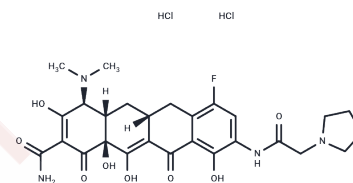


Eravacycline dihydrochloride

Chemical Properties

| | |
|-------------------|--|
| CAS No. : | 1334714-66-7 |
| Formula: | C ₂₇ H ₃₃ Cl ₂ FN ₄ O ₈ |
| Molecular Weight: | 631.48 |
| Storage: | Powder: -20°C for 3 years Actual storage temperature shall be subject to the COA. |



Biological Description

| | |
|---------------|--|
| Description | Eravacycline dihydrochloride (TP-434-046) is a potent and broad-spectrum antibacterial agent against six E. coli (MICs: 0.125-0.25 mg/L). |
| Targets(IC50) | Antibacterial |
| In vitro | Eravacycline shows inhibitory activity against A. baumannii, including isolates that are resistant to sulbactam, SM 7338, and BAY 41-6551 (MIC _{50/90} = 0.5/1 mg/L)[1]. Eravacycline shows potent broad-spectrum activity against 90% of the isolates for all species panels (MIC ₉₀ 0.008-2 µg/mL) except those of Pseudomonas aeruginosa and Burkholderia cenocepacia (MIC ₉₀ = 32 µg/mL). Eravacycline is active against multidrug-resistant bacteria including β-lactamases and antibiotics, including carbapenem resistance[4]. |
| In vivo | Eravacycline(3.125-50 mg/kg) shows mean fAUC/MIC magnitude associated with net stasis and 1-log kill endpoint of 27.97 and 32.60[2]. In mouse septicemia models, Eravacycline is efficacious against Staphylococcus aureus and demonstrates 50% protective dose values of ≤1 mg/kg. The PD ₅₀ s are 1.2-4.4 mg/kg against Escherichia coli isolates[5]. |

Solubility Information

| | |
|---------------------|--|
| Solubility | DMSO: 150 mg/mL (237.54 mM),Sonication is recommended. H ₂ O: 45 mg/mL (71.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (7.92 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i> |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|-----------|------------|
| 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 |
| 50 mM | 0.0317 mL | 0.1584 mL | 0.3167 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- 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.
- 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).
- Xiao XY, et al. Fluorocyclines: a potent, broad spectrum antibacterial agent. *J Med Chem*. 2012 Jan 26;55(2):597-605.
- 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.
- 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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