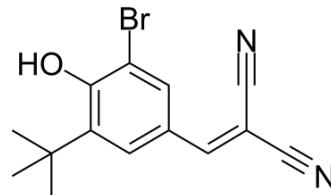


AG1024

Cat. No.:	HY-10253		
CAS No.:	65678-07-1		
Molecular Formula:	C ₁₄ H ₁₃ BrN ₂ O		
Molecular Weight:	305.17		
Target:	IGF-1R; Insulin Receptor; Apoptosis		
Pathway:	Protein Tyrosine Kinase/RTK; Apoptosis		
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 (163.84 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	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

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 (8.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

AG1024 (Tyrphostin AG 1024) is a reversible, competitive and selective IGF-1R inhibitor with an IC₅₀ of 7 μM. AG1024 inhibits phosphorylation of IR (IC₅₀=57 μM). AG1024 induces apoptosis and has anti-cancer activity^{[1][2]}.

IC₅₀ & Target

IC₅₀: 7 μM (IGF1R) and 57 μM (IR)^{[1][2]}

In Vitro

AG1024 (Tyrphostin AG 1024; 2-10 μM; 1-5 days) shows a dose-dependent inhibition of cell proliferation^[1].
 AG1024 (1-5 μM; 1-3 days) induces UT7-9 and Baf3-p210 cells apoptosis^[1].
 AG1024 (2 μM; 6, 12 hours) downregulates phospho-Akt, Bcr-Abl and upregulates DNA-PKcs^[1].
 MCE has not independently confirmed the accuracy of these methods. They are for reference only.
 Cell Proliferation Assay^[1]

Cell Line:	UT7-9 and Baf3-p210 cells
Concentration:	2, 5, 10 μ M
Incubation Time:	1, 3, 5 days
Result:	Showed a dose-dependent inhibition of cell proliferation.

Apoptosis Analysis^[1]

Cell Line:	UT7-9 and Baf3-p210 cells
Concentration:	1, 3, 5 μ M
Incubation Time:	1, 2, 3 days
Result:	Induced apoptosis.

Western Blot Analysis^[1]

Cell Line:	UT7-9 and Ba/F3-p210 cells
Concentration:	2 μ M
Incubation Time:	6, 12 hours
Result:	Downregulated phospho-Akt, Bcr-Abl and upregulated DNA-PKcs.

In Vivo

AG1024 (Tyrphostin AG 1024; 30 μ g; i.p.; per day; for 2 weeks) significantly delays the tumour growth^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female nude mice (6-8 weeks old) ^[1]
Dosage:	30 μ g
Administration:	IP; per day; for 2 weeks
Result:	Significantly delayed the tumour growth.

CUSTOMER VALIDATION

- Biomed Pharmacother. 2017 Nov;95:1346-1358.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Párrizas M, et al. Specific inhibition of IGF-1R and IR tyrosine kinase activity and biological function by tyrphostins. *Endocrinology*. 1997 Apr;138(4):1427-33.

[2]. Deutsch E, et al. Tyrosine kinase inhibitor AG1024 exerts antileukaemic effects on STI571-resistant Bcr-Abl expressing cells and decreases AKT phosphorylation. *Br J Cancer*. 2004 Nov 1;91(9):1735-41.

Caution: Product has not been fully validated for medical applications. For research use only.

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