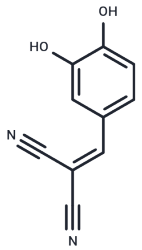
Data Sheet (Cat.No.T2063)

TargetMol

Tyrphostin 23

Chemical Properties



CAS No. : 118409-57-7

Formula: C10H6N2O2

Molecular Weight: 186.17

Appearance: no data available

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

Biological Description

Description	Tyrphostin 23 (AG18) inhibits EGFR with IC50 of 35 μM.
Targets(IC50)	EGFR
In vivo	AG18 inhibits the volume-sensitive release of [3H] taurine in primary astrocyte cultures in a dose-dependent manner. Additionally, AG18 suppresses EGF-induced autophosphorylation of EGFR in A431 cells with an IC50 value of 15 μM and inhibits the proliferation of GH3 cells induced by EGF at a concentration of 10 μM. At the same concentration, AG18 also prevents the increase in ERK1/2 phosphorylation stimulated by Ghrelin in GH3 cells and counteracts 2xKCl-induced contractions, exerting maximal inhibitory effects. At 100 μM, AG18 blocks the activity of IKK stimulated by TNF-alpha and TPA in A549 epithelial cells. Furthermore, at a concentration of 300 μM, it dose-dependently inhibits the stimulation of ICAM-1 expression induced by TPA in A549 epithelial cells. Additionally, at this concentration, AG18 also hampers the TPA-stimulated NF-kappaB DNA-binding and ICAM-1 promoter activity in A549 epithelial cells.
Kinase Assay	EGF-Receptor Autophosphorylation: WGA-purified EGF receptor from A431 cells (0.5 μg/assay) is activated with EGF (800 nM) for 20 min at 4 ℃. The reaction is initiated by the addition of Mg(Ac)2 (60 mM), Tris-Mes buffer, pH 7.6 (50 mM), and [32P]ATP (20 pM, 5 μCi/assay). The reaction is conducted at either 4 ℃ or 15 ℃ and terminated by addition of sodium dodecyl sulfate (SDS) sample buffer (10% glycerol, 50 mM Tris, pH 6.8, 5% β-mercaptoethanol, and 3% SDS). The samples are run on a 8% SDS polyacrylamide gel (SDS-PAGE) (prepared from 30% acrylamide and 0.8% bis-(acrylamide) and contained 0.375 M Tris, pH 8.8, 0.1% SDS, 0.05% TEMED, and 0.46% ammonium persulfate). The gel is dried and autoradiography is perfromed with Agfa Curix RP2 X-ray film. The relevant radioactive bands are cut and counted in the Cerenkov mode. The fast phase of autophosphorylation continued for another 10 min. The extent of phosphorylation completed in the first 10 s at 15 ℃ comprises 1/3 of the total autophosphorylation signal and probably reflects the phosphorylation of the first site on the receptor. The 10-s interval is therefore chosen for use in subsequent autophosphorylation experiments.
Cell Research	GH3 cells are plated at 5 × 104 cells/well in media containing 2% charcoal-stripped FCS and various concentrations of ghrelin, desoctanoylated ghrelin and PMA or EGF for 72 hours with the addition of 2 μCi/well [3H]thymidine for a further 6 hours. A time-course of 24 hours, 48 hours and 72 hours is performed for ghrelin stimulation and 72 hours is

selected for further experiments. Studies are also performed to investigate the effect of rat ghrelin or desoctanoyl ghrelin-induced proliferation and the effect of U0126, GF109203X, AG 18, wortmannin and H-89 upon ghrelin-induced MAPK stimulation. AG 18 at 10 μM is added 30 min before each treatment. Cells are harvested before counting in the presence of scintillation fluid using a Microbeta 1450 bcounter. Experiments are repeated at least three times.(Only for Reference)

Solubility Information

Solubility	Ethanol: 35 mg/mL (188 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), DMSO: 35 mg/mL (188 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.3714 mL	26.8572 mL	53.7143 mL
5 mM	1.0743 mL	5.3714 mL	10.7429 mL
10 mM	0.5371 mL	2.6857 mL	5.3714 mL
50 mM	0.1074 mL	0.5371 mL	1.0743 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

Gazit A, et al. J Med Chem, 1989, 32(10), 2344-2352.
Nanzer AM, et al. Eur J Endocrinol, 2004, 151(2), 233-240.
Mongin AA, et al. Am J Physiol, 1999, 276(5 Pt 1), C1226-1230.
Chen C, et al. Cell Signal, 2001, 13(8), 543-553.