Tyrphostin AG 879

Cat. No.: HY-20878

CAS No.: 148741-30-4

Molecular Formula: $C_{18}H_{24}N_2OS$

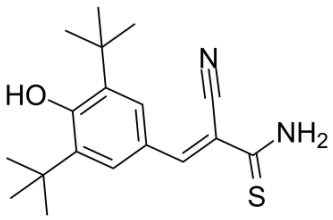
Molecular Weight: 316.46

Target: Trk Receptor; EGFR; Apoptosis

Pathway: Neuronal Signaling; Protein Tyrosine Kinase/RTK; JAK/STAT Signaling; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (158.00 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.1600 mL	15.7998 mL	31.5996 mL
	5 mM	0.6320 mL	3.1600 mL	6.3199 mL
	10 mM	0.3160 mL	1.5800 mL	3.1600 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

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].

IC_{50} & 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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	HL-60, U-937, PC-3, HTB-82, HTB-114, TE-671, HTB-115 and HTB-88 cells
Concentration:	0.5 μM, 5 μM, 20 μM and 50 μM
Incubation Time:	48 hours
Result:	Significantly and dose dependently decreased cell proliferation in all the cell lines.

Apoptosis Analysis[1]

Cell Line:	HL-60, U-937, PC-3, HTB-82, HTB-114, TE-671, HTB-115 and HTB-88 cells
Concentration:	0.5 μM, 5 μM, 20 μM and 50 μM
Incubation Time:	48 hours
Result:	Induced a dose-dependent increase in apoptosis.

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Athymic, immunodepressed NOD/SCID female mice(20 g) with HTB-114 and HL-60 cells[1]
Dosage:	100 mg/kg
Administration:	Subcutaneous injection; administered 10 times in 19 days; for 21 days
Result:	Resulted in dramatic reductions in tumor sizes.

CUSTOMER VALIDATION

- Nat Commun. 2020 Aug 7;11(1):3946.

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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.

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

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