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CSF-1R Inhibitor BLZ945

National Cancer Institute

Source

National Cancer Institute, CSF-1R Inhibitor BLZ945, NCI Thesaurus, Code C129653,

An orally bioavailable inhibitor of colony stimulating factor 1 receptor (CSF-1R; CSF1R), with potential antineoplastic activity. CSF1R inhibitor BLZ945 selectively binds to CSF1R expressed on tumor-associated macrophages (TAMs), blocks the activity of CSF1R, and inhibits CSF1R-mediated signal transduction pathways. This inhibits the activity and proliferation of TAMs, and reprograms the immunosuppressive nature of existing TAMs. Altogether, this reduces TAM-mediated immune suppression in the tumor microenvironment, re-activates the immune system, and improves anti-tumor cell responses mediated by T-cells. CSF1R, also known as macrophage colony-stimulating factor receptor (M-CSFR) and CD115 (cluster of differentiation 115), is a cell-surface receptor for its ligand, colony stimulating factor 1 (CSF1); this receptor is overexpressed by TAMs in the tumor microenvironment, and plays a major role in both immune suppression and the induction of tumor cell proliferation.