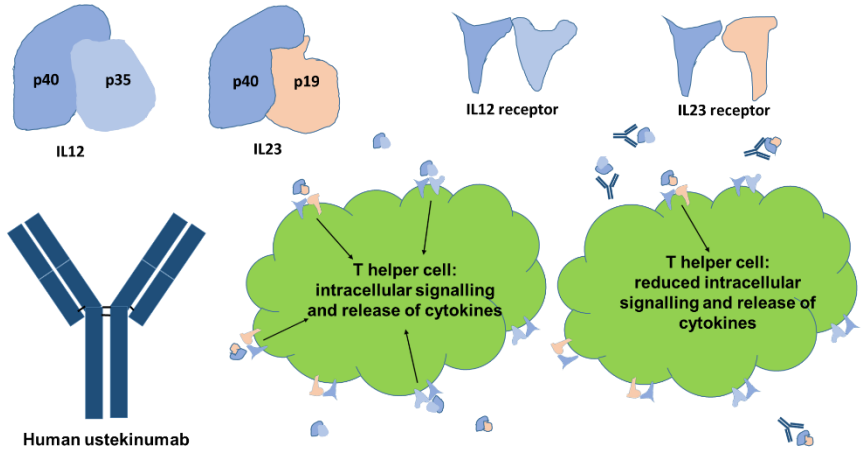
Ustekinumab – Fact Sheet

Molecule

Ustekinumab (Stelara®) is an IgG1 kappa fully human monoclonal antibody with an approximate molecular weight of 149 kDa containing a single N-linked glycosylation site at the Asp 299 amino acid residue of each heavy chain.

Mode of Action

Ustekinumab blocks interleukin IL-12 and IL-23, which activate T helper cells (T_h cells). Specifically it is targeting the p40 shared subunit of IL-12 and IL-23, which subsequently cannot bind to their distinct receptors. T_h cells play an important role in the immune system, particularly in the adaptive immune system. Dependent on their T_h cell subtype they release a specific pattern of cytokines.



Indication

Stelara® is indicated for treating patients with moderate to severe plaque psoriasis, and also to treat active psoriatic arthritis alone or with methotrexate. In 2016, it was also approved to treat Crohn's disease.

Patent Situation

The patent protection for Stelara® will be until the end of 2023 in US and mid 2024 in EU. Because in 2014 AbbVie has lost a patent dispute in which it tried to show that Janssen's Stelara® infringed on two patents (owned by AbbVie) containing claims for IL-12-targeting antibodies the patents seem to be safe.

Market and Competitive Field

The originator product Stelara® of J&J and Centecor has been first approved in Europe in 2008 and in US in 2009. In 2019, Stelara® had sales of 5.91 (2018: 4.79) billion € making it an attractive target for biosimilars. However, large companies such as Novartis, Ely Lilly, and Boehringer Ingelheim (in collaboration with AbbVie) are marketing or developing own originator antibodies targeting other interleukins but aiming at the same indications as Srelara®.

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VelaLabs Portfolio

		Ustekinumab
		Stelara®
	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)	n.a.
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)	
Effector function	[1]**CDC** (Flow cytometry)	
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
	n.a. = not applicable
	In development

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