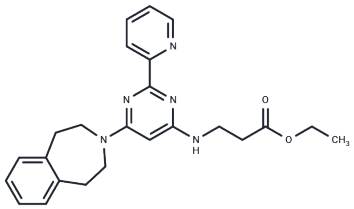
Data Sheet (Cat.No.T3100)

TargetMol
YOUR TARGET MOLECULES

GSK-J4

Chemical Properties



CAS No. : 1373423-53-0

Formula: C24H27N5O2

Molecular Weight: 417.5

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GSK-J4 (GSK J4 HCl) is a potent H3K27me3 demethylase inhibitor, with IC50s of 8.6 μM and 6.6 μM towards KDM6B and KDM6A respectively. It is the first selective inhibitor of the H3K27 histone demethylase JMJD3 and UTX with IC50 of 60 nM in a cell-free assay and inactive against a panel of demethylases of the JMJ family.
Targets(IC50)	Apoptosis,Histone Demethylase
In vivo	GSK-J4 (0.5 mg/kg, i.p.) significantly reduces the severity and delays the onset of the disease of the mouse model of experimental autoimmune encephalomyelitis[2].
Animal Research	GSK-J4 is prepared in DMSO and diluted 1/10 with ethanol.Six-to eight-week-old female C57BL/6 WT mice are injected by subcutaneous injection (s.c.) with 50 μg myelin oligodendrocyte glycoprotein 35-55 peptide (pMOG) emulsified in Complete Freund's Adjuvant (CFA) supplemented with heat-inactivated Mycobacterium tuberculosis H37 RA. In addition, mice receive intraperitoneal injection (i.p.) of 500 ng of pertussis toxin on days 0 and 2. Clinical signs are assessed daily according to the following scoring criteria: 0, no detectable signs; 1, flaccid tail; 2, hind limb weakness or abnormal gait; 3, complete hind limb paralysis; 4, paralysis of fore and hind limbs; and 5, moribund or death. A stock solution of GSK-J4 of 42 mg/mL (100 mM) is prepared in dimethyl sulfoxide (DMSO) to preserve stability. Before injection, the stock solution is diluted 1/10 with ethanol (DMSO: ethanol, 1:10 v/v) and brought to a final concentration of 140 μg/mL in PBS. In systemic drug evaluation experiments, each mouse receive daily i.p. injections (from days 0-5) of 100 μL of this solution containing 14.0 μg of the GSK-J4 (equivalent to 0.56 mg/kg of the drug). Control mice receive 100 μL of the vehicle during the same period. In other EAE experiments, 106 bone marrow-derived DCs from WT mice are treated with GSK-J4 or vehicle alone for 16 h, pulsed with 5 μg/mL of pMOG for 4 h and then transferred i.v. into WT C57BL/6 recipient mice 14 and 7 days before EAE induction. In other adoptive transfer EAE experiments, CD4+Foxp3+ Treg cells generated in the presence or absence of 25 nM GSK-J4 are purified by cell sorting and then 0.75×106 transferred i.v. into WT C57BL/6 recipient mice 1 day before EAE induction.

Solubility Information

Solubility	Ethanol: 41.75 mg/ml(100 mM), DMSO: 60 mg/mL (143.71 mM),

(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3952 mL	11.976 mL	23.9521 mL
5 mM	0.479 mL	2.3952 mL	4.7904 mL
10 mM	0.2395 mL	1.1976 mL	2.3952 mL
50 mM	0.0479 mL	0.2395 mL	0.479 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.

Reference

Kruidenier L, et al. Nature, 2012, 488(7411), 404-408.
Zheng B, Liu J, Gao A, et al. Epigenetic reprogramming of H3K27me3 and DNA methylation during leaf-to-callus