Analgesic And Anti-Inflammatory Agents

Analgesic and Anti-inflammatory Agents: Overview

Definition

- **Analgesics**: These are drugs that relieve pain (analgesia) without causing a loss of consciousness.
- **Anti-inflammatory Agents**: These drugs reduce inflammation (swelling, redness, pain, and heat) associated with tissue injury.
- Some drugs have both analgesic and anti-inflammatory actions (e.g., NSAIDs), while others (like opioids) are purely analgesic.

Classification of Analgesic Drugs

Based on Mechanism and Action Site

1. **Non-opioid analgesics:**
   - **NSAIDs (Non-Steroidal Anti-Inflammatory Drugs)** – e.g., ibuprofen, aspirin
   - **Acetaminophen (Paracetamol)** – analgesic and antipyretic, not anti-inflammatory
2. **Opioid (narcotic) analgesics:**
   - e.g., morphine, codeine, fentanyl
   - Act on CNS opioid receptors

Opioid Analgesics

- Opioids are natural, semi-synthetic, or synthetic compounds that bind to opioid receptors in the central nervous system (CNS) and peripheral tissues to produce analgesic effects.

Opioid Receptors

There are three main types:

- **Mu (μ)**: Responsible for analgesia, euphoria, respiratory depression, physical dependence.
- **Kappa (κ)**: Analgesia, sedation, dysphoria.
- **Delta (δ)**: Modulates mu receptor activity and may have analgesic effects.

Morphine and its Analogues

Morphine – The Prototype Opioid

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- Extracted from opium poppy (*Papaver somniferum*).
- It is a natural opiate alkaloid.

Structure:

- Phenanthrene structure with three fused aromatic rings.
- Contains hydroxyl groups and a tertiary amine (important for binding to opioid receptors).

Pharmacological Actions:

- CNS: Analgesia, sedation, euphoria, respiratory depression.
- GI: Constipation by reducing motility.
- Cardiovascular: Bradycardia, hypotension in high doses.
- Other: Cough suppression, miosis (pupil constriction).

Clinical Uses:

- Severe pain (e.g., post-operative, cancer, myocardial infarction).
- Pulmonary edema.
- Pre-anesthetic medication.

Side Effects:

- Respiratory depression (can be fatal).
- Nausea and vomiting.
- Constipation.
- Tolerance and physical dependence.
- Withdrawal symptoms on discontinuation.

Morphine Analogues (Opioid Derivatives)

These are drugs structurally related to morphine, modified to alter potency, duration, or side effect profile.

Codeine

- Methylated morphine (3-methylmorphine).
- Less potent analgesic, better oral bioavailability.
- Used for mild to moderate pain and as an antitussive.
- Metabolized to morphine in the liver (via CYP2D6).

Hydromorphone

- 5–10 times more potent than morphine.

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- Used in severe pain management.
- More rapid onset and shorter duration.

Oxycodone

- Semi-synthetic derivative of thebaine (another opiate).
- Used orally for moderate to severe pain.
- Common in combination with acetaminophen.

Fentanyl

- Synthetic, highly potent (100x more than morphine).
- Very rapid onset, short duration.
- Used in anesthesia, chronic pain, and patches for cancer pain.

Methadone

- Synthetic opioid, long half-life.
- Used for chronic pain and in opioid substitution therapy (e.g., heroin addiction).
- Less euphoria, less withdrawal severity.

Buprenorphine

- Partial agonist at μ receptor; antagonist at κ receptor.
- Less risk of respiratory depression.
- Used in pain and opioid dependence.

Tramadol

- Weak μ-opioid agonist and also inhibits serotonin/norepinephrine reuptake.
- For moderate pain, less addictive potential.

Narcotic Antagonists

Definition

- Narcotic antagonists are drugs that bind to opioid receptors but do not activate them. Instead, they block the action of opioids, reversing their effects.

Mechanism of Action

- Competitive antagonism at μ, κ, and δ receptors.
- Highest affinity for μ-receptors.

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- They displace opioids like morphine or heroin from receptors, reversing analgesia and other CNS effects.

Major Narcotic Antagonists

A. Naloxone

- Rapid-acting, short duration.
- Administered IV or IM in opioid overdose.
- Reverses respiratory depression within minutes.
- Half-life: ~1 hour.
- Ineffective orally due to first-pass metabolism.

B. Naltrexone

- Oral, longer-acting.
- Used in opioid dependence treatment (prevents relapse).
- Also used in alcohol dependence.
- Half-life: ~4 hours, but effects last longer due to active metabolites.

C. Nalmefene

- Longer-acting than naloxone.
- Used for reversal of opioid effects.
- Also used in alcohol dependence.

D. Methylnaltrexone

- Peripherally acting antagonist.
- Does not cross blood-brain barrier.
- Used to treat opioid-induced constipation without affecting central analgesia.

Various Nonsteroidal Anti-Inflammatory Agents (NSAIDs)

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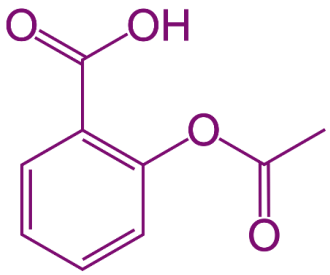
1. Aspirin*

Chemical Name:

- Acetylsalicylic Acid

Chemical Structure:

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Uses:

- Analgesic (mild to moderate pain)
- Antipyretic
- Anti-inflammatory (mainly in higher doses)
- Antiplatelet (prevents blood clots – used in MI and stroke prevention)
- Rheumatoid arthritis and osteoarthritis

Stability and Storage:

- Hydrolyzes in moisture to salicylic acid and acetic acid
- **Store in:** tightly closed container
- **Temperature:** below 25°C
- **Protect from:** moisture and light

Formulations:

- Tablets (plain and enteric-coated)
- Effervescent tablets
- Suppositories
- Chewable tablets (low-dose)
- Oral powders

Popular Brand Names:

- Ecosprin® (USV, India)
- Disprin® (Reckitt)
- Aspirin® (Bayer)
- St. Joseph® Aspirin

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2. Diclofenac

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