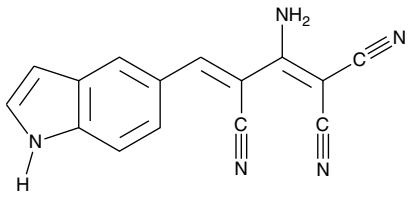
Product Information

AG-370

Item No. 10010568

CAS Registry No.: 134036-53-6
Formal Name: 3-amino-4-(1H-indol-5-ylmethylene)-2-pentenetricarbonitrile
Synonym: NSC 651712
MF: $C_{15}H_9N_5$
FW: 259.3
Purity: ≥95% (*cis/trans* mixture)
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid
UV/Vis.: λ_{max}: 260, 367 nm



Laboratory Procedures

For long term storage, we suggest that AG-370 be stored as supplied at -20°C. It should be stable for at least two years.

AG-370 is supplied as a crystalline solid. A stock solution may be made by dissolving the AG-370 in an organic solvent purged with an inert gas. AG-370 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of AG-370 in these solvents is approximately 30 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. For maximum solubility in aqueous buffers, AG-370 should be disolved in DMSO and diluted with the aqueous buffer of choice. AG-370 has a solubility of approximately 0.1 mg/ml in a 1:6 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Protein tyrosine kinase (PTK) inhibitors are potential antiproliferative agents for diseases caused by the hyperactivity of PTKs. Tyrphostins are a class of antiproliferative compounds which selectively inhibit PTKs of key growth factors such as epidermal growth factor (EGF) or platelet-derived growth factor (PDGF) by blocking the phosphorylation of specific tyrosine residues.[1] AG-370 is a selective inhibitor of PDGF receptor kinase with an IC_{50} value of 20 µM in human bone marrow fibroblasts. It displays comparatively weak inhibition of the EGF receptor (IC_{50} = 820 µM).[2-4]

References

1. Gazit, A., Yaish, P., Gilon, C., *et al.* Tyrphostins I: Synthesis and biological activity of protein tyrosine kinase inhibitors. *J. Med. Chem.* **32(10)**, 2344-2352 (1989).
2. 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. *Exp. Cell Res.* **199**, 255-261 (1992).
3. Erneux, C. and Takazawa, K. Tyrphostins as molecular tools and potential antiproliferative drugs. *Trends Pharmacol. Sci.* **12**, 171-174 (1991).
4. Gazit, A., App, H., McMahon, G., *et al.* Tyrphostins. 5. Potent inhibitors of platelet-derived growth factor receptor tyrosine kinase: Structure-activity relationships in quinoxalines, quinolines, and indole tyrphostins. *J. Med. Chem.* **39**, 2170-2177 (1996).

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