# CRA-026440 hydrochloride

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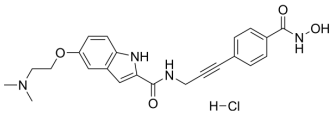
| **Cat. No.:** | HY-19754A |
| **CAS No.:** | 847459-98-7 |
| **Molecular Formula:** | $C_{23}H_{25}ClN_4O_4$ |
| **Molecular Weight:** | 456.92 |
| **Target:** | HDAC; Apoptosis |
| **Pathway:** | Cell Cycle/DNA Damage; Epigenetics; Apoptosis |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (218.86 mM; Need ultrasonic)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 2.1886 mL | 10.9428 mL | 21.8857 mL |
| | 5 mM | 0.4377 mL | 2.1886 mL | 4.3771 mL |
| | 10 mM | 0.2189 mL | 1.0943 mL | 2.1886 mL |

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

## BIOLOGICAL ACTIVITY

**Description**

CRA-026440 hydrochloride is a potent, broad-spectrum HDAC (HDAC) inhibitor. The $K_i$ values against recombinant HDAC isoenzymes HDAC1, HDAC2, HDAC3, HDAC6, HDAC8, and HDAC10 are 4 nM, 14 nM, 11 nM, 15 nM, 7 nM, and 20 nM respectively. CRA-026440 hydrochloride shows antitumor and antiangiogenic activities[1]. CRA-026440 (hydrochloride) 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**

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|---|---|---|---|
| HDAC1<br>4 nM ($IC_{50}$) | HDAC2<br>14 nM ($IC_{50}$) | HDAC3/SMRT<br>11 nM ($IC_{50}$) | HDAC6<br>15 nM ($IC_{50}$) |
| HDAC8<br>7 nM ($IC_{50}$) | HDAC10<br>20 nM ($IC_{50}$) | | |

**In Vitro**

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

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| 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 hydrochloride (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.

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| 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]. [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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