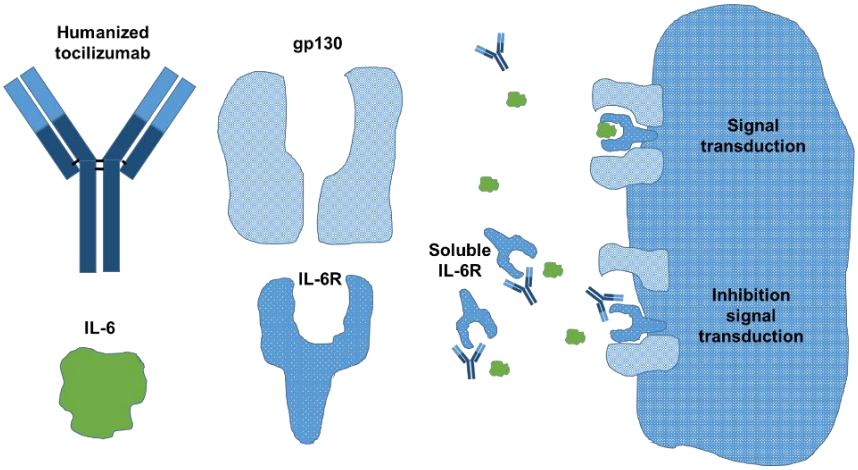
Tocilizumab – Fact Sheet

Molecule

Tocilizumab (Actemra / RoActemra®) is a recombinant humanized IgG1 monoclonal antibody targeting the interleukin-6 receptor (IL-6R). Its molecular weight is145 kDa.

Mode of Action

IL-6 is a pleiotropic cytokine, which regulates immune responses and inflammatory reactions. Overproduction of IL-6 is involved in inflammatory autoimmune diseases such as rheumatoid arthritis (RA). A membrane-bound as well as a soluble form of IL-6R are able to mediate IL-6 signals into the cells through interaction of cytokine receptor subunit glycoprotein 130 (gp-130). Tocilizumab recognizes both, membrane-bound and soluble form of IL-6R and thus is able to block IL-6 functions.



Indication

Actemra / RoActemra® is indicated for RA, active poly-articular, juvenile idiopathic arthritis, giant cell arteritis, cytokine release syndrome, and systemic sclerosis. In Japan, it is also approved for treatment of Castleman's disease.

Patent Situation

The patents on Actemra / RoActemra® expired in US in 2015 and in Europe in 2017.

Market and Competitive Field

The originator product, Roche's Actemra / RoActemra® (co-development with Chugai), got its first approval 2005 in Japan for Castleman's disease. For other indications it was approved in US in 2010 and in 2009 in Europe. In 2018, Actemra / RoActemra® had worldwide sales of 1.94 billion €. Biosimilars are being developed, however, they are in early stage.

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VelaLabs Portfolio

		Tocilizumab
		RoActemra®
	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)	n.a.
Effector function	[1]**CDC** (Flow cytometry)	n.a.
Effector function	[2]**ADCC** (DELFIA, Fluorescence)	n.a.
Effector function	Additional **bioassays** (Luminescence, fluorescence)	Potency assay
Gly	Glyco-pattern with **Lectin Microarray** (45 different lectins)	
	(Pre)clinical application	
Clinics	Pharmacokinetics – **PK** (ECL, ELISA)	
Clinics	Pharmacodynamics – **PD** (ECL, ELISA, flow cytometry, bioassay)	
Clinics	Immunogenicity - [3]**ADAs** (ECL, Biacore, ELISA, neutr. assay)	

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

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

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