Avibactam sodium

Cat. No.: HY-14879A

CAS No.: 1192491-61-4

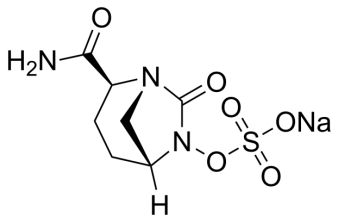
Molecular Formula: $C_7H_{10}N_3NaO_6S$

Molecular Weight: 287.23

Target: Bacterial; Antibiotic; Beta-lactamase

Pathway: Anti-infection

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 50 mg/mL (174.08 mM; Need ultrasonic)
DMSO : ≥ 30 mg/mL (104.45 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.4815 mL	17.4077 mL	34.8153 mL
	5 mM	0.6963 mL	3.4815 mL	6.9631 mL
	10 mM	0.3482 mL	1.7408 mL	3.4815 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 140 mg/mL (487.41 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 2.75 mg/mL (9.57 mM); Clear solution
3. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (9.57 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (7.24 mM); Clear solution
5. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (7.24 mM); Clear solution
6. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (7.24 mM); Clear solution
7. Add each solvent one by one: 1% DMSO >> 99% saline
   Solubility: ≥ 0.55 mg/mL (1.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Avibactam sodium (NXL-104) 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 GR20263 and avibactam into epithelial lining fluid in thigh- and lung-infected mice. Antimicrob Agents Chemother. 2015 Apr;59(4):2299-304.

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