
Product Data Sheet

Product Name: Pertuzumab (Anti-Human HER2, Humanized Antibody)

Cat. No.: GC34210

Chemical Properties

Cas. No. 380610-27-5

SMILES [Pertuzumab]

Formula M.Wt 145175.18

Solubility Soluble in water Storage Store at -80°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure **Protocol****Cell experiment [1]:**

Cell lines Primary USPC cells

Preparation Method

Primary USPC cells were seeded in a 96-well plate at a density of 2000-5000 cells per well in RPMI 1640 medium with 10% foetal bovine serum. After 24 h, pertuzumab, trastuzumab, and a 1 : 1 combination of both antibodies were added at a final concentration of 20 µg ml⁻¹. The final volume of medium per well was set at 100 µl for 48-72 h

Reaction Conditions 20 µg ml⁻¹ for 48-72 hours

Caution: Product has not been fully validated for medical applications. For research use only.

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Applications

Cell proliferation was significantly inhibited in the presence of pertuzumab, trastuzumab, and the combination of the two mAbs in all USPC cell lines tested, with the percentage of inhibition varying from 4 to 59% (pertuzumab), 3 to 48% (trastuzumab), and 7 to 63% (mAbs combination) in multiple experiments.

Animal experiment [2]:

Animal models

Male CD-1 mice

Preparation Method

Pertuzumab (26.7 mg/mL) and vehicle (10 mM L-histidine at pH 6.0, 240 mM sucrose, 0.02% polysorbate 20) were stored at 2-8°C.

Dosage form

3, 30, or 90 mg/kg, intravenous (IV) bolus.

Applications

The distribution phase of pertuzumab was <1 day, the terminal elimination half-life was approximately 10 days, and the volume of distribution was 27-58 mL/kg.

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References:

[1]: K El-Sahwi, S Bellone, E Cocco, et al. In vitro activity of pertuzumab in combination with trastuzumab in uterine serous papillary adenocarcinoma. Br J Cancer, 102 (2010), pp. 134-143

[2]: C.W. Adams, D.E. Allison, K. Flagella, L. Presta, J. Clarke, N. Dybdal, et al. Humanization of a recombinant monoclonal antibody to produce a therapeutic HER dimerization inhibitor, pertuzumab Cancer Immunol Immunother, 55 (2006), pp. 717-727

Background

Pertuzumab (Anti-Human HER2, Humanized Antibody), the first of a new class of agents designated as HER dimerisation inhibitors, is a humanised IgG1 monoclonal antibody (mAb) that sterically binds domain II of the erbB2 receptor [1].

Pertuzumab may mostly act to inhibit the classical signaling pathways stimulated by active HER2, including receptor dimerization, receptor phosphorylation and the activation of signaling proteins downstream from HER receptors, including Erk and Akt [2].

Pertuzumab was approved by the FDA in 2012 to be used in combination with trastuzumab and docetaxel for treating metastatic breast cancer patients [2]. In humans, pooled analysis from one phase IA and two phase II studies in advanced disease evaluated pertuzumab pharmacokinetic parameters demonstrated minor interpatient variability in clearance and volume distribution when pertuzumab was administered with a fixed dose or based on weight (mg/kg). This supports the use of

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fixed dosing of pertuzumab, with an initial loading dose (840 mg) followed by a fixed dose of 420 mg every 3 weeks [3].

References:

- [1]. K El-Sahwi, S Bellone, E Cocco, et al. In vitro activity of pertuzumab in combination with trastuzumab in uterine serous papillary adenocarcinoma. Br J Cancer, 102 (2010), pp. 134-143
- [2]. Nami B, Maadi H, Wang Z. Mechanisms underlying the action and synergism of trastuzumab and pertuzumab in targeting HER2-positive breast cancer. Cancers (Basel) 2018;10
- [3]. Capelan, M. et al. Pertuzumab: new hope for patients with HER2-positive breast cancer. Ann. Oncol. 24, 273-282 (2013).

HER2 is a member of the HER family of tyrosine kinases. It is a transmembrane protein with an extracellular domain that binds to ligands, a transmembrane domain, and an intracellular domain that is tyrosine phosphorylated. The intracellular domain of HER2 is a tyrosine kinase that is constitutively active. The binding of ligands to the extracellular domain of HER2 leads to the activation of the intracellular domain, which in turn leads to the activation of downstream signaling pathways, including the PI3K/Akt pathway and the Ras/MAPK pathway. Pertuzumab is a monoclonal antibody that binds to the extracellular domain of HER2, preventing ligand binding and subsequent activation of the intracellular domain. This results in inhibition of the downstream signaling pathways, leading to cell death. Pertuzumab is used in combination with trastuzumab for the treatment of HER2-positive breast cancer [1].

Pertuzumab is a monoclonal antibody that binds to the extracellular domain of HER2, preventing ligand binding and subsequent activation of the intracellular domain. This results in inhibition of the downstream signaling pathways, leading to cell death. Pertuzumab is used in combination with trastuzumab for the treatment of HER2-positive breast cancer [2].

In 2012, the FDA approved pertuzumab for the treatment of HER2-positive breast cancer. The recommended dosing is an initial loading dose of 840 mg followed by a fixed dose of 420 mg every 3 weeks [3].

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