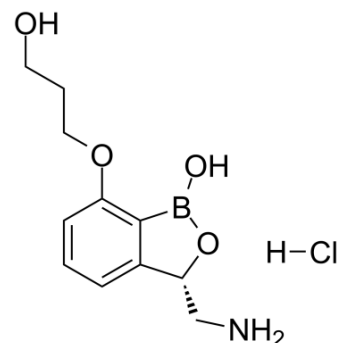


Epetraborole hydrochloride

Cat. No.:	HY-12479A		
CAS No.:	1234563-16-6		
Molecular Formula:	C ₁₁ H ₁₇ BClNO ₄		
Molecular Weight:	273.52		
Target:	Bacterial		
Pathway:	Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (731.21 mM; Need ultrasonic)
 H₂O : ≥ 28 mg/mL (102.37 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	3.6560 mL	18.2802 mL	36.5604 mL
	5 mM	0.7312 mL	3.6560 mL	7.3121 mL
	10 mM	0.3656 mL	1.8280 mL	3.6560 mL

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

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (365.60 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
Solubility: ≥ 2.5 mg/mL (9.14 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (9.14 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Epetraborole hydrochloride is a novel leucyl-tRNA synthetase (LeuRS) inhibitor, which inhibits protein synthesis by binding "to the terminal adenosine ribose (A76) of leucyl-tRNA synthetase". It is intended for the treatment of infections caused by Gram-negative bacteria. Epetraborole hydrochloride is a bacteriostatic compound that can against a wide range of anaerobic and Gram-negative bacteria, including multidrug-resistant pathogens, such as extended-spectrum-β-lactamase-, metallo-β-lactamase-, and carbapenemase-producing organism.

REFERENCES

[1]. Goldstein EJ et al. Comparative in vitro activities of GSK2251052, a novel boron-containing leucyl-tRNA synthetase inhibitor, against 916 anaerobic organisms. *Antimicrob Agents Chemother*. 2013 May;57(5):2401-4.

[2]. O'Dwyer K et al. Bacterial resistance to leucyl-tRNA synthetase inhibitor GSK2251052 develops during treatment of complicated urinary tract infections. *Antimicrob Agents Chemother*. 2015 Jan;59(1):289-98.

Caution: Product has not been fully validated for medical applications. For research use only.

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