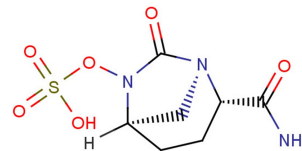


## Avibactam free acid

## Chemical Properties

CAS No.:	1192500-31-4
Formula:	C <sub>7</sub> H <sub>11</sub> N <sub>3</sub> O <sub>6</sub> S
Molecular Weight:	265.24
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



## Biological Description

Description	Avibactam (NXL-104) free acid is a covalent and reversible inhibitor of non- $\beta$ -lactam $\beta$ -lactamase (IC <sub>50</sub> s: 8 nM and 5 nM for $\beta$ -lactamase TEM-1 and CTX-M-15).
Targets(IC <sub>50</sub> )	TEM-1: 8 nM CTX-M-15: 5 nM
In vitro	Avibactam inhibits class A and C $\beta$ -lactamases. Avibactam inactivates the most important $\beta$ -lactamases except metallo types and Acinetobacter OXA carbapenemases [2].
In vivo	Avibactam sodium displays a slow return of activity with an off-rate of $0.045 \pm 0.022/\text{min}$ , which converts to a residence time half-life ( $t_{1/2}$ ) of $16 \pm 8$ min. The measured off-rate for Avibactam suggests that slow deacylation through hydrolysis or reversibility is occurring, and it is in contrast to previously reported extremely long $t_{1/2}$ values of $>1$ or $>7$ d for Avibactam inhibition of TEM-1 [1]. Mice are infected with ca.106 CFU of Pseudomonas aeruginosa intramuscularly into the thigh or intranasally to cause pneumonia and are given 8 different (single) subcutaneous doses of Ceftazidime and Avibactam in various combined concentrations, ranging from 1 to 128 mg/kg of body weight in 2-fold increases. The mean estimated half-life in plasma of Ceftazidime in the terminal phase is 0.28 h (SD, 0.02 h), and that of Avibactam is 0.24 h (SD, 0.04 h). Volumes of distribution are 0.80 liters/kg (SD, 0.14 liters/kg) and 1.18 liters/kg (SD, 0.34 liters/kg), respectively [3].

## Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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## Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.77 mL	18.851 mL	37.702 mL
5 mM	0.754 mL	3.77 mL	7.54 mL
10 mM	0.377 mL	1.885 mL	3.77 mL
50 mM	0.075 mL	0.377 mL	0.754 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Ehmann DE, et al. Avibactam is a covalent, reversible, non- $\beta$ -lactam  $\beta$ -lactamase inhibitor. *Proc Natl Acad Sci U S A*. 2012 Jul 17;109(29):11663-8.
2. Livermore DM, et al. Characterization of  $\beta$ -lactamase and porin mutants of Enterobacteriaceae selected with ceftaroline + avibactam (NXL104). *J Antimicrob Chemother*. 2012 Jun;67(6):1354-8.
3. 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.

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