GSK2879552

Cat. No.: HY-18632

CAS No.: 1401966-69-5

Molecular Formula: $C_{23}H_{28}N_2O_2$

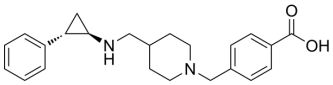
Molecular Weight: 364.48

Target: Histone Demethylase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (68.59 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.75 mg/mL (7.54 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.75 mg/mL (7.54 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.75 mg/mL (7.54 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GSK2879552 an orally active, selective and irreversible inhibitor of lysine specific demethylase 1 (LSD1/ KDM1A), with potential antineoplastic activity[1][2].

IC_{50} & Target

KDM1/LSD1

In Vitro

GSK2879552 inhibits KDM1A histone demethylase activity, inducing differentiation of Sorafenib (HY-10201)-resistant cells and attenuating stemness properties. GSK2879552 depresses the transcription of Wnt antagonists and downregulates β-

catenin signaling activity in Sorafenib-resistant cells[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2].

Cell Line:	9/28 small cell lung carcinoma (SCLC) lines and 20/29 AML lines.
Concentration:	0-10000 nM.
Incubation Time:	6 days.
Result:	Inhibited cell proliferation.

RT-PCR[1].

Cell Line:	Resistant HCC cells (PLC/PRF/5 and Huh7).
Concentration:	0, 1, 2 μM.
Incubation Time:	24 h.
Result:	Displayed reduced mRNA expression levels of stem cell markers, such as Lgr5, Sox9,Nanog and CD90, and elevated mRNA expression levels of differentiation markers Alb and Hnf4.

In Vivo

GSK2879552 (1.5 mg/kg, p.o.) treatment exhibits tumor growth inhibition in SCLC xenograft-bearing mice[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NCI-H526 and NCI-H1417 xenografts[2].
Dosage:	1.5 mg/kg.
Administration:	PO daily for 25-35 days.
Result:	There was 57% and 83% tumor growth inhibition (TGI) in NCI-H526 and NCI-H1417 tumor bearing mice respectively. NCI-H510 and NCI-H69 tumor bearing mice also demonstrated partial TGI (38% and 49% respectively) in response to GSK2879552, while no significant TGI was observed for SHP77 bearing mice.

CUSTOMER VALIDATION

- Natl Sci Rev. 2023 Feb 14.
- Nat Commun. 2021 Nov 24;12(1):6831.
- Mol Cell. 2023 Nov 20:S1097-2765(23)00914-0.
- Cell Rep. 2022 Dec 6;41(10):111770.
- Acta Pharmacol Sin. 2021 Apr 13.

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REFERENCES

[1]. Huang M, et al. Targeting KDM1A attenuates Wnt/β-catenin signaling pathway to eliminate sorafenib-resistant stem-like cells in hepatocellular carcinoma. Cancer Lett. 2017 Apr 2;398:12-21

[2]. Mohammad HP, et al. A DNA Hypomethylation Signature Predicts Antitumor Activity of LSD1 Inhibitors in SCLC. Cancer Cell. 2015 Jul 13;28(1):57-69.

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