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产品名称: hVEGF-IN-1
产品别名: hVEGF-IN-1

生物活性:						
Description		hVEGF-IN-1 represses human VEGF-A translation and shows antitumor activity.				
IC ₅₀ & Target		VEGFR ^[1]				
In Vitro		hVEGF-IN-1 shows a significant specific interaction with the G-rich region within the 5'- untranslated regions (5'-UTR) of hVEGF-A mRNA and destabilizes the Gquadruplex structure. hVEGF-IN-1 binds to the IRES-A (WT) with a K _d of 0.928 μM and binds to the hairpin DNA with a K _d of 21.2 μM. The G-rich sequence G774-G790 within the IRES-A of hVEGF-A's 5'-UTR has been shown to be 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 hVEGF-A's translation via the G-quadruplex within IRES-A mRNA. hVEGF-IN-1 treatment reduces MDA-MB- 231 cell migration to approximately 25% ^[1] .				
In Vivo		Tumor bearing mice treated with hVEGF-IN-1 have an average tumor volume of less than 300 mm ³ . The tumor weight in the presence of hVEGF-IN-1 reduces around 60.1% to a final weight of 0.18 g. No significant change in body weight is observed during the treatment ^[1] .				
Solvent&Solubility		<i>In Vitro:</i> DMSO : 25 mg/mL (42.97 mM; Need ultrasonic) H₂O : < 0.1 mg/mL (insoluble)				
		Preparing Stock Solutions	Solvent / Mass / 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
		<i>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液; 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</i> <i>储备液的保存方式和期限: -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</i> <i>In Vivo:</i> <i>请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液, 再依次添加助溶剂:</i> <i>——为保证实验结果的可靠性, 澄清的储备液可以根据储存条件, 适当保存; 体内实验的工作液, 建议您现用现配, 当天使用; 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比; 如在配制过程中出现沉淀、析出现象, 可以通过加热和/或超声的方式助溶</i> <i>1.请依序添加每种溶剂: 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline</i> <i>Solubility: ≥ 2.5 mg/mL (4.30 mM); Clear solution</i> <i>此方案可获得 ≥ 2.5 mg/mL (4.30 mM, 饱和度未知) 的澄清溶液。</i> <i>以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中, 混合均匀, 向上述体系中加入 50 μL Tween-80, 混合均匀; 然后继续加入 450 μL 生理盐水定容至 1 mL。</i> <i>2.请依序添加每种溶剂: 10% DMSO →90% corn oil</i>				



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	Solubility: ≥ 2.5 mg/mL (4.30 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.30 mM, 饱和度未知) 的澄清溶液, 此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例, 取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中, 混合均匀。
References	[1]. 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.
实验参考:	
Cell Assay	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^[1].
Animal Administration	Mice: 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 ip injection to athymic nude mice with human tumor xenografts established using MCF-7 breast cancer cells. Mice are injected ip once a day for 20 days. Negative controls are injected with 150 μL of saline. The positive control group received doxorubicin by ip 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].
References	[1]. 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.