Data Sheet (Cat.No.T14137)



AG 1295

Chemical Properties

CAS No.: 71897-07-9 Formula: C16H14N2

Molecular Weight: 234.3

Appearance: N/A

Storage: 0-4°C for short term (days to weeks), or -20°C for long term (months).

Biological Description

Description	AG 1295 is a selective platelet-derived growth factor receptor (PDGFR) tyrosine-kinase inhibitor. AG1295 abolishes autophosphorylation of the PDGFR. But it can not affects the autophosphorylation of the EGF receptor[1][2][3][4].	
Targets(IC ₅₀)	PDGFR: None	
In vitro	AG1295 (10 μM, 100 μM) significantly inhibits rabbit conjunctival fibroblast cell growth stimulated by PDGF-α or PDGF-BB in vitro[2]. AG 1295 inhibits PDGFR autophosphorylation with IC50s of 0.3-0.5 μM and 0.5-1 μM f membrane autophosphorylation assays and Swiss 3T3 cells, respectively[1].	
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 by a reduced number of macrophages, and by the ED-A+ fibronectin deposition. It is also verified by the number of cells positive for alpha-smooth muscle actin[4].	

Solubility Information

Solubility	DMSO: 62.5 mg/mL (266.75 mM)
	(< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.268 mL	21.34 mL	42.68 mL
5 mM	0.854 mL	4.268 mL	8.536 mL
10 mM	0.427 mL	2.134 mL	4.268 mL
50 mM	0.085 mL	0.427 mL	0.854 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

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Reference

- 1. Kovalenko M, et al. Selective platelet-derived growth factor receptor kinase blockers reverse sis-transformation. Cancer Res. 1994 Dec 1;54(23):6106-14.
- 2. 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.
- 3. 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.
- 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.

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