



Unit V – Problem 12 – Pharmacology: Opioids

- **Classification:**
 - Opiates (natural).
 - Semi-synthetic.
 - Fully-synthetic.
- **Opioids may act as:**
 - **Full agonists:** morphine, methadone (used for narcotic detoxification), fentanyl (mainly used for anesthesia), heroin (more lipid-soluble than morphine) and hydromorphone (used for moderate pain).
 - **Full antagonist:** naloxone and naltrexone.
 - **Weak agonists:** codeine (used to relieve cough and for mild-moderate pain), oxycodone and hydrocodone.
- **Mechanism of action:** opioids express their effects on μ , κ and δ receptors:
 - **μ -receptor:** responsible for producing spinal/supraspinal analgesia, euphoria, respiratory depression, miosis and constipation.
 - **κ -receptors:** responsible for producing spinal analgesia, sedation, dysphoria and miosis.
 - **δ -receptors:** responsible for producing supraspinal/spinal analgesia.
- **Therapeutic uses:**
 - Analgesia (for acute severe pain).
 - Diarrhea (e.g. loperamide).
 - Relief of cough.
 - Relief of acute pulmonary edema (primarily in the past!).
- **Opioid tolerance, dependence and addiction:**
 - **Tolerance:** loss of effect with continued use
 - **Dependence:** inability to stop using opioids due to the development of irritating withdrawal symptoms which include the following:
 - ✓ Chills.
 - ✓ Diarrhea.
 - ✓ Myalgia.
 - ✓ Agitation.
 - ✓ Anxiety.
 - **Addiction:** behavioral abnormality characterized by drug-seeking despite damage to person life and society and practicing illegal ways to obtain the expensive narcotic medication.
- **Opioid withdrawal program:** it is a length program which aims to help addicts to be weaned from opioid use. Here, an opioid with low addiction potential and respiratory depression effects is used like methadone while the patient is weaned off morphine. After the patient is off morphine, he is weaned off methadone.
- **Opioid antidotes:**
 - These are antagonists at opioid receptors.
 - They include naloxone which is rapid-acting but has short duration. It rapidly reverses morphine overdose effects.
 - Naltrexone is a longer-acting drug but has a risk of hepatotoxicity.
 - Nalmefene is a new drug which has a long-duration and it is not hepatotoxic.