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ACY-1215 (Rocilinostat)

产品编号: D50751
CAS: 1316214-52-4
分子式: C24H27N5O3
纯度: ≥98%
InChi: InChI=1S/C24H27N5O3/c30-22(28-32)15-9-1-2-10-16-25-23(31)19-17-26-24(27-18-19)29(20-11-5-3-6-12-20)21-13-7-4-8-14-21/h3-8,11-14,17-18,32H,1-2,9-10,15-16H2,(H,25,31)(H,28,30)
InChi Key: QGZYDVAGYRLSKP-UHFFFAOYSA-N
Smiles: ONC(=O)CCCCCCNC(=O)C1C=NC(=NC=1)N(C1C=CC=CC=1)C1C=CC=CC=1
外观: 固体粉末
作用通路: Apoptosis
溶解性: DMSO up to 100 mM
保存条件: Store in dry, dark place for one year.
产品介绍: ACY-1215 (Rocilinostat) is a highly potent, selective, and orally bioavailable inhibitor of histone deacetylase 6 (HDAC6). It inhibits HDAC6 with an enzymatic IC50 ~ 5nM, and has about 10 fold selectivity against HDAC1, HDAC2, and HDAC3 (58 nM, 48 nM, 51 nM). It has minimal activity (IC50 >1 μM) against HDAC4, HDAC5, HDAC7, HDAC9, HDAC11, Sirtuin1, and Sirtuin2, and has slight activity against HDAC8 (IC50 ~0.1 μM). ACY-1215 selectively targets and binds to HDAC6, thereby disrupting the Hsp90 protein chaperone system through hyperacetylation of Hsp90 and preventing the subsequent aggresome 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. Low doses of ACY-1215 combined with bortezomib triggered synergistic anti- multiple myeloma (anti-MM) activity, resulting in protracted endoplasmic reticulum stress and apoptosis via activation of caspase-3, caspase-8, and caspase-9 and poly (ADP) ribosome polymerase. In vivo, the anti-MM activity of ACY-1215 in combination with bortezomib was confirmed using 2 different xenograft SCID mouse models. Currently ACY-1215 is in phase I clinical trials in combination with Lenalidomide and Dexamethasone for patients with multiple myeloma.