产品名称：**PD-169316**
产品别名：**PD 169316**

## 生物活性：

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| **Description** | PD 169316 is a potent, cell-permeable and selective **p38 MAP kinase** inhibitor, with **$IC_{50}$** of 89 nM. PD169316 selectively inhibits the kinase activity of the phosphorylated p38 without hindering upstream kinases to phosphorylate p38. PD169316 shows antiviral activity against Enterovirus71. PD169316 shows antiviral activity against Enterovirus71. |
| **$IC_{50}$ & Target** | IC50: 89 nM (p38 MAPK)[5] |
| **In Vitro** | PD169316 (10 μM) inhibits TGFβ and Activin A, but not BMP4 signaling in CaOV3 cells. PD169316 (0.2-20 μM) inhibits TGFβ-induced Smad2 nuclear translocation, Smad7 mRNA induction, and reporter gene activity in CaOV3 cells[1]. PD169316 (10 μM) shows a significantly increased rate of proliferation in Nestin knockdown cells, and can rescue the effect of Nestin knockdown on cell viability in the absence of EGF[2]. PD169316 significantly inhibits p38 MAP kinase activity with no significant change in ERK activity in PC12 cells. PD169316 (10 μM) blocks apoptosis induced by trophic factor withdrawal in differentiated PC12 cells[3].PD169316 (10 μM, 30 min) selectively inhibits the kinase activity of the phosphorylated p38 without hindering upstream kinases to phosphorylate p38. Increased phospho p-38 levels in the presence of PD169316 are most likely due to blockade of negative feedback loop of dephosphorylation of p38 MAPK by MAPK phosphatases[4]. |
| | **Western Blot Analysis[1]** |
| | Cell Line: Ishikawa PRB or PRA cells. |
| | Concentration: 10 μM. |
| | Incubation Time: 30 min. |
| | Result: Did not inhibit MEKK1-induced p38 phosphorylation. |
| **In Vivo** | PD169316 (1 mg/kg, intramuscular injection every day for 14 consecutive days) shows antiviral activity in a suckling mouse model[5]. |
| | **Animal Model:** EV71-challenged suckling mouse model (7-day-old Kunming mice)[5]. |
| | **Dosage:** 1 mg/kg. |
| | **Administration:** Intramuscular injection every day for 14 consecutive days. |
| | **Result:** Showed antiviral activity. |

***In Vitro:***

**DMSO : 12.5 mg/mL (34.69 mM; Need ultrasonic)**

**H2O : < 0.1 mg/mL (insoluble)**

| **Preparing Stock Solutions** | Solvent / Mass / Concentration | **1 mg** | **5 mg** | **10 mg** |
|---|---|---|---|---|
| | 1 mM | 2.7752 mL | 13.8758 mL | 27.7516 mL |
| | 5 mM | 0.5550 mL | 2.7752 mL | 5.5503 mL |
| | 10 mM | 0.2775 mL | 1.3876 mL | 2.7752 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:***

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| **Solvent&Solubility** | 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：<br>——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<br>1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline<br>Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic<br>此方案可获得 1.25 mg/mL (3.47 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。<br>以 1 mL 工作液为例，取 100 μL 12.5 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。<br>2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)<br>Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic<br>此方案可获得 1.25 mg/mL (3.47 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。<br>以 1 mL 工作液为例，取 100 μL 12.5 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。<br>3.请依序添加每种溶剂： 10% DMSO →90% corn oil<br>Solubility: ≥ 1.25 mg/mL (3.47 mM); Clear solution<br>此方案可获得 ≥ 1.25 mg/mL (3.47 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。<br>以 1 mL 工作液为例，取 100 μL 12.5 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。 |
| **References** | [1]. Fu Y, et al. The p38 MAPK inhibitor, PD169316, inhibits transforming growth factor beta-induced Smad signaling in human ovarian cancer cells. Biochem Biophys Res Commun. 2003 Oct 17;310(2):391-7.<br>[2]. Hu W, et al. Suppression of Nestin reveals a critical role for p38-EGFR pathway in neural progenitor cell proliferation. Oncotarget. 2016 Dec 27;7(52):87052-87063.<br>[3]. Kummer JL, et al. Apoptosis induced by withdrawal of trophic factors is mediated by p38 mitogen-activated protein kinase. J Biol Chem. 1997 Aug 15;272(33):20490-4.<br>[4]. Khan JA, et al. p38 and p42/44 MAPKs differentially regulate progesterone receptor A and B isoform stabilization. Mol Endocrinol. 2011 Oct;25(10):1710-24.<br>[5]. Zhang Z, et al. PD169316, a specific p38 inhibitor, shows antiviral activity against Enterovirus71. Virology. 2017 Aug;508:150-158. |