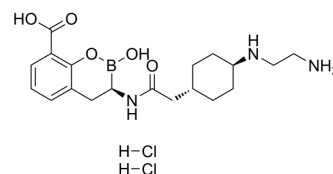


Taniborbactam hydrochloride

Cat. No.:	HY-109124A
CAS No.:	2244235-49-0
Molecular Formula:	C ₁₉ H ₃₀ BCl ₂ N ₃ O ₅
Molecular Weight:	462.18
Target:	Bacterial; Beta-lactamase
Pathway:	Anti-infection
Storage:	-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)
H₂O : 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

Description	Taniborbactam hydrochloride (VNRX-5133 hydrochloride) is a reversible and selective boronic acid-containing pan-spectrum β -lactamase inhibitor with IC ₅₀ s of 8-530 nM. Taniborbactam hydrochloride has IC ₅₀ 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 ^{[1][2]} .
IC₅₀ & Target	β -lactamase ^[1]
In Vitro	Taniborbactam hydrochloride (VNRX-5133 hydrochloride) has IC ₅₀ 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 ^[2] . Both cefepime/Taniborbactam hydrochloride (10 μ 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 μ g/mL, respectively ^[1] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	A single dose of Cefepime (HY-B0692) (32 mg/kg)/Taniborbactam hydrochloride (VNRX-5133 hydrochloride; 16 mg/kg; s.c.) achieves >4 log ₁₀ reduction in viable bacterial counts in the neutropenic mouse lung infection model against a CTX-M-14-producing strain of <i>K.pneumoniae</i> ^[2] . Combination of Cefepime (16 mg/kg) and Taniborbactam hydrochloride (16 mg/kg; s.c.; twice-a-day for 7 days) demonstrates >2 log ₁₀ 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> ^[2] . Taniborbactam hydrochloride has a T _{1/2} of 0.16 hours, a CL of 618 mL/h/kg, and a V _{ss} of 143 mL/kg for mice ^[2] . 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- β -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- β -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.

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