Tie2 kinase inhibitor 1

Cat. No.: HY-100556

CAS No.: 948557-43-5

Molecular Formula: $C_{26}H_{21}N_3O_2S$

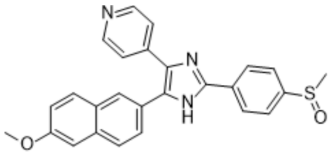
Molecular Weight: 439.53

Target: Tie

Pathway: Protein Tyrosine Kinase/RTK

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 17.86 mg/mL (40.63 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2752 mL	11.3758 mL	22.7516 mL
	5 mM	0.4550 mL	2.2752 mL	4.5503 mL
	10 mM	0.2275 mL	1.1376 mL	2.2752 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: ≥ 1.79 mg/mL (4.07 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1.79 mg/mL (4.07 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tie2 kinase inhibitor 1 (compound 5) is a potent, selective Tie2 kinase inhibitor with an IC_{50} of 250 nM[1]. Tie2 kinase inhibitor 1 has anti-cancer activity[2].

IC_{50} & Target

Tie2
250 nM (IC_{50})

In Vitro

Tie2 kinase inhibitor 1 (compound 5) has an IC_{50} of 50 μM for p38 and has moderate to excellent cellular activities (cell IC_{50} =232 nM)[1].
Tie2 kinase inhibitor 1 inhibits tunica internal endothelial cell kinase 2 (Tie2)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Tie2 kinase inhibitor 1 (intraperitoneally; 50 mg/kg; twice a week for 6 weeks) results in statistically significant reductions in tumor volume by day 15. Tie2 kinase inhibitor 1 results in a 61% reduction in tumor volume by day 20, and reduces tumor volume by 45% by 6 weeks[1].

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

Animal Model:	Athymic nude mice (6- to 8-week-old females)[1]
Dosage:	50 mg/kg
Administration:	Intraperitoneally; twice a week for 6 weeks
Result:	Resulted in statistically significant reductions in tumor volume.

CUSTOMER VALIDATION

- Patent. US20170349880A1.

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REFERENCES

[1]. Semones M, et al. Pyridinylimidazole inhibitors of Tie2 kinase. Bioorg Med Chem Lett. 2007 Sep 1;17(17):4756-60. Epub 2007 Jun 27.

[2]. Hasenstein JR, et al. Efficacy of Tie2 receptor antagonism in angiosarcoma. Neoplasia. 2012 Feb;14(2):131-40.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA