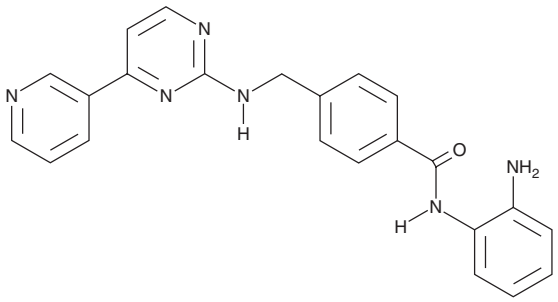
PRODUCT INFORMATION

Mocetinostat

Item No. 18287

CAS Registry No.:	726169-73-9
Formal Name:	N-(2-aminophenyl)-4-[[[4-(3-pyridinyl)-2-pyrimidinyl]amino]methyl]-benzamide
Synonym:	MGCD0103
MF:	$C_{23}H_{20}N_6O$
FW:	396.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 237 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

Mocetinostat is supplied as a crystalline solid. A stock solution may be made by dissolving the mocetinostat in the solvent of choice, which should be purged with an inert gas. Mocetinostat is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of mocetinostat in these solvents is approximately 20 and 25 mg/ml, respectively.

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

Description

Mocetinostat is an orally available inhibitor of histone deacetylases (HDACs) that selectively targets HDAC1 and 2 (IC_{50}s = 0.15 and 0.29 µM, respectively), less potently inhibits HDAC3 and 11 (IC_{50}s = 1.66 and 0.59 µM, respectively) and has negligible actions against HDAC4-8.[1,2] It induces hyperacetylation of histones, causes cell cycle blockade, and induces apoptosis in cancer cells *in vitro*.[1,3] Mocetinostat also has antitumor activity *in vivo*, blocking the growth of human tumor xenografts in mice.[1] It also significantly reduces cardiac fibrosis following ischemic heart failure in mice.[4]

References

1. Fournel, M., Bonfils, C., Hou, Y., *et al.* MGCD0103, a novel isotype-selective histone deacetylase inhibitor, has broad spectrum antitumor activity *in vitro* and *in vivo*. *Mol. Cancer Ther.* **7(4)**, 759-768 (2008).
2. Marson, C.M., Matthews, C.J., Atkinson, S.J., *et al.* Potent and selective inhibitors of histone deacetylase-3 containing chiral oxazoline capping groups and a N-(2-aminophenyl)-benzamide binding unit. *J. Med. Chem.* **58(17)**, 6803-6818 (2015).
3. Bonfils, C., Kalita, A., Dubay, M., *et al.* Evaluation of the pharmacodynamic effects of MGCD0103 from preclinical models to human using a novel HDAC enzyme assay. *Clin. Cancer Res.* **14(11)**, 3441-3449 (2008).
4. Nural-Guvener, H., Zakharova, L., Feehery, L., *et al.* Anti-fibrotic effects of class I HDAC inhibitor, mocetinostat is associated with IL-6/Stat3 signaling in ischemic heart failure. *Int. J. Mol. Sci.* **16(5)**, 11482-11499 (2015).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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