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产品名称：EG00229
产品别名：EG00229

生物活性：

Description	EG00229 is a neuropilin 1 (NRP1) receptor antagonist. EG00229 selectively inhibits VEGF-A binding to NRP1 b1 domain with an IC50 of 3 μM, but has no effect on VEGFA binding to VEGFR-1 and VEGFR-2[1].
IC_{50} & Target	IC50: 8 μM (^{125}I-VEGF-A binding to PAE/NRP1); 3 μM (bt-VEGF-A binding to purified NRP1 b1 domain)[1].
In Vitro	EG00229 (Compound 2; 0-100 μM; 48 hours; A549 cells) treatment causes a significant reduction in cell viability over a 48 hours incubation[1]. EG00229 (Compound 2) demonstrates inhibition of VEGF-A binding to NRP1 and attenuates VEGFR2 phosphorylation in endothelial cells. Inhibition of migration of endothelial cells is also observed in HUVECs[1]. EG00229 (Compound 2) selectively inhibits radiolabeled ^{125}I-VEGF-A binding to porcine aortic endothelial (PAE)/NRP1, but not VEGFR2-expressing cells, with an IC_{50} of 8 μM. EG00229 also inhibits VEGF-A binding to lung carcinoma A549 and prostate carcinoma DU145 cells, which express NRP1, but not VEGFR1 and VEGFR2, with similar potency. Binding of VEGF-A to human umbilical vein endothelial cells (HUVECs), which express VEGFR2, VEGFR1, and NRP1, is also inhibited by EG00229 with an IC_{50} of 23 μM[1].

Cell Viability Assay[1]

Cell Line:	A549 cells
Concentration:	0 μM, 10 μM, 30 μM, 100 μM
Incubation Time:	48 hours
Result:	Caused a significant reduction in cell viability.

In Vivo	EG00229 (0-10 mg/kg; intraperitoneal injection; three times per week; for 4 weeks; NSG mice) treatment substantially reduces tumor growth and visible vascularization[2].
Animal Model:	6-week old female NOD scid IL2 receptor gamma chain knockout mice (NSG mice) with ECS cells[2]
Dosage:	0 mg/kg, 10 mg/kg
Administration:	Intraperitoneal injection; three times per week; for 4 weeks
Result:	Reduces tumor growth and visible vascularization.

In Vitro:

DMSO : ≥ 41.4 mg/mL (67.69 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.6351 mL	8.1753 mL	16.3506 mL
	5 mM	0.3270 mL	1.6351 mL	3.2701 mL
	10 mM	0.1635 mL	0.8175 mL	1.6351 mL

*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。

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

Solvent&Solubility	储存时，请在 1 个月内使用。 ***In Vivo:*** 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： ——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 1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.09 mM，饱和度未知) 的澄清溶液。 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。 2.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (4.09 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]. Jarvis A, et al. Small molecule inhibitors of the neuropilin-1 vascular endothelial growth factor A (VEGF-A) interaction. J Med Chem. 2010 Mar 11;53(5):2215-26. [2]. Grun D, et al. VEGF-A acts via neuropilin-1 to enhance epidermal cancer stem cell survival and formation of aggressive and highly vascularized tumors. Oncogene. 2016 Aug 18;35(33):4379-87.