产品名称：PD153035
产品别名：PD153035

生物活性：

Description	PD153035 (SU-5271; AG1517; ZM 252868) is a potent **EGFR** inhibitor with **K_i** and **IC_{50}** of 6 and 25 pM, respectively.	
IC_{50} & Target	EGFR	EGFR
	6 pM (Ki)	25 pM (IC_{50})
In Vitro	PD153035 (SU 5271) inhibits EGF-stimulated receptor autophosphorylation in A431 human epidermoid carcinoma cells, with an IC50 of 14 nM[1]. PD153035 (SU 5271) has little effect on PDGFR, FGFR, CSF-1 receptor, the insulin receptor, or on src tyrosine kinases at concentrations as high as 50 μM. PD153035 (SU 5271) rapidly suppresses autophosphorylation of the EGF receptor at low nanomolar concentrations in fibroblasts or in human epidermoid carcinoma cells and selectively blocks EGF-mediated cellular processes including mitogenesis, early gene expression, and oncogenic transformation[2]. PD153035 (SU 5271) causes a dose-dependent growth inhibition of EGF receptor-positive cell lines, beginning at less than micromolar concentrations, and the IC50 is less than 1 pM in most cases[3].	
In Vivo	PD153035 (SU 5271) levels in the plasma and tumor rise to 50 and 22 μM within 15 minutes following a single i.p. dose of 80 mg/kg. While the plasma levels of PD153035 (SU 5271) falls below 1 μM by 3 hours, in the tumors it remains at micromolar concentrations for at least 12 hours. The tyrosine phosphorylation of the EGF receptor is rapidly suppressed by 80-90% in the tumors[4].	
Solvent&Solubility	***In Vitro:***	

DMSO : 3.33 mg/mL (92.53 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7762 mL	13.8808 mL	27.7616 mL
	5 mM	0.5552 mL	2.7762 mL	5.5523 mL
	10 mM	0.2776 mL	1.3881 mL	2.7762 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 →90% corn oil

Solubility: ≥ 2.5 mg/mL (6.94 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.94 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]. Bridges AJ, et al. Tyrosine kinase inhibitors. 8. An unusually steep structure-activity relationship for analogues of 4-(3-bromoanilino)-6,7-dimethoxyquinazoline (PD 153035), a potent inhibitor of the epidermal growth factor receptor. J Med Chem. 1996 Jan 5;39(1):267-76. [2]. Fry DW, et al. A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. Science. 1994 Aug 19;265(5175):1093-5. [3]. Bos M, et al. PD153035, a tyrosine kinase inhibitor, prevents epidermal growth factor receptoractivation and inhibits growth of cancer cells in a receptor number-dependent manner. Clin Cancer Res. 1997 Nov;3(11):2099-106. [4]. Kunkel MW, et al. Inhibition of the epidermal growth factor receptor tyrosine kinase by PD153035 in human A431 tumors in athymic nude mice. Invest New Drugs. 1996;13(4):295-302.

实验参考：

Cell Assay	Different EGF receptor-overexpressing cell lines (A43 1, Difi, MDA-MB-468, MDA-MB-231, DU145, SiHa, C4i, and MEl 80) are treated with PD153035 at increasing concentrations of 0.125-2.5 p.M. Growth inhibitory effect in monolayer cell culture is assessed[3].
Animal Administration	Mice: Mice are injected with PD153035 (SU 5271) (80 mg/kg) or vehicle and rumors are excised at 20 minutes and 180 minutes and extracts are prepared. Two mice are used for each time point and the experiment is repeated four times. Within each of the four experiments ANOVA is used to compare the inhibition by PD153035 (SU 5271) of the EGF-stimulation[3].
References	[1]. Bridges AJ, et al. Tyrosine kinase inhibitors. 8. An unusually steep structure-activity relationship for analogues of 4-(3-bromoanilino)-6,7-dimethoxyquinazoline (PD 153035), a potent inhibitor of the epidermal growth factor receptor. J Med Chem. 1996 Jan 5;39(1):267-76. [2]. Fry DW, et al. A specific inhibitor of the epidermal growth factor receptor tyrosine kinase. Science. 1994 Aug 19;265(5175):1093-5. [3]. Bos M, et al. PD153035, a tyrosine kinase inhibitor, prevents epidermal growth factor receptoractivation and inhibits growth of cancer cells in a receptor number-dependent manner. Clin Cancer Res. 1997 Nov;3(11):2099-106. [4]. Kunkel MW, et al. Inhibition of the epidermal growth factor receptor tyrosine kinase by PD153035 in human A431 tumors in athymic nude mice. Invest New Drugs. 1996;13(4):295-302.