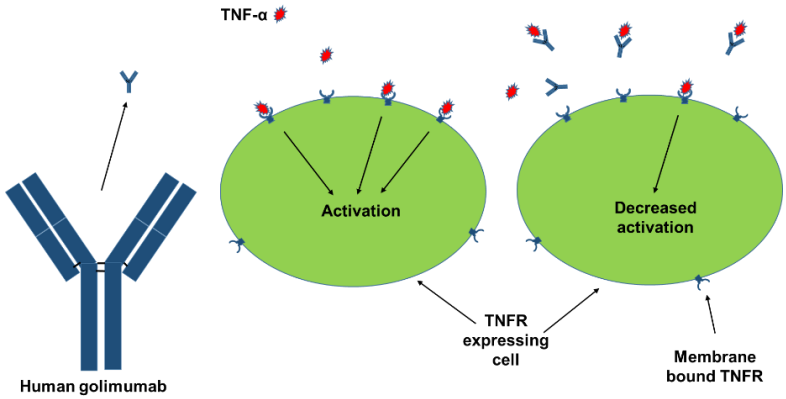
# Golimumab – Fact Sheet

## Molecule

Golimumab (Simponi®) is a fully human anti-tumor necrosis factor (TNF)-α IgG1κ 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 2019: 2.01 billion €). In Europe, Russia and Turkey, Simponi® is distributed by MSD and in 2018 sales were 764 million €. Note: Mitsubishi Tanabe has distribution rights in Asian countries.

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

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

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

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