

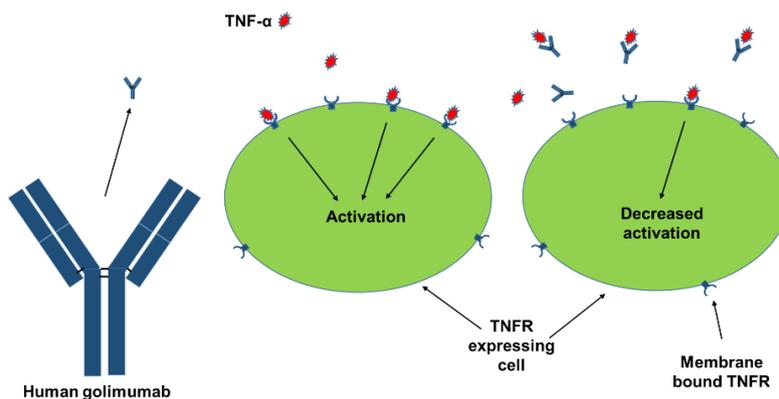
Golimumab – Fact Sheet

Molecule

Golimumab (Simponi®) is a fully human anti-TNF- α IgG1 κ monoclonal antibody. It was developed by immunizing genetically engineered mice with human TNF- α , has a molecular mass of approximately 150 kDa, and exhibits multiple glyco-variants (isoforms).

Mode of Action

Golimumab is an anti-TNF antibody with affinity for both soluble and transmembrane TNF. TNF is a cytokine produced primarily by activated macrophages and T-cells. It normally binds to TNF- α receptors (TNFRs), leading to the inflammatory response of autoimmune diseases. By binding to TNF, golimumab is reducing the inflammatory response triggered via TNFR signaling pathways.



Indication

Simponi® is indicated in adults as an adjunct to methotrexate treatment for rheumatoid arthritis, alone or as an adjunct to methotrexate treatment for active psoriatic arthritis and as a single agent for active ankylosing spondylitis and ulcerative colitis.

Patent Situation

Patents for Simponi® will expire in 2024 in US as well as in EU. In 2011, Bayer accused Centocor of infringing a patent titled "Human Anti-TNF Antibodies", but this action was not successful.

Market and Competitive Field

Starting from 2009, EMA and FDA have approved golimumab for its first indication under the trade name Simponi®. Simponi® was developed by J&J (Janssen/ Centocor). This company markets the product in North and South America, the Middle East, Africa and Asia Pacific (sales 2018: 1.83 billion €). In Europe, Russia and Turkey, Simponi® is distributed by Merck & Co and in 2018 sales were 785 million €. Note: Mitsubishi Tanabe has distribution rights in Asian countries.

		Golimumab
		Symponi®
Clone selection/ comparability		
HPLC	Separation based on size (SE-HPLC)	
	Separation based on hydrophobicity (RP-HPLC)	
	Detection of charge variants (CEX-HPLC)	
Binding	Binding to cell surface expressed target (Flow cytometry)	
	Binding to soluble target (ELISA)	
	Binding to specific antibody or antigen (SPR-BIACORE, ELISA)	
	Affinity/ kinetic to recombinant target (SPR-BIACORE)	
Effector function	Binding to C1q, ¹CDC surrogate (ELISA)	
	Affinity to recombinant Fc-receptors (SPR-BIACORE)	
	Reporter gene assays, ²ADCC surrogate (Luminescence)	c.l.d.
	¹CDC (Flow cytometry)	c.l.d.
	²ADCC (DELFI, Fluorescence)	c.l.d.
	Additional bioassays (Luminescence, fluorescence)	
Gly	Glyco-pattern with Lectin Microarray (45 different lectins)	
(Pre)clinical application		
Clinics	Pharmacokinetics – PK (ECL, ELISA)	
	Pharmacodynamics – PD (ECL, ELISA, flow cytometry, bioassay)	
	Immunogenicity - ³ADAs (ECL, Biacore, ELISA, neutr. assay)	

¹CDC = Complement Dependent Cytotoxicity
²ADCC = Antibody Dependent Cellular Cytotoxicity
³ADA = Anti-Drug Antibody

	VelaLabs portfolio
	VelaLabs planned
	c.l.d. = cell line dependent
	n.a. = not applicable
	In development