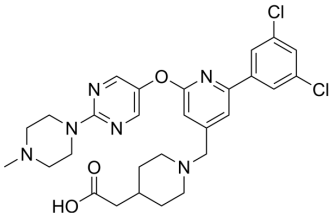
BOS-318

Cat. No.:	HY-147140
CAS No.:	2387633-15-8
Molecular Formula:	$C_{28}H_{32}Cl_2N_6O_3$
Molecular Weight:	571.5
Target:	Furin
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (87.49 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7498 mL	8.7489 mL	17.4978 mL
	5 mM	0.3500 mL	1.7498 mL	3.4996 mL
	10 mM	0.1750 mL	0.8749 mL	1.7498 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BOS-318 is a potent, slowly dissociating, highly selective, and cell-permeable furin inhibitor with IC_{50} value of 1.9 nM. BOS-318 protects ENaC from subsequent activation by neutrophil elastase. BOS-318 can be used for the rsearch for CF lung disease[1][2].

In Vitro

BOS-318 (0.001-1 μM, 72 hours) provides cytoprotection against toxicity in CuFi-1 cells induced by P aeruginosa exotoxin A (PEA)[1].

BOS-318 (U2OS cells) to be approximately 13 times more potent against furin than PCSK5 (IC_{50} = 25.3 nM), 24 times more potent than PCSK7 (IC_{50} = 45.8 nM), and 110 times more potent than PCSK6 (IC_{50} = 209.4 nM) [1].

BOS-318 (0.3 μM, 2 hours or 48 hours) reduces ENaC-mediated Na^+ absorption in CF HBECs and protects against NE- and CF sputum-sol-mediated activation of the ENaC[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	CuFi-1 cells
Concentration:	17.4 and 263.0 nM
Incubation Time:	2 and 48 hours
Result:	Significantly inhibited ENaC-mediated Na^+ transport as measured in differentiated human bronchial epithelial cells under both short- (IC_{50}= 263.0 nM) and long-term (IC_{50}= 17.4 nM) treatment conditions.

Cell Viability Assay[1]

Cell Line:	CuFi-1 cells
Concentration:	0.3 μM
Incubation Time:	72 hours
Result:	Significantly increased cell viability in PEA-treated cells to approximately 88% of control levels.

Cell Cytotoxicity Assay[1]

Cell Line:	CuFi-1 cells
Concentration:	0.001, 0.01, 0.03, 0.1, 0.3 and 1 μM
Incubation Time:	72 hours
Result:	Provided protection against PEA-mediated cytotoxicity in a dose-dependent manner, over a period of 72 h, with an EC50 of 47.8 nM.

REFERENCES

[1]. Douglas LEJ, et al. A highly selective, cell-permeable furin inhibitor BOS-318 rescues key features of cystic fibrosis airway disease. Cell Chem Biol. 2022 Jun 16;29(6):947-957.e8.

[2]. Nayak D, et al. Clearing the air: Uniquely engaging furin as an approach to cystic fibrosis therapy. Cell Chem Biol. 2022 Jun 16;29(6):927-929.

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