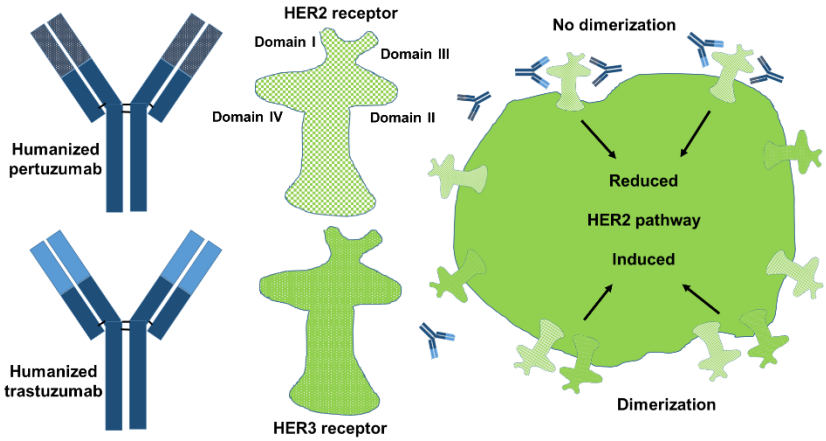
Pertuzumab – Fact Sheet

Molecule

Pertuzumab (Perjeta®) is a recombinant humanized monoclonal antibody that targets the dimerization domain II of human epidermal growth factor 2 (HER2) expressed on the cell surface. It is an IgG1 antibody with a variable region against the HER2 receptor and a human-mouse monoclonal 2C4 heavy-chain, which is disulfide bridged with a human monoclonal 2C4κ chain. The size is 148 kDa.

Mode of Action

The HER2 pathway promotes cell growth and cell division via HER2 receptor. When HER2 is over-induced and dimerized with HER3, cell growth accelerates, which can lead to tumor formation. Whereas similar mAb trastuzumab binds to domain IV of the extracellular segment of HER2 receptor, pertuzumab binds to domain II located on the opposite side. Dimerization of HER2 / HER3 and activation of signaling pathways is thus prevented.



Indication

Perjeta® is approved in combination with Herceptin® (trastuzumab) and docetaxel chemotherapy for the treatment of people with HER2-positive metastatic breast cancer who have not received prior anti-HER2 therapy or chemotherapy for metastatic disease.

Patent Situation

Patent expiry for Perjeta® will be in 2024 (US) and 2023 (EU). With the Herceptin® combination therapy strategy Roche may defend the Herceptin® (trastuzumab) market better.

Market and Competitive Field

The originator Perjeta® from Roche / Genentech got its first approval in US in 2012 and in EU in 2013. In 2019, global sales were 3.34 billion €. As a relatively new originator molecule, Perjeta® has currently no potential competitors in late stage development.

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		Pertuzumab Perjeta®
	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)	
Effector function	[1]**CDC** (Flow cytometry)	
Effector function	[2]**ADCC** (DELFIA, Fluorescence)	
Effector function	Additional **bioassays** (Luminescence, fluorescence)	Anti-proliferation
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

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