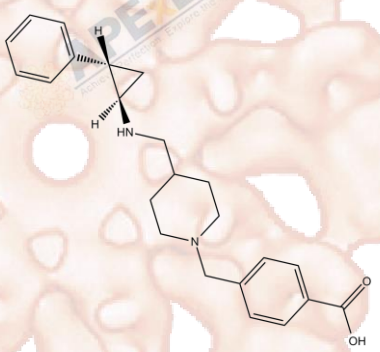
Product Data Sheet

GSK2879552



Cat. No.:	B5879
CAS No.:	1401966-69-5
Formula:	C23H28N2O2
M.Wt:	364.48
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	Histone Demethylases
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in EtOH; ⩾10.14 mg/mL in H2O with ultrasonic; ⩾12.8 mg/mL in DMSO

Preparing Stock Solutions

Concentration \ Mass (Solvent)	1mg	5mg	10mg
1 mM	2.7436 mL	13.7182 mL	27.4363 mL
5 mM	0.5487 mL	2.7436 mL	5.4873 mL
10 mM	0.2744 mL	1.3718 mL	2.7436 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Novel and irreversible LSD1 inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	SCLC cell lines
Preparation method:	The solubility of this compound in DMSO is > 12.8 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting conditions:	0 ~ 5000 nM; 10 days

	Applications:	At the 4th day after treatment, GSK2879552 started to significantly inhibit the growth of NCI-H1417 cells in a dose-dependent manner. In a 6-day proliferation assay, the maximal growth inhibition on NCI-H1417 cells was largely below 100%, which implied the effect was predominantly cytostatic. Moreover, GSK2879552 did not cause any cytotoxic response to SCLC cell lines.
In Vivo	**Animal experiment**	
	Animal models:	Mice bearing NCI-H526 or NCI-H1417 xenografts
	Dosage form:	1.5 mg/kg; p.o.
	Applications:	In mice bearing NCI-H526 or NCI-H1417 xenografts, GSK2879552 significantly inhibited tumor growth with the TGI values of 57% and 83%, respectively. Meanwhile, GSK2879552 did not cause thrombocytopenia at the indicated dose. On the other hand, the results of immunohistochemistry showed that there were 98 percent of SCLC tumors with a very high expression of LSD1, implying the inhibition effect of GSK2879552 on tumor growth might be exerted by targeting LSD1.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Hoang N, Zhang X, et al. "New histone demethylase LSD1 inhibitor selectively targetsteratocarcinoma and embryonic carcinoma cells." Bioorg Med Chem. 2018 Feb 7. pii:S0968-0896(17)31942-9.PMID:29439916

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References

[1]. Mohammad HP, Smitheman KN, Kamat CD, Soong D, Federowicz KE, Van Aller GS, Schneck JL, Carson JD, Liu Y, Butticello M, Bonnette WG, Gorman SA, Degenhardt Y, Bai Y, McCabe MT, Pappalardi MB, Kasparec J, Tian X, McNulty KC, Rouse M, McDevitt P, Ho T, Crouthamel M, Hart TK, Concha NO, McHugh CF, Miller WH, Dhanak D, Tummino PJ, Carpenter CL, Johnson NW, Hann CL, Kruger RG. A DNA Hypomethylation Signature Predicts Antitumor Activity of LSD1 Inhibitors in SCLC. Cancer Cell. 2015 Jul 13;28(1):57-69.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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