Preparations

Proprietary Preparations (details are given in Part 3)
Braz.: Eradacil; ***Mex.:*** Eradacil; ***Port.:*** Eradacil†.

Roxithromycin *(USAN, rINN)*

Roksitromicinas; Roksitromisin; Roksitromysiini; Roxithromycine; Roxithromycinum; Roxitromicin; Roxitromicina; Roxitromycin; RU-965; RU-28965. Erythromycin 9-{*O*-[(2-methoxyethoxy)-methyl]oxime}.

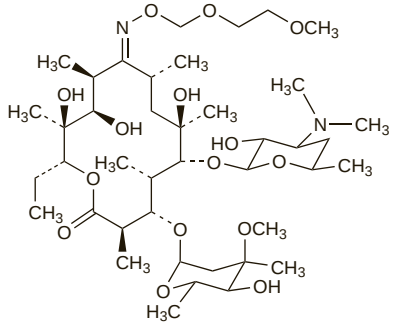
Рокситромицин

$C_{41}H_{76}N_2O_{15}$ = 837.0.

CAS — 80214-83-1.

ATC — J01FA06.

ATC Vet — QJ01FA06.



Pharmacopoeias. In *Chin.*, *Eur.* (see p.vii), and *Jpn*.
Ph. Eur. 6.2 (Roxithromycin). A white or almost white, crystalline powder. It exhibits polymorphism. Very slightly soluble in water; freely soluble in alcohol, in acetone, and in dichloromethane; slightly soluble in dilute hydrochloric acid. Store in airtight containers.

Adverse Effects and Precautions

As for Erythromycin, p.270.

Gastrointestinal disturbances are the most frequent adverse effect, but are less frequent than with erythromycin.

The dose of roxithromycin may need to be reduced in patients with hepatic or renal impairment.

Effects on the kidneys. Acute interstitial nephritis has been reported[1] in a patient given roxithromycin; renal function improved over several days after the drug was stopped.

1. Akcay A, *et al.* Acute renal failure and hepatotoxicity associated with roxithromycin. *Ann Pharmacother* 2004; **38:** 721–2.

Effects on the lungs. Acute eosinophilic pneumonia was attributed in a patient to the use of roxithromycin.[1] The condition resolved after treatment with methylprednisolone.

1. Pérez-Castrillón JL, *et al.* Roxithromycin-induced eosinophilic pneumonia. *Ann Pharmacother* 2002; **36:** 1808–9.

Effects on the pancreas. Acute pancreatitis, with duodenal inflammation, pain, pancreatic enlargement, and raised serum-amylase developed in a patient within 24 hours of substituting roxithromycin for erythromycin ethyl succinate.[1] Symptoms resolved rapidly once roxithromycin was stopped.

1. Souweine B, *et al.* Acute pancreatitis associated with roxithromycin therapy. *DICP Ann Pharmacother* 1991; **25:** 1137.

Eosinophilia. For a report of an eosinophilic syndrome in a patient after treatment with azithromycin or roxithromycin, see Azithromycin, p.207. See also under Effects on the Lungs, above.

Interactions

For a discussion of drug interactions of macrolide antibacterials, see Erythromycin, p.271.

Roxithromycin has a much lower affinity for cytochrome P450 isoenzymes than erythromycin and therefore has fewer interactions. It does not appear to interact with antacids, carbamazepine, oral contraceptives, prednisolone, or ranitidine.

Antimicrobial Action

As for Erythromycin, p.271. It is reported to be as active or slightly less active than erythromycin.

Pharmacokinetics

Roxithromycin is absorbed after oral doses with a bioavailability of about 50%. Peak plasma concentrations of about 6 to 8 micrograms/mL occur around 2 hours after a single dose of 150 mg. The mean peak plasma concentration at steady state after a dose of 150 mg twice daily is 9.3 micrograms/mL. Absorption is reduced when taken after a meal. It is widely distributed into tissues and body fluids; high concentrations are taken up into white blood cells. Small amounts of roxithromycin are distributed into breast milk. It is about 96% bound to plasma proteins (mainly α_1-acid glycoprotein) at trough concentrations, but binding is saturable, and only about 87% is bound at usual peak concentrations. Small amounts of roxithromycin are metabolised in the liver, and the majority of a dose is excreted in the faeces as unchanged drug and metabolites; about 7 to 10% is excreted in urine, and up to 15% via the lungs. The elimination half-life is reported to range from about 8 to 13 hours, but may be more prolonged in patients with hepatic or renal impairment and in children. It has been reported that roxithromycin is not substantially removed by peritoneal dialysis.

◊ References.

1. Puri SK, Lassman HB. Roxithromycin: a pharmacokinetic review of a macrolide. *J Antimicrob Chemother* 1987; **20** (suppl B): 89–100.

Uses and Administration

Roxithromycin is a macrolide antibacterial with actions and uses similar to those of erythromycin (p.272). It is given orally to adults in a usual dose of 150 mg twice daily or sometimes 300 mg once daily, at least 15 minutes before meals, for 5 to 10 days in the treatment of susceptible infections.

Dosage may need to be modified in patients with hepatic or renal impairment (see below).

For doses in infants and children, see below.

◊ References.

1. Williams JD, Sefton AM. Comparison of macrolide antibiotics. *J Antimicrob Chemother* 1993; **31** (suppl C): 11–26.
2. Markham A, Faulds D. Roxithromycin: an update of its antimicrobial activity, pharmacokinetic properties and therapeutic use. *Drugs* 1994; **48:** 297–326.
3. Young LS, Lode H, eds. Roxithromycin: first of a new generation of macrolides: update and perspectives. *Infection* 1995; **23** (suppl 1): S1–S52.
4. Lovering AM, *et al.*, eds. Roxithromycin—additional therapeutic potential. *J Antimicrob Chemother* 1998; **41** (suppl B): 1–97.

Administration in children. In children weighing from 6 up to 40 kg a dose of 5 to 8 mg/kg daily of roxithromycin may be used.

Administration in hepatic impairment. The licensed product information for roxithromycin notes that safety in hepatic impairment has not been established and advises halving the usual daily dose (see above) if used.

Administration in renal impairment. The licensed product information for roxithromycin notes that safety in renal impairment has not been established and dosage adjustment details are not specified.

A pharmacokinetic study[1] in 20 subjects (10 with normal renal function and 10 with severely impaired function) suggested that doubling the dosage interval of roxithromycin would be suitable in those with a creatinine clearance of less than 15 mL/minute.

1. Halstenson CE, *et al.* Disposition of roxithromycin in patients with normal and severely impaired renal function. *Antimicrob Agents Chemother* 1990; **34:** 385–9.

Hyperplasia. Gingival hyperplasia is a well recognised adverse effect of ciclosporin treatment; a small study[1] indicated that roxithromycin could reduce overgrowth, possibly by an effect on transforming growth factor-β. For the use of another macrolide, azithromycin, for this indication see Hyperplasia, p.1824.

1. Condé SAP, *et al.* Roxithromycin reduces cyclosporine-induced gingival hyperplasia in renal transplant patients. *Transplant Proc* 2008; **40:** 1435–8.

Ischaemic heart disease. For mention of studies investigating roxithromycin in the prevention of ischaemic heart disease, see under Azithromycin, p.208.

Respiratory disorders. For reference to the use of roxithromycin in the management of respiratory disorders, see under Erythromycin, p.273.

Preparations

Proprietary Preparations (details are given in Part 3)
Arg.: Anuar†; Delos; Klomicina; Rulid; Sinurit†; ***Austral.:*** Biaxsig; Roxar; Roximycin; Rulide; ***Austria:*** Roxithrostad; Rulide; ***Belg.:*** Claramid†; Docroxithro; Rulid; ***Braz.:*** Floxid; Rotram; Roxid†; Roxina; Roxitran; Roxitricina†; Roxitrom; Roxitromin†; Rulid; ***Chile:*** Ramivan; ***Cz.:*** Rovenal†; Rulid†; ***Denm.:*** Forilin†; Forimycin; Roximstad; Surlid; ***Fin.:*** Roxibion; Surlid; ***Fr.:*** Claramid; Rulid; Subroxine; ***Ger.:*** Infectoroxit; Romyk†; Roxi; Roxipaed†; Roxi-Puren; Roxi-Q; Roxi-saar; Roxibeta; Roxidura; Roxigamma; Roxigrun; RoxiHefa; RoxiHexal; Roxiklinge†; Roxithro-Lich; Rulid; ***Gr.:*** Acevor; Anti-Bio; Aristomycin; Asmetic; Azuril; Bazuctril; Bicofen; Delitroxin; Erybros; Macrolid-S; Neo-Suxigal; Nirox; Oxetine; Redotrin; Roxibron; Roxicillin; Roximin; Roxitazon; Roxivinol; Roxy-Due; Roxyspes; Rulid; Seide; Siguon; Thriostaxil; Tirabicin; Toscamycin-R; Uramilon; Vaselpin; Vomitoran; ***Hong Kong:*** Roxicin; Rudin; Rulid; Uonin; ***Hung.:*** Renicin; Rulid; ***India:*** Biorox; Roxee; Roxem; Roxeptin; Roxibid; Roxid; Roxivista; Roxyrol; Unorox†; ***Indon.:*** Anbiolid; Biostatik; Ixor; Rolexit; Rulid; Ruxcine; Simacron; Sitro; Uplores; Xorin; ***Israel:*** Roxo; Rulid; ***Ital.:*** Assoral; Overal; Rossitrol; Rulid; ***Malaysia:*** Roxcin; Roxinox; Rulid; Uonin; ***Mex.:*** Crolix; Kensodic; Rulid; Sertrom; ***Neth.:*** Rulide; ***NZ:*** Romicin; ***Philipp.:*** Macrol; Marulide; Rulid; Ruthison; Thromyn; ***Pol.:*** Renicin; Rolicyn; Roxiratio; Roxitron; Rulid; Xitrocin; ***Port.:*** Inferoxin; Odonticina; Roxitron; Rulide; ***Rus.:*** Elrox (Элрокс); Roxeptin (Роксептин); Roxihexal (Роксигексал); Roxylor (Роксилор); Rulid (Рулид); ***S.Afr.:*** Roxulide; Roxxibid; Rulide; Throsyn; ***Singapore:*** Roxid; Rulid†; ***Spain:*** Macrosil; Rotramin; Rulide; ***Swed.:*** Surlid; ***Switz.:*** Rulid; ***Thai.:*** Ammirox; Eroxade; Poliroxin; Rothricin; Roxcin; Roxicin; Roxilan; Roximin; Roxithro; Roxithroxyl; Roxitin; Roxitop; Roxlecon; Roxomycin; Roxthomed; Roxthrin; Roxto; Roxtrocin; Roxy; Roxydin; Rucin; Rulid; Uonin; Utolid; Vesthromycin; ***Turk.:*** Remora; Ritosin; Roksimin; Roksolit; Rulid; ***Venez.:*** Rancolid†; Roxicure; Roxitrol; Rulid.

Multi-ingredient: ***India:*** Roxeptin-ME.

Rufloxacin Hydrochloride *(BANM, rINNM)*

Hidrocloruro de rufloxacino; MF-934 (rufloxacin); Rufloxacine, Chlorhydrate de; Rufloxacini Hydrochloridum. 9-Fluoro-2,3-dihydro-10-[4-methylpiperazin-1-yl]-7-oxo-7*H*-pyrido[1,2,3-*de*]-1,4-benzothiazine-6-carboxylic acid hydrochloride.

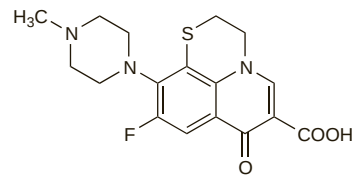
Руфлоксацина Гидрохлорид

$C_{17}H_{18}FN_3O_3S,HCl$ = 399.9.

CAS — 101363-10-4 (rufloxacin); 106017-08-7 (rufloxacin hydrochloride).

ATC — J01MA10.

ATC Vet — QJ01MA10.



(rufloxacin)

Profile

Rufloxacin is a fluoroquinolone antibacterial with properties similar to those of ciprofloxacin (p.243). It is given orally as the hydrochloride in the treatment of susceptible infections in a usual initial dose of 400 mg on the first day followed by 200 mg daily thereafter. A plasma half-life of 30 hours or more has been reported.

Preparations

Proprietary Preparations (details are given in Part 3)
Ital.: Monos; Qari; Tebraxin; ***Mex.:*** Uroflox; ***Philipp.:*** Uroclar; ***Thai.:*** Uroflox.

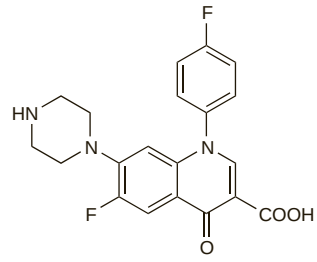
Sarafloxacin Hydrochloride *(BANM, USAN, rINNM)*

A-57135 (sarafloxacin); A-56620 (sarafloxacin or sarafloxacin hydrochloride); Abbott-56620 (sarafloxacin or sarafloxacin hydrochloride); Hidrocloruro de sarafloxacino; Sarafloxacine, Chlorhydrate de; Sarafloxacini Hydrochloridum.

Сарафлоксацина Гидрохлорид

$C_{20}H_{17}F_2N_3O_3,HCl$ = 421.8.

CAS — 98105-99-8 (sarafloxacin); 91296-87-6 (sarafloxacin hydrochloride).



(sarafloxacin)

Profile

Sarafloxacin is a fluoroquinolone antibacterial that has been used as the hydrochloride in veterinary medicine.