

GSK2879552

## Chemical Properties

CAS No. : 1401966-69-5

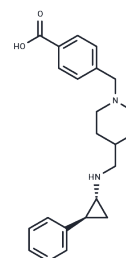
Formula: C<sub>23</sub>H<sub>28</sub>N<sub>2</sub>O<sub>2</sub>

Molecular Weight: 364.48

Store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	GSK2879552 is a selective, orally bioavailable, irreversible, mechanism-based inactivator of lysine-specific demethylase 1 (LSD1)/corepressor, with potential antineoplastic activity.
Targets(IC50)	Histone Demethylase
In vitro	In 165 cell lines, GSK2879552 inhibits the growth of 9/28 small cell lung carcinoma (SCLC) lines and 20/29 AML lines ranged from 40% to 100%. The subset of SCLC lines and primary samples that undergo growth inhibition in response to GSK2879552 exhibit DNA hypomethylation of a signature set of probes. [1]
In vivo	In SCLC xenograft bearing mice, GSK2879552 (1.5 mg/kg, p.o.) demonstrates tumor growth inhibition by 17%-83%. [1]
Kinase Assay	LSD1 enzyme assay: LSD1 activity was measured using a horseradish peroxidase (HRP) coupled assay with amplex red as an electron donor. The formation of product over time is measured using fluorescence intensity, Ex 531 nm and Em 595 nm, in a PerkinElmer EnVision plate reader. Final assay conditions are: 5 nM LSD1, 2.5 μM H3K4me2 peptide, 50 mM HEPES pH 7, 1 U/ml of HRP, 1 mM CHAPS, 0.03% dBSA and 10 μM amplex red.
Cell Research	The optimal cell seeding is determined empirically for all cell lines by examining the growth of a wide range of seeding densities in a 384-well format to identify conditions that permitted proliferation for 6?days. Cells are then plated at the optimal seeding density 24?h before treatment (in duplicate) with a 20-point twofold dilution series of GSK2879552 or 0.15% DMSO. Plates are incubated for 6?days at 37°C in 5% CO <sub>2</sub> . Cells are then lysed with CellTiter-Glo (CTG) (Promega) and chemiluminescent signal is detected with a TECAN Safire2 microplate reader. In addition, an untreated plate of cells is harvested at the time of compound addition (T <sub>0</sub> ) to quantify the starting number of cells. CTG values obtained after the 6?day treatment are expressed as a percent of the T <sub>0</sub> value and plotted against compound concentration. Data are fit with a four-parameter equation to generate a concentration response curve and the concentration of GSK126 required to inhibit 50% of growth (growth IC <sub>50</sub> ) is determined.(Only for

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	Ethanol: <1 mg/mL, DMSO: 27 mg/mL (74.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.49 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

	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
50 mM	0.0549 mL	0.2744 mL	0.5487 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

### Reference

Mohammad HP, et al. Cancer Cell. 2015, 28(1), 57-69.

**Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins**

This product is for Research Use Only· Not for Human or Veterinary or Therapeutic Use

Tel:781-999-4286 E\_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481