Bremazocine: A κ-Opioid Agonist with Potent Analgesic and Other Pharmacologic Properties

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ABSTRACT

Bremazocine is a κ-opioid receptor agonist with potent analgesic and diuretic activities. As an analgesic it is three- to four-times more potent than morphine, as determined in both hot plate and tail flick tests. Bremazocine and other benzomorphan analogs were synthesized in an effort to produce opiates with greater κ-opioid receptor selectivity and with minimal morphine-like side effects. Unlike morphine bremazocine is devoid of physical and psychological dependence liability in animal models and produces little or no respiratory depression. While bremazocine does not produce the characteristic euphoria associated with morphine and its abuse, it has been shown to induce dysphoria, a property that limits its clinical usefulness. Similarly to morphine, repeated administration of bremazocine leads to tolerance to its analgesic effect. It has been demonstrated that the marked diuretic effect of bremazocine is mediated primarily by the central nervous system.

Because of its psychotomimetic side effects (disturbance in the perception of space and time, abnormal visual experience, disturbance in body image perception, de-personalization, de-realization and loss of self control) bremazocine has limited potential as a clinical analgesic. However, its possible utility for the therapy of alcohol and drug addiction warrants further consideration because of its ability to decrease ethanol and cocaine self-administration in non-human primates. In addition, the ability of bremazocine-like drugs to lower intraocular pressure and to minimize ischemic damage in animal models suggests their possible use in the therapy of glaucoma and cardiovascular disease.

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