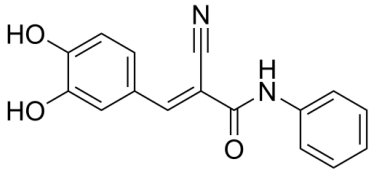
Data Sheet

Product Name:	AG-494
Cat. No.:	CS-0020753
CAS No.:	133550-35-3
Molecular Formula:	$C_{16}H_{12}N_2O_3$
Molecular Weight:	280.28
Target:	CDK; EGFR
Pathway:	Cell Cycle/DNA Damage; JAK/STAT Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 100 mg/mL (356.79 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

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]. **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].

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.

CAIndexNames:

2-Propenamide, 2-cyano-3-(3,4-dihydroxyphenyl)-N-phenyl-, (2E)-

SMILES:

O=C(NC1=CC=CC=C1)/C(C#N)=C/C2=CC=C(O)C(O)=C2

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA