产品名称：PFK-015
产品别名：PFK-015

生物活性：

Description

PFK-015 is an effective inhibitor of PFKFB3 with IC50 of 110 nM (recombinant PFKFB3) and inhibits PFKFB3 activity in cancer cells with IC50 of 20 nM. IC50 value: 110 nM (recombinant PFKFB3)[1] Target: PFKFB3 PFK-015 possesses compelling in vitro properties, has satisfactory PK properties in rodents, and suppresses tumor glucose metabolism and growth in an aggressive mouse model of non-small cell lung cancer. PFK-015 is not a Pgp substrate as determined by transport and cell permeability assays in Caco-2 and MDCK-MDR1 (Papp A-B / B-A results 1.8 / 4 and 5 / 5 10–6 cm/s). PFK-015 inhibits cancer cell proliferation in a panel of 17 cancer cell lines. PFK-015 suppresses glucose uptake in cancer cells. Rodent PK studies following IV dosing at 5 mg/kg resulted in a profile with a satisfactory half-life (5.1 hours), exposure (AUCinf 1804 ng.h/ml), tissue distribution (Vd 20.5 L/kg) and reasonable clearance (46.2 mL/min/kg). Also, pre-clinical efficacy studies of C57Bl/6 mice bearing Lewis Lung Carcinoma (LLC) xenografts demonstrated 80% tumor growth inhibition relative to vehicle control. Finally, micro-PET studies performed on mice bearing LLC tumors showed a significant inhibition of tumor 2-[18F]-fluoro-2-deoxy-glucose uptake. These results support further development of PFK-015 as a novel anti-cancer agent.

Solvent&Solubility

In Vitro:

DMSO : 25.2 mg/mL (**96.82 mM**; Need ultrasonic and warming)

H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.8419 mL	19.2093 mL	38.4187 mL
	5 mM	0.7684 mL	3.8419 mL	7.6837 mL
	10 mM	0.3842 mL	1.9209 mL	3.8419 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: ≥ 2 mg/mL (7.68 mM); Clear solution

此方案可获得 ≥ 2 mg/mL (7.68 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 20.0 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: 2 mg/mL (7.68 mM); Suspended solution; Need ultrasonic

	此方案可获得 2 mg/mL (7.68 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 20.0 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: ≥ 2 mg/mL (7.68 mM); Clear solution 此方案可获得 ≥ 2 mg/mL (7.68 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 20.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Pfkfb3 inhibitor and methods of use as an anti-cancer therapeutic [2]. Brian Clem, et al. Abstract 2825: Characterization of a novel small molecule antagonist of 6-phosphofructo-2-kinase that suppresses glucose metabolism and tumor growth. Cancer Research: April 15, 2011; Volume 71, Issue 8, Supplement 1