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Adenosine A2A/A2B Receptor Antagonist AB928

National Cancer Institute

Source

National Cancer Institute. *Adenosine A2A/A2B Receptor Antagonist AB928*. NCI Thesaurus. Code C159564.

An orally bioavailable antagonist of both the immunomodulatory checkpoint molecules adenosine A2A receptor (A2AR; ADORA2A) and A2B receptor (A2BR; ADORA2B), with potential immunomodulating and antineoplastic activities. Upon administration, A2AR/A2BR antagonist AB928 competes with tumor-released adenosine for binding to A2AR and A2BR expressed on numerous intra-tumoral immune cells, such as dendritic cells (DCs), natural killer (NK) cells, macrophages and T-lymphocytes. The binding of AB928 to A2AR and A2BR inhibits A2AR/A2BR activity and prevents adenosine-A2AR/A2BR-mediated signaling. A2AR/A2BR inhibition activates and enhances the proliferation of various immune cells, abrogates the adenosine-mediated immunosuppression in the tumor microenvironment (TME) and activates the immune system to exert anti-tumor immune responses against cancer cells, which leads to tumor cell killing. A2AR and A2BR, G protein-coupled signaling receptors, are expressed on the cell surfaces of numerous immune cells. Adenosine is often overproduced by tumor cells and plays a key role in immunosuppression and tumor cell proliferation.