

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

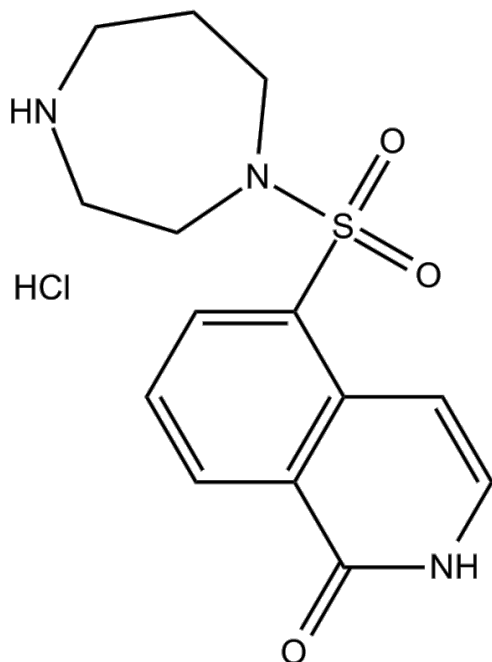
Solubility ≥ 7.88 mg/mL in DMSO with gentle warming, ≥ 5.04 mg/mL in Water with ultrasonic and warming

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



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

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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 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].

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 μ M (ROCK1); 0.17 μ M (ROCK2) [1] Hydroxyfasudil, metabolite of Fasudil, is a potent Rho-kinase inhibitor and vasodilator. *in vitro*: Fasudil (1-10 μ M) and hydroxyfasudil (0.3-10 μ M) significantly prevented endothelin-induced cardiomyocyte hypertrophy [2]. Hydroxyfasudil significantly attenuated serotonin (IC)-induced vasoconstriction of SA (-7 $\pm$ 1% vs. 2 $\pm$ 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 SHR_s 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

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