

Catalog # 10-3306

Mocetinostat

CAS# 726169-73-9

N-(2-Aminophenyl)-4-[(4-pyridin-3-ylpyrimidin-2-ylamino)methyl]benzamide MGCD0103 Lot # X107856

Class I, isoform-selective HDAC inhibitor, IC $_{50}$ s=0.15, 0.29, 1.66 and 0.59 μ M for HDAC1, 2, 3 and 11 respectively. Induces hyperacetylation of histones, induces expression of the tumor suppressor p21WAF1 and inhibits proliferation of human cancer cells. Displays antifibrotic effects in ischemic heart failure. Attenuates the development of hypersensitivity in models of neuropathic pain. Active *in vivo*.

- 1) Zhou et al. (2008), Discovery of N-(2-aminophenyl)-4-[(4-pyridin-3-ulpyrimidin-2-ylamino)methyl]benzamide (MGCD0103), an orally active histone deacetylase inhibitor, J. Med. Chem., **51** 4072
- 2) Raeppel et al. (2009), SAR and biological evaluation of analogues of a small molecule histone deacetylase inhibitor N-(2-aminophenyl)-4((4-(pyridine-3-yl)pyrimidin-2-ylamino)methyl)benzamide (MGCD0103); Bioorg. Med. Chem. Lett., 19 644
- 3) Nural-Guvener et al. (2015), 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** 11482
- 4) Denk et al. (2013), HDAC inhibitors attenuate the development of hypersensitivity in models of neuropathic pain; Pain, 154 1668
- 5) Bonfils et al. (2008), Evaluation of the pharmacodynamics effects of MGCD0103 from preclinical models to human using a novel HDAC enzyme assay; Clin. Cancer Res., **14** 3441

PHYSICAL DATA

 $\begin{array}{lll} \mbox{Molecular Weight:} & 396.44 \\ \mbox{Molecular Formula:} & C_{23}\mbox{H}_{20}\mbox{N}_{6}\mbox{O} \\ \mbox{Purity:} & 98\% \ \mbox{by HPLC} \end{array}$

NMR: (Conforms)

Solubility: DMSO (up to 25 mg/ml)

Physical Description: Off-white solid

Storage and Stability: Store as supplied at room temperature for up to 1 year from the date of purchase. Solutions in

DMSO may be stored at -20°C for up to 2 months.

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