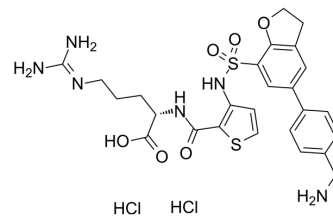


## EG01377 dihydrochloride

<b>Cat. No.:</b>	HY-112151A
<b>CAS No.:</b>	2749438-61-5
<b>Molecular Formula:</b>	C <sub>26</sub> H <sub>32</sub> Cl <sub>2</sub> N <sub>6</sub> O <sub>6</sub> S <sub>2</sub>
<b>Molecular Weight:</b>	659.6
<b>Target:</b>	Complement System
<b>Pathway:</b>	Immunology/Inflammation
<b>Storage:</b>	-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

<b>In Vitro</b>	DMSO : 200 mg/mL (303.21 mM; Need ultrasonic)					
		Solvent Concentration	Mass			
	<b>Preparing Stock Solutions</b>			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.						
<b>In Vivo</b>	<ol style="list-style-type: none"> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 40% PEG300 &gt;&gt; 5% Tween-80 &gt;&gt; 45% saline Solubility: ≥ 5 mg/mL (7.58 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% (20% SBE-β-CD in saline) Solubility: ≥ 5 mg/mL (7.58 mM); Clear solution</li> <li>Add each solvent one by one: 10% DMSO &gt;&gt; 90% corn oil Solubility: ≥ 5 mg/mL (7.58 mM); Clear solution</li> </ol>					

### BIOLOGICAL ACTIVITY

<b>Description</b>	EG01377 dihydrochloride is a potent, bioavailable and selective inhibitor of neuropilin-1 (NRP1), with a K <sub>d</sub> of 1.32 μM, and IC <sub>50</sub> s of 609 nM for both NRP1-a1 and NRP1-b1. EG01377 dihydrochloride has antiangiogenic, antimigratory, and antitumor effects <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	IC50: 609 nM (NRP1-a1 and NRP1-b) <sup>[1]</sup>
<b>In Vitro</b>	EG01377 (3-30 μM; 30 minutes) inhibits vascular endothelial growth factor A (VEGF-A) stimulated tyrosine phosphorylation of VEGF-R2/KDR <sup>[1]</sup> .

EG01377 (30  $\mu$ M) is able to significantly reduce HUVEC cell migration in response to VEGFA<sup>[1]</sup>.  
EG01377 (30  $\mu$ M; 5 days) can delay the VEGF-induced wound closure<sup>[1]</sup>.  
EG01377 (30  $\mu$ M) reduces network area, length, and branching points<sup>[1]</sup>.  
EG01377 (30  $\mu$ M; 7 days) reduces VEGF-induced angiogenesis<sup>[1]</sup>.  
EG01377 (30  $\mu$ M; 7 days) in combination with VEGFA reduces A375P (malignant melanoma) spheroid outgrowth<sup>[1]</sup>.  
EG01377 (500 nM; 2 hours) blocks the production of transforming growth factor beta (TGF $\beta$ ) by Nrp1<sup>+</sup> regulatory T-cell SMAD3/AKT (Tregs) in the presence of tumor cell-derived factors<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.  
Western Blot Analysis<sup>[1]</sup>

Cell Line:	Human umbilical vein endothelial cells (HUVECs)
Concentration:	3, 10, 30 $\mu$ M
Incubation Time:	30 minutes
Result:	Inhibited VEGF-A stimulated tyrosine phosphorylation of VEGF-R2/KDR with an IC <sub>50</sub> of 30 $\mu$ 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<sup>[1]</sup>.  
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 <sup>[1]</sup>
Dosage:	2 mg/kg (Pharmacokinetic Analysis)
Administration:	I.v. administration
Result:	The half time (T <sub>1/2</sub> ) 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](http://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 $\beta$ ) 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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