Epetraborole hydrochloride

Cat. No.: HY-12479A

CAS No.: 1234563-16-6

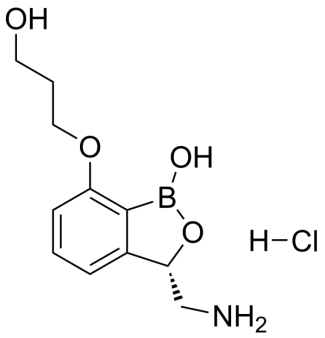
Molecular Formula: $C_{11}H_{17}BClNO_4$

Molecular Weight: 273.52

Target: Bacterial; Aminoacyl-tRNA Synthetase

Pathway: Anti-infection; Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 200 mg/mL (731.21 mM; Need ultrasonic)
H_2O : ≥ 28 mg/mL (102.37 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	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

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (365.60 mM); Clear solution; Need ultrasonic
2. 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
3. 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 (GSK2251052) hydrochloride is a novel leucyl-tRNA synthetase (LeuRS) inhibitor (IC_{50}=0.31 μM), thereby inhibiting protein synthesis. Epetraborole hydrochloride can be used in multidrug-resistant gram-negative pathogens infection research[1][2][3].

IC_{50} & Target

IC_{50}: 0.31 μM (LeuRS)[3]

In Vitro

Epetraborole (0-32 μg/mL) shows anti-bacterial activity against key gram-negative aerobic and anaerobic pathogens and

gram-positive anaerobes[1].

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

Cell Viability Assay[1]

Cell Line:	Anaerobes isolates
Concentration:	0-32 μg/mL
Incubation Time:	
Result:	Showed MIC_{50}/MIC_{90} for all anaerobes isolates tested of 2 and 4 μg/mL, respectively.

CUSTOMER VALIDATION

- Antimicrob Agents Chemother. 2023 Jan 23;e0145922.
- Antimicrob Agents Chemother. 2021 Jul 19;AAC0115621.

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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.

[3]. Sutcliffe JA. Antibiotics in development targeting protein synthesis. Ann N Y Acad Sci. 2011 Dec;1241:122-52.

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