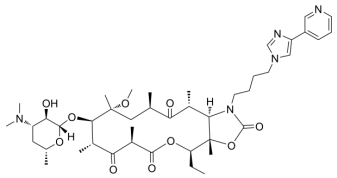
Telithromycin

Cat. No.:	HY-A0062
CAS No.:	191114-48-4
Molecular Formula:	$C_{43}H_{65}N_5O_{10}$
Molecular Weight:	812
Target:	Bacterial; Antibiotic
Pathway:	Anti-infection
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 90 mg/mL (110.84 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Telithromycin (HMR3647) is a novel ketolide antibiotic that structurally resembles macrolides. Telithromycin belongs to the ketolide family that is characterized by a keto group at position 3 of the macrolide ring and is active against bacteria causing community-acquired pneumonia, acute exacerbation of chronic bronchitis, and acute sinusitis. Telithromycin also has similar immunomodulatory effects as macrolides. Telithromycin can be used for the research of respiratory infections including bronchial asthma[1][2].

IC_{50} & Target	Macrolide
In Vitro	Telithromycin (HMR3647) (0-50 μg/mL, 30 min) suppress C. pneumoniae-induced MUC5AC production[1]. Telithromycin (0-50 μg/mL, 30 min) suppress C. pneumoniae-induced NF-kB activation[1]. Telithromycin (10 mg/mL, 1 h) inhibits the production of MIP-2 and TNF-α in a dose-dependent manner by LPS-stimulated RAW 264.7 macrophages[2]. Telithromycin (10 mg/mL, 1 h) inhibits NF-kB activation, increases apoptosis in cell and decreases the LPS-induced influx of neutrophils in BAL fluid[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

RT-PCR[1]

Cell Line:	NCI-H292 cells
Concentration:	0-50 μg/mL
Incubation Time:	30 min
Result:	Suppressed C. pneumoniae-induced MUC5AC production in dose-dependently and significantly decreased MUC5AC production at 50 mg/mL. Suppressed p65 and p50 activation in NCI-H292 cells.

Apoptosis Analysis[2]

Cell Line:	RAW 264.7 and MLE-12 cells
Concentration:	10 mg/mL
Incubation Time:	1 h
Result:	Increased the apoptotic activity in cells induced with supernatants from LPS-treated RAW 264.7 macrophages and in LPS-induced cells.

In Vivo	Telithromycin (HMR3647) (20 mg/kg, ip., single) reduces protein, nitrite, MIP-2, and TNF-α levels in the BAL fluid of LPS-nebulized animals[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	LPS-Nebulized Mice[2]
Dosage:	20 mg/kg
Administration:	20 mg/kg, ip., single
Result:	Increased the protein concentration, reduced the concentrations of nitrite and the levels of TNF-α and induced significant reductions the levels of MIP-2.

CUSTOMER VALIDATION

- Theranostics. 2022 Jan 1;12(3):1187-1203.
- Mol Cell Proteomics. 2019 Feb;18(2):231-244.
- Microb Biotechnol. 2021 Mar 15.
- BMC Microbiol. 2023 Apr 20;23(1):109.
- Research Square Preprint. 2020 Jun.

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REFERENCES

[1]. Yoshitomo Morinaga, et al. Azithromycin, clarithromycin and telithromycin inhibit MUC5AC induction by Chlamydophila pneumoniae in airway epithelial cells. Pulm Pharmacol Ther. 2009 Dec;22(6):580-6.

[2]. Magdalena Leiva, et al. Effects of telithromycin in in vitro and in vivo models of lipopolysaccharide-induced airway inflammation. Chest. 2008 Jul;134(1):20-9.

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