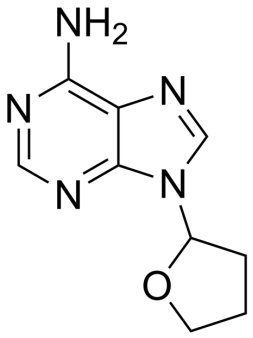
SQ22536

Cat. No.:	HY-100396
CAS No.:	17318-31-9
Molecular Formula:	$C_9H_{11}N_5O$
Molecular Weight:	205
Target:	Adenylate Cyclase
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (487.80 mM; Need ultrasonic)
H_2O : 55 mg/mL (268.29 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.8780 mL	24.3902 mL	48.7805 mL
	5 mM	0.9756 mL	4.8780 mL	9.7561 mL
	10 mM	0.4878 mL	2.4390 mL	4.8780 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 25 mg/mL (121.95 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (12.20 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (12.20 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (12.20 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	SQ22536 is an effective adenylate cyclase (AC) inhibitor.
IC_{50} & Target	adenylate cyclase (AC)[1]

In Vitro	SQ22536 (SQ22,536) effectively inhibits the effect of forskolin with respective IC_{50} values of 5 μM. Preincubation with graded concentrations of SQ22536 reveals that both SQ22536 effectively inhibits PACAP-induced reporter gene activation with approximate IC_{50} value of 5 μM. SQ22536 more potently inhibits forskolin-induced Elk activation (IC_{50}=10 μM) than 8-Br-cAMP-induced Elk activation (IC_{50} =170 μM). Most notably, there are substantial differences in the reported potencies of SQ22536 to inhibit the activities of recombinant AC5 and AC6, with respective IC_{50} values of 2 μM and 360 μM. At a greater concentration (500 μM), SQ22536 significantly inhibits neurite elongation due to either forskolin or 8-Br-cAMP[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	HEK293 CRE-luc2P GloResponse luciferase reporter cells are transduced with retroviral vectors expressing rat PAC1hop receptors. Individual cell lines are obtained by limiting dilution cloning, and a clonal PAC_1-expressing line is propagated and used for CRE luciferase assays. In brief, HEK293 CRE-luc2P cells are plated in 96-well plates (10,000 cells in 80 μL media per well) in assay media (DMEM supplemented with 1% fetal bovine serum). One day after plating, cells are treated with AC inhibitors (10 μL in assay media/well) for 30 minutes, followed by agonists (10 μL in assay media/well), and are incubated for 4 hours. Luciferase activity is determined after the addition of 100 μL/well Bright-Glo Luciferase Assay Reagent. Luminescence (RLU) is measured in a Victor3 microtiter plate reader after 2 minutes of agitation at room temperature. Cyclic AMP is measured in NS-1 cells. In brief, NS-1 cells are seeded and grown overnight in 96-well plates. The next day, cells are pretreated for 20 minutes in media containing the phosphodiesterase inhibitor 3-isobutyl-1-methylxanthine (0.5 mM) with or without SQ22536. After pretreatment with inhibitors, cells are stimulated with agonists, added as 10× solutions, for an additional 20 minutes. Intracellular cAMP is then assayed using the cAMP Biotrak enzyme immunoassay kit for measurement of nonacetylated cAMP[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2020 May 26;11(5):394.
- Phytomedicine. 2023 Jul 22;119:154982.
- Antiviral Res. 2023 May 14;105635.
- Prog Neurobiol. 2021 Mar 22;102041.
- J Bone Miner Res. 2023 Jan 21.

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REFERENCES

[1]. Emery AC, et al. A new site and mechanism of action for the widely used adenylate cyclase inhibitor SQ22,536. Mol Pharmacol. 2013 Jan;83(1):95-105.

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