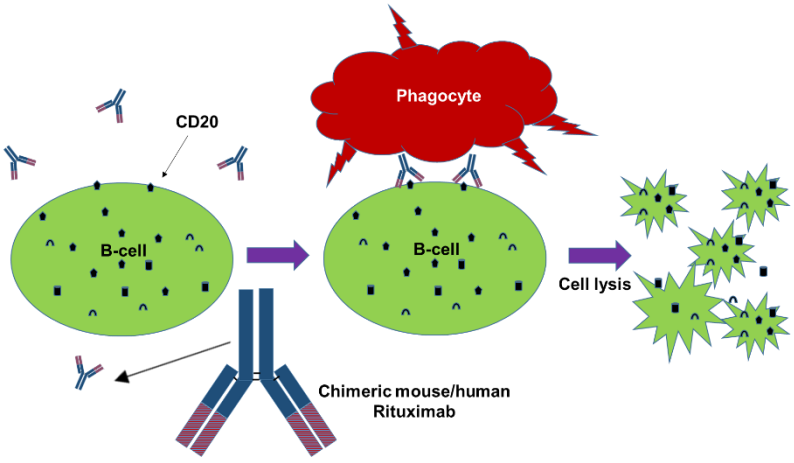
Rituximab – Fact Sheet

Molecule

Rituximab (Rituxan®, MabThera®) is a chimeric IgG1/kappa monoclonal antibody targeting CD20, which is primarily detected on the surface of B-cells.

Mode of Action

Rituximab binds to amino acids 170-173 and 182-185 on CD20 protein. CD20 is widely expressed on B-cells, from early pre B-cells to later in differentiation, but it is absent on terminally differentiated plasma cells. CD20 may play a role in Ca^{2+} influx across plasma membranes, maintaining intracellular Ca^{2+} concentration and activation of B-cells. Rituximab destroys both normal and malignant B-cells that have CD20 on their surfaces, and is therefore used to treat diseases, which are characterized by having too many overactive or dysfunctional B-cells.



Indication

Rituxan® is applied for treatment of many lymphomas and leukemias, transplant rejection and some autoimmune disorders. Rituxan® is also used off-label to treat difficult cases of multiple sclerosis, systemic lupus erythematosus and autoimmune anemias.

Patent Situation

Rituxan® patents expired in 2013 in EU and in 2016 in US. Several biosimilars are already marketed without triggering any patent litigation.

Market and Competitive Field

Roche's Rituxan®, the originator product, was approved by FDA in November 1997 and MabThera® by EMA in June 1998. In 2019, Rituxan® had sales of 6.13 billion € (6.07 billion € in 2018). In respect of these blockbuster sales, many companies are developing or marketing biosimilars of the drug, e.g. Celltrion, Hospira, Amgen, Pfizer, Sandoz. Rixathon® and Truxima® are already approved.

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		Rituximab MabThera® Rituxan® e.g. Rixathon® Truxima®
	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)	n.a.
Binding	Binding to specific **antibody or antigen** (SPR-BIACORE, ELISA)	
Binding	**Affinity/ kinetic** to recombinant target (SPR-BIACORE)	n.a.
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)	
Effector function	[1]**CDC** (Flow cytometry)	
Effector function	[2]**ADCC** (DELFIA, Fluorescence)	
Effector function	Additional **bioassays** (Luminescence, fluorescence)	Apoptosis
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

	VelaLabs portfolio
	n.a. = not applicable

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