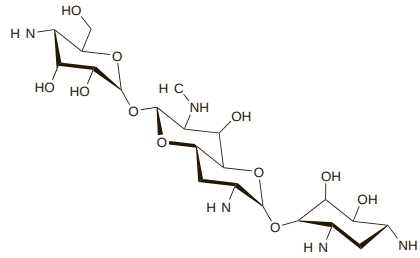
47657; Apramicina; Apramycine; Apramycinum; EL-857; EL-857/820; Nebramycin Factor 2. 4-*O*-[(2*R*,3*R*,4a*S*,6*R*,7*S*,8*R*,8a*R*)-3-Amino-6-(4-amino-4-deoxy-α-D-glucopyranosyloxy)-8-hydroxy-7-methylaminoperhydropyrano[3,2-*b*]pyran-2-yl]-2-deoxystreptamine.

Апрамицин

$C_{21}H_{41}N_5O_{11}$ = 539.6.

CAS — 37321-09-8.

ATC Vet — QA07AA92; QJ01GB90; QJ51GB90.



Apramycin Sulfate *(rINNM)*

Apramycin Sulphate *(BANM)*; Apramycine, Sulfate d'; Apramycini Sulfas; Apramycinsulfat; Apramysiinisulfaatti; Sulfato de apramicina.

Апрамицина Сульфат

$C_{21}H_{41}N_5O_{11}.2H_2SO_4$ = 784.8.

CAS — 41194-16-5.

Pharmacopoeias. In *BP(Vet)*.
BP(Vet) 2008 (Apramycin Sulphate). The sulfate of an antibiotic produced by certain strains of *Streptomyces tenebrarius* or by other means. The potency is not less than 430 units per mg, calculated with reference to the anhydrous substance. A light brown hygroscopic powder or granular material. Freely soluble in water; practically insoluble in alcohol, in acetone, in ether, and in methyl alcohol.

Profile

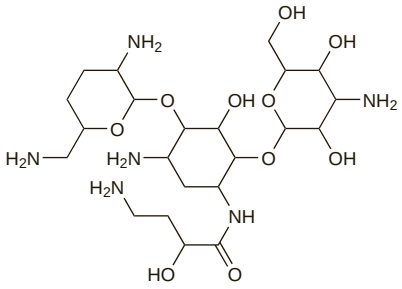
Apramycin is an aminoglycoside antibiotic used as the sulfate in veterinary practice for the treatment of susceptible infections.

Arbekacin Sulfate *(rINNM)*

ABK (arbekacin); AHB-DBK (arbekacin); Arbekacin Sulphate; Arbékacine, Sulfate d'; Arbekacini Sulfas; HABA-Dibekacin (arbekacin); Sulfato de arbekacina. *O*-3-Amino-3-deoxy-α-D-glucopyranosyl-(1→4)-*O*-[2,6-diamino-2,3,4,6-tetradeoxy-α-D-*erythro*-hexopyranosyl-(1→6)]-*N*′-[(2*S*)-4-amino-2-hydroxybutyryl]-2-deoxy-L-streptamine sulphate.

Арбекацина Сульфат

$C_{22}H_{44}N_6O_{10}.xH_2S0_4$.
CAS — 51025-85-5 (arbekacin).



(arbekacin)

Pharmacopoeias. In *Jpn*.

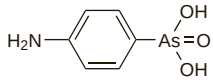
Profile
Arbekacin is an aminoglycoside derived from dibekacin and has general properties similar to those of gentamicin (p.282). It has been used as the sulfate in the treatment of serious infections due to meticillin-resistant *Staphylococcus aureus*.

Arsanilic Acid *(BAN, rINN)*

Acide Arsanilique; Ácido arsanílico; Acidum Arsanilicum; Aminarsonic Acid; AS-101. *p*-Aminobenzenearsonic acid; 4-Aminophenylarsonic acid.

Арсаниловая Кислота

$C_6H_8AsNO_3$ = 217.1.
CAS — 98-50-0.



NOTE. The code AS-101 has also been used for an immunomodulator investigated as an antineoplastic and antiviral.

Pharmacopoeias. In *US* for veterinary use only.
USP 31 (Arsanilic Acid). A white to off-white crystalline powder. Soluble in hot water, in amyl alcohol, and in solutions of alkali carbonates; slightly soluble in cold water, in alcohol, and in acetic acid; insoluble in acetone, in chloroform, in ether, in benzene, and in dilute mineral acids; sparingly soluble in concentrated mineral acids.

Sodium Arsanilate *(BANM, rINNM)*

Arsanilate de Sodium; Arsanilato sódico; Natrii Arsanilas; Sodium Aminarsonate; Sodium Anilarsonate. Sodium 4-aminophenylarsonate.

Натрий Арсанилат

$C_6H_7AsNNaO_3$ = 239.0.
CAS — 127-85-5.

Pharmacopoeias. *Fr.* includes the anhydrous substance and the trihydrate.

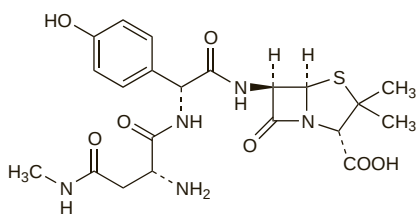
Profile
Arsanilic acid and sodium arsanilate are used in veterinary medicine for the prophylaxis and treatment of enteric infections in pigs and also as growth-promoting agents.

Aspoxicillin *(rINN)*

Aspoxicilina; Aspoxicilline; Aspoxicillinum; TA-058. (2*S*,5*R*,6*R*)-6-{(2*R*)-2-[(2*R*)-2-Amino-3-(methylcarbamoyl)propionamido]-2-(*p*-hydroxyphenyl)acetamido}-3,3-dimethyl-7-oxo-4-thia-1-azabicyclo[3.2.0]-heptane-2-carboxylic acid.

Аспоксициллин

$C_{21}H_{27}N_5O_7S$ = 493.5.
CAS — 63358-49-6.



Pharmacopoeias. *Jpn* includes the trihydrate.

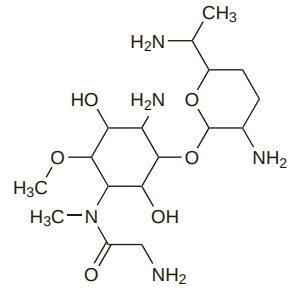
Profile
Aspoxicillin is a ureidopenicillin that has been given intravenously in the treatment of susceptible infections.

Astromicin Sulfate *(USAN, pINNM)*

Abbott-44747; Astromicin Sulphate; Astromicine, Sulfate d'; Astromicini Sulfas; Fortimicin A Sulphate; KW-1070; Sulfato de astromicina. 4-Amino-1-(2-amino-*N*-methylacetamido)-1,4-dideoxy-3-*O*-(2,6-diamino-2,3,4,6,7-pentadeoxy-β-L-*lyxo*-heptopyranosyl)-6-*O*-methyl-L-*chiro*-inositol sulphate.

Астромицина Сульфат

$C_{17}H_{35}N_5O_6.2H_2SO_4$ = 601.6.
CAS — 55779-06-1 (astromicin); 72275-67-3 (astromicin sulfate); 66768-12-5 (xH_2SO_4).



(astromicin)

Pharmacopoeias. In *Jpn*.

Profile
Astromicin is an aminoglycoside antibiotic produced by *Micromonospora* spp. and with actions and uses similar to those of gentamicin (p.282). Astromicin sulfate has been given by intramuscular injection or intravenous infusion. Dosage should be adjusted based on serum-astromicin concentration monitoring.

Preparations

Proprietary Preparations (details are given in Part 3)
Jpn: Fortimicin.

Avilamycin *(BAN, USAN, rINN)*

Avilamicina; Avilamycine; Avilamycinum; LY-048740 (avilamycin or avilamycin A).

Авиламицин

$C_{61}H_{88}Cl_2O_{32}$ (avilamycin A) = 1404.2.
CAS — 11051-71-1 (avilamycin); 69787-79-7 (avilamycin A); 69787-80-0 (avilamycin C).

Profile
Avilamycin is an antibacterial that has been used in veterinary medicine as a growth promotor.

Avoparcin *(BAN, USAN, rINN)*

Avoparcina; Avoparcine; Avoparcinum; Compound 254.

Авопарцин

CAS — 37332-99-3.

Profile
Avoparcin is a glycopeptide antibiotic usually produced by *Amycolatopsis coloradensis* (*Streptomyces candidus*). It has been incorporated into animal feedstuffs to promote growth.

◊ There is evidence of cross-resistance between avoparcin and vancomycin.[1] Suggestions that vancomycin-resistant organisms could enter the human population from the food chain as a result of the use of avoparcin as a growth promotor in animals[2,3] were disputed by the manufacturers of avoparcin.[4,5] After a ban in the EU on the use of avoparcin as a growth promotor in animals there has been some evidence[6] of a decrease in the occurrence of vancomycin-resistant enterococci in poultry meat.

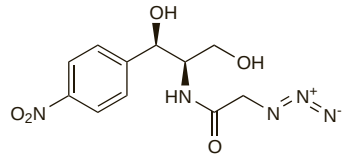
1. Klare I, *et al*. vanA-mediated high-level glycopeptide resistance in Enterococcus faecium from animal husbandry. *FEMS Microbiol Lett* 1995; **125:** 165–72.
2. Howarth F, Poulter D. Vancomycin resistance: time to ban avoparcin? *Lancet* 1996; **347:** 1047.
3. Wise R. Avoparcin and animal feedstuff. *Lancet* 1996; **347:** 1835.
4. Mudd A. Vancomycin resistance and avoparcin. *Lancet* 1996; **347:** 1412.
5. Mudd AJ. Is it time to ban all antibiotics as animal growth-promoting agents? *Lancet* 1996; **348:** 1454–5.
6. Pantosti A, *et al*. Decrease of vancomycin-resistant enterococci in poultry meat after avoparcin ban. *Lancet* 1999; **354:** 741–2.

Azidamfenicol *(BAN, rINN)*

Azidamfénicol; Azidamfenicolum; Azidamphenicol; Azidanfenicol; Azidoamphenicol; Bayer-52910. 2-Azido-*N*-[(α*R*,β*R*)-β-hydroxy-α-hydroxymethyl-4-nitrophenethyl]acetamide.

Азидамфеникол

$C_{11}H_{13}N_5O_5$ = 295.3.
CAS — 13838-08-9.
ATC — S01AA25.
ATC Vet — QS01AA25.



Profile
Azidamfenicol is an antibiotic that is related structurally to chloramphenicol (p.239). It is used as 1% eye drops or eye ointment in the treatment of bacterial eye infections.

Preparations

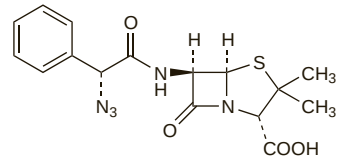
Proprietary Preparations (details are given in Part 3)
Cz.: Ophthalmo-Azaphenicol†; ***Ger.:*** Berlicetin; Posifenicol; Thilocanfol; ***Gr.:*** Thilocof.

Azidocillin Sodium *(BANM, rINNM)*

Azidobenzylpenicillin Sodium; Azidocilina sódica; Azidocilline Sodique; Natrii Azidocillinum. Sodium (6*R*)-6-(D-2-azido-2-phenylacetamido)penicillanate.

Натрий Азидоциллин

$C_{16}H_{16}N_5NaO_4S$ = 397.4.
CAS — 17243-38-8 (azidocillin); 35334-12-4 (azidocillin sodium).
ATC — J01CE04.
ATC Vet — QJ01CE04.



(azidocillin)