CRA-026440

Cat. No.: HY-19754

CAS No.: 847460-34-8

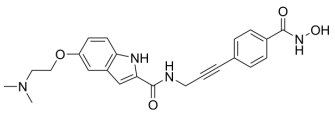
Molecular Formula: $C_{23}H_{24}N_4O_4$

Molecular Weight: 420.46

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (237.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.3783 mL	11.8917 mL	23.7835 mL
	5 mM	0.4757 mL	2.3783 mL	4.7567 mL
	10 mM	0.2378 mL	1.1892 mL	2.3783 mL

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

BIOLOGICAL ACTIVITY

Description

CRA-026440 is a potent, broad-spectrum HDAC inhibitor. The K_i values against recombinant HDAC isoenzymes HDAC1, HDAC2, HDAC3, HDAC6, HDAC8, and HDAC10 are 4, 14, 11, 15, 7, and 20 nM respectively. CRA-026440 shows antitumor and antiangiogenic activities[1]. CRA-026440 is a click chemistry reagent, it contains an Alkyne group and can undergo copper-catalyzed azide-alkyne cycloaddition (CuAAc) with molecules containing Azide groups.

IC_{50} & Target

HDAC1 4 nM (Ki)	HDAC8 7 nM (Ki)	HDAC3 11 nM (Ki)	HDAC2 14 nM (Ki)
HDAC6 15 nM (Ki)	HDAC10 20 nM (Ki)		

In Vitro

CRA-026440 has antiproliferative effect on HUVEC endothelial cells with a GI_{50} value of 1.41 μM[1].

CRA-026440 (0.1-10 μM; 18 hours) results in the accumulation of acetylated histone and acetylated tubulin, leading to an inhibition of tumor cell growth and the induction of apoptosis[1].

CRA-026440 (0.1-10 μM; 5 days) inhibits ex vivo angiogenesis in a dose-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	HCT116 cells
Concentration:	0.1 μM, 0.5 μM, 1 μM, 5 μM, 10 μM
Incubation Time:	18 hours
Result:	Resulted in the accumulation of both acetylated histones and acetylated tubulin. Induced expression of the cyclin-dependent kinase inhibitor p21Cip1/WAF1.

In Vivo

CRA-026440 (100 mg/kg; i.v.; daily; for three consecutive days) results in a statistically significant reduction in tumor growth in mice harboring HCT116 or U937 human tumor xenografts[1].

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

Animal Model:	HCT-116 tumor-bearing nude mice[1]
Dosage:	100 mg/kg
Administration:	i.v.; daily; for three consecutive days
Result:	Resulted in a statistically significant reduction in tumor growth.

REFERENCES

[1]. Cao ZA, et al. CRA-026440: a potent, broad-spectrum, hydroxamic histone deacetylase inhibitor with antiproliferative and antiangiogenic activity in vitro and in vivo. Mol Cancer Ther. 2006 Jul;5(7):1693-701.

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