Product Data Sheet

Vaborbactam

 Cat. No.:
 HY-19930

 CAS No.:
 1360457-46-0

 Molecular Formula:
 C₁₂H₁₆BNO₅S

Molecular Weight: 297.14

Target: Bacterial; Beta-lactamase

Pathway: Anti-infection

Storage: Powder -20°C 3 years

4°C 2 years

In solvent -80°C 2 years

-20°C 1 year

SOLVENT & SOLUBILITY

In Vitro

H₂O: 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
- 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 \leq 2 μ g/mL, and maximum potentiation is achieved with fixed concentrations of \geq 8 μ g/mL of the inhibitor (\geq 96.5% of isolates are inhibited at \leq 2 μ g/mL

	of SM 7338-vaborbactam). SM 7338-vaborbactam with a fixed concentration of 8 μ g/mL of the inhibitor (MIC50, \leq 0.06 μ g/mL for all organisms) inhibits 93.7% of the CPE isolates displaying elevated SM 7338 MICs at \leq 1 μ g/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.
- Pharmaceutics. 2023 Nov 30, 15(12), 2705.

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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.

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

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

Page 2 of 2 www.MedChemExpress.com