Proteins

Screening Libraries

Ethamsylate

Cat. No.: HY-B1074 CAS No.: 2624-44-4 Molecular Formula: $C_{10}H_{17}NO_{5}S$

Molecular Weight: 263.31

Target: Prostaglandin Receptor

Pathway: GPCR/G Protein

4°C, protect from light, stored under nitrogen Storage:

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light, stored under

nitrogen)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 120 mg/mL (455.74 mM; Need ultrasonic) H₂O: 50 mg/mL (189.89 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.7978 mL	18.9890 mL	37.9781 mL
	5 mM	0.7596 mL	3.7978 mL	7.5956 mL
	10 mM	0.3798 mL	1.8989 mL	3.7978 mL

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

In Vivo

- 1. Add each solvent one by one: PBS Solubility: 100 mg/mL (379.78 mM); Clear solution; Need ultrasonic
- 2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution
- 4. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 3 mg/mL (11.39 mM); Clear solution

BIOLOGICAL ACTIVITY

Description Ethamsylate (Etamsylate) is an orally active anti-hemorrhagic compound. Ethamsylate inhibits biosynthesis and action of prostaglandins. Ethamsylate has the potential to maintain early hemostasis as well as restores capillary resistance. Ethamsylate acts as an antiangiogenic factor, inhibiting wound healing and matrigel tubulogenesis.[1][2][3].

In Vitro Ethamsylate (480 μM, 30 mim) prolongs lifetime of neutrophils^[1].

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Ethamsylate (0-100 μM, 3-8 h) inhibits migration and tubulogenesis on matrigel in endothelial cells^[3]. Ethamsylate (0-100 μ M, 48 h), inhibits the signaling of FGF/FGFR, decreases phosphorylation Levels of AKT and Erk1/2^[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Migration Assay [3]

Cell Line:	HMEC-1 and HUVECs cells	
Concentration:	0-100 μΜ	
Incubation Time:	3-8 h	
Result:	Didn't affect the migration of HMEC-1 cells. Inhibited the migration of HUVECs cells. Thinned the morphology of the closed network and enlarged the closed cells.	

western Blot Analysis

Cell Line:	HUVECs cells	
Concentration:	0-100 μΜ	
Incubation Time:	48 h	
Result:	Decreased the amount of Akt1 phosphorylated. Decreased pERK2 levels at the highest doses (50-100 μM).	

In Vivo

Ethamsylate (100 mg/kg, p.o., twice a day, three days) attenuates mutilated secondary pathogenesis and exhibits a neuroprotective role in mice of spinal cord injury^[4].

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

Animal Model:	C57BL/6J female mice of spinal cord injury ^[3]	
Dosage:	100 mg/kg	
Administration:	Oral gavage (p.o.), twice a day, three days	
Result:	Attenuated the MMP-9 expression. Inhibited the degradation of TJ proteins. Reduced the upregulation of IL-1 β , IL-6, and TNF- α .	
	Reduced axonal demyelination and decreased cystic cavity formation. Reduced the extent of Fibrotic scar formation.	

REFERENCES

- [1]. Zawrotniak M, et al. Selected mucolytic, anti-inflammatory and cardiovascular drugs change the ability of neutrophils to form extracellular traps (NETs). Acta Biochim Pol. 2015;62(3):465-73.
- [2]. Kovács L, et al. Etamsylate as inhibitor of prostaglandin biosynthesis in pregnant human myometrium in vitro. Experientia. 1981 Nov 15;37(11):1182-3.
- [3]. Albiñana V, et al. Topically Applied Etamsylate: A New Orphan Drug for HHT-Derived Epistaxis (Antiangiogenesis through FGF Pathway Inhibition). TH Open. 2019 Jul 26;3(3):e230-e243.
- [4]. Dolma S, et al. Ethamsylate Attenuates Mutilated Secondary Pathogenesis and Exhibits a Neuroprotective Role in Experimental Model of Spinal Cord Injury. Neuroscience. 2022 Feb 21;484:26-37.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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