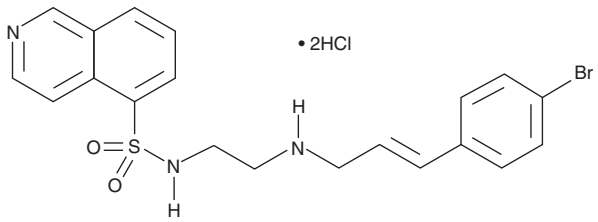
PRODUCT INFORMATION

H-89 (hydrochloride)

Item No. 10010556

CAS Registry No.:	130964-39-5
Formal Name:	N-[2-[[3-(4-bromophenyl)-2-propen-1-yl]amino]ethyl]5-isoquinolinesulfonamide, dihydrochloride
Synonyms:	5-Isoquinolinesulfonamide, Protein Kinase Inhibitor H-89
MF:	$C_{20}H_{20}BrN_3O_2S \bullet 2HCl$
FW:	519.3
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 260 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-89 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the H-89 (hydrochloride) in an organic solvent purged with an inert gas. H-89 (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of H-89 (hydrochloride) in i these solvents is approximately 0.15, 25, and 30 mg/ml, respectively.

H-89 (hydrochloride) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, H-89 (hydrochloride) should first be dissolved in DMF and then diluted with the aqueous buffer of choice. H-89 (hydrochloride) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Protein kinase A (PKA) regulates multiple signal transduction events *via* protein phosphorylation and is integral to all cellular responses involving the cyclic AMP second messenger system. H-89 is a potent, cell permeable inhibitor of PKA that demonstrates an IC_{50} value of 0.14 µM and a K_i value of 48 nM in standard kinase assays.[1,2] While widely used to disrupt PKA signaling, the inhibitory activity of H-89 is non-selective. H-89 also inhibits S6K1, MSK1, ROCK2, PKBa, and MAPKAP-K1b with IC_{50} values of 0.08, 0.12, 0.27, 2.6, and 2.8 µM, respectively.[1]

References

1. Davis, S.P., Reddy, H., Caivano, M., *et al.* Specificity and mechanism of action of some commonly used protein kinase inhibitors. *Biochem J.* **351**, 95-105 (2000).
2. 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).

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