

Roxithromycin

Antibiotic Class:

Neo-Macrolide

Antimicrobial Activity:

Staphylococcus, *Streptomyces*, *Bacillus cereus*, *Bordetella pertussis*, *Chlamydia trachomatis*, *Corynebacterium diphtheriae*, *Gardnerella vaginalis*, *Haemophilus ducreyi*, *H. influenzae*, *Helicobacter jejunii*, *Legionella pneumophila*, *Listeria monocytogenes*, *Moraxella catarrhalis*, *Mycobacterium chelonae*, *M. tuberculosis*, *Mycoplasma pneumoniae*, *Pasteurella multocida*, *Staphylococcus aureus*, *S. epidermidis*, and *Ureaplasma urealyticum*

Mechanism of Action:

Macrolides are inhibitors of protein synthesis. They impair the elongation cycle of the peptidyl chain by specifically binding to the 50 S subunit of the ribosome. Specificity towards prokaryotes relies upon the absence of 50S ribosomes in eukaryotes.

Pharmacodynamics:

Macrolides are considered time-dependent antibiotics.

Pharmacokinetics:

Cmax: 6.8mg/L; Half-life: 8-13 hours; Bioavailability: 72-85%; Table 3

Adverse Effects:

Gastrointestinal: abdominal cramps, nausea, diarrhea, anorexia, pancreatitis

Genitourinary: vulvovaginal candidiasis, renal failure

Cardiovascular System: prolongation of QT interval

Hepatic: hepatotoxicity, jaundice

Hematologic: eosinophilia, thrombocytosis, lymphopenia

Central Nervous System: headache, fatigue

Endocrine/Metabolic: hyperglycemia

Dermatologic: itching, nail discoloration

Dosage:

Oral

Susceptible infections: For most infections, oral roxithromycin is effective in doses of 150 milligrams twice a day or 300 milligrams once daily. Therapy should be continued for at least 2 days after resolution of symptoms, and for at least 10 days in streptococcal infections, urethritis, cervicitis, and cervicovaginitis. The maximum duration of therapy is 4 weeks.

Isopsoriasis: 2.5mg/kg every 12 hours for 15 days

Lyme Disease: 300 milligrams in combination with sulfamethoxazole/trimethoprim 320 milligrams/1600 milligrams twice a day for 21 days

Disease state based dosing:

Hepatic failure: The manufacturer recommends halving the usual dose for patients with severe hepatic dysfunction.

Renal failure: Specific guidelines are not available.

Contraindications/Warnings/Precautions:

Precautions: patients with severe hepatic or renal impairment

Drug Interactions:

Astemizole (major severity):

MOA: decreased hepatic metabolism of astemizole resulting in QT prolongation

Management: The concurrent administration of roxithromycin and astemizole is not recommended.

Dofetilide (major severity):

MOA: inhibition of cytochrome P450 3A4-mediated dofetilide metabolism; additive cardiac effects

Management: The concurrent administration of macrolide antibiotics and dofetilide is not recommended.

Ergot Derivatives (major severity):

MOA: inhibition of cytochrome P450 3A4-mediated ergot derivative metabolism by a macrolide antibiotic, resulting in increased risk of acute ergotism

Management: The concurrent administration of roxithromycin and an ergot derivative is contraindicated.

Pimozide (major severity):

MOA: inhibition of cytochrome P450 3A-mediated pimozide metabolism resulting in increased risk of cardiotoxicity.

Management: The concurrent use of roxithromycin and pimozide is contraindicated.

Thioridazine (major severity):

MOA: additive cardiac effects

Management: The concurrent use of thioridazine and roxithromycin is contraindicated.

Pregnancy:

Australian pregnancy category: B1

B1: Drugs which have been taken by only a limited number of pregnant women and women of childbearing age, without an increase in the frequency of malformation or other direct or indirect harmful effects on the human fetus having been observed.

Studies in animals have not shown evidence of an increased occurrence of fetal damage.

Monitoring Requirements:

Therapeutic: Periodic WBC, chest X-ray if pneumonia, cultures, temperature

Toxicity: Signs of hypersensitivity to roxithromycin; development of superinfection or antibiotic-associated diarrhea

Brand names/Manufacturer: