Small Molecules

JIB-04

Epigenetic modifier; Inhibits Jumonji histone demethylases

Catalog # 73212

10 mg

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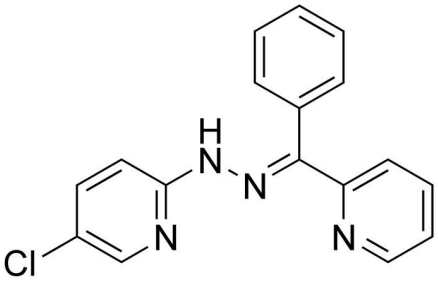
Product Description

JIB-04 is an epigenetic modifier that is a pan-selective inhibitor of Jumonji histone demethylase enzymes. It inhibits members of the family in the high nanomolar range with IC_{50} values of 230, 340, 435, 445, 855, and 1100 nM for JARID1A, JMJD2E, JMJD2B, JMJD2A, JMJD3, and JMJD2C, respectively. It shows no activity against histone deacetylases and minimal effects against iron-containing enzymes methylcytosine dioxygenase TET1 and prolyl hydroxylases (Easmon et al.; Wang et al.).

Molecular Name:	JIB-04
Alternative Names:	JHDM Inhibitor VII; NSC 693627
CAS Number:	199596-05-9
Chemical Formula:	$C_{17}H_{13}ClN_4$
Molecular Weight:	308.8 g/mol
Purity:	≥ 95%
Chemical Name:	phenyl-2-pyridinyl-methanone, (E,E)-2-(5-chloro-2-pyridinyl)hydrazine
Structure:	



Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect from prolonged exposure to light. Stable as supplied for 12 months from date of receipt.
Solubility:	· DMSO ≤ 15 mM · Absolute ethanol ≤ 3 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 10 mg in 3.24 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

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Published Applications

CANCER RESEARCH
· Inhibits proliferation in a variety of human tumor cell lines (Easmon et al.).
· Selectively toxic to lung or prostate cancer cells in vitro, and not to normal cells of the same cell type or to normal control lines isolated from the same patient (Wang et al.).
· Reduces tumor burden and prolongs survival in a mouse model of breast cancer (Wang et al.).

References

Easmon J et al. (1997) Azinyl and diazinyl hydrazones derived from aryl N-heteroaryl ketones: synthesis and antiproliferative activity. J Med Chem 40(26): 4420–5.
Wang L et al. (2013) A small molecule modulates Jumonji histone demethylase activity and selectively inhibits cancer growth. Nat Commun 4: 2035.

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