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Antibody-drug Conjugate Anti-TIM-1-vcMMAE CDX-014

National Cancer Institute

Source

National Cancer Institute. *Antibody-drug Conjugate Anti-TIM-1-vcMMAE CDX-014*. NCI Thesaurus. Code C129401.

A monoclonal antibody-drug conjugate (ADC) comprised of human immunoglobulin G1 (IgG1) clone CR014, which targets the extracellular domain of T-cell immunoglobulin mucin-1 (TIM-1; HAVCR1), that is linked, via a valine-citrulline (VC) peptide linker, to the potent cytotoxic agent monomethyl auristatin E (MMAE), with potential antineoplastic activity. Upon administration of ADC anti-TIM-1-vcMMAE CDX-014, the monoclonal antibody moiety targets and binds to TIM-1. Upon internalization and proteolytic cleavage, MMAE is released into the cytosol of TIM-1-expressing tumor cells, binds to tubulin, and inhibits microtubule polymerization, which induces both G2/M phase arrest and tumor cell apoptosis. TIM-1 is upregulated in a variety of cancer cell types while only minimally expressed in healthy tissue. The linkage system in CDX-014 is highly stable in plasma, resulting in increased specificity and cytotoxic efficacy towards TIM-1-positive cells.