Chloroxine/Ciprofloxacin 243

Chlortetracycline Hydrochloride (BANM, rINNM)

Chlorotetracykliny chlorowodorek; Chlortetraciklino hidrochloridas; Chlortétracycline, chlorhydrate de; Chlortetracyclini hydrochloridum; Chlortetracyklin-hydrochlorid; Hidrocloruro de clortetraciclina; Klooritetrasykliinihydrokloridi; Klórtetraciklinhidroklorid; Klortetracyklinhydroklorid.

AA02 ATC Vet — QAOIAB21; QD06AA02; QS0IAA02. QJ01AA03; Pharmacopoeias. In Chin., Eur. (see p.vii), Int., and US.

Ph. Eur. 6.2 (Chlortetracycline Hydrochloride). The hydrochloride of a substance produced by the growth of certain strains of

Streptomyces aureofaciens or by any other means. A yellow powder. Slightly soluble in water and in alcohol; it dissolves in solutions of alkali hydroxides and carbonates. A 1% solution in water has a pH of 2.3 to 3.3. Protect from light.

USP 31 (Chlortetracycline Hydrochloride). A yellow, odourless crystalline powder. Soluble 1 in 75 of water and 1 in 560 of alcohol; practically insoluble in acetone, in chloroform, in dioxan, and in ether; soluble in solutions of alkali hydroxides and carbonates. pH of a 1% solution in water is between 2.3 and 3.3. Store in airtight containers. Protect from light,

Profile

Chlortetracycline is a tetracycline derivative with general properties similar to those of tetracycline (p.347) and is used as the hydrochloride, more often topically than orally. It is used as a 1% ophthalmic ointment and as a 3% ointment for application to the skin. It is poorly absorbed from the gastrointestinal tract compared with other tetracyclines but is sometimes given orally with other tetracycline derivatives.

Preparations

BP 2008: Chlortetracycline Eye Ointment; Chlortetracycline Ointment; **USP 31:** Chlortetracycline Hydrochloride Ointment; Chlortetracycline Hydrochloride Ophthalmic Ointment.

Proprietary Preparations (details are given in Part 3)

Austria: Aureomycin; Belg:, Aureomycin; Aureomycine; Fr.: Aureomy-cine; Ger.: Aureomycin; Belg:, Aureomycin; Aureomycine; Fr.: Aureomy-cine; Ger.: Aureomycin; Hong Kong: Aureomycin; Chlortralim; Ital.: Au-reomicina; Malaysia: Chlortralim; Norw.: Aureomycin; Fol.: Chlorco-cinum; Port.: Aurecid; Aureodermil; Singapore: Chlortralim; Spain: Aureomicina; Dermosa Aureomicina; Thai.: Aureomycin; Chlortralim.

Multi-ingredient: Austria: Aureocort; Braz.: Corciclen; Ger.: Aure-odelf†; Aureomycin N†; Ital.: Aureocort; Aureomix; S.Afr.: Tritet; UK: Aureocort; Deteclo†.

Ciclacillin (BAN, rINN)

Ciclacilina; Ciclacilline; Ciclacillinum; Ciklacillin; Cyclacillin (USAN); Siklasilliini; Wy-4508. (6R)-6-(1-Aminocyclohexanecarboxamido)penicillanic acid.

Пикланиллин $C_{15}H_{23}N_{3}O_{4}S = 341.4.$ CAS — 3485-14-1.

Pharmacopoeias. In Jpn.

Profile

Ciclacillin is an aminopenicillin with properties similar to those of ampicillin (p.204), although it is generally less active in vitro.

Preparations

Proprietary Preparations (details are given in Part 3) Braz.: Cilinase+

Cilastatin Sodium (BANM, USAN, HNNM)

Cilastatin sodná sůl; Cilastatina sódica; Cilastatine sodique; Cilastatinnatrium: Cilastatino natrio druska: Cilastatinum natricum: Cilasztatin-nátrium: L-642957: MK-791: Natrii Cilastatinas: Natrii Cilastatinum; Natriumsilastatinaatti; Natriumsilastatinat; Silastatiininatrium; Silastatin Sodyum. (Z)-(S)-6-Carboxy-6-[(S)-2,2dimethylcyclopropanecarboxamido]hex-5-enyl-L-cysteine, monosodium salt.

Натрий Циластатин

C₁₆H₂₅N₂NaO₅S = 380.4. CAS — 82009-34-5 (cilastatin); 81129-83-1 (cilastatin sodium).



(cilastatin)

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

Ph. Eur. 6.2 (Cilastatin Sodium). A white or light yellow, hygroscopic, amorphous powder. Very soluble in water and in methyl alcohol; slightly soluble in dehydrated alcohol; practically insoluble in acetone and in dichloromethane; very slightly soluble in dimethyl sulfoxide. A 1% solution in water has a pH of 6.5 to 7.5. Store at a temperature not exceeding 8° in airtight containers.

USP 31 (Cilastatin Sodium). A white to tan-coloured powder. Soluble in water and in methyl alcohol. pH of a 1% solution in water is between 6.5 and 7.5. Store at a temperature less than 8°. Profile

Cilastatin is an inhibitor of dehydropeptidase I, an enzyme found in the brush border of the renal tubules. It is given as the sodium salt with the antibacterial imipenem (p.286) to prevent its renal metabolism to microbiologically inactive and potentially nephrotoxic products. This increases the concentrations of imipenem achieved in the urine and protects against any nephrotoxic effects, which were seen with high doses of imipenem given experimentally to animals.

Cilastatin has no antibacterial activity itself, and does not affect the antibacterial activity of imipenem.

Preparations

USP 31: Imipenem and Cilastatin for Injectable Suspension; Imipenem and Cilastatin for Injection.

Proprietary Preparations (details are given in Part 3) Pol.: Tienan

Pol: Irenam. Multi-ingredient: Arg.: Dixabiox, Imipecil; Imistatin; Klonam⁺; Zienam; Austral: Primaxin; Austral: Primaxin; Chile: Inem; Tienam; Braz.: Penexil⁺; Tien-am; Canad.: Primaxin; Chile: Inem; Tienam; Car.: Tienam; Denm.: Tienam; Fin.: Tienam; Fri.: Tienam; Ger.: Zienam; Gri.: Primaxin; Hong Korg: Prepenem; Tienam; Hung.: Tienam; India: Cilanem; Indon.: Pelastin; Tienam; Israel: Tienam; Malaysia: Bacqure; Tienam; Mar, Xarzomeba; Iminer; Tienam; Meth.: Tienam; Nore; Tienam; NZ: Primaxin; Philipp:: Anipen; Tienam; Port:: Tienam; Rus.: Tienam; Vienam; Singopore: Tienam; Sudi: Tienam; Witz:: Tienam; Mita:: Tienam; Tienam; Yusah; Tienam; Singopore: Tienam; Sudi: Tienam; Meth.: Tienam;

Cinoxacin (BAN, USAN, rINN)

64716; Azolinic Acid; Cinoxacine; Cinoxacino; Cinoxacinum; Compound 64716; Sinoksasiini. I-Ethyl-1,4-dihydro-4-oxo-1,3dioxolo[4,5-g]cinnoline-3-carboxylic acid.

Циноксацин $C_{12}H_{10}N_2O_5 = 262.2.$ CAS — 28657-80-9. ATC — J01MB06. ATC Vet — QJ01MB06.



Pharmacopoeias. In US.

USP 31 (Cinoxacin). A white to yellowish-white, odourless crystalline solid. Insoluble in water and in most common organic solvents; soluble in alkaline solution. Store in airtight containers.

Adverse Effects and Precautions

As for Nalidixic Acid, p.304 Cinoxacin should be used in reduced dosage, or not at all, in pa-

tients with renal impairment.

◊ References

1. Stricker BHC, et al. Anaphylactic reactions to cinoxacin. BMJ 1988; **297:** 1434–5.

Interactions

As for Nalidixic Acid, p.304.

Antimicrobial Action

As for Nalidixic Acid, p.304. Cross-resistance with nalidixic acid has been shown.

Pharmacokinetics

Cinoxacin is rapidly and almost completely absorbed after oral doses. Peak serum concentrations of about 15 micrograms/mL occur 2 to 3 hours after a 500-mg dose. The plasma half-life is about 1 to 2 hours. Cinoxacin is more than 60% bound to plasma proteins.

Cinoxacin appears to be metabolised in the liver and is excreted via the kidney. Over 95% of a dose appears in the urine within 24 hours, over half as unaltered drug and the remainder as inactive metabolites. Mean urinary concentrations of about 300 micrograms/mL have been achieved during the first 4 hours after a 500-mg oral dose. Urinary excretion is reduced by probenecid and in patients with renal impairment.

Uses and Administration

Cinoxacin is a 4-quinolone antibacterial with actions and uses similar to those of nalidixic acid (p.304). In the treatment of urinary-tract infections the usual oral dose is 500 mg twice daily; for prophylaxis 500 mg is given at bedtime.

For advice on use in renal impairment, see below

Administration in renal impairment. Cinoxacin should be used in reduced dosage, or not used at all, in patients with renal impairment.

Preparations

USP 31: Cinoxacin Capsules.

Proprietary Preparations (details are given in Part 3) **Gr.:** Cinobactin†; **Ital.:** Cinobac; Cinocil; Cinoxen; Nossacin; Noxigram†; Uroc; Uronorm†; Uroxacin†; **Mex.:** Gugecin†; **USA:** Cinobac†.

Ciprofloxacin (BAN, USAN, rINN)

Bay-g-3939; Ciprofloksacinas; Ciprofloxacine; Ciprofloxacino; Ciprofloxacinum; Siprofloksasiini; Siprofloksasin; Siprofloxacin. I-Cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-7-piperazin-1-ylquinoline-3-carboxylic acid.

Ципрофлоксацин

 $C_{17}H_{18}FN_3O_3 = 331.3.$

CAS - 85721-33-1.

ATC - 101 MA02; S01 AX13; S02 AA15; S03 AA07.

QJOIMAO2; QSOIAXI3; QSO2AAI5; ATC Vet — QS03AA07.



Pharmacopoeias. In Chin., Eur. (see p.vii), Int., and US. Ph. Eur. 6.2 (Ciprofloxacin). An almost white or pale yellow, slightly hygroscopic, crystalline powder. Practically insoluble in water; very slightly soluble in dehydrated alcohol and in dichloromethane. Store in airtight containers. Protect from light. USP 31 (Ciprofloxacin). Store in airtight containers at a temper-ature of 25°, excursions permitted between 15° and 30°. Avoid temperatures above 40°. Protect from light.

Ciprofloxacin Hydrochloride (BANM, USAN, HNNM)

Bay-o-9867; Ciprofloksacino hidrochloridas; Ciprofloxacine, chlorhydrate de; Ciprofloxacin-hidroklorid; Ciprofloxacin-hydrochlorid; Ciprofloxacinhydroklorid; Ciprofloxacini hydrochloridum; Cyprofloksacyny chlorowodorek; Hidrocloruro de ciprofloxacino; Siprofloksasiinihydrokloridi; Siprofloksasin Hidroklorür. Ciprofloxacin hydrochloride monohydrate.

Ципрофлоксацина Гидрохлорид

 $C_{17}H_{18}FN_{3}O_{3},HCl,H_{2}O=385.8.$ $CAS-86483\cdot48\cdot9$ (anhydrous ciprofloxacin hydrochloride); 86393-32-0 (ciprofloxacin hydrochloride monohydrate).

ATC - SO2AAI5. ATC Vet - QSO2AAI5.

Pharmacopoeias. In Chin., Eur. (see p.vii), Int., US, and Viet. Ph. Eur. 6.2 (Ciprofloxacin Hydrochloride). A pale yellow, slightly hygroscopic, crystalline powder. Soluble in water; very slightly soluble in dehydrated alcohol; practically insoluble in acetone, in dichloromethane, and in ethyl acetate; slightly soluble in methyl alcohol. A 2.5% solution in water has a pH of 3.5 to 4.5. Store in airtight containers. Protect from light.

USP 31 (Ciprofloxacin Hydrochloride). Faintly yellowish to light yellow crystals. Sparingly soluble in water; very slightly soluble in dehydrated alcohol; slightly soluble in acetic acid and in methyl alcohol; practically insoluble in acetone, in acetonitrile, in dichloromethane, in ethyl acetate, and in hexane. pH of a 2.5% solution in water is between 3.0 and 4.5. Store in airtight containers at a temperature of 25°, excursions permitted between 15° and 30°. Protect from light.

Ciprofloxacin Lactate (BANM, rINNM)

Ciprofloxacine, Lactate de; Ciprofloxacini Lactas; Lactato de ciprofloxacino.

Ципрофлоксацина Лактат $C_{17}H_{18}FN_3O_3, C_3H_6O_3 = 421.4.$ CAS - 97867-33-9. ATC - S02AA15.

ATC Vet - OS02AA15.

Incompatibility. Ciprofloxacin infusion is stated in UK licensed product information to have a pH of 3.9 to 4.5 and to be incompatible with injections chemically or physically unstable at this pH range. Incompatibility has been reported between ciprofloxacin and other drugs including some antibacterials.1-5

1. Lyall D, Blythe J. Ciprofloxacin lactate infusion. Pharm J 1987; 238: 290