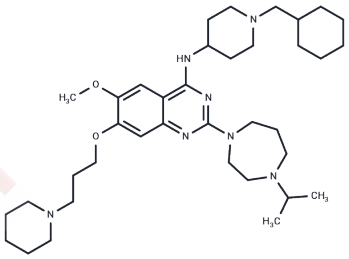
Data Sheet (Cat.No.T2354)

TargetMol

UNC 0631

Chemical Properties



CAS No. : 1320288-19-4

Formula: C37H61N7O2

Molecular Weight: 635.93

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	UNC 0631 is a effectvie histone methyltransferase G9a inhibitor (IC50=4 nM).
Targets(IC50)	Histone Methyltransferase
In vivo	In MCF7,22RV1 and IMR90 cells, UNC0631 significantly reduced H3K9me2 levels.
Kinase Assay	SAHH-coupled assays: This assay utilizes SAHH to hydrolyze the methyltransfer product SAH to homocysteine and adenosine in the presence of adenosine deaminase which converts adenosine to inosine. The homocysteine concentration is then determined through conjugation of its free sulfhydryl moiety to a thiol-sensitive fluorophore, ThioGlo. For IC50 determinations, assay mixtures are prepared in 25 mM potassium phosphate buffer pH 7.5, 1 mM EDTA, 2 mM MgCl2, 0.01% Triton X-100 with 5 μM SAHH, 0.3 U/mL of adenosine deaminase, 25 μM SAM, and 15 μM ThioGlo. G9a, GLP, SETD7, SETD8, PRMT3 and SUV39H2 are assayed at 25 nM, 100 nM, 200 nM, 250 nM, 500 nM and 100 nM, respectively. Inhibitors are added at concentrations ranging from 4 nM to 16 μM. After 2 min incubation, reactions are initiated by addition of the histone peptides: 10 μM H3(1-25) for G9a, 20 μM H3(1-25) for GLP, 100 μM H3(1-25) for SETD7, 500 μM H4 (1-24) for SETD8, 10 μM H4(1-24) for PRMT3 and 200 μM H3K9Me1 (1-15) for SUV39H2. The methylation reaction is followed by monitoring the increase in fluorescence using Biotek Synergy2 plate reader with 360/40 nm excitation filter and 528/20 nm emission filter for 20 min in 384 well-plate format. Activity values are corrected by subtracting background caused by the peptide or the protein. IC50 values are calculated using Sigmaplot. Standard deviations are calculated from two independent experiments.
Cell Research	MDA-MB-231, PC3, HCT116 cells are cultured in RPMI with 10% FBS, 22RV1 cells in alphaMEM and 10% FBS, MCF7 and IMR90 cells in DMEM with 10% FBS. Cells are treated with inhibitors for 48 h. The media is removed and replaced with DMEM 10% FBS without phenol red supplemented with 1 mg/mL of MTT and incubated for 1-2 h. Live cells reduce yellow MTT to purple formazan. The resulting formazanis solubilized in acidified isopropanol and 1% Triton. Formazan signal absorbance is measured at 570 nm and corrected for the 650 nm background. IC50s are calculated using GraphPad Prizm statistical package with sigmoidal variable slope dose response curve fit.(Only for Reference)

Solubility Information

Solubility	Ethanol: 5 mg/mL (7.86 mM),Heating is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 49 mg/mL (77.05 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: 3.3 mg/mL (5.19 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	1.5725 mL	7.8625 mL	15.725 mL
5 mM	0.3145 mL	1.5725 mL	3.145 mL
10 mM	0.1573 mL	0.7863 mL	1.5725 mL
50 mM	0.0315 mL	0.1573 mL	0.3145 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

Liu F, et al. J Med Chem. 2011, 54(17), 6139-6150.