Data Sheet (Cat.No.T6638)

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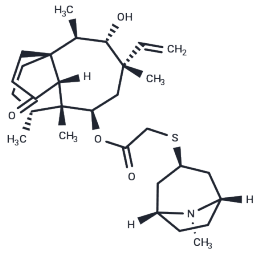
Retapamulin

Chemical Properties



CAS No. : 224452-66-8

Formula: C30H47NO4S

Molecular Weight: 517.76

Appearance: no data available

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

Biological Description

Description	Retapamulin (SB-275833), a Pleuromutilin Antibacterial, binds to both E. coli and S. aureus ribosomes with similar potencies (Kd: 3 nM).
Targets(IC50)	ribosome,Antibacterial,Antibiotic
In vitro	Retapamulin is a potent inhibitor of protein synthesis with an IC50 of 0.33 μM in lysates prepared from erythromycin-susceptible E. coli cells. Retapamulin (100 μM) is ineffective in inhibiting eukaryotic translation when tested in a rabbit reticulocyte lysate system with the cellular components necessary for mammalian protein synthesis. Retapamulin binds to Erys ribosomes and fully displaces the labeled ligand with an IC50 of 26.1 nM. Retapamulin partially inhibits the ability of charged, N-blocked tRNA to bind to the P-site of E. coli ribosomes, with an IC50 of 17.4 nM (maximum inhibition of 80%). [1] Retapamulin inhibits Staphylococcus aureus and Streptococcus pyogenes with MIC90 of 0.12 μg/mL and ≤0.03 μg/mL, respectively. Retapamulin inhibits S. aureus subset with MIC50/90 values of 0.06/0.12 μg/mL. Retapamulin shows excellent activity against these isolates, with only two requiring a MIC of 0.06 μg/mL. [2] Retapamulin is very active against the S. pyogenes isolates tested with MIC90 of 0.016 μg/mL, and based on MIC90s, is 32- and >1,024-fold more active than mupirocin and fusidic acid, respectively. Retapamulin binds to a unique site on the bacterial ribosome, and by virtue of its novel mode of action. [3] Retapamulin (<2 mg/L) inhibits 37/52 (71%) strains of the B. fragilis group and 85/87 (98%) of the other Gram-negative bacilli. Retapamulin is more active than clindamycin, metronidazole and ceftriaxone against Propionibacterium acnes and anaerobic Gram-positive cocci. [4] Retapamulin inhibits total viable cells (TVC), Protein synthesis and 50S subunit synthesis in both wild-type (wt) Staphylococcus aureus strain RN1786 with IC50 of 12 ng/mL, 5 ng/mL and 27 ng/mL, respectively. [5]

Solubility Information

Solubility	DMSO: 18.33 mg/mL (35.41 mM),Sonication is recommended. Ethanol: 104 mg/mL (200.86 mM), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9314 mL	9.657 mL	19.314 mL
5 mM	0.3863 mL	1.9314 mL	3.8628 mL
10 mM	0.1931 mL	0.9657 mL	1.9314 mL
50 mM	0.0386 mL	0.1931 mL	0.3863 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.

Reference

Yan K, et al. Antimicrob Agents Chemother, 2006, 50(11), 3875-3881.
Jones RN, et al. Antimicrob Agents Chemother, 2006, 50(7), 2583-2586.