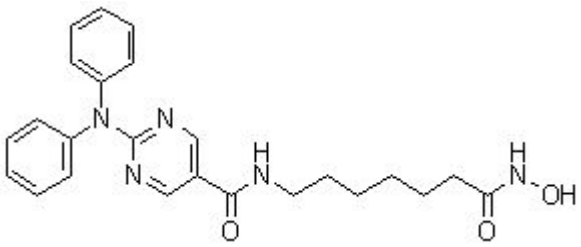
Product Introduction

Rocilinostat (ACY-1215)

Rocilinostat (ACY-1215) is a selective **HDAC6** inhibitor with **IC50** of 5 nM. It is >10-fold more selective for HDAC6 than HDAC1/2/3 (class I HDACs) with slight activity against HDAC8, minimal activity against HDAC4/5/7/9/11, Sirtuin1, and Sirtuin2.

Technical Data:

Molecular Weight (MW):	433.5	
Formula:	$C_{24}H_{27}N_5O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 87 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1316214-52-4	

Biological Activity

ACY-1215 is a hydroxamic acid derivative. ACY-1215 is 12-, 10-, and 11-fold less active against HDAC1, HDAC2, and HDAC3 (class I HDACs), respectively. ACY-1215 has minimal activity (IC50 > 1μM) against HDAC4, HDAC5, HDAC7, HDAC9, HDAC11, Sirtuin1, and Sirtuin2, and has slight activity against HDAC8 (IC50 = 0.1μM). The IC50 values for ACY-1215 for T-cell toxicity is 2.5μM. ACY-1215 overcomes tumor cell

growth and survival conferred by BMSCs and cytokines in the BM milieu. ACY-1215 in combination with bortezomib induces synergistic anti-MM activity. ACY-1215 induces potent acetylation of α-tubulin at very low doses and triggers acetylation of lysine on histone H3 and histone H4 only at higher doses, confirming its specific inhibitory effect on HDAC6 activity. [1]

ACY-1215 in combination with bortezomib triggered more significant anti-MM activity than either agent alone in suppressing tumor growth and prolonging survival in both plasmacytoma model and disseminated MM model without significant adverse effects. ACY-1215 is readily absorbed by tumor tissue. Moreover, the drug does not accumulate in tumor tissue, as evidenced by the parallel decline of acetylated α-tubulin in blood cells and tumor tissue by 24 hours after dose. [1]

Induced less cytotoxicity in PHA-stimulated PBMCs from 4 healthy donors compared with the pan-HDAC inhibitor SAHA.

References

[1] Santo L, et al. Blood, 2012, 119(11), 2579-2589.

Note： Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer’s risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.