

Product Data Sheet

Product Name: Vaborbactam

Cat. No.: GC19369

Chemical Properties

Cas. No. 1360457-46-0

SMILES O=C(O)C[C@@H]1CC[C@H](NC(CC2=CC=CS2)=O)B(O)O1

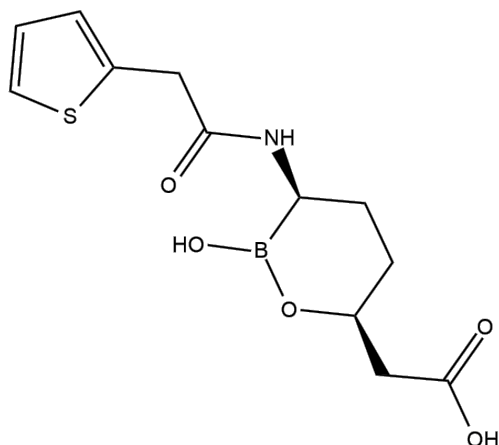
Formula $C_{12}H_{16}BNO_5S$ M.Wt 297.14

Solubility Water : 5.26 mg/mL (17.70 mM) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

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

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Animal experiment [1]:

Animal models Female Swiss Webster mice

Preparation Method A 24-hour thigh infection model was established using *Klebsiella pneumoniae* KP1094. After infection, mice were treated with 100 or 300 mg/kg meropenem intraperitoneally every 2 hours for 24 hours alone or in combination with Vaborbactam ranging from 6.25 to 100 mg/kg every 2 hours for 24 hours.

Dosage form 6.25 to 100mg/kg; i.p.

Applications The addition of Vaborbactam to both meropenem regimens produced bacterial killing in a dose-dependent fashion, with a maximum of bacterial killing of 2.50 log CFU/thigh.

References:

[1]Sabet M, Tarazi Z, Nolan T, et al. Activity of meropenem-vaborbactam in mouse models of infection due to KPC-producing carbapenem-resistant Enterobacteriaceae[J]. Antimicrobial Agents and Chemotherapy, 2018, 62(1): 10.1128/aac. 01446-17.

Background

Vaborbactam (RPX7009) is a broad-spectrum β -lactamase inhibitor with particularly potent activity against KPC, CTX-M, SHV, and CMY enzymes^[1]. Vaborbactam is a cyclic boronic acid pharmacophore β -lactamase competitive inhibitor used to treat complicated urinary tract infections^[2]. Vaborbactam forms a reversible coordination bond with β -lactamases and is not hydrolyzed by β -lactamases^[3]. Vaborbactam can enhance the activity of β -lactams against *Mycobacterium abscessus*^[4]. Vaborbactam is often used in combination with Meropenem and has potent in vitro activity against resistant Gram-negative pathogens, especially *Klebsiella pneumoniae* that produces

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Klebsiella pneumoniae carbapenemase (KPC), with MIC₅₀ values typically $\leq 0.06\mu\text{g/ml}$ ^[5].

In vivo, vaborbactam (6.25-100mg/kg) was injected intraperitoneally in mice to treat a 24-hour thigh infection model of *Klebsiella pneumoniae* KP1094. Combining it with two meropenem regimens (100 and 300mg/kg) produced a dose-dependent bactericidal effect, with a maximum bactericidal effect of 2.50 log CFU/thigh^[6].

References:

- [1] Hamrick J C, Docquier J D, Uehara T, et al. VNRX-5133 (taniborbactam), a broad-spectrum inhibitor of serine-and metallo- β -lactamases, restores activity of cefepime in Enterobacterales and *Pseudomonas aeruginosa*[J]. *Antimicrobial Agents and Chemotherapy*, 2020, 64(3): 10.1128/aac.01963-19.
- [2] Iqbal Z, Sun J, Yang H, et al. Recent developments to cope the antibacterial resistance via β -lactamase inhibition[J]. *Molecules*, 2022, 27(12): 3832.
- [3] Bookser B C, Reddy K R, Boyer S H, et al. Vaborbactam (in Combination with Meropenem as Vabomere), a Non- β -Lactam β -Lactamase Inhibitor for Treatment of Complicated Urinary Tract Infections and Pyelonephritis[J]. *Current Drug Synthesis*, 2022: 17-40.
- [4] Sanchez L, Bitar M, Herail Q, et al. In vitro and intracellular activity of vaborbactam combined with β -lactams against *Mycobacterium abscessus*[J]. *Journal of Antimicrobial Chemotherapy*, 2024, 79(8): 1914-1918.
- [5] Wenzler E, Scoble P J. An appraisal of the pharmacokinetic and pharmacodynamic properties of meropenem-vaborbactam[J]. *Infectious Diseases and Therapy*, 2020, 9(4): 769-784.
- [6] Sabet M, Tarazi Z, Nolan T, et al. Activity of meropenem-vaborbactam in mouse models of infection due to KPC-producing carbapenem-resistant Enterobacteriaceae[J]. *Antimicrobial Agents and Chemotherapy*, 2018, 62(1): 10.1128/aac.01446-17.

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