上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：(E)-3PO
产品别名：3PO

生物活性：

Description

3PO is a novel small-molecule inhibitor of the PFKFB3 isozyme, 3PO markedly attenuates the proliferation of several human malignant hematopoietic and adenocarcinoma cell lines (IC50, 1.4-24 μM) IC50 value Target: PFKFB3 isozyme in vitro: 3PO inhibits recombinant PFKFB3 activity, suppresses glucose uptake, and decreases the intracellular concentration of Fru-2,6-BP, lactate, ATP, NAD+, and NADH. 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. The PFKFB3+/- fibroblasts were more sensitive to compound 3PO treatment (IC50, 26 μM) compared with the wild-type PFKFB3+/+transformed cells (IC50, 49 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: Compound 3PO treatment significantly reduced Fru-2,6-BP in tumor xenografts compared with vehicle control (vehicle: 13.1 ± 1.9 pmol/mg, 3PO: 8.5 ± 1.7 pmol/mg). [1] 3PO also impairs (pathological) angiogenesis. [2]

Solvent&Solubility

In Vitro:

DMSO： 60 mg/mL (285.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.7567 mL	23.7835 mL	47.5670 mL
	5 mM	0.9513 mL	4.7567 mL	9.5134 mL
	10 mM	0.4757 mL	2.3783 mL	4.7567 mL

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 3 mg/mL (14.27 mM); Clear solution

此方案可获得 ≥ 3 mg/mL (14.27 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；

	向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。 2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline) Solubility: ≥ 3 mg/mL (14.27 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (14.27 mM，饱和度未知) 的澄清溶液。 以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 3 mg/mL (14.27 mM); Clear solution 此方案可获得 ≥ 3 mg/mL (14.27 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 30.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. 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. [2]. 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. [3]. 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.