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# Bitolterol Mesylate

National Cancer Institute

## Source

National Cancer Institute. *Bitolterol Mesylate*. NCI Thesaurus. Code C65268.

The mesylate salt of bitolterol, a diester sympathomimetic amine with bronchodilator activity. As an ester prodrug, bitolterol is hydrolyzed by esterases to its active metabolite colterol (N-t-butylarterenol). Colterol selectively binds to and activates beta-2 adrenergic receptors in bronchiolar smooth muscle, thereby causing stimulation of adenylyl cyclase, the enzyme that catalyzes the conversion of adenosine triphosphate (ATP) to cyclic-3',5'-adenosine monophosphate (cAMP). Increased intracellular cAMP levels cause relaxation of bronchial smooth muscle. This increases air flow and prevents bronchospasms and may eventually lead to an improvement of airway function.