

Enrofloxacin (BAN, USAN, rINN)

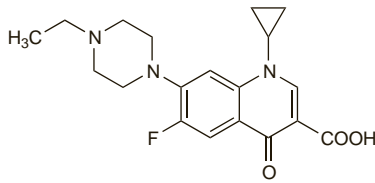
Bay-Vp-2674; Enrofloksasiini; Enrofloxacine; Enrofloxacino; Enrofloxacinum. 1-Cyclopropyl-7-(4-ethylpiperazin-1-yl)-6-fluoro-1,4-dihydro-4-oxoquinoline-3-carboxylic acid.

Энрофлоксацин

$C_{19}H_{22}FN_3O_3 = 359.4$.

CAS — 93106-60-6.

ATC Vet — QJ01MA90.

**Profile**

Enrofloxacin is a fluoroquinolone antibacterial that is used in veterinary practice.

Ertapenem Sodium (BANM, USAN, rINNM)

Ertapenem sodico; Ertapénem Sodique; L-749345; MK-826; MK-0826; Natrii Ertapenemum; ZD-4433. Sodium (4R,5S,6S)-3-((3S,5S)-5-[[[m-Carboxyphenyl]carbonyl]-3-pyrrolidinyl]thio)-6-[[[1R]-1-hydroxyethyl]-4-methyl-7-oxo-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylate.

Натрий Эртапенем

$C_{22}H_{24}N_3NaO_7S = 497.5$.

CAS — 153832-46-3 (ertapenem); 153832-38-3 (ertapenem disodium); 153773-82-1 (ertapenem sodium).

ATC — J01DH03.

ATC Vet — QJ01DH03.

Incompatibility and stability. References.

1. McQuade MS, et al. Stability and compatibility of reconstituted ertapenem with commonly used iv infusion and confusion solutions. *Am J Health-Syst Pharm* 2004; **61**: 38–45.

Adverse Effects and Precautions

As for Imipenem, p.286.

Ertapenem is more stable to renal dehydropeptidase I than imipenem and use with cilastatin, which inhibits the enzyme, is not required.

Interactions

Probenecid inhibits the renal excretion of ertapenem thereby increasing its plasma concentrations and prolonging its elimination half-life.

Antiepileptics. For reports of decreased plasma-*valproate* concentrations (sometimes with loss of seizure control) attributed to ertapenem, see p.510.

Antimicrobial Action

As for Imipenem, p.287.

Ertapenem is reported to be slightly more active *in vitro* than imipenem but has a narrower spectrum of activity and is not active against *Acinetobacter* or *Pseudomonas aeruginosa*.

Pharmacokinetics

After intravenous infusion of ertapenem 1 g over 30 minutes, a mean plasma concentration of 155 micrograms/mL is attained, falling to 9 micrograms/mL after 12 hours and 1 microgram/mL after 24 hours. After the same dose intramuscularly, a plasma concentration of 67 micrograms/mL is achieved after 2 hours. Bioavailability after intramuscular injection is about 90%.

Ertapenem is more than 90% bound to plasma proteins. It is distributed into breast milk. The plasma half-life is about 4 hours in adults and 2.5 hours in infants and in children aged 3 months to 12 years; the half-life may be prolonged in patients with renal impairment.

Ertapenem is partially metabolised via hydrolysis of its beta-lactam ring by dehydropeptidase I to an open-ringed metabolite. About 80% of a dose is excreted in the urine as both unchanged drug and metabolite. About 10% is excreted in faeces.

Ertapenem is removed by haemodialysis.

The symbol † denotes a preparation no longer actively marketed

Uses and Administration

Ertapenem is a carbapenem beta-lactam antibacterial with actions and uses similar to those of imipenem (p.287). It is more stable to renal dehydropeptidase I than imipenem and need not be given with an enzyme inhibitor such as cilastatin. It is used in the treatment of susceptible infections including intra-abdominal infections, acute gynaecological infections, urinary-tract infections, skin and skin structure infections (including diabetic foot infections), and community-acquired pneumonia. It is also used prophylactically in colorectal surgery. For details of these infections and their treatment, see under Choice of Antibacterial, p.162.

Ertapenem is given as the sodium salt, but doses are expressed in terms of the base; 1.04 g of ertapenem sodium is equivalent to about 1 g of ertapenem. For treatment, it is given by intravenous infusion over 30 minutes or by intramuscular injection, in a usual adult dose of 1 g once daily. For prophylaxis, a single 1-g dose is given intravenously 1 hour before the start of surgery. For details of reduced doses in renal impairment, see below.

For details of doses in infants and children, see below.

Reviews.

1. Keating GM, Perry CM. Ertapenem: a review of its use in the treatment of bacterial infections. *Drugs* 2006; **65**: 2151–78.

Administration in children. The dose of ertapenem for children aged 3 months to 12 years is 15 mg/kg twice daily (up to a maximum of 1 g daily) given by intravenous infusion over 30 minutes; if appropriate, the intramuscular route may be used.

Administration in renal impairment. Doses of ertapenem should be reduced in patients with renal impairment according to creatinine clearance (CC) and the following data are based on US prescribing information:

- CC 30 mL or less per minute (including end-stage disease where CC is 10 mL or less per minute): 500 mg daily for adults
- haemodialysis: if the 500-mg dose is given in the 6-hour period before dialysis an additional 150 mg should be given after each session.

The UK product licence, however, states that in advanced renal insufficiency and haemodialysis there are inadequate data to make recommendations and that ertapenem should not be used in these patients.

Preparations

Proprietary Preparations (details are given in Part 3)

Arg.: Invanz; **Austral.:** Invanz; **Austria:** Invanz; **Belg.:** Invanz; **Braz.:** Invanz; **Canad.:** Invanz; **Chile:** Invanz; **Cz.:** Invanz; **Denm.:** Invanz; **Fin.:** Invanz; **Fr.:** Invanz; **Ger.:** Invanz; **Gr.:** Invanz; **Hong Kong:** Invanz; **Hung.:** Invanz; **Irl.:** Invanz; **Israel:** Invanz; **Ital.:** Invanz; **Malaysia:** Invanz; **Neth.:** Invanz; **NZ:** Invanz; **Philipp.:** Invanz; **Pol.:** Invanz; **Port.:** Invanz; **Rus.:** Invanz (Инвазан); **S.Afr.:** Invanz; **Singapore:** Invanz; **Spain:** Invanz; **Swed.:** Invanz; **Thai.:** Invanz; **UK:** Invanz; **USA:** Invanz; **Venez.:** Invanz.

Erythromycin (BAN, rINN)

Eritromicina; Eritromicina; Eritromicinas; Eritromisin; Érythromycine; Erythromycinum; Erytromycin; Erytromycyna; Erytromysilni. Erythromycin A is (2R,3S,4S,5R,6R,8R,10R,11R,12S,13R)-5-(3-amino-3,4,6-trideoxy-N,N-dimethyl-β-D-xylo-hexopyranosyloxy)-2-(3,6-dideoxy-3-C,3-O-dimethyl-α-L-ribo-hexopyranosyloxy)-1,3-ethyl-6,11,12-trihydroxy-2,4,6,8,10,12-hexamethyl-9-oxotridecan-13-olide.

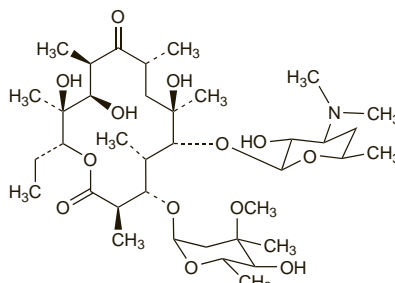
Эритромицин

$C_{37}H_{67}NO_{13} = 733.9$.

CAS — 114-07-8.

ATC — D10AF02; J01FA01; S01AA17.

ATC Vet — QD10AF02; QJ01FA01; QJ51FA01; QSO1AA17.

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

Ph. Eur. 6.2 (Erythromycin). It is produced by the growth of a strain of *Streptomyces erythraeus* and is a mixture of macrolide antibiotics consisting largely of erythromycin A. It occurs as a white or slightly yellow powder or colourless or slightly yellow crystals; slightly hygroscopic. Slightly soluble in water but less soluble at higher temperatures; freely soluble in alcohol; soluble in methyl alcohol. Protect from light.

USP 31 (Erythromycin). It consists primarily of erythromycin A. A white or slightly yellow, odourless or practically odourless, crystalline powder. Soluble 1 in 1000 of water; soluble in alcohol, in chloroform, and in ether. Store in airtight containers.

Erythromycin Estolate (BAN, USAN, rINNM)

Eritromicin-esztolat; Eritromicino estolas; Erythromycin Propionate Lauryl Sulphate; Erythromycin Propionate Lauryl Sulphate; Érythromycine, estolate d'; Erythromycin-estolat; Erythromycini estolas; Erythromycinestolat; Erytromycynny estolan; Erytromycyni-estolaatti; Estolato de eritromicina; Propionylerythromycin Lauryl Sulphate. Erythromycin 2'-propionate dodecyl sulphate.

Эритромицина Эстолат

$C_{40}H_{71}NO_{14}, C_{12}H_{26}O_4S = 1056.4$.

CAS — 3521-62-8.

ATC — D10AF02; J01FA01; S01AA17.

ATC Vet — QD10AF02; QJ01FA01; QSO1AA17.

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

Ph. Eur. 6.2 (Erythromycin Estolate). A white or almost white, crystalline powder. Practically insoluble in water; freely soluble in alcohol; soluble in acetone; practically insoluble in dilute hydrochloric acid. Protect from light.

USP 31 (Erythromycin Estolate). A white, odourless or practically odourless, crystalline powder. It has a potency equivalent to not less than 600 micrograms of erythromycin per mg, calculated on the anhydrous basis. Practically insoluble in water; soluble 1 in 20 of alcohol, 1 in 15 of acetone, and 1 in 10 of chloroform. Store in airtight containers.

Erythromycin Ethyl Succinate (BANM)

Eritromicina, etilsuccinato de; Eritromicino-etilsukcinát; Eritromicino etilsukcinatas; Erythromycin Ethylsuccinate; Érythromycine, éthylsuccinate d'; Erythromycin-ethylsuccinát; Erythromycini ethylsuccinas; Erytromycynnyethylsuccinat; Erytromycynny etylobursztynian; Erytromycyniethylsuccinaatti. Erythromycin 2'-(ethylsuccinate).

Эритромицина Этилсукцинат

$C_{43}H_{75}NO_{16} = 862.1$.

CAS — 41342-53-4.

ATC — D10AF02; J01FA01; S01AA17.

ATC Vet — QD10AF02; QJ01FA01; QSO1AA17.

NOTE. Compounded preparations of erythromycin ethyl succinate may be represented by the following names:

- Co-erynsulfisox (PEN)—erythromycin ethyl succinate and acetyl sulfafurazole.

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

Ph. Eur. 6.2 (Erythromycin Ethylsuccinate; Erythromycin Ethyl Succinate BP 2008). A white or almost white, hygroscopic crystalline powder. Practically insoluble in water; freely soluble in dehydrated alcohol, in acetone, and in methyl alcohol. Store in airtight containers. Protect from light.

USP 31 (Erythromycin Ethylsuccinate). A white or slightly yellow, odourless or practically odourless, crystalline powder. It has a potency equivalent to not less than 765 micrograms of erythromycin per mg, calculated on the anhydrous basis. Very slightly soluble in water; freely soluble in alcohol, in chloroform, and in macrogol 400. Store in airtight containers.

Erythromycin Gluceptate (BANM, rINNM)

Érythromycine, Gluceptate d'; Erythromycini Gluceptas; Gluceptato de eritromicina. Erythromycin glucoheptonate.

Эритромицина Глюцептат

$C_{37}H_{67}NO_{13}, C_7H_{14}O_8 = 960.1$.

CAS — 304-63-2; 23067-13-2.

ATC — D10AF02; J01FA01; S01AA17.

ATC Vet — QD10AF02; QJ01FA01; QSO1AA17.

Pharmacopoeias. In *US*.

USP 31 (Sterile Erythromycin Gluceptate). It is erythromycin glucoheptate suitable for parenteral use. It has a potency equivalent to not less than 600 micrograms of erythromycin per mg, calculated on the anhydrous basis. pH of a 2.5% solution in water is between 6.0 and 8.0.