产品名称：**PF-573228**
产品别名：**PF-573228**

生物活性：

Description	PF-573228 is a potent and selective FAK inhibitor with IC_{50} of 4 nM for purified recombinant catalytic fragment of FAK.
IC_{50} & Target	IC50: 4 nM (FAK)[1]
In Vitro	PF-573228 inhibits purified recombinant catalytic fragment of FAK with an IC50 of 4 nM. In cultured cells, PF-573228 inhibits FAK phosphorylation on Tyr_{397} with an IC50 of 30-100 nM. Treatment of cells with concentrations of PF-573228 that significantly decreased FAK Tyr397 phosphorylation fails to inhibit cell growth or induce apoptosis. In contrast, treatment with PF-573228 inhibits both chemotactic and haptotactic migration concomitant with the inhibition of focal adhesion turnover[1].

Solvent&Solubility

In Vitro:

DMSO : ≥ 51 mg/mL (103.77 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	2.0346 mL	10.1731 mL	20.3463 mL
	5 mM	0.4069 mL	2.0346 mL	4.0693 mL
	10 mM	0.2035 mL	1.0173 mL	2.0346 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: ≥ 2.5 mg/mL (5.09 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (5.09 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 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: 2.5 mg/mL (5.09 mM); Suspended solution; Need ultrasonic

此方案可获得 2.5 mg/mL (5.09 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 25.0 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: ≥ 2.5 mg/mL (5.09 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (5.09 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 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
References	[1]. Slack-Davis JK, et al. Cellular characterization of a novel focal adhesion kinase inhibitor. J Biol Chem. 2007 May 18;282(20):14845-52.

实验参考：

Cell Assay	REF52 or PC3 cells are seeded into a 24-well plate in triplicate 24 h prior to daily treatment with the indicated concentrations of each inhibitor (PF-573228) for 3 days. Subsequently, the cells are harvested and counted. Apoptosis assays are performed using a cell death detection ELISA. REF52, PC3 or MDCKcells are treated for 24 h (16 h for MDCK) with the indicated concentrations of each inhibitor prior to lysis. Cells suspended for 16-24 h in serum-free medium served as a positive control. The cell lysates are incubated in duplicate in the ELISA system. The data represent the means±standard deviation of one of three experiments performed in duplicate[1]
Kinase Assay	Purified activated FAK kinase domain is reacted with 50 μM ATP, and 10 μg/well of a random peptide polymer of Glu and Tyr (molar ratio of 4:1), poly (Glu/Tyr) in kinase buffer for 15 min. Phosphorylation of poly(Glu/Tyr) is challenged with s[1]
References	[1]. Slack-Davis JK, et al. Cellular characterization of a novel focal adhesion kinase inhibitor. J Biol Chem. 2007 May 18;282(20):14845-52.