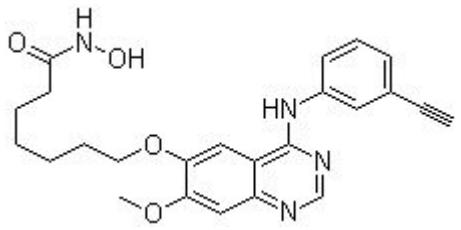
Product Introduction

CUDC-101CP

CUDC-101 is a potent multi-targeted inhibitor against **HDAC**, **EGFR** and HER2 with **IC50** of 4.4 nM, 2.4 nM, and 15.7 nM, and inhibits class I/II HDACs, but not class III, Sir-type HDACs. Phase 1.

Technical Data:

Molecular Weight (MW):	434.49	
Formula:	$C_{24}H_{26}N_4O_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 20 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1012054-59-9	

Biological Activity

Specific for class I and class II HDACs, CUDC-101 does not inhibit class III Sir-type HDACs. CUDC-101 displays weak activity against other protein kinases including KDR/VEGFR2, Lyn, Lck, Abl-1, FGFR-2, Flt-3, and Ret with IC50 of 0.85 μM, 0.84 μM, 5.91 μM, 2.89 μM, 3.43 μM, 1.5 μM, abd 3.2 μM, respectively. CUDC-101 displays broad antiproliferative activity in many human cancer cell types with IC50 of 0.04-0.80 μM, exhibiting a higher potency than erlotinib, lapatinib, and combinations of vorinostat with either erlotinib or lapatinib in most cases. CUDC-101 potently inhibits lapatinib- and erlotinib-resistant cancer cell

lines. [1] CUDC-101 inhibits the erlotinib-resistant EGFR mutant T790M although its effects are incomplete with an Amax of ~60% of peak enzyme activity after inhibition. CUDC-101 treatment increases the acetylation of histone H3 and H4, as well as the acetylation of non-histone substrates of HDAC such as p53 and α-tubulin, in a dose-dependant manner in various cancer cell lines. CUDC-101 also suppresses HER3 expression, Met amplification, and AKT reactivation in tumor cells. [2

Administration of CUDC-101 at 120 mg/kg/day induces tumor regression in the Hep-G2 liver cancer model, which is more efficacious than that of erlotinib at its maximum tolerated dose (25 mg/kg/day) and vorinostat at an equimolar concentration dose (72 mg/kg/day). CUDC-101 inhibits the growth of erlotinib-sensitive H358 NSCLC xenografts in a dose-dependent manner. CUDC-101 also shows potent inhibition of tumor growth in the erlotinib-resistant A549 NSCLC xenograft model. CUDC-101 produces significant tumor regression in the lapatinib-resistant, HER2-negative, EGFR-overexpressing MDA-MB-468 breast cancer model and the EGFR-overexpressing CAL-27 head and neck squamous cell carcinoma (HNSCC) model. Additionally, CUDC-101 inhibits tumor growth in the K-ras mutant HCT116 colorectal and EGFR/HER2 (neu)-expressing HPAC pancreatic cancer models. [1]

]References

[1] Cai X, et al. J Med Chem, 2010, 53(5), 2000-2009.

[2] Lai CJ, et al. Cancer Res, 2010, 70(9), 3647-3656.

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