

OPIOIDS

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
- Sulfenatanil
- Alfentanyl
- Hydrocodone
- Oxycodone
- Meperedine = 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

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

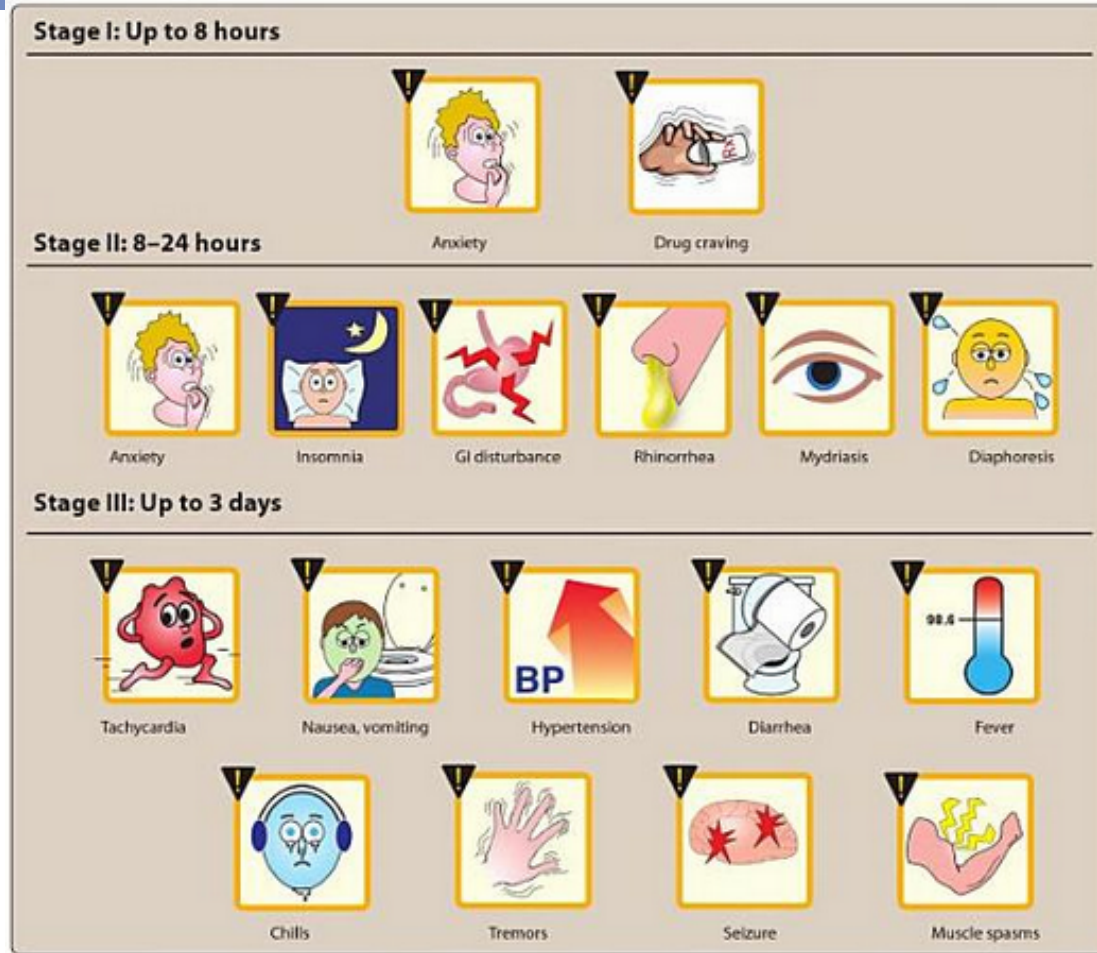
Morphine

- 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



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