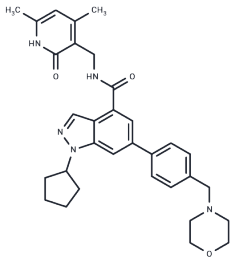
Data Sheet (Cat.No.T1905)

TargetMol

EPZ005687

Chemical Properties



CAS No. : 1396772-26-1

Formula: C32H37N5O3

Molecular Weight: 539.67

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	EPZ005687, a potent and selective inhibitor of EZH2.
Targets(IC50)	Histone Methyltransferase
In vitro	EPZ005687 directly inhibits the enzymatic activity of PRC2 without disrupting the protein-protein interactions among PRC2 subunits. It exhibits concentration-dependent inhibition of PRC2 enzymatic activity with an IC50 value of 54 nM. Additionally, EPZ005687 reduces H3K27 methylation in various lymphoma cell types and demonstrates potent cytotoxic effects in cells harboring Tyr641 or Ala677 mutations, while having minimal impact on the proliferation of wild-type cells. In lymphoma cell lines with the Tyr641 mutation, EPZ005687 causes derepression of known EZH2 target genes and affects genes specifically suppressed by the EZH2 Tyr641 mutant.
Kinase Assay	Biochemical Enzyme Assays: Compound is incubated for 30 min with 40 µL per well of 5 nM PRC2 (final assay concentration in 50 µL is 4 nM) in 1X assay buffer (20 mM Bicine [pH 7.6], 0.002% Tween-20, 0.005% Bovine Skin Gelatin and 0.5 mM DTT). 10 µL per well of substrate mix comprising assay buffer 3 H-SAM, unlabeled SAM, and peptide representing histone H3 residues 21-44 containing C-terminal biotin (appended to a C-terminal amide-capped lysine) are added to initiate the reaction (both substrates are present in the final reaction mixture at their respective Km values, an assay format referred to as ''balanced conditions''. The final concentrations of substrates and methylation state of the substrate peptide are indicated for each enzyme Reactions are incubated for 90 min at room temperature and quenched with 10 µL per well of 600 µM unlabeled SAM, Then transferred to a 384-well flashplate and washed after 30 min.
Cell Research	Plating densities are determined for each cell line on the basis of linear log-phase growth. Cells are counted and split back to the original plating density in fresh medium with EPZ005687 on days 4 and 7.(Only for Reference)

Solubility Information

Solubility	DMSO: 8.82 mg/mL (16.34 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+90% Saline: 0.88 mg/mL (1.63 mM),Suspension. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.85 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	1.853 mL	9.2649 mL	18.5298 mL
5 mM	0.3706 mL	1.853 mL	3.706 mL
10 mM	0.1853 mL	0.9265 mL	1.853 mL
50 mM	0.0371 mL	0.1853 mL	0.3706 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

Knutson SK, et al. Nat Chem Biol, 2012, 8(11), 890-896.
Shishido K, Purvis I J, Velpula K K, et al.Targeting B7-H3 through EZH2 inhibition in MYC-positive Group 3 medulloblastoma.Oncology Reports.2023, 49(6): 1-13.