PD 169316

Cat. No.: HY-10578

CAS No.: 152121-53-4

Molecular Formula: $C_{20}H_{13}FN_4O_2$

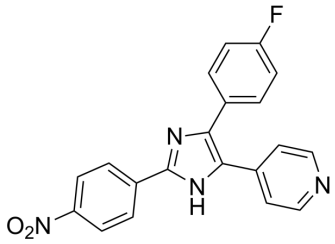
Molecular Weight: 360.34

Target: p38 MAPK; Autophagy; Enterovirus

Pathway: MAPK/ERK Pathway; Autophagy; Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

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

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (13.88 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.25 mg/mL (3.47 mM); Suspended solution; Need ultrasonic
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (3.47 mM); Clear solution

BIOLOGICAL ACTIVITY

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].

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

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].

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)[5].
Dosage:	1 mg/kg.
Administration:	Intramuscular injection every day for 14 consecutive days.
Result:	Showed antiviral activity.

CUSTOMER VALIDATION

- Bioact Mater. 1 July 2021.
- 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.
- Ecotoxicol Environ Saf. 2022 May 1;236:113468.

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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.

[5]. Zhang Z, et al. PD169316, a specific p38 inhibitor, shows antiviral activity against Enterovirus71. Virology. 2017 Aug;508:150-158.

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