Avibactam free acid

Cat. No.: HY-14879

CAS No.: 1192500-31-4

Molecular Formula: $C_7H_{11}N_3O_6S$

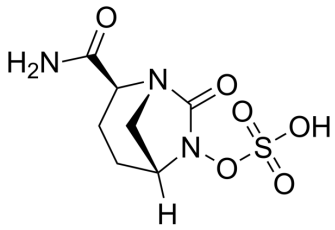
Molecular Weight: 265.24

Target: Bacterial; Antibiotic; Beta-lactamase

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (471.27 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7702 mL	18.8509 mL	37.7017 mL
	5 mM	0.7540 mL	3.7702 mL	7.5403 mL
	10 mM	0.3770 mL	1.8851 mL	3.7702 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.84 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.84 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.84 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Avibactam (NXL-104) free acid is a covalent and reversible non-β-lactam β-lactamase inhibitor which inhibits β-lactamase TEM-1 and CTX-M-15 with IC_{50}s of 8 nM and 5 nM, respectively[1].

IC_{50} & Target

IC_{50}: 5 nM (CTX-M-15), 8 nM (TEM-1)[1]

In Vitro

Avibactam is a molecule with little antibacterial activity, that inhibits class A and C β-lactamases, but not metallo types and Acinetobacter OXA carbapenemases[2].

Ceftazidime (HY-B0593)-Avibactam (0-256 mg/L) inhibits 16 bla_{KPC-2} positive and 1 of $bla_{OXA-232}$ positive Klebsiella pneumonia growth with MIC_{50} and MIC_{90} for both 8 mg/L[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Ceftazidime-Avibactam (0.375 mg/g; s.c.; q8h for 10 days) has a significant effect on the bacteria and led to a certain therapeutic efficacy in K. pneumoniae strain Y8 infected mouse model[3].

Avibactam (64 mg/kg; s.c.; once) shows mean estimated half-life in plasma in the terminal phase of 0.24 h in Pseudomonas aeruginosa infected neutropenic mice with lung infection[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Six-week-old BALB/c mice (female), K. pneumoniae strain Y8 infection model[4]
Dosage:	0.375 mg/g in combination with Ceftazidime
Administration:	Subcutaneous injection, 4 h post infection and given every 8 h for 10 days
Result:	70% of infection group mice died within 4 days, and all mice in the PBS group died within 13 days. All treatment group mice survived at 10 days post infection with the antibiotic applied every 8 h, whereas 100% of mice in this group died within 4 days after the antibiotic treatment stopped. The spleen and liver of treatment group mice showed lower CFU counts, as compare with that of infected group.

CUSTOMER VALIDATION

- Biosens Bioelectron. 2021 Jul 21;193:113526.
- Int J Antimicrob Agents. 2018 Aug;52(2):269-271.
- J Clin Microbiol. 2023 Apr 18;e0164722.
- J Clin Microbiol. 2020 Aug 24;58(9):e00932-20.
- Int J Infect Dis. 2021 Apr 14;S1201-9712(21)00346-5.

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REFERENCES

[1]. Zhang W, et al. In vitro and in vivo bactericidal activity of ceftazidime-avibactam against Carbapenemase-producing Klebsiella pneumoniae. Antimicrob Resist Infect Control. 2018 Nov 21;7:142.

[2]. Ehmann DE, et al. Avibactam is a covalent, reversible, non-β-lactam β-lactamase inhibitor. Proc Natl Acad Sci U S A. 2012 Jul 17;109(29):11663-8.

[3]. Livermore DM, et al. Characterization of β-lactamase and porin mutants of Enterobacteriaceae selected with ceftaroline + avibactam (NXL104). J Antimicrob Chemother. 2012 Jun;67(6):1354-8.

[4]. Berkhout J, et al. Pharmacokinetics and penetration of ceftazidime and avibactam into epithelial lining fluid in thigh- and lung-infected mice. Antimicrob Agents Chemother. 2015 Apr;59(4):2299-304.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA