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An Overview on Nalbuphine

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ABSTRACT

Nalbuphine is a synthetic opioid analgesic with mixed agonist–antagonist activity, acting as a κ-opioid receptor agonist and a μ-opioid receptor antagonist. It has been widely used for the management of moderate to severe pain and as an adjunct in anesthesia. Compared with traditional opioids such as morphine, nalbuphine provides effective analgesia with a lower risk of respiratory depression, pruritus, and dependence, making it a valuable option in perioperative and postoperative settings.

Keywords: *Nalbuphine; opioid analgesic; κ-opioid agonist; μ-opioid antagonist; pain management; anesthesia.*

1. INTRODUCTION

Pain management remains a critical component of perioperative care. While conventional μ-opioid receptor agonists such as morphine and fentanyl are highly effective, their use is often limited by dose-dependent side effects including respiratory depression, sedation, nausea, vomiting, and risk of addiction **(1).**

Nalbuphine hydrochloride, a semi-synthetic opioid first introduced in the 1970s, demonstrates unique pharmacological properties as a κ-opioid receptor agonist and μ-opioid receptor antagonist. This dual mechanism provides potent analgesia, while simultaneously limiting typical μ-opioid–mediated side effects **(2).**

Several clinical studies have highlighted the efficacy of nalbuphine in a variety of contexts including postoperative pain, obstetric analgesia, and as an adjunct in general anesthesia. Importantly, nalbuphine has been shown to reduce opioid-induced pruritus and respiratory depression, particularly in patients receiving neuraxial or intravenous morphine **(3).**

Given these advantages, nalbuphine is increasingly considered an important agent in multimodal analgesia protocols, especially in patients at risk of opioid-related adverse events. However, its ceiling effect on analgesia and regional availability limit its widespread application, highlighting the need for further research into optimal dosing strategies and comparative efficacy**(4).**

Nalbuphine is FDA indicated for moderate to severe pain in where the patient requires an opioid agent, and other alternative treatments have been insufficient. Because nalbuphine is an antagonist at the mu-opioid receptor, it has utility as a treatment for opioid-induced pruritus. In fact, research has found that nalbuphine to be superior in treating opioid-induced pruritus when compared with placebo, diphenhydramine, naloxone, or propofol in patients receiving neuraxial opioids for acute pain related to surgery or childbirth **(5).**

2. MECHANISM OF ACTION

Nalbuphine is a kappa-opioid receptor agonist and a partial mu-opioid receptor antagonist. Analgesic properties are mediated through agonist activity at the kappa-opioid receptor. Because of this unique mixed agonist-antagonist opioid receptor activity of nalbuphine, it provides analgesia with less nausea, pruritus, and respiratory depression when compared to morphine **(6).**

3. PHARMACOLOGY

Nalbuphine Pharmacokinetics as follows (7):

- **Absorption**: The onset of action of nalbuphine after intravenous injection is 2 to 3 minutes. With subcutaneous or intramuscular administration, the onset of action is within 15 minutes. The duration of action of nalbuphine ranges from 3 to 6 hours.
- **Distribution**: Protein binding not significant.
- **Metabolism**: Nalbuphine is hepatically metabolized.
- **Elimination**: Elimination half-life of about 5 hours. Excreted in urine and feces.

4. ADMINISTRATION

Nalbuphine is available as an injectable solution in concentrations of 10 mg/ml and 20 mg/ml. It is not available in oral form due to poor oral bioavailability, meaning it is not well absorbed when taken by mouth. For adults, a typical recommended dose of nalbuphine is 10 mg for a 70 kg individual. It can be administered via subcutaneous, intramuscular, or intravenous routes. This dose can be repeated every 3 to 6 hours as needed. The maximum single dose recommended for an opioid non-tolerant individual is 20 mg, and the maximum daily dose should not exceed 160 mg. Nalbuphine has a potency comparable to morphine on a milligram-to-milligram basis **(6).**

For pediatric patients, the safety and efficacy of nalbuphine have not been established in those less than one year of age. In children older than one year, the recommended dose is 0.1 to 0.2 mg/kg via intravenous, intramuscular, or subcutaneous administration, every 3 to 4 hours as needed. The maximum single dose should not exceed 20 mg, and the maximum daily dose should not exceed 160 mg. **(8).**

5. ADVERSE EFFECTS

Nalbuphine administration may lead to various adverse effects, with the most common ones including sedation, sweating, nausea, vomiting, dizziness, vertigo, dry mouth, and headaches. Less frequently reported adverse effects, occurring in less than 1% of cases, involve different body systems. In the central nervous system, these effects can manifest as nervousness, depression, restlessness, crying, euphoria, floating, hostility, unusual dreams, confusion, faintness, hallucinations, dysphoria, a feeling of heaviness, numbness, tingling, or a sense of unreality. The cardiovascular system may be affected, resulting in hypertension, hypotension, bradycardia, or tachycardia. Gastrointestinal effects such as cramps, dyspepsia, or a bitter taste have also been reported **(9).**

Respiratory adverse effects associated with nalbuphine use include depression, dyspnea, or asthma. Dermatologic manifestations such as itching, burning, or Urticaria (hives) are also possible. It is important to note that allergic reactions, including anaphylactic, anaphylactoid, or severe hypersensitivity reactions, have been reported with the use of nalbuphine. Immediate medical attention and supportive treatment should be provided if such reactions occur **(10).**

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