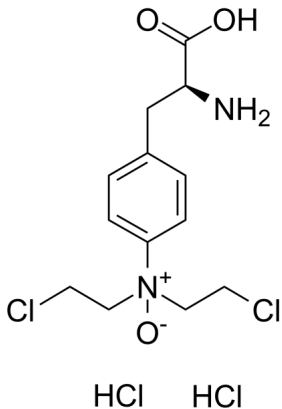


Certificate of Analysis

Catalog Number	BP22540
Product Name	PX-478

Physical and Chemical Properties

CAS No.	685898-44-6
Chemical Formula	C ₁₃ H ₂₀ Cl ₄ N ₂ O ₃
Molecular Weight	394.12
Solubility	DMSO: 100 mg/mL (253.73 mM, Need ultrasonic) H ₂ O: ≥ 35 mg/mL (88.81 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

Product Information

Description	PX-478 is an orally active HIF-1 α inhibitor with potent antitumor activities. PX-478 can cross the blood-brain barrier.
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In vitro	<p>PC3 and DU 145 cells express HIF-1α protein are treated with PX-478 for 20 hr under normoxia. PC3 cells are more sensitive to PX-478 as compared with DU 145 cells. Densitometric analysis shows that the IC₅₀ for HIF-1α inhibition for PC3 cells under normoxic condition is 20-25 μM, whereas the IC₅₀ for HIF-1α inhibition for the DU 145 cells is 40-50 μM. PC3 and DU 145 cells are treated with different concentrations of PX-478 (10, 20, 30, 40, 50, and 60 μM) for 18-20 hr under normoxia or hypoxia. Under normoxia, PC3 cells are more sensitive to PX-478 than DU 145 cells. IC₅₀ for clonogenic survival (n=3) is 17 μM for PC3 cells and 35 μM for DU 145 cells. When cells are treated with the drug under hypoxic condition for 18 hr, the IC₅₀ is 16 μM for PC3 cells and 22 μM for DU 145 cells. Thus DU 145 cells are more sensitive to PX-478 under hypoxic condition.</p>
In vivo	<p>PX-478 is administered to mice with congenital HO (Nfatc1-Cre/caACVR1f/f) every other day starting from birth for 2 wk. Treated mice have significantly less ectopic bone at the ankle joints compared with mutant mice treated with vehicle (6.8 mm³ vs. 2.2 mm³, P<0.01).</p>

Analytical Data

HPLC	Shows Min >99% purity
H-NMR	Consistent with structure
Stability and Solubility Advice	<p>Information on product stability, especially in solution, has rarely been reported and in most cases we can only provide a general guideline. We recommend that once the stock solution has been prepared, it be stored in equal quantities in sealed vials and used within 1 month. Avoid repeated freezing and thawing cycles. Storage conditions for some special products should be referred to their storage details.</p>

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