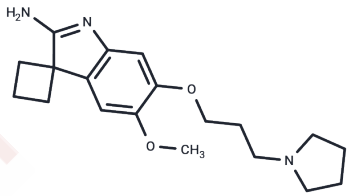
Data Sheet (Cat.No.T3624)

TargetMol

A-366

Chemical Properties



CAS No. : 1527503-11-2

Formula: C19H27N3O2

Molecular Weight: 329.44

Storage: Keep away from moisture
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	A-366 is a highly selective peptide-competitive histone methyltransferase G9a inhibitor with IC50s of 3.3 and 38 nM for G9a and GLP, respectively.It is more than 1,000-fold selective for G9a and GLP over the other 21 methyltransferases. It is an inhibitor of the Spindlin1-H3K4me3 interaction with an IC50 of 182.6 nM.It exhibits high affinity for human H3R with a Ki value of 17 nM, and shows subtype selectivity between subgroups of the histaminergic and dopaminergic receptor families.
Targets(IC50)	Epigenetic Reader Domain,Histone Methyltransferase
In vitro	For tumor cell growth, A-366 had less toxic effects than some other G9a/GLP small molecule compound inhibitors, and no difference in the methylation effect on H3K9me2. Various leukemia cell lines were treated with A-366 in vitro, and these tumor cells would produce significant differentiation and morphological changes.
In vivo	For tumor cell growth, A-366 had less toxic effects than some other G9a/GLP small molecule compound inhibitors, and no difference in the methylation effect on H3K9me2. Various leukemia cell lines were treated with A-366 in vitro, and these tumor cells would produce significant differentiation and morphological changes.
Kinase Assay	7.5× compounds are added to a 96-well PolyPlate containing 60 µL of Buffer per well with substrates CoA (200 µM), ATP (400 µM), and [14C]citrate. Reaction is started with 4 µL (300 ng/well) ACL, and the plate is incubated at 37°C for 3 h. Th
Cell Research	PC-3 prostate adenocarcinoma cells are incubated in triplicate with DMSO or the indicated concentrations of A-366 or UNC0638 for 72 hours. H3K9me2 levels are assessed by In-Cell Western assay. (Only for Reference)

Solubility Information

Solubility	DMSO: 32.9 mg/mL (99.87 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 (6.07 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*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.0355 mL	15.1773 mL	30.3545 mL
5 mM	0.6071 mL	3.0355 mL	6.0709 mL
10 mM	0.3035 mL	1.5177 mL	3.0355 mL
50 mM	0.0607 mL	0.3035 mL	0.6071 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

Pappano WN, et al. PLoS One. 2015, 10(7):e0131716.
Zhang W, Yang D, Yuan Y, et al. Muscular G9a regulates muscle-liver-fat axis by musclin under overnutrition in female mice. Diabetes. 2020
Yang D, Fan Y, Xiong M, et al.Loss of renal tubular G9a benefits acute kidney injury by lowering focal lipid accumulation via CES1.EMBO reports.2023: e56128.
Sweis RF, et al. ACS Med Chem Lett. 2014, 5(2):205-209.
Zhang W, Yang D, Yuan Y, et al. Muscular G9a regulates muscle-liver-fat axis by musclin under overnutrition in female mice[J]. Diabetes. 2020