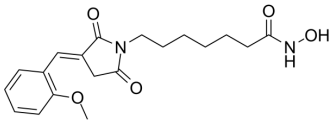
HDAC-IN-71

Cat. No.:	HY-163430
CAS No.:	2995354-52-2
Molecular Formula:	$C_{19}H_{24}N_2O_5$
Molecular Weight:	360.4
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-71 (Compound 17q) is a potent HDAC inhibitor with IC_{50} values of 12.6, 14.1, 20, 3, and 72 nM for HDAC1, HDAC2, HDAC3, HDAC6, and HDAC10, respectively. HDAC-IN-71 induces apoptosis and can be used in cancer research[1].

IC_{50} & Target

HDAC1 12.6 nM (IC_{50})	HDAC2 14.1 nM (IC_{50})	HDAC3 20 nM (IC_{50})	HDAC6 3 nM (IC_{50})
HDAC10 72 nM (IC_{50})			

In Vitro

HDAC-IN-71 (0-1.6 μM; 24 h) inhibits colony formation in a dose-dependent manner and completely inhibits colony formation of DU145 cells at a concentration of 3.2 μM[1].

HDAC-IN-71 (0-1.6 μM; 72 h) arrests cells in G2/M phase and induces apoptosis in DU145 cell line in a concentration-dependent manner[1].

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

Cell Migration Assay [1]

Cell Line:	DU145 cells
Concentration:	0, 0.2, 0.4, 0.8, 1.6 μM
Incubation Time:	12 h
Result:	Inhibited cancer cell migration.

Cell Cycle Analysis[1]

Cell Line:	DU145 cells
Concentration:	0, 0.2, 0.4, 0.8, 1.6 μM
Incubation Time:	72 h
Result:	Arrested cells in G2/M phase in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	DU145 cells
Concentration:	0.8, 1.6, 3.2 μM
Incubation Time:	24 h
Result:	Induced histone acetylation and inhibited HDAC6 expression.

In Vivo

HDAC-IN-71 (100, 200 mg/kg; p.o.; daily; 40 days) inhibits tumor growth at concentrations of 100 mg/kg and 200 mg/kg, with TGI values of 50.92% and 68.00% respectively[1].

Pharmacokinetic analysis[1]

Parameters	I.V. (2 mg/kg)	P.O. (10 mg/kg)
$AUC_{0-\infty}$ (h ng/mL)	1633.35	3799.46
$T_{1/2}$ (h)	3.12	2.87
CL (L/h/kg)	1.57	
V (L/kg)	4.63	
C_{max}(ng/mL)	851.5	774.0
F		46.52%

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Animal Model:	Balb/c nude mice[1]
Dosage:	100, 200 mg/kg
Administration:	Oral administration; daily; 40 days
Result:	Significantly inhibited prostate cancer (PCa) tumor growth.

REFERENCES

[1]. Jiang Q, et al. Discovery of novel itaconimide-based derivatives as potent HDAC inhibitors for the efficient treatment of prostate cancer. Eur J Med Chem. 2024 Apr 5;269:116315.

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