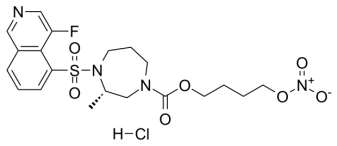
ROCK-IN-4

Cat. No.:	HY-151189
CAS No.:	2488395-07-7
Molecular Formula:	$C_{20}H_{26}ClFN_4O_7S$
Molecular Weight:	520.96
Target:	ROCK
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

ROCK-IN-4 is a potent ROCK inhibitor maintaining NO releasing ability. ROCK-IN-4 reversibly depolymerizes F-actin, and suppresses mitochondrial respiration in human trabecular meshwork (HTM) cells. ROCK-IN-4 can be used for glaucoma or ocular hypertension research[1].

In Vitro

ROCK-IN-4 (RNO-6) (10 μM; 1 h) decreases p-MLC level and induces reversible F-actin depolymerization[1].
ROCK-IN-4 (10 μM; 24 h) involves in cGMP activation, increases cGMP concentration in human trabecular meshwork (HTM) cells[1].
ROCK-IN-4 (10 μM; 1 h) suppresses mitochondrial respiration by releasing NO and remarkably decreases the basal respiration, maximal respiration, and ATP production[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Human trabecular meshwork (HTM) cells
Concentration:	10 μM
Incubation Time:	1 hour
Result:	Inhibited the phosphorylation of MLC, reduced the level of p-MLC.

Immunofluorescence[1]

Cell Line:	Human trabecular meshwork (HTM) cells
Concentration:	10 μM
Incubation Time:	1 hour
Result:	Exhibited conspicuous F-actin depolymerization, and after recovery for 4 h, recovered F-actin to the polymerization morphology, indicating a reversible depolymerization effect without permanent damage to cells.

In Vivo

ROCK-IN-4 (0.26% w/v for 10 μL; o.p. in right eye; single dose) exhibits significant IOP lowering and (0.26% w/v for 10 μL; o.p.; 10 d) exerts visual function and retinal ganglion cell (RGC) protection activities in glaucoma mouse model[1].
ROCK-IN-4 (0.579% w/v for 25 μL; o.p. in left eye; single dose) shows low hyperemic effect and eye irritation in New Zealand

White rabbits[1].

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

Animal Model:	Chronic Ocular Hypertension Mouse Model induced by Microbead[1]
Dosage:	0.26% (w/v), 10 μL
Administration:	Ophthalmic drop; singel dose; administration in right eye 7 days after modeling, and measured IOP prior to and at 1, 2, 3, 4, and 6 h after instillation
Result:	Reduced IOP (mmHg) to 3.68 ± 0.5 mmHg (19.9%) and 1.36 ± 0.6 mmHg (7.4%) at 1 and 4 h after instillation, respectively.

Animal Model:	New Zealand White rabbits[1]
Dosage:	0.579% (w/v); 25 μL
Administration:	Ophthalmic drop; singel dose; administration in left eye before and at 1, 2, and 4 h after the first instillation
Result:	Showed low side effects in conjunctival hyperemia.

REFERENCES

[1]. Yang Z, et al. Identification of Nitric Oxide-Donating Ripasudil Derivatives with Intraocular Pressure Lowering and Retinal Ganglion Cell Protection Activities. J Med Chem. 2022 Aug 25.

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