HDAC-IN-47

Cat. No.: HY-151443

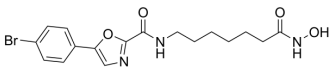
Molecular Formula: $C_{17}H_{20}BrN_3O_4$

Molecular Weight: 410.26

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

HDAC-IN-47 is an orally active inhibitor of histone deacetylase (HDAC), with IC_{50}s of 19.75 nM (HDAC1), 5.63 nM (HDAC2), 40.27 nM (HDAC3), 57.8 nM (HDAC2), 302.73 nM (HDAC8), respectively. HDAC-IN-47 inhibits autophagy and induces apoptosis via the Bax/Bcl-2 and caspase-3 pathways. HDAC-IN-47 arrests cell cycle at G2/M phase, and shows anti-tumor efficacy in vivo[1].

IC_{50} & Target

HDAC1	HDAC6	HDAC3	HDAC2
19.75 nM (IC_{50})	5.63 nM (IC_{50})	40.27 nM (IC_{50})	57.8 nM (IC_{50})

HDAC8
302.73 nM (IC_{50})

In Vitro

HDAC-IN-47 (compound 21) shows antiproliferative activity and inhibits A549 cell growth with an IC_{50} value of 0.24 μM[1].
HDAC-IN-47 (0.5 and 1 μM; 72 h) exhibits profound G2/M arrest in A549 cells and induces cell apoptosis[1].
HDAC-IN-47 (0.1 and 0.5 μM; 24 h) increases the expression levels of Bax and Caspase3, decreases the level of Bcl-2, activates the intrinsic (mitochondrial) apoptotic pathway[1].

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

Cell Viability Assay[1]

Cell Line:	HepG2, MDA-MB-238, HL-60 cells
Concentration:	0.16-0.45 μM
Incubation Time:	72 hours
Result:	Inhibited cancer cells with IC_{50}s of 0.16 μM (HepG2), 0.45 μM (MDA-MB-238), 0.22 μM (HL-60), respectively.

Cell Cycle Analysis[1]

Cell Line:	A549 cells
Concentration:	0.5 and 1 μM
Incubation Time:	24 hours

Result:	Induced marked arrest of cells in the G2/M phase of 28.38% (0.5 μM) and 31.70% (1.0 μM).

Apoptosis Analysis[1]

Cell Line:	A549 cells
Concentration:	0.5 and 1 μM
Incubation Time:	24 hours
Result:	Resulted 21.09% (0.5 μM) and 30.58% (1 μM) apoptotic cells.

In Vivo

HDAC-IN-47 (compound 21) (50, and 100 mg/kg; p.o.; once daily; 18 d) exhibits significant antitumor activity in a dosedependent manner without no significant body weight loss in A549 xenograft mouse model[1].

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

Animal Model:	A549 xenograft model in mouse (female, BALB/c nu/nu mice, 6-8 weeks old)[1]
Dosage:	50 mg/kg; 100 mg/kg
Administration:	Oral gavage; once daily; for 18 consecutive days
Result:	Decreased the tumor volume and weight by 48% and 45%, respectively.

REFERENCES

[1]. Hualong Mo, et al. Synthesis and anticancer activity of novel histone deacetylase inhibitors that inhibit autophagy and induce apoptosis, European Journal of Medicinal Chemistry, 2022, 114705, ISSN 0223-5234.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA