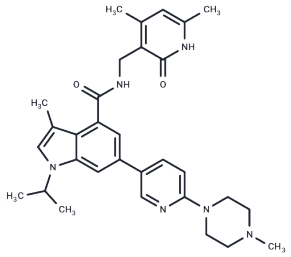
Data Sheet (Cat.No.T1775)

TargetMol

GSK503

Chemical Properties



CAS No. : 1346572-63-1

Formula: C31H38N6O2

Molecular Weight: 526.67

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	GSK-503, a potent EZH2 inhibitor, has potential antitumor activity.
Targets(IC50)	Histone Methyltransferase
In vitro	GSK503 inhibits the methyltransferase activity of both WT and mutant EZH2 with similar potency. In a panel of seven DLBCL cell lines, GSK503 causes growth inhibition, with enhanced effects when combined with ABT737 or Obatoclax. [1]
In vivo	In C57BL6 mice immunized with SRBC, GSK503 (150 mg/kg, i.p.) reduced the level of H3K27me3 in splenocytes. In male SCID mice bearing SUDHL4 and SUDHL6 tumors, GSK503 (150 mg/kg, i.p.) inhibits tumor growth. [1] In C57Bl/6 mice bearing murine B16-F10 tumors, GSK503 (150 mg/kg, i.p.) significantly reduces global H3K27me3 levels, inhibits tumor growth and virtually abolishes metastases formation. [2]
Kinase Assay	In vitro biochemical assays against histone acetylases: GSK503 is profiled to assess inhibition against a panel of histone acetylases. GSK503 is dissolved in DMSO and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 μM, with a final DMSO concentration of 2%. Anacardic Acid is used as positive control for CBP, GCN5, and pCAF and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 μM. Curcumin is used as positive control for KAT5, MYST2/KAT7, MYST4/KAT6B, and p300, and tested in 10-dose IC50 mode with 3-fold serial dilution starting at 100 μM. Reactions are carried out at 3.08 μM Acetyl-CoA. For CBP, GCN5, MYST2/KAT7, pCAF, and p300, the substrate used is histone H3. For KAT5 and MYST4/KAT6B the substrates used are histone H2A and histone H4, respectively.

Solubility Information

Solubility	DMSO: 93 mg/mL (176.58 mM),Sonication is recommended. Ethanol: 25 mg/mL (47.47 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: 3.3 mg/mL (6.27 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*

In vivo Formulation	*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	1.8987 mL	9.4936 mL	18.9872 mL
5 mM	0.3797 mL	1.8987 mL	3.7974 mL
10 mM	0.1899 mL	0.9494 mL	1.8987 mL
50 mM	0.038 mL	0.1899 mL	0.3797 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

Béguelin W, et al. Cancer Cell. 2013, 23(5), 677-692
Zingg D, et al. Nat Commun. 2015, 6, 6051.