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Propoxyphene, (+/-)-

National Cancer Institute

Source

National Cancer Institute. *Propoxyphene, (+/-)-*. NCI Thesaurus. Code C61711.

A racemic mixture of propoxyphene, a synthetic opiate agonist with narcotic analgesic activity. The analgesic effect of propoxyphene is due to its d-isomer, dextropropoxyphene, which bind to opiate receptors, primarily the OP3 receptor located within the central nervous system (CNS). Mediated through G-protein coupled OP3 receptors and cAMP signaling, the release of nociceptive neurotransmitters such as substance P, gamma-aminobutyric acid (GABA), dopamine, acetylcholine, and noradrenaline is inhibited. Propoxyphene also inhibits the release of vasopressin, somatostatin, insulin and glucagon and close N-type voltage-operated calcium channels and open calcium-dependent inwardly rectifying potassium channels. This results in hyperpolarization and reduced neuronal excitability.