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Terfenadine

National Cancer Institute

Source

National Cancer Institute. *Terfenadine*. NCI Thesaurus. Code C29494.

A prodrug that is metabolized by intestinal CYP3A4 to the active form fexofenadine, a selective histamine H1-receptor antagonist with antihistaminic and non-sedative effects. Terfenadine's active metabolite competitively binds peripheral H1-receptors, thereby stabilizing an inactive conformation of the receptor. Consequently, usual allergic responses as a result of mast-cell degranulation followed by the release of multiple inflammatory mediators, such as interleukins, prostaglandins, and leukotriene precursors, are blocked, thereby preventing the triggering of pro-inflammatory pathways.