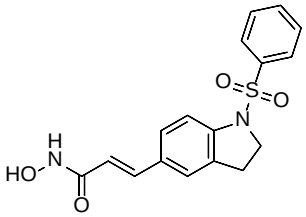
Product data sheet

MedKoo Cat#: 406486 Name: MPT0E028 CAS: 1338320-94-7 Chemical Formula: $C_{17}H_{16}N_2O_4S$ Exact Mass: 344.0831 Molecular Weight: 344.3849	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

MPT0E028 is a novel N-hydroxyacrylamide-derived HDAC inhibitor, inhibited human colorectal cancer HCT116 cell growth in vitro and in vivo. The results of NCI-60 screening showed that MPT0E028 inhibited proliferation in both solid and hematological tumor cell lines at micromolar concentrations, and was especially potent in HCT116 cells. MPT0E028 had a stronger apoptotic activity and inhibited HDACs activity more potently than SAHA, the first therapeutic HDAC inhibitor proved by FDA. In vivo murine model, the growth of HCT116 tumor xenograft was delayed and inhibited after treatment with MPT0E028 in a dose-dependent manner. Based on in vivo study, MPT0E028 showed stronger anti-cancer efficacy than SAHA. No significant body weight difference or other adverse effects were observed in both MPT0E028-and SAHA-treated groups. Taken together, our results demonstrate that MPT0E028 has several properties and is potential as a promising anti-cancer therapeutic drug. (PLoS One. 2012;7(8):e43645.)

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	100.0	290.37

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.90 mL	14.52 mL	29.04 mL
5 mM	0.58 mL	2.90 mL	5.81 mL
10 mM	0.29 mL	1.45 mL	2.90 mL
50 mM	0.06 mL	0.29 mL	0.58 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of “Calculator”

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Huang HL, Peng CY, Lai MJ, Chen CH, Lee HY, Wang JC, Liou JP, Pan SL, Teng CM. Novel oral histone deacetylase inhibitor, MPT0E028, displays potent growth-inhibitory activity against human B-cell lymphoma in vitro and in vivo. Oncotarget. 2015 Mar 10;6(7):4976-91. doi: 10.18632/oncotarget.3213. PMID: 25669976; PMCID: PMC4467128.
2. Huang HL, Lee HY, Tsai AC, Peng CY, Lai MJ, Wang JC, Pan SL, Teng CM, Liou JP. Anticancer activity of MPT0E028, a novel potent histone deacetylase inhibitor, in human colorectal cancer HCT116 cells in vitro and in vivo. PLoS One. 2012;7(8):e43645. doi: 10.1371/journal.pone.0043645. Epub 2012 Aug 22. Erratum in: PLoS One. 2012;7(9). doi: 10.1371/annotation/ab4fff87-6a32-4718-aa4c-91658f164b8d. Huang, Han-Lin [corrected to Huang, Han-Li]. PMID: 22928010; PMCID: PMC3425516.

In vivo study

1. Yeh LY, Fang YT, Lee HS, Liu CH, Chen YY, Lo YC, Laiman V, Liou JP, Chung KF, Chuang HC, Lin CH. A Potent Histone Deacetylase Inhibitor MPT0E028 Mitigates Emphysema Severity via Components of the Hippo Signaling Pathway in an

Product data sheet

Emphysematous Mouse Model. Front Med (Lausanne). 2022 May 18;9:794025. doi: 10.3389/fmed.2022.794025. PMID: 35665319; PMCID: PMC9157428.
2. Huang HL, Lee HY, Tsai AC, Peng CY, Lai MJ, Wang JC, Pan SL, Teng CM, Liou JP. Anticancer activity of MPT0E028, a novel potent histone deacetylase inhibitor, in human colorectal cancer HCT116 cells in vitro and in vivo. PLoS One. 2012;7(8):e43645. doi: 10.1371/journal.pone.0043645. Epub 2012 Aug 22. Erratum in: PLoS One. 2012;7(9). doi: 10.1371/annotation/ab4fff87-6a32-4718-aa4c-91658f164b8d. Huang, Han-Lin [corrected to Huang, Han-Li]. PMID: 22928010; PMCID: PMC3425516.

7. Bioactivity

Biological target:

MPT0E028 is an orally active and selective HDAC inhibitor with IC_{50}s of 53.0 nM, 106.2 nM, 29.5 nM for HDAC1, HDAC2 and HDAC6.

In vitro activity

This study demonstrated that MPT0E028, a novel HDAC inhibitor, reduces the viability of B-cell lymphomas by inducing apoptosis and shows a more potent HDAC inhibitory effect compared to SAHA, the first HDAC inhibitor approved by the FDA. In addition to HDACs inhibition, MPT0E028 also possesses potent direct Akt targeting ability as measured by the kinome diversity screening assay. Also, MPT0E028 reduces Akt phosphorylation in B-cell lymphoma with an IC50 value lower than SAHA.

Reference: Oncotarget. 2015 Mar 10;6(7):4976-91. https://pubmed.ncbi.nlm.nih.gov/25669976/

In vivo activity

A mouse model of porcine pancreatic elastase (PPE)-induced emphysema was orally administered 0, 25, or 50 mg/kg body weight (BW) of the MPT0E028 five times/week for 3 weeks. 50 mg/kg BW of the MPT0E028 significantly decreased the tidal volume in emphysematous mice ($p < 0.05$). Emphysema severity was significantly reduced from 26.65% (PPE only) to 13.83% (50 mg/kg BW of the MPT0E028).

Reference: Front Med (Lausanne). 2022 May 18;9:794025. https://pubmed.ncbi.nlm.nih.gov/35665319/