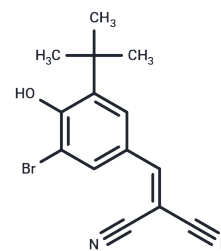


AG1024

Chemical Properties

CAS No. :	65678-07-1
Formula:	C ₁₄ H ₁₃ BrN ₂ O
Molecular Weight:	305.17
Appearance:	no data available
Storage:	store at low temperature, keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	AG1024 (Tyrphostin) suppresses IGF-1R autophosphorylation (IC ₅₀ =7 μM), and is less potent for IR (IC ₅₀ =57 μM).
Targets (IC ₅₀)	Apoptosis, IGF-1R
In vitro	In mice carrying Ba/F3-p210 xenografts, the administration of AG-1024 (30 μg) for 10 days significantly inhibited tumor growth.
In vivo	AG-1024 effectively inhibits autophosphorylation of the IGF-1 receptor (IC ₅₀ =7 μM) and IR (IC ₅₀ =57 μM). In MCF-7 cells, at a concentration of 10 μM, AG-1024 downregulates phosphorylated Akt1 and bcl-2, while upregulating Bax, p53, and p21, thereby inhibiting cell proliferation.
Kinase Assay	Inhibition of IGF-1- and insulin-stimulated cellular proliferation: NIH-3T3 cells overexpressing IGF-1 or insulin receptors are plated on 96-well plates (2,000-5,000 cells/well) and maintained overnight in complete medium. Cells are then changed to DMEM containing 1% FBS in the presence of 10 nM IGF-1 or insulin and various concentrations of AG-1024 for 120 hours. Medium is replaced every 48 hours. At the indicated periods of time, the medium is aspirated from the wells and 100 μL MTT is added to each well. The cells are then incubated for 4 hours at 37 °C and lysed by addition of 100 μL isoamyl alcohol and shaking for 20 minutes. The plate is then read in the ELISA reader at 570 and 690 nm. The IC ₅₀ value is calculated at the 120-hour time point.
Cell Research	Cells are exposed to AG-1024 for 24, 48 or 72 hours. For the determination of proliferation, cells are harvested and counted with trypan blue dye exclusion. Apoptosis is evaluated by dual staining of MCF-7 with fluoresceine anti-digoxigenin and propidium iodide. Briefly, fixed cells are washed with PBS, suspended in TdT buffer with TdT enzyme and Dig-dUTP for 60 minutes, and suspended in FITC blocking solution with anti-Dig-Fluorescein for 30 minutes at room temperature and kept in a dark place. Cells are then rinsed in buffer and resuspended in propidium iodide/RNase A solution for 30 minutes then analyzed by flow cytometry. For the assessment of phospho-Akt1, Bax, p53, bcl-2 and p21, cells are lysed and analyzed by western blot. (Only for Reference)

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 57 mg/mL (186.78 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble), H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.2769 mL	16.3843 mL	32.7686 mL
5 mM	0.6554 mL	3.2769 mL	6.5537 mL
10 mM	0.3277 mL	1.6384 mL	3.2769 mL
50 mM	0.0655 mL	0.3277 mL	0.6554 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

- Párrizas M, et al. Endocrinology. 1997 Apr;138(4):1427-33.
Wen B, et al. Br J Cancer, 2001, 85(12), 22017-2021.
von Willebrand M, et al. Cancer Res, 2003, 63(6), 1420-1429.
Deutsch E, et al. Br J Cancer, 2004, 91(9), 1735-1741.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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