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**Product Data Sheet**

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Product Name: Etanercept

Cat. No.: GC34579

**Chemical Properties**

Cas. No. 185243-69-0

SMILES [Etanercept]

Formula C<sub>2224</sub>H<sub>3475</sub>N<sub>621</sub>O<sub>698</sub>S<sub>36</sub> M.Wt 51234.33

Solubility Soluble in water Storage Store at 4°C, Do not freeze

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 THP-1 cells

Preparation method Cells were incubated with LPS, Etanercept (1µg/mL), for 3 h, and cell lysates were collected and analyzed for TNF-α.

Reaction Conditions 1µg/mL; 3 h

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

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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Applications	Etanercept significantly reduced secreted levels of bioactive TNF- $\alpha$ following stimulation with LPS.
Animal experiment [2]:	
Animal models	AIA rats
Preparation method	On the day of the first inflammatory symptoms, AIA rats were randomized into two groups. One group received etanercept, at 10 mg/kg (s.c.) every 3 days for 3 weeks (Etanercept, n=30). The other group received saline at 1 ml/kg (s.c.) for 3 weeks (Vehicle, n=30).
Dosage form	10mg/kg; s.c.
Applications	Etanercept significantly reduced arthritis scores, improved endothelial function and NOS/BH4/arginase balance, and inhibited the COX-2 pathway.

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### References:

[1] Grattendick K J, Nakashima J M, Feng L, et al. Effects of three anti-TNF- $\alpha$  drugs: etanercept, infliximab and pirfenidone on release of TNF- $\alpha$  in medium and TNF- $\alpha$  associated with the cell in vitro[J].

International immunopharmacology, 2008, 8(5): 679-687.

[2] Totoson P, Maguin-Gaté K, Prigent-Tessier A, et al. Etanercept improves endothelial function via pleiotropic effects in rat adjuvant-induced arthritis[J].

Rheumatology, 2016, 55(7): 1308-1317.

### Background

Etanercept is a competitive tumor necrosis factor (TNF) inhibitor that prevents TNF- $\alpha$  and TNF- $\beta$  from binding to cell surface receptors<sup>[1]</sup>. Etanercept is effective for rheumatoid arthritis, juvenile idiopathic arthritis, and plaque psoriasis<sup>[2]</sup>.

In vitro, Etanercept (1  $\mu$ g/mL) significantly reduces TNF- $\alpha$  secretion levels in THP-1 cells after 3 hours of treatment following lipopolysaccharide (LPS) stimulation<sup>[3]</sup>.

In vivo, Etanercept (10mg/kg) administered subcutaneously in rats with adjuvant-induced arthritis (AIA) significantly reduces arthritis scores, improves endothelial function and the NOS/BH4/arginase balance, and inhibits the cyclooxygenase-2 (COX-2) pathway<sup>[4]</sup>. Etanercept (5mg/kg) administered subcutaneously in rats with periodontitis significantly reduces periodontitis inflammation and tissue damage, decreases neutrophil infiltration, and downregulates the expression of apoptotic regulatory factors Bax and Bcl-2<sup>[5]</sup>. Etanercept (5 mg/kg) administered intraperitoneally in rats with traumatic brain injury (TBI) significantly improves TBI-induced cerebral ischemia, motor and cognitive deficits, inhibits neuronal and glial apoptosis, and reduces levels of inflammatory factors<sup>[6]</sup>.

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- [1] Alldred A. Etanercept in rheumatoid arthritis[J]. Expert opinion on pharmacotherapy, 2001, 2(7): 1137-1148.
- [2] Culy C R, Keating G M. Etanercept: an updated review of its use in rheumatoid arthritis, psoriatic arthritis and juvenile rheumatoid arthritis[J]. Drugs, 2002, 62(17): 2493-2537.
- [3] Grattendick K J, Nakashima J M, Feng L, et al. Effects of three anti-TNF- $\alpha$  drugs: etanercept, infliximab and pirfenidone on release of TNF- $\alpha$  in medium and TNF- $\alpha$  associated with the cell in vitro[J]. International immunopharmacology, 2008, 8(5): 679-687.
- [4] Totoson P, Maguin-Gaté K, Prigent-Tessier A, et al. Etanercept improves endothelial function via pleiotropic effects in rat adjuvant-induced arthritis[J]. Rheumatology, 2016, 55(7): 1308-1317.
- [5] Di Paola R, Mazzon E, Muià C, et al. Effects of etanercept, a tumour necrosis factor- $\alpha$  antagonist, in an experimental model of periodontitis in rats[J]. British journal of pharmacology, 2007, 150(3): 286-297.
- [6] Chio C C, Lin J W, Chang M W, et al. Therapeutic evaluation of etanercept in a model of traumatic brain injury[J]. Journal of neurochemistry, 2010, 115(4): 921-929.

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