

Fasudil hydrochloride

Chemical Properties

CAS No. : 105628-07-7

Formula: C₁₄H₁₈ClN₃O₂S

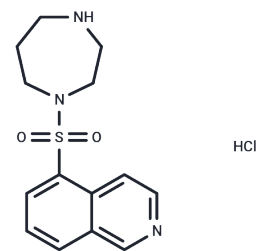
Molecular Weight: 327.83

Storage:

Keep away from direct sunlight, Keep away from moisture, Store at low temperature

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Fasudil hydrochloride (HA-1077) is a potent inhibitor of ROCK1, PKA, PKC, and MLCK with Ki of 0.33 μ M, 1.0 μ M, 9.3 μ M and 55 μ M, respectively.
Targets(IC50)	Calcium Channel, HIV Protease, Autophagy, PKA, PKC, ROCK, Serine/threonin kinase
In vitro	Intravenous injections of Fasudil at doses of 0.01, 0.03, 0.1, and 0.3 mg/kg decreased mean blood pressure (MBP) and increased heart rate (HR), vertebral blood flow (VBF), cerebral blood flow (CBF), renal blood flow (RBF), and femoral blood flow (FBF) in a dose-dependent manner. A total Fasudil dose of 1.0 ng/mL enhanced cardiac output. Intracoronary injections of 30 μ g Fasudil in experimental dogs resulted in approximately a 50% increase in CBF. Oral administration of Fasudil (dose of 100 mg/kg/day) significantly lowered the incidence and average maximum clinical score of experimental autoimmune encephalomyelitis (EAE) in SJL/J mice immunized with PLP p139-151. Fasudil inhibited the proliferation response of mouse spleen cells to antigens, demonstrating cardiovascular protective effects by reducing the activation of JNK and mitigating the mitochondrial translocation of apoptosis-inducing factor (AIF) in ischemic injury.
In vivo	Fasudil induces the disassembly of actomyosin fibers and inhibits cell migration, competitively suppressing Ca ²⁺ -induced depolarization and constriction of the rabbit aorta. It blocks contraction responses to KCl, serum phenylalanine, and prostaglandin F ₂ α , and inhibits the proliferation of hepatic stellate cells, formation of stress fibers, and expression of α -SMA, while also repressing cell growth without inducing apoptosis. Fasudil curtails the phosphorylation of ERK1/2, JNK, and p38 MAPK induced by LPA. Additionally, it exerts vasodilatory effects by inhibiting the contraction induced by serotonin, noradrenaline, histamine, angiotensin, and dopamine.
Kinase Assay	Cyclic AMP-dependent protein kinase activity is assayed in a reaction mixture containing, in a final volume of 0.2 mL, 50 mM Tris-HCl (pH 7.0), 10 mM magnesium acetate, 2 mM EGTA, 1 μ M cyclic AMP or absence of cyclic AMP, 3.3 to 20 μ M [³² P] ATP (4 \times 10 ⁵ c.p.m.), 0.5 μ g of the enzyme, 100 μ g of histone H2B and compound. The mixture is incubated at 30°C for 5 min. The reaction is terminated by adding 1 mL of ice-cold 20% trichloroacetic acid after adding 500 μ g of bovine serum albumin as a carrier protein. The sample is centrifuged at 3000 r.p.m. for 15 min, the pellet is resuspended in ice-cold

A DRUG SCREENING EXPERT

Kinase Assay	10% trichloro-acetic acid solution and the centrifugation-resuspension cycle is repeated three times. The final pellet is dissolved in 1 mL of 1 N NaOH and radioactivity is measured with a liquid scintillation counter.
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Solubility Information

Solubility	H2O: 32.8 mg/mL (100.05 mM),Sonication is recommended. DMSO: 82 mg/mL (250.13 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (10.07 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0504 mL	15.2518 mL	30.5036 mL
5 mM	0.6101 mL	3.0504 mL	6.1007 mL
10 mM	0.305 mL	1.5252 mL	3.0504 mL
50 mM	0.061 mL	0.305 mL	0.6101 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

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