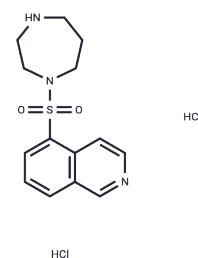


Fasudil dihydrochloride

Chemical Properties

| | |
|-------------------|--|
| CAS No. : | 203911-27-7 |
| Formula: | C ₁₄ H ₁₉ Cl ₂ N ₃ O ₂ S |
| Molecular Weight: | 364.29 |
| Storage: | Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small> |



Biological Description

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|----------------------------|--|
| Description | Fasudil dihydrochloride, also known as HA-1077 and AT877, is a nonspecific inhibitor of RhoA/ROCK. Fasudil dihydrochloride exhibits inhibitory effects on protein kinases including ROCK1 (K _i = 0.33 μM), ROCK2 (IC ₅₀ = 0.158 μM), PKA (IC ₅₀ = 4.58 μM), PKC (IC ₅₀ = 12.30 μM), and PKG (IC ₅₀ = 1.650 μM), while also acting as a potent Ca ²⁺ channel blocker and vasodilator, providing a multifunctional pharmacological tool for vascular, cardiovascular, and cellular signaling research. |
| Targets(IC ₅₀) | Rho,PKA,PKC,ROCK |
| In vitro | Fasudil dihydrochloride (100 μM) can inhibit cell spreading, stress fiber formation, and α-SMA expression in rat hepatic stellate cells (HSCs) and human HSC-derived TWNT-4 cells, while also significantly suppressing the proliferation of these two cell types [4]. Fasudil dihydrochloride (50-100 μM) can inhibit lysophosphatidic acid (LPA)-induced phosphorylation levels of ERK1/2, JNK, and p38 in rat hepatic stellate cells and human HSC-derived TWNT-4 cells [4]. Fasudil dihydrochloride (25-100 μM, 24 h) can suppress the transcription of collagen and tissue inhibitor of metalloproteinases (TIMP), and simultaneously promote the transcription of matrix metalloproteinase-1 (MMP-1) in human HSC-derived TWNT-4 cells [4]. |
| In vivo | Fasudil dihydrochloride (10 mg/kg, i.v., administered 1 hour before surgery) exhibits protective effects on the cardiovascular system under ischemic injury conditions, reduces the activation level of JNK, and attenuates the mitochondrial-nuclear translocation of AIF [5]. Fasudil dihydrochloride (50 mg/kg/day, i.p.) can suppress acute and recurrent EAE induced by proteolipid protein PLP p139-151, inhibit lymphocyte proliferation, downregulate the expression of IL-17, and significantly reduce the IFN-γ/IL-4 ratio [6]. Fasudil dihydrochloride (100 mg/kg/day, p.o.) significantly decreases the incidence and pathological scores of EAE in SJL/J mice, while alleviating inflammatory responses, demyelination, axonal loss in spinal cord tissues, and reducing the accumulation of APP-positive signals in the spinal cord [6]. |

Solubility Information

A DRUG SCREENING EXPERT

| | |
|------------|---|
| Solubility | H2O: \geq 80 mg/mL, Sonication is recommended. DMSO: 16 mg/mL (43.92 mM), Sonication is recommended. ($<$ 1 mg/ml refers to the product slightly soluble or insoluble) |
|------------|---|

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|-------|-----------|------------|------------|
| 1 mM | 2.7451 mL | 13.7253 mL | 27.4507 mL |
| 5 mM | 0.549 mL | 2.7451 mL | 5.4901 mL |
| 10 mM | 0.2745 mL | 1.3725 mL | 2.7451 mL |
| 50 mM | 0.0549 mL | 0.2745 mL | 0.549 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Chen M, et al. Fasudil and its analogs: a new powerful weapon in the long war against central nervous system disorders? *Expert Opin Investig Drugs*. 2013 Apr;22(4):537-50.
- Huang XN, et al. The effects of fasudil on the permeability of the rat blood-brain barrier and blood-spinal cord barrier following experimental autoimmune encephalomyelitis. *J Neuroimmunol*. 2011 Oct 28;239(1-2):61-7.
- Uehata M, et al. Calcium sensitization of smooth muscle mediated by a Rho-associated protein kinase in hypertension. *Nature*. 1997 Oct 30;389(6654):990-4.
- Fukushima M, et al. Fasudil hydrochloride hydrate, a Rho-kinase (ROCK) inhibitor, suppresses collagen production and enhances collagenase activity in hepatic stellate cells. *Liver Int*. 2005 Aug;25(4):829-38.
- Zhang J, et al. Inhibition of the activity of Rho-kinase reduces cardiomyocyte apoptosis in heart ischemia/reperfusion via suppressing JNK-mediated AIF translocation. *Clin Chim Acta*. 2009 Mar;401(1-2):76-80.
- Sun X, et al. The selective Rho-kinase inhibitor Fasudil is protective and therapeutic in experimental autoimmune encephalomyelitis. *J Neuroimmunol*. 2006 Nov;180(1-2):126-34. Epub 2006 Sep 22.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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