

GSK-J4 Hydrochloride

Chemical Properties

CAS No. : 1797983-09-5

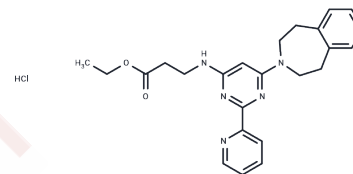
Formula: C₂₄H₂₈ClN₅O₂

Molecular Weight: 453.97

Store at low temperature

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-J4 Hydrochloride (GSK J4 HCl) is a cell permeable, potent and selective histone demethylase(JMJD3)inhibitor. is an ethyl ester derivative of the GSK-J1 with an IC50 value greater than 50 μM in vitro.
Targets(IC50)	Histone Demethylase
In vitro	When administered to human primary macrophages, GSK-J4 can reduce LPS-induced proinflammatory cytokine production, most notably including that of TNFα (IC50 = 9 μM).
In vivo	Acting as a prodrug, GSK-J4 is rapidly hydrolyzed in cells to the otherwise cell impermeable GSK-J1, which exhibits an IC50 value of 60 nM for the purified enzyme. The histone H3 lysine 27 (H3K27) demethylase JMJD3 plays important roles in the transcriptional regulation of cell differentiation, development, the inflammatory response, and cancer.

Solubility Information

Solubility	Ethanol: 20 mg/mL (44.06 mM),Sonication is recommended. DMSO: 50 mg/mL (110.14 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 (4.41 mM),Sonication is recommended. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2028 mL	11.0139 mL	22.0279 mL
5 mM	0.4406 mL	2.2028 mL	4.4056 mL
10 mM	0.2203 mL	1.1014 mL	2.2028 mL
50 mM	0.0441 mL	0.2203 mL	0.4406 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

Yan N,etal.GSKJ4, an H3K27me3 demethylase inhibitor, effectively suppresses the breast cancer stem cells.Exp Cell Res. 2017 Oct 15;359(2):405-414.

Kruidenier L,etal.A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response.Nature. 2012 Aug 16;488(7411):404-8.

Li Y,etal.Therapeutic potential of GSK-J4, a histone demethylase KDM6B/JMJD3 inhibitor, for acute myeloid leukemia.J Cancer Res Clin Oncol. 2018 Jun;144(6):1065-1077.

Mandal C,etal.GSK-J4-Mediated Transcriptomic Alterations in Differentiating Embryoid Bodies.Mol Cells. 2017 Oct; 40(10):737-751.

Sui A,etal.The pharmacological role of histone demethylase JMJD3 inhibitor GSK-J4 on glioma cells.Oncotarget. 2017 Aug 2;8(40):68591-68598.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481