Small Molecules

Fasudil

RHO/ROCK pathway inhibitor; Inhibits ROCK2

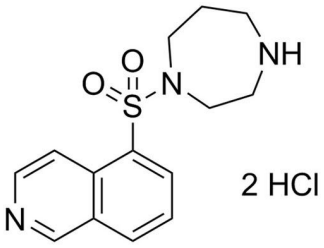
Catalog # 73662 10 mg
73664 100 mg

STEMCELL™ TECHNOLOGIES
Scientists Helping Scientists™ | WWW.STEMCELL.COM
TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT OUR WEBSITE

Product Description

Fasudil (also known as HA-1077) is a potent inhibitor of Rho-associated coiled-coil containing 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:	$C_{14}H_{17}N_3O_2S \cdot 2HCl$
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. Stable as supplied for 12 months from date of receipt.
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 human umbilical vein endothelial cells (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

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.

STEMCELL TECHNOLOGIES INC.'S QUALITY MANAGEMENT SYSTEM IS CERTIFIED TO ISO 13485. PRODUCTS ARE FOR RESEARCH USE ONLY AND NOT INTENDED FOR HUMAN OR ANIMAL DIAGNOSTIC OR THERAPEUTIC USES UNLESS OTHERWISE STATED.

Copyright © 2017 by STEMCELL Technologies Inc. All rights reserved including graphics and images. STEMCELL Technologies & Design, STEMCELL Shield Design, and Scientists Helping Scientists are trademarks of STEMCELL Technologies Canada Inc. All other trademarks are the property of their respective holders. While STEMCELL has made all reasonable efforts to ensure that the information provided by STEMCELL and its suppliers is correct, it makes no warranties or representations as to the accuracy or completeness of such information.