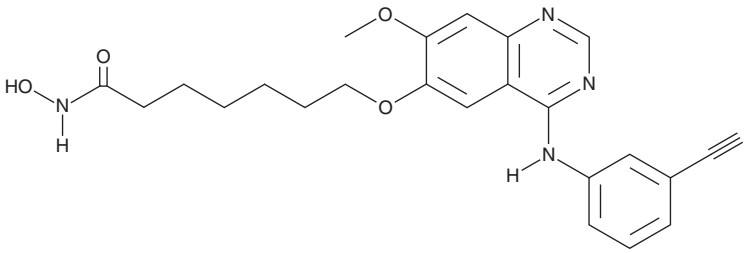
PRODUCT INFORMATION

CUDC-101

Item No. 16426

CAS Registry No.:	1012054-59-9
Formal Name:	7-[[4-[(3-ethynylphenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-hydroxy-heptanamide
MF:	$C_{24}H_{26}N_4O_4$
FW:	434.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 225, 247, 334 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

CUDC-101 is supplied as a crystalline solid. A stock solution may be made by dissolving the CUDC-101 in the solvent of choice, which should be purged with an inert gas. CUDC-101 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of CUDC-101 in these solvents is approximately 2 and 10 mg/ml, respectively.

CUDC-101 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CUDC-101 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. CUDC-101 has a solubility of approximately 0.1 mg/ml in a 1:9 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

CUDC-101 is a multi-target inhibitor, combining functional groups of potent inhibitors of human epidermal growth factor receptor (HER) kinases and histone deacetylases (HDACs). It potently blocks the receptor tyrosine kinases EGFR (aka HER1) and HER2 (IC_{50}s = 2.4 and 16.4 nM, respectively).[1] CUDC-101 also inhibits the activity of class I and class II HDACs at nanomolar concentrations (*e.g.*, IC_{50}s = 4.5, 12.6, 13.2, and 11.4 nM for HDAC1, 2, 4, and 5, respectively).[1] It has only weak effects on over 60 other kinases when tested at 5 µM.[1] CUDC-101 prevents the growth of a wide range of cancer cell lines *in vitro*, and slows tumor growth or induces tumor regression through cancer cell apoptosis in xenograft models.[1] In cancer cells that have acquired resistance to single-target EGFR inhibitors, CUDC-101 blocks proliferation and reduces cell migration.[2]

References

1. Lai, C.J., Bao, R., Tao, W., *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. *Cancer Res.* **70(9)**, 3647-3656 (2010).
2. Wang, J., Pursell, N.W., Samson, M.E.S., *et al.* Potential advantages of CUDC-101, a multitargeted HDAC, EGFR, and HER2 inhibitor, in treating drug resistance and preventing cancer cell migration and invasion. *Mol. Cancer Ther.* **12(6),** 925-936 (2013).

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