Epratuzumab-cys-tesirine

National Cancer Institute

Source

National Cancer Institute. Epratuzumab-cys-tesirine. NCI Thesaurus. Code C155794.

An antibody-drug conjugate (ADC) composed of a cysteine-engineered version of epratuzumab (hLL2), a humanized anti-CD22 monoclonal antibody derived from the murine immunoglobulin (Ig) G2a monoclonal antibody LL2 (EPB-2), site-specifically conjugated to the cross-linking cytotoxic agent tesirine (SG3249), a cathepsin B-cleavable valine-alanine pyrrolobenzodiazepine dimer (PBD), with potential antineoplastic activity. Upon administration of epratuzumab-cys-tesirine, the epratuzumab moiety targets and binds to the B cell-specific CD22 receptor and is rapidly internalized. Upon cleavage, the imine groups of tesirine target and bind to the N2 positions of guanines on opposite strands of DNA. This induces interstrand cross-links in the minor groove of DNA and inhibits DNA replication, which inhibits the proliferation of CD22-overexpressing tumor cells. CD22, a cell surface glycoprotein, is expressed on mature B-cells and on most malignant B-cells.