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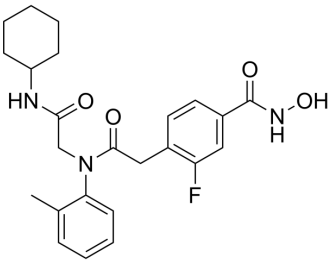
HDAC6-IN-42

Cat. No.:	HY-161516
Molecular Formula:	$C_{24}H_{28}FN_3O_4$
Molecular Weight:	441.5
Target:	HDAC
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	HDAC6-IN-42 (compound 2b) is an HDAC6 inhibitor (IC_{50}=0.009 μM). HDAC6-IN-42 shows significant anti-leukemia activity and synergistic effect with Decitabine (HY-A0004). HDAC6-IN-42 can be used for the AML research[1].
IC_{50} & Target	HDAC6 0.009 μM (IC_{50})
In Vitro	HDAC6-IN-42 increases in antiproliferative activity against the three leukemia cell lines (HAL01: 2.32±0.77 μM, HL60: 2.04±0.62 μM, Jurkat: 3.08±0.59 μM) which is more than five times higher than HPOB[1]. HDAC6-IN-42 shows even higher selectivity for HDAC6 against HDAC2 (IC_{50}=0.787 μM; SIHDAC2/6=87) and HDAC3 (IC_{50}= 0.520 μM; SIHDAC3/6=58) compared to HDAC1 (IC_{50}=0.228 μM; SIHDAC1/6=25)[1]. HDAC6-IN-42 (1, 5 μM; 48 h) exhibits any cytotoxic activity against healthy fibroblasts and exhibits a significant increase in the percentage of late apoptotic cells[1]. HDAC6-IN-42 excels significantly in inducing specific drug synergy with Decitabine (HY-A0004) against AML cells[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HAL01 (B-cell acute lymphoblastic leukemia or B-ALL), HL60 (acute myeloid leukemia or AML), and Jurkat (T-cell acute lymphoblastic leukemia or T-ALL)
Concentration:	
Incubation Time:	
Result:	Exhibited antiproliferative activities in the single digit micromolar range against all three cell lines and thus exceeded the activity of HPOB.

Western Blot Analysis[1]

Cell Line:	leukemic cell lines (K562, DND41, Jurkat, SUPB15, REH, Kasumi 2, 697, PEER, HAL01, MV4-11, MOLM13 and HL60) and healthy fibroblasts (F107 and F188)
Concentration:	0.2, 0.4, 0.8, 1.3 μM
Incubation Time:	24 h

Result:	Increased α-tubulin acetylation while affecting H3 acetylation to a lesser extent.

REFERENCES

[1]. Tretbar M, et al. Preferential HDAC6 inhibitors derived from HPOB exhibit synergistic antileukemia activity in combination with decitabine[J]. European Journal of Medicinal Chemistry, 2024: 116447.

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