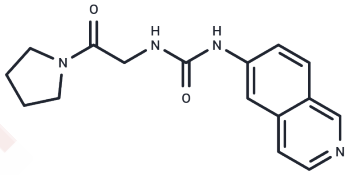
Data Sheet (Cat.No.T3084)

TargetMol

SGC707

Chemical Properties



CAS No. : 1687736-54-4

Formula: C16H18N4O2

Molecular Weight: 298.34

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	SGC707 is a potent, selective, and cell-active allosteric inhibitor of [PRMT3].
Targets(IC50)	Histone Methyltransferase
In vitro	In cells, SGC707 binds to PRMT3 and reduces PRMT3-dependent H4R3me2a. SGC707 also stabilizes PRMT3 in both HEK293 and A549 cells with EC50 values of 1.3 μM and 1.6 μM, respectively. [1]
In vivo	In CD-1 male mice, SGC707 (30 mg/kg, i.p.) gives good plasma exposure over 6 h with the peak plasma level of 38000 nM, which suggests that SGC707 is suitable for animal studies. [1]
Kinase Assay	PRMT3 biochemical assay: A radioactivity-based assay is optimized and used to determine the inhibition of PRMT3 in vitro. In a scintillation proximity assay (SPA), tritiated S-adenosylmethionine (3H-SAM) served as a methyl donor to methylate biotinylated histone peptide substrate. After completion of the reaction, the reaction mixture is transferred to the wells of a streptavidin / scintillant-coated microplate. The Biotinylated peptides binding to streptavidin coated resin, brings the incorporated 3H-methyl and the scintillant to close proximity. The amount of methylated peptide is quantified by tracing the radioactivity (counts per minute) as measured by the TopCount NXT? Microplate Scintillation and Luminescence Counter. Due to the very acidic nature of the 3H-SAM solution, non-tritiated (cold) SAM is used to supplement the reactions. The C-terminally biotinylated peptide composed of the first 24 amino acids residues of histone H4 (H4 1-24) is used as substrate. The typical assay mixture contained 0.01% Tween-20, 5 mM DTT, 20 nM PRMT3, 0.3 μM B-H4 1-24 and 28 μM (5 μM 3H-SAM plus 23 μM cold SAM) SAM in 20 mM Tris-HCl (pH 7.5) and a final volume of 20 μL. The IC50 values are determined at Km concentrations of both substrates by titration of the compound in the reaction mixture in a range between 2000-0.2 nM.
Cell Research	Cells are seeded in 96-well plates and treated with different concentrations of SGC707 for 72 h. Cell viability is determined using Alamar blue 0.01 mg/mL in the media. Resazurin reduction is monitored with 544 nm excitation, measuring fluorescence at 590 nm. (Only for Reference)

Solubility Information

Solubility	Ethanol: 55 mg/mL (184.35 mM),Sonication is recommended. DMSO: 125 mg/mL (418.99 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (33.52 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (33.52 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *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	3.3519 mL	16.7594 mL	33.5188 mL
5 mM	0.6704 mL	3.3519 mL	6.7038 mL
10 mM	0.3352 mL	1.6759 mL	3.3519 mL
50 mM	0.067 mL	0.3352 mL	0.6704 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

Kaniskan HÜ, et al. Angew Chem Int Ed Engl. 2015 Apr 20;54(17):5166-70.