For Research Use Only

GSK-J4

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Catalog Number: CM04530

产品信息

Catalog Number:
CM04530

CAS号:
1373423-53-0

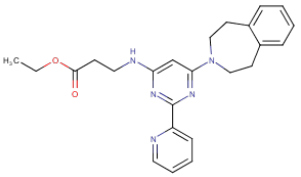
分子式:
$C_{24}H_{27}N_5O_2$

主要靶点:
Histone Demethylase|Apoptosis

主要通路:
凋亡|表观遗传

分子量:
417.5

溶解度:
Ethanol:41.75 mg/mL (100 mM);
DMSO:60 mg/mL (143.71 mM);



靶点活性

JMJD3:

体外活性

方法: 前列腺癌症细胞系 R1-AD1、R1-D567、R1-I567、CWR22Rv-1 和 PC3 用 GSK-J4 (0-32 μM) 处理 72 h，通过 Alamar blue reagent 检测细胞活力。 **结果:** GSK-J4 对 PC 细胞具有细胞生长抑制和/或细胞毒性作用。CWR22Rv-1 对治疗最敏感，ED50 约为 3 μM。[1] **方法:** 人急性髓系白血病细胞 KG-1a 用 GSK-J4 (2-10 μM) 处理 48 h，通过 Flow cytometry 检测细胞凋亡。 **结果:** GSK-J4 治疗组 KG-1a 细胞的凋亡率与对照组相比显著增加。[2]

体内活性

方法: 为研究对败血症的作用，将 GSK-J4 (1-3 mg/kg) 腹腔注射给 ICR 小鼠，1 h 后注射细菌悬液诱导败血症。 **结果:** GSKJ4 对 JMJD3 的药理学抑制保护小鼠免受早期败血症死亡，并减少促炎细胞因子 IL-1β 的产生以及 IL-6、TNF-α 和 MCP-1 的表达。[3]

动物实验

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.

储存

Powder: -20°C for 3 years | In solvent: -80°C for 1 year | Shipping with blue ice.