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产品名称: **Vaborbactam**
产品别名: **RPX7009**

生物活性:				
Description	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 $\leq 2 \mu\text{g/mL}$, and maximum potentiation is achieved with fixed concentrations of $\geq 8 \mu\text{g/mL}$ of the inhibitor ($\geq 96.5\%$ of isolates are inhibited at $\leq 2 \mu\text{g/mL}$ of meropenem-vaborbactam). Meropenem-vaborbactam with a fixed concentration of $8 \mu\text{g/mL}$ of the inhibitor (MIC ₅₀ , $\leq 0.06 \mu\text{g/mL}$ for all organisms) inhibits 93.7% of the CPE isolates displaying elevated meropenem MICs at $\leq 1 \mu\text{g/mL}$ ^[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 steadystate volume of distribution of 21.0 L in subjects ^[3] .			
Solvent&Solubility	In Vitro: H₂O : 5.26 mg/mL (17.70 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
	Preparing	1 mM	3.3654 mL	16.8271 mL
	Stock Solutions	5 mM	0.6731 mL	3.3654 mL
		10 mM	0.3365 mL	1.6827 mL
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。 In Vivo: 请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂: ——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶 1.请依序添加每种溶剂: 108 mM sodium carbonate Solubility: 25 mg/mL (84.14 mM); Clear solution; Need ultrasonic			
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.			