# Eravacycline dihydrochloride

**Cat. No.:** HY-16980A

**CAS No.:** 1334714-66-7

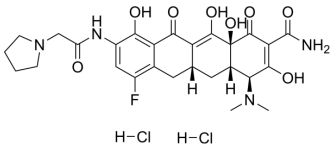
**Molecular Formula:** $C_{27}H_{33}Cl_2FN_4O_8$

**Molecular Weight:** 631.48

**Target:** Bacterial; Beta-lactamase

**Pathway:** Anti-infection

**Storage:** -80°C, protect from light, stored under nitrogen
* The compound is unstable in solutions, freshly prepared is recommended.




## SOLVENT & SOLUBILITY

**In Vitro**

$H_2O$ : 100 mg/mL (158.36 mM; Need ultrasonic)
DMSO : ≥ 50 mg/mL (79.18 mM)
* "≥" means soluble, but saturation unknown.

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.5836 mL | 7.9179 mL | 15.8358 mL |
| | 5 mM | 0.3167 mL | 1.5836 mL | 3.1672 mL |
| | 10 mM | 0.1584 mL | 0.7918 mL | 1.5836 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (79.18 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5.5 mg/mL (8.71 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5.5 mg/mL (8.71 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5.5 mg/mL (8.71 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Eravacycline dihydrochloride (TP-434 dihydrochloride) is a potent and broad-spectrum antibacterial agent.

**In Vitro**

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 dihydrochloride $MIC_{50/90}$ 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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Eravacycline dihydrochloride 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 $PD_{50}$ values against Escherichia coli isolates are 1.2 to 4.4 mg/kg q.d[5].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Animal Administration** [3][5] | 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 TurboIonspray LC/MSMS analysis using appropriate standard curves. PK parameters are calculated by noncompartmental analysis[3].<br><br>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].<br><br>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: 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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