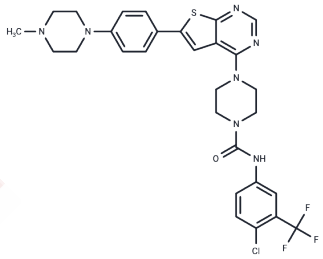
Data Sheet (Cat.No.T72924)

TargetMol

VEGFR-3-IN-1

Chemical Properties



CAS No. : 2756668-73-0

Formula: C29H29ClF3N7OS

Molecular Weight: 616.1

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	VEGFR-3-IN-1 is a novel, potent, and selective VEGFR3 inhibitor with an IC50 of 110.4 nM. It exhibits antitumor activity, inactivates the VEGFR3 signaling pathway, and inhibits the proliferation and migration of VEGF-C-induced human dermal lymphatic endothelial cells (HDLEC), MDA-MB-231, and MDA-MB-436 cells.
Targets(IC50)	VEGFR
In vitro	VEGFR-3-IN-1 (50, 25 mg/kg; oral; once; nude mice) achieved the most potent tumor volume inhibition in nude mice, with an inhibition rate of 61.9%.The results of VEGFR-3-IN-1 (10 mg/kg; oral) post-treatment showed a maximal concentration (Cmax) of 420 ng/mL, an AUC0-t of 9219 ng-h /mL, AUC0-∞ of 12,304 ng-h/mL, and a half-life (t1/2) of 16 hours.
In vivo	VEGFR-3-IN-1 (50, 25 mg/kg; oral; once; nude mice) achieved the most potent tumor volume inhibition in nude mice, with an inhibition rate of 61.9%.The results of VEGFR-3-IN-1 (10 mg/kg; oral) post-treatment showed a maximal concentration (Cmax) of 420 ng/mL, an AUC0-t of 9219 ng-h /mL, AUC0-∞ of 12,304 ng-h/mL, and a half-life (t1/2) of 16 hours.

Solubility Information

Solubility	DMSO: 1 mg/mL (1.62 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.62 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0325 mL	0.1623 mL	0.3246 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Li Y, 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 Aug 26;64(16):12022-12048.