

Telithromycin

Chemical Properties

CAS No. : 191114-48-4

Formula: C₄₃H₆₅N₅O₁₀

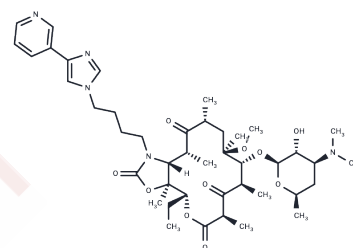
Molecular Weight: 812

Storage:

Keep away from moisture, Store at low temperature,
Store under nitrogen

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Telithromycin is a semisynthetic 14-membered macrolide antibiotic and erythromycin derivative that binds to the 50S subunit of the 70S bacterial ribosome, inhibits bacterial protein synthesis, and exhibits antimicrobial activity against a wide range of gram-positive and gram-negative bacteria for the treatment of mild to moderate respiratory infections.
Targets(IC50)	Antibacterial, Antibiotic
In vitro	The in vitro antimicrobial activity of Telithromycin was determined using Methods such as broth microdilution, agar dilution, and E-test Methods . MIC distribution was homogeneous (MIC range, 0.003 to 0.25 µg/ml)[1]. However, its MIC50 and MIC90 against Mycobacterium avium complex were both greater than 16 µg/ml, indicating weaker in vitro antimicrobial activity [2].
In vivo	In mouse models, oral Telithromycin (3–26 mg/kg) demonstrated excellent efficacy against erythromycin-resistant sepsis and significant antibacterial activity against a range of pathogens. In the erythromycin-resistant *Streptococcus pneumoniae* model, the ED50 of Telithromycin was 6.5 mg/kg, comparable to the efficacy of azithromycin. At a dose of 100 mg/kg, the plasma C _{max} reached 8.5 mg/L, with lung concentrations of 13.3 µg/g. The volume of distribution was similar to that in humans, with plasma and lung tissue half-lives of 2.5 hours and 2.8 hours, respectively[3].

Solubility Information

Solubility	H ₂ O: Insoluble, Ethanol: 30 mg/mL (36.95 mM), Sonication is recommended. DMSO: 80 mg/mL (98.52 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 3.3 mg/mL (4.06 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.2315 mL	6.1576 mL	12.3153 mL
5 mM	0.2463 mL	1.2315 mL	2.4631 mL
10 mM	0.1232 mL	0.6158 mL	1.2315 mL
50 mM	0.0246 mL	0.1232 mL	0.2463 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Bemer-Melchior P, et al. In vitro activity of the new ketolide telithromycin compared with those of macrolides against *Streptococcus pyogenes*: influences of resistance mechanisms and methodological factors. *Antimicrob Agents Chemother.* 2000 Nov;44(11):2999-3002.
- Alcaide F, et al. Comparative in vitro activities of linezolid, telithromycin, clarithromycin, levofloxacin, moxifloxacin, and four conventional antimycobacterial drugs against *Mycobacterium kansasii*. *Antimicrob Agents Chemother.* 2004 Dec;48(12):4562-5.
- Bonnefoy A, et al. In vivo efficacy of the new ketolide telithromycin (HMR 3647) in murine infection models. *Antimicrob Agents Chemother.* 2001 Jun;45(6):1688-92.

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