

Product Data Sheet

Product Name: BI-749327

Cat. No.: GC34489

Chemical Properties

Cas. No. 2361241-23-6

SMILES NC1=NN=C(C2CCN(C(C3=CC=C(OC4=CC=C(C(F)(F)F)C=C4)C=C3)=O)CC2)C=C1

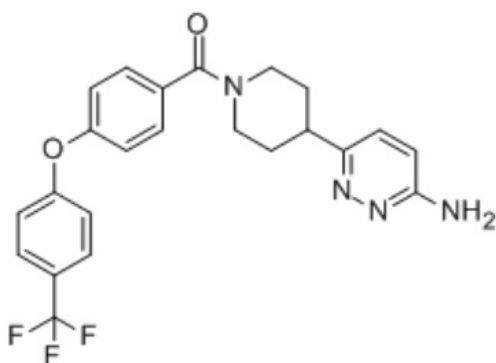
Formula $C_{23}H_{21}F_3N_4O_2$ M.Wt 442.43

Solubility DMSO : 31.25 mg/mL (70.63 mM) 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]:

Cell lines NHCF-A human atrial fibroblasts cell line

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

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Human atrial fibroblasts were maintained in Dulbecco's modified Eagle's Preparation Method medium supplemented with 10% fetal bovine serum, 100U/mL penicillin-streptomycin at 37°C, 5% CO₂. Cells were treated with BI-749327 for 48 hours.

Reaction
Conditions 1µM; 48h

Applications BI-749327 significantly reduced the transient receptor potential (TRP) currents and inhibited cell migration in human atrial fibroblasts.

Animal experiment [2]:

Animal models Sprague-Dawley (SD) rats and Trpc6^{-/-} (C57BL/6 N background) mice

Preparation Method Intracranial aneurysm (IA) was induced in rats and mice by surgical ligation of the left common carotid artery and the left renal artery, followed by a high-salt diet (8% NaCl and 0.12% 3-aminopropionitrile). BI-749327 was administered intraperitoneally every two days for one month after the surgical procedures.

Dosage form 50µg/kg; i.p.; every two days for one month

Applications BI-749327 treatment reduced the expressions of NOX4, p22phox and p47phox, decreased the production of reactive oxygen species (ROS), and improved the phenotypic switch of vascular smooth muscle cells (VSMCs) in IA rats.

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References:

- [1] Zhao T, Parmisano S, Soroureddin Z, et al. Mechanosensitive cation currents through TRPC6 and Piezo1 channels in human pulmonary arterial endothelial cells. *Am J Physiol Cell Physiol*. 2022 Oct 1;323(4):C959-C973.
- [2] Sun ZH, Liu F, Kong LL, et al. Interruption of TRPC6-NFATC1 signaling inhibits NADPH oxidase 4 and VSMCs phenotypic switch in intracranial aneurysm. *Biomed Pharmacother*. 2023 May;161:114480.

Background

BI-749327 is a highly selective transient receptor potential canonical 6 (TRPC6) channel antagonist that potently inhibits TRPC6 activity in mice, humans, and guinea pigs (IC_{50} =13nM, 19nM, and 15nM). BI-749327 can be used in research related to cardiac and renal diseases^[1-4].

In vitro, human pulmonary artery endothelial cells (human PAECs) and TRPC6-transfected HEK-293 cells were incubated with BI-749327 (50nM) and a hypotonic solution (220mosmol/kg H₂O), and the cationic current (I_{cation}) was measured. BI-749327 significantly inhibited the mechanically sensitive cationic current in these cells^[5]. Human atrial fibroblasts were treated with BI-749327 (1 μ M) for 48 hours. BI-749327 significantly reduced the transient receptor potential (TRP) currents and inhibited cell migration^[6].

In vivo, BI-749327 (12 μ g/kg) was administered as a single injection into the hindlimb arterial circulation via a superficial abdominal wall arterial catheter in decerebrate male rats. BI-749327 significantly attenuated the reflex pressor responses and renal sympathetic nerve activity induced by static contraction and passive stretch^[7]. BI-749327 (50 μ g/kg; every two days) was intraperitoneally injected into Sprague-Dawley (SD) rats with an intracranial aneurysm model for one month. BI-749327 significantly reduced the expressions of NOX4, p22phox, and p47phox, decreased the production of reactive oxygen species, and improved the phenotypic switch of vascular smooth muscle cells^[8].

References:

- [1] Lin BL, Matera D, Doerner JF, et al. In vivo selective inhibition of TRPC6 by antagonist BI 749327 ameliorates fibrosis and dysfunction in cardiac and renal disease. *Proc Natl Acad Sci U S A*. 2019

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May 14;116(20):10156-10161.

[2] Ji M, Liu Y, Yang L, et al. BI-749327 inhibits the proliferation of hepatocellular carcinoma by targeting the TRPC6 channel. Eur J Pharmacol. 2026 Mar 28;1019:178692.

[3] Jain PP, Lai N, Xiong M, et al. TRPC6, a therapeutic target for pulmonary hypertension. Am J Physiol Lung Cell Mol Physiol. 2021 Dec 1;321(6):L1161-L1182.

[4] Zheng Z, Tsvetkov D, Bartolomaeus TUP, et al. Role of TRPC6 in kidney damage after acute ischemic kidney injury. Sci Rep. 2022 Feb 22;12(1):3038.

[5] Zhao T, Parmisano S, Soroureddin Z, et al. Mechanosensitive cation currents through TRPC6 and Piezo1 channels in human pulmonary arterial endothelial cells. Am J Physiol Cell Physiol. 2022 Oct 1;323(4):C959-C973.

[6] Hung Y, Chung CC, Chen YC, et al. Klotho Modulates Pro-Fibrotic Activities in Human Atrial Fibroblasts through Inhibition of Phospholipase C Signaling and Suppression of Store-Operated Calcium Entry. Biomedicines. 2022 Jul 1;10(7):1574.

[7] 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.

[8] Sun ZH, Liu F, Kong LL, et al. Interruption of TRPC6-NFATC1 signaling inhibits NADPH oxidase 4 and VSMCs phenotypic switch in intracranial aneurysm. Biomed Pharmacother. 2023 May;161:114480.

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