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Preladenant

National Cancer Institute

Source

National Cancer Institute. *Preladenant*. NCI Thesaurus. Code C76394.

An orally bioavailable antagonist of the adenosine A2A receptor (A2AR; ADORA2A), with potential immunomodulating and antineoplastic activities. Upon administration, preladenant selectively binds to and inhibits A2AR expressed on T-lymphocytes. This blocks tumor-released adenosine from interacting with A2AR and prevents the adenosine/A2AR-mediated inhibition of T-lymphocytes. This results in the proliferation and activation of T-lymphocytes, and stimulates a T-cell-mediated immune response against tumor cells. A2AR, a G protein-coupled receptor, is highly expressed on the cell surfaces of T-cells and, upon activation by adenosine, inhibits T-cell proliferation and activation. Adenosine is often overproduced by cancer cells and plays a key role in immunosuppression.