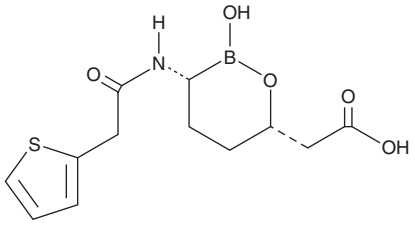
PRODUCT INFORMATION

Vaborbactam

Item No. 23962

CAS Registry No.:	1360457-46-0
Formal Name:	2-hydroxy-3R-[[2-(2-thienyl)acetyl] amino]-1,2-oxaborinane-6S-acetic acid
Synonym:	RPX 7009
MF:	$C_{12}H_{16}BNO_5S$
FW:	297.1
Purity:	≥95%
UV/Vis.:	λ_{max}: 202, 233 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Vaborbactam is supplied as a crystalline solid. A stock solution may be made by dissolving the vaborbactam in the solvent of choice, which should be purged with an inert gas. Vaborbactam is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of vaborbactam in ethanol is approximately 10 mg/ml and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of vaborbactam can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of vaborbactam in PBS, pH 7.2, is approximately 2 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Vaborbactam is an inhibitor of β-lactamases (K_is = 29-110 nM for class A and class C enzymes).[1] It is selective for bacterial β-lactamases over a panel of mammalian serine proteases (IC_{50}s = ≥1,000 µM). Vaborbactam potentiates the activity of biapenem against *K. pneumonia* expressing the β-lactamase KPC-2, reducing the MIC value for biapenem from 32 to 1 µg/ml when used at a concentration of 0.02 µg/ml. It also potentiates the activity of the carbapenem antibiotics biapenem, meropenem (Item No. 16068), ertapenem, and imipenem (Item No. 16039) against clinical isolates of *E. coli*, *E. cloacae*, *K. oxytoca*, and *K. pneumoniae* that produce serine β-lactamases (MICs = ≤0.06-4 and 4-64 µg/ml in the presence and absence of vaborbactam, respectively). *In vivo*, vaborbactam (50 mg/kg) reduces the number of colony forming units (CFUs) in the lung in a neutropenic mouse lung infection model when used in combination with biapenem or meropenem. Formulations containing vaborbactam in combination with meropenem have been used for the treatment of carbapenem-resistant Enterobacteriaceae infections.

Reference

1. Hecker, S.J., Reddy, K.R., Totrov, M., *et al.* Discovery of a cyclic boronic acid β-lactamase inhibitor (RPX7009) with utility vs class A serine carbapenemases. *J. Med. Chem.* **58(9)**, 3682-3692 (2015).

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