Product Data Sheet

Product Name: AG-370
Cat. No.: GC11712

Chemical Properties

Cas. No.	134036-53-6		
Chemical Name	3-amino-4-(1H-indol-5-ylmethylene)-2-pentenetricarbonitrile		
SMILES	c12c(ccc(c1)/C=C(\C(=C(\C#N)C#N)N)C#N)[nH]cc2		
Formula	$C_{15}H_9N_5$	M.Wt	259.3
Solubility	≤30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	AG-370		

Background

IC50: 20 μM for PDGF receptor kinase in human bone marrow fibroblasts

AG-370 is a tyrphostin PDGFR inhibitor.

Protein tyrosine kinase inhibitors are potential antiproliferative agents for diseases caused by the hyperactivity of protein tyrosine kinases. Tyrphostins are a class of antiproliferative agents selectively inhibiting protein tyrosine kinases of key growth factors including epidermal growth factor or platelet-derived growth factor (PDGF) via blocking the phosphorylation of tyrosine residues.

In vitro: Previous study found that AG-370 inhibited PDGF receptor autophosphorylation and the tyrosine phosphorylation of intracellular protein substrates that coprecipitated with the PDGF receptor in digitonin-permeabilized fibroblasts and in intact fibroblasts. When compared with AG18, a potent EGF receptor blocker, AG370 was more efficient in inhibiting PDGF-induced proliferation of fibroblasts and phosphorylation of the

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intracellular protein substrates. Under the conditions in which AG370 could inhibit PDGF-induced mitogenesis and phosphorylation, AG18 did not alter [125I]PDGF internalization and enhance [125I]PDGF binding. These findings suggested that AG370 might have a therapeutic potential for treatment of diseases involving abnormal cellular proliferation induced by PDGF [1].

In vivo: Up to now, there is no animal in vivo data reported.

Clinical trial: So far, no clinical study has been conducted.

Reference:
[1] Bryckaert, M. C.,Eldor, A.,Fontenay, M., et al. Inhibition of platelet-derived growth factor-induced mitogenesis and tyrosine kinase activity in cultured bone marrow fibroblasts by tyrphostins. Experimental Cell Research 199, 255-261 (1992).

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