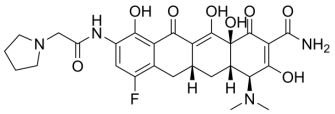
Eravacycline

Cat. No.:	HY-16980
CAS No.:	1207283-85-9
Molecular Formula:	$C_{27}H_{31}FN_4O_8$
Molecular Weight:	558.56
Target:	Bacterial; Antibiotic; Beta-lactamase
Pathway:	Anti-infection
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Eravacycline is a potent and broad-spectrum antibacterial agent.
IC_{50} & Target	Tetracycline
In Vitro	Eravacycline is potent antibiotic against A. baumannii, including isolates that are resistant to sulbactam, imipenem/meropenem, levofloxacin, and amikacin/tobramycin. Eravacycline shows greater activity than the comparators of the tetracycline class, levofloxacin, amikacin, tobramycin, and colistin. The eravacycline $MIC_{50/90}$ values are 0.5/1 mg/L[1]. Eravacycline shows inhibitory effects on six E. coli 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 P. aeruginosa, as well as excellent activity against major gram-positive pathogens, including methicillin-resistant S. aureus. 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 Pseudomonas aeruginosa and Burkholderia cenocepacia (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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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 Staphylococcus aureus, including tetracycline-resistant isolates of methicillin-resistant S. aureus (MRSA), and Streptococcus pyogenes. The PD_{50} values against Escherichia coli isolates are 1.2 to 4.4 mg/kg q.d[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [3][5]	Rats[3] 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 TurboIonspray LC/MSMS analysis using appropriate standard curves. PK parameters are calculated by noncompartmental analysis.

Mice[5]

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.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Microbiol. 2023 Mar;8(3):410-423.
- Nat Struct Mol Biol. 2023 Aug 7.
- J Clin Microbiol. 2020 Jan 28;58(2):e01603-19.
- J Clin Microbiol. 2020 Jan 28;58(2):e01603-19.
- Mbio. 2021 May 28;e0103121.

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REFERENCES

[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. 1. 7-fluoro-9-pyrrolidinoacetamido-6-demethyl-6-deoxytetracycline: 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA