GSK2879552 dihydrochloride

Cat. No.: HY-18632A

CAS No.: 1902123-72-1

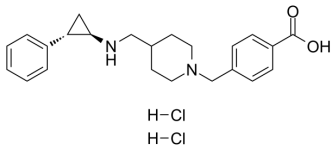
Molecular Formula: $C_{23}H_{30}Cl_2N_2O_2$

Molecular Weight: 437.4

Target: Histone Demethylase

Pathway: Epigenetics

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 100 mg/mL (228.62 mM; Need ultrasonic)
DMSO : 31.25 mg/mL (71.44 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.2862 mL	11.4312 mL	22.8624 mL
5 mM	0.4572 mL	2.2862 mL	4.5725 mL
10 mM	0.2286 mL	1.1431 mL	2.2862 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 8.33 mg/mL (19.04 mM); Clear solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.72 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.72 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vitro

GSK2879552 inhibits KDM1A histone demethylase activity, inducing differentiation of sorafenib-resistant cells and attenuates 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 Viability 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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA