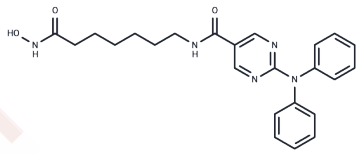
Data Sheet (Cat.No.T2489)

TargetMol

Ricolinostat

Chemical Properties



CAS No. : 1316214-52-4

Formula: C24H27N5O3

Molecular Weight: 433.5

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Ricolinostat (Rocilinostat) is an orally bioavailable, specific inhibitor of histone deacetylase 6 (HDAC6) with potential antineoplastic activity.
Targets(IC50)	Apoptosis,HDAC
In vitro	ACY-1215 is readily absorbed by tumor tissues and does not accumulate within them in both multiple myeloma models and diffuse MM models, effectively inhibiting tumor growth.
In vivo	ACY-1215, at very low dosages, significantly induces acetylation of α-tubulin while at higher dosages, it leads to the acetylation of lysine residues on histone proteins H3 and H4. ACY-1215 demonstrates slight activity against HDAC4, HDAC5, HDAC7, HDAC9, HDAC11, Sirtuin1, and Sirtuin2, with an IC50 of 0.1 μM specifically for HDAC8.
Kinase Assay	ACY-1215 is dissolved and subsequently diluted in assay buffer [50 mM HEPES, pH 7.4, 100 mM KCl, 0.001% Tween-20, 0.05% BSA, and 20 μM tris(2-carboxyethyl)phosphine] to 6-fold the final concentration. HDAC enzymes are diluted to 1.5-fold of the final concentration in assay buffer and pre-incubated with ACY-1215 for 10 minutes before the addition of the substrate. The amount of FTS (HDAC1, HDAC2, HDAC3, and HDAC6) or MAZ-1675 (HDAC4, HDAC5, HDAC7, HDAC8, and HDAC9) used for each enzyme is equal to the Michaelis constant (Km), as determined by a titration curve. FTS or MAZ-1675 is diluted in assay buffer to 6-fold the final concentration with 0.3 μM sequencing grade trypsin. The substrate/trypsin mix is added to the enzyme/compound mix and the plate is shaken for 60 seconds and then placed into a SpectraMax M5 microtiter plate reader. The enzymatic reaction is monitored for release of 7-amino-4-methoxy-coumarin over 30 minutes, after deacetylation of the lysine side chain in the peptide substrate, and the linear rate of the reaction is calculated[1].
Cell Research	ACY-1215 is dissolved in DMSO (10 mM) and stored, and then in diluted with appropriate culture medium before use[1]. The effect of ACY-1215 with or without Bortezomib on the viability of MM cell lines, patient MM cells, and PBMCs is assessed by measuring MTT dye absorbance. PBMCs from healthy donors are isolated and stimulated with 2.5 μg/mL of phytohemagglutinin (PHA) for 48 hours in the presence of increasing concentrations of ACY-1215. DNA synthesis is measured by tritiated thymidine uptake. CD4+ T cells are purified from human blood with the Rosette Sep negative-selection kit. Cells are stimulated by CD3/CD28 Dynabeads for 7 days in the presence of compounds. Cell viability is assessed using alamarBlue. MM cells (2-3×104 cells/well) are incubated in

Cell Research	96-well culture plates with medium and different concentrations of ACY-1215, Bortezomib, and/or recombinant IL-6 (10 ng/mL) or insulin-like growth factor-1 (IGF-1; 50 ng/mL) for 24 hours at 37°C, and tritiated thymidine incorporation is measured[1].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 127.5 mg/mL (294.12 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.61 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3068 mL	11.534 mL	23.0681 mL
5 mM	0.4614 mL	2.3068 mL	4.6136 mL
10 mM	0.2307 mL	1.1534 mL	2.3068 mL
50 mM	0.0461 mL	0.2307 mL	0.4614 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Santo L, et al. Blood, 2012, 119(11), 2579-2589.
Dai C, Wang X, Liu R, et al.ACY1215 Exerts Anti-inflammatory Effects by Inhibition of NF-κB and STAT3 Signaling Pathway to Repair Spinal Cord Injury.Biological and Pharmaceutical Bulletin.2024, 47(10): 1734-1745.