

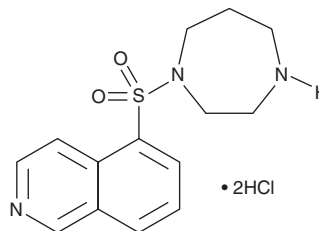
PRODUCT INFORMATION



HA-1077 (hydrochloride)

Item No. 10010559

CAS Registry No.: 203911-27-7
Formal Name: hexahydro-1-(5-isoquinolinylsulfonyl)-1H-1,4-diazepine, dihydrochloride
Synonym: Fasudil
MF: C₁₄H₁₇N₃O₂S • 2HCl
FW: 364.3
Purity: ≥98%
UV/Vis.: λ_{max}: 217 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

HA-1077 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the HA-1077 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. HA-1077 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of HA-1077 (hydrochloride) in these solvents is approximately 2 mg/ml.

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. Organic solvent-free aqueous solutions of HA-1077 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of HA-1077 (hydrochloride) in PBS (pH 7.2) is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Rho-associated kinase (ROCK), an effector of the small GTP-binding protein Rho, plays an important role in various cellular functions including vascular smooth muscle contraction, proliferation, and migration as well as inflammatory cell mobility.¹ HA-1077 is a potent inhibitor of ROCK2 and additionally 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 IC₅₀ values of 1.9, 4, 5, and 15 μM, respectively.² By inhibiting the activity of ROCK, HA-1077 has been shown to reduce blood vessel constriction, decrease pulmonary arterial pressure, inhibit tumor angiogenesis, and improve insulin signaling in various rodent models.³⁻⁵ While originally marketed for the prevention of cerebral vasospasm in patients with subarachnoid hemorrhage, oral formulations of HA-1077 are used for the treatment of a wide range of cardiovascular diseases including pulmonary arterial hypertension and stable angina.

References

1. Tawara, S. and Shimokawa, H. *Yakugaku Zasshi* **127**(3), 501-514 (2007).
2. Davies, S.P., Reddy, H., Caivano, M., et al. *Biochem. J.* **351**(1), 95-105 (2000).
3. Oka, M., Homma, N., Taraseviciene-Stewart, L., et al. *Circ. Res.* **100**(6), 923-929 (2007).
4. Yin, L., Morishige, K., Takahashi, T., et al. *Mol. Cancer Ther.* **6**(5), 1517-1525 (2007).
5. Kikuchi, Y., Yamada, M., Imakiire, T., et al. *J. Endocrinol.* **192**(3), 595-603 (2007).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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