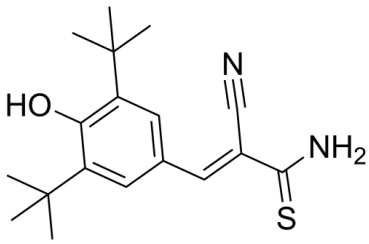
Data Sheet

Product Name:	Tyrphostin AG 879
Cat. No.:	CS-D0050
CAS No.:	148741-30-4
Molecular Formula:	$C_{18}H_{24}N_2OS$
Molecular Weight:	316.46
Target:	Apoptosis; EGFR; Trk Receptor
Pathway:	Apoptosis; JAK/STAT Signaling; Neuronal Signaling; Protein Tyrosine Kinase/RTK
Solubility:	DMSO : 50 mg/mL (158.00 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

Tyrphostin AG 879 (AG 879) is a tyrosine kinase inhibitor that inhibits **TrKA** phosphorylation (**IC_{50}** of 10 μM), but not TrKB and TrKC. Tyrphostin AG 879 is also a selective **ErbB2** tyrosine kinase inhibitor with an **IC_{50}** of 1 μM, and has at least 500-fold higher selectivity to **ErbB2** than EGFR. Tyrphostin AG 879 has anticancer activity[1][2][3]. IC50 & Target: IC50: 10 μM (TrKA phosphorylation)[1] IC50: 1 μM (ErbB2)[2] **In Vitro:** Tyrphostin AG 879 (0.5-50 μM; 48 hours; HL-60, U-937, PC-3, HTB-82, HTB-114, TE-671, HTB-115 and HTB-88 cells) treatment significantly and dose dependently decreases cell proliferation in all the cell lines[1].
Tyrphostin AG 879 (0.5-50 μM; 48 hours; HL-60, U-937, PC-3, HTB-82, HTB-114, TE-671, HTB-115 and HTB-88 cells) treatment also induces a dose-dependent increase in apoptosis with the exception of the lines TE-671 and HTB-88 cells[1]. **In Vivo:** Tyrphostin AG 879 (100 mg/kg;subcutaneous injection; administered 10 times in 19 days; for 21 days; athymic, immunodepressed NOD/SCID female mice) treatment induces in vivo a decrease in cancer growth in grafted athymic NOD/SCID mice[1].

References:

[1]. Rende M et al. Role of nerve growth factor and its receptors in non-nervous cancer growth: efficacy of a tyrosine kinase inhibitor (AG879) and neutralizing antibodies antityrosine kinase receptor A and antinerve growth factor: an in-vitro and in-vivo study. Anticancer Drugs. 2006 Sep;17(8):929-41.

[2]. Zhou Y et al. Blockade of EGFR and ErbB2 by the novel dual EGFR and ErbB2 tyrosine kinase inhibitor GW572016 sensitizes human colon carcinoma GEO cells to apoptosis. Cancer Res. 2006 Jan 1;66(1):404-11.

[3]. Levitzki A, et al. Tyrosine kinase inhibition: an approach to drug development. Science. 1995 Mar 24;267(5205):1782-8.

CAIndexNames:

2-Propenethioamide, 3-[3,5-bis(1,1-dimethylethyl)-4-hydroxyphenyl]-2-cyano-, (2E)-

SMILES:

S=C(N)/C(C#N)=C/C1=CC(C(C)(C)C)=C(O)C(C(C)(C)C)=C1

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

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