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Zuschläge

- Mindermengenzuschlag
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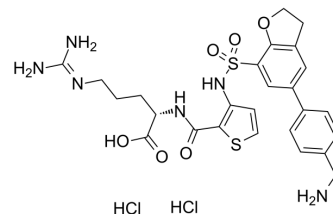
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EG01377 dihydrochloride

Cat. No.:	HY-112151A
CAS No.:	2749438-61-5
Molecular Formula:	C ₂₆ H ₃₂ Cl ₂ N ₆ O ₆ S ₂
Molecular Weight:	659.6
Target:	Complement System
Pathway:	Immunology/Inflammation
Storage:	-20°C, stored under nitrogen, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen, away from moisture)



SOLVENT & SOLUBILITY

In Vitro	DMSO : 200 mg/mL (303.21 mM; Need ultrasonic)				
	Preparing Stock Solutions	Solvent Concentration Mass	1 mg	5 mg	10 mg
		1 mM	1.5161 mL	7.5804 mL	15.1607 mL
		5 mM	0.3032 mL	1.5161 mL	3.0321 mL
		10 mM	0.1516 mL	0.7580 mL	1.5161 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: ≥ 5 mg/mL (7.58 mM); Clear solution				
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 5 mg/mL (7.58 mM); Clear solution				
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil				
	Solubility: ≥ 5 mg/mL (7.58 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	EG01377 dihydrochloride is a potent, bioavailable and selective inhibitor of neuropilin-1 (NRP1), with a K _d of 1.32 μM, and IC ₅₀ s of 609 nM for both NRP1-a1 and NRP1-b1. EG01377 dihydrochloride has antiangiogenic, antimigratory, and antitumor effects ^[1] .
IC ₅₀ & Target	IC50: 609 nM (NRP1-a1 and NRP1-b) ^[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 μ M) is able to significantly reduce HUVEC cell migration in response to VEGFA^[1].
 EG01377 (30 μ M; 5 days) can delay the VEGF-induced wound closure^[1].
 EG01377 (30 μ M) reduces network area, length, and branching points^[1].
 EG01377 (30 μ M; 7 days) reduces VEGF-induced angiogenesis^[1].
 EG01377 (30 μ M; 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 (TGF β) 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 μ M
Incubation Time:	30 minutes
Result:	Inhibited VEGF-A stimulated tyrosine phosphorylation of VEGF-R2/KDR with an IC ₅₀ of 30 μ M.

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.
- Cancers (Basel). 2023 Apr 10, 15(8), 2225.

See more customer validations on www.MedChemExpress.com

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 (TGF β) Production in Regulatory T-Cells. J Med Chem. 2018 May 10;61(9):4135-4154.

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

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