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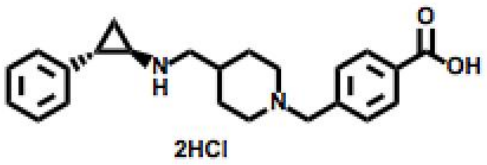
LSD1 Inhibitor – GSK2879552

Chemical Name: 4-((4-((((1R,2S)-2-phenylcyclopropyl)amino)methyl)piperidin-1-yl)methyl)benzoic acid hydrochloride



Molecular Weight:	437.41
Formula:	$C_{23}H_{28}N_2O_2.2HCl$
Purity:	≥98%
CAS#:	1902123-72-1
Solubility:	DMSO up to 50 mM Water up to 50 mM
Storage	Powder: 4 ºC 1 year DMSO: 4 ºC 3 months -20 ºC 1 year

Biological Activity:

GSK2879552 is a highly potent, selective and orally bioavailable irreversible inhibitor of Lysine Specific Demethylase 1 (LSD1). It is highly selective over related enzymes such as MAO-A/B. A proliferation screen of cell lines representing a number of tumor types indicated that small cell lung carcinoma (SCLC) is sensitive to GSK2879552's inhibition. It increases H3K4 methylation selectively at genomic regions bound by LSD1. It demonstrates efficacy in preclinical models of SCLC. Currently GSK2879552 is in phase I clinical trials targeting Acute Myeloid Leukemia (AML) and Relapsed/Refractory Small Cell Lung Carcinoma.

How to Use:

In vitro: GSK2879552 was used at 1 μM in vitro and cellular assays.

In vivo: GSK2879552 was orally dosed to mice at 1.5-10 mg/Kg once per day.

Reference:

1. Mohammad HP, et al. A DNA Hypomethylation Signature Predicts Antitumor Activity of LSD1 Inhibitors in SCLC. (2015) Cancer Cell. 28(1):57-69.
2. Maes T, et al. KDM1 histone lysine demethylases as targets for treatments of oncological and neurodegenerative disease. (2015) Epigenomics. 7(4):609-26