
JIB-04 (NSC693627)

产品编号: D50802

CAS: 199596-05-9

分子式: C₁₇H₁₃ClN₄

纯度: ≥98%

InChi: InChi=1S/C₁₇H₁₃ClN₄/c18-14-9-10-16(20-12-14)21-22-17(13-6-2-1-3-7-13)15-8-4-5-11-19-15/h1-12H,(H,20,21)/b22-17+

InChi Key: YHHFKWKMXWRTJ-OQKWZONESA-N

Smiles: ClC1=CN=C(C=C1)N/N=C(/C1C=CC=CN=1)\C1C=CC=CC=1

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 50 mM

保存条件: Store in dry, dark place for one year.

产品介绍: JIB-04 (NSC693627, E-isomer) is a potent, selective and cell permeable Jumonji histone demethylase inhibitor. Unlike the other known inhibitors, JIB-04 is not a competitive inhibitor of α-ketoglutarate. It inhibits the demethylase activity of Jumonji enzymes in vitro, with IC₅₀ ~230 nM for JARID1A (KDM5A), ~440 nM for JMJD2A (KDM4A) and JMJD2B (KDM4B), ~340 nM for JMJD2E (KDM2E), and ~1 μM for JMJD3 (KDM6B) and JMJD2C (KDM4C). JIB-04 blocks Jumonji demethylase activity in cells and consequently inhibits cell growth, without affecting other α-ketoglutarate-dependent hydroxylases or histone-modifying enzymes, especially HDACs. JIB-04 alters transcriptional programs in cancer but not in normal cells, leading to cancer-specific cell death. Importantly, in vivo, JIB-04 lowers histone demethylase activity in tumors, reduces tumor burden and prolongs survival of mice in an aggressive breast cancer model.