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Current knowledge of buprenorphine and its unique pharmacological profile.

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Abstract

Despite the increasing clinical use of transdermal buprenorphine, questions have persisted about the possibility of a ceiling effect for analgesia, its combination with other μ-opioid agonists, and the reversibility of side effects. In October 2008, a consensus group of experts met to review recent research into the pharmacology and clinical use of buprenorphine. The objective was to achieve consensus on the conclusions to be drawn from this work. It was agreed that buprenorphine clearly behaves as a full μ-opioid agonist for analgesia in clinical practice, with no ceiling effect, but that there is a ceiling effect for respiratory depression, reducing the likelihood of this potentially fatal adverse event. This is entirely consistent with receptor theory. In addition, the effects of buprenorphine can be completely reversed by naloxone. No problems are encountered when switching to and from buprenorphine and other opioids, or in combining them. Buprenorphine exhibits a pronounced antihyperalgesic effect that might indicate potential advantages in the treatment of neuropathic pain. Other beneficial properties are the compound's favorable safety profile, particularly in elderly patients and those with renal impairment, and its lack of effect on sex hormones and the immune system. The expert group agreed that these properties, as well as proven efficacy in severe pain and favorable tolerability, mean that buprenorphine can be considered a safe and effective option for treating chronic cancer and noncancer pain.

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