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Course Name : D. Pharm

Year : Second Year

**Subject Name**: Pharmacology

Topic Name : NSAIDs

Ch – 2.6 NSAIDs

Nonsteroidal anti-inflammatory drugs (NSAIDs) are a class of medications commonly used to reduce pain, inflammation, and fever. They work by inhibiting the enzymes cyclooxygenase-1 (COX-1) and cyclooxygenase-2 (COX-2), which are involved in the synthesis of prostaglandins, substances that promote inflammation, pain, and fever.

**Classification**: NSAIDs can be classified into several categories based on their chemical structure and selectivity for COX enzymes. Common subclasses include:

# A. Nonselective COX inhibitors (traditional NSAIDs)

- 1. Salicylates: e.g. Aspirin
- **2. Propionic acid derivatives:** e.g. Ibuprofen, Naproxen, Ketoprofen, Flurbiprofen.
- 3. Anthranilic acid derivative: e.g. Mephenamic acid
- 4. Aryl-acetic acid derivatives: e.g. Diclofenac, Aceclofenac.
- 5. Oxicam derivatives: e.g. Piroxicam, Tenoxicam.
- 6. Pyrrolo-pyrrole derivative: e.g. Ketorolac
- 7. Indole derivative: e.g. Indomethacin.
- 8. Pyrazolone derivative: e.g. phenylbutazone, Oxyphenbutazone
- B. Preferential COX-2 inhibitors: e.g. Nimesulide, Meloxicam, Nabumeton.
- C. Selective COX-2 inhibitors: e.g. Celecoxib, Etoricoxib, Parecoxib.
- D. Analgesic-antipyratics with poor antiinflammatory action:
- 1. Para aminophenol derivatives: e.g. Paracetamol
- 2. Pyrazolone derivative: e.g. Metamizol, Propiphenazone.
- **3. Benzoxazocine derivative:** e.g. Nefopam

# **Mechanism of Action:**

- NSAIDs inhibit the activity of COX enzymes, which are responsible for converting arachidonic acid into prostaglandins.
- Prostaglandins are lipid mediators involved in inflammation, pain sensitization, and regulation of various physiological processes.
- Inhibition of COX enzymes reduces the synthesis of prostaglandins, leading to decreased inflammation, pain, and fever.

### Dose:

- o The dosage of NSAIDs varies depending on the specific medication, formulation, and patient characteristics such as age, weight, and renal function.
- Dosages are typically adjusted based on the severity of symptoms and the desired therapeutic effect.
- It's essential to follow the recommended dosing instructions provided by healthcare professionals or on the medication label.

#### **Uses**:

- Relief of pain: NSAIDs are commonly used to alleviate mild to moderate pain associated with conditions such as headaches, musculoskeletal injuries, menstrual cramps, and arthritis.
- Reduction of inflammation: NSAIDs help reduce inflammation in conditions such as arthritis (e.g., osteoarthritis, rheumatoid arthritis), tendonitis, bursitis, and acute injuries.
- Reduction of fever: NSAIDs can lower fever by inhibiting prostaglandin synthesis in the hypothalamus, which regulates body temperature.

### **Contraindications:**

- NSAIDs are contraindicated in individuals with known hypersensitivity or allergy to the drug or its components.
- History of asthma, urticaria, or allergic reactions to aspirin or other NSAIDs (cross-reactivity may occur).
- Active peptic ulcer disease or gastrointestinal bleeding.
- Severe renal impairment or kidney disease (NSAIDs can impair renal function and cause fluid retention).
- Pregnancy (especially in the third trimester) due to the risk of fetal harm,
  particularly with aspirin and certain other NSAIDs.
- Patients with a history of cardiovascular events or thrombotic disorders
  may require caution, especially with long-term or high-dose NSAID use.