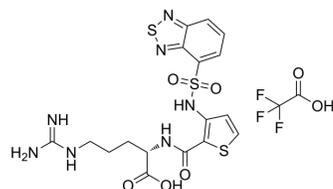


EG00229

Cat. No.:	HY-10799
CAS No.:	1210945-69-9
Molecular Formula:	C ₁₉ H ₂₀ F ₃ N ₇ O ₇ S ₃
Molecular Weight:	611.6
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 41.4 mg/mL (67.69 mM)
* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: 50% PEG300 >> 50% saline
Solubility: 25 mg/mL (40.88 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.09 mM); Clear solution

BIOLOGICAL ACTIVITY

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].

IC₅₀ & Target

IC₅₀: 8 μM (¹²⁵I-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 ¹²⁵I-VEGF-A binding to porcine aortic endothelial (PAE)/NRP1, but not VEGFR2-expressing cells, with an IC₅₀ 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 IC₅₀ of 23 μM^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

CUSTOMER VALIDATION

- EBioMedicine. 2019 May;43:525-536.
- EBioMedicine. 2019 May;43:525-536.
- Biochem Pharmacol. 2022 May;199:115030.
- J Cancer. 2021 Aug 24;12(20):6105-6117.
- Bioorgan Med Chem. 2020 Jan 1;28(1):115183.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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