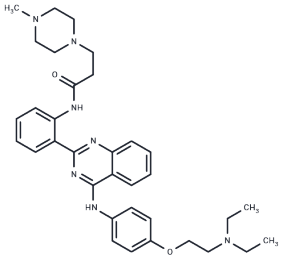
Data Sheet (Cat.No.T4288)

TargetMol

hVEGF-IN-1

Chemical Properties



CAS No. : 1637443-98-1

Formula: C34H43N7O2

Molecular Weight: 581.75

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	hVEGF-IN-1 inhibits human VEGF-A translation and has antitumor activity.
Targets(IC50)	VEGFR
In vitro	hVEGF-IN-1 has a markedly selective interaction with the G-rich region within the 5′-UTR of hVEGF-A mRNA and destabilizes the G-quadruplex structure. hVEGF-IN-1 binds to the IRES-A (WT) (Kd: 0.928 μM) and binds to the hairpin DNA (Kd: 21.2 μM). The G-rich sequence G774-G790 within the IRES-A of hVEGF-A's 5′-UTR is critical for the translation initiation activity of IRES-A. hVEGF-IN-1 hinders BG4 from binding to the IRES-A RNA G-quadruplex in cells. hVEGF-IN-1 down-regulates the translation of hVEGF-A via the G-quadruplex within IRES-A mRNA. hVEGF-IN-1 reduces MDA-MB- 231 cell migration to about 25%.
In vivo	In tumor-bearing mice, hVEGF-IN-1 causes an average tumor volume of fewer than 300 mm3. In the presence of hVEGF-IN-1, the tumor weight reduces around 60.1% to a final weight of 0.18 g and no obvious change in body weight.
Cell Research	MDA-MB-231 cells are plated in the top chambers of 0.8 μm pore trans-wells in Opti-MEM reduced serum medium in the presence or absence of hVEGF-IN-1. Meanwhile, 600 μL of DMEM containing 10% fetal bovine serum (FBS) and 100 μM CoCl2 are added to the lower chambers. The cells are allowed to migrate for 24 h. At the end of the assay, the cells in the top chamber are removed, and the cells at the bottom of the filter are treated by adding 500 μL of DMEM containing 2.5 mg/mL MTT to each well. After incubating at 37 °C with 5% CO2 for 4 h, 500 μL of DMSO is added to each well and the plate is gently rotated for 10 min. Absorbance (570 nm) is measured using a microplate reader.
Animal Research	Mice are separated into three groups: negative control, compound 1-treated, and positive control (doxorubicin-treated). hVEGF-IN-1, doxorubicin, and saline are administered by intraperitoneal injection to athymic nude mice with human tumor xenografts established using MCF-7 breast cancer cells. Mice are injected intraperitoneal once a day for 20 days. Negative controls are injected with 150 μL of saline. The positive control group received doxorubicin by intraperitoneal injection at a dose of 1 mg/kg. hVEGF-IN-1 is similarly administered to mice at a dose of 7.5 mg/kg. After treating the animals for 20 days, the tumor tissues are collected and IHC assays are conducted using an anti-VEGF-A antibody[1].

Solubility Information

Solubility	DMSO: 5.82 mg/mL (10 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 (1.72 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	1.719 mL	8.5948 mL	17.1895 mL
5 mM	0.3438 mL	1.719 mL	3.4379 mL
10 mM	0.1719 mL	0.8595 mL	1.719 mL
50 mM	0.0344 mL	0.1719 mL	0.3438 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

Discovery of Small Molecules for Repressing Cap-Independent Translation of Human VascularEndothelial Growth Factor (hVEGF) as Novel Antitumor Agents. J Med Chem. 2017 Jul 13;60(13):5306-5319.

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