Tyrphostin AG1296

Cat. No.: HY-13894

CAS No.: 146535-11-7

Molecular Formula: $C_{16}H_{14}N_2O_2$

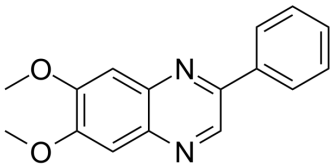
Molecular Weight: 266.29

Target: PDGFR; c-Kit; FLT3; 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 : 33.33 mg/mL (125.16 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.7553 mL	18.7765 mL	37.5530 mL
	5 mM	0.7511 mL	3.7553 mL	7.5106 mL
	10 mM	0.3755 mL	1.8777 mL	3.7553 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (9.39 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (9.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tyrphostin AG1296 is a potent and selective inhibitor of platelet-derived growth factor receptor (PDGFR), with an IC_{50} of 0.8 μM. Tyrphostin AG1296 inhibits signaling of human PDGF α- and β-receptors as well as of the related stem cell factor receptor (c-Kit). Tyrphostin AG1296 is also a potent inhibitor of FLT3, with an IC_{50} in the micromolar range[1][2][3].

IC_{50} & Target		
	PDGFRα	PDGFRβ

In Vitro

Tyrphostin AG1296 (0.625-20 μM; 72 h) suppresses viability of PLX4032-resistant melanoma cells[4].
Tyrphostin AG1296 (2.5-20 μM; 48 h) induces apoptosis of A375R cells[4].
Tyrphostin AG1296 (5 and 20 μM; 2 h) inhibits PDGFR phosphorylation in A375R cells[4].
Tyrphostin AG1296 (0.0625-1 μM; 8 h) inhibits migration of A375R cells[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

Cell Line:	PLX4032-resistant cell lines (A375R and SK-MEL-5R)
Concentration:	0.625, 1.25, 5, 20 μM
Incubation Time:	72 h
Result:	Reduced the viability of both PLX4032-sensitive and PLX4032-resistant cell lines.

Apoptosis Analysis[4]

Cell Line:	A375R cells
Concentration:	2.5, 5, 10, 20 μM
Incubation Time:	48 h
Result:	Induced dramatic apoptosis in A375R cells.

Western Blot Analysis[4]

Cell Line:	A375R cells
Concentration:	5, 20 μM
Incubation Time:	2 h
Result:	Inhibited phosphorylation of both PDGFR-α and PDGFR-β.

In Vivo

Tyrphostin AG1296 (40 and 80 mg/kg; i.p. daily for two weeks) suppresses A375R tumor growth in vivo[4].
Tyrphostin AG1296 (2 mg/kg; i.p. every other day for 3 weeks) inhibits the atherosclerotic plaque progression and enhances plaque stability by inhibiting inflammatory responses, reducing the expression of matrix metalloproteinases and promoting macrophages from proinflammatory phenotype to anti-inflammatory phenotype[5].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nud/nud mice are injected with A375R cells[4]
Dosage:	40, 80 mg/kg
Administration:	I.p. daily for two weeks
Result:	Led to an intermediate level of tumor growth suppression at dose of 40 mg/kg, and significant inhibition of A375R tumor growth at dose of 80 mg/kg. Well tolerated by healthy mice without significant signs of overt toxicity or weight loss.

CUSTOMER VALIDATION

- Bioengineered. 2022 Apr;13(4):10665-10678.

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REFERENCES

[1]. Gazit A, etm, al. Tyrphostins. 5. Potent inhibitors of platelet-derived growth factor receptor tyrosine kinase: structure-activity relationships in quinoxalines, quinolines, and indole tyrphostins. Comparative Study J Med Chem. 1996 May 24; 39(11): 2170-7

[2]. Kovalenko M, et, al. Selective platelet-derived growth factor receptor kinase blockers reverse sis-transformation. Cancer Res. 1994 Dec 1; 54(23): 6106-14.

[3]. Tse KF, et, al. Inhibition of the transforming activity of FLT3 internal tandem duplication mutants from AML patients by a tyrosine kinase inhibitor. Leukemia. 2002 Oct; 16(10): 2027-36.

[4]. Li Y, et, al. Tyrphostin AG1296, a platelet-derived growth factor receptor inhibitor, induces apoptosis, and reduces viability and migration of PLX4032-resistant melanoma cells. Onco Targets Ther. 2015 May 14; 8: 1043-51.

[5]. Dong M, et, al. AG1296 enhances plaque stability via inhibiting inflammatory responses and decreasing MMP-2 and MMP-9 expression in ApoE-/- mice. Biochem Biophys Res Commun. 2017 Aug 5;489(4):426-431.

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