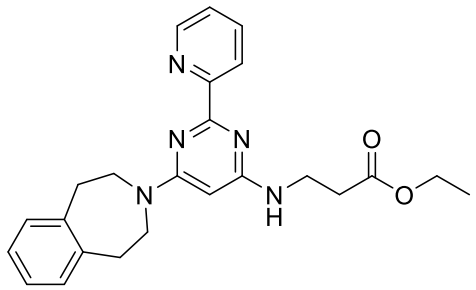
Product data sheet

MedKoo Cat#: 555282 Name: GSK-J4 free base CAS: 1373423-53-0 (free base) Chemical Formula: $C_{24}H_{27}N_5O_2$ Exact Mass: 417.2165 Molecular Weight: 417.513	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GSK-J4 is a cell permeable, potent and selective histone demethylase. GSK-J4 is a prodrug of GSK J1, which 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. GSK-J4 is used to probe the consequences of demethylation of H3K27me3. GSK-J4 inhibits the lipopolysaccharide-induced production of cytokines, including pro-inflammatory tumour necrosis factor (TNF).

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	38.88	93.11
Ethanol	41.75	100.0

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.40 mL	11.98 mL	23.95 mL
5 mM	0.48 mL	2.40 mL	4.79 mL
10 mM	0.24 mL	1.20 mL	2.40 mL
50 mM	0.05 mL	0.24 mL	0.48 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Xu K, Liu X, Wen B, Liu Y, Zhang W, Hu X, Chen L, Hang W, Chen J. GSK-J4, a Specific Histone Lysine Demethylase 6A Inhibitor, Ameliorates Lipotoxicity to Cardiomyocytes via Preserving H3K27 Methylation and Reducing Ferroptosis. Front Cardiovasc Med. 2022 Jun 2;9:907747. doi: 10.3389/fcvm.2022.907747. PMID: 35722096; PMCID: PMC9200982.
2. Illiano M, Conte M, Salzillo A, Ragone A, Spina A, Nebbioso A, Altucci L, Sapio L, Naviglio S. The KDM Inhibitor GSKJ4 Triggers CREB Downregulation via a Protein Kinase A and Proteasome-Dependent Mechanism in Human Acute Myeloid Leukemia Cells. Front Oncol. 2020 Jun 5;10:799. doi: 10.3389/fonc.2020.00799. PMID: 32582541; PMCID: PMC7289982.

In vivo study

1. Hung PH, Hsu YC, Chen TH, Ho C, Lin CL. The Histone Demethylase Inhibitor GSK-J4 Is a Therapeutic Target for the Kidney Fibrosis of Diabetic Kidney Disease via DKK1 Modulation. Int J Mol Sci. 2022 Aug 20;23(16):9407. doi: 10.3390/ijms23169407. PMID: 36012674; PMCID: PMC9409090.
2. Sanchez A, Penault-Llorca F, Bignon YJ, Guy L, Bernard-Gallon D. Effects of GSK-J4 on JMJD3 Histone Demethylase in Mouse Prostate Cancer Xenografts. Cancer Genomics Proteomics. 2022 May-Jun;19(3):339-349. doi: 10.21873/cgp.20324. PMID: 35430567; PMCID: PMC9016480.

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7. Bioactivity

Biological target:

GSK-J4 is a potent dual inhibitor of H3K27me3/me2-demethylases JMJD3/KDM6B and UTX/KDM6A with IC_{50}s of 8.6 and 6.6 μM, respectively. GSK-J4 inhibits LPS-induced TNF-α production in human primary macrophages with an IC_{50} of 9 μM.

In vitro activity

Hence, this study analyzed the LDH level in the culture medium of AC16 cell (Figure 1F) and neonatal rat cardiomyocyte (NRCM) (Figure 1G) and found that PA (palmitic acid) could stimulate LDH release, while GSK-J4 significantly reduced its releasement.

Reference: Front Cardiovasc Med. 2022 Jun 2;9:907747. https://pubmed.ncbi.nlm.nih.gov/35722096/

In vivo activity

There was a significant increase in the amount of α-SMA, fibronectin, and fibronectin as well as collagen IV protein accumulations (Figure 4), whereas in STZ (streptozotocin)-induced diabetic mice that were treated with GSK-J4, the staining areas of fibronectin and collagen IV fibrosis-related proteins were reduced relative to those that were not treated with GSK-J4 (Figure 3). In addition, the accumulation of α-SMA, fibronectin, and collagen IV proteins in the kidneys of the diabetic mice that were given GSK-J4 was also significantly lower than in those that were not given GSK-J4 (Figure 4).

Reference: Int J Mol Sci. 2022 Aug 20;23(16):9407. https://pubmed.ncbi.nlm.nih.gov/36012674/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.