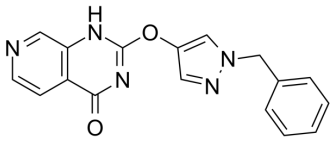
GSK467

Cat. No.:	HY-116761
CAS No.:	1628332-52-4
Molecular Formula:	$C_{17}H_{13}N_5O_2$
Molecular Weight:	319.32
Target:	Histone Demethylase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20.83 mg/mL (65.23 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.1317 mL	15.6583 mL	31.3165 mL
5 mM	0.6263 mL	3.1317 mL	6.2633 mL
10 mM	0.3132 mL	1.5658 mL	3.1317 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 (6.51 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (6.51 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

GSK467 is a cell penetrant and selective KDM5B (JARID1B or PLU1) inhibitor with a K_i of 10 nM and an IC_{50} of 26 nM. GSK467 shows 180-fold selectivity for KDM4C and no measurable inhibitory effects toward KDM6 or other Jumonji family members[1] [2].

IC_{50} & Target

KDM5 10 nM (Ki)	KDM5 26 nM (IC_{50})

In Vitro

GSK467 (0-100 μM; 6 days) shows antiproliferative effect against human multiple myeloma tumor cells[1].
GSK467 is located in the 2-OG-binding pocket[2].

GSK467 inhibits spheroid formation, colony formation, invasion and migration of HCC cells[3].

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

Cell Proliferation Assay[1]

Cell Line:	Human multiple myeloma tumor cell line MM.1S
Concentration:	0-100 μM
Incubation Time:	6 days
Result:	Showed antiproliferative effect with an IC_{50} of >50 μM.

In Vivo

GSK-467 can inhibit the proliferation and growth of HCC tumor cells by promoting the expression of miR-448 and inhibiting the YTHDF3/ITGA6 pathway in female BALB/c nude mice[3].

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

CUSTOMER VALIDATION

- Oncogene. 2021 Apr;40(15):2711-2724.

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REFERENCES

[1]. Fu YD, et al. Targeting histone demethylase KDM5B for cancer treatment. Eur J Med Chem. 2020 Dec 15;208:112760.

[2]. Guo JC, et al. KDM5B promotes self-renewal of hepatocellular carcinoma cells through the microRNA-448-mediated YTHDF3/ITGA6 axis. J Cell Mol Med. 2021 Apr 7;25(13):5949–62.

[3]. Johansson C, et al. Structural analysis of human KDM5B guides histone demethylase inhibitor development. Nat Chem Biol. 2016 Jul;12(7):539-45.

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