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# Rolapitant Hydrochloride

National Cancer Institute

## Source

National Cancer Institute. *Rolapitant Hydrochloride*. NCI Thesaurus. Code C97955.

The hydrochloride salt form of rolapitant, an orally bioavailable, centrally-acting, selective, neurokinin 1 receptor (NK1-receptor) antagonist with potential antiemetic activity. Upon oral administration, rolapitant competitively binds to and blocks the activity of the NK1-receptor in the central nervous system, thereby inhibiting the binding of the endogenous ligand, substance P (SP). This may prevent both SP-induced emesis and chemotherapy-induced nausea and vomiting (CINV). The interaction of SP with the NK1-receptor plays a key role in the induction of nausea and vomiting caused by emetogenic cancer chemotherapy. Compared to other NK1-receptor antagonists, rolapitant has both a more rapid onset of action and a much longer half-life.