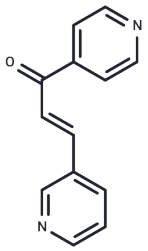
Data Sheet (Cat.No.T22223)

TargetMol

3PO

Chemical Properties



CAS No. : 18550-98-6

Formula: C13H10N2O

Molecular Weight: 210.23

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	3PO is a small-molecule inhibitor of PFKFB3 (IC50: 22.9 μM), inhibiting the proliferation of several human malignant hematopoietic and adenocarcinoma cell lines (IC50: 1.4-24 μM). It suppresses glucose uptake, and decreases the intracellular concentration of Fru-2,6-BP, lactate, ATP, NAD+, and NADH.
Targets(IC50)	Glucokinase,Autophagy
In vitro	3PO markedly attenuates the proliferation of several human malignant hematopoietic and adenocarcinoma cell lines (IC50, 1.4-24 μM) and is selectively cytostatic to ras-transformed human bronchial epithelial cells relative to normal human bronchial epithelial cells. Compared with the wild-type PFKFB3+/+transformed cells (IC50, 49 μM), the PFKFB3+/- fibroblasts were more sensitive to compound 3PO treatment (IC50, 26 μM). 3PO Causes G2-M phase arrest, which Is preceded by decreased Fru-2,6-BP and glucose uptake. 3PO slows growth through inhibition of PFK-2 activity, then ectopic expression of the PFKFB3 isozyme may thwart the cytostatic activity of 3PO. [1] 3PO inhibits the glycolytic regulator PFKFB3 in endothelial cells (ECs). 3PO decreases glycolysis in ECs and impairs vessel sprouting. 3PO also suppresses vascular hyperbranching induced by inhibition of Notch or VEGF receptor 1 (VEGFR1) and amplified the antiangiogenic effect of VEGF blockade[2].
In vivo	Compared with vehicle control, compound 3PO treatment significantly reduced Fru-2,6-BP in tumor xenografts (vehicle: 13.1 ± 1.9 pmol/mg, 3PO: 8.5 ± 1.7 pmol/mg). [1] 3PO also impairs (pathological) angiogenesis.

Solubility Information

Solubility	H2O: Insoluble, DMSO: 40 mg/mL (190.27 mM),Sonication is recommended. Ethanol: 11 mg/mL (52.32 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2.5 mg/mL (11.89 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.7567 mL	23.7835 mL	47.567 mL
5 mM	0.9513 mL	4.7567 mL	9.5134 mL
10 mM	0.4757 mL	2.3783 mL	4.7567 mL
50 mM	0.0951 mL	0.4757 mL	0.9513 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Clem B, et al. Small-molecule inhibition of 6-phosphofructo-2-kinase activity suppresses glycolytic flux and tumor growth. Mol Cancer Ther. 2008 Jan;7(1):110-20.
Schoors S, et al. Partial and transient reduction of glycolysis by PFKFB3 blockade reduces pathological angiogenesis. Cell Metab. 2014 Jan 7;19(1):37-48.
Lea MA, Inhibition of Growth of Bladder Cancer Cells by 3-(3-Pyridinyl)-1-(4-pyridinyl)-2-propen-1-one in Combination with Other Compounds Affecting Glucose Metabolism. Anticancer Res. 2015 Nov;35(11):5889-99.