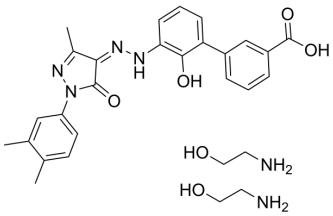
Eltrombopag Olamine

Cat. No.:	HY-15306A
CAS No.:	496775-62-3
Molecular Formula:	$C_{29}H_{36}N_6O_6$
Molecular Weight:	564.63
Target:	Thrombopoietin Receptor; Bacterial; Apoptosis
Pathway:	Immunology/Inflammation; Anti-infection; Apoptosis
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 : ≥ 50 mg/mL (88.55 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7711 mL	8.8554 mL	17.7107 mL
	5 mM	0.3542 mL	1.7711 mL	3.5421 mL
	10 mM	0.1771 mL	0.8855 mL	1.7711 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 10 mg/mL (17.71 mM); Suspended solution; Need ultrasonic and warming and heat to 60°C
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.07 mg/mL (1.90 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 1.07 mg/mL (1.90 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Eltrombopag Olamine (Eltrombopag diethanolamine salt) is an orally active thrombopoietin receptor nonpeptide agonist. Eltrombopag Olamine owns thrombopoietic activity, and has been used to research low blood platelet counts with chronic immune thrombocytopenia. Eltrombopag Olamine can be used for the research of cardiovascular. Eltrombopag Olamine also has highly inhibitory effects against multidrug resistant Staphylococcus aureus. Eltrombopag Olamine can induce apoptosis in hepatocellular carcinomab (HCC) as well[1][2][3][4][5].

IC_{50} & Target

Thrombopoietin Receptor, Staphylococcus aureus, Apoptosis[1][3][5]

In Vitro

Eltrombopag (0.002-50 μM; 4 h) possesses activity in murine BAF3 cells transfected with the luciferase reporter gene[1].
Eltrombopag (30 μM; 120 min) affects the activates of p-STAT5 in N2C-Tpo cells[1].
Eltrombopag (30 μM; 120 min) activates p-STAT5 in megakaryocytes[1].
Eltrombopag (0.1 nM-10 μM; 30 min) stimulates proliferation of BAF3/hTpoR cells[1].
Eltrombopag (0.03-3 μM; 10 days) increases the differentiation of bone marrow $CD34^+$ cells into $CD41^+$ megakaryocytes[1].
Eltrombopag (0-3 μM; 72 h) affects N2C-Tpo cell apoptosis[1].
Eltrombopag efficiently inhibits Pneumococcal growth with MIC_{50} of 0.3 mg/L, but shows no activity against Gram-negative bacteria[3].
Eltrombopag (0-200 mg/L; 24 h; Caco-2 and HepG2 cells) inhibits Staphylococcus aureus growth with an MIC_{50} of 1.5 mg/L, and exhibits higher potency when co-treats with Vancomycin (HY-B0671) with an MIC_{50} of 1.2 mg/L[3].
Eltrombopag (0 or 10 μg/mL; 72 h) significantly induces G0/G1 phase arrest in Huh7 cells[5].
Eltrombopag (0.1-100 μg/mL; 72 h) exhibits anti-proliferative activity against HCC cell lines[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	Murine BAF3 cells
Concentration:	0.002-50 μM
Incubation Time:	4 hours
Result:	Effectively inhibited murine BAF3 cells with human TpoR with an EC_{50} value of 0.27 μM.

Western Blot Analysis[1]

Cell Line:	N2C-Tpo cells and $CD34^+$
Concentration:	30 μM for N2C-Tpo cells; 0, 1, 3 and 10 μM for $CD34^+$
Incubation Time:	120 min for N2C-Tpo cells; 30 min for $CD34^+$
Result:	Activated phospho-STAT5 and maximum signal intensity exhibited at 60 minutes after treatment in N2C-Tpo cells. Dose-dependently activated STAT5 phosphorylation at 30 minutes after treatment in $CD34^+$.

Cell Proliferation Assay[1]

Cell Line:	BAF3/hTpoR cells
Concentration:	0.1 nM-10 μM
Incubation Time:	2 days
Result:	Promoted BAF3/hTpoR cells proliferation after incubated for 2 days with an EC50 of 0.03 μM.

Cell Differentiation Assay[1]

Cell Line:	$CD34^+$
Concentration:	0.003, 0.01, 0.03, 0.1, 0.3, 1 and 3 μM
Incubation Time:	10 days
Result:	Dose-dependently stimulated the differentiation from bone marrow $CD34^+$ cells to $CD41^+$ megakaryocytes with an EC50 value of 0.1 μM.

Apoptosis Analysis[1]

Cell Line:	N2C-Tpo cells
Concentration:	0, 0.003, 0.01, 0.03, 0.1, 0.3, 1 and 3 μM
Incubation Time:	72 hours
Result:	Exhibited dose-dependently antiapoptotic effects N2C-Tpo cells with a concentration over 0.03 μM.

Cell Proliferation Assay[5]

Cell Line:	Huh7, HepG2 and Hep3B cells (preloaded with iron (500 μg/ml FAC) for 24 h)
Concentration:	0.1-100 μg/mL
Incubation Time:	72 h
Result:	Exhibited anti-proliferative activity against HCC cell lines with IC_{50}s of 5.7 μg/ml for Huh7, 5.4 μg/ml for HepG2, and 4.7 μg/ml for Hep3B.

Cell Cycle Analysis[5]

Cell Line:	Huh7 cells
Concentration:	0 or 10 μg/mL
Incubation Time:	72 h
Result:	Significantly induced G0/G1 phase arrest.

In Vivo

Eltrombopag Olamine (10 mg/kg; p.o. once a day for 5 days) shows good tolerance in chimpanzees[1].
Eltrombopag Olamine (17.6 mg/kg; IP; once a day for 2 days) significantly reduces mean S. aureus counts in mice nasal infection[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female chimpanzees[1]
Dosage:	10 mg/kg
Administration:	Oral gavage; 10 mg/kg once a day; for 5 days
Result:	Appeared a goes up and then goes back tendency of platelet counts after treatment, and showed no bad effects of hematology, coagulation, or clinical chemistry parameters on animal.

Animal Model:	C57BL/6 male mice (7 weeks, 20-22 g; injected S. aureus (5×10^8 CFU suspended in 40 μL PBS) into the nasal cavities)[3]
Dosage:	17.6 mg/kg
Administration:	IP; once a day for 2 days
Result:	Significantly reduced mean bacterial counts (5.0×10^6 CFU/lung) in the nasal infection model compared with control PBS (5.2×10^7 CFU/lung) mice.

CUSTOMER VALIDATION

- J Thromb Haemost. 2022 May 27.
- Blood Adv. 2017 Feb 28;1(7):468-476.
- Cells. 2022, 11(3), 319.
- Front Pharmacol. 2020 Nov 16;11:582625.
- Viruses. 2019 Apr 25;11(4):385.

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REFERENCES

[1]. Erickson-Miller CL, et al. Discovery and characterization of a selective, nonpeptidyl thrombopoietin receptor agonist. Exp Hematol. 2005 Jan;33(1):85-93.

[2]. Lee H, et al. Repurposing Eltrombopag for Multidrug Resistant Staphylococcus aureus Infections. Antibiotics (Basel). 2021 Nov 9;10(11):1372.

[3]. Juan Zhu, et al. Identification of Eltrombopag as a Repurposing Drug Against Staphylococcus epidermidis and its Biofilms. Curr Microbiol. 2021 Feb 21.

[4]. Kurokawa T, et al. The Eltrombopag antitumor effect on hepatocellular carcinoma. Int J Oncol. 2015 Nov;47(5):1696-702.

[5]. Erickson-Miller CL, et al. Preclinical activity of eltrombopag (SB-497115), an oral, nonpeptide thrombopoietin receptor agonist. Stem Cells. 2009 Feb;27(2):424-30.

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