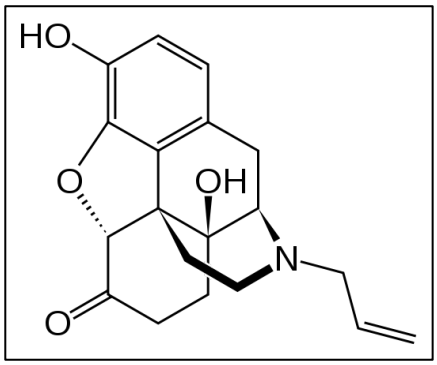
Naloxone

Anesthetic Pearls: Anesthetic Implications and Management of Naloxone



Naloxone reverses the agonist activity associated with endogenous or exogenous opioid compounds (morphine, fentanyl, heroin) by acting as a competitive antagonist mainly at the “mu”-opioid receptor. Naloxone is specifically used to counteract life-threatening depression of the central nervous system and respiratory system. It is also experimentally used in the treatment for congenital insensitivity to pain with anhidrosis (CIPA), an extremely rare disorder (1 in 125 million) that renders the person unable to feel pain. It is marketed under various trade names such as Narcan, Nalone, and Narcanti. It has also been mistakenly called "naltrexate." It is not to be confused with naltrexone which is a parenteral opioid receptor antagonist with qualitatively different effects and used for dependence treatment rather than emergency overdose treatment.

The mechanism of action of naloxone is a “mu”-opioid receptor competitive antagonist and its rapid blockade of those receptors often produces rapid onset of withdrawal symptoms. It also has a lower affinity antagonist action at the “kappa” and “delta”-opioid receptors. Naloxone is most commonly injected intravenously for fastest action and generally acts within a minute and has a half-life of 30-90 minutes. It can also be administered via intramuscular or subcutaneous injection.

Side Effects

1. Nausea and vomitting
2. **Sympathetic stimulation** due to pain manifested by tachycardia, hypertension, pulmonary edema, cardiac dysrrhythmias (including ventricular fibrillation).
3. Acute withdrawal syndrome in narcotic dependence.
4. Crosses the placenta, thus may cause acute withdrawal syndrome in the neonate of opioid dependent parturients.
5. Short duration of action (30-90 minutes). Thus may require a re-dosing in narcotic overdose.
6. Metabolized primarily by the liver (decreased effectiveness in patients with liver disease).