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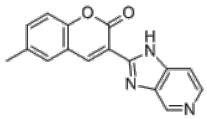
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	iJMJD6
Cat. No.	:	PC-49244
CAS No.	:	899548-78-8
Molecular Formula	:	$C_{16}H_{11}N_3O_2$
Molecular Weight	:	277.283
Target	:	Histone Demethylase
Solubility	:	10 mM in DMSO



Biological Activity

iJMJD6 is a potent, specific small-molecule JMJD6 inhibitor that specifically binds to JMJD6 (ITC Kd=3.83 uM) and competes with α-KG and the substrate for binding, inhibits the demethylase activity of JMJD6 with IC50 of 149.6 nM.
iJMJD6 suppresses the malignant phenotypes of HeLa cervical cancer cells, inhibits cell proliferation with EC50 of 1.49, 9.37, and 9.53 uM for HeLa, SMCC7721, and MCF7 cells, respectively.
iJMJD6 inhibits JMJD6-mediated demethylation in HeLa cells,inhibits the expression of oncogenes, including c-Myc, N-myc, and CCND1, inhibit the transcription of these oncogenes through inhibiting JMJD6-mediated demethylation of H4R3me2(s).
iJMJD6 (25 mg/kg, 50 mg/kg, i.p.) significantly suppressed the tumor growth of HeLa cell–derived xenografts and patient-derived xenograft mouse models.
iJMJD6 exhibits synergistic effects on tumor growth when combined with BRD4 inhibitor JQ1 and ERα degrader fulvestrant.

References

Rong-Quan Xiao, et al. Proc Natl Acad Sci U S A. 2022 Aug 23;119(34):e2200753119.

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