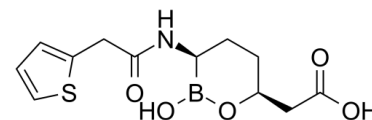


Data Sheet

Product Name:	Vaborbactam
Cat. No.:	CS-6445
CAS No.:	1360457-46-0
Molecular Formula:	C ₁₂ H ₁₆ BN ₁ O ₅ S
Molecular Weight:	297.14
Target:	Bacterial
Pathway:	Anti-infection
Solubility:	H ₂ O : 5.26 mg/mL (17.70 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Vaborbactam 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 meropenem 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 meropenem-vaborbactam). Meropenem-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 meropenem MICs at ≤1 μg/mL^[2]. By forming a reversible dative bond with the β-lactamase, vaborbactam acts as a competitive inhibitor and is not hydrolyzed by the β-lactamase^[3]. **In Vivo:** Vaborbactam is well tolerated and has a half-life of 1.23 h, and steady-state volume of distribution of 21.0 L in subjects^[3].

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 Meropenem 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.

CAIndexNames:

1,2-Oxaborinane-6-acetic acid, 2-hydroxy-3-[[2-(2-thienyl)acetyl]amino]-, (3R,6S)-

SMILES:

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

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

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