1-Naphthohydroxamic acid

Cat. No.: HY-130538

CAS No.: 6953-61-3

Molecular Formula: $C_{11}H_9NO_2$

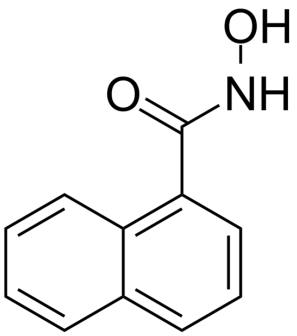
Molecular Weight: 187.19

Target: HDAC

Pathway: Cell Cycle/DNA Damage; Epigenetics

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (667.77 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	5.3422 mL	26.7108 mL	53.4217 mL
	5 mM	1.0684 mL	5.3422 mL	10.6843 mL
	10 mM	0.5342 mL	2.6711 mL	5.3422 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

1-Naphthohydroxamic acid (Compound 2) is a potent and selective HDAC8 inhibitor with an IC_{50} of 14 μM. 1-Naphthohydroxamic acid is more selectively for HDAC8 than class I HDAC1 and class II HDAC6 (IC_{50} >100 μM). 1-Naphthohydroxamic acid does not increase global histone H4 acetylation and also does not reduce total intracellular HDAC activity[1][2].1-Naphthohydroxamic acid can induce tubulin acetylation[3].

IC_{50} & Target

HDAC8	HDAC1	HDAC6
14 μM (IC_{50})	>100 μM (IC_{50})	>100 μM (IC_{50})

In Vitro

1-Naphthohydroxamic acid (compound 2; 20-40 μM; 0-144 hours; BE(2)-C, SK-N-BE(2) and SH-SY5Y cells) treatment reduces cell numbers in a concentration-dependent manner[2].

1-Naphthohydroxamic acid (compound 2) at concentrations in the range of its in vitro IC_{50} against HDAC8 results in reduced cell density and outgrowth of neurite-like structures that stained positive for neurofilament.1-Naphthohydroxamic acid reduces the formation of clones in soft-agar concentration dependently[2].

When either cell type (HeLa and HEK293 cells) is treated with 1-Naphthohydroxamic acid (compound 2; 0.8 μM, 4 μM, 20 μM or 100 μM), only tubulin becomes hyperacetylated[1].

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

Cell Proliferation Assay[2]

Cell Line:	BE(2)-C, SK-N-BE(2) and SH-SY5Y cells
Concentration:	20 μM, 40 μM
Incubation Time:	0 hours, 24 hours, 48 hours, 72 hours, 96 hours, and 144 hours
Result:	Reduced cell numbers in a concentration-dependent manner.

In Vivo

Dose-limiting toxicities (DLTs) of 1-Naphthohydroxamic acid (compound 2; 0-40 mg/kg; intraperitoneal injection; daily; for 10 day; NMRI Foxn1 nude mice) include weight loss and signs of liver toxicity, as evidenced by elevated plasma liver enzymes and detection of necrotic areas on histological liver examination. 1-Naphthohydroxamic acid has the maximum tolerable doses at 50 mg/kg per day. At these concentrations, neither body weight nor blood parameters are critically changed[3]. Pharmacokinetic studies after intraperitoneal administration of the inhibitors identified the half-life of 1-Naphthohydroxamic acid to be ~15 min, with a plasma peak concentration of ~30 μM[3].

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

Animal Model:	NMRI Foxn1 nude mice[3]
Dosage:	0 mg/kg, 50 mg/kg, 100mg/kg, 200 mg/kg, 300 mg/kg 400 mg/kg
Administration:	Intraperitoneal injection; daily; for 10 days
Result:	Dose-limiting toxicities (DLTs) included weight loss and signs of liver toxicity, as evidenced by elevated plasma liver enzymes and detection of necrotic areas on histological liver examination.

REFERENCES

[1]. Krennhrubec K, et al. Design and evaluation of 'Linkerless' hydroxamic acids as selective HDAC8 inhibitors. Bioorg Med Chem Lett. 2007 May 15;17(10):2874-8.

[2]. Oehme I, et al. Histone deacetylase 8 in neuroblastoma tumorigenesis. Clin Cancer Res. 2009 Jan 1;15(1):91-9.

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