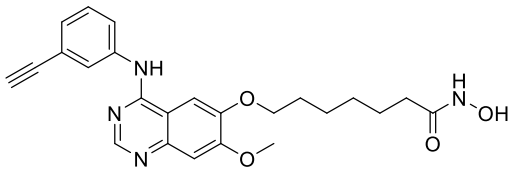
Product data sheet

MedKoo Cat#: 200841 Name: CUDC-101 CAS#: 1012054-59-9 Chemical Formula: $C_{24}H_{26}N_4O_4$ Exact Mass: 434.19541 Molecular Weight: 434.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

CUDC-101 is a multi-targeted, small-molecule inhibitor of histone deacetylase (HDAC), epidermal growth factor receptor tyrosine kinase (EGFR/ErbB1), and human epidermal growth factor receptor 2 tyrosine kinase (HER2/neu or ErbB2) with potential antineoplastic activity. HDAC/EGFR/HER2 inhibitor CUDC-101 inhibits the activity of these three enzymes but the exact mechanism of action is presently unknown. This agent may help overcome resistance to inhibition of EGFR and Her2 through a simultaneous, synergistic inhibition of EGFR, Her2, and HDAC.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	15.67	36.07
DMF	10.0	23.02
DMF:PBS (pH 7.2) (1:9)	0.1	0.23

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.30 mL	11.51 mL	23.02 mL
5 mM	0.46 mL	2.30 mL	4.60 mL
10 mM	0.23 mL	1.15 mL	2.30 mL
50 mM	0.05 mL	0.23 mL	0.46 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Zhang T, Ma D, Wei D, Lu T, Yu K, Zhang Z, Wang W, Fang Q, Wang J. CUDC-101 overcomes arsenic trioxide resistance via caspase-dependent promyelocytic leukemia-retinoic acid receptor alpha degradation in acute promyelocytic leukemia. Anticancer Drugs. 2020 Feb;31(2):158-168. doi: 10.1097/CAD.0000000000000847. PMID: 31584454.
2. Jin JX, Li S, Hong Y, Jin L, Zhu HY, Guo Q, Gao QS, Yan CG, Kang JD, Yin XJ. CUDC-101, a histone deacetylase inhibitor, improves the in vitro and in vivo developmental competence of somatic cell nuclear transfer pig embryos. Theriogenology. 2014 Mar 1;81(4):572-8. doi: 10.1016/j.theriogenology.2013.11.011. Epub 2013 Nov 21. PMID: 24342668.

In vivo study

1. Sun H, Mediwala SN, Szafran AT, Mancini MA, Marcelli M. CUDC-101, a Novel Inhibitor of Full-Length Androgen Receptor (flAR) and Androgen Receptor Variant 7 (AR-V7) Activity: Mechanism of Action and In Vivo Efficacy. Horm Cancer. 2016 Jun;7(3):196-210. doi: 10.1007/s12672-016-0257-2. Epub 2016 Mar 8. PMID: 26957440; PMCID: PMC4896833.

2. Zhang L, Zhang Y, Mehta A, Boufraqech M, Davis S, Wang J, Tian Z, Yu Z, Boxer MB, Kiefer JA, Copland JA, Smallridge RC, Li Z, Shen M, Kebebew E. Dual inhibition of HDAC and EGFR signaling with CUDC-101 induces potent suppression of tumor growth and metastasis in anaplastic thyroid cancer. Oncotarget. 2015 Apr 20;6(11):9073-85. doi: 10.18632/oncotarget.3268. PMID: 25940539; PMCID: PMC4496203.

7. Bioactivity

Biological target:

CUDC-101 is a potent inhibitor of HDAC, EGFR, and HER2 with IC50s of 4.4, 2.4, and 15.7 nM, respectively.

In vitro activity

Further mechanistic studies show that CUDC-101 triggers caspase-dependent degradation of the promyelocytic leukemia-retinoic acid receptor alpha fusion protein. As a result, APL and ATO-resistant APL cells undergo apoptosis upon CUDC-101 treatment and this apoptosis-inducing effect is even stronger than that of ATO.

Reference: Anticancer Drugs. 2020 Feb;31(2):158-168. https://pubmed.ncbi.nlm.nih.gov/31584454/

In vivo activity

This study first evaluated if pretreatment could reduce the rate of metastasis to assess the possible effects of CUDC-101 as an adjuvant therapy, and found that pre-treatment with CUDC-101 significantly reduced ATC metastasis in the mice (Figure 6A–6B).

Reference: Oncotarget. 2015 Apr 20; 6(11): 9073–9085. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC4496203/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.