

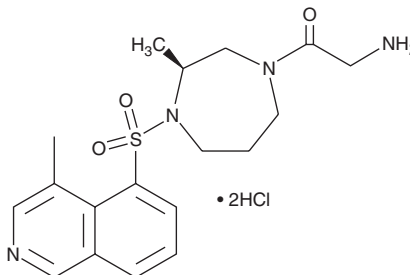
Product Information



(S)-Glycyl-H-1152 (hydrochloride)

Item No. 13332

CAS Registry No.: 913844-45-8
Formal Name: 2-amino-1-[(3S)-hexahydro-3-methyl-4-[(4-methyl-5-isoquinolyl)sulfonyl]-1H-1,4-diazepin-1-yl]-ethanone, dihydrochloride
Synonym: Rho Kinase Inhibitor IV
MF: C₁₈H₂₄N₄O₃S • 2HCl
FW: 449.4
Purity: ≥98%
Stability: ≥2 years at -20°C
Supplied as: A solution in methanol
UV/Vis.: λ_{max}: 216, 280, 328 nm



Laboratory Procedures

For long term storage, we suggest that (S)-glycyl-H-1152 (hydrochloride) be stored as supplied at -20°C. It should be stable for at least two years.

(S)-Glycyl-H-1152 (hydrochloride) is supplied as a solution in methanol. To change the solvent, simply evaporate the methanol under a gentle stream of nitrogen and immediately add the solvent of choice. Solvents such as DMSO and dimethyl formamide (DMF) purged with an inert gas can be used. The solubility of (S)-glycyl-H-1152 (hydrochloride) in DMSO is approximately 10 mg/ml and approximately 5 mg/ml in DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. If an organic solvent-free solution of (S)-glycyl-H-1152 (hydrochloride) is needed, it can be prepared by evaporating the methanol and directly dissolving the neat oil in aqueous buffers. The solubility of (S)-glycyl-H-1152 (hydrochloride) in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Two Rho kinases (ROCK), ROCK-I (p160ROCK) and ROCK-II, act downstream of the G protein Rho to regulate cytoskeletal stability. The Rho kinases play important roles in diverse cellular functions including cell adhesion and proliferation, smooth muscle contraction, and stem cell renewal.¹⁻³ Glycyl-H-1152 is a selective and potent ROCK inhibitor (IC₅₀ = 11.8 nM for ROCK-II).⁴ It is a glycylylated isoquinoline compound derived from the therapeutically-important ROCK inhibitor HA-1077 (Fasudil) and exhibits better specificity. Thus, it poorly inhibits calcium/calmodulin kinase II, protein kinase (PK) G, and Aurora A (IC₅₀ = 2.57, 2.35, and 3.26 μM, respectively) as well as PKA or PKC (IC₅₀ >10 μM for each).⁴ The potency of Glycyl-H-1152 is superior to that of other ROCK inhibitors, including Y-27632 (K_i = 220 nM) and HA-1077 (IC₅₀ = 158 nM).^{4,5}

References

1. Olson, M.F. *Curr. Opin. Cell Biol.* **20**, 242-248 (2008).
2. Narumiya, S., Tanji, M., and Ishizaki, T. *Cancer Metastasis Rev.* **28**, 65-76 (2009).
3. Watanabe, K., Ueno, M., Kamiya, D., et al. *Nature Biotechnology* **25**(6), 681-686 (2007).
4. Tamura, M., Nakao, H., Yoshizaki, H., et al. *Biochim. Biophys. Acta* **1754**, 245-252 (2005).
5. Ishizaki, T., Uehata, M., Tamechika, I., et al. *Mol. Pharmacol.* **57**, 976-983 (2000).

Related Products

CAY10622 - Item No. 13687 • Y-27632 (hydrochloride) - Item No. 10005583 • (S)-H-1152 (hydrochloride) - Item No. 10007653 • HA-1077 (hydrochloride) - Item No. 10010559

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY; NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent via email to your institution.

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