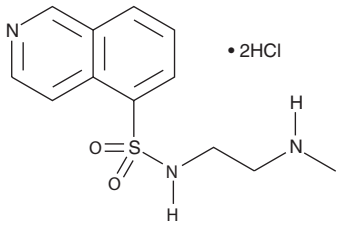
PRODUCT INFORMATION

H-8 (hydrochloride)

Item No. 10010249

CAS Registry No.: 113276-94-1
Formal Name: N-[2-(methylamino)ethyl]-5-isoquinolinesulfonamide, dihydrochloride
Synonym: Proteins Kinase Inhibitor H-8
MF: $C_{12}H_{15}N_3O_2S \bullet 2HCl$
FW: 338.3
Purity: ≥98%
UV/Vis.: λ_{max}: 217, 276, 324 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

H-8 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the H-8 (hydrochloride) in the solvent of choice, which should be purged with an inert gas. H-8 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of H-8 (hydrochloride) in these solvents is approximately 20 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 H-8 (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of H-8 (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

The H series isoquinolinesulfonamide protein kinase (PK) inhibitors are widely used to block signaling pathways to elucidate mechanisms of cellular regulation and signal transduction. H-8, an isoquinolinesulfonamide PK inhibitor, is a potent inhibitor of PKA and PKG and shows moderate inhibition for PKC and MLCK with K_i values of 1.2, 0.48, 15, and 68 µM, respectively.[1-3] H-8 can disrupt transcriptional elongation by inhibiting cyclin C/Cdk8 and cyclin H/Cdk7/p36 CTD kinase activity with IC_{50} values of 47 and 6.2 µM, respectively.[4]

References

1. Engh, R.A., Girod, A., Kinzel, V., *et al.* Crystal structures of catalytic subunit of cAMP-dependent protein kinase in complex with isoquinolinesulfonyl protein kinase inhibitors H7, H8, and H89 structural implications for selectivity. *J. Biol. Chem.* **271(42)**, 26157-26164 (1996).
2. Hidaka, H., Inagaki, M., Kawamoto, S., *et al.* Isoquinolinesulfonamides, novel and potent inhibitors of cyclic nucleotide dependent protein kinase and protein kinase C. *Biochemistry* **23**, 5036-5041 (1984).
3. Hagiwara, M., Inagaki, M., and Hidaka, H. Specific binding of a novel compound, N-[2-(methylamino) ethyl]-5-isoquinolinesulfonamide (H-8) to the active site of cAMP-dependent protein kinase. *Mol. Pharmacol.* **31**, 523-528 (1987).
4. Rickert, P., Corden, J.L., and Lees, E. Cyclin C/CDK8 and cyclin H/CDK7/p36 are biochemically distinct CTD kinases. *Oncogene* **18**, 1093-1102 (1999).

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