impairment have not been fully characterised and therefore recommends that no more than 200 mg in any 24-hour period should be given to these patients.

Motor neurone disease. Minocycline is being investigated as a potential treatment for amyotrophic lateral sclerosis, a form of motor neurone disease (p.2380), on the basis of its neuroprotective properties.

Movement disorders. Minocycline is under investigation[1,2] for the management of Huntington's chorea (p.953).

1. Huntington Study Group. Minocycline safety and tolerability in Huntington disease. *Neurology* 2004; **63:** 547–9.
2. Bonelli RM, *et al.* Neuroprotection in Huntington's disease: a 2-year study on minocycline. *Int Clin Psychopharmacol* 2004; **19:** 337–42.

Musculoskeletal and joint disorders. For reference to the use of minocycline in the treatment of rheumatoid arthritis, see under Tetracycline, p.350.

Skin disorders. For reference to the use of minocycline in the treatment of various skin disorders, see under Tetracycline, p.350.

Preparations

BP 2008: Minocycline Tablets; Prolonged-release Minocycline Capsules; ***USP 31:*** Minocycline for Injection; Minocycline Hydrochloride Capsules; Minocycline Hydrochloride Oral Suspension; Minocycline Hydrochloride Tablets.

Proprietary Preparations (details are given in Part 3)

Arg.: Acneclin; Asolmicina†; Clinax; Meibi; Minocin; Pimple; Seboclear; ***Austral.:*** Akamin; Minomycin; ***Austria:*** Auramin; Klinoc†; Minocin†; Minostad; Minotyrol†; Udima; ***Belg.:*** Klinotab; Mino-50; Minocin; Minotab; ***Braz.:*** Minoderm; Minomax†; ***Canad.:*** Enca; Minocin; ***Chile:*** Bagomicina; Minocin†; Pracne; ***Cz.:*** Skid†; ***Fr.:*** Mestacine; Minolis; Mynocine; Parocline; Yelnac†; Zacnar; ***Ger.:*** Akne-Puren†; Aknefug Mino; Aknin-Mino†; Aknosan; Klinomycin; Lederderm†; Minakne; Mino-Wolff†; Minoclir; Minoplus; Skid; Skinocyclin; Udima; ***Gr.:*** Cycline; Minocin; ***Hong Kong:*** Minaxen; Minocin; ***India:*** CNN†; Cynomycin; ***Indon.:*** Minocin; ***Irl.:*** Minocin; Minox; ***Israel:*** Minocin†; Minoclin; ***Ital.:*** Minocin; ***Jpn:*** Periocline; ***Malaysia:*** Borymycin; Minocin†; Minoclin; ***Mex.:*** Banimed; Micromycin; Minocin; Ranmino; ***Neth.:*** Aknemin; Minocin; Minotab; Peritrol; ***NZ:*** Minomycin; Minotabs; ***Philipp.:*** Minocin; ***Port.:*** Arestin; Cipancin; Minocin; Minotrex; ***S.Afr.:*** Cyclimycin; Minotabs; Triomin; ***Singapore:*** Borymycin; Minocin†; ***Spain:*** Minocin; ***Switz.:*** Aknin-N; Aknoral; Minac 50; Minocin; ***Thai.:*** Minocin†; ***UK:*** Aknemin; Blemix†; Dentomycin; Minocin; Sebomin; Sebren; ***USA:*** Arestin; Cleeravue-M; Dynacin; Minocin; Myrac; Solodyn; ***Venez.:*** Minocin†.

Morinamide *(pINN)*

Morinamida; Morinamidum; Morphazinamide. *N*-Morpholinomethylpyrazine-2-carboxamide.

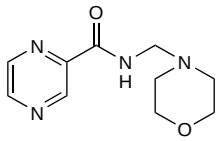
Моринамид

$C_{10}H_{14}N_4O_2$ = 222.2.

CAS — 952-54-5.

ATC — J04AK04.

ATC Vet — QJ04AK04.



Profile

Morinamide is an antimycobacterial that has been given orally as the hydrochloride in the treatment of tuberculosis.

Preparations

Proprietary Preparations (details are given in Part 3)

Turk.: Morfozid.

Moxifloxacin Hydrochloride *(BANM, USAN, rINNM)*

Bay-12-8039; Hidrocloruro de moxifloxacino; Moxifloxacine, chlorhydrate de; Moxifloxacini hydrochloridum. 1-Cyclopropyl-6-fluoro-1,4-dihydro-8-methoxy-7-[(4a*S*,7a*S*)-octahydro-6*H*-pyrrolo[3,4-*b*]pyridin-6-yl]-4-oxo-3-quinolinecarboxylic acid hydrochloride.

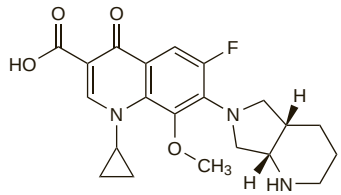
Моксифлоксацина Гидрохлорид

$C_{21}H_{24}FN_3O_4$,HCl = 437.9.

CAS — 151096-09-2 (moxifloxacin); 186826-86-8 (moxifloxacin hydrochloride).

ATC — J01MA14; S01AX22.

ATC Vet — QJ01MA14; QS01AX22.



(moxifloxacin)

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

Ph. Eur. 6.2 (Moxifloxacin Hydrochloride). Produced using a method validated to demonstrate the satisfactory enantiomeric purity of the final product. A light yellow or yellow powder or crystals, slightly hygroscopic. Sparingly soluble in water; slightly soluble in alcohol; practically insoluble in acetone. A 0.2% solution in water has a pH of 3.9 to 4.6. Store in airtight containers. Protect from light.

Adverse Effects and Precautions

As for Ciprofloxacin, p.244.

◊ References.

1. Faich GA, *et al.* Clinical experience with moxifloxacin in patients with respiratory tract infections. *Ann Pharmacother* 2004; **38:** 749–54.
2. Ball P, *et al.* Safety profile of oral and intravenous moxifloxacin: cumulative data from clinical trials and postmarketing studies. *Clin Ther* 2004; **26:** 940–50.
3. Andriole VT, *et al.* Retrospective analysis of the safety profile of oral moxifloxacin in elderly patients enrolled in clinical trials. *Drug Safety* 2005; **28:** 443–52.

Interactions

As for Ciprofloxacin, p.246.

Moxifloxacin does not appear to interact significantly with theophylline or probenecid.

Antimicrobial Action

As for Ciprofloxacin, p.246.

Moxifloxacin is reported to have greater activity against Gram-positive bacteria, including pneumococci, than ciprofloxacin.

◊ References.

1. Stein GE, *et al.* Bactericidal activities of methoxyfluoroquinolones gatifloxacin and moxifloxacin against aerobic and anaerobic respiratory pathogens in serum. *Antimicrob Agents Chemother* 2003; **47:** 1308–12.
2. Pletz MWR, *et al.* Early bactericidal activity of moxifloxacin in treatment of pulmonary tuberculosis: a prospective, randomized study. *Antimicrob Agents Chemother* 2004; **48:** 780–2.

Pharmacokinetics

Moxifloxacin is readily absorbed from the gastrointestinal tract after oral doses with an absolute bioavailability of about 90%. It is widely distributed throughout the body tissues and is about 30 to 50% bound to plasma proteins. Moxifloxacin has an elimination half-life of about 12 hours, allowing once-daily dosing. It is metabolised mainly via sulfate and glucuronide conjugation, and is excreted in the urine and the faeces as unchanged drug and as metabolites, the sulfate conjugate primarily in the faeces and the glucuronide exclusively in the urine. Distribution into milk has been found in *animals*.

Uses and Administration

Moxifloxacin is a fluoroquinolone antibacterial with actions and uses similar to those of ciprofloxacin (p.247).

It is given orally, or by intravenous infusion over 60 minutes, for the treatment of susceptible infections including respiratory, skin and skin structure, and intra-abdominal infections. Moxifloxacin is given as the hydrochloride but doses are expressed in terms of the base; moxifloxacin hydrochloride 436.3 mg is equivalent to about 400 mg of moxifloxacin. The usual dose is 400 mg once daily.

Moxifloxacin is also used topically as the hydrochloride in eye drops containing the equivalent of 0.5% of moxifloxacin for the treatment of bacterial conjunctivitis.

◊ Reviews.

1. Keating GM, Scott LJ. Moxifloxacin: a review of its use in the management of bacterial infections. *Drugs* 2004; **64:** 2347–77.
2. Miravitlles M, *et al.* Eficacia clínica del moxifloxacino en el tratamiento de las agudizaciones de la bronquitis crónica: revisión sistemática y metaanálisis. *Arch Bronconeumol* 2007; **43:** 22–8.
3. Miravitlles M. Moxifloxacin in the management of exacerbations of chronic bronchitis and COPD. *Int J Chron Obstruct Pulmon Dis* 2007; **2:** 191–204.
4. O'Brien TP. Evidence-based review of moxifloxacin. *Int Ophthalmol Clin* 2006; **46:** 61–72.

Eye infections. In order to attain therapeutic concentrations most antibacterials used in the treatment of bacterial endophthalmitis need to be given by the intravitreal route but moxifloxacin given systemically may produce adequate concentrations. An oral dose of moxifloxacin 400 mg daily may be given for 10 days.[1]

1. Moorfields Eye Hospital NHS Foundation Trust. *Pharmacists Handbook 2006*. London: Moorfields Pharmaceuticals, 2006.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Avelox; Octegra†; Vigamox; ***Austral.:*** Avelox; ***Austria:*** Actira; Avelox; Octegra; ***Belg.:*** Avelox; Proflox; ***Braz.:*** Avalox; Vigamox; ***Canad.:*** Avelox; Vigamox; ***Chile:*** Avelox; Flovacil; Octegra†; Vigamox; ***Cz.:*** Avelox; ***Denm.:*** Avelox; ***Fin.:*** Avelox; ***Fr.:*** Izilox; ***Ger.:*** Avalox; ***Gr.:*** Avelox; Octegra; Proflox; ***Hong Kong:*** Avelox; Vigamox; ***Hung.:*** Avelox; Octegra; ***India:*** Moxicip; Moxif; ***Indon.:*** Avelox; ***Irl.:*** Avelox; ***Israel:*** Megaxin; Vigamox; ***Ital.:*** Actira; Avalox; Octegra; ***Jpn:*** Avelox; ***Malaysia:*** Avelox; Vigamox; ***Mex.:*** Avelox; Vigamoxi; ***Neth.:*** Actira†; Avelox; Octegra; ***NZ:*** Avelox; ***Philipp.:*** Avelox; Vigamox; ***Pol.:*** Avelox; ***Port.:*** Avelox; Proflox; ***Rus.:*** Avelox (Авелокс); ***S.Afr.:*** Avelon; ***Singapore:*** Avelox; Vigamox; ***Spain:*** Actira; Octegra; Proflox; ***Swed.:*** Avelox; ***Switz.:*** Avalox; ***Thai.:*** Avelox; Vigamox; ***Turk.:*** Avelox; ***UK:*** Avelox; ***USA:*** Avelox; Vigamox; ***Venez.:*** Avelox; Vigamox.

Mupirocin *(BAN, USAN, rINN)*

BRL-4910A; Mupirocina; Mupirocinas; Mupirocine; Mupirocinum; Mupirosiini; Pseudomonic Acid. 9-[(2*E*)-4-[(2*S*,3*R*,4*R*,5*S*)-5-[(2*S*,3*S*,4*S*,5*S*)-2,3-Epoxy-5-hydroxy-4-methylhexyl]tetrahydro-3,4-dihydroxypyran-2-yl]-3-methylbut-2-enoyloxy]nonanoic acid; (2*S*-{2α(*E*),3β,4β,5α[2*R**,3*R**(1*R**,2*R**)]})-9-{[3-Methyl-1-oxo-4-(tetrahydro-3,4-dihydroxy-5-{[3-(2-hydroxy-1-methyl-propyl)oxiranyl]methyl}-2*H*-pyran-2-yl)-2-butenyl]oxy}nonanoic acid.

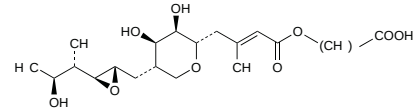
Мупироцин

$C_{26}H_{44}O_9$ = 500.6.

CAS — 12650-69-0.

ATC — D06AX09; R01AX06.

ATC Vet — QD06AX09; QR01AX06.



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

Ph. Eur. 6.2 (Mupirocin). A white or almost white powder. It shows polymorphism. Slightly soluble in water; freely soluble in dehydrated alcohol, in acetone, and in dichloromethane. The pH of a freshly prepared saturated solution in water is 3.5 to 4.0. Protect from light.

USP 31 (Mupirocin). A white to off-white crystalline solid. Very slightly soluble in water; freely soluble in dehydrated alcohol, in acetone, in chloroform, and in methyl alcohol; slightly soluble in ether. pH of a saturated solution in water is between 3.5 and 4.5. Store in airtight containers.

Mupirocin Calcium *(BANM, USAN, rINNM)*

BRL-4910F; Calcii Mupirocinum; Mupirocin vápenatá sůl dihydrát; Mupirocina cálcica; Mupirocine calcique; Mupirocinkalcium; Mupirocin-kalcium; Mupirocino kalcio druska; Mupirocinum calcicum; Mupirocinum Calcicum Dihydricum; Mupirosiinikalsium.

Кальций Мупироцин

$C_{52}H_{86}O_{18}Ca,2H_2O$ = 1075.3.

CAS — 104486-81-9 (anhydrous mupirocin calcium); 115074-43-6 (mupirocin calcium dihydrate).

ATC — D06AX09; R01AX06.

ATC Vet — QD06AX09; QR01AX06.

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

Ph. Eur. 6.2 (Mupirocin Calcium). A white or almost white powder. Very slightly soluble in water; sparingly soluble in dehydrated alcohol and in dichloromethane.

USP 31 (Mupirocin Calcium). Store in airtight containers at a temperature of 25°, excursions permitted between 15° and 30°.

Adverse Effects and Precautions

Mupirocin is usually well tolerated but local reactions such as burning, stinging, and itching may occur after the application of mupirocin to the skin.

Some mupirocin products are formulated in a macrogol base: such formulations are not suitable for application to mucous membranes and should be used with caution in patients with extensive burns or wounds because of the possibility of macrogol toxicity. Care is also required in patients with renal impairment.

Antimicrobial Action

Mupirocin is an antibacterial that inhibits bacterial protein synthesis by binding to isoleucyl transfer RNA synthetase. It is mainly bacteriostatic at low concentrations, although it is usually bactericidal in the high concentrations achieved by topical application to the skin. At these concentrations it may have some activity against organisms reported to be relatively resistant to mupirocin *in vitro*.

It is mainly active against Gram-positive aerobes. Most strains of staphylococci (including meticillin-resistant and multiply-resistant *Staph. aureus*) and streptococci are susceptible *in vitro*, although the enterococci are relatively resistant. Mupirocin is also active against *Listeria monocytogenes* and *Erysipelothrix rhusiopathiae*. The Gram-negative organisms are generally insensitive, but *Haemophilus influenzae*, *Neisseria* spp. and a few others are sensitive. Anaerobic organisms, both Gram-positive and Gram-negative, are generally resistant, and activity against fungi is low. Mupirocin is more active *in vitro* at acid pH than in alkaline conditions.

Naturally resistant strains of *Staph. aureus* occur rarely but resistance, including high-level plasmid-mediated transferable resistance, has emerged, particularly during long-term use. There has been some concern that inappropriate prescribing of mupirocin has led to this steadily increasing resistance.

Activity against fungi. Activity of mupirocin 2% *in vitro* against *Candida albicans* was comparable to that of other commonly used topical antifungals. Although MICs were considerably in excess of those reported for susceptible bacteria, clinical responses in 10 patients suggested that adequate concentrations of mupirocin were achieved after topical application.[1]

1. Rode H, *et al.* Efficacy of mupirocin in cutaneous candidiasis. *Lancet* 1991; **338:** 578.

Resistance. References.

1. Cookson BD. The emergence of mupirocin resistance: a challenge to infection control and antibiotic prescribing practice. *J Antimicrob Chemother* 1998; **41:** 11–18.
2. Schmitz F-J, *et al.* The prevalence of low- and high-level mupirocin resistance in staphylococci from 19 European hospitals. *J Antimicrob Chemother* 1998; **42:** 489–95.
3. Upton A, *et al.* Mupirocin and Staphylococcus aureus: a recent paradigm of emerging antibiotic resistance. *J Antimicrob Chemother* 2003; **51:** 613–17.
4. Kresken M, *et al.* Prevalence of mupirocin resistance in clinical isolates of Staphylococcus aureus and Staphylococcus epidermidis: results of the Antimicrobial Resistance Surveillance Study of the Paul-Ehrlich-Society for Chemotherapy, 2001. *Int J Antimicrob Agents* 2004; **23:** 577–81.
5. Walker ES, *et al.* A decline in mupirocin resistance in methicillin-resistant Staphylococcus aureus accompanied administrative control of prescriptions. *J Clin Microbiol* 2004; **42:** 2792–5.
6. Wertheim HF, *et al.* Mupirocin prophylaxis against nosocomial Staphylococcus aureus infections in nonsurgical patients: a randomized study. *Ann Intern Med* 2004; **140:** 419–25.
7. Kallen AJ, *et al.* Perioperative intranasal mupirocin for the prevention of surgical-site infections: systematic review of the literature and meta-analysis. *Infect Control Hosp Epidemiol* 2005; **26:** 916–22.
8. Unemura Y, *et al.* Impact of prophylactic mupirocin for radical esophagectomy. *J Infect Chemother* 2006; **12:** 257–63.
9. Sit D, *et al.* Prophylactic intranasal mupirocin ointment in the treatment of peritonitis in continuous ambulatory peritoneal dialysis patients. *Adv Therapy* 2007; **24:** 387–93.

Pharmacokinetics

Only very small amounts of topically applied mupirocin are absorbed into the systemic circulation where it is rapidly metabolised to monic acid which is excreted in the urine.

Uses and Administration

Mupirocin is an antibacterial produced by *Pseudomonas fluorescens*. It is applied topically as a 2% ointment in a macrogol base, or as a cream containing mupirocin calcium equivalent to 2% mupirocin, in the treatment of various bacterial skin infections. These preparations should be applied up to 3 times daily for up to 10 days; treatment should be re-evaluated if there is no response after 3 to 5 days. They are not suitable for application to mucous membranes, and therefore a nasal ointment containing mupirocin calcium equivalent to 2% mupirocin in a paraffin basis is used for eradication of the nasal carriage of *Staphylococcus aureus*, particularly epidemic meticillin-resistant strains. The nasal ointment should be applied into each nostril 2 or 3 times daily for a maximum of 7 days.

For further details of skin infections and staphylococcal infections and their treatment, see under Choice of Antibacterial, p.194.

◊ References.

1. Roth VR, *et al.* Should we routinely use mupirocin to prevent staphylococcal infections? *Infect Control Hosp Epidemiol* 2000; **21:** 745–9.
2. Perl TM, *et al.* Mupirocin and the Risk of Staphylococcus Aureus Study Team. Intranasal mupirocin to prevent postoperative Staphylococcus aureus infections. *N Engl J Med* 2002; **346:** 1871–7.
3. Takahashi S, *et al.* The preventive effects of mupirocin against nasotracheal intubation-related bacterial carriage. *Anesth Analg* 2003; **97:** 222–5.
4. Laupland KB, Conly JM. Treatment of Staphylococcus aureus colonization and prophylaxis for infection with topical intranasal mupirocin: an evidence-based review. *Clin Infect Dis* 2003; **37:** 933–8.
5. Tacconelli E, *et al.* Mupirocin prophylaxis to prevent Staphylococcus aureus infection in patients undergoing dialysis: a meta-analysis. *Clin Infect Dis* 2003; **37:** 1629–38.

Preparations

BP 2008: Mupirocin Ointment;
USP 31: Mupirocin Cream; Mupirocin Ointment.

Proprietary Preparations (details are given in Part 3)
Arg.: Bactroban; Mupax; Mupirox; Paldar; Vidox; ***Austral.:*** Bactroban; ***Austria:*** Bactroban; ***Belg.:*** Bactroban; ***Braz.:*** Bacrocin; Bactocin; Bactroban; Bactroneo; ***Canad.:*** Bactroban; ***Chile:*** Bactroban; Bantix; Ultrabiotic; Underan; ***Cz.:*** Bactroban; ***Denm.:*** Bactroban; ***Fin.:*** Bactroban; ***Fr.:*** Bactroban; Mupiderm; ***Ger.:*** InfectoPyoderm; Turixin; ***Gr.:*** Bactroban; Bactrocine; Hevronaz; Micoban; Mupider; Mupiran; Veltion; ***Hong Kong:*** Bactroban; ***Hung.:*** Bactroban; ***India:*** Bactroban; Supirocin; ***Indon.:*** Bactoderm; Bactroban; Pibaksin; ***Irl.:*** Bactroban; ***Israel:*** Bactoderm†; Bactroban; ***Ital.:*** Bactroban; Mupiskin; ***Jpn:*** Bactroban; ***Malaysia:*** Bactroban; Muprin; ***Mex.:*** Bactroban; Sinpebac; ***Neth.:*** Bactroban; ***NZ:*** Bactroban; ***Philipp.:*** Bactifree; Bactroban; Foskina; ***Pol.:*** Bactroban; Mupirox; ***Port.:*** Bactroban; ***Rus.:*** Bactroban (Бактробан); ***S.Afr.:*** Bactroban; ***Singapore:*** Bactroban; Supirocin; ***Spain:*** Bactroban; Plasimine; ***Swed.:*** Bactroban; ***Switz.:*** Bactroban; ***Thai.:*** Bactex; Bactroban; Muporin; ***Turk.:*** Bactroban; ***UK:*** Bactroban; ***USA:*** Bactroban; Centany; ***Venez.:*** Bactroban; Bactrobandos†.

Multi-ingredient: ***India:*** Supirocin-B.

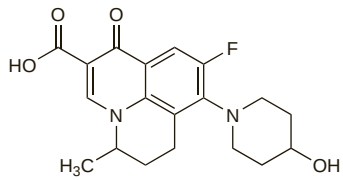
Nadifloxacin *(BAN, rINN)*

Jinofloxacin; Nadifloxacine; Nadifloxacino; Nadifloxacinum; OPC-7251. (±)-9-Fluoro-6,7-dihydro-8-(4-hydroxypiperidino)-5-methyl-1-oxo-1*H*,5*H*-benzo[*ij*]quinolizine-2-carboxylic acid.

Надифлоксацин

$C_{19}H_{21}FN_2O_4$ = 360.4.

CAS — 124858-35-1.



Profile

Nadifloxacin is a fluoroquinolone antibacterial used in topical treatment of acne. It is applied twice daily as a 1% cream or ointment.

Preparations

Proprietary Preparations (details are given in Part 3)
Ger.: Nadixa; ***Gr.:*** Nadixa; ***India:*** Nadiflox; ***Indon.:*** Acuatim; ***Jpn:*** Acuatim; ***Mex.:*** Nadixa†; ***Port.:*** Nadixa.

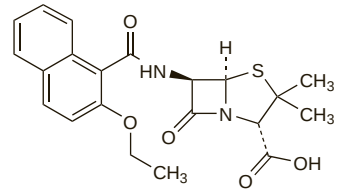
Nafcillin Sodium *(BANM, USAN, rINNM)*

Nafcilina sódica; Nafcilline Sodique; Nafcillinnatrium; Nafcillinum Natricum; Nafsilliininatrium; Natrii Nafcillinum; Wy-3277. Sodium (6*R*)-6-(2-ethoxy-1-naphthamido)penicillanate monohydrate.

Натрий Нафциллин

$C_{21}H_{21}N_2NaO_5S,H_2O$ = 454.5.

CAS — 147-52-4 (nafcillin); 985-16-0 (anhydrous nafcillin sodium); 7177-50-6 (nafcillin sodium monohydrate).



(nafcillin)

Pharmacopoeias. In *US*.
USP 31 (Nafcillin Sodium). A white to yellowish-white powder having not more than a slight characteristic odour. Freely soluble in water and in chloroform; soluble in alcohol. pH of a 3% solution in water is between 5.0 and 7.0. Store in airtight containers.

Incompatibility. Nafcillin sodium has been reported to be incompatible with aminoglycosides and a number of other antibacterials. It has also been reported to be incompatible with acidic and alkaline drugs.

Adverse Effects and Precautions

As for Benzylpenicillin, p.213.

Thrombophlebitis may occur when nafcillin is given by intravenous injection, and tissue damage has been reported on extravasation.

Effects on the kidneys. References.

1. Lestico MR, *et al.* Hepatic and renal dysfunction following nafcillin administration. *Ann Pharmacother* 1992; **26:** 985–90.
2. Guharoy SR, *et al.* Suspected nafcillin-induced interstitial nephritis. *Ann Pharmacother* 1993; **27:** 170–3.
3. Hoppes T, *et al.* Four cases of nafcillin-associated acute interstitial nephritis in one institution. *Nat Clin Pract Nephrol* 2007; **3:** 456–61.

Effects on the liver. References.

1. Lestico MR, *et al.* Hepatic and renal dysfunction following nafcillin administration. *Ann Pharmacother* 1992; **26**: 985–90.
2. Presti ME, *et al.* Nafcillin-associated hepatotoxicity: report of a case and review of the literature. *Dig Dis Sci* 1996; **41:** 180–4.

Sodium content. Each g of nafcillin sodium contains about 2.2 mmol of sodium.

Interactions

As for Benzylpenicillin, p.214.

Ciclosporin. For the effect of nafcillin on ciclosporin, see p.1825.

Warfarin. For the effect of nafcillin on warfarin, see p.1428.

Antimicrobial Action

As for Flucloxacillin, p.277.

Pharmacokinetics

Nafcillin is incompletely and irregularly absorbed from the gastrointestinal tract, especially when given after food. After intramuscular injection it is absorbed more reliably, an injection of 0.5 to 1 g producing peak plasma concentrations of 5 to 8 micrograms/mL within about 0.5 to 1 hour. Up to 90% of nafcillin in the circulation is bound to plasma proteins. Nafcillin has been reported to have a plasma half-life of about 0.5 to 1.5 hours. The half-life is prolonged in neonates.

Nafcillin crosses the placenta into the fetal circulation and is distributed into breast milk. There is little diffusion into the CSF except when the meninges are inflamed. Nafcillin is distributed into pleural and synovial fluids and into bone.

Nafcillin differs from most other penicillins in that it is largely inactivated by hepatic metabolism. It is excreted via the bile although some reabsorption takes place in the small intestine. Only about 10% of a dose given orally before food, and about 30% of a dose given intramuscularly, is excreted in the urine.

Plasma concentrations are enhanced by probenecid.

Uses and Administration

Nafcillin is a penicillinase-resistant penicillin used similarly to flucloxacillin (p.277) in the treatment of infections due to staphylococci resistant to benzylpenicillin.

It is given by injection as the sodium salt. Doses are expressed in terms of the equivalent amount of nafcillin; 1.1 g of nafcillin sodium is equivalent to about 1 g of nafcillin. Nafcillin sodium may be given intravenously by slow injection over 5 to 10 minutes or by slow infusion over at least 30 to 60 minutes; usual adult doses are 0.5 to 1 g of nafcillin every 4 hours, although it is usually recommended that it be used for not more than 24 to 48 hours because of the risk of thrombophlebitis. It has also been given by intramuscular injection in a dose of 500 mg of nafcillin every 4 to 6 hours.

Nafcillin sodium has also been given orally but other penicillinase-resistant penicillins are preferred.

Preparations

USP 31: Nafcillin for Injection; Nafcillin Injection; Nafcillin Sodium Capsules; Nafcillin Sodium for Oral Solution; Nafcillin Sodium Tablets.

Nalidixic Acid *(BAN, USAN, rINN)*

Acide nalidixique; Ácido nalidíxico; Acidum nalidixicum; Kwas nalidyksowy; Kyselina nalidixová; Nalidiksiinihappo; Nalidiksik Asit; Nalidikso rūgštis; Nalidixinic Acid; Nalidixinsyra; Nalidixsav; NSC-82174; Win-18320. 1-Ethyl-1,4-dihydro-7-methyl-4-oxo-1,8-naphthyridine-3-carboxylic acid.

Налидиксовая Кислота

$C_{12}H_{12}N_2O_3$ = 232.2.

CAS — 389-08-2.

ATC — J01MB02.

ATC Vet — QJ01MB02.