

Small Molecules

Rho Kinase Inhibitor IV (Dihydrochloride)



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Catalog # 73802
73804

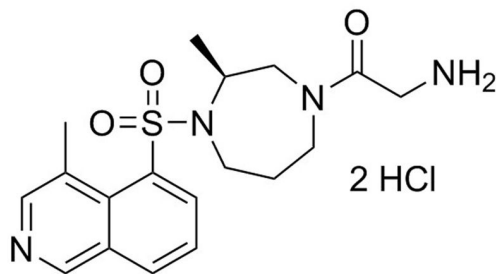
500 µg
1 mg

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 glycyI 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 (Dihydrochloride; $K_i = 220$ 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 ($IC_{50} \geq 10$ 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 a 5 mg/mL solution in methanol.

Alternative Names:	(S)-Glycyl-H-1152
CAS Number:	913844-45-8
Chemical Formula:	$C_{18}H_{24}N_4O_3S \cdot 2HCl$
Molecular Weight:	449.4 g/mol
Purity:	$\geq 98\%$
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 $-20^{\circ}C$ 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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