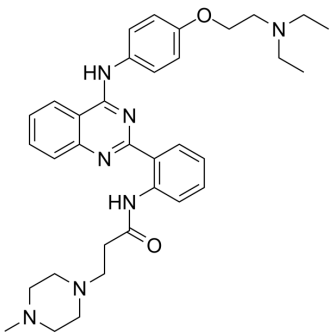
hVEGF-IN-1

Cat. No.:	HY-101931
CAS No.:	1637443-98-1
Molecular Formula:	$C_{34}H_{43}N_7O_2$
Molecular Weight:	581.75
Target:	VEGFR
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 : 25 mg/mL (42.97 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7190 mL	8.5948 mL	17.1895 mL
	5 mM	0.3438 mL	1.7190 mL	3.4379 mL
	10 mM	0.1719 mL	0.8595 mL	1.7190 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: ≥ 2.5 mg/mL (4.30 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.30 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

hVEGF-IN-1, a quinazoline derivative, could specifically bind to the G-rich sequence in the internal ribosome entry site A (IRES-A) and destabilize the G-quadruplex structure. hVEGF-IN-1 binds to the IRES-A (WT) with a K_d of 0.928 μM in SPR experiments. hVEGF-IN-1 could hinder tumor cells migration and repress tumor growth by decreasing VEGF-A protein expression[1].

IC_{50} & Target

VEGFR[1]

In Vitro

hVEGF-IN-1 (compound 1) (1 nM-100 μM; 5 min) binds to IRES-A (WT) and IRES-A mutant RNA oligomer (IRES-MU1) with K_ds of 1.29 and 13.4 μM by microscale thermophoresis (MST) measurements, respectively[1].
hVEGF-IN-1 (0.375-3 μM; 0-24 h) reduces MDA-MB-231 cell migration approximately 25% at the concentration of 3 μM[1].

hVEGF-IN-1 (0.1875-3 μM; 48 h) reduces the level of VEGF-A protein in MCF-7 cells[1].
hVEGF-IN-1 (0.375-3 μM; 48 h) decreases the relative wound closure of migrated MCF-7 cells by -35% at the concentration of 3 μM[1].
hVEGF-IN-1 (1.25-10 μM) reduces the stability of the IRES-A G-Quadruplex in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	MCF-7 cells
Concentration:	0.1875, 0.375, 0.75, 1.5, 3 μM
Incubation Time:	48 hours
Result:	Down-regulated hVEGF-A expression.

In Vivo

hVEGF-IN-1 (compound 1) (7.5 mg/kg; i.p. once daily for 20 d) inhibits tumor growth in a human breast tumor xenograft[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	BALB/c female nude mice were implanted MCF-7 cells[1]
Dosage:	7.5 mg/kg
Administration:	I.p. once daily for 20 days
Result:	Reduced the tumor volume to <300 mm^3. Reduced the tumor weight around 60.1% to a final weight of 0.18 g. Decreased the VEGF-A level in tumor tissue.

REFERENCES

[1]. Wang SK, et, al. Discovery of Small Molecules for Repressing Cap-Independent Translation of Human Vascular Endothelial Growth Factor (hVEGF) as Novel Antitumor Agents. J Med Chem. 2017 Jul 13;60(13):5306-5319.

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