Product Datasheet

Technical Data

Formula	$C_{10}H_6N_2O_2$					
Molecular Weight	186.17	**CAS No.**	118409-57-7			
Solubility (25°C)*	In vitro	DMSO	37 mg/mL (198.74 mM)			
		Ethanol	37 mg/mL (198.74 mM)			
		Water	Insoluble			
	In vivo (Add solvents to the product individually and in order)	Oral Administration	Homogeneous suspension	CMC-NA	≥5mg/ml	Taking the 1 mL working solution as an example, add 5 mg of this product to 1 ml of CMC-Na solution, mix evenly to obtain a homogeneous suspension with a final concentration of 5 mg/ml.

* <1 mg/ml means slightly soluble or insoluble.
* Please note that Capsid Bio tests the solubility of all compounds in-house, and the actual solubility may differ slightly from published values. This is normal and is due to slight batch-to-batch variations.
* Room temperature shipping (Stability testing shows this product can be shipped at 2-8°C for storage purposes.)

Preparing Stock Solutions

Biological Activity

Description	AG-18 (RG-50810, Tyrphostin A23, TX 825) inhibits **EGFR** with **IC50** of 35 μM.
Targets	EGFR [1] 35 μM
In vitro	AG 18 inhibits EGFR and IR with K_i of 11 μM and 12 mM. AG 18 inhibits EGF-induced EGFR autophoshporylation with IC50 of 15 μM in A431 cells. [1] AG 18 (10 μM) inhibits EGF-induced proliferation of GH3 cells. AG 18 (10 μM) causes significant inhibition of the cell proliferation induced by 10 nM and 1 μM ghrelin. AG 18 (10 μM) blocks the ghrelin-stimulated increase in ERK 1/2 phosphorylation in GH3 cells. [2]AG 18 inhibits the volume-sensitive release of [3H]taurine in primary astrocyte cultures in a dose-dependent manner. AG 18 activates swelling-induced volume-dependent D-[3H]aspartate release from primary astrocytic cultures. [3] AG 18 (300 μM) inhibits TPA-induced stimulation of ICAM-1 expression in a dose dependent manner in A549 epithelial cells. AG 18 (300 μM) also inhibits TPA stimulated NF-kappaB DNA-protein binding and ICAM-1 promoter activity in A549 epithelial cells. AG 18 (300 μM) inhibits TNF-alpha-induced NF-kappaB DNA-protein binding and ICAM-1 promoter activity in a dose dependent manner in A549 epithelial cells. IKK activity is stimulated by both TNF-alpha and TPA, and these effects are inhibited by AG 18 (100 μM) in A549 epithelial cells. [4] AG 18 (10 μM) decreases the potency of 5-HT 4-fold and reduces the maximal contraction to 5-HT in the carotid artery. AG 18 (10 μM) shifts KCl-induced contraction 2-fold and causes the maximum significantly inhibition. [5]

Protocol (from reference)

Kinase Assay:[1]

- **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 [^{32}P]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 Assay:[1]

- **Cell lines**

  GH3 cells
- **Concentrations**

  10 μM
- **Incubation Time**

  0.5 hour
- **Method**

  GH3 cells are plated at 5 × 10^4 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 [^{3}H]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.

References

https://pubmed.ncbi.nlm.nih.gov/2552117/ https://pubmed.ncbi.nlm.nih.gov/15296479/ https://pubmed.ncbi.nlm.nih.gov/10329972/

+ Expand

RETURN POLICY

Capsid Bio's Unconditional Return Policy ensures a smooth online shopping experience for our customers. If you are in any way unsatisfied with your purchase, you may return any item(s) within 7 days of receiving it. In the event of product quality issues, either protocol related or product related problems, you may return any item(s) within 365 days from the original purchase date. Please follow the instructions below when returning products.

SHIPPING & STORAGE

Capsid Bio products are transported at room temperature. If you receive the product at room temperature, please rest assured, the Capsid Bio Quality Inspection Department has conducted experiments to verify that the normal temperature placement of one month will not affect the biological activity of powder products. After collecting, please store the product according to the requirements described in the datasheet. Most Capsid Bio products are stable under the recommended conditions.

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