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产品名称: **Eravacycline dihydrochloride**
产品别名: **TP-434 dihydrochloride; TP-434-046**

生物活性:

Description	Eravacycline dihydrochloride (TP-434 dihydrochloride) is a potent and broad-spectrum antibacterial agent.			
In Vitro	Eravacycline is potent antibiotic against <i>A. baumannii</i> , 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 MIC _{50/90} values are 0.5/1 mg/L ^[1] . Eravacycline shows inhibitory effects on six <i>E. coli</i> with MICs ranging from 0.125 to 0.25 mg/L ^[2] . 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 <i>P. aeruginosa</i> , as well as excellent activity against major gram-positive pathogens, including methicillin-resistant <i>S. aureus</i> . Eravacycline also displays potent ribosomal inhibition ^[3] . Eravacycline shows potent broad-spectrum activity against 90% of the isolates (MIC ₉₀) in each panel at concentrations ranging from ≤0.008 to 2 µg/mL for all species panels except those of <i>Pseudomonas aeruginosa</i> and <i>Burkholderia cenocepacia</i> ((MIC ₉₀) 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 ^[4] .			
In Vivo	Mice are treated with two-fold increasing doses (range 3.125 to 50 mg/kg) of eravacycline every 12 hours. The mean fAUC/MIC magnitude associated with net stasis and 1-log kill endpoint are 27.97 ± 8.29 and 32.60 ± 10.85, respectively ^[2] . 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 <i>Staphylococcus aureus</i> . The PD ₅₀ values against <i>Escherichia coli</i> isolates are 1.2 to 4.4 mg/kg q.d ^[5] .			
Solvent&Solubility	<i>In Vitro</i>: H₂O : 50 mg/mL (79.18 mM; Need ultrasonic)			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	1.5836 mL	7.9179 mL
	Stock Solutions	5 mM	0.3167 mL	1.5836 mL
		10 mM	0.1584 mL	0.7918 mL
*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
	[1]. Seifert H, et al. In-vitro activity of the novel fluorocycline eravacycline against carbapenem non-susceptible <i>Acinetobacter baumannii</i> . Int J Antimicrob Agents. 2017 Jul 10. [2]. Zhao M, et al. In Vivo Pharmacodynamic Target Assessment of Eravacycline against <i>Escherichia coli</i> 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			



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References	<p>26;55(2):597-605.</p> <p>[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.</p> <p>[5]. Grossman TH, et al. Eravacycline (TP-434) is efficacious in animal models of infection. Antimicrob Agents Chemother. 2015 May;59(5):2567-71.</p>
实验参考:	
Animal Administration	<p>Rats: Pharmacokinetic (PK) parameters are determined in Sprague-Dawley rats. Animals are fasted overnight (minimum of 12 h) and given a single oral (10 mg/kg) or IV dose (1 mg/kg) of eravacycline followed by a sampling scheme for 24 h. Plasma and dosing solution concentrations are determined by Turbolonspray LC/MSMS analysis using appropriate standard curves. PK parameters are calculated by noncompartmental analysis[3].</p> <p>Mice: Eravacycline is formulated in sterile 0.9% saline. BALB/c mice are inoculated with 0.2 mL of prepared bacterial inoculum via intravenous injection to seed the kidney. Animals are administered antibiotics (eravacycline) at 10 ml/kg i.v. via the tail vein 12 and 24 h postinfection. Then the bacterial burden is determined[5].</p>
References	<p>[1]. Seifert H, et al. In-vitro activity of the novel fluorocycline eravacycline against carbapenem non-susceptible <i>Acinetobacter baumannii</i>. Int J Antimicrob Agents. 2017 Jul 10.</p> <p>[2]. Zhao M, et al. In Vivo Pharmacodynamic Target Assessment of Eravacycline against <i>Escherichia coli</i> in a Murine Thigh Infection Model. Antimicrob Agents Chemother. 2017 Jun 27;61(7).</p> <p>[3]. Xiao XY, et al. Fluorocyclines: a potent, broad spectrum antibacterial agent. J Med Chem. 2012 Jan 26;55(2):597-605.</p> <p>[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.</p> <p>[5]. Grossman TH, et al. Eravacycline (TP-434) is efficacious in animal models of infection. Antimicrob Agents Chemother. 2015 May;59(5):2567-71.</p>