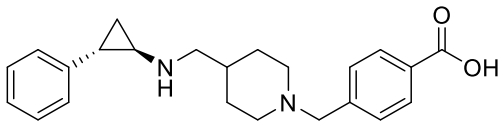
Product data sheet

MedKoo Cat#: 206158 Name: GSK2879552 CAS: 1401966-69-5 Chemical Formula: $C_{23}H_{28}N_2O_2$ Exact Mass: 364.2151 Molecular Weight: 364.489	
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:
GSK2879552 is an orally available, irreversible, inhibitor of lysine specific demethylase 1 (LSD1), with potential antineoplastic activity. Upon administration, GSK2879552 binds to and inhibits LSD1, a demethylase that suppresses the expression of target genes by converting the dimethylated form of lysine at position 4 of histone H3 (H3K4) to mono- and unmethylated H3K4. LSD1 inhibition enhances H3K4 methylation and increases the expression of tumor-suppressor genes. This may lead to an inhibition of cell growth in LSD1-overexpressing tumor cells. LSD1, overexpressed in certain tumor cells, plays a key role in tumor cell growth and survival.

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
DMF	1.0	2.74
DMSO	35.0	96.02
PBS (pH 7.2)	5.0	13.72

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.74 mL	13.72 mL	27.44 mL
5 mM	0.55 mL	2.74 mL	5.49 mL
10 mM	0.27 mL	1.37 mL	2.74 mL
50 mM	0.06 mL	0.27 mL	0.55 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. Huang M, Chen C, Geng J, Han D, Wang T, Xie T, Wang L, Wang Y, Wang C, Lei Z, Chu X. Targeting KDM1A attenuates Wnt/β-catenin signaling pathway to eliminate sorafenib-resistant stem-like cells in hepatocellular carcinoma. Cancer Lett. 2017 Jul 10;398:12-21. doi: 10.1016/j.canlet.2017.03.038. Epub 2017 Apr 2. PMID: 28377178.
2. Mohammad HP, Kruger RG. Antitumor activity of LSD1 inhibitors in lung cancer. Mol Cell Oncol. 2016 Jan 6;3(2):e1117700. doi: 10.1080/23723556.2015.1117700. PMID: 27308632; PMCID: PMC4905412.

In vivo study

1. Sunami Y, Yokoyama T, Yoshino S, Takahara T, Yamazaki Y, Harada H, Nakamura T. BCL11A promotes myeloid leukemogenesis by repressing PU.1 target genes. Blood Adv. 2022 Mar 22;6(6):1827-1843. doi: 10.1182/bloodadvances.2021004558. PMID: 34714913; PMCID: PMC8941473.

Product data sheet

2. Xie Q, Tang T, Pang J, Xu J, Yang X, Wang L, Huang Y, Huang Z, Liu G, Tong D, Zhang Y, Wang L, Zhang D, Lan W, Liu Q, Jiang J. LSD1 Promotes Bladder Cancer Progression by Upregulating LEF1 and Enhancing EMT. Front Oncol. 2020 Jul 28;10:1234. doi: 10.3389/fonc.2020.01234. PMID: 32850370; PMCID: PMC7399223.

7. Bioactivity

Biological target:

GSK2879552 an orally active, selective and irreversible inhibitor of lysine specific demethylase 1 (LSD1/ KDM1A), with potential antineoplastic activity.

In vitro activity

The SCLC lines that undergo growth inhibition in response to GSK2879552 exhibit DNA hypomethylation of a signature set of probes suggesting this may be used as a predictive biomarker of activity.

Reference: Mol Cell Oncol. 2016 Jan 6;3(2):e1117700. https://pubmed.ncbi.nlm.nih.gov/27308632/

In vivo activity

The tumors in mice treated with GSK2879552 are not only much smaller but also weigh much lesser (Figures 5C–E). Finally, this study estimated the effect of GSK2879552 in the patient-derived xenograft (PDX) model using metastatic BCa tissues and found that GSK2879552 is capable of inhibiting the growth of PDX significantly (Figures 5F–H).

Reference: Front Oncol. 2020 Jul 28;10:1234. https://pubmed.ncbi.nlm.nih.gov/32850370/

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.