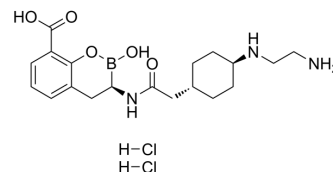


## Taniborbactam hydrochloride

<b>Cat. No.:</b>	HY-109124A
<b>CAS No.:</b>	2244235-49-0
<b>Molecular Formula:</b>	C <sub>19</sub> H <sub>30</sub> BCl <sub>2</sub> N <sub>3</sub> O <sub>5</sub>
<b>Molecular Weight:</b>	462.18
<b>Target:</b>	Bacterial; Beta-lactamase
<b>Pathway:</b>	Anti-infection
<b>Storage:</b>	-20°C, protect from light, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under nitrogen)



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 200 mg/mL (432.73 mM; Need ultrasonic)  
H<sub>2</sub>O : 33.33 mg/mL (72.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1637 mL	10.8183 mL	21.6366 mL
	5 mM	0.4327 mL	2.1637 mL	4.3273 mL
	10 mM	0.2164 mL	1.0818 mL	2.1637 mL

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

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 50 mg/mL (108.18 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline  
Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution
- Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.41 mM); Clear solution
- Add each solvent one by one: 1% DMSO >> 99% saline  
Solubility: ≥ 0.5 mg/mL (1.08 mM); Clear solution

### BIOLOGICAL ACTIVITY

<b>Description</b>	Taniborbactam hydrochloride (VNRX-5133 hydrochloride) is a reversible and selective boronic acid-containing pan-spectrum $\beta$ -lactamase inhibitor with IC <sub>50</sub> s of 8-530 nM. Taniborbactam hydrochloride has IC <sub>50</sub> s of 30 nM, 32 nM, 42 nM, 20 nM for KPC-2, AmpC, OXA-48, and VIM-2. Taniborbactam hydrochloride is against Gram-negative bacteria <sup>[1][2]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	$\beta$ -lactamase <sup>[1]</sup>
<b>In Vitro</b>	Taniborbactam hydrochloride (VNRX-5133 hydrochloride) has IC <sub>50</sub> s of 0.5 nM, 2 nM, 0.5 nM, 0.06 nM for KPC-2, OXA-48, VIM-4 of <i>K.pneumoniae</i> strain and VIM-2 of <i>P.aeruginosa</i> strain <sup>[2]</sup> . Both cefepime/Taniborbactam hydrochloride (10 $\mu$ g/mL) and meropenem/Taniborbactam hydrochloride combinations are highly active against all six of the NDM-1-producing clinical isolates from <i>K.pneumoniae</i> and <i>E.coli</i> , with MIC ranges of 16-0.25 and 1-0.125 $\mu$ g/mL, respectively <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	A single dose of Cefepime (HY-B0692) (32 mg/kg)/Taniborbactam hydrochloride (VNRX-5133 hydrochloride; 16 mg/kg; s.c.) achieves >4 log <sub>10</sub> reduction in viable bacterial counts in the neutropenic mouse lung infection model against a CTX-M-14-producing strain of <i>K.pneumoniae</i> <sup>[2]</sup> . Combination of Cefepime (16 mg/kg) and Taniborbactam hydrochloride (16 mg/kg; s.c.; twice-a-day for 7 days) demonstrates >2 log <sub>10</sub> reductions in viable bacterial counts in the kidney of the ascending urinary tract infection model against a CTX-M-15-producing strain of <i>E.coli</i> <sup>[2]</sup> . Taniborbactam hydrochloride has a T <sub>1/2</sub> of 0.16 hours, a CL of 618 mL/h/kg, and a V <sub>ss</sub> of 143 mL/kg for mice <sup>[2]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Int J Antimicrob Agents. 2023 Nov 4:107030.
- J Antimicrob Chemother. 2023 Mar 15;dkad061.
- Antimicrob Agents Chemother. 2023 May 31;e0033923.
- Antimicrob Agents Chemother. 2021 Nov 22;AAC0167621.

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## REFERENCES

[1]. Liu B ,et al. Discovery of Taniborbactam (VNRX-5133): A Broad-Spectrum Serine- and Metallo- $\beta$ -lactamase Inhibitor for Carbapenem-Resistant Bacterial Infections. J Med Chem. 2019 Dec 16.

[2]. Krajnc A, et al. Bicyclic Boronate VNRX-5133 Inhibits Metallo- and Serine- $\beta$ -Lactamases. J Med Chem. 2019 Sep 26;62(18):8544-8556.

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

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