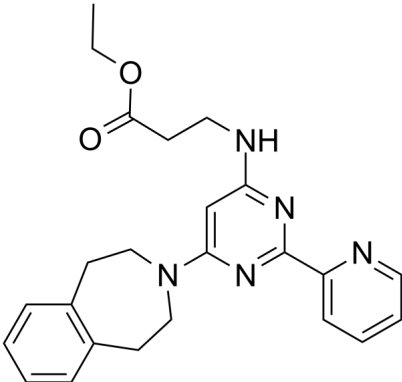


Certificate of Analysis

Catalog Number	BP10436
Product Name	GSK-J4

Physical and Chemical Properties

Synonyms	GSK J4 HCl, GSK J4
CAS No.	1373423-53-0
Chemical Formula	C ₂₄ H ₂₇ N ₅ O ₂
Molecular Weight	417.513
Solubility	DMSO: 41.75 mg/ml(100 mM) Ethanol: 41.75 mg/ml(100 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	GSK-J4 is a potent H3K27me3 demethylase inhibitor, with IC50s of 8.6 μ M and 6.6 μ M 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	JMJD3:
In vivo	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 μ g 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 μ g/mL in PBS. In systemic drug evaluation experiments, each mouse receive daily i.p. injections (from days 0-5) of 100 μ L of this solution containing 14.0 μ g of the GSK-J4 (equivalent to 0.56 mg/kg of the drug). Control mice receive 100 μ L 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 μ g/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 0.75×10^6 transferred i.v. into WT C57BL/6 recipient mice 1 day before EAE induction.

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.

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