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产品名称：AAI101
产品别名：AAI101

生物活性：

Description	AAI101 is an extended-spectrum β-lactamase inhibitor, against many resistant Gram-negative pathogens.
IC_{50} & Target	AAI101 shows potent activity against specific resistance phenotypes with MIC50 and MIC90 of 0.125 mg/L and 64 mg/L[1]. Cefepime-AAI101 MICs decreases with increasing concentrations of AAI101 (over the range from 1 to 16 mg/L) for most strains, demonstrating a concentration dependence of AAI101 on restoration of the antibacterial activity of the cephalosporin[1].
In Vivo	In neutropenic animals, cefepime-AAI101 treatment results in reductions in bacterial density of ⩾0.5 log10 CFU for 12 of the 20 strains tested and reductions of ⩾1 log10 CFU for 6 of these. Increases in bacterial density are for only four strains, three of which have cefepime-AAI101 MICs of ⩾64 mg/L, irrespective of the AAI101 concentration[2].

Solvent&Solubility

In Vitro:

DMSO : ≥ 113.3 mg/mL (360.46 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1815 mL	15.9074 mL	31.8147 mL
	5 mM	0.6363 mL	3.1815 mL	6.3629 mL
	10 mM	0.3181 mL	1.5907 mL	3.1815 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： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.08 mg/mL (6.62 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (6.62 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.08 mg/mL (6.62 mM); Clear solution

此方案可获得 ≥ 2.08 mg/mL (6.62 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理

	盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.08 mg/mL (6.62 mM); Clear solution 此方案可获得 ≥ 2.08 mg/mL (6.62 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 20.8 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Crandon JL, et al. In Vitro Activity of Cefepime/AAI101 and Comparators against Cefepime Non-susceptible Enterobacteriaceae. Pathogens. 2015 Aug 18;4(3):620-5. [2]. Crandon JL, et al. In vivo activities of simulated human doses of cefepime and cefepime-AAI101 against multidrug-resistant Gram-negative Enterobacteriaceae. Antimicrob Agents Chemother. 2015 May;59(5):2688-94.

实验参考：

Animal Administration	The 20 Enterobacteriaceae strains are used to infect groups of three mice each. At 2 h after inoculation, mice are treated with humanized regimens of cefepime or cefepime-AAI101. All doses are administered as 0.2-mL subcutaneous injections. To serve as control animals, an additional group of mice is administered normal saline at the same volume and frequency and by the same route. Thighs from all animals are harvested at 24 h after initiation of therapy. The harvesting procedure for all study mice began with euthanasia by CO_2 exposure, followed by cervical dislocation. After sacrifice, thighs are removed and homogenized individually in normal saline. For determinations of the numbers of CFU, serial dilutions of thigh homogenates are spread onto Trypticase soy agar with 5% sheep blood using a spiral plater. In addition to the aforementioned treatment and control groups, another group of three infected but untreated mice is harvested at the initiation of dosing and served as a 0-h control. Efficacy, expressed as the change in bacterial density, is determined by calculation of the change in the $\log_{10}$ number of CFU obtained in mice after 24 h of treatment from the densities observed in the 0-h control animals. [2]
References	[1]. Crandon JL, et al. In Vitro Activity of Cefepime/AAI101 and Comparators against Cefepime Non-susceptible Enterobacteriaceae. Pathogens. 2015 Aug 18;4(3):620-5. [2]. Crandon JL, et al. In vivo activities of simulated human doses of cefepime and cefepime-AAI101 against multidrug-resistant Gram-negative Enterobacteriaceae. Antimicrob Agents Chemother. 2015 May;59(5):2688-94.