
Product Data Sheet

Product Name: Vinflunine Tartrate

Cat. No.: GC13815

Chemical Properties

Cas. No. 1201898-17-0

SMILES [H][C@]12[C@]3(CC)[C@@H](OC(C)=O)[C@](O)(C(OC)=O)[C@]4([H])N(C)C5=CC(OC)=C([C@](C[C@H]6C[C@@H](C(F)(F)C)CN7C6)(C(OC)=O)C8=C(C7)C(C=CC=C9)=C9N8)C=C5[C@@]41CCN2CC=C3.O=C(O)[C@H](O)[C@@H](O)C(O)=O

Formula C₄₅H₅₄F₂N₄O₈.xC₄H₆O₆

M.Wt

967.02

Solubility Soluble in DMSO > 10 mM

Storage

Store at -20°C

General tips

For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Protocol****Cell experiment [1]:**

Cell lines

Human retinal microvascular endothelial cells (HRECs)

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

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Preparation Method Melted Matrigel Matrix was added to a 96-well plate at 100 μ L per well and allowed to stand in an incubator (37°C) for 30 min. The HRECs were evenly spread on the Matrigel Matrix on ice. Different concentrations of etanercept or PBS were added to the cells 60 min before the addition of the human recombinant TNF- α (rhTNF- α). The concentration of rhTNF- α was 1 ng/mL and that of etanercept was 20 or 50 μ g/mL. The plate was placed at 37°C for 7 h, cell tube formation images were captured with an inverted microscope (100x).

Reaction Conditions 20 or 50 μ g/mL; 7 h

Applications Etanercept reduces endothelial tube formation.

Animal experiment [2]:

Animal models 30 day-old Wistar albino rats(50-70 g)

Preparation Method Rats were separated into the three groups: contro, CD, and CD + ETA (exposed to CD and treated with subcutaneous injections of etanercept (0.8 mg/kg/week) for 12 weeks). The control group was fed with a standard pellet diet. The CD and CD + ETA groups were fed with CD, which is a high-fat diet

Dosage form 0.8 mg/kg/week; 12 weeks; s.c.

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Applications

12-week chronic etanercept treatment significantly restored endothelium-dependent vasorelaxation and resulted in decreased serum levels of TNF- α and increased eNOS expression in rats exposed to CD.

References:

- [1]. Yao Y, Cai Y, et al. Etanercept as a TNF- α inhibitor depresses experimental retinal neovascularization. Graefes Arch Clin Exp Ophthalmol. 2021 Mar;259(3):661-671. doi: 10.1007/s00417-020-04956-6. Epub 2020 Oct 12. PMID: 33043386.
- [2]. R?zvan-Valentin S, Güler SA, et al. Etanercept Prevents Endothelial Dysfunction in Cafeteria Diet-Fed Rats. Int J Environ Res Public Health. 2022 Feb 14;19(4):2138. doi: 10.3390/ijerph19042138. PMID: 35206342; PMCID: PMC8872388.

Background

Etanercept is an inhibitor of the inflammatory factor TNF- α and is mainly used for the clinical treatment of rheumatoid arthritis (RA), ankylosing spondylitis, and uveitis [1-3]. Etanercept can competitively inhibit the binding of two subtypes of TNF (TNF- α and TNF- β) to their cell surface receptor (TNFR) and further prevent TNF- α and TNF- β from

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exerting their biological effects [4].

In vitro, etanercept(20 or 50 µg/mL;7 h) reduced endothelial cell tube formation by inhibiting activation of the NF-κB signaling pathway[7]. The protective effect of etanercept(24h)lies in the restoration of autophagy against TNF-induced endothelial damage in EA.hy926 endothelial cells [5].

Etanercept treatment(0.8 mg/kg/week; 12 weeks;i.p.) significantly restored endothelium-dependent vasorelaxation and resulted in decreased serum levels of TNF-α and increased eNOS expression in rats exposed to CD[6].

References:

- [1]. Padilla-Mart EM, Romero SC, et,al. (2019) Drug levels and antibodies against TNF-blockers in spondyloarthritis and rheumatoid arthritis are associated with the activity but they do not predict it. *Curr Rheumatol Rev* 15:329-335
- [2]. D'Adamio S, Silvaggio D, et,al. Pharmacotherapeutic management of psoriasis in adolescents and children. *Expert Opin Pharmacother*. 2019 Oct;20(14):1777-1785. doi: 10.1080/14656566.2019.1636032. Epub 2019 Jul 4. PMID: 31271541.
- [3]. Bartalena L. Commentary: rituximab, adalimumab, etanercept, tocilizumab--are biologics the future for Graves' orbitopathy? *Ophthalmic Plast Reconstr Surg*. 2014 Sep-Oct;30(5):420-3. doi: 10.1097/IOP.0000000000000221. PMID: 25025391.
- [4]. Lim H, Lee SH, et,al. Structural Biology of the TNFα Antagonists Used in the Treatment of Rheumatoid Arthritis. *Int J Mol Sci*. 2018 Mar 7;19(3):768. doi: 10.3390/ijms19030768. PMID: 29518978; PMCID: PMC5877629.
- [5]. Barbati C, Colasanti T, et,al. Up-regulation of autophagy by etanercept treatment results in TNF-induced apoptosis reduction in EA.hy926 endothelial cell line. *Clin Exp Rheumatol*. 2021 May-Jun;39(3):606-611. doi: 10.55563/clinexprheumatol/uiqdtq. Epub 2020 Sep 3. PMID: 32896251.
- [6]. R?zvan-Valentin S, Güler SA, et,al. Etanercept Prevents Endothelial Dysfunction in Cafeteria Diet-Fed Rats. *Int J Environ Res Public Health*. 2022 Feb 14;19(4):2138. doi: 10.3390/ijerph19042138. PMID: 35206342; PMCID: PMC8872388.
- [7]. Yao Y, Cai Y, et,al. Etanercept as a TNF-alpha inhibitor depresses experimental retinal neovascularization. *Graefes Arch Clin Exp Ophthalmol*. 2021 Mar;259(3):661-671. doi: 10.1007/s00417-020-04956-6. Epub 2020 Oct 12. PMID: 33043386.

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