Hydroxyfasudil hydrochloride

Cat. No.: HY-13911A

CAS No.: 155558-32-0

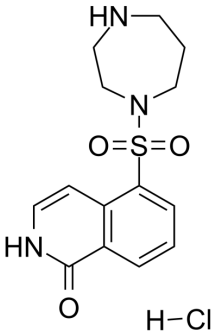
Molecular Formula: $C_{14}H_{18}ClN_3O_3S$

Molecular Weight: 343.83

Target: ROCK

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 30 mg/mL (87.25 mM; Need ultrasonic)
H_2O : 3.33 mg/mL (9.69 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9084 mL	14.5421 mL	29.0841 mL
5 mM	0.5817 mL	2.9084 mL	5.8168 mL
10 mM	0.2908 mL	1.4542 mL	2.9084 mL

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

BIOLOGICAL ACTIVITY

Description

Hydroxyfasudil hydrochloride is a ROCK inhibitor, with IC_{50}s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively.

IC_{50} & Target

ROCK2	ROCK1	PKA
0.72 μM (IC_{50})	0.73 μM (IC_{50})	37 μM (IC_{50})

In Vitro

Hydroxyfasudil hydrochloride is a ROCK inhibitor, with IC_{50}s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively. Hydroxyfasudil also less potently inhibits PKA, with an IC_{50} of 37 μM, 50-fold higher than those of the ROCKs. Hydroxyfasudil increases eNOS mRNA levels, with an EC_{50} value of 0.8 ± 0.3 μM. Hydroxyfasudil (0-100 μM) concentration-dependently increases eNOS activity and stimulates NO production in human aortic endothelial cells (HAEC). Hydroxyfasudil (10 μM) increases the half-life of eNOS mRNA from 13 to 16 hours, but does not affect eNOS promoter activity at concentrations from 0.1 to 100 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Hydroxyfasudil (10 mg/kg, i.p.) significantly increases both the average and maximal voided volumes in SD rats. Hydroxyfasudil also significantly decreases the maximal detrusor pressure[2]. Hydroxyfasudil (3 mg/kg, i.p) inhibits hypercontractility induced by norepinephrine in spontaneously hypertensive rats (SHRs). Furthermore, Hydroxyfasudil (3, 10

	mg/kg, i.p) significantly ameliorates decreased penile cGMP contents in rats[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Micturition behavior is studied after intraperitoneal injection of either Hydroxyfasudil (10 mg/kg) or a corresponding volume of saline. Each rat is placed in a metabolic cage containing a urine collection funnel that is placed over an electronic balance. The balance is connected to a personal computer via a multiport controller and used to measure the cumulative weight of the collected urine. Every 150 s during a continuous 24-h period, the computer samples and records the data for the micturition frequency and volumes. The micturition reflex parameters that are collected includ: urine volume per micturition, maximal micturition volume, micturition frequency, and total urine output in the Hydroxyfasudil- or vehicle-treated animals. Each monitoring session started at 18.00 hours. Prior to being placed in the metabolic cage at the start of each experimental period, the animals receive either a single injection of Hydroxyfasudil (10 mg/kg) dissolved in saline or an injection of saline without the inhibitor[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Nat Commun. 2021 Jul 22;12(1):4457.

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REFERENCES

[1]. Rikitake Y, et al. Inhibition of Rho kinase (ROCK) leads to increased cerebral blood flow and stroke protection. Stroke. 2005 Oct;36(10):2251-7. Epub 2005 Sep 1.

[2]. Masago T, et al. Effect of the rho-kinase inhibitor hydroxyfasudil on bladder overactivity: an experimental rat model. Int J Urol. 2009 Oct;16(10):842-7.

[3]. Saito M, et al. Hydroxyfasudil ameliorates penile dysfunction in the male spontaneously hypertensive rat. Pharmacol Res. 2012 Oct;66(4):325-31.

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