



Golimumab

Targets (1)

IDENTIFICATION

Name

Golimumab

Accession Number

DB06674

Type

Biotech

Groups

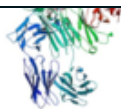
Approved

Biologic Classification

Protein Based Therapies
Monoclonal antibody (mAb)

Description

Golimumab is a human IgG1 κ monoclonal antibody derived from immunizing genetically engineered mice with human TNF α . Golimumab binds and inhibits soluble and transmembrane human TNF α . Increased TNF α is associated with chronic inflammation. Thus golimumab is indicated for use in adults (i) as an adjunct to methotrexate treatment in patients with moderate to severe active rheumatoid arthritis (RA), (ii) alone or as an adjunct to methotrexate treatment in patients with active psoriatic arthritis (PsA), (iii) as a single agent in patients with active ankylosing spondylitis (AS), and (iv) as a single agent in patients with moderate to severe ulcerative colitis (UC) who require chronic steroids or have experienced intolerance or only a partial response to previous medications. In the U.S. and Canada, golimumab is marketed under the brand name Simponi[®]. The FDA label includes a black box warning of serious infections and malignancy. Additionally in children and adolescents taking golimumab, there have been lymphoma and other malignancies observed.



Protein chemical formula

C₆₅₃₀H₁₀₀₆₈N₁₇₅₂O₂₀₂₆S₄₄

Protein average weight

146943.1937 Da

Sequences

Not Available

Synonyms

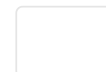
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External IDs [i](#)

CNTO 148 / CNTO-148

Prescription Products

NAME ↕	DOSAGE ↕	STRENGTH ↕	ROUTE ↕	LABELLER ↕	MARKETING START ↕	MARKETING END ↕	↕	↕	↕
Simponi	Injection, solution	50 mg	Subcutaneous	Janssen Biologics B.V.	2009-10-01	Not applicable			
Simponi	Injection, solution	100 mg	Subcutaneous	Janssen Biologics B.V.	2009-10-01	Not applicable			
Simponi	Solution	100 mg	Subcutaneous	Janssen Pharmaceuticals	2013-10-03	Not applicable			
Simponi	Injection, solution	50 mg	Subcutaneous	Janssen Biologics B.V.	2009-10-01	Not applicable			
Simponi	Injection, solution	100 mg/1mL	Subcutaneous	Janssen Biotech, Inc.	2013-05-15	Not applicable			
Simponi	Solution	50 mg	Subcutaneous	Janssen Pharmaceuticals	2009-06-22	Not applicable			



Simponi	Solution	100 mg	Subcutaneous	Janssen Pharmaceuticals	2013-10-03	Not applicable	
Simponi	Injection, solution	50 mg	Subcutaneous	Janssen Biologics B.V.	2009-10-01	Not applicable	
Simponi	Injection, solution	50 mg/0.5mL	Subcutaneous	Janssen Biotech, Inc.	2009-04-25	Not applicable	

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Categories

[Agents reducing cytokine levels](#)

[Amino Acids, Peptides, and Proteins](#)

[Antibodies](#)

[Antibodies, Monoclonal](#)

[Antineoplastic and Immunomodulating Agents](#)

[Biologics for Rheumatoid Arthritis Treatment](#)

[Blood Proteins](#)

[Disease-modifying Antirheumatic Agents](#)

[Globulins](#)

[Immunoglobulins](#)

[Immunoproteins](#)

[Immunosuppressive Agents](#)

[Proteins](#)

[Serum Globulins](#)

[Tumor Necrosis Factor Alpha \(TNF- \$\alpha\$ \) Inhibitors](#)

[Tumor Necrosis Factor Blocker](#)

[Tumor Necrosis Factor Receptor Blocking Activity](#)

UNII

[91X1KLU43E](#)



Indication

Used in adults (i) as an adjunct to methotrexate treatment in patients with moderate to severe active rheumatoid arthritis (RA), (ii) alone or as an adjunct to methotrexate treatment in patients with active psoriatic arthritis (PsA), (iii) as a single agent in patients with active ankylosing spondylitis (AS), and (iv) as a single agent in patients with moderate to severe ulcerative colitis (UC) who require chronic steroids or have experienced intolerance or only a partial response to previous medications.

Associated Conditions

[Psoriatic arthritis aggravated](#)

[Severe Ulcerative Colitis](#)

[Active Ankylosing spondylitis](#)

[Moderate Ulcerative colitis](#)

[Moderate, active Rheumatoid arthritis](#)

[Severe, active Rheumatoid arthritis](#)

Pharmacodynamics

Golimumab inhibits the activity of the cytokine, tumor necrosis factor alpha (TNF α). In areas such as the joints and blood, increased TNF α is associated with chronic inflammation seen in patients with rheumatoid arthritis, psoriatic arthritis, and ankylosing spondylitis. Thus golimumab decreases the inflammation in these conditions. Concerning ulcerative colitis, the physiological effects of golimumab has yet to be determined.

Mechanism of action

As a human monoclonal antibody, golimumab binds and inhibits soluble and transmembrane human TNF α . Inhibition of TNF α prevents it binding to its receptors, which prevents both leukocyte infiltration through prevention of cell adhesion proteins such as E-selectin, ICAM-1 and VCAM-1, and pro-inflammatory cytokine secretion such as IL-6, IL-8, G-CSF and GM-CSF in vitro. Consequently, in patients with chronic inflammatory conditions, decreases in ICAM-1 and IL-6 as well as C-reactive protein (CRP), matrix metalloproteinase 3 (MMP-3), and vascular endothelial growth factor (VEGF) were observed.

(A) [Tumor necrosis factor](#)



Absorption

After subcutaneous administration, golimumab can achieve maximum serum concentrations in 2 to 6 days and has an approximate bioavailability of 53%. In healthy volunteers, the maximum average concentration reached was $3.2 \pm 1.4 \mu\text{g/mL}$.

Volume of distribution

After IV administration, golimumab has a volume of distribution of about 58 to 126 mL/kg. This means that golimumab stays mostly in the circulatory system.

Protein binding

Plasma protein binding was not quantified.

Metabolism

The metabolism of golimumab has yet to be determined.

Route of elimination

The route of elimination for golimumab has yet to be determined.

Half life

Golimumab has a long half-life of about 2 weeks.

Clearance

After one IV dose of golimumab, the systemic clearance was about 4.9 to 6.7 mL/day/kg.

Toxicity

The FDA label includes a black box warning of serious infections and malignancy. Specifically there have been hospitalizations or death from infections such as bacterial sepsis, tuberculosis (TB), and invasive fungal (histoplasmosis) and other opportunistic infections. Additionally in children and adolescents taking golimumab, there have been lymphoma and other malignancies observed.

Affected organisms

Humans and other mammals

Pathways



INTERACTIONS

Drug Interactions ⓘ

ALL DRUGS

APPROVED

VET APPROVED

NUTRACEUTICAL

ILLICIT

WITHDRAWN



INVESTIGATIONAL

EXPERIMENTAL

Search

DRUG	↕	INTERACTION	↕
(R)-warfarin		The metabolism of (R)-warfarin can be increased when combined with Golimumab.	
(S)-Warfarin		The metabolism of (S)-Warfarin can be increased when combined with Golimumab.	
2-Methoxyethanol		The risk or severity of adverse effects can be increased when 2-Methoxyethanol is combined with Golimumab.	
3,5-diiodothyropropionic acid		The metabolism of 3,5-diiodothyropropionic acid can be increased when combined with Golimumab.	
4-hydroxycoumarin		The metabolism of 4-hydroxycoumarin can be increased when combined with Golimumab.	
4-Methoxyamphetamine		The metabolism of 4-Methoxyamphetamine can be increased when combined with Golimumab.	
5-androstenedione		The metabolism of 5-androstenedione can be increased when combined with Golimumab.	
6-O-benzylguanine		The metabolism of 6-O-benzylguanine can be increased when combined with Golimumab.	
7-ethyl-10-hydroxycamptothecin		The metabolism of 7-ethyl-10-hydroxycamptothecin can be increased when combined with Golimumab.	
8-azaguanine		The metabolism of 8-azaguanine can be increased when combined with Golimumab.	

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Food Interactions

Since golimumab is administered by subcutaneous injection there are no food effects



Synthesis Reference

Zhou H, Jang H, Fleischmann RM, Bouman-Thio E, Xu Z, Marini JC, Pendley C, Jiao Q, Shankar G, Marciniak SJ, Cohen SB, Rahman MU, Baker D, Mascelli MA, Davis HM, Everitt DE: Pharmacokinetics and safety of golimumab, a fully human anti-TNF-alpha monoclonal antibody, in subjects with rheumatoid arthritis. *J Clin Pharmacol*. 2007 Mar;47(3):383-96.

General References

1. Oldfield V, Plosker GL: Golimumab: in the treatment of rheumatoid arthritis, psoriatic arthritis, and ankylosing spondylitis. *BioDrugs*. 2009;23(2):125-35. doi: 10.2165/00063030-200923020-00005. [[PubMed:19489653](#)]
2. Sandborn WJ, Feagan BG, Marano C, Zhang H, Strauss R, Johanns J, Adedokun OJ, Guzzo C, Colombel JF, Reinisch W, Gibson PR, Collins J, Jarnerot G, Rutgeerts P: Subcutaneous golimumab maintains clinical response in patients with moderate-to-severe ulcerative colitis. *Gastroenterology*. 2014 Jan;146(1):96-109.e1. doi: 10.1053/j.gastro.2013.06.010. Epub 2013 Jun 14. [[PubMed:23770005](#)]

External Links

KEGG Drug

[D04358](#)

PubChem Substance

[347910358](#)

ChEMBL

[CHEMBL1201833](#)

RxList

[RxList Drug Page](#)

Drugs.com

[Drugs.com Drug Page](#)

Wikipedia

[Golimumab](#)

ATC Codes

[L04AB06 – Golimumab](#)

- [L04AB – Tumor necrosis factor alpha \(TNF- \$\alpha\$ \) inhibitors](#)
- [L04A – IMMUNOSUPPRESSANTS](#)
- [L04 – IMMUNOSUPPRESSANTS](#)
- [L – ANTINEOPLASTIC AND IMMUNOMODULATING AGENTS](#)

**FDA label**[Download](#) (1.9 MB)**MSDS**[Download](#) (567 KB)**CLINICAL TRIALS****Clinical Trials** ⓘ

PHASE	↕	STATUS	↕	PURPOSE	↕	CONDITIONS	↕	COUNT	↕
0		Recruiting		Basic Science		Diabetes, Diabetes Mellitus Type 1		1	
1		Active Not Recruiting		Treatment		Ulcerative Colitis (UC)		1	
1		Completed		Treatment		Healthy Adult Chinese Males		1	
1		Completed		Treatment		Healthy Volunteers		1	
1		Completed		Treatment		Rheumatoid Arthritis		1	
1		Recruiting		Treatment		Pre-Symptomatic Type 1 Diabetes		1	
1, 2		Terminated		Treatment		Autoimmune Inner Ear Disease		1	
2		Active Not Recruiting		Treatment		Diabetes, Diabetes Mellitus Type 1		1	
2		Completed		Treatment		Asthma Bronchial		1	
2		Completed		Treatment		Rheumatoid Arthritis		2	

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PHARMACOECONOMICS**Manufacturers**

Not Available

Packagers



FORM	↕ ROUTE	↕ STRENGTH
Injection, solution	Subcutaneous	100 mg/1mL
Injection, solution	Subcutaneous	100 mg
Injection, solution	Subcutaneous	50 mg/0.5mL
Injection, solution	Subcutaneous	50 mg
Solution	Subcutaneous	100 mg
Solution	Subcutaneous	50 mg
Solution	Intravenous	50 mg/4mL
Solution	Intravenous	50 mg

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Prices

Not Available

Patents

Not Available

PROPERTIES**State**

Liquid

Experimental Properties

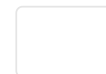
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TAXONOMY**Description**

Not Available

Kingdom

Organic Compounds

**Class**

Carboxylic Acids and Derivatives

Sub Class

Amino Acids, Peptides, and Analogues

Direct Parent

Peptides

Alternative Parents

Not Available

Substituents

Not Available

Molecular Framework

Not Available

External Descriptors

Not Available

TARGETS

1. Tumor necrosis factor**Kind**

Protein

Organism

Human

Pharmacological action

Yes

Actions

**Specific Function**

Cytokine that binds to TNFRSF1A/TNFR1 and TNFRSF1B/TNFR. It is mainly secreted by macrophages and can induce cell death of certain tumor cell lines. It is potent pyrogen causing fever by direct ac...

Gene Name

TNF

Uniprot ID

[P01375](#)

Uniprot Name

Tumor necrosis factor

Molecular Weight

25644.15 Da

References

1. Mittal M, Raychaudhuri SP: Golimumab and certolizumab: the two new anti-tumor necrosis factor kids on the block. Indian J Dermatol Venereol Leprol. 2010 Nov-Dec;76(6):602-8; quiz 609. doi: 10.4103/0378-6323.72445. [[PubMed:21079302](#)]

Drug created on March 19, 2008 10:47 / Updated on December 16, 2018 23:30

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