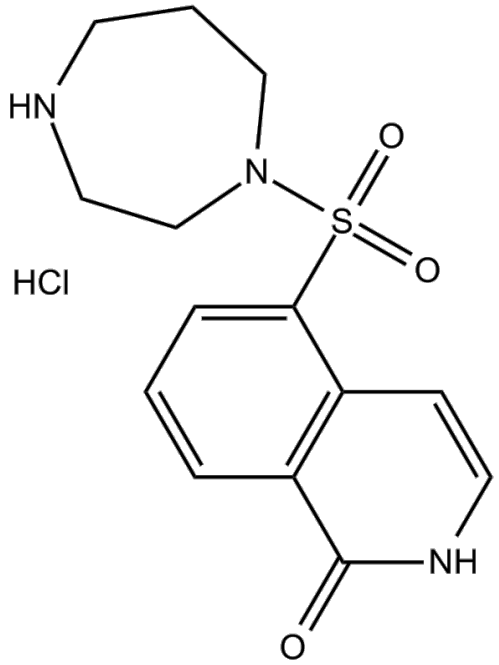
Product Data Sheet

Product Name: Hydroxyfasudil hydrochloride
Cat. No.: GC10338

Chemical Properties

Cas. No.	155558-32-0		
Chemical Name	5-(1,4-diazepan-1-ylsulfonyl)-2H-isoquinolin-1-one;hydrochloride		
SMILES	C1CNCCN(C1)S(=O)(=O)C2=CC=CC3=C2C=CNC3=O.Cl		
Formula	$C_{14}H_{18}ClN_3O_3S$	M.Wt	343.83
Solubility	≥ 7.88 mg/mL in DMSO with gentle warming, ≥ 5.04 mg/mL in Water with ultrasonic and warming	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



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Protocol

Animal experiment: 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].

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.

Background

Description: IC50 Value: 0.12 uM (ROCK1); 0.17 uM (ROCK2) [1] Hydroxyfasudil, metabolite of Fasudil, is a potent Rho-kinase inhibitor and vasodilator. in vitro: Fasudil (1-10 μM) and hydroxyfasudil (0.3-10 μM) significantly prevented endothelin-induced cardiomyocyte hypertrophy [2]. Hydroxyfasudil significantly attenuated serotonin (IC)-induced vasoconstriction of SA (-7 +/- 1% vs. 2 +/- 1%, $p < 0.01$). Coronary I/R significantly impaired coronary vasodilation to acetylcholine after I/R (SA, $p < 0.05$; and A, $p < 0.01$ vs. before I/R) and L-NMMA further reduced the vasodilation, whereas

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hydroxyfasudil completely preserved the responses. in vivo: Treatment with hydroxyfasudil significantly improved bladder intercontraction intervals. Rats treated with hydroxyfasudil also showed a significant reduction of histopathological features associated with cystitis [3]. Twelve-week-old male SHRs were treated with hydroxyfasudil (3 or 10 mg/kg, i.p.) once a day for 6 weeks. Treatment with hydroxyfasudil significantly improved the decreased penile cGMP concentrations, the increased Rho kinase activities, the increased norepinephrine-induced contractions, and the decreased acetylcholine-induced relaxation in a dose-dependent manner [4]. Toxicity: The proportion of patients with good clinical outcome was 74.5% (41/55) in the fasudil group and 61.7% (37/60) in the nimodipine group. There were no serious adverse events reported in the fasudil group [5]. Clinical trial: N/A

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