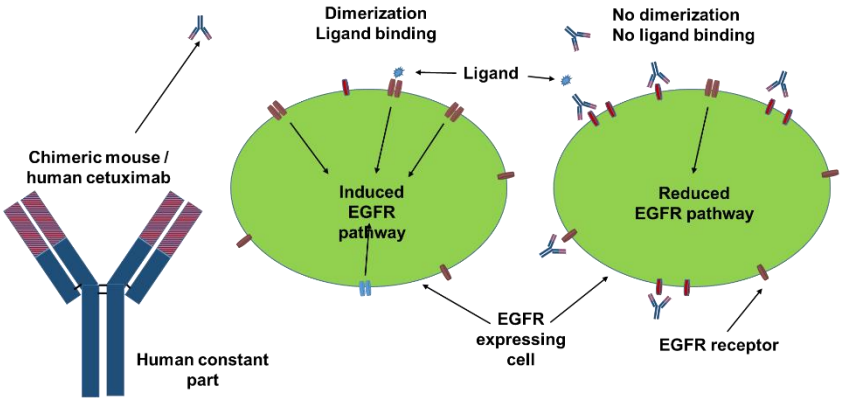
Cetuximab – Fact Sheet

Molecule

Cetuximab (Erbitux®) is an Epidermal Growth Factor Receptor (EGFR) inhibitor. It is a chimeric (mouse / human) monoclonal antibody and is composed of the Fv regions of a murine anti-EGFR antibody with human IgG1 heavy and k light chain constant regions.

Mode of Action

Cetuximab binds specifically to the extracellular domain of EGFR, which is belonging to the human epidermal growth factor (HER) family of receptor tyrosine kinases. Receptor activation upon ligand binding leads to down-stream activation of several pathways that influence cell proliferation, survival and metastatic potential of tumor cells. Cetuximab prevents both ligand binding to the receptor and the proper exposure of the EGFR dimerization domain, preventing dimerization with other HER receptor molecules.



Indication

Erbitux® is indicated for the treatment of certain types of metastatic colorectal cancer, metastatic non-small cell lung cancer and head and neck cancer with or without radiotherapy or platinum-based chemotherapy.

Patent Situation

The main patents for Erbitux® expired in EU in 2014 and in US in 2016. A variety of in-use patents (method / formulation) expired in 2018.

Market and Competitive Field

The originator product Erbitux® from Bristol-Myers Squibb (BMS) / ImClone was approved by FDA and EMA in 2004. Erbitux® was sold in US and Canada by BMS until 2015, when rights were transferred to Eli Lilly. Outside US and Canada the drug is marketed by Merck. In Japan it is co-distributed. With total sales of 816 Mio € (Merck), 563 Mio € (Eli Lilly) in 2018, Erbitux® is not ranking within the top 20 of drug sales, which might explain that despite expired patents no biosimilars are in late clinical stage.

VelaLabs GmbH
Brunner Straße 69 / Obj. 3, A-1230 Vienna / Austria
Phone: +43 1 890 5979 11, Fax: +43 1 890 5979 10
E-Mail: office@vela-labs.at

		Cetuximab
		Erbitux®
	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)	
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** (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

VelaLabs GmbH
Brunner Straße 69 / Obj. 3, A-1230 Vienna / Austria
Phone: +43 1 890 5979 11, Fax: +43 1 890 5979 10
E-Mail: office@vela-labs.at