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Chapter-10 | P. Chemistry

Analgesic & Anti-Inflammatory Agents

In Syllabus:

Study of the following category of medicinal compounds with respect to classification, chemical name (IUPAC Name), chemical structure (compounds marked with*) uses, stability and storage conditions, different types of formulations and their popular brand names:

Analgesic And Anti-Inflammatory Agents

- Analgesic And Anti-Inflammatory Agents: Morphine Analogues, Narcotic Antagonists;
- Nonsteroidal AntiInflammatory Agents (NSAIDs) Aspirin*, Diclofenac, Ibuprofen*, Piroxicam, Celecoxib, Mefenamic Acid, Paracetamol*, Aceclofenac

Analgesic And Anti-Inflammatory Agents:

Analgesics are medications that relieve pain. Anti-inflammatory agents are medications that reduce inflammation. Both types of medications are used to treat a variety of conditions, including headaches, muscle aches, arthritis, and fever.

There are two main types of analgesics:

- Opioid analgesics
- Non-opioid analgesics.

Opioid analgesics, such as morphine and codeine, work by binding to opioid receptors in the brain and spinal cord. This blocks the transmission of pain signals to the brain.

Non-opioid analgesics, such as acetaminophen and ibuprofen, work by different mechanisms. Acetaminophen is thought to work by blocking the production of prostaglandins, which are chemicals that cause inflammation and pain. Ibuprofen and other NSAIDs work by blocking the enzyme cyclooxygenase (COX), which is involved in the production of prostaglandins.



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Morphine Analogues:

Morphine analogues, also known as morphine derivatives or morphine-like compounds, are chemical compounds that are structurally similar to morphine, a naturally occurring opiate alkaloid derived from the opium poppy plant.

These analogues are synthesized in laboratories and may have similar pharmacological effects to morphine or exhibit altered properties, such as increased potency or modified side effect profiles.

Examples of morphine analogues include:

- 1. **Hydromorphone:** This analogue is more potent than morphine and has a shorter duration of action. It is commonly used in medical settings for the relief of severe pain.
- 2. **Oxycodone:** Another potent morphine analogue used for the management of moderate to severe pain. It is available in various formulations, including immediate-release and extended-release formulations.
- 3. **Fentanyl:** A highly potent synthetic opioid that is much more potent than morphine. It is often used in anesthesia and for the management of severe pain, such as in cancer patients.
- 4. **Methadone:** Although structurally distinct from morphine, methadone is considered a morphine analogue due to its similar pharmacological effects. It is primarily used for the treatment of opioid addiction and as a long-acting analgesic.

Narcotic antagonists:

- Narcotic antagonists, also known as opioid antagonists, are a class of drugs that block or reverse the effects of opioids on the opioid receptors in the body.
- Opioid receptors are primarily found in the central nervous system and play a crucial role in mediating the analgesic (pain-relieving), sedative, and euphoric effects of opioids.

Example:

Nalmefene:

- Nalmefene is a narcotic antagonist that acts as a selective antagonist at opioid receptors.
- It is primarily used for the reversal of opioid overdose and the management of opioid-induced side effects.

Naltrexone:

• Naltrexone is another narcotic antagonist that is used primarily in the treatment of opioid dependence and alcohol dependence.



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• It can be administered orally as a tablet or as an extended-release injection. Naltrexone binds to opioid receptors, blocking the euphoric and reinforcing effects of opioids and reducing cravings.

Naloxone:

- Naloxone is a potent narcotic antagonist that is used for the emergency treatment of opioid overdose.
- It acts quickly to reverse the life-threatening effects of opioids, particularly respiratory depression.
- Naloxone is typically administered via injection or nasal spray and works by competitively binding to opioid receptors, displacing opioid agonists and rapidly reversing their effects.

Nonsteroidal AntiInflammatory Agents (NSAIDs)

- Nonsteroidal anti-inflammatory agents (NSAIDs) are a class of medications used primarily to reduce pain, inflammation, and fever.
- They work by inhibiting the production of certain chemicals in the body called prostaglandins, which are responsible for triggering pain, inflammation, and fever responses.

Classification of NSAIDs

1. Non-selective NSAIDs

- Diclofenac
- Diflunisal
- Etodolac
- Fenoprofen
- Flurbiprofen
- Ibuprofen
- Indomethacin
- Ketoprofen
- Ketorolac
- Mefenamic acid
- Meloxicam
- Nabumetone
- Naproxen
- Sulindac
- Tolmetin



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2. COX-2 Selective NSAIDs

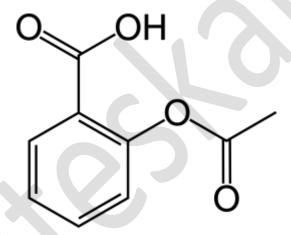
- Celecoxib
- Rofecoxib
- Valdecoxib

Main Drug in Syllabus: - Aspirin*, Diclofenac, Ibuprofen*, Piroxicam, Celecoxib, Mefenamic Acid, Paracetamol*, Aceclofenac

Aspirin*(Acetylsalicylic Acid (ASA))

Chemical Name: 2-acetyloxybenzoic acid

Chemical Structure:



Uses:

- Aspirin is used to reduce fever and relieve mild to moderate pain from conditions such as muscle aches, toothaches, common cold, and headaches.
- It may also be used to reduce pain and swelling in conditions such as arthritis.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

Tablets

- Aspro Clear
- Disprin



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Diclofenac

Chemical Name: [2-(2,6-Dichloroanilino)phenyl]acetic acid

Chemical Structure:

Uses:

- Diclofenac is a medicine that reduces swelling (inflammation) and pain.
- It's used to treat aches and pains, as well as problems with joints, muscles and bones.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

Tablets

- Zipsor
- Zorvolex



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Ibuprofen*

Chemical Name: (RS)-2-(4-(2-Methylpropyl)phenyl)propanoic acid

Chemical Structure:

Uses:

• Ibuprofen is used to reduce fever and to relieve minor aches and pain from headaches, muscle aches, arthritis, menstrual periods, the common cold, toothaches, and backaches.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

- Tablets
- Capsule
- Eye Drops

- Advil
- Midol
- Motrin

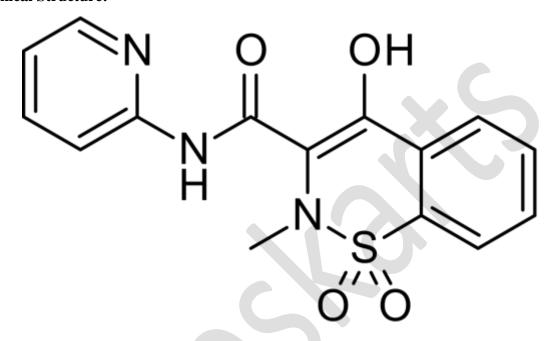


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Piroxicam

Chemical Name: 4-Hydroxy-2-methyl-N-(2-pyridinyl)-2H-1,2-benzothiazine-3-carboxamide 1,1-dioxide

Chemical Structure:



Uses:

• Piroxicam is a nonsteroidal anti-inflammatory drug (NSAID) used to treat pain and help relieve symptoms of arthritis (eg, osteoarthritis, rheumatoid arthritis), such as inflammation, swelling, stiffness, and joint pain.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

- Tablets
- Capsule

Popular brand names:

Feldene



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Celecoxib

Chemical Name: 4-[5-(4-Methylphenyl)-3-(trifluoromethyl)pyrazol-1-yl]benzenesulfonamide

Chemical Structure:

$$H_2N$$
 S
 O
 O
 F
 F

Uses:

- Celecoxib is a nonsteroidal anti-inflammatory drug (NSAID) used to treat mild to moderate pain and help relieve symptoms of arthritis
- This medication is used to treat migraines.
- It helps to relieve headache, pain, and other migraine symptoms (including nausea, sensitivity to light/sound).

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

- Tablets
- Capsule

Popular brand names:

Celebrex



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Mefenamic Acid

Chemical Name: 2-(2,3-dimethylphenyl)aminobenzoic acid

Chemical Structure:

Uses:

- Mefenamic acid is used to relieve mild to moderate pain, including menstrual pain (pain that happens before or during a menstrual period).
- It works by stopping the body's production of a substance that causes pain, fever, and inflammation.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

- Tablets
- Capsule

Popular brand names:

Ponstel

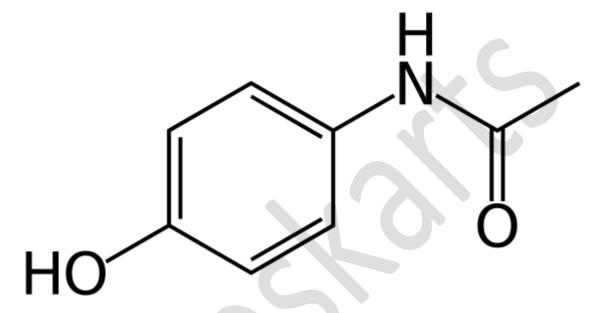


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Paracetamol*

Chemical Name: N-(4-hydroxyphenyl)acetamide

Chemical Structure:



Uses:

- Paracetamol is a medicine used to treat mild to moderate pain.
- Paracetamol can also be used to treat fever (high temperature).

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

Tablets

- Tylenol
- Excedrin
- Calpol
- Panadol.



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Aceclofenac

Chemical Name: 2-[2-[(2,6-dichlorophenyl)amino]phenyl]acetyl]

Chemical Structure:

Uses:

- Aceclofenac is used to relieve pain.
- It relieves pain and inflammation in conditions such as rheumatoid arthritis, ankylosing spondylitis, and osteoarthritis..
- Aceclofenac is used to treat symptoms such as swelling, pain, and stiffness of the joints associated with rheumatoid arthritis.

Stability and storage conditions:

• It should be kept in a cool, dry place and stored at room temperature. Direct sunlight is prohibited.

Types of formulations:

- Tablets
- Capsule

Popular brand names:

ACLOFLAM tab

