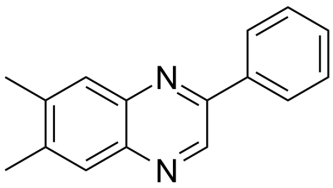
AG 1295

Cat. No.:	HY-101957
CAS No.:	71897-07-9
Molecular Formula:	$C_{16}H_{14}N_2$
Molecular Weight:	234.3
Target:	PDGFR
Pathway:	Protein Tyrosine Kinase/RTK
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 : 50 mg/mL (213.40 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	4.2680 mL	21.3402 mL	42.6803 mL
	5 mM	0.8536 mL	4.2680 mL	8.5361 mL
	10 mM	0.4268 mL	2.1340 mL	4.2680 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.88 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (8.88 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (8.88 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AG 1295 is a selective platelet-derived growth factor receptor (PDGFR) tyrosine-kinase inhibitor. AG1295 abolishes autophosphorylation of the PDGFR whereas not affects the autophosphorylation of the EGF receptor[1][2][3][4].

IC_{50} & Target

PDGFR[1]

In Vitro

AG 1295 inhibits PDGFR autophosphorylation with IC_{50}s of 0.3-0.5 μM and 0.5-1 μM for membrane autophosphorylation assays and Swiss 3T3 cells, respectively[1].

AG1295 (10 μM, 100 μM) significantly inhibits rabbit conjunctival fibroblast cell growth stimulated by PDGF-AA or PDGF-BB in vitro[2].

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

Cell Viability Assay[2]

Cell Line:	Rabbit conjunctival fibroblasts cells
Concentration:	1 μM, 10 μM, 100 μM
Incubation Time:	3 days
Result:	Inhibited rabbit conjunctival fibroblast cell growth stimulated by PDGF-AA or PDGF-BB.

In Vivo

AG-1295 reduces neointimal formation in aortic allograft vasculopathy by inhibition of PDGFR-beta-triggered tyrosine phosphorylation[3].

AG1295 (12 mg/kg; i.p.; daily; for 14 or 21 days) significantly reduces interstitial fibrosis as verified by a smaller Sirius-Red stained area and also by a reduced number of macrophages, and by the ED-A+ fibronectin deposition and the number of cells positive for alpha-smooth muscle actin[4].

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

Animal Model:	Sprague-Dawley rats (240-270 g)[4]
Dosage:	12 mg/kg
Administration:	Intraperitoneal injection; daily; for 14 or 21 days
Result:	Attenuated interstitial fibrosis in rat kidney after unilateral obstruction.

CUSTOMER VALIDATION

- Proc Natl Acad Sci U S A. 2022 Oct 25;119(43):e2207280119.
- MedComm-Oncology. 2023 Oct 17.

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REFERENCES

[1]. Zheng Y, et al. Platelet-derived growth factor receptor kinase inhibitor AG1295 and inhibition of experimental proliferative vitreoretinopathy. Jpn J Ophthalmol. 2003 Mar-Apr;47(2):158-65.

[2]. Inhibition of aortic allograft vasculopathy by local delivery of platelet-derived growth factor receptor tyrosine-kinase blocker AG-1295. Transplantation. 2002 Nov 15;74(9):1335-41.

[3]. Kovalenko M, et al. Selective platelet-derived growth factor receptor kinase blockers reverse sis-transformation. Cancer Res. 1994 Dec 1;54(23):6106-14.

[4]. Ludewig D, et al. PDGF receptor kinase blocker AG1295 attenuates interstitial fibrosis in rat kidney after unilateral obstruction. Cell Tissue Res. 2000 Jan;299(1):97-103.

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

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