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Salmeterol

National Cancer Institute

Source

National Cancer Institute. *Salmeterol*. NCI Thesaurus. Code C29443.

A highly selective, long-acting beta-2 adrenergic agonist with bronchodilatory activity. Salmeterol's benzene moiety resembles the structure of catecholamines, and occupies the active site of beta-2 adrenergic receptor, while the long, lipophilic side chain of salmeterol, binds to the so called 'exosite' near the beta-2 adrenergic receptors. The binding at the exosite allows the active portion of the molecule to remain at the receptor site and continually engage and disengage with the receptor, therefore providing a long duration of action. This agent stimulates intracellular adenylyl cyclase to catalyze the conversion of adenosine triphosphate to cyclic-3',5'-adenosine monophosphate (cAMP). Increased cAMP levels result in relaxation of bronchiolar smooth muscle, bronchodilation and increased bronchial airflow.