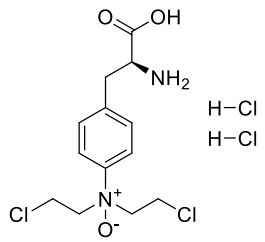
Product data sheet

MedKoo Cat#: 202350 Name: PX-478 HCl CAS#: 685898-44-6 (HCl) Chemical Formula: $C_{13}H_{20}Cl_4N_2O_3$ Molecular Weight: 394.11	
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:
PX-478 is an orally active small molecule that inhibits hypoxia-inducible factor 1-alpha (HIF1A) expression, potentially leading to decreased expression of genes important for tumor growth, reduced tumor cell proliferation, and induced apoptosis. Its mechanism of action is independent of VHL and p53 tumor suppressor genes and may involve glucose uptake and metabolism disruption through Glut-1 inhibition. PX-478 demonstrates excellent activity against human tumor xenografts, resulting in tumor regressions and growth delays correlated with HIF-1 levels.

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	62.33	158.15
DMF	30.0	76.12
Ethanol	42.67	108.27
Water	78.5	199.18

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	2.54 mL	12.69 mL	25.37 mL
5 mM	0.51 mL	2.54 mL	5.07 mL
10 mM	0.25 mL	1.27 mL	2.54 mL
50 mM	0.05 mL	0.25 mL	0.51 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. Jin JH, Zhao BS, Liu YZ. [Research on the mechanism of hypoxia promoting the migration of lung adenocarcinoma A549 cells]. Zhongguo Ying Yong Sheng Li Xue Za Zhi. 2022 Jan;38(1):68-74. Chinese. doi: 10.12047/j.cjap.6200.2022.013. PMID: 35634673.
2. Turhan A, Pereira MT, Schuler G, Bleul U, Kowalewski MP. Hypoxia-inducible factor (HIF1alpha) inhibition modulates cumulus cell function and affects bovine oocyte maturation in vitro†. Biol Reprod. 2021 Feb 11;104(2):479-491. doi: 10.1093/biolre/ioaa196. PMID: 33095229; PMCID: PMC7876663.

In vivo study

1. Welsh S, Williams R, Kirkpatrick L, Paine-Murrieta G, Powis G. Antitumor activity and pharmacodynamic properties of PX-478, an inhibitor of hypoxia-inducible factor-1alpha. Mol Cancer Ther. 2004 Mar;3(3):233-44. PMID: 15026543.
2. Jacoby JJ, Erez B, Korshunova MV, Williams RR, Furutani K, Takahashi O, Kirkpatrick L, Lippman SM, Powis G, O'Reilly MS, Herbst RS. Treatment with HIF-1alpha antagonist PX-478 inhibits progression and spread of orthotopic human small cell lung

cancer and lung adenocarcinoma in mice. J Thorac Oncol. 2010 Jul;5(7):940-9. doi: 10.1097/JTO.0b013e3181dc211f. PMID: 20512076; PMCID: PMC3782111.

7. Bioactivity

Biological target:

PX-478 suppresses both constitutive and hypoxia-induced levels of HIF-1α in cancer cells, resulting in reduced expression of HIF-1α target genes. It induces apoptosis in human tumor xenografts in mice to an extent that is proportional to initial HIF-1α level. PX-478 can also increase chemo- or radiosensitivity of tumors.

In vitro activity

This study assessed the role of PX-478 in regulating the migration of lung adenocarcinoma A549 cells under hypoxic conditions. PX-478 inhibited the migration of A549 cells induced by hypoxia and down-regulated the expression of SREBP-1. The levels of ACC1 mRNA and SREBP-1 mRNA were decreased after A549 cells treated with hypoxia combined with PX-478 for 24 hours.

Reference: Zhongguo Ying Yong Sheng Li Xue Za Zhi. 2022 Jan;38(1):68-74. Chinese. https://pubmed.ncbi.nlm.nih.gov/35634673/

In vivo activity

In a human tumor xenograft murine model, PX-478 effectively suppressed HIF-1alpha levels in HT-29 human colon cancer xenografts, resulting in the inhibition of HIF-1 target genes (such as vascular endothelial growth factor and glucose transporter-1). PX-478 exhibited significant antitumor effects against a range of human tumor xenografts, including small cell lung cancer, colon, prostate, breast, renal, and pancreatic cancers and demonstrated regression in larger prostate tumors.

Reference: Mol Cancer Ther. 2004 Mar;3(3):233-44. https://pubmed.ncbi.nlm.nih.gov/15026543/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.