

# Fasudil

**Catalog No: tcsc2833**



## Available Sizes

**Size:** 100mg

**Size:** 200mg

**Size:** 500mg



## Specifications

**CAS No:**

103745-39-7

**Formula:**

$C_{14}H_{17}N_3O_2S$

**Pathway:**

Stem Cell/Wnt;Protein Tyrosine Kinase/RTK;TGF-beta/Smad;Stem Cell/Wnt;Cell Cycle/DNA Damage;Autophagy

**Target:**

PKA;PKA;ROCK;ROCK;ROCK;Autophagy

**Purity / Grade:**

>98%

**Solubility:**

10 mM in DMSO

**Alternative Names:**

HA-1077;AT877

**Observed Molecular Weight:**

291.37

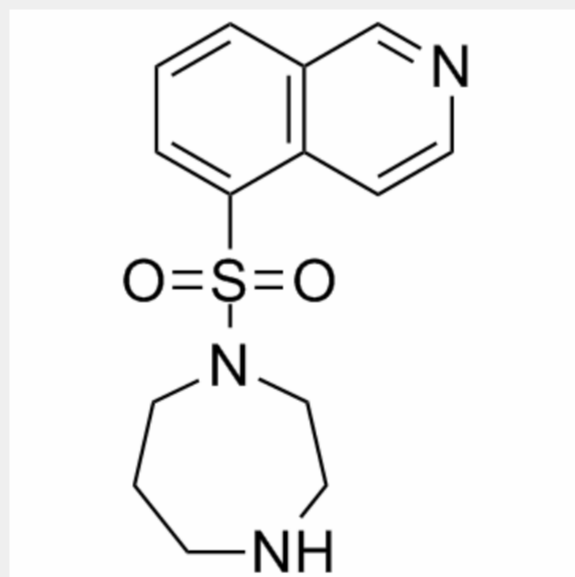
## Product Description

Fasudil is a potent inhibitor of **ROCK1**, **PKA**, **PKC**, and **MLCK** with  $K_i$  of 0.33  $\mu$ M, 1.0  $\mu$ M, 9.3  $\mu$ M and 55  $\mu$ M, respectively.

IC50 & Target:  $K_i$ : 0.33  $\mu$ M (ROCK1), 1.0  $\mu$ M (PKA), 9.3  $\mu$ M (PKC), 55  $\mu$ M (MLCK)<sup>[8]</sup>

**In Vitro:** Fasudil has vasodilatory action and occupies the adenine pocket of the ATP-binding site of the enzyme<sup>[1]</sup>. Fasudil produces a competitive inhibition of the  $Ca^{2+}$ -induced contraction of the depolarized rabbit aorta. Fasudil inhibits contractile responses to KCl, phenylephrine (PHE) and prostaglandin (PG) F2a<sup>[2]</sup>. Fasudil also exhibits vasodilator actions by inhibition of 5-hydroxytryptamine, noradrenaline, histamine, angiotensin, and dopamine induced spiral strips contraction<sup>[3]</sup>. In addition, Fasudil induces disorganization of actin stress fiber and cell migration inhibition<sup>[4]</sup>. Fasudil inhibits hepatic stellate cells spreading, the formation of stress fibers, and expression of  $\alpha$ -SMA with concomitant suppression of cell growth, but does not induce apoptosis. Fasudil also blocks the LPA-induced phosphorylation of ERK1/2, JNK and p38 MAPK<sup>[5]</sup>.

**In Vivo:** Fasudil (30  $\mu$ g) increases CBF by 50% via intra-coronary injection to dogs. Fasudil (0.01, 0.03, 0.1 and 0.3 mg/kg, bolus, i.v.) decreases MBP and increases HR, VBF, CBF, RBF, and FBF. Fasudil (1.0 ng/mL) increases cardiac output. Fasudil via i.v. produces a significant fall in MBP, left ventricular systolic pressure and total peripheral resistance with an increase in HR and cardiac output, but without obvious effect on right atrial pressure, dP/dt or left ventricular minute work in dogs<sup>[3]</sup>. Fasudil exhibits protectable effects on cardiovascular disease and reduces the activation of JNK and attenuates mitochondrial-nuclear translocation of AIF under ischemic injury<sup>[6]</sup>. Fasudil (100 mg/kg/day, p.o.) significantly reduces incidence and mean maximum clinical score of EAE in SJL/J mice immunized with PLP p139-151. Fasudil inhibits the proliferative response of splenocytes to the antigen in mice. Fasudil decreases inflammation, demyelination, axonal loss and APP positive in spinal cord of Fasudil-treated mice via p.o. administration<sup>[7]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!