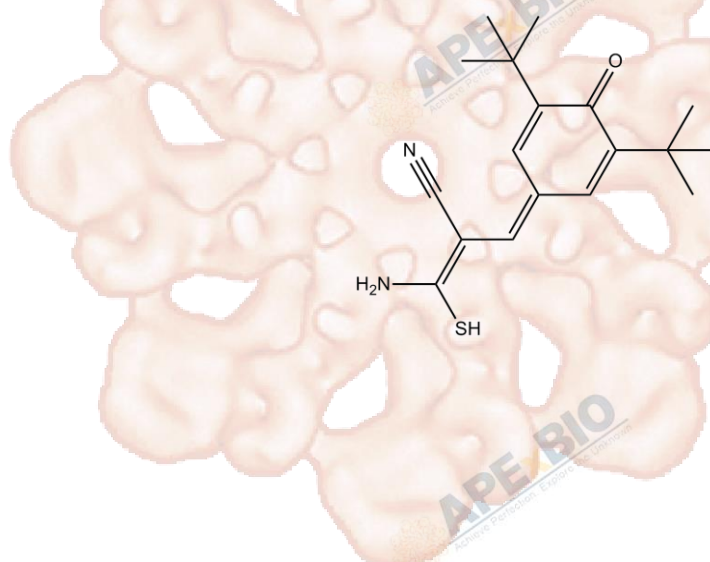


Product Data Sheet

Tyrphostin AG 879

Cat. No.:	B1544
CAS No.:	148741-30-4
Formula:	C ₁₈ H ₂₄ N ₂ O ₂ S
M.Wt:	316.46
Synonyms:	
Target:	Tyrosine Kinase
Pathway:	HER2
Storage:	Store at -20°C



Solvent & Solubility

insoluble in H₂O; ≥2.36 mg/mL in EtOH with ultrasonic; ≥31.6 mg/mL in DMSO

In Vitro

Preparing Stock Solutions	Mass			
	Solvent Concentration	1mg	5mg	10mg
	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

Shortsummary

HER2 inhibitor

IC₅₀ & Target

Cell Viability Assay

In Vitro

Cell Line: MCF-7 cells, MDA-MB-231 cells, SK-BR-3 cells, human leiomyosarcoma (HTB-114, HTB-115, HTB-88), rhabdomyosarcoma (HTB-82, TE-671), prostatic adenocarcinoma (PC-3), acute promyelocytic leukemia (HL-60) and histiocytic lymphoma (U-937)

Preparation method: Soluble in DMSO > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic

		bath for a while. Stock solution can be stored below -20°C for several months.
	Reacting conditions:	20 µM, 24 h
	Applications:	In MCF-7 cells, AG 879 dose-dependently reduced cell numbers and showed a significant effect at 0.4 µM. AG 879 showed a potent effect on MDA-MB-231 cells and SK-BR-3 cells. Treatment with AG 879 for 24 h inhibited activation of ERK-1/2. AG 879 markedly reduced cell numbers and mitotic figures. AG 879 (5 µM) significantly reduced levels of RAF-1 mRNA after 6 and 24 h treatment. AG 879 (5 µM) significantly reduced levels of HER-2 mRNA. AG 879 (20 µM) decreased HER-2 mRNA levels in overexpressing SK-BR-3 cells. Treatment with AG879 (20 µM) dramatically decreased proliferation with a variable increase in apoptosis in cell lines from human leiomyosarcoma (HTB-114, HTB-115, HTB-88), rhabdomyosarcoma (HTB-82, TE-671), prostatic adenocarcinoma (PC-3), acute promyelocytic leukemia (HL-60) and histiocytic lymphoma (U-937).
In Vivo	Animal experiment	
	Animal models:	Athymic NOD/SCID mice grafted with HTB-114 or HL-60, Nude mice carrying v-Ha-RAS transformed NIH 3T3 cells
	Dosage form:	Subcutaneous injection
	Applications:	Treatment with AG879 (2 mg) in immunodepressed mice grafted with leiomyosarcoma or promyelocytic leukemia cells (HTB-114 or HL-60) resulted in dramatic reductions in tumor sizes. AG 879(20 mg/kg) treatment kept 50% of mice absolutely free of RAS-induced sarcomas, and dramatically reduced the size of the growing sarcomas in the nude mice carrying v-Ha-RAS transformed NIH 3T3 cells.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

See more customer validations on www.apexbt.com.

References

- [1]. Larsson L I. Novel actions of tyrphostin AG 879: inhibition of RAF-1 and HER-2 expression combined with strong antitumoral effects on breast cancer cells[J]. Cellular and molecular life sciences, 2004, 61(19): 2624-2631.
- [2]. Rende M, Pistilli A, Stabile A 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[J]. Anti-cancer drugs, 2006, 17(8): 929-941.

[3]. He H, Hirokawa Y, Manser E, et al. Signal therapy for RAS-induced cancers in combination of AG 879 and PP1, specific inhibitors for ErbB2 and Src family kinases, that block PAK activation[J]. Cancer journal (Sudbury, Mass.), 2000, 7(3): 191-202.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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