PI3K/HDAC-IN-2

Cat. No.: HY-146159

CAS No.: 2361418-65-5

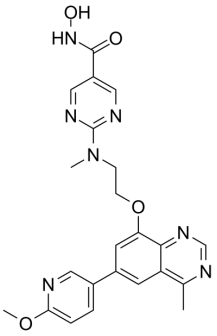
Molecular Formula: $C_{23}H_{23}N_7O_4$

Molecular Weight: 461.47

Target: PI3K; HDAC

Pathway: PI3K/Akt/mTOR; Cell Cycle/DNA Damage; Epigenetics

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	PI3K/HDAC-IN-2 is a potent dual PI3K/HDAC inhibitor with IC_{50}s of 226 nM, 279 nM, 467 nM, 29 nM for PI3Kα, PI3Kβ, PI3Kγ, PI3Kδ, respectively, and IC_{50}s of 1.3 nM, 3.4 nM, 972 nM, 17 nM, 12 nM for HDAC1, HDAC2, HDC4, HDAC6, HDAC8, respectively. PI3K/HDAC-IN-2 exhibits PI3Kδ and class I and IIb HDAC selectivity. PI3K/HDAC-IN-2 has remarkable anticancer effects[1].

IC_{50} & Target				
	PI3Kα 226 nM (IC_{50})	PI3Kβ 279 nM (IC_{50})	PI3Kγ 467 nM (IC_{50})	PI3Kδ 29 nM (IC_{50})
	HDAC1 1.3 nM (IC_{50})	HDAC2 3.4 nM (IC_{50})	HDAC4 972 nM (IC_{50})	HDAC6 17 nM (IC_{50})
	HDAC8 12 nM (IC_{50})			

In Vitro	For PI3K/HDAC-IN-2 (Compound 8), the IC50 values in the growth inhibition assay against MDA-MB-453, HCT116 and HGC-27 cells are as low as namomolar level, which are 4 nM, 7 nM and 14 nM respectively[1]. PI3K/HDAC-IN-2 (Compound 8) shows antiproliferative activities against 16 DLBCL cell lines with IC50s of 3.6-21 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	In the zebrafish xenograft model of SU-DHL-4 cells, PI3K/HDAC-IN-2 (Compound 8) exhibits in vivo growth inhibitory activity at 3 μM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Kehui Zhang, et al. Bioevaluation of a dual PI3K/HDAC inhibitor for the treatment of diffuse large B-cell lymphoma. Bioorg Med Chem Lett. 2022 Sep 1;71:128825.

Caution: Product has not been fully validated for medical applications. For research use only.

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