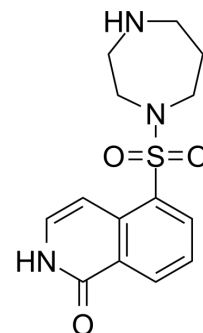


Hydroxyfasudil

Cat. No.:	HY-13911		
CAS No.:	105628-72-6		
Molecular Formula:	C ₁₄ H ₁₇ N ₃ O ₃ S		
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 Concentration	Mass		
		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

- 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
- 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
- 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 IC₅₀s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively.

IC₅₀ & Target

ROCK2 0.72 μM (IC ₅₀)	ROCK1 0.73 μM (IC ₅₀)	PKA 37 μM (IC ₅₀)
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In Vitro

Hydroxyfasudil is a ROCK inhibitor, with IC₅₀s of 0.73 and 0.72 μM for ROCK1 and ROCK2, respectively. Hydroxyfasudil also

less potently inhibits PKA, with an IC_{50} of 37 μ M, 50-fold higher than those of the ROCKs. Hydroxyfasudil increases eNOS mRNA levels, with an EC_{50} value of $0.8 \pm 0.3 \mu$ M. Hydroxyfasudil (0-100 μ M) concentration-dependently increases eNOS activity and stimulates NO production in human aortic endothelial cells (HAEC). Hydroxyfasudil (10 μ M) 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 μ M^[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 include: 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.

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

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