HDAC-IN-37

Cat. No.: HY-146750

CAS No.: 2766466-56-0

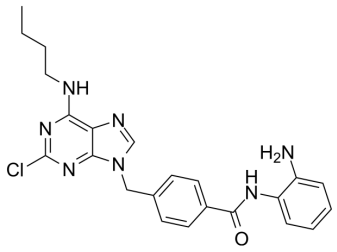
Molecular Formula: $C_{23}H_{24}ClN_7O$

Molecular Weight: 449.94

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

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



BIOLOGICAL ACTIVITY

Description

HDAC-IN-37 is a potent HDAC inhibitor with IC_{50}s of 0.0551 μM, 1.24 μM, 0.948 μM and 34.2 μM for HDAC1, HDAC3, HDAC8 and HDAC6, respectively. HDAC-IN-37 induces histone acetylation in a slow-off manner. HDAC-IN-37 prevents cell transition from G1 phase to S phase and induces early cell apoptosis[1].

IC_{50} & Target

HDAC1	HDAC3	HDAC8	HDAC6
55.1 nM (IC_{50})	1.24 μM (IC_{50})	0.948 μM (IC_{50})	34.2 μM (IC_{50})

In Vitro

HDAC-IN-37 (compound 9d) exhibits the potent antiproliferative activities on the HCT116, MDA-MB-231, K562 cell lines at IC_{50}s of 0.50, 0.38, 0.12 μM, respectively[1].

HDAC-IN-37 (0 - 10 μM; 24 hours) significantly induces the accumulation of acetylated histones at H3K9 and H4K5 in HCT-116 cells[1].

HDAC-IN-37 (0 - 10 μM; 24 hours) induces cell apoptosis in HCT-116 cells by 35.22%, 58.34, 80.7% at 0.5, 1, 5 μM, mainly occurring in early apoptosis[1].

HDAC-IN-37 (0 - 10 μM; 6, 12, 24 hours) causes G0/G1 phase arrest of HCT-116 cells in a time-dependent manner, effectively preventing cell cycle progression[1].

HDAC-IN-37 (0, 0.1, 0.5, 1, 5 and 10 μM; 0, 6, 12, 24, 36, 48 hours) down-regulates the levels of CDK2, Cyclin D1 and the up-regulates P21 with dose- and time-dependent manners in HCT-116 cells, and decreases Bcl-2 of Bcl-2 family in dose- and time-dependent manners[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	HCT-116, MDA-MB-231, HepG2, A549, SGC7901 and K562[1]
Concentration:	0-10 μM
Incubation Time:	48 hours
Result:	Exhibited the potent antiproliferative activities on the HCT116, MDA-MB-231, K562 cell lines at IC_{50} of 0.50, 0.38, 0.12 μM, respectively.

Western Blot Analysis

Cell Line:	HCT-116[1]

Concentration:	0, 0.1, 0.5, 1, 5 and 10 μM
Incubation Time:	24 hours
Result:	Significantly induced the accumulation of acetylated histones at H3K9 and H4K5 in HCT-116 cells.

Apoptosis Analysis

Cell Line:	HCT-116[1]
Concentration:	0.1, 0.5, 1, 5 and 10 μM
Incubation Time:	24 hours
Result:	Induced cell apoptosis in HCT-116 cells by 35.22%, 58.34, 80.7% at 0.5, 1, 5 μM, mainly occurring in early apoptosis.

Cell Cycle Analysis

Cell Line:	HCT-116[1]
Concentration:	0.1, 0.5, 1, 5 and 10 μM
Incubation Time:	0, 6, 12 and 24 hours
Result:	Caused G0/G1 phase arrest of HCT-116 cells in a time-dependent manner, effectively preventing cell cycle progression.

REFERENCES

[1]. Mao PT, He WB, Mai X, et al. Synthesis and biological evaluation of aminobenzamides containing purine moiety as class I histone deacetylases inhibitors. Bioorg Med Chem.

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