

Product Name:	Telithromycin
Product Number:	T015
CAS Number:	191114-48-4
Molecular Formula:	C ₄₃ H ₆₅ N ₅ O ₁₀
Molecular Weight:	812.00
Form:	Powder
Appearance:	White or off-white crystalline powder
Source:	Semi-synthetic
Water Content (Karl Fischer):	Not more than 3.0%
Storage Conditions:	2-8°C
Description:	<p>Telithromycin (Ketek, HMR 3647, RU 66647) is a semisynthetic antibacterial agent belonging to a class of drugs called ketolides, which are a variation on the existing class of antibiotics known as macrolides like erythromycin, whose structure includes a 14-molecule ring. Telithromycin was developed by the French pharmaceutical company Roussel Uclaf S.A., prior to 1997.</p> <p>Telithromycin fulfills a role that has arisen due to the rise of microbial resistance to existing macrolides and appears to be effective against macrolide-resistant <i>Streptococcus pneumoniae</i>. The defining differentiating characteristic of the ketolides, as opposed to other macrolides, is the removal of the neutral sugar, L-cladinose from the 3-position of the macrolide ring and the subsequent oxidation of the 3-hydroxyl to a 3-keto functional group.</p> <p>Telithromycin show activity against gram-positive and gram-negative bacteria, as well as mycoplasma. Telithromycin is a bacterial protein synthesis inhibitor that interacts with peptidyl transferase site of the 50S ribosomal subunit. The main binding sites are with domains II and V of the 23S rRNA.</p> <p>Telithromycin is sparingly soluble in water (0.3 mg/mL) and has a solubility of approximately 30mg/ml in organic solvents such as DMSO, ethanol, and dimethyl formamide (should be purged with inert gas).</p> <p>This product is considered a dangerous good. Quantities above 1 g may be subject to additional shipping fees. Please contact us for specific questions.</p>

Mechanism of Action:

Telithromycin is a very effective inhibitor of the translation function at the level of the 50S ribosomal subunit. It has been shown that 14- and 15-membered ring macrolides are also able to inhibit a second cellular function, the assembly of the nascent 50S ribosomal subunit. Telithromycin, in addition, like many carbamate ketolides, is also able to inhibit the formation of the 30S ribosomal subunit.

23S rRNA is composed of six domains. Domains V and II belong partly to the peptidyl transferase site, and erythromycin A interacts mainly with domain V.

Telithromycin, like 14- and 15-membered ring macrolides, interacts with the bacterial 23S rRNA. Interactions are limited to a region of domain V and additionally, for telithromycin, to domain II. The interaction with the 750 loop (position A752) of domain II is due to the C11–C12 carbamate chain.

Spectrum:

Telithromycin shows activity against gram-positive and gram-negative bacteria, as well as mycoplasma. More specifically, Telithromycin retains its activity against erm-(MLS(B)) or mef-mediated macrolide-resistant *Streptococcus pneumoniae* and *Streptococcus pyogenes* and against *Staphylococcus aureus* resistant to macrolides through inducible MLS(B) mechanisms. Telithromycin also possesses high activity against the Gram-negative pathogens *Haemophilus influenzae* and *Moraxella catarrhalis*, regardless of beta-lactamase production. In vitro, it shows similar activity to azithromycin against *H. influenzae*, while in vivo its activity against *H. influenzae* is higher than that of azithromycin. Telithromycin's spectrum of activity also extends to the atypical, intracellular and cell-associated pathogens *Legionella pneumophila*, *Mycoplasma pneumoniae* and *Chlamydia pneumoniae*.

Microbiology Applications

Telithromycin is commonly used in clinical *in vitro* microbiological antimicrobial susceptibility tests (panels, discs, and MIC strips) against gram positive microbial isolates. Medical microbiologists use AST results to recommend antibiotic treatment options for infected patients. Representative MIC values include:

- *Streptococcus pneumoniae* 0.003 µg/mL – 0.5 µg/mL
- *Streptococcus pyogenes* 0.015 µg/mL - 4 µg/mL
- For a complete list of telithromycin MIC values, [click here](#).

References:

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