

OPIOIDS

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Pain

- Pain is an unpleasant sensation that can be acute or chronic and involves complex neurochemical processes in the peripheral and central nervous system
- For mild to moderate pain NSAIDs like ibuprofen are used
- For severe or chronic pain opioids are the drug of choice

Opioids

- Opioids are natural or synthetic compounds that produce morphine like effects
- Used to relieve intense pain, like post-surgery pain or pain caused by diseases like cancer
- Opioids have **abuse potential**
- Mechanism of action: bind to μ opioid receptors relieving pain

Opioids

- Strong agonists (High affinity for μ receptors)
 - Morphine
 - Hydromorphone
 - Oxymorphone
 - Heroin (Not a drug)
 - Fentanyl
 - Sulfentanil
 - Alfentanyl
 - Hydrocodone
 - Oxycodone
 - Meperidine = pethidine (Br)
 - Tramadol
 - Methadone

- Moderate/low agonists
 - Codeine

Strong agonists

- Morphine:
 - Mechanism of action: μ -receptor agonist, reduces many excitatory neurotransmitters from nerve terminals carrying nociceptive (painful) stimuli
 - Effects:
 - Analgesia (relief of pain without loss of consciousness)
 - Euphoria: powerful sense of contentment and well being
 - Respiratory depression (main cause of death in overdose)
 - Depression of cough reflex (antitussive effects)
 - Miosis (important for diagnosis of morphine abuse)
 - Emesis: due to triggering of chemoreceptor zone
 - GI effects: constipation

Morphine

- Administered IM, SC or IV
(significant first pass effect)
- In case of chronic neoplastic pain, morphine can be administered as extended release tablets or pumps that allow the patient to control pain through self administration

Morphine

- Adverse effects
 - ▣ Respiratory depression
 - ▣ Vomiting
 - ▣ Constipation
 - ▣ Tolerance and physical dependence: Repeated morphine use causes tolerance to respiratory depressant, analgesic and euphoric effects
 - ▣ Potential for addiction

Methadone

- μ -receptor agonist
- Causes less euphoria and less dependence than morphine
- Uses:
 - Analgesia
 - Controlling withdrawal symptoms of dependent abusers of morphine and heroin

Opioids withdrawal syndrome

Stage I: Up to 8 hours



Anxiety



Drug craving

Stage II: 8-24 hours



Anxiety



Insomnia



GI disturbance



Rhinorrhea



Mydriasis



Diaphoresis

Stage III: Up to 3 days



Tachycardia



Nausea, vomiting



Hypertension



Diarrhea



Fever



Chills



Tremors



Seizure



Muscle spasms

Fentanyl

- μ -receptor agonist
- Has 100-fold the analgesic potency of morphine
- Used in anesthesia
- Administered IV, epidurally or intrathecally
- Epidural fentanyl is used to induce anesthesia and for analgesia post-operatively and during labor

Heroin

- Synthetic derivative of morphine
- 3 times more potent than morphine
- Causes more euphoria than morphine
- **No medical use**

Opioids

- Oxycodone, or hydrocodone can be administered orally for moderate to severe pain

Codeine

- Moderate/low agonist
- Anti-tussive
- Expectorant
- Metabolized to morphine in the body causing analgesic effects (30% less than morphine)
- Causes euphoria

Opioid antagonists

- **Naloxone**
- Naltrexone
- Bind with high affinity to opioid receptors but fail to activate the receptor mediated response
- Produce no effect in normal individual
- Reverse the effects of μ -receptor agonists like morphine in dependent patients

Opioid antagonists

□ Naloxone

- ▣ Parenteral use only; very quick action
- ▣ Short half life (30-80 min)
- ▣ Life-saving value in ER for opioids overdoses

□ Naltrexone

- ▣ Orally effective and long-acting
- ▣ Used in treatment programs to prevent addicts from getting high on street narcotics
- ▣ Also used to reduce craving, relapse, and drinking days in alcohol-troubled persons