Small Molecules

Fasudil (Dihydrochloride)

RHO/ROCK pathway inhibitor; Inhibits ROCK2

Catalog #	73662	10 mg
	73664	100 mg

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TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
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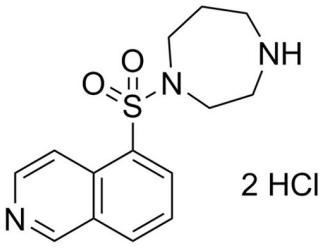
Product Description

Fasudil (also known as HA-1077) is a potent inhibitor of Rho-dependent protein kinase 2 (ROCK2; IC_{50} = 1.9 µM). Additionally, it inhibits protein kinase C-related kinase 2 (PRK2), mitogen- and stress-activated protein kinase (MSK1), and mitogen-activated protein kinase-activated protein kinase 1b (MAPKAP-K1b) with IC_{50} values of 4, 5, and 15 µM, respectively (Davies et al.). This product is supplied as the dihydrochloride salt of the molecule.

Molecular Name:	Fasudil (Dihydrochloride)
Alternative Names:	HA-1077
CAS Number:	203911-27-7
Chemical Formula:	$C_{14}H_{17}N_3O_2S \bullet 2HCl$
Molecular Weight:	364.3 g/mol
Purity:	≥ 98%
Chemical Name:	hexahydro-1-(5-isoquinolinylsulfonyl)-1H-1,4-diazepine, dihydrochloride
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage store with a desiccant. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· PBS (pH 7.2) ≤ 13 mM · DMSO ≤ 5.5 mM For example, to prepare a 5 mM stock solution in PBS, resuspend 10 mg in 5.49 mL of PBS. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

DIFFERENTIATION
· Suppresses proliferation and collagen production but also increases collagenase activity of hepatic stellate cells (Fukushima et al.).
· Inhibits endothelial cell migration, viability, and tube formation in vitro in HUVECs (Yin et al.).
· Improves adipocyte differentiation, preventing development of diabetes and nephropathy in insulin-resistant diabetic rats (Kikuchi et al.).
DISEASE MODELING
· Reduces pulmonary arterial hypertension in rats (Oka et al.).
· Enhances neurological recovery after traumatic spinal cord injury (Hara et al.).
· Inhibits corneal neovascularization after alkali burns and promotes the healing of corneal epithelial defects in mice (Zeng et al.).

References

Davies SP et al. (2000) Specificity and mechanism of action of some commonly used protein kinase inhibitors. Biochem J 351(Pt 1): 95–105.
Fukushima M et al. (2005) Fasudil hydrochloride hydrate, a Rho-kinase (ROCK) inhibitor, suppresses collagen production and enhances collagenase activity in hepatic stellate cells. Liver Int 25(4): 829–38.
Hara M et al. (2000) Protein kinase inhibition by fasudil hydrochloride promotes neurological recovery after spinal cord injury in rats. J Neurosurg 93(1 Suppl): 94–101.
Kikuchi Y et al. (2007) A Rho-kinase inhibitor, fasudil, prevents development of diabetes and nephropathy in insulin-resistant diabetic rats. J Endocrinol 192(3): 595–603.
Oka M et al. (2007) Rho kinase-mediated vasoconstriction is important in severe occlusive pulmonary arterial hypertension in rats. Circ Res 100(6): 923–9.
Yin L et al. (2007) Fasudil inhibits vascular endothelial growth factor-induced angiogenesis in vitro and in vivo. Mol Cancer Ther 6(5): 1517–25.
Zeng P et al. (2015) Fasudil hydrochloride, a potent ROCK inhibitor, inhibits corneal neovascularization after alkali burns in mice. Mol Vis 21: 688–98.

Related Small Molecules

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