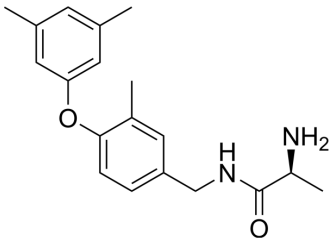
SGC2085

Cat. No.:	HY-100565
CAS No.:	1821908-48-8
Molecular Formula:	$C_{19}H_{24}N_2O_2$
Molecular Weight:	312.41
Target:	Histone Methyltransferase
Pathway:	Epigenetics
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 : ≥ 32 mg/mL (102.43 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.2009 mL	16.0046 mL	32.0092 mL
5 mM	0.6402 mL	3.2009 mL	6.4018 mL
10 mM	0.3201 mL	1.6005 mL	3.2009 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: ≥ 2.5 mg/mL (8.00 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.00 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SGC2085 is a potent and selective inhibitor of coactivator associated arginine methyltransferase 1 (CARM1) with an IC_{50} of 50 nM. SGC2085 also selectively inhibits PRMT6 with an IC_{50} value of 5.2 μM, but not other PRMT proteins[1].

IC_{50} & Target

PRMT4

In Vitro

SGC2085 (1 μM, 10 μM, 50 μM; 48 h) is fully selective for 21 human protein methyltransferases[1].

SGC2085 (10 μM; 48 h) exhibits low cell permeability and no cell activity in HEK293 cells[1].

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

PROTOCOL

Cell Assay [1]

SGC2085 is dissolved in DMSO and diluted with appropriate medium before use. HEK293 cells are grown in 12-well plates in DMEM supplemented with 10% FBS, penicillin (100 U/mL), and streptomycin (100 μg/mL). Thirty percent confluent cells are treated with inhibitors or DMSO. After 48 h, media are removed and cells are lysed in 100 μL of total lysis buffer (20 mM Tris-HCl pH 8.0, 150 mM NaCl, 1 mM EDTA, 10 mM $MgCl_2$, 0.5% Triton X-100, 12.5 U/mL benzonase), complete EDTA-free protease inhibitor cocktail. After 3 min incubation at room temperature, SDS is added to 1% final concentration. Lysates are run on SDS-PAGE, and immunoblotting is done as outlined below to determine the levels of unmethylated and methylated BAF155 [1].

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

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 Apr 13.

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REFERENCES

[1]. Ferreira de Freitas R, et al. Discovery of a Potent and Selective Coactivator Associated Arginine Methyltransferase 1 (CARM1) Inhibitor by Virtual Screening. J Med Chem. 2016 Jul 28;59(14):6838-47.

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