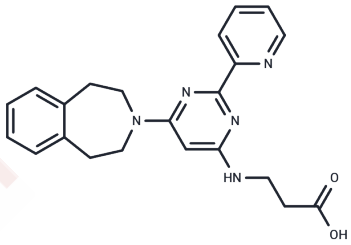
Data Sheet (Cat.No.T6847)

TargetMol

GSK-J1

Chemical Properties



CAS No. : 1373422-53-7

Formula: C22H23N5O2

Molecular Weight: 389.45

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-J1 is a highly potent H3K27 histone demethylase inhibitor with IC50 of 28 nM and 53 nM in cell-free assays for JMJD3 (KDM6B) and UTX (KDM6A), respectively, >10-fold selectivity over other tested demethylases.
Targets(IC50)	Histone Demethylase
In vitro	In HEK-293 cells, GSK-J1 inhibits the activities of transiently transfected JMJD3 and UTX. GSK-J1 also inhibits TNF-α production by human primary macrophages by increasing total nuclear H3K27me3 levels. [1] In MC3T3-E1 cells, GSK-J1 suppresses Runx2 and Osterix expressions and ALP activity, and increases the global levels of H3K27me3. [2]
Kinase Assay	Purified JmjD3 (1 μM) and UTX (3 μM) is incubated with 10 μM peptide [BiotinKAPRKQLATKAARK(me3)SAPATGG] in 50 mM HEPES pH 7.5, 150 mM KCl, 50 μM (NH4)2SO4·FeSO4·Water, 1 mM 2-oxoglutarate, and 2 mM ascorbate (JmjD3, 3 minutes at 25°C; UTX, 20 minutes at 25°C) with various concentration of the inhibitor (0, 0.005, 0.01, 0.02, 0.05, 0.1 μM). 10 mM EDTA is added to stop the reaction. The reaction is desalted by zip tip and spotted on a MALDI plate with α-cyano-4-hydroxycinnamic acid MALDI matrix. Samples are analysed on a MALDI-TOF R system.

Solubility Information

Solubility	Ethanol: 38.9 mg/mL (99.88 mM),Sonication is recommended. DMSO: 250 mg/mL (641.93 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 (5.14 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	2.5677 mL	12.8386 mL	25.6772 mL
5 mM	0.5135 mL	2.5677 mL	5.1354 mL
10 mM	0.2568 mL	1.2839 mL	2.5677 mL
50 mM	0.0514 mL	0.2568 mL	0.5135 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

Kruidenier L, et al. Nature. 2012, 488(7411), 404-408.
Yang D, et al. J Cell Biochem. 2015. doi: 10.12002/jcb.25210.