I-OMe-Tyrphostin AG 538

Cat. No.: HY-135680

CAS No.: 1094048-77-7

Molecular Formula: $C_{17}H_{12}INO_5$

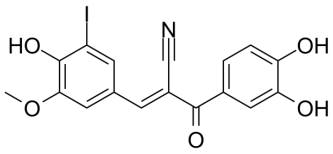
Molecular Weight: 437.19

Target: IGF-1R

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (114.37 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2873 mL	11.4367 mL	22.8734 mL
	5 mM	0.4575 mL	2.2873 mL	4.5747 mL
	10 mM	0.2287 mL	1.1437 mL	2.2873 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.72 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.72 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

I-OMe-Tyrphostin AG 538 (I-OMe-AG 538) is a specific inhibitor of IGF-1R (insulin-like growth factor-1 receptor tyrosine kinase). I-OMe-Tyrphostin AG 538 inhibits IGF-1R-mediated signaling and is preferentially cytotoxic to nutrient-deprived PANC1 cells. I-OMe-Tyrphostin AG 538 is an ATP-competitive inhibitor of phosphatidylinositol-5-phosphate 4-kinase α (PI5P4Kα), with an IC_{50} of 1 μM[1].

IC_{50} & Target

IC50: 1 μM (PI5P4Kα)[1]

In Vitro

I-OMe-Tyrphostin AG 538 (I-OMe-AG 538) (0.1-1000 μM; 24 hours) is cytotoxic to PANC-1 cells in nutrient-deprived medium[1].
I-OMe-Tyrphostin AG 538 (0-3 μM; 1 hour) blocks phosphorylation of IGF-1R, Akt and Erk[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	PANC-1 cells
Concentration:	0.1, 1, 10, 1000 μM
Incubation Time:	24 hours
Result:	Cytotoxic to PANC-1 cells in nutrient-deprived medium.

Western Blot Analysis[1]

Cell Line:	PANC-1 cells (stimulation with 50 ng/ml IGF-1 for 10 min)
Concentration:	0.03, 0.3, 3 μM
Incubation Time:	1 hour
Result:	Blocked phosphorylation of IGF-1R, Akt and Erk.

REFERENCES

[1]. Davis MI, et al. A homogeneous, high-throughput assay for phosphatidylinositol 5-phosphate 4-kinase with a novel, rapid substrate preparation. PLoS One. 2013;8(1):e54127.

[2]. Momose I, et al. Inhibitors of insulin-like growth factor-1 receptor tyrosine kinase are preferentially cytotoxic to nutrient-deprived pancreatic cancer cells. Biochem Biophys Res Commun. 2009 Feb 27;380(1):171-6.

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