产品名称：PD 168393
产品别名：PD168393

生物活性：

Description

PD168393 is an potent, cell-permeable, irreversible EGFR inhibitor with IC50 of 0.70 nM, irreversibly alkylate Cys-773, inactive against insulin, PDGFR, FGFR and PKC. target: EGFR IC 50: 0.7 nM [1] (1) PD 168393 inhibite EGFr autophosphorylation in A431 human epidermoid carcinoma cells with >9-fold greater potency than PD 174265.[1] (2) PD 168393 decrease the production of TNF-α and phosphrylation of ERK1/2 and p38 induced by LPS in cardiomyocytes.[2] (3) PD168393 completely inhibits AKT and ERK phosphorylation at concentrations as low as 0.03 umol/L.[3] (4) PD168393 could induce apoptosis and inhibit cell growth in ErbB2 positive lung and breast cancer cell lines.[3] (5) PD168393 disrupted MEK1/p44/42 ERK signaling in HaCaT cells as determined by inhibition of phospho-p44/42 ERK. [4]

Solvent&Solubility

In Vitro:

DMSO： ≥ 30 mg/mL (81.25 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7084 mL	13.5421 mL	27.0841 mL
5 mM	0.5417 mL	2.7084 mL	5.4168 mL
10 mM	0.2708 mL	1.3542 mL	2.7084 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 (6.77 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.77 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

References

[1]. Fry DW et al. Specific, irreversible inactivation of the epidermal growth factor receptor and erbB2, by a new class of tyrosine kinase inhibitor. Proc Natl Acad Sci U S A. 1998 Sep 29;95(20):12022-7.

[2]. Sun X et al. The activation of EGFR promotes myocardial tumor necrosis factor-α production and cardiac failure in endotoxemia. Oncotarget. 2015 Nov 3;6(34):35478-95.

[3]. Li G et al. Modulation of ErbB2 blockade in ErbB2-positive cancers: the role of ErbB2 Mutations and PHLDA1. PLoS One. 2014 Sep 19;9(9):e106349.

[4]. White KJ et al. Irritant activation of epithelial cells is mediated via protease-dependent EGFR activation.

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