



上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话: 021-61312973 传真: 021-55068248
网址: www.shyuanye.com
邮箱: shyysw@sina.com

产品名称: **Omadacycline (hydrochloride)**
产品别名: **PTK0796 hydrochloride; Amadacycline hydrochloride**

生物活性:					
Description	Omadacycline hydrochloride is novel, aminomethyl tetracycline antibiotic being developed for the treatment of community-acquired bacterial infections. The ED ₅₀ for Escherichia coli is 2.02 mg/kg.				
IC ₅₀ & Target	ED50: 2.02 mg/kg (Escherichia coli), 0.30-1.74 mg/kg (Staphylococcus aureus)[1]				
In Vitro	The omadacycline MIC ₉₀ s for MRSA, VRE, and beta-hemolytic streptococci are 1.0 µg/mL, 0.25 µg/mL, and 0.5 µg/mL, respectively, and the omadacycline MIC90s for PRSP and H. influenzae are 0.25 µg/ml and 2.0 µg/mL, respectively. Omadacycline is active against organisms demonstrating the two major mechanisms of resistance, ribosomal protection and active tetracycline efflux[1]. Omadacycline inhibits protein synthesis while having no significant effect on RNA, DNA and peptidoglycan synthesis. Further, omadacycline binds to the tetracycline binding site on the 30S subunit of the bacterial ribosome with enhanced binding similar to tigecycline based on additional molecular interactions[2].				
In Vivo	In vivo efficacy of omadacycline is demonstrated using an intraperitoneal infection model in mice. A single intravenous dose of omadacycline exhibits efficacy against Streptococcus pneumoniae, Escherichia coli, and Staphylococcus aureus, including tet (M) and tet (K) efflux-containing strains and MRSA strains. The 50% effective doses (ED ₅₀ s) for Streptococcus pneumoniae obtained ranged from 0.45 mg/kg to 3.39 mg/kg, the ED ₅₀ s for Staphylococcus aureus obtained ranges from 0.30 mg/kg to 1.74 mg/kg, and the ED ₅₀ for Escherichia coli is 2.02 mg/kg[1].				
Solvent&Solubility	<i>In Vitro:</i> H₂O : ≥ 50 mg/mL (84.30 mM) * "≥" means soluble, but saturation unknown.				
		SolventMassConcentration	1 mg	5 mg	10 mg
	Preparing	1 mM	1.6860 mL	8.4301 mL	16.8603 mL
	Stock Solutions	5 mM	0.3372 mL	1.6860 mL	3.3721 mL
		10 mM	0.1686 mL	0.8430 mL	1.6860 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℃，6 months；-20℃，1 month。-80℃ 储存时，请在 6 个月内使用，-20℃ 储存时，请在 1 个月内使用。				
References	[1]. Macone AB, et al. In vitro and in vivo antibacterial activities of omadacycline, a novel aminomethylcycline. Antimicrob Agents Chemother. 2014;58(2):1127-35. [2]. Tanaka SK, et al. Discovery, pharmacology, and clinical profile of omadacycline, a novel aminomethylcycline antibiotic. Bioorg Med Chem. 2016 Dec 15;24(24):6409-6419.				
实验参考:					
Animal Administration	Mice: Omadacycline is dissolved in sterile saline. Mice are infected using a 3-mL lock-top sterile syringe with a sterile 25-gauge, 5/8-in. needle. At 1 h postinfection (p.i.), mice are dosed intravenously (i.v.) with omadacycline or comparator compounds of interest at a volume of 10 ml/kg.				



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	A minimum of four dose levels are tested per experiment with 5 mice/group. The typical doses tested ranges from 0.11 to 18 mg/kg of body weight, with exceptions for comparators that requires significantly higher or lower doses to achieve 50% efficacy[1].
References	[1]. Maccone AB, et al. In vitro and in vivo antibacterial activities of omadacycline, a novel aminomethylcycline. Antimicrob Agents Chemother. 2014;58(2):1127-35. [2]. Tanaka SK, et al. Discovery, pharmacology, and clinical profile of omadacycline, a novel aminomethylcycline antibiotic. Bioorg Med Chem. 2016 Dec 15;24(24):6409-6419.



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