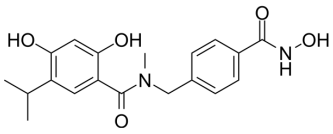
HDAC6/HSP90-IN-2

Cat. No.:	HY-150774
CAS No.:	2803866-22-8
Molecular Formula:	$C_{19}H_{22}N_2O_5$
Molecular Weight:	358.39
Target:	HDAC; HSP; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Epigenetics; Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

HDAC6/HSP90-IN-2 (compound 6e) is a dual inhibitor of HDAC6 and Hsp90, with IC_{50}s of 105.7 and 61 nM, respectively. HDAC6/HSP90-IN-2 can be used for the research of cancer[1].

IC_{50} & Target

HDAC6	HDAC1	HDAC3	HDAC7
106 nM (IC_{50})	654.5 nM (IC_{50})	1539 nM (IC_{50})	1691 nM (IC_{50})

In Vitro

HDAC6/HSP90-IN-2 (compound 6e) (0.05-2 μM; 24 h) effects HSP90, HDAC6 and signaling pathways regulated by Hsp90 dose-dependently[1].

HDAC6/HSP90-IN-2 (compound 6e) (2 μM; 24 h) promotes the acetylation of HSP90[1].

HDAC6/HSP90-IN-2 (compound 6e) (0-100 μM; 24-72 h) inhibits the growth of H1975 non-small cell lung cancer cells[1].

HDAC6/HSP90-IN-2 (compound 6e) (0-2 μM; 24 h) induces apoptosis of H1975 cells[1].

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

Western Blot Analysis[1]

Cell Line:	H1975 cells
Concentration:	0.05, 0.1, 0.5, 1 and 2 μM
Incubation Time:	24 hours
Result:	Showed inhibitory effect to Hsp90 and HDACs dose-dependently and increased the expression levels of Hsp70 and Hsp90 probably by activates HSF1.

Western Blot Analysis[1]

Cell Line:	H1975 cells
Concentration:	2 μM
Incubation Time:	24 hours
Result:	Promoted the acetylation of Hsp90 by inhibiting HDAC6, and increased the acetylation at K294 residue of Hsp90.

Apoptosis Analysis[1]

Cell Line:	H1975 cells
Concentration:	0, 0.5, 1 and 2 μM
Incubation Time:	24 hours
Result:	Induced early and late apoptosis of H1975 cells dose-dependently.

Cell Viability Assay[1]

Cell Line:	H1975 cells
Concentration:	0-100 μM
Incubation Time:	24, 48 and 72 h
Result:	Inhibited the growth of H1975 non-small cell lung cancer cells with a GI_{50}value of 1.7 μM.

In Vivo

HDAC6/HSP90-IN-2 (25-50 mg/kg; i.p. every weekdays for 6 weeks) exhibits antitumor activity of in NOD-scid IL2Rgammanull (NSG) mice with xenotransplantation of H1975 cells[1].

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

Animal Model:	NOD-scid IL2Rgammanull (NSG) mouse with H1975 cells xenograft[1]
Dosage:	25-50 mg/kg
Administration:	Intraperitoneal injection; 25-50 mg/kg for every weekdays; for 6 weeks
Result:	Delayed tumor growth after 2 weeks and reduced the growth rates of tumors in mice.

REFERENCES

[1]. Chae HY, et al. Design, synthesis, and biological evalution of bifunctional inhibitors against Hsp90-HDAC6 interplay. Eur J Med Chem. 2022 Jul 6;240:114582.

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

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