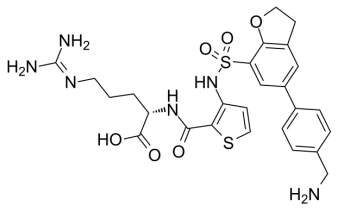
EG01377

Cat. No.:	HY-112151
CAS No.:	2227996-00-9
Molecular Formula:	$C_{26}H_{30}N_6O_6S_2$
Molecular Weight:	586.68
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	EG01377 is a potent, bioavailable and selective inhibitor of neuropilin-1 (NRP1), with a K_d of 1.32 μM, and IC_{50}s of both 609 nM for NRP1-a1 and NRP1-b1. EG01377 has antiangiogenic, antimigratory, and antitumor effects[1].
IC_{50} & Target	IC50: 609 nM (NRP1-a1 and NRP1-b)[1]Kd: 1.32 μM (NRP1-b1)[1]
In Vitro	EG01377 (3-30 μM; 30 minutes) inhibits vascular endothelial growth factor A (VEGF-A) stimulated tyrosine phosphorylation of VEGF-R2/KDR[1]. EG01377 (30 μM) is able to significantly reduce HUVEC cell migration in response to VEGFA[1]. EG01377 (30 μM; 5 days) can delay the VEGF-induced wound closure[1]. EG01377 (30 μM) reduces network area, length, and branching points[1]. EG01377 (30 μM; 7 days) reduces VEGF-induced angiogenesis[1]. EG01377 (30 μM; 7 days) in combination with VEGFA reduces A375P (malignant melanoma) spheroid outgrowth[1]. EG01377 (500 nM; 2 hours) blocks the production of transforming growth factor beta (TGFβ) by $Nrp1^+$ regulatory T-cell SMAD3/AKT (Tregs) in the presence of tumor cell-derived factors[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	Human umbilical vein endothelial cells (HUVECs)
Concentration:	3, 10, 30 μM
Incubation Time:	30 minutes
Result:	Inhibited VEGF-A stimulated tyrosine phosphorylation of VEGF-R2/KDR with an IC_{50} of 30 μM.

In Vivo	EG01377 (2 mg/kg; i.v.) exhibits an encouraging half-life of 4.29 h, sufficient to sustain once per day dosing in mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	6–8 week-old BABL/c female mice[1]
Dosage:	2 mg/kg (Pharmacokinetic Analysis)

Administration:	I.v. administration
Result:	The half time ($T_{1/2}$) of 4.29 h.

CUSTOMER VALIDATION

- Cell Death Dis. 2023 Feb 25;14(2):159.

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REFERENCES

[1]. Powell J, et al. Small Molecule Neuropilin-1 Antagonists Combine Antiangiogenic and Antitumor Activity with Immune Modulation through Reduction of Transforming Growth Factor Beta (TGFβ) Production in Regulatory T-Cells. J Med Chem. 2018 May 10;61(9):4135-

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA