VEGFR-3-IN-1

Cat. No.: HY-132305

CAS No.: 2756668-73-0

Molecular Formula: $C_{29}H_{29}ClF_3N_7OS$

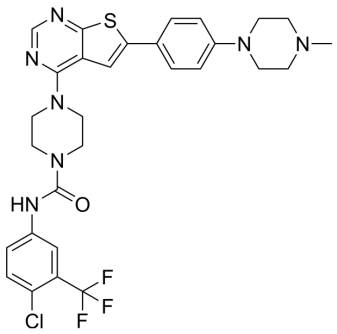
Molecular Weight: 616.1

Target: VEGFR

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 : 12.5 mg/mL (20.29 mM; ultrasonic and warming and adjust pH to 6 with HCl and heat to 60°C)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6231 mL	8.1156 mL	16.2311 mL
5 mM	0.3246 mL	1.6231 mL	3.2462 mL
10 mM	0.1623 mL	0.8116 mL	1.6231 mL

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

BIOLOGICAL ACTIVITY

Description

VEGFR-3-IN-1 is a potent and selective VEGFR3 inhibitor with an IC_{50} of 110.4 nM. VEGFR-3-IN-1 significantly inhibits proliferation and migration of VEGF-C-induced human dermal lymphatic endothelial cells (HDLEC), MDA-MB-231, and MDA-MB-436 cells by inactivating the VEGFR3 signaling pathway, and also effectively inhibits breast cancer growth[1].

IC_{50} & Target

VEGFR3

110.4 nM (IC_{50})

In Vitro

VEGFR-3-IN-1 (compound 38k) exhibits a significantly higher antiproliferative activity on MDA-MB-231 and MDA-MB-436 cells than 10 (IC_{50} > 50 μM), with IC_{50} values of 2.22 and 3.50 μM, respectively[1].

VEGFR-3-IN-1 markedly suppresses the phosphorylation of VEGFR3 and its downstream proteins in a dose-dependent manner[1].

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

Cell Viability Assay[1]

Cell Line:	MDA-MB-231 and MDA-MB-436 cells
Concentration:	100 nM
Incubation Time:	48 hours
Result:	Exerted antimigration and antiproliferative activities through targeting VEGFR3 in MDA-MB-231 and MDA-MB-436 cells.

Western Blot Analysis[1]

Cell Line:	HDLEC cells
Concentration:	10-500 nM
Incubation Time:	
Result:	Antilymphangiogenic activities of VEGFR-3-IN-1 by suppressing the expression of VEGFR3.

In Vivo

VEGFR-3-IN-1 (50, 25 mg/kg; p.o.) reduces the tumor volume, and displays the strongest inhibitory activity in mice, with a growth inhibition rate of 61.9%[1].

VEGFR-3-IN-1 (10 mg/kg; p.o.) treatment shows the C_{max}, AUC_{0-t}, $AUC_{0-\infty}$ and $t_{1/2}$ values of 420 ng/mL, 9219 ng h/mL, 12304 ng h/mL and 16 hours, respectively[1].

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

Animal Model:	Nude mice (carrying xenografted BC)[1]
Dosage:	50 mg/kg
Administration:	P.o.;once
Result:	Reduced the tumor volume, and displayed the strongest inhibitory activity in mice.

Animal Model:	Sprague-Dawley (SD) rats [1]
Dosage:	10 mg/kg
Administration:	P.o. (Pharmacokinetic Analysis)
Result:	The C_{max}, AUC_{0-t}, $AUC_{0-\infty}$ and $t_{1/2}$ were 420 ng/mL, 9219 ng h/mL, 12304 ng h/mL and 16 hours, respectively.

REFERENCES

[1]. Li Y, Yang G, et al. Discovery, Synthesis, and Evaluation of Highly Selective Vascular Endothelial Growth Factor Receptor 3 (VEGFR3) Inhibitor for the Potential Treatment of Metastatic Triple-Negative Breast Cancer. J Med Chem. 2021;64(16):12022-12048.

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