

Product Data Sheet

Product Name: ROCK inhibitor-2

Cat. No.: GC37551

Chemical Properties

Cas. No. 1127308-52-4

SMILES O=C(N[C@H](C)C1=CC=CC(OC)=C1)C(C=C2)=CC=C2C3=CC=NC=C3

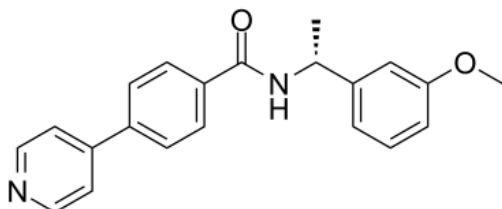
Formula $C_{21}H_{20}N_2O_2$ M.Wt 332.4

Solubility DMSO: 250 mg/mL (752.11 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 U2OS cells

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

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Preparation Method U2OS cells were seeded in 6-well plates at a density of 8.5×10^5 /well, and 1mM melatonin and 50μM ROCK inhibitor-2 were added. After 48h of culture, the cells were scratched with a pipette tip. Subsequently, they were incubated with DMEM medium containing 0.5% FBS. After repeated treatment at 0, 12, 24, and 48h, cell photos were taken using a phase contrast microscope.

Reaction Conditions 50μM; 48h

Applications ROCK inhibitor-2 treatment significantly reduced the cell migration area.

References:

[1] Zhang W, Zhao W, Li Q, et al. 3D-printing magnesium–polycaprolactone loaded with melatonin inhibits the development of osteosarcoma by regulating cell-in-cell structures[J]. Journal of Nanobiotechnology, 2021, 19: 1-20.

Background

ROCK inhibitor-2 is a selective Rho kinase (ROCK) inhibitor with IC₅₀ values of 17nM and 2nM for ROCK1 and ROCK2, respectively, and has anti-tumor activity^[1, 2]. ROCK is a serine/threonine protein kinase and a downstream target effector molecule of Rho. ROCK can regulate multiple functions such as cell contraction, migration, adhesion and proliferation^[3, 4]. ROCK inhibitor-2 belongs to the aminopyridine class of compounds and is a structural analog of Y-27632^[5]. ROCK inhibitor-2 may help improve vision loss and treat glaucoma^[6].

In vitro, treatment of human osteosarcoma cell line (U2OS cells) with ROCK inhibitor-2 (50μM) for 48h significantly inhibited cell migration and invasion and caused mitochondrial dysfunction^[2].

References:

[1]Feng Y, LoGrasso P V, Defert O, et al. Rho kinase (ROCK) inhibitors and their therapeutic

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potential[J]. Journal of medicinal chemistry, 2016, 59(6): 2269-2300.

[1] Zhang W, Zhao W, Li Q, et al. 3D-printing magnesium–polycaprolactone loaded with melatonin inhibits the development of osteosarcoma by regulating cell-in-cell structures[J]. Journal of Nanobiotechnology, 2021, 19: 1-20.

[3] Liu J, Wada Y, Katsura M, et al. Rho-associated coiled-coil kinase (ROCK) in molecular regulation of angiogenesis[J]. Theranostics, 2018, 8(21): 6053.

[4] Liao J K, Seto M, Noma K. Rho kinase (ROCK) inhibitors[J]. Journal of cardiovascular pharmacology, 2007, 50(1): 17-24.

[5] Shahin R, Shaheen O, El-Dahiyat F, et al. Research advances in kinase enzymes and inhibitors for cardiovascular disease treatment[J]. Future science OA, 2017, 3(4): FSO204.

[6] Abbhi V, Piplani P. Rho-kinase (ROCK) inhibitors-a neuroprotective therapeutic paradigm with a focus on ocular utility[J]. Current Medicinal Chemistry, 2020, 27(14): 2222-2256.

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