Product Data Sheet

JIB-04

Cat. No.: B1579
CAS No.: 199596-05-9
Formula: C17H13ClN4
M.Wt: 308.76
Synonyms:
Target:
Pathway:
Storage: Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾14.2 mg/mL in DMSO; ⩾2.83 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.2388 mL	16.1938 mL	32.3876 mL
5 mM	0.6478 mL	3.2388 mL	6.4775 mL
10 mM	0.3239 mL	1.6194 mL	3.2388 mL

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

Biological Activity

Shortsummary	Jumonji histone demethylase inihibitor
IC_{50} & Target	230 nM (JARID1A), 290 nM (JMJD2D), 340 nM (JMJD2E), 435 nM (JMJD2B), 445 nM (JMJD2A), 855 nM (JMJD3)

In Vitro

Cell Viability Assay

Cell Line:	Human lung cancer cell lines (LCa); HBECs, Prostate cancer (PCa); Primary prostate stromal (PrSC) and prostate epithelial cells (PrEC).
Preparation method：	Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

	Reacting conditions:	4 days
	Applications:	JIB-04 shows selectivity of cancer cells (lung and prostate cancer lines with IC50 as low as 10 nM) over normal cells (HBECs and PrSCs/PrEC)s. Furthermore, 0.1–2 μM JIB-04 E-isomer decreases the total H3K9me3 demethylase activity, suggesting that JIB-04 inhibits H3K9me3 demethylases in cells at concentrations similar to the in vitro inhibition of individual purified enzymes.
In Vivo	**Animal experiment**	
	Animal models:	H358 and A549 lung cancer mice xenografts
	Dosage form:	Administered 2–3x weekly by IP injection at 110 mg/kg in sesame oil (H358 xenografts); Gavage in Cremophor EL at 55 mg/kg (A549 xenografts).
	Applications:	By comparing with vehicle treated groups, JIB-04 significantly abrogates the rate of tumor growth. It also markedly decreases the final tumor weights without effecting overall body weight or general health. In addition, JIB-04 lowers Jumonji histone demethylase activity in tumors and prolongs cancer survival.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Parrish JK, McCann TS, et al. "The Jumonji-domain histone demethylase inhibitor JIB-04 deregulates oncogenic programs and increases DNA damage in Ewing Sarcoma, resulting in impaired cell proliferation and survival, and reduced tumor growth." Oncotarget. 2018 Sep 4;9(69):33110-33123.PMID:30237855

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References

1. Wang L, Chang J, Varghese D et al. A small molecule modulates Jumonji histone demethylase activity and selectively inhibits cancer growth. Nat Commun. 2013;4:2035.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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