Taniborbactam hydrochloride

Cat. No.: HY-109124A

CAS No.: 2244235-49-0

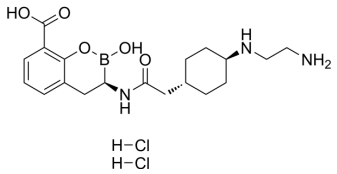
Molecular Formula: $C_{19}H_{30}BCl_2N_3O_5$

Molecular Weight: 462.18

Target: Bacterial

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)
H_2O : 33.33 mg/mL (72.11 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	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

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (108.18 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (10.82 mM); Clear solution
5. 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
6. 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
7. 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].
IC_{50} & 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 K.pneumoniae strain and VIM-2 of P.aeruginosa strain[2]. ?Both cefepime/Taniborbactam hydrochloride (10 μg/mL) and meropenem/Taniborbactam hydrochloride combinations are highly active against all six of the NDM-1-producing clinical isolates from K.pneumoniae and E.coli, with MIC ranges of 16-0.25 and 1-0.125 μg/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 K.pneumoniae[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 E.coli[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

- 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.

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