EG00229

Cat. No.: HY-10799

CAS No.: 1210945-69-9

Molecular Formula: $C_{19}H_{20}F_3N_7O_7S_3$

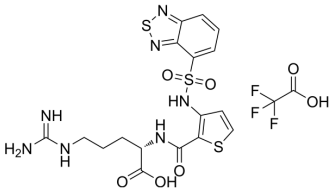
Molecular Weight: 611.6

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

Concentration \ Solvent \ 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

1. 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
2. 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 IC_{50} 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].

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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