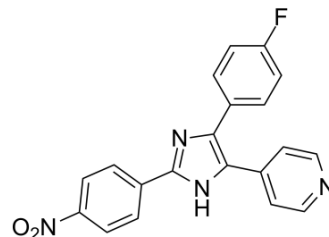


## PD 169316

<b>Cat. No.:</b>	HY-10578		
<b>CAS No.:</b>	152121-53-4		
<b>Molecular Formula:</b>	C <sub>20</sub> H <sub>13</sub> FN <sub>4</sub> O <sub>2</sub>		
<b>Molecular Weight:</b>	360.34		
<b>Target:</b>	p38 MAPK; Autophagy; Enterovirus		
<b>Pathway:</b>	MAPK/ERK Pathway; Autophagy; Anti-infection		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 12.5 mg/mL (34.69 mM; Need ultrasonic)			
		Solvent Concentration	Mass	
			1 mg	5 mg
			10 mg	
<b>Preparing Stock Solutions</b>	<b>1 mM</b>	2.7752 mL	13.8758 mL	27.7516 mL
	<b>5 mM</b>	0.5550 mL	2.7752 mL	5.5503 mL
	<b>10 mM</b>	0.2775 mL	1.3876 mL	2.7752 mL
Please refer to the solubility information to select the appropriate solvent.				
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 1.25 mg/mL (3.47 mM); Clear solution</li> </ol>			

### BIOLOGICAL ACTIVITY

<b>Description</b>	PD 169316 is a potent, cell-permeable and selective p38 MAP kinase inhibitor, with IC <sub>50</sub> 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.
<b>IC<sub>50</sub> &amp; Target</b>	IC <sub>50</sub> : 89 nM (p38 MAPK) <sup>[5]</sup>
<b>In Vitro</b>	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<sup>[1]</sup>. 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<sup>[2]</sup>. 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<sup>[3]</sup>. 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<sup>[4]</sup>. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Western Blot Analysis<sup>[1]</sup>

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<sup>[5]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	EV71-challenged suckling mouse model (7-day-old Kunming mice) <sup>[5]</sup> .
Dosage:	1 mg/kg.
Administration:	Intramuscular injection every day for 14 consecutive days.
Result:	Showed antiviral activity.

## CUSTOMER VALIDATION

- Genes Dev. 2018 Sep 1;32(17-18):1215-1225.
- ACS Appl Mater Interfaces. 2021 Jan 19.
- Br J Pharmacol. 2019 Aug;176(15):2691-2707.
- Oncol Rep. 2017 Dec;38(6):3668-3676.
- Virology. 2017 Aug;508:150-158.

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## 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.
- [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.
- [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.
- [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.

**Caution: Product has not been fully validated for medical applications. For research use only.**

**India Contact:**

Life Technologies (India) Pvt. Ltd.

306, Aggarwal City Mall, Opposite M2K Pitampura, Delhi – 110034 (INDIA). Ph: +91-11-42208000, 42208111, 42208222, Mobile: +91-9810521400, Fax: +91-11-42208444

Email: [customerservice@lifetechindia.com](mailto:customerservice@lifetechindia.com) Website: [www.lifetechindia.com](http://www.lifetechindia.com)