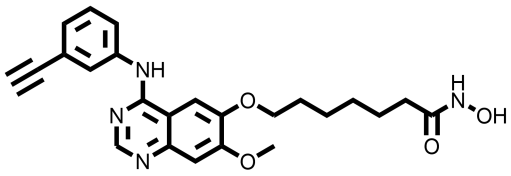
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

HDAC/EGFR/HER2 Inhibitor – CUDC-101

Chemical Name: 7-(4-(3-ethynylphenylamino)-7-methoxyquinazolin-6-yloxy)-N-hydroxyheptanamide



Molecular Weight:	434.49
Formula:	$C_{24}H_{26}N_4O_4$
Purity:	≥ 98%
CAS#:	1012054-59-9
Solubility:	DMSO up to 100 mM
Storage	Powder: 4°C 1 year DMSO: 4°C 3 month -20°C 1 year

Biological Activity:

CUDC-101 is a potent multi-target inhibitor targeting HDAC, EGFR and HER2 with IC_{50} of 4.4 nM, 2.4 nM, and 15.7 nM, respectively. It is specific for class I and class II HDACs, not for class III Sir-type HDACs, and has > 50-fold selectivity against other protein kinases. CUDC-101 displays broad antiproliferative activity in many human cancer cell types with IC_{50} 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. It can inhibit EGFR and Her2 phosphorylation, reduce cell proliferation and induce apoptosis in HCC827 non-small cell lung cancer (NSCLC) xenografts. It inhibits EGFR and induces upregulation of acetylated histone H3 in a dose-dependent fashion. In vivo CUDC-101 promotes tumor regression in various cancer xenograft models such as non-small cell lung cancer (NSCLC), liver, breast, head and neck, colon, and pancreatic cancers. Currently it is in Phase I clinical trials for advanced head and neck, gastric, breast, liver and non-small cell lung cancer tumors.

How to Use:

In vitro: CUDC-101 was used at 1 μM final concentration in vitro and in cellular assays.

In vivo: CUDC-101 was IP or IV dosed to mice at 120 mg/kg once per day in the xenograft tumor model of Hep-G2, H358, A549, MDA-MB468, HCT116, CAL-27, HepG2, or HPAC.

Reference:

1. Cai X, et al. Discovery of 7-(4-(3-ethynylphenylamino)-7-methoxyquinazolin-6-yloxy)-N-hydroxyheptanamide (CUDc-101) as a potent multi-acting HDAC, EGFR, and HER2 inhibitor for the treatment of cancer. (2010) J Med Chem. 53(5):2000-9.
2. Lai CJ, et al. CUDC-101, a multitargeted inhibitor of histone deacetylase, epidermal growth factor receptor, and human epidermal growth factor receptor 2, exerts potent anticancer activity. (2010) Cancer Res. 70(9):3647-56.