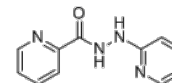


Product Name : P3FI-90
Cat. No. : PC-21840
CAS No. : 53995-62-3
Molecular Formula : C₁₁H₁₀N₄O
Molecular Weight : 214.23
Target : Histone Demethylase
Solubility : 10 mM in DMSO



Biological Activity

P3FI-90 is a specific small molecule inhibitor of KDM3B with SPR KD of 7.68 uM, inhibits PAX3-FOXO1 activity and blocks PAX3-FOXO1 downstream target gene expression.

P3FI-90 can phenocopies shRNA knockdown of PAX3-FOXO1 when considering the sum of normalized enrichment scores of downregulated PAX3-FOXO1 gene sets.

P3FI-90 does not decrease the amount of PAX3-FOXO1 protein.

P3FI-90 downregulates the target genes of PAX3-FOXO1 in fusion-positive rhabdomyosarcoma (FP-RMS) and had broad activity in other pediatric solid tumor cell lines.

P3FI-90 inhibits multiple KDMs including KDM3B, KDM4B, KDM5A, and KDM6B, with highest potency against KDM3B with IC50 of 7 uM.

P3FI-90 shows no inhibitory activity against HDAC1, HDAC2, HDAC3, or PRMT5.

P3FI-90 increases H3K4 and H3K9 methylation.

P3FI-90 shows sub-micromolar EC50 activity in fusion-positive rhabdomyosarcoma (FP-RMS) (RH4, EC50=0.9 uM).

P3FI-90 decreases chromatin loop strength and decreases topologically associating domains (TADs) at PAX3-FOXO1 sites.

P3FI-90 inhibits multiple KDMs with highest selectivity for KDM3B.

P3FI-90 also exerts potent growth inhibitory activity on Ewing's sarcoma and osteosarcoma cell lines.

P3FI-90 effectively inhibits FP-RMS tumor growth in mouse models of RMS without significant weight loss at 25 mg/kg.

References

Kim YY, et al. Nat Commun. 2024 Feb 24;15(1):1703.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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