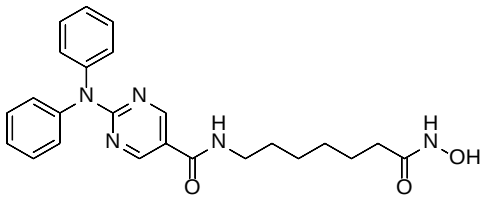
Product data sheet

MedKoo Cat#: 205808 Name: Rocilinostat CAS#: 1316214-52-4 Chemical Formula: $C_{24}H_{27}N_5O_3$ Exact Mass: 433.2114 Molecular Weight: 433.50	
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:

Rocilinostat, previously known as ACY-1215, is an orally bioavailable, specific inhibitor of histone deacetylase 6 (HDAC6) with potential antineoplastic activity. ACY-1215 selectively targets and binds to HDAC6, thereby disrupting the Hsp90 protein chaperone system through hyperacetylation of Hsp90 and preventing the subsequent aggresomal protein degradation. This leads to an accumulation of unfolded and misfolded ubiquitinated proteins and may eventually induce cancer cell apoptosis, and inhibition of cancer cell growth. HDAC6, a class II HDAC deacetylase located in the cytoplasm, appears to play a key role in the formation and activation of the aggresomes needed for degradation of misfolded proteins. Compared to non-selective HDAC inhibitor, ACY-1215 is able to reduce the toxic effects on normal, healthy cells.

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	71.0	163.78
DMF	5.0	11.53
DMSO:PBS (pH 7.2) (1:1)	0.50	1.15

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.31 mL	11.53 mL	23.07 mL
5 mM	0.46 mL	2.31 mL	4.61 mL
10 mM	0.23 mL	1.15 mL	2.31 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. Cao J, Lv W, Wang L, Xu J, Yuan P, Huang S, He Z, Hu J. Ricolinostat (ACY-1215) suppresses proliferation and promotes apoptosis in esophageal squamous cell carcinoma via miR-30d/PI3K/AKT/mTOR and ERK pathways. Cell Death Dis. 2018 Jul 26;9(8):817. doi: 10.1038/s41419-018-0788-2. PMID: 30050135; PMCID: PMC6062526.

In vivo study

1. Li L, Liu F, Huang W, Wang J, Wan Y, Li M, Pang Y, Yin Z. Ricolinostat (ACY-1215) inhibits VEGF expression via PI3K/AKT pathway and promotes apoptosis in osteoarthritic osteoblasts. Biomed Pharmacother. 2019 Oct;118:109357. doi: 10.1016/j.biopha.2019.109357. Epub 2019 Aug 21. PMID: 31548177.

7. Bioactivity

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Biological target: Ricolinostat (ACY-1215) is a selective HDAC6 inhibitor with an IC50 of 5 nM.

In vitro activity

The HDAC6-selective inhibitor ACY-1215 inhibited cellular proliferation and caused G2/M arrest and apoptosis via PI3K/AKT/mTOR and ERK pathways. Regulatory proteins involved in G2/M transition and dysregulated in ESCC were variously downregulated (survivin, cdc2, P-P53, and cyclin A2) and upregulated (P21) by ACY-1215 treatment. Survivin is also an inhibitor of apoptosis, wherein survivin inhibition amplifies caspase activation. In addition to increasing apoptosis through the commonly activated caspase pathway, ACY-1215 also increased Bax and Bim protein expression and reduced Bcl2 protein levels. ACY-1215 not only effectively inhibited phosphorylation ERK, but also inhibited PI3K/AKT/mTOR signaling pathways.

Reference: Cell Death Dis. 2018 Jul 26;9(8):817. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6062526/

In vivo activity

To further validate the effects of ACY-1215 in vivo, EC109 cells were injected subcutaneously into the BALB/c nude mice. Ten days after injection, mice were divided into control group and ACY-1215 treatment group, and the latter group was treated with ACY-1215 50 mg/kg via intraperitoneally. Following three cycles of therapy, the ACY-1215 treatment group led to a statistically significant tumor growth slower than those in the control group (Fig. 8a–c). These findings suggested that ACY-1215 inhibited tumor growth in vivo.

Reference: Cell Death Dis. 2018 Jul 26;9(8):817. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC6062526/

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.