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JIB-04

Catalog No: tcsc2316

Available Sizes

Size: 10mg

Size: 50mg

Specifications

CAS No:
199596-05-9

Formula:
$C_{17}H_{13}ClN_4$

Pathway:
Epigenetics

Target:
Histone Demethylase

Purity / Grade:
>98%

Solubility:
DMSO : 22.5 mg/mL (72.87 mM; Need ultrasonic and warming)

Observed Molecular Weight:
308.76

Product Description

JIB-04 is a pan-selective **Jumonji histone demethylase** inihibitor with **IC_{50}**s of 230, 340, 855, 445, 435, 1100, and 290 nM for JARID1A, JMJD2E, JMJD3, JMJD2A, JMJD2B, JMJD2C, and JMJD2D, respectively.

IC50 & Target: IC50: 230 nM (JARID1A), 445 nM (JMJD2A), 435 nM (JMJD2B), 1100 nM (JMJD2C), 290 nM (JMJD2D), 340 nM (JMJD2E), 855 nM (JMJD3)[1]

In Vitro:

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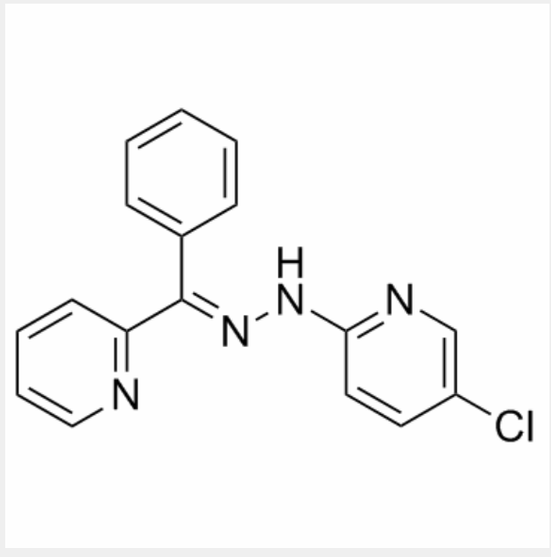
JIB-04 is consistently selective for cancer vs. normal cells, demonstrated by the higher sensitivity of lung and prostate cancer lines (with IC_{50} as low as 10 nM) compared to HBECs and PrSCs/PrECs. JIB-04 inhibits cellular Jumonji demethylase activity, and Jumonji levels affect JIB-04 action in cells[1]. JIB-04 significantly inhibits the proliferation of GB cell lines and stem-enriched cultures. JIB-04 exerts its maximal inhibitory activity against KDM5A, and modulates the expression of genes involved in the control of cancer cell growth and leads to hypermethylation of H3K4. Furthermore, JIB-04 (2500 nM) activates the autophagy and apoptotic pathways and inactivates PI3K. JIB-04 also cooperates with TMZ in killing GB cells[2].

In Vivo: JIB-04 results in a significant reduction in cancer-induced death rates in mice, prolonging survival[1]. JIB-04 (60, 40 and 20 mg/kg, i.p.) reaches bioactive concentration in the brain of the mice. The orthotopic GB xenograft model shows a trend toward longer survival in JIB-04-treated mice with an Hazard Ratio of 0.5[2].



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