Small Molecules

Rho Kinase Inhibitor IV

RHO/ROCK pathway inhibitor; Inhibits ROCK2

Catalog #	73802	500 µg
	73804	1 mg

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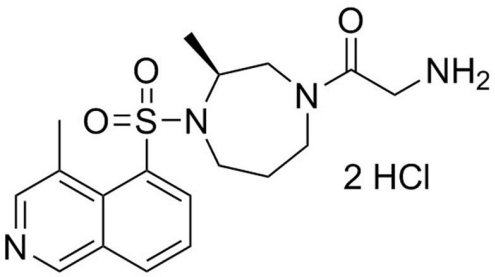
Product Description

Rho Kinase Inhibitor IV is a selective and potent inhibitor of Rho-associated coiled-coil containing protein kinase 2 (ROCK2; IC_{50} = 11.8 nM; Tamura et al.). It is a glycyl analog of Fasudil (Catalog #73662) with increased specificity for ROCK2 (Tamura et al.). Rho Kinase Inhibitor IV is more potent than other ROCK inhibitors, including Y-27632 (Ki = 220 nM; Catalog #72302) and Fasudil (IC_{50} = 158 nM). It shows good specificity for ROCK2 compared to other kinases such as calcium/calmodulin-dependent kinase type II (IC_{50} = 2.57 µM), PKG (IC_{50} = 2.35 µM), Aurora A (IC_{50} = 3.26 µM), or PKA or PKC (IC_{50} ≥ 10 µM each). ROCK1 and ROCK2 act downstream of the G protein Rho to regulate actin-myosin turnover and dynamics, and play an important role in stem cell renewal, smooth muscle contraction, cell adhesion, and proliferation (Narumiya et al.; Olson; Watanabe et al.). This product is supplied as the dihydrochloride salt of the molecule.

Molecular Name:	Rho Kinase Inhibitor IV (Dihydrochloride)
Alternative Names:	(S)-Glycyl-H-1152
CAS Number:	67-56-1
Chemical Formula:	$C_{18}H_{24}N_4O_3S \cdot 2HCl$
Molecular Weight:	449.4 g/mol
Purity:	≥ 98%
Chemical Name:	2-amino-1-[(3S)-hexahydro-3-methyl-4-[(4-methyl-5-isoquinolinyl)sulfonyl]-1H-1,4-diazepin-1-yl]-ethanone, dihydrochloride
Structure:	

Properties

Physical Appearance:	A solution in methanol
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	Not applicable.

Published Applications

DIFFERENTIATION
· Promotes neurite growth in primary rat neuronal cultures (Al-Ali et al.).
· Impairs primitive gut tube development including midgut elongation in Xenopus embryos (Reed et al.).
DISEASE MODELING
· Reduces intraocular pressure in a rabbit model of glaucoma (Tamura et al.).

References

Al-Ali H et al. (2013) Chemical interrogation of the neuronal kinome using a primary cell-based screening assay. ACS Chem Biol 8(5): 1027–36.
Narumiya S et al. (2009) Rho signaling, ROCK and mDia1, in transformation, metastasis and invasion. Cancer Metastasis Rev 28(1-2): 65–76.
Olson MF. (2008) Applications for ROCK kinase inhibition. Curr Opin Cell Biol 20(2): 242–8.
Reed RA et al. (2009) Morphogenesis of the primitive gut tube is generated by Rho/ROCK/myosin II-mediated endoderm rearrangements. Dev Dyn 238(12): 3111–25.
Tamura M et al. (2005) Development of specific Rho-kinase inhibitors and their clinical application. Biochim Biophys Acta 1754(1-2): 245–52.
Watanabe K et al. (2007) A ROCK inhibitor permits survival of dissociated human embryonic stem cells. Nat Biotechnol 25(6): 681–6.

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).