



上海源叶生物科技有限公司
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产品名称: **MAC-545496**

CAS 号: **838810-96-1**

产品货号: **S89999**

生物活性:

Description	MAC-545496 is a nanomolar inhibitor of glycopeptide-resistance-associated protein R (GraR). MAC-545496 displays strong binding affinity to the full-length GraR protein ($K_d \leq 0.1$ nM). MAC-545496 is an antivirulence agent that reverses β -lactam resistance in Methicillin-resistant strains (MRSA)[1].				
IC50 & Target	Glycopeptide-resistance-associated protein R (GraR)[1]				
In Vitro	MAC-545496 potently synergizes with Cefuroxime; concentrations as low as 0.03 μ g/mL (~75 nM) lowers the β -lactam MIC from 512 to 8 μ g/mL against <i>S. aureus</i> USA300. MAC545496 also synergizes with Cefuroxime and Oxacillin against a collection of ten <i>S. aureus</i> clinical isolates. In addition, MAC-545496 potentiates the effect of Cefuroxime against representatives of other circulating MRSA strains such as USA100, USA400 and USA500 to different extents, with the exception of CMRSA4, a USA200/EMRSA16 isolate. MAC-545496 also synergizes with the antimicrobial peptides colistin and polymyxin B[1]. MAC-545496 shows inhibition of mprF expression in a concentration-dependent manner; the IC50 value is 0.0376 μ g/mL[1]. MAC-545496 also inhibits the citrateinduced biofilm formation in the wild type in a concentration dependent manner[1].				
In Vivo	In vivo, MAC-545496 is effective as a monotherapy for MRSA infected <i>Galleria mellonella</i> larvae. MAC-545496 activity is evidenced by increased survival of the drug-treated larvae as compared to infected untreated ones. This corresponded to concentration-dependent killing of <i>S. aureus</i> in the hemolymph of the larvae observed from the CFUs recovered from the hemolymph 200 min after infection. Treatment of <i>S. aureus</i> -infected larvae with MAC-545496 occurred 30min after infection that mimics acquiring bacterial infection before initiating antimicrobial therapy [1].				
Solvent&Solubility	细胞实验: DMSO 中的溶解度 : 250 mg/mL (595.39 mM; 超声助溶; 吸湿的 DMSO 对产品的溶解度有显著影响, 请使用新开封的 DMSO)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	2.3816 mL	11.9079 mL	23.8158 mL
		5 mM	0.4763 mL	2.3816 mL	4.7632 mL
		10 mM	0.2382 mL	1.1908 mL	2.3816 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。					
参考文献	[1]. El-Halfawy OM, et al. Discovery of an antivirulence compound that reverses β -lactam resistance in MRSA. Nat Chem Biol. 2019 Nov 25.				

完整储备液配制表:

* 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。



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可选溶剂	质量 溶剂体积 浓度	1 mg	5 mg	10 mg	25 mg
DMSO	1 mM	2.3816 mL	11.9079 mL	23.8158 mL	59.5394 mL
	5 mM	0.4763 mL	2.3816 mL	4.7632 mL	11.9079 mL
	10 mM	0.2382 mL	1.1908 mL	2.3816 mL	5.9539 mL
	15 mM	0.1588 mL	0.7939 mL	1.5877 mL	3.9693 mL
	20 mM	0.1191 mL	0.5954 mL	1.1908 mL	2.9770 mL
	25 mM	0.0953 mL	0.4763 mL	0.9526 mL	2.3816 mL
	30 mM	0.0794 mL	0.3969 mL	0.7939 mL	1.9846 mL
	40 mM	0.0595 mL	0.2977 mL	0.5954 mL	1.4885 mL
	50 mM	0.0476 mL	0.2382 mL	0.4763 mL	1.1908 mL
	60 mM	0.0397 mL	0.1985 mL	0.3969 mL	0.9923 mL
	80 mM	0.0298 mL	0.1488 mL	0.2977 mL	0.7442 mL
	100 mM	0.0238 mL	0.1191 mL	0.2382 mL	0.5954 mL

源叶