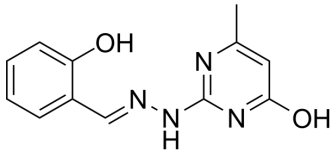
SKLB325

Cat. No.:	HY-139782
CAS No.:	66680-03-3
Molecular Formula:	$C_{12}H_{12}N_4O_2$
Molecular Weight:	244.25
Target:	Histone Demethylase; Apoptosis
Pathway:	Epigenetics; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (85.28 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0942 mL	20.4708 mL	40.9417 mL
	5 mM	0.8188 mL	4.0942 mL	8.1883 mL
	10 mM	0.4094 mL	2.0471 mL	4.0942 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: ≥ 2.08 mg/mL (8.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SKLB325 is a Jumonji domain-containing 6 (JMJD6) inhibitor with a binding affinity (K_D) value of 0.755 μM, and the IC_{50} value of 0.7797 μM. SKLB325 exhibits antitumor effects on ovarian cancer in vivo and in vitro. SKLB325 induces apoptosis[1]. SKLB325 exhibits remarkable antitumor efficacy in renal cell carcinoma (RCC) [2].

In Vitro

SKLB325 suppresses ovarian cancer growth through inhibition of proliferation and induction of apoptosis and cell death, and inhibiting angiogenesis may play a significant role in inhibiting tumor growth[1].
SKLB325 (0.25-16 μM; for 24-72 h) has significant inhibitory effects on the in vitro proliferation of ovarian cancer cells. Furthermore, the most effective concentration at which JMJD6 inhibited SKOV3 cell growth is 4 μM, and the optimal duration of action is 72 h[1].
SKLB325 upregulates the expression of p53 and its downstream effectors at both the mRNA and protein levels in vitro[1].

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

Cell Proliferation Assay[1]

Cell Line:	SKOV3, ES2, A2780s and CP70 cells
Concentration:	0, 0.25, 0.5, 1, 2, 4, 8, and 16 μM
Incubation Time:	24 h, 48 h, and 72 h
Result:	With increasing SKLB325 concentration, the inhibitory effect also increased, exhibiting a significant dose-response relationship. There was a significant difference between the drug group across different doses and the control group.

Western Blot Analysis[1]

Cell Line:	SKOV3, ES2 and A2780s cells
Concentration:	4 μM
Incubation Time:	72 hours
Result:	p53, p21, and PUMA protein levels were significantly upregulated in SKOV3, ES2 and A2780s cells.

In Vivo

SKLB325 (10 mg/kg) has antitumor activities in an intraperitoneal xenograft model. SKLB325 significantly prolongs the survival of tumor-bearing mice without obvious side effects. SKLB325 treatment protocols were effective in suppressing SKOV3, ES2, CP70, and A2780s tumor growth in nude mice[1].

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

Animal Model:	Female athymic BALB/c nude mice[1]
Dosage:	10 mg/kg
Administration:	I.p. injections every three days for eight doses total
Result:	The average weight of intraperitoneal tumor nodules was 1.56 ± 0.70, 1.04 ± 0.62, and 0.14 ± 0.11 g in the control, vehicle and SKLB325 groups, respectively. Tumor weight was significantly reduced by 91 and 86% in the SKLB325 groups compared to the control and vehicle groups, respectively.

REFERENCES

[1]. Heng Zheng, et al. Jumonji domain-containing 6 (JMJD6) identified as a potential therapeutic target in ovarian cancer. Signal Transduct Target Ther.2019 Jul 26;4:24.

[2]. Chuanjie Zhang, et al. Epigenome screening highlights that JMJD6 confers an epigenetic vulnerability and mediates sunitinib sensitivity in renal cell carcinoma. Clin Transl Med. 2021 Feb;11(2):e328.

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