PBIT

Cat. No.: HY-101451

CAS No.: 2514-30-9

Molecular Formula: $C_{14}H_{11}NOS$

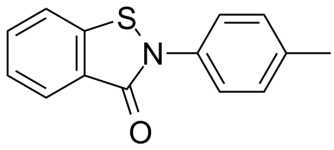
Molecular Weight: 241.31

Target: Histone Demethylase

Pathway: Epigenetics

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (207.20 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1440 mL	20.7202 mL	41.4405 mL
	5 mM	0.8288 mL	4.1440 mL	8.2881 mL
	10 mM	0.4144 mL	2.0720 mL	4.1440 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: ≥ 2.5 mg/mL (10.36 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (10.36 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

PBIT is a specific inhibitor of the Jumonji AT-rich Interactive Domain 1 (JARID1) enzymes. PBIT inhibits JARID1B (KDM5B or PLU1) histone demethylase with an IC_{50} of about 3 μM . PBIT also inhibits JARID1A and JARID1C with IC_{50}s of 6 μM and 4.9 μM, respectively[1].

IC_{50} & Target

IC50: 3 μM (JARID1B), 6 μM (JARID1A), 4.9 μM (JARID1C)[1]

In Vitro

PBIT inhibits proliferation of cells expressing higher levels of JARID1B. PBIT (1-10 μM for UACC-812 cells, 2.5-10μM for MCF7 and MCF10A cells; 72 hours) inhibits cell proliferation in a JARID1B level-dependent manner[1].

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

Cell Proliferation Assay[1]

Cell Line:	Human breast cancer cell lines (UACC-812 and MCF7) and human mammary epithelial cells (MCF10A)
Concentration:	1, 3, and 10 μM for UACC-812 cells; 2.5, 5, and 10 μM for MCF7 and MCF10A cells
Incubation Time:	72 hours
Result:	Inhibited cell proliferation in a JARID1B level-dependent manner. 10 μM killed most of the UACC-812 cells, but showed minimal toxicity to MCF7 cells and MCF10A cells.

CUSTOMER VALIDATION

- Cytokine. 2023 Dec 31:175:156451.

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REFERENCES

[1]. Sayegh J, et al. Identification of small molecule inhibitors of Jumonji AT-rich interactive domain 1B (JARID1B) histone demethylase by a sensitive high throughput screen. J Biol Chem. 2013 Mar 29;288(13):9408-17.

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