Top/HDAC-IN-2

Cat. No.: HY-145852

CAS No.: 2775446-64-3

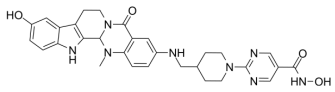
Molecular Formula: $C_{30}H_{32}N_8O_4$

Molecular Weight: 568.63

Target: Topoisomerase; Apoptosis; HDAC

Pathway: Cell Cycle/DNA Damage; Apoptosis; Epigenetics

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



BIOLOGICAL ACTIVITY

Description

Top/HDAC-IN-2 (45b), a Top and HDAC dual inhibitor, exhibits potent antitumor activities and induces apoptosis[1].

IC_{50} & Target

HDAC1 0.004 μM (IC_{50})	HDAC2 0.005 μM (IC_{50})	HDAC6 0.15 μM (IC_{50})	HDAC3 1.10 μM (IC_{50})
HDAC8 4.5 μM (IC_{50})	Top1 (EC50)	Topoisomerase II (IC_{50})	

In Vitro

Top/HDAC-IN-2 (45b) shows antitumor activities against the HCT116 (IC_{50} = 0.23 μM), MCF-7 and A549 cells[1].
Top/HDAC-IN-2 (45b) (0-5 μM, 24h) arrests the HCT116 cell cycle at the G2 phase in a concentration-dependent manner[1].
Top/HDAC-IN-2 (45b) (0-2.5 μM, 24h) induces the apoptosis in HCT116 cell line in a concentration-dependent manner[1].
Top/HDAC-IN-2 (45b) (0-5 μM, 24h) inhibits HDAC in living cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	HCT116
Concentration:	0.1, 2.5 and 5 μM
Incubation Time:	24 h
Result:	Arrested the HCT116 cell cycle at the G2 phase in a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	HCT116
Concentration:	0.1, 0.5 and 2.5 μM
Incubation Time:	24 h
Result:	Effectively induced the apoptosis in HCT116 cell line in a concentration-dependent manner.

Western Blot Analysis[1]

Cell Line:	HCT116
Concentration:	1 μM and 5 μM
Incubation Time:	24 h
Result:	Concentration-dependently increased the level of acetyl-H3 and acetyl-H4 in HCT116 cells.

REFERENCES

[1]. Fugui Zhu, et al. Design, Synthesis, and Structure-Activity relationships of Evodiamine-Based topoisomerase (Top)/Histone deacetylase (HDAC) dual inhibitors. Bioorg Chem. 2022 May;122:105702.

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