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Diploma in Pharmacy 1st Year
Pharmaceutical Chemistry
Chapter 10 : Analgestic and Anti-Inflammatory Agents

Chapter 10

Analgestic and Anti-Inflammatory Agents

Morphine Analogues

→ Morphine analogues are closely related to morphine structure and are even synthesised from it. They may be agonists [eg.. morphine, dimorphine (heroin) and codcine], partial agonists (e.g. nalorphine and levorphan). or antagonists (e.g., naloxone).

1. Morphine: It is a psychoactive opiate analgesic drug. It is regarded as the archetypal opioid. It is the gold standard of analgesics in clinical practice, and it is used to relieve severe pain and suffering.
2. Codeine: It has lower analgesic potency thun morphine. Within the body, it is partially metabolised to morphine. Codeine has a central effect.
3. Diamorphine: It is an opioid analgesic used to treat severe pain caused by surgical procedures, myocardial infarction, or agony in the terminally ill, as well as dyspnea caused by acute pulmonary, edoema.

Narcotic Antagonists

→ When taken alone, narcotic antagonists have little effect, but they prevent the effects of opiates.

→ Naloxone: It was the first pure opioid antagonist and having affinity for all three opioid receptors. It is most commonly used to treat respiratory depression induced by opiate overdose.

NON-STEROIDAL ANTI INFLAMMATORY AGENTS (NSAIDS)

→ NSAIDs are used to treat inflammation, mild to moderate discomfort and fever, Headaches, arthritis, sports injuries, and menstrual cramps are among the conditions for which it is used.

→ In high-risk people, aspirin is used to reduce blood clotting, and prevent strokes and heart attacks.

→ NSAIDs are also found in a variety of cold and allergy medications.

→ The use of NSAIDs is linked to a variety of negative effects.

Classification

1) Non-Selective COX Inhibitors (Conventional NSAID)

I. Salicylates: (Aspirin) Diflunisal Salsalate, Sodium Salicylate (Salol) Salicylamide, Benorilate, Choline salicylate.
II. ii) Pyrazolone Derivatives: Phenylbutazone,Oxyphenbutazone.
III. iii) Indole Derivatives: Indomethacin, Sulindac,
IV. iv) Propionic Acid Derivatives: Tbuprofen. Naproxen, Ketoprofen, Flurbiprofen
V. V) Anthranilic Acid Derivatives: Mephenamic acid.

2) Preferential COX-2 Inhibitors : Nimesulide, Meloxicam, Nabumetone.

3) Selective COX-2 Inhibitors : Celecoxib, Rofecoxib, Valdecoxib.)

Examples

1. Aspirin, *
2. Diclofenac
3. Ibuprofen, *
4. Piroxicam
5. Celecoxib,
6. Mefenamic acid,
7. Paracetamol, *and
8. Aceclofenac

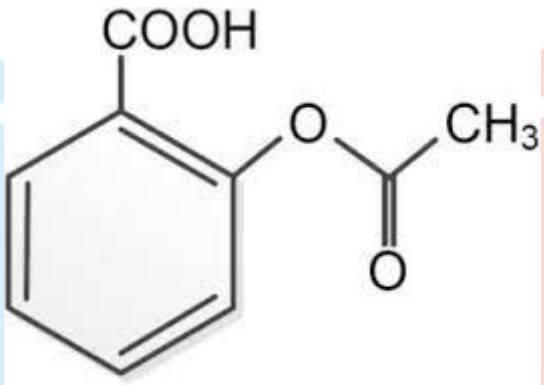
Aspirin *

→ Aspirin is made by acetylating salicylic acid with acetic anhydride.

→ The crude product can be recrystallised using benzene, an acetic acid-water (1:1) mixture, or other non-aqueous solvents. Chemically, it is 2-acetoxy benzoic acid.

Chemical Name and Structure

Aspirin



Mechanism of Action

➢ Aspirin's effects and respective mechanisms of action vary with dose: Low doses (typically 75 to 81 mg/day) are sufficient to irreversibly acetylate serine 530 of cyclooxygenase (COX)-1. This effect inhibits platelet generation of thromboxane A2, resulting in an antithrombotic effect

Uses

- Aspirin is used to treat fevers and mild to moderate discomfort associated with muscle aches, toothaches, the common cold, and headaches. It can also be used to treat pain and swelling associated with arthritis.

Stability and Storage Conditions

- It should be kept at room temperature, between 20" and 25 C (68" and 77 F), in a well-sealed container away from moisture.

Type of Formulation

1. Tablet

Popular Brand Names

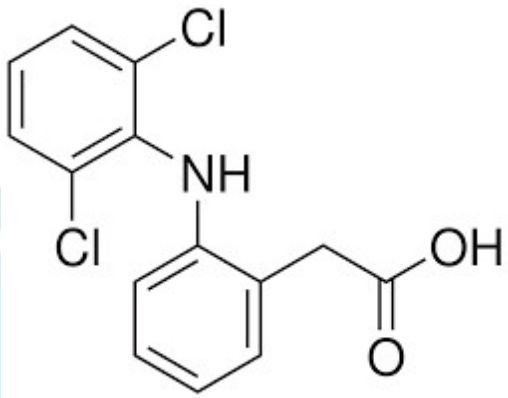
- Arthritis Pain,
- Aspi-Cor, Aspir-Low,
- Bufferin, Ecotrin,
- Miniprin, Aspir, Bayer Plus, Durlaza

Diclofenac

→ Diclofenac is an analgesic and antipyretic acetic acid NSAID medication.

→ It is helpful in treating pain, ocular inflammation, osteoarthritis, rheumatoid arthritis, ankylosing spondylitis, and actinic dysmenorrhea, ocular keratosis.

Chemical Structure



Mechanism of Action

➢ As with all NSAIDs, diclofenac exerts its action via inhibition of prostaglandin synthesis by inhibiting cyclooxygenase-1 (COX-1) and cyclooxygenase-2 (COX-2) with relative equipotency.

USES

- Diclofenac is a commonly used NSAID for
- Rheumatoid and osteoarthritis
- Burnsitis
- Ankylosing spondylitis
- Dysmenorrheal
- Post-trnuitmatic inflammatory conditions
- Post-operative inflammatory conditions

Stability and Storage Conditions

▪ This suspension should be stored at room temperature.

Types of Formulations

1. Capsule
2. Powder
3. Tablet

Popular Brand Names

♦ Cambia
♦ Voltaren
♦ Zipsor
♦ Canflam
♦ Voltaren-XR
♦ Zarvolex

Ibuprofen *

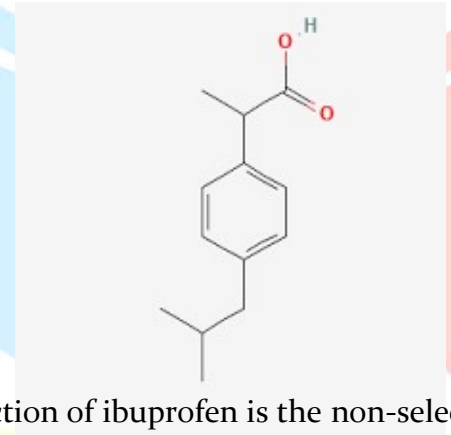
→ Ibuprofen, sometimes known as brufen, is a non steroidal anti-inflammatory medication (NSAID).

→ It is used to treat arthritis, primary dysmenorrtica, fever, and as an analgesic, especially in cases of inflammation When compared to aspirin or other well-known antiplatelet medicines, its antiplatelet impact is moderate and short-lived.

→ Ibuprofen has also been proven to be a broad vasodilator.

Chemical Name and Structure

(RS)-2-(4-2-Methylpropy)phenyl)propanoic acid,



Mechanism of Action

➢ The main mechanism of action of ibuprofen is the non-selective, reversible inhibition of the cyclooxygenase enzymes COX-1 and COX-2

Uses

- It is used to treat rheumatoid arthritis, juvenile theumatoid arthritis, and osteoarthritis symptoms.
- It can be used to treat mild to severe pain as well as control dysmenorrhea
- It can be used as anti-pyretic
- It has been used to treat antikylosing spondylitis, goat. and psoriatic arthritis.
- It may help in reducing pericarditis discomfort, fever, and inflammation.

Stability and Storage Conditions

▪ It should be kept away from light, moisture and bathroom. It should be stored at room temperature in a dry place away from the light.

Types of Formulations

1. Tablet
2. Suspension
3. Capsule

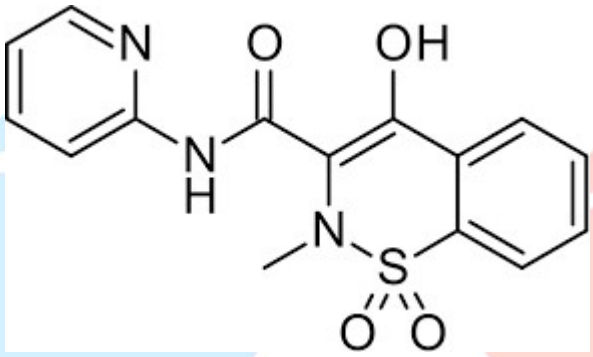
Popular Brand Names

♦ Advil
♦ Motrin
♦ Mourin IB
♦ IBU

Piroxicam

→ Piroxicam is a NSAID that is used to treat the indication of osteoarthritis and rheumatoid arthritis.

Chemical Structure



Mechanism of Action.

- Piroxicam is a potent inhibitor of prostaglandin (PG) synthesis in vitro. Piroxicam concentrations reached during therapy have produced in vivo effects. Prostaglandins sensitize afferent nerves and potentiate the action of bradykinin in inducing pain in animal models.

Uses

- Its used to treat osteoarthritis and rheumatoid arthritis pain and inflammation.

Stability and Storage Conditions

- The drug should be stored at a temperature not more than 25°C and should be kept in a tightly closed tube.

Type of Formulation

1. Capsules

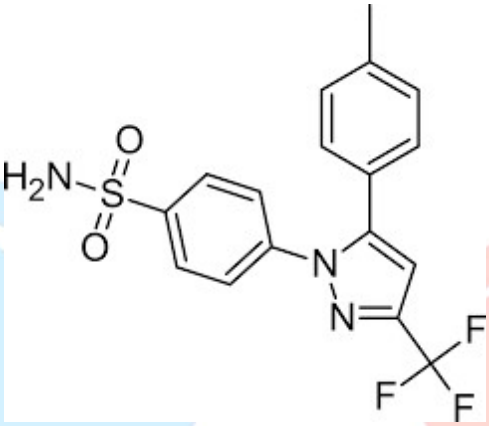
Popular Brand Name

- Feldene

Celecoxib

→ Celecoxib is a sulphonamide NSAID and selective COX-2 Inhibitor used to treat osteoarthritis, rheumatoid arthritis, acute pain, painful menstruation and menstrual symptoms, and to reduce the number of polyps in the colon and rectum in patients with familial adenomatous polyposis

Chemical Structure



Mechanism of Action

➢ The mechanism of action of celecoxib is due to selective inhibition of cyclooxygenase-2 (COX-2), which is responsible for prostaglandin synthesis, an integral part of the pain and inflammation pathway. [4] This pharmacologic activity gives celecoxib its analgesic, anti-inflammatory, and antipyretic effects.

Uses

- It is used to relief of the signs and symptoms of Osteoarthritis and rheumatoid arthritis in adults.
- It is also been allowed to help people with familial adenomatous polyposis reduce the number of polyps in their intestines.
- It is also used in:
- Acute pain and primary dysmenorrhoea,
- Osteoarthritis,
- Rheumatoid arthritis,
- Ankylosing spondylitis,
- Juvenile idiopathic arthritis, and
- Acute pain and dysmenorrhoea.)

Stability and Storage Conditions

▪ Store at room temperature between 20°C and 25°C

Type of Formulation

1. Capsule

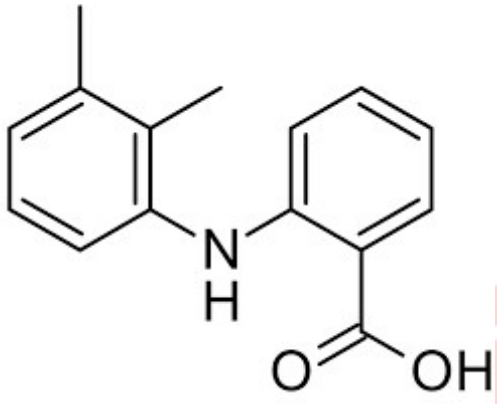
Popular Brand Names

♦ Celebrex
♦ Elyxyb

Mefenamic Acid

→ It is a derivative of anthranillic acid, 2-(2,3. dimethylphenyl) aminobenzoic acid is its chemical name.

Chemical Structure



Mechanism of Action

➢ It binds to the COX-1 and COX-2 prostaglandin synthetase receptors, decreasing prostaglandin synthetase activity.

Uses

- It is used to relieve mild to moderate pain caused by a variety of disorders in the short term.
- It can also be used to reduce menstruation pain and blood loss.
- It is a nonsteroidal anti-inflammatory medication (NSAID).

Stability and Storage Conditions

▪ It should be stored between 68°F and 77°F (20°C and 25°C) at room temperature. It should not be kept in a moist or damp environment, such as a bathroom,

Types of Formulations

1. Capsules
2. Tablets

Popular Brand Names

♦ Ponstel
♦ Mefenamic

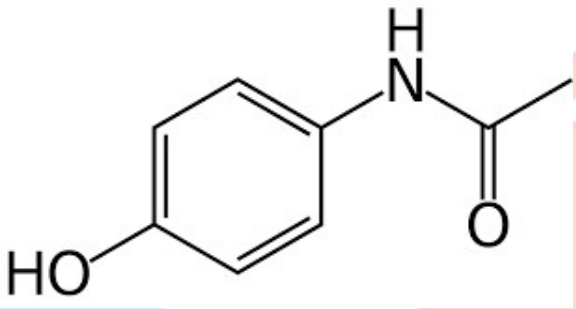
Paracetamol *

→ It exhibits analgesic and antipyretic properties similar to acetanilide, in addition to the same toxicities; however, these toxicities occur less often and are milder than those seen in other derivatives.

→ As a result, it is said to be safer for use. It has analgesic properties similar to aspirin except the anti-inflammatory properties.

Chemical Name and Structure

N-(4-hydroxyphenyl)acetamide,



Mechanism of Action

➢ Paracetamol has a central analgesic effect that is mediated through activation of descending serotonergic pathways. Debate exists about its primary site of action, which may be inhibition of prostaglandin (PG) synthesis or through an active metabolite influencing cannabinoid receptors.

Uses

- It is an over-the-counter analgesic and antipyretic used extensively.
- It is a traditional remedy for headaches and other mild aches and pains, and a key element in many cold and flu cures.
- It can be used in combination with opioid analgesics to treat more severe pain, like post-surgical pain and palliative care in advanced cancer patients.
- Stability and Storage Conditions
- When the temperature is mised from 25 to 45°C.) paracetamol tablets exhibit an increase in disintegration tine ranging from 9.1 to 65.5% (200 mg tablets) und 1.2 to 1.50.0 % (500mg tablets) (75 % RHD.

Stability and Storage Conditions

▪ It should be stored between 68°F and 77°F (20°C and 25°C) at room temperature. It should not be kept in a moist or damp environment, such as a bathroom,

Type of Formulation

1. Tablets

Popular Brand Names

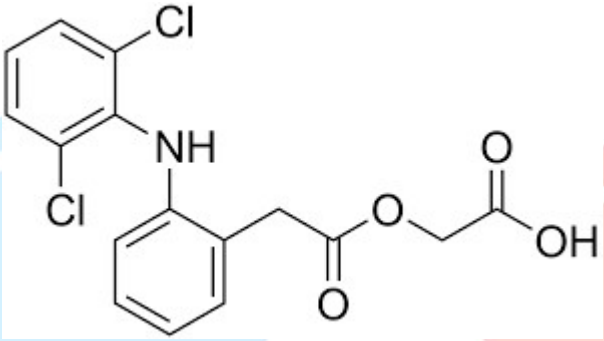
♦ Tylenol
♦ Calpol
♦ Excedrin
♦ Panadol

Aceclofenac

→ Aceclofenac is an anti-inflammatory, analgesic and con-steroidal anti-inflammatory medication used to treat osteoarthritis, rheumatoid arthritis, and ankylosing spondylitis.

Chemical Name and Structure

2-[(2-{2-[(2.6 dichlorophenyl)amino]phenyl}acetyl)oxylacetic acid



Mechanism of Action

➢ The mode of action of aceclofenac is largely based on the inhibition to prostaglandin synthesis. Aceclofenac is a potent inhibitor of the enzyme cyclo-oxygenase, which is involved in the production of prostaglandins. After oral administration, aceclofenac is rapidly and completely absorbed as unchanged drug.

Uses

- It is an anti-inflammatory drug that is used to treat pain. Therefore it is used in rheumatoid arthritis, ankylosing spondylitis, and osteoarthritis to reduce pain and inflammation. 1
- It is an NSAID (Non-Steroidal Anti-Inflammatory Drug). Stability and Storage Conditions
- Stability and Storage Conditions
- It should be stored in a cool and dry place in an airtight container. It should be kept away from the sunlight and children's reach and sight.

Stability and Storage Conditions

- It should be stored between 68°F and 77°F (20°C and 25°C) at room temperature. It should not be kept in a moist or damp environment, such as a bathroom,

Type of Formulation

1. Tablets

Popular Brand Names

- Abate
- Aclonac-SR
- Abdal
- Acec

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