

Product Data Sheet

Product Name: AAI101
Cat. No.: GC32165

Chemical Properties

Cas. No. 1001404-83-6

SMILES C[N+]1=NN(C[C@@](S([C@]2([H])C3)(=O)=O)(C)[C@H](C([O-])=O)N2C3=O)C=C1

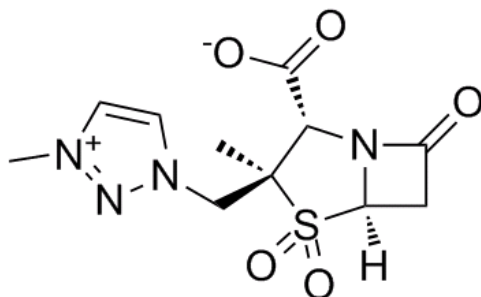
Formula $C_{11}H_{14}N_4O_5S$ M.Wt 314.32

Solubility DMSO : ≥ 113.3 mg/mL (360.46 mM) Storage Store at -20°C , protect from light, stored under nitrogen

General tips For obtaining a higher solubility , please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Caution: Product has not been fully validated for medical applications. For research use only.

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**Animal
experiment:**

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 CO₂ 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 log₁₀ number of CFU obtained in mice after 24 h of treatment from the densities observed in the 0-h control animals.

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.

Background

AAI101 is an extended-spectrum β -lactamase inhibitor, against many resistant Gram-negative pathogens.

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

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AAI101 on restoration of the antibacterial activity of the cephalosporin[1].

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].

[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.

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