

Product Data Sheet

Product Name: BOS-318

Cat. No.: GC64637

Chemical Properties

Cas. No. 2387633-15-8

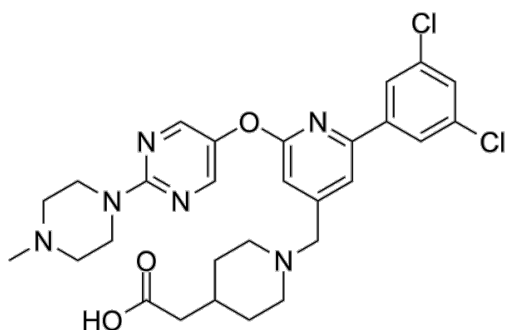
Formula $C_{28}H_{32}Cl_2N_6O_3$ M.Wt 571.5

Solubility DMSO : 50 mg/mL (87.49 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

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Cell lines A375M6 and M21 human BRAF-mutated melanoma cell lines

Preparation Method Melanoma cells were cultured in DMEM supplemented with 10% FBS. Cells were exposed to BOS-318 (5nM) and/or pirfenidone (PFD) for 24 hours in complete standard medium.

Reaction
Conditions 5μM; 24h.

Applications BOS-318 treatment reduced the expression of TGFβ1 and phospho-SMAD2. When combined with pirfenidone (8.1mM), BOS-318 synergistically increased p21 expression and raised the proportion of cells entering late apoptosis.

Animal experiment [2]:

Animal models Adult C57BL/6 mice of both sexes

Preparation Method Mice were administered a single oral dose of BOS-318 (10mg/kg) 24 hours before electrophysiological experiments. Administration was performed by voluntary swallowing using a standard replaceable tip and an automatic pipette, with a maximum volume of 100μL of the solution.

Dosage form 10mg/kg; oral administration; single dose.

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Applications Oral administration of BOS-318 significantly inhibited furin activity in tissues and prevented the PAR1 agonist TFLLR-NH₂-induced potentiation of neuromuscular transmission.

References:

- [1] Marchese M, La Regina G, Amato R, et al. The unexplored mechanism of antitumoral effect of pirfenidone in melanoma cells. *Sci Rep.* 2025 Aug 1;15(1):28071.
- [2] Molchanova, A.I., Shepelev, E.I., Gaydukov, A.E. PAR1-Mediated Retrograde Action of Brain-Derived Neurotrophic Factor and Its Prodomain in Mature Mouse Motor Synapses is Provided by Furin Activity. *Neurochem J.* 2025; 19: 717–727.

Background

BOS-318 is a cell-permeable, potent, and highly selective inhibitor of furin ($IC_{50}=1.9nM$)^[1-2]. BOS-318 is applicable for research in cystic fibrosis (CF) lung disease and can serve as an adjunctive therapy to CFTR modulators such as ETI^[3-4].

In vitro, treatment of differentiated cystic fibrosis human bronchial epithelial cells (CF HBECS) with BOS-318 (0.3 μ M) for 2 or 48 hours significantly inhibited epithelial sodium channel (ENaC)-mediated sodium absorption. A 2- or 48-hour pretreatment of CF HBECS with BOS-318 (0.3 μ M), followed by stimulation with neutrophil elastase (NE; 2.5 μ g/mL) or CF sputum supernatant. BOS-318 protected ENaC from activation by NE. Treatment of CuFi-1 cells with BOS-318 (0.001–1 μ M) for 72 hours conferred dose-dependent protection against *Pseudomonas aeruginosa* exotoxin A (PEA; 500ng/mL)-mediated cytotoxicity^[5]. In BRAF-mutated human melanoma cell lines (A375M6 and M21), a 24-hour treatment with BOS-318 (5nM) reduced the expression of TGF β 1 and phosphorylated SMAD2. When combined with pirfenidone (8.1mM), BOS-318 synergistically increased p21 expression and elevated the proportion of cells entering late apoptosis^[6].

In vivo, in a mouse model of acute lung injury induced by *Pseudomonas aeruginosa* (PA103) or exotoxin A (Exo-A), a single administration of BOS-318 (5mM; i.p.; 200 μ L; and 0.874mM; intratracheal; 50 μ L) 1 hour prior to infection significantly improved survival at 96 hours post-infection, attenuated hypothermia and body weight loss, reduced protein and inflammatory cytokine levels in

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bronchoalveolar lavage fluid (BALF), and accelerated bacterial clearance^[7]. In adult C57BL/6 mice, a single oral dose of BOS-318 (10mg/kg) administered 24 hours before electrophysiological experiments. BOS-318 significantly inhibited furin activity in tissues and prevented the PAR1 agonist TFLLR-NH2-induced potentiation of neuromuscular transmission^[8].

References:

- [1] Douglas LEJ, Reihill JA, Martin SL. BOS-318 treatment enhances elexacaftor-tezacaftor-ivacaftor-mediated improvements in airway hydration and mucociliary transport. *ERJ Open Res.* 2025 Feb 25;11(1):00445-2024.
- [2] Nayak D, Wasmuth EV, Olsen SK. Clearing the air: Uniquely engaging furin as an approach to cystic fibrosis therapy. *Cell Chem Biol.* 2022 Jun 16;29(6):927-929.
- [3] Ducrocq GP, Anselmi L, Stella SL Jr, et al. Inhibition and potentiation of the exercise pressor reflex by pharmacological modulation of TRPC6 in male rats. *J Physiol.* 2025 Sep;603(18):5027-5052.
- [4] Ridgway H, Orbell JD, Matsoukas MT, et al. W254 in furin functions as a molecular gate promoting anti-viral drug binding: Elucidation of putative drug tunneling and docking by non-equilibrium molecular dynamics. *Comput Struct Biotechnol J.* 2023 Sep 9;21:4589-4612.
- [5] Douglas LEJ, Reihill JA, Ho MWY, 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.
- [6] Marchese M, La Regina G, Amato R, et al. The unexplored mechanism of antitumoral effect of pirfenidone in melanoma cells. *Sci Rep.* 2025 Aug 1;15(1):28071.
- [7] Bernard O, Kwon M, Looney MR, et al. Furin Inhibition Protects Against Acute Lung Injury in a Mouse Model of *Pseudomonas Aeruginosa* Infection. *Am J Respir Cell Mol Biol.* 2026 Feb 15:aanag024.
- [8] Molchanova, A.I., Shepelev, E.I., Gaydukov, A.E. PAR1-Mediated Retrograde Action of Brain-Derived Neurotrophic Factor and Its Prodomain in Mature Mouse Motor Synapses is Provided by Furin Activity. *Neurochem J.* 2025; 19: 717–727.

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