产品名称：GSK-J1
产品别名：GSK-J1

生物活性：

Description	GSK-J1 is a potent inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A, with IC50 of 60 nM towards KDM6B.
IC_{50} & Target	IC50: 60 nM (KDM6B)[2]
In Vitro	GSK-J1 is selective for H3K27 demethylases of the KDM6 subfamily and specifically binds to endogenous JMJD3. GSK-J1 inhibits TNF-α production by human primary macrophages in an H3K27-dependent manner[1]. GSK-J1 inhibits the demethylase activity of KDM5C with 8.5-fold increased potency compared with that of KDM5B at 1 mM α-ketoglutarate, with IC50 of 11 μM and 94 μM, respectively[3].

Solvent&Solubility

In Vitro:

DMSO : ≥ 33 mg/mL (84.73 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 **In Vitro** 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.42 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: ≥ 2.5 mg/mL (6.42 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.42 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。

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

References	[2]. Heinemann B, et al. Inhibition of demethylases by GSK-J1/J4. Nature. 2014 Oct 2;514(7520):E1-2. [3]. Horton JR, et al. Characterization of a Linked Jumonji Domain of the KDM5/JARID1 Family of Histone H3 Lysine 4 Demethylases. J Biol Chem. 2016 Feb 5;291(6):2631-46.
实验参考：	
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 $(NH_4)_2SO_4 \cdot FeSO_4 \cdot H_2O$, 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. [1]
References	[1]. Kruidenier L, et al. A selective jumonji H3K27 demethylase inhibitor modulates the proinflammatory macrophage response. Nature. 2012 Aug 16;488(7411):404-8. [2]. Heinemann B, et al. Inhibition of demethylases by GSK-J1/J4. Nature. 2014 Oct 2;514(7520):E1-2. [3]. Horton JR, et al. Characterization of a Linked Jumonji Domain of the KDM5/JARID1 Family of Histone H3 Lysine 4 Demethylases. J Biol Chem. 2016 Feb 5;291(6):2631-46.