AG-494

Cat. No.: HY-101042

CAS No.: 133550-35-3

Molecular Formula: $C_{16}H_{12}N_2O_3$

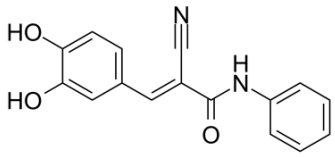
Molecular Weight: 280.28

Target: EGFR; CDK

Pathway: JAK/STAT Signaling; Protein Tyrosine Kinase/RTK; Cell Cycle/DNA Damage

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (356.79 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5679 mL	17.8393 mL	35.6786 mL
	5 mM	0.7136 mL	3.5679 mL	7.1357 mL
	10 mM	0.3568 mL	1.7839 mL	3.5679 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: ≥ 2.5 mg/mL (8.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AG-494 (Tyrphostin AG 494) is a potent and selective EGFR tyrosine kinase inhibitor (IC_{50}=0.7 μM). AG-494 inhibits the autophosphorylation of EGFR, ErbB2, HER1-2 and PDGF-R with IC_{50}s 1.1, 39, 45 and 6 μM, respectively. AG-494 blocks Cdk2 activation and inhibits EGF-dependent DNA synthesis[1][2][3].

IC_{50} & Target

EGFR
0.7 μM (IC_{50})

In Vitro

In DHER-14 cells, AG 494 inhibits Cdk2 activation and EGF-dependent DNA synthesis[2].
AG-494 significantly prevents NF-kB activation in silica-stimulated cells, and also reduces NF-kB activation in H_2O_2-treated cells[4].
AG-494 (3-9 μM; 5-7 days) inhibits BMP9-induced ALP activity in a dose-dependent manner[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gazit A, et al. Tyrphostins. 2. Heterocyclic and alpha-substituted benzylidenemalononitrile tyrphostins as potent inhibitors of EGF receptor and ErbB2/neu tyrosine kinases. J Med Chem. 1991;34(6):1896-1907.

[2]. Liu X, Qin J, et al. Cross-talk between EGF and BMP9 signalling pathways regulates the osteogenic differentiation of mesenchymal stem cells. J Cell Mol Med. 2013;17(9):1160-1172.

[3]. Jihee Lee Kang, et al. SILICA-INDUCED NUCLEAR FACTOR- k B ACTIVATION: INVOLVEMENT OF REACTIVE OXYGEN SPECIES AND PROTEIN TYROSINE KINASE ACTIVATION. Journal of Toxicology and Environmental Health, Part A.

[4]. Osherov N, et al. Tyrphostin AG 494 blocks Cdk2 activation. FEBS Lett. 1997;410(2-3):187-190.

[5]. Osherov N, et al. Selective inhibition of the epidermal growth factor and HER2/neu receptors by tyrphostins. J Biol Chem. 1993 May 25;268(15):11134-42.

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