Vaborbactam

Cat. No.: HY-19930

CAS No.: 1360457-46-0

Molecular Formula: $C_{12}H_{16}BNO_5S$

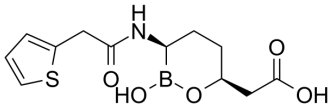
Molecular Weight: 297.14

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

H_2O : 5.26 mg/mL (17.70 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.3654 mL	16.8271 mL	33.6542 mL
	5 mM	0.6731 mL	3.3654 mL	6.7308 mL
	10 mM	0.3365 mL	1.6827 mL	3.3654 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 27.5 mg/mL (92.55 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 108 mM sodium carbonate
   Solubility: 25 mg/mL (84.14 mM); Clear solution; Need ultrasonic
3. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.62 mg/mL (8.82 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.62 mg/mL (8.82 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vaborbactam (RPX7009) is a cyclic boronic acid pharmacophore β-lactamase inhibitor.

In Vitro

Vaborbactam is a broad spectrum of inhibition of β-lactamases, with particularly potent activity against KPC, CTX-M, SHV, and CMY enzymes[1]. Vaborbactam restores SM 7338 activity for 72.7 to 98.1% of CPE isolates at ≤2 μg/mL, and maximum potentiation is achieved with fixed concentrations of ≥8 μg/mL of the inhibitor (≥96.5% of isolates are inhibited at ≤2 μg/mL

	of SM 7338-vaborbactam). SM 7338-vaborbactam with a fixed concentration of 8 μg/mL of the inhibitor (MIC50, ≤0.06 μg/mL for all organisms) inhibits 93.7% of the CPE isolates displaying elevated SM 7338 MICs at ≤1 μg/mL[2]. By forming a reversible dative bond with the blactamase, vaborbactam acts as a competitive inhibitor and is not hydrolyzed by the b-lactamase[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Vaborbactam is well tolerated and has a half-life of 1.23 h, and steadystate volume of distribution of 21.0 L in subjects[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2021 Sep 18;106439.
- J Clin Microbiol. 2020 Aug 24;58(9):e00932-20.
- Int J Infect Dis. 2021 Apr 14;S1201-9712(21)00346-5.
- J Med Chem. 2021 Jul 31.
- ACS Infect Dis. 2022 Feb 3.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Hecker SJ, et al. Discovery of a Cyclic Boronic Acid β-Lactamase Inhibitor (RPX7009) with Utility vs Class A Serine Carbapenemases. J Med Chem. 2015 May 14;58(9):3682-92.

[2]. Castanheira M, et al. Effect of the β-Lactamase Inhibitor Vaborbactam Combined with SM 7338 against Serine Carbapenemase-Producing Enterobacteriaceae. Antimicrob Agents Chemother. 2016 Aug 22;60(9):5454-8.

[3]. Wong D, et al. Novel Beta-Lactamase Inhibitors: Unlocking Their Potential in Therapy.

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