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Levorphanol use: past, present and future.

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Abstract

Levorphanol is a potent opioid analgesic that was first approved for use in the United States in 1953. **Levorphanol** is approved for use in moderate to severe pain where an opioid analgesic is appropriate. **Levorphanol** has a wide range of activities including mu opioid agonism, delta agonism, kappa1 and kappa3 receptor agonism, N-methyl-d-aspartate receptor antagonism and reuptake inhibition of both norepinephrine and serotonin. This multimodal profile might prove effective for pain syndromes that are refractory to other opioid analgesics, such as central and neuropathic pain and opioid-induced hyperalgesia. **Levorphanol** is well suited as a first-line opioid and can also be used during opioid rotation. It has no known effect on the cardiac QT interval or drug-drug interactions involving hepatic cytochrome P450s enzymes. In these regards, **levorphanol** may offer a superior safety profile over methadone and other long-acting opioids. Despite its prospective value of multiple mechanisms of action and the potential for treating various types of pain, **levorphanol** use has been largely supplanted by other recently approved opioids. Its waning use over the years has caused it to be referred to as the "Forgotten Opioid" and resulted in what some consider its underutilization. In fact, **levorphanol** is relatively unfamiliar to most prescribers. The purpose of this review is to inform practitioners about the attributes of this opioid and reintroduce it to clinicians as an option for treating moderate to severe pain when alternative treatment options are inadequate, not indicated or contraindicated.

KEYWORDS: Analgesic; Kappa opioid receptor; **Levorphanol**; Mu opioid receptor; N-methyl-d-aspartate; NMDA; Opioid; Opioid rotation

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