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Netupitant/Palonosetron Hydrochloride

National Cancer Institute

Source

National Cancer Institute. *Netupitant/Palonosetron Hydrochloride*. NCI Thesaurus. Code C121569.

An orally available combination formulation containing netupitant, a selective neurokinin 1 (NK1) receptor antagonist, and the hydrochloride salt form of palonosetron, a selective serotonin (5-hydroxytryptamine; 5-HT) receptor subtype 3 (5-HT₃) antagonist, with antiemetic activity. Upon oral administration, palonosetron competitively blocks the action of 5-HT at 5-HT₃ receptors located on vagal afferent nerves in the chemoreceptor trigger zone (CTZ). This inhibits acute emesis associated with 5-HT secretion and subsequent 5-HT₃ activation. Netupitant competitively binds to and blocks the activity of the human NK1 receptors in the central nervous system (CNS), thereby inhibiting NK1 receptor binding of the endogenous tachykinin neuropeptide substance P (SP). This prevents delayed emesis, which is associated with SP secretion. Altogether, this results in the prevention of chemotherapy-induced nausea and vomiting (CINV).