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Anti-HER2 Antibody-drug Conjugate ARX788

National Cancer Institute

Source

National Cancer Institute. *Anti-HER2 Antibody-drug Conjugate ARX788*. NCI Thesaurus. Code C123917.

An antibody-drug conjugate (ADC) composed of a monoclonal antibody targeting human epidermal growth factor receptor 2 (EGFR2; HER2) site-specifically conjugated, via the non-natural amino acid linker para-acetyl-phenylalanine (pAcF), to the auristatin analog and potent microtubule inhibitor monomethyl auristatin F (MMAF), with potential antineoplastic activity. Upon administration of anti-HER2 ADC ARX788, the antibody moiety targets and binds to HER2 on tumor cells. Upon antibody/antigen binding and internalization, MMAF binds to and inhibits tubulin polymerization, which results in G2/M phase arrest and tumor cell apoptosis. HER2, a tyrosine kinase receptor, is overexpressed by many cancer cell types. The site-specific conjugation of the cytotoxic agent to the antibody improves the biophysical properties of ARX788, increases payload stability and optimizes its efficacy.