A-3 hydrochloride

Cat. No.: HY-125957

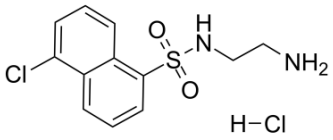
CAS No.: 78957-85-4

Molecular Formula: $C_{12}H_{14}Cl_2N_2O_2S$

Molecular Weight: 321.22

Target: PKA; Casein Kinase; CaMK; PKC

Pathway: Protein Tyrosine Kinase/RTK; Stem Cell/Wnt; Cell Cycle/DNA Damage; Neuronal Signaling; Epigenetics; TGF-beta/Smad



Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (389.14 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1131 mL	15.5657 mL	31.1313 mL
5 mM	0.6226 mL	3.1131 mL	6.2263 mL
10 mM	0.3113 mL	1.5566 mL	3.1131 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (6.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

A-3 hydrochloride is a potent, cell-permeable, reversible, ATP-competitive non-selective antagonist of various kinases. It against PKA (K_i=4.3 μM), casein kinase II (K_i=5.1 μM) and myosin light chain kinase (MLCK) (K_i=7.4 μM). A-3 hydrochloride also inhibits PKC and casein kinase I with K_i values of 47 μM and 80 μM, respectively[1].

IC_{50} & Target

CK1	CK2	PKC	PKA
80 μM (Ki)	5.1 μM (Ki)	47 μM (Ki)	4.3 μM (Ki)

In Vitro

A-3 hydrochloride inhibits MLC-kinase competitively with respect to ATP and that the K_i value is 7.4 μM. A-3 is also a competitive inhibitor of cAMP-dependent protein kinase, cGMP-dependent protein kinase, protein kinase C, casein kinase I,

and casein kinase II, with respect to ATP, exhibits K_i values of 4.3 μM, 3.8 μM, 47 μM, 80 μM, and 5.1 μM, respectively[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Inagaki M, et al. Naphthalenesulfonamides as calmodulin antagonists and protein kinase inhibitors. Mol Pharmacol. 1986 Jun;29(6):577-81.

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