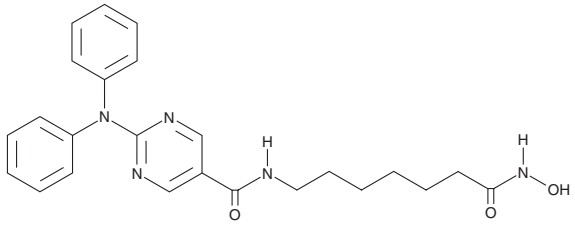
PRODUCT INFORMATION

ACY-1215

Item No. 21531

CAS Registry No.:	1316214-52-4
Formal Name:	2-(diphenylamino)-N-[7-(hydroxyamino)-7-oxoheptyl]-5-pyrimidinecarboxamide
Synonyms:	ACY-63, Ricolinostat, Rocilinostat
MF:	$C_{24}H_{27}N_5O_3$
FW:	433.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 289 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

ACY-1215 is supplied as a crystalline solid. A stock solution may be made by dissolving the ACY-1215 in the solvent of choice, which should be purged with an inert gas. ACY-1215 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of ACY-1215 in these solvents is approximately 5 mg/ml.

ACY-1215 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ACY-1215 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ACY-1215 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ACY-1215 is an inhibitor of the class IIb histone deacetylase (HDAC) HDAC6 (IC_{50} = 0.0047 µM).[1] It is selective for HDAC6 over the class I HDACs HDAC1, -2, -3, and -8 (IC_{50}s = 0.058, 0.048, 0.051, and 0.1 µM, respectively), class IIa HDACs HDAC4, -5, -7, and -9 (IC_{50}s = 7, 5, 1.4 and >10 µM, respectively), class III HDACs sirtuin 1 (SIRT1) and SIRT2 (IC_{50}s = >10 µM for both), and the class IV HDAC HDAC11 (IC_{50} = >10 µM). ACY-1215 (0.5-2.5 µM) induces accumulation of acetylated α-tubulin in OCI-LY10 diffuse large B cell lymphoma (DLBCL) cells and induces cytotoxicity in several multiple myeloma cell lines (IC_{50}s = 2-8 µM).[1,2] It decreases tumor volume and increases survival in an OCI-LY10 mouse xenograft model when administered at a dose of 50 mg/kg in combination with the 20S proteasome inhibitor bortezomib (Item No. 10008822).[2] ACY-1215 also decreases liver and kidney cyst area and liver fibrosis in PCK rats in a model of polycystic liver disease.[3]

References

1. Santo, L., Hideshima, T., Kung, A.L., *et al. Blood* **119(11)**, 2579-2589 (2012).
2. Amengual, J.E., Johannet, P., Lombardo, M., *et al. Clin. Cancer Res.* **21(20)**, 4663-4675 (2015).
3. Gradilone, S.A., Habringer, S., Masyuk, T.V., *et al. Am. J. Pathol.* **184(3)**, 600-608 (2014).

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