Product Data Sheet

Chemical Properties

Product Name: H 89 2HCl
Cas No.: 130964-39-5
M.Wt: 519.28
Formula: C20H20BrN3O2S.2HCl
Synonyms: N/A

Chemical Name: (E)-N-(2-((3-(4-bromophenyl)allyl)amino)ethyl)isoquinoline-5-sulfonamide dihydrochloride

Canonical SMILES: O=S(C1=CC=CC2=C1C=CN=C2)(NCCNC/C=C/C3=CC=C(Br)C=C3)=O.Cl.Cl

Solubility: ≥51.9mg/mL in DMSO

Storage: Store at -20°C

General tips: For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shopping Condition: Evaluation sample solution: ship with blue ice
All other available size: ship with RT, or blue ice upon request

Biological Activity

Targets: MAPK Signaling
Pathways: PKA

Description:
H 89 2HCl is a potent PKA inhibitor. In a cell-free assay, the Ki of H 89 is 48 nM, 10-fold selective for PKA than PKG and 500-fold greater selectivity than PKC, MLCK, calmodulin kinase II and casein kinase I/II [1].
In vitro: In PC12D cells, pretreatment with H-89 dose-dependently inhibited the forskolin-induced
protein phosphorylation, with no influence in intracellular cyclic AMP levels. In PC12D cells, H-89 significantly inhibited the forskolin-induced neurite outgrowth. In PC12D cells, pretreatment with H-89 (30 μM) strikingly inhibited cAMP-dependent histone IIb phosphorylation activity in cell lysates while showed no effects on other protein phosphorylation activity such as cGMP-dependent histone IIb phosphorylation activity [1]. H 89 was a potent and selective PKA inhibitor with Ki of 48 nM in a cell-free assay [2]. H89 also inhibited S6K1, MSK1, PKA, ROCKII, PKBα and MAPKAP-K1b kinases with IC50 of 80, 120, 135, 270, 2600 and 2800 nM, respectively [2]. In the hypotonic medium, 50 μM H89, a concentration commonly used to inhibit PKA, prevented the redistribution response. In normal medium, H89 (50 Mm) induced the redistribution of ERGIC 53 to the ER by 20 min [3].

Reference:

Protocol

Cell experiment:

Cell lines PC12D cells
Preparation method The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37°C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions
Applications H-89 (30 μM) significantly inhibited cAMP-dependent histone IIb phosphorylation activity and suppressed Forskolin-induced neurite outgrowth in PC12D cells.

Animal experiment [3]:

Animal models Rats model
Dosage form 20 or 200 mg/kg; s.c. twice daily for 2 days;
Applications

H89 caused distinct modifications of protein phosphorylation, with the most robust changes in phosphorylation were heterogeneous nuclear ribonucleoprotein (hnRNP), fructose-1,6-biphosphatase, NSFL1 cofactor p47, all which had potentially regulatory connections to cAMP/PKA.

Other notes

Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Reference:


Product Citations


Caution

FOR RESEARCH PURPOSES ONLY.
NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most ApexBio products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage
recommendations on the product data sheet.