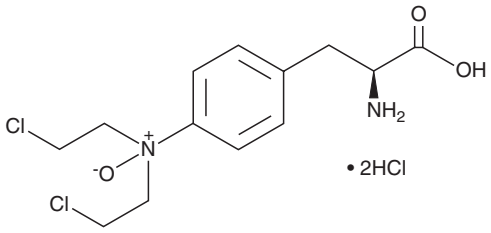
PRODUCT INFORMATION

PX-478

Item No. 10005189

CAS Registry No.: 685898-44-6
Formal Name: 4-[*bis*(2-chloroethyl)oxidoamino]-L-phenylalanine, dihydrochloride
MF: $C_{13}H_{18}Cl_2N_2O_3$ • 2HCl
FW: 394.1
Purity: ≥98%
UV/Vis.: λ_{max}: 209, 253, 301 nm
Supplied as: A semi-solid
Storage: -20°C
Stability: ≥2 years
Special Conditions: Low Melting, Hygroscopic



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PX-478 is supplied as a semi-solid. A stock solution may be made by dissolving the PX-478 in the solvent of choice. PX-478 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of PX-478 in these solvents is approximately 30 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of PX-478 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of PX-478 in PBS, pH 7.2, is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

PX-478 suppresses both constitutive and hypoxia-induced levels of HIF-1α in cancer cells, resulting in reduced expression of HIF-1α target genes.[1] It induces apoptosis in human tumor xenografts in mice to an extent that is proportional to initial HIF-1α level.[1] PX-478 can also increase chemo- or radiosensitivity of tumors.[2,3]

References

1. Welsh, S., Williams, R., Kirkpatrick, L., *et al.* Antitumor activity and pharmacodynamic properties of PX-478, an inhibitor of hypoxia-inducible factor-1α. *Mol. Cancer Ther.* **3(3)**, 233-244 (2004).
2. Schwartz, D.L., Bankson, J.A., Lemos, Jr., R., *et al.* Radiosensitization and stromal imaging response correlates for the HIF-1 inhibitor PX-478 given with or without chemotherapy in pancreatic cancer. *Mol. Cancer Ther.* **9(7)**, 2057-2067 (2010).
3. Zhao, T., Ren, H., Jia, L., *et al.* Inhibition of HIF-1α by PX-478 enhances the anti-tumor effect of gemcitabine by inducing immunogenic cell death in pancreatic ductal adenocarcinoma. *Oncotarget* **6(4)**, 2250-2262 (2015).

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