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 IgG1κ monoclonal antibody derived from immunizing genetically engineered mice with human TNFα. Golimumab binds and inhibits soluble and transmembrane human TNFα. Increased TNFα 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

$C_{6530}H_{10068}N_{1752}O_{2026}S_{44}$

Protein average weight

146943.1937 Da

Sequences

Not Available

Synonyms

Not Available

External IDs

CNTO 148 / CNTO-148

Prescription Products

Search

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	

Showing 1 to 10 of 16 entries

‹ ›

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-α) 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 (TNFα). In areas such as the joints and blood, increased TNFα 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 TNFα. Inhibition of TNFα 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 ± 1.4 μg/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.

Showing 1 to 10 of 1,324 entries

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-α) inhibitors
- L04A — IMMUNOSUPPRESSANTS
- L04 — IMMUNOSUPPRESSANTS
- L — ANTINEOPLASTIC AND IMMUNOMODULATING AGENTS

FDA label

Download (1.9 MB)

MSDS

Download (567 KB)

CLINICAL TRIALS

Clinical Trials

Search

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

Showing 1 to 10 of 57 entries

‹ ›

PHARMACOECONOMICS

Manufacturers

Not Available

Packagers

Search

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

Showing 1 to 8 of 8 entries

‹ ›

Prices

Not Available

Patents

Not Available

PROPERTIES

State

Liquid

Experimental Properties

Not Available

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/TNFBR. 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

API Docs

Data Licenses

Support

This project is supported by the **Canadian Institutes of Health Research** (award #111062), **Alberta Innovates - Health Solutions**, and by **The Metabolomics Innovation Centre (TMIC)**, a nationally-funded research and core facility that supports a wide range of cutting-edge metabolomic studies. TMIC is funded by **Genome Alberta**, **Genome British Columbia**, and **Genome Canada**, a not-for-profit organization that is leading Canada's national genomics strategy with funding from the federal government. Maintenance, support, and commercial licensing is provided by **OMx Personal Health Analytics, Inc.** Designed by **Educe Design & Innovation Inc.**