

Telithromycin (PDF Version)

Antibiotic Class:

Ketolide

Antimicrobial Spectrum:

Gram-positive bacteria, *Mycoplasma pneumoniae*, *Chlamydia pneumoniae*, *Legionella pneumophila*, *H. influenzae*, *M. catarrhalis*, *Neisseria spp.*, *Bordetella pertussis*.

Mechanism of Action:

Inhibits bacterial protein synthesis by interacting close to the peptidyl transferase site of the 50S ribosomal subunit. The main binding sites are within domains II and V of the 23S rRNA.

Pharmacodynamics:

Ketolides AUC:MIC ratio strongly correlates with efficacy.

Pharmacokinetics:

Cmax: 1.9 mcg/mL; Half-life: 1 hour; Protein binding: 70%; [Table 4](#)

Adverse Effects:

Gastrointestinal: nausea, vomiting, diarrhea

Cardiovascular System: atrial arrhythmias, flushing, hypotension, bradycardia

Central Nervous System: headache, dizziness, somnolence, insomnia, vertigo

Endocrine: increased sweating

Hepatic: hepatitis, abnormal LFTs, fulminant hepatitis

Ocular: blurred vision, diplopia

Respiratory: respiratory failure in myasthenia gravis patients

Musculoskeletal: worsening of myasthenia gravis symptoms

Dosage:

Tablet: 400mg

Bacterial Sinusitis: 800mg daily for 5 days (no longer FDA approved)

Chronic Bronchitis: 800mg daily for 5 days (no longer FDA approved)

Community-Acquired Pneumonia: 800mg daily for 7-10 days

Disease state based dosing:

Renal Failure: For the patients with creatinine clearance (CrCl) equal to or greater than 30 ml/min, no adjustment is necessary. In patients with CrCl < 30, data suggests dosage adjustments should be made, yet specific guidelines are not yet available.

Hepatic failures: Dosage adjustment is not required. Telithromycin must be given with caution given very rare episodes of hepatitis.

Contraindications/Warnings/Precautions:

Precautions: telithromycin can prolong the QT interval; avoid with drugs known to be associated with cardiac toxicities, jaundice/hepatitis can occur, history of myasthenia gravis

Drug Interactions:

Interactions of *major severity* include drugs that have additive effects on QT prolongation. These drugs are contraindicated in patients taking telithromycin. Although not comprehensive, these agents represent the more common agents used clinically: antipsychotics, astemizole, cisapride, clarithromycin, antiarrhythmic agents, cotrimoxazole, dolesetron, droperidol, erythromycin, fluconazole, fluoxetine, isradipine, isoflurane, phenothiazines

Interactions of major severity include drugs that are substrates of CYP-3A4. Telithromycin inhibits this enzyme, resulting in increased serum levels of the following drugs and others metabolized by CYP-3A4: atorvastatin simvastatin, dihydroergotamine, ergot derivatives midazolam.

Pregnancy:

Category C: Risk unknown. Human studies inadequate.

Monitoring Requirements:

Therapeutic: WBC, culture and sensitivity, temperature

Toxicity: Liver function tests, EKG, signs of hypersensitivity, dizziness

Brand names/Manufacturer: Ketek/Aventis Pharmaceuticals