Hydroxyfasudil

Cat. No.: HY-13911

CAS No.: 105628-72-6

Molecular Formula: $C_{14}H_{17}N_3O_3S$

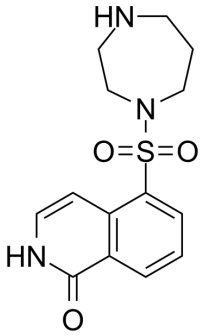
Molecular Weight: 307.37

Target: ROCK

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

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 31 mg/mL (100.86 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2534 mL	16.2670 mL	32.5341 mL
	5 mM	0.6507 mL	3.2534 mL	6.5068 mL
	10 mM	0.3253 mL	1.6267 mL	3.2534 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (1.63 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Hydroxyfasudil 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 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.
- Int Immunopharmacol. 2023 Aug 22;124(Pt A):110791.

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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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