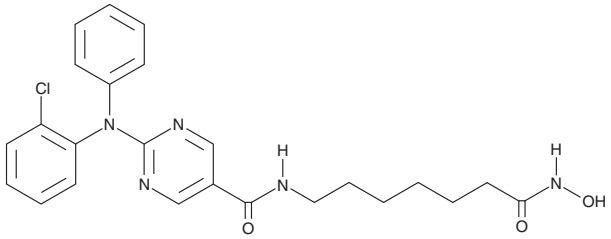
PRODUCT INFORMATION

ACY-241

Item No. 26173

CAS Registry No.:	1316215-12-9
Formal Name:	2-[(2-chlorophenyl)phenylamino]-N-[7-(hydroxyamino)-7-oxoheptyl]-5-pyrimidinecarboxamide
Synonym:	Citarinostat
MF:	$C_{24}H_{26}ClN_5O_3$
FW:	468.0
Purity:	≥95%
UV/Vis.:	λ_{max}: 284 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-241 is supplied as a crystalline solid. A stock solution may be made by dissolving the ACY-241 in the solvent of choice. ACY-241 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of ACY-241 in these solvents is approximately 5 mg/ml.

ACY-241 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ACY-241 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. ACY-241 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-241 is an inhibitor of histone deacetylase 6 (HDAC6; IC_{50} = 2.6 nM).[1] It is selective for HDAC6 over HDAC1-3, HDAC7, and HDAC9 (IC_{50}s = 35, 45, 46, 7,300, and 137 nM, respectively), as well as HDAC4, HDAC5, and HDAC9 (IC_{50} = >20,000 nM for all). It reduces proliferation of A2780, TOV-21G, and MDA-MB-231 cells when used at a concentration of 3 µM and completely inhibits it and induces apoptosis at a concentration of 10 µM. ACY-241, when used in combination with paclitaxel (Item No. 10461), inhibits proliferation in MiaPaCa-2, TOV-21G, and T47D cells. It also reduces tumor growth in a MiaPaCa-2 mouse xenograft model when administered at a dose of 50 mg/kg in combination with paclitaxel. ACY-241, in combination with the somatostatin receptor agonist pasireotide (Item No. 24092), reduces hepatorenal cystogenesis in a rat model of polycystic liver disease.[2]

References

1. Huang, P., Almeciga-Pinto, I., Jarpe, M., *et al.* Selective HDAC inhibition by ACY-241 enhances the activity of paclitaxel in solid tumor models. *Oncotarget* **8(2),** 2694-2707 (2017).
2. Lorenzo Pisarello, M., Masyuk, T.V., Gradilone, S.A., *et al.* The combination of an HDAC6 inhibitor and a somatostatin receptor agonist synergistically reduces hepato-renal cystogenesis in an animal model of polycystic liver disease. *Am. J. Pathol.* **188(4),** 981-994 (2018).

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