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产品名称：PFK-158
产品别名：PFK-158

生物活性：

Description

PFK-158 is a potent and selective inhibitor of PFKFB3 that is currently being investigated in a phase I study in patients with advanced solid malignancies. Target: PFKFB3 in vitro: PFK-158 is the first 6-phosphofructo-2-kinase/fructose-2,6-biphosphatase 3 (PFKFB3) inhibitor to undergo clinical trial testing in cancer patients. PFK-158, a small molecule therapeutic candidate that inactivates a novel cancer metabolism target never before examined in human clinical trials. PFK-158 is not only a first-in-class cancer drug but also the first to target glucose metabolism by inhibiting PFKFB3. PFK-158 is a nanomolar inhibitor of recombinant PFKFB3. PFK-158 inhibits PFKFB3 activity and glycolysis in cancer cells. in vivo: PFK158 is well tolerated in rats and dogs resulting in an acceptable pre-clinical therapeutic index. PFK158 is very effective in multiple preclinical mouse models of human-derived tumors and syngeneic murine models. IND-enabling safety and toxicity studies demonstrated that PFK158 is well tolerated in rats and dogs and supported the initiation of a phase I trial that is now underway.

Solvent&Solubility

In Vitro:

DMSO : ≥ 30 mg/mL (91.38 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.0461 mL	15.2304 mL	30.4609 mL
5 mM	0.6092 mL	3.0461 mL	6.0922 mL
10 mM	0.3046 mL	1.5230 mL	3.0461 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 (6.09 mM); Suspended solution; Need ultrasonic

此方案可获得 2 mg/mL (6.09 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 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 (6.09 mM); Suspended solution; Need ultrasonic 此方案可获得 2 mg/mL (6.09 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 (6.09 mM); Clear solution 此方案可获得 ≥ 2 mg/mL (6.09 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]. Rebecca Redman, et al. Abstract CT206: PFK-158, first-in-man and first-in-class inhibitor of PFKFB3/ glycolysis: A phase I, dose escalation, multi-center study in patients with advanced solid malignancies. Cancer Res August 1, 2015 75; CT206. doi: 10.1158/1538-7445.AM2015-CT206. [2]. Sucheta Telang, et al. Discovery of a PFKFB3 inhibitor for phase I trial testing that synergizes with the B-Raf inhibitor vemurafenib. Cancer Metab. 2014; 2(Suppl 1): P14.