Propoxyphene

National Cancer Institute

Source

National Cancer Institute. Propoxyphene. NCI Thesaurus. Code C61912.

The d-isomer of synthetic diphenyl propionate derivative propoxyphene, with narcotic analgesic effect. This agent mimics the effects of the endogenous opiate dextropropoxyphene, by binding to mu receptors located throughout the central nervous system. The binding results in GTP to GDP exchanges on the mu-G-protein complex, by which effector adenylate cyclase is inactivated thereby decreasing intracellular cAMP. This, in turn, inhibits the release of various nociceptive neurotransmitters, such as substance P, gamma-aminobutyric acid (GABA), dopamine, acetylcholine, noradrenaline, vasopressin, and somatostatin. In addition, dextropropoxyphene closes N-type voltage-gated calcium channels and opens calcium-dependent inwardly rectifying potassium channels. This results in hyperpolarization, thereby reducing neuronal excitability, which further decreases the perception of pain.