Buprenorphine: An Analgesic with an Expanding Role in the Treatment of Opioid Addiction

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ABSTRACT

Buprenorphine, a long-acting opioid with both agonist and antagonist properties, binds to μ-opioid (OP₃), κ-opioid (OP₂), δ-opioid (OP₁), and nociceptin (ORL-1) receptors. Its actions at these receptors have not been completely characterized, although buprenorphine is generally regarded as a μ-opioid receptor partial agonist and a κ-opioid receptor antagonist. Its pharmacology is further complicated by an active metabolite, norbuprenorphine. Although buprenorphine can be used as an analgesic agent, it is of greater importance in the treatment of opioid abuse. Because of its partial agonist activity at μ-opioid receptors and its long half-life, buprenorphine has proven to be an excellent alternative to methadone for either maintenance therapy or detoxification of the opioid addict. Although buprenorphine may ultimately prove to be superior to methadone in the maintenance of the pregnant addict, its effects on the developing fetus must be carefully evaluated.