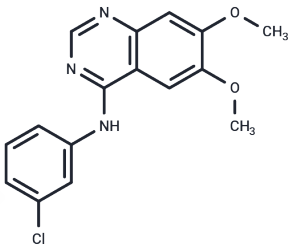
Data Sheet (Cat.No.T2047)

TargetMol

AG-1478

Chemical Properties



CAS No. : 153436-53-4

Formula: C16H14ClN3O2

Molecular Weight: 315.75

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	AG-1478 (NSC-693255) (Tyrphostin AG-1478) is a selective EGFR inhibitor.
Targets(IC50)	EGFR,HCV Protease,Influenza Virus,PDGFR
In vitro	Co-administration of 0.4 mg AG-1478 with a single dose of 25 μCi 90Y-CHX-A''-DTPA-hu3S193 results in significantly enhanced potency compared to the drugs administered separately. AG-1478 blocks phosphorylation of EGFR at tumor sites and inhibits growth in xenograft models of A431 cells overexpressing wt EGFR and glioma expressing de2-7 EGFR. Even subtherapeutic doses of AG-1478 significantly increase the potency of cytotoxic drugs. The combination of AG-1478 and temozolomide shows synergistic antitumor activity against human glioma xenografts.
In vivo	At a concentration of 0.25 μM, AG-1478 effectively inhibits Ang II, Ca2+ ionophore, and EGF-induced MAPK activation in VSMCs, without affecting fosinopril or platelet-derived growth factor-BB (PDGF-BB)-induced MAPK activation. AG-1478 also suppresses EGF-induced mitosis in BaF/ERX and LIM1215 cells, with IC50 values of 0.07 μM and 0.2 μM, respectively. Furthermore, AG-1478 inhibits the function of ABC (ATP-binding cassette) transport proteins, such as ABCB1 and ABCG2, showing a more significant effect on ABCG2. In comparison to cells expressing endogenous wild-type EGFR or overexpressing exogenous wild-type EGFR (with IC50 values of 34.6 μM and 48.4 μM respectively), AG-1478 preferentially inhibits U87 mg cells expressing ΔEGFR, with an IC50 of 8.7 μM. It also preferentially inhibits tyrosine kinase activity and autophosphorylation of ΔEGFR over endogenous or overexpressed exogenous wild-type EGFR.
Cell Research	Cells are exposed to different concentrations of AG-1478 for 72 hours in 96-well plates. The effects of AG-1478 on cell growth are examined using an Alamar Blue assay. A 20-μL aliquot of Alamar Blue is added to each well, and its absorbance is determined using a Spectromax Scanning Micro plate Reader. The effects of AG-1478 are expressed as percentage of growth inhibition using untreated cells as the control (0% inhibition). Cellular DNA synthesis is determined using a [3H]thymidine incorporation assay. (Only for Reference)

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble) H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 4.23 mg/mL (13.4 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.1671 mL	15.8353 mL	31.6706 mL
5 mM	0.6334 mL	3.1671 mL	6.3341 mL
10 mM	0.3167 mL	1.5835 mL	3.1671 mL
50 mM	0.0633 mL	0.3167 mL	0.6334 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

Levitzki A, et al. Science, 1995, 267(5205), 1782-1788.
Li S T, Young T H, Huang T W. Poly (ethylene-co-vinyl alcohol) is a suitable substrate for human olfactory neuroepithelial cell differentiation in vitro through a defined regulatory pathway. Acta Biomaterialia. 2018 Mar 1; 68:204-213
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Han Y, et al. Cancer Res, 1996, 56(17), 3859-3861.
Eguchi S, et al. J Biol Chem, 1998, 273(15), 8890-8896.
Johns TG, et al. Proc Natl Acad Sci U S A, 2003, 100(26), 15871-15876.
Lee FT, et al. Clin Cancer Res, 2005, 11(19 Pt 2), 7080s-7086s.
Akhtar S, et al. Cationic Polyamidoamine Dendrimers as Modulators of EGFR Signaling In Vitro and In Vivo. PLoS One. 2015 Jul 13;10(7):e0132215.
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