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Olanzapine

National Cancer Institute

Source

National Cancer Institute. *Olanzapine*. NCI Thesaurus. Code C47639.

A synthetic derivative of thienobenzodiazepine with antipsychotic, anti-nausea, and antiemetic activities. As a selective monoaminergic antagonist, olanzapine binds with high affinity binding to the following receptors: serotonergic, dopaminergic, muscarinic M1-5, histamine H1, and alpha-1-adrenergic receptors; it binds weakly to gamma-aminobutyric acid type A, benzodiazepine, and beta-adrenergic receptors. The anti-nausea and antiemetic effects of this agent appear to be due to the blockade of 5-HT₂ and 5-HT₃ receptors for serotonin. Although its exact mechanism of action in schizophrenia is unknown, it has been proposed that olanzapine's antipsychotic activity is mediated through antagonism to dopamine D₂ receptors with rapid ligand-receptor dissociation kinetics that help to minimize extrapyramidal symptoms (EPS). Olanzapine may also stimulate appetite.