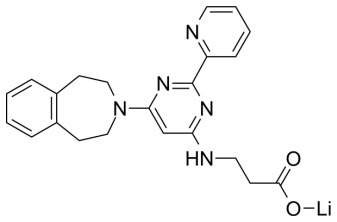
GSK-J1 lithium salt

Cat. No.:	HY-15648D
CAS No.:	2309668-29-7
Molecular Formula:	$C_{22}H_{22}LiN_5O_2$
Molecular Weight:	395.38
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



BIOLOGICAL ACTIVITY

Description	GSK-J1 lithium salt is a potent inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A, with IC_{50} of 60 nM towards KDM6B.
IC_{50} & Target	KDM6
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 IC_{50} of 11 μM and 94 μM, respectively[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	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. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Acta Pharmacol Sin. 2021 Apr 13.
- Oncogene. 2021 Apr;40(15):2711-2724.
- Front Mol Neurosci. 2017 Mar 13;10:51.
- J Chromatogr A. 2020 Feb 22;1613:460625.
- SSRN. 2021 Dec.

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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.

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