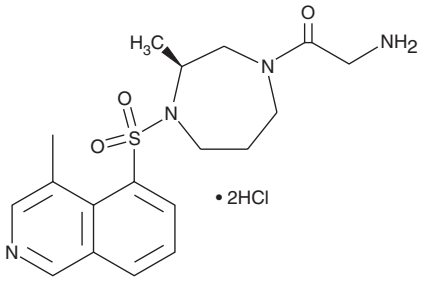
PRODUCT INFORMATION

(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-isoquinolinyl)sulfonyl]-1H-1,4-diazepin-1-yl]-ethanone, dihydrochloride
Synonym: Rho Kinase Inhibitor IV
MF: $C_{18}H_{24}N_4O_3S \bullet 2HCl$
FW: 449.4
Purity: ≥98%
UV/Vis.: λ_{max}: 216, 280, 328 nm
Supplied as: A solution in methanol
Storage: -20°C
Stability: ≥XX years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

(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, which should be purged with an inert gas. Solvents such as DMSO and dimethyl formamide (DMF) 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.

Description

Two Rho-associated kinases (ROCK), ROCK1 and ROCK2, act downstream of the G protein Rho to regulate cytoskeletal stability. The ROCKs 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 (IC_{50} = 11.8 nM for ROCK2).[4] It is a glycylated isoquinoline compound derived from the therapeutically-important ROCK inhibitor HA-1077 (fasudil) and exhibits better specificity. Thus, it poorly inhibits Ca^{2+}/calmodulin-dependent kinase type II, protein kinase (PK) G, and Aurora A (IC_{50} = 2.57, 2.35, and 3.26 µM, respectively) as well as PKA or PKC (IC_{50} ≥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 (IC_{50} = 158 nM).[4,5]

References

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

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM