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Doxylamine

National Cancer Institute

Source

National Cancer Institute. *Doxylamine*. NCI Thesaurus. Code C61738.

A first generation ethanolamine with antiinflammatory, sedative and antihistamine properties. Doxylamine competitively inhibits the histamine 1 (H1) receptor, thereby preventing the action of endogenous histamine as well as the subsequent release of pro-inflammatory mediators from basophils and mast cells. This agent acts as an inverse agonist that combines with, and stabilizes the inactive form of the H1-receptor, shifting the H1 receptor equilibrium toward the inactive state. This results in downregulation of nuclear factor-kappaB (NF-kappaB) and NF-kappaB dependent antigen presentation, chemotaxis, as well as expression of cell-adhesion molecules and pro-inflammatory cytokines.