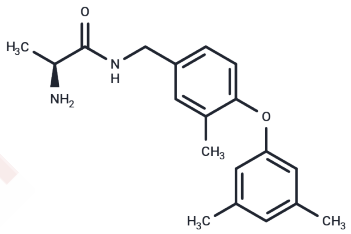
Data Sheet (Cat.No.T7089)

TargetMol

SGC2085

Chemical Properties



CAS No. : 1821908-48-8

Formula: C19H24N2O2

Molecular Weight: 312.41

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	SGC2085 is an effective and selective coactivator-associated arginine methyltransferase 1 (CARM1) inhibitor (IC50: 50 nM).
Targets(IC50)	Histone Methyltransferase
In vitro	With the exception of PRMT6 (IC50=5.2 μM), SGC2085 does not inhibit other PRMTs. SGC2085 also shows complete selectivity against a panel of 21 human protein methyltrans- ferases tested at three different concentrations (1,10, and 50 μM). To characterize the mechanism of action of SGC2085 in solution, IC50 values are determined at various concentrations of SAM and peptide substrate. Increasing concentration of substrate peptide or cofactor does not affect IC50 values, indicative of a noncompetitive mechanism of inhibition, which has been previously shown for other protein methyltransferase inhibitors binding at the substrate pocket[1]. No cellular activity is observed for SGC2085 when tested up to 10 μM (48 h exposure in HEK293 cells), while methylation of BAF155 is abrogated by 10 μM of the dual CARM1/PRMT6 inhibitor MS049. We assume that the absence of cellular activity for SGC2085 is due to poor permeability[1].
Cell Research	SGC2085 is dissolved in DMSO and diluted with the 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 per cent 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 MgCl2, 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].

Solubility Information

Solubility	Ethanol: 40 mg/mL (128.04 mM),Sonication is recommended. H2O: Insoluble, DMSO: 55 mg/mL (176.05 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (6.4 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.064 mL	0.3201 mL	0.6402 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.