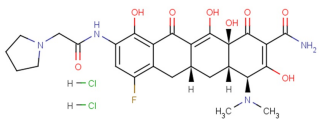
Data Sheet (Cat.No.T11227L)

TargetMol
A DRUG SCREENING EXPERT

Eravacycline dihydrochloride

Chemical Properties



CAS No.:	1334714-66-7
Formula:	C27H33Cl2FN4O8
Molecular Weight:	631.48
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Eravacycline dihydrochloride is a potent and broad-spectrum antibacterial agent.
Targets(IC_{50})	Others: None
In vitro	Eravacycline shows inhibitory effects on six E. coli with MICs ranging from 0.125 to 0.25 mg/L. Eravacycline dihydrochloride is a synthetic antibiotic, with inhibits bacterial protein synthesis through binding to the 30S ribosomal subunit. Eravacycline displays broad spectrum activity against gram-negative bacteria in the panel except P. aeruginosa, as well as excellent activity against major gram-positive pathogens, including methicillin-resistant S. aureus. Eravacycline also displays potent ribosomal inhibition. Eravacycline shows potent broad-spectrum activity against 90% of the isolates (MIC90) in each panel at concentrations ranging from ≤0.008 to 2 μg/mL for all species panels except those of Pseudomonas aeruginosa and Burkholderia cenocepacia ((MIC90) values of 32 μg/mL for both organisms). Eravacycline is active against multidrug-resistant bacteria, including those expressing extended-spectrum β-lactamases and mechanisms conferring resistance to other classes of antibiotics, including carbapenem resistance.Eravacycline is potent antibiotic against A. baumannii, including isolates that are resistant to sulbactam, SM 7338, and BAY 41-6551. Eravacycline shows greater activity than BAY 41-6551, and colistin. The eravacycline MIC50/90 values are 0.5/1 mg/L.
In vivo	Eravacycline is active in multiple murine models of infection against clinically important Gram-positive and Gram-negative pathogens. Eravacycline is efficacious in mouse septicemia models, demonstrating 50% protective dose values of ≤1 mg/kg of body weight once a day (q.d.) against Staphylococcus aureus. The PD50 values against Escherichia coli isolates are 1.2 to 4.4 mg/kg q.d.

Solubility Information

Solubility	DMSO: 150 mg/mL (237.54 mM) H2O: 50 mg/mL (79.18 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.584 mL	7.918 mL	15.836 mL
5 mM	0.317 mL	1.584 mL	3.167 mL
10 mM	0.158 mL	0.792 mL	1.584 mL
50 mM	0.032 mL	0.158 mL	0.317 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Seifert H, et al. In-vitro activity of the novel fluorocycline eravacycline against carbapenem non-susceptible Acinetobacter baumannii. Int J Antimicrob Agents. 2017 Jul 10.
2. Zhao M, et al. In Vivo Pharmacodynamic Target Assessment of Eravacycline against Escherichia coli in a Murine Thigh Infection Model. Antimicrob Agents Chemother. 2017 Jun 27;61(7).
3. Xiao XY, et al. Fluorocyclines: a potent, broad spectrum antibacterial agent. J Med Chem. 2012 Jan 26;55(2):597-605.
4. Sutcliffe JA, et al. Antibacterial activity of eravacycline (TP-434), a novel fluorocycline, against hospital and community pathogens. Antimicrob Agents Chemother. 2013 Nov;57(11):5548-58.
5. Grossman TH, et al. Eravacycline (TP-434) is efficacious in animal models of infection. Antimicrob Agents Chemother. 2015 May;59(5):2567-71.

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