TOLL FREE PHONE 1 800 667 0322 • PHONE +1 604 877 0713
INFO@STEMCELL.COM • TECHSUPPORT@STEMCELL.COM
FOR GLOBAL CONTACT DETAILS VISIT WWW.STEMCELL.COM

CUDC-101

Histone modifier; Inhibits EGFR and histone deacetylase

Catalog #100-1559 5 mg

Product Description

CUDC-101 is a potent inhibitor of class I and II histone deacetylases (HDACs; IC_{50} = 4.5 - 13.5 nM), epidermal growth factor receptor (EGFR, also known as HER1; IC_{50} = 2.4 nM), and human epidermal growth factor receptor 2 (HER2; IC_{50} = 16.4 nM) in cancer cells (Lai et al.). By integrating multiple inhibitory functional groups, CUDC-101 can simultaneously inhibit HDACs substrate bonding and compete with ATP binding to function as an EGFR and HER2 inhibitor (Cai et al.). HDAC inhibitors have also been associated with immune response modulation by regulating expression of molecules that impact antigen presentation and immune cell activation (Banik et al.).

Alternative Names: Not applicable

CAS Number: 1012054-59-9

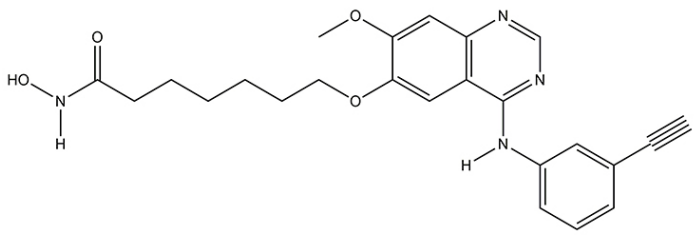
Chemical Formula: $C_{24}H_{26}N_4O_4$

Molecular Weight: 434.5 g/mol

Purity: ≥ 98%

Chemical Name: 7-[[4-[(3-ethynylphenyl)amino]-7-methoxy-6-quinazolinyl]oxy]-N-hydroxy-heptanamide

Structure:



Properties

Product Format: A crystalline solid

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- DMSO ≤ 4.6 mM
- DMF ≤ 20 mM

For example, to prepare a 10 mM stock solution in DMF, resuspend 1 mg in 230 µL of DMF.
For example, to prepare a 2 mM stock solution in DMSO, resuspend 1 mg in 1 mL of DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported; however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMF or DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

- Inhibits cell proliferation, promotes cell death, reduces cell migration in human anaplastic thyroid cancer cell lines, and inhibits tumor growth in a mouse model (Zhang et al.).
- Reduces tumor growth in mouse and human breast tumor organoids and enhances tumor antigen presentation in breast cancer cells (Zhou et al.).
- Induces cell cycle arrest and cell death in human multiple myeloma cells and reduces tumor size in a mouse xenograft model (Cao et al.).

References

Banik D et al. (2019) Immunoepigenetics combination therapies: An overview of the role of HDACs in cancer immunotherapy. Int J Mol Sci 20(9): 2241.
Cai X et al. (2010) 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. J Med Chem 53(5): 2000–9.
Cao W et al. (2023) CUDC-101 as a dual-target inhibitor of EGFR and HDAC enhances the anti-myeloma effects of bortezomib by regulating G2/M cell cycle arrest. J Zhejiang Univ Sci B 24(5): 442–54.
Lai CJ et al. (2010) 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): 3647–56.
Zhang L et al. (2015) Dual inhibition of HDAC and EGFR signaling with CUDC-101 induces potent suppression of tumor growth and metastasis in anaplastic thyroid cancer. Oncotarget 6(11): 9073–85.
Zhou Z et al. (2021) An organoid-based screen for epigenetic inhibitors that stimulate antigen presentation and potentiate T-cell-mediated cytotoxicity. Nat Biomed Eng 5(11): 1320–35.

Related Products

For a complete list of small molecules available from STEMCELL Technologies, visit www.stemcell.com/smallmolecules or contact us at techsupport@stemcell.com.