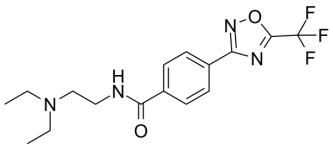
HDAC4-IN-1

Cat. No.:	HY-149721
CAS No.:	1418293-39-6
Molecular Formula:	$C_{16}H_{19}F_3N_4O_2$
Molecular Weight:	356.34
Target:	Apoptosis; HDAC
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

HDAC4-IN-1 (compound 1a) is a class IIa HDACI inhibitor (IC_{50}=0.077 μM). HDAC4-IN-1 can enhance Caspase-induced Apoptosis. HDAC4-IN-1 has anticancer activity. HDAC4-IN-1 can be used in the research of drug combination against cancer [1].

IC_{50} & Target

HDAC2	HDAC4	HDAC6	HDAC8
6.13 μM (IC_{50})	0.012 μM (IC_{50})	5.79 μM (IC_{50})	4.26 μM (IC_{50})

In Vitro

HDAC4-IN-1 can significantly inhibits class IIa HDAC (IC_{50}=0.077 μM)[1].
HDAC4-IN-1 (100 μM; 72 h) has very low cytotoxicity in THP-1 cells (IC_{50}=9.2 μM), but has a strong synergistic effect in combination with BTZ and enhances the cytotoxic effect of BTZ on cells [1].
HDAC4-IN-1 (5μM; 48 h) combines with BTZ (7.9 nM) can induce Caspase-mediated apoptosis in THP-1 cells[1].
HDAC4-IN-1 (5μM; 24 h) combines with BTZ (7.9 nM) can enhance the expression of p21 protein in THP-1 cells [1].
HDAC4-IN-1 (5μM; 72 h) inhibits cell proliferation in cancer cell line Cal27_HDAC4 [1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	THP-1
Concentration:	100 μM 7.9 nM for BTZ
Incubation Time:	72 h
Result:	Revealed relatively low cytotoxicity than pan and class I inhibitors. Enhanced the cytotoxic effect of BTZ on cells in combination with BTZ.

Apoptosis Analysis[1]

Cell Line:	THP-1
Concentration:	5 μM 7.9 nM for BTZ
Incubation Time:	48 h

Result:	Significantly enhanced the activation of caspase 3/7 in combination with BTZ.

Western Blot Analysis[1]

Cell Line:	THP-1
Concentration:	5 μM 7.9 nM for BTZ
Incubation Time:	24 h
Result:	Enhanced the protein expression of p21 in combination with BTZ.

REFERENCES

[1]. Asfaha Y, et al. 5-(Trifluoromethyl)-1,2,4-oxadiazole (TFMO)-based highly selective class IIa HDAC inhibitors exhibit synergistic anticancer activity in combination with bortezomib. Eur J Med Chem. 2023 Nov 10;263:115907.

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