Semester-IV

Sub Name-medicinal chemistry-I (sub code-BP-402T)

Objective

Sodium salicylate, Aspirin, Mefenamic acid*, Meclofenamate, Indomethacin, Sulindac, Tolmetin, Zomepriac, Diclofenac, Ketorolac, Ibuprofen*, Naproxen, Piroxicam, Phenacetin, Acetaminophen, Antipyrine, Phenylbutazone.

1. INTRODUCTION

A drug or substance that reduces inflammation (redness, swelling, and pain) in the body. Anti-inflammatory agents block certain substances in the body that cause inflammation. They are used to treat many different conditions. Some anti-inflammatory agents are being studied in the prevention and treatment of cancer.

1.1 CLASSIFICATION

NSAIDs can be classified based on their chemical structure or mechanism of action. Older NSAIDs were known long before their mechanism of action was elucidated and were for this reason classified by chemical structure or origin. Newer substances are more often classified by mechanism of action.

Salicylate

- Aspirin (acetylsalicylic acid)
- Diflunisal (Dolobid)
- Salicylic acid and its salts

Propionic acid derivatives

- Ibuprofen
- Dexibuprofen
- Naproxen
- Fenoprofen
- Ketoprofen
- Dexketoprofen

Acetic acid derivatives

- Indomethacin
- Tolmetin
- Sulindac
- Ketorolac
- Diclofenac
- Aceclofenac

- Nabumetone (drug itself is non-acidic but the active, principal metabolite has a carboxylic acid group)

Enolic acid (oxicam) derivatives

- Piroxicam
- Meloxicam
- Tenoxicam
- Droxicam
- Lornoxicam
- Isoxicam (withdrawn from market 1985)
- Phenylbutazone

Anthranilic acid derivatives (fenamates)

The following NSAIDs are derived from fenamic acid. which is a derivative of anthranilic acid, which in turn is a nitrogen isostere of salicylic acid, which is the active metabolite of aspirin.

- Mefenamic acid
- Meclofenamic acid
- Flufenamic acid
- Tolfenamic acid

Selective COX-2 inhibitors (coxibs)

- Celecoxib
- Rofecoxib
- Parecoxib
- Etoricoxib

Sulfonanilides

- Nimesulide (systemic preparations are banned by several countries for the potential risk of hepatotoxicity)

1.2 Mechanism of Action

NSAIDs are used for their analgesic, anti-inflammatory, and antipyretic properties. Their therapeutic actions are thought to stem primarily from their ability to block the formation of certain prostaglandins through inhibition of the cyclooxygenase (COX) enzymes (**Figure 1**). In general, COX-1 catalyzes the production of several cytoprotective prostaglandins that coat the stomach lining with mucus and aid platelet aggregation, among other functions. COX-2 catalyzes the conversion of arachidonic acid into the inflammatory prostaglandins that are involved in

three key biological functions: sensitizing skin pain receptors, elevating body temperature through the hypothalamus, and recruiting inflammatory cells toward injured body parts.

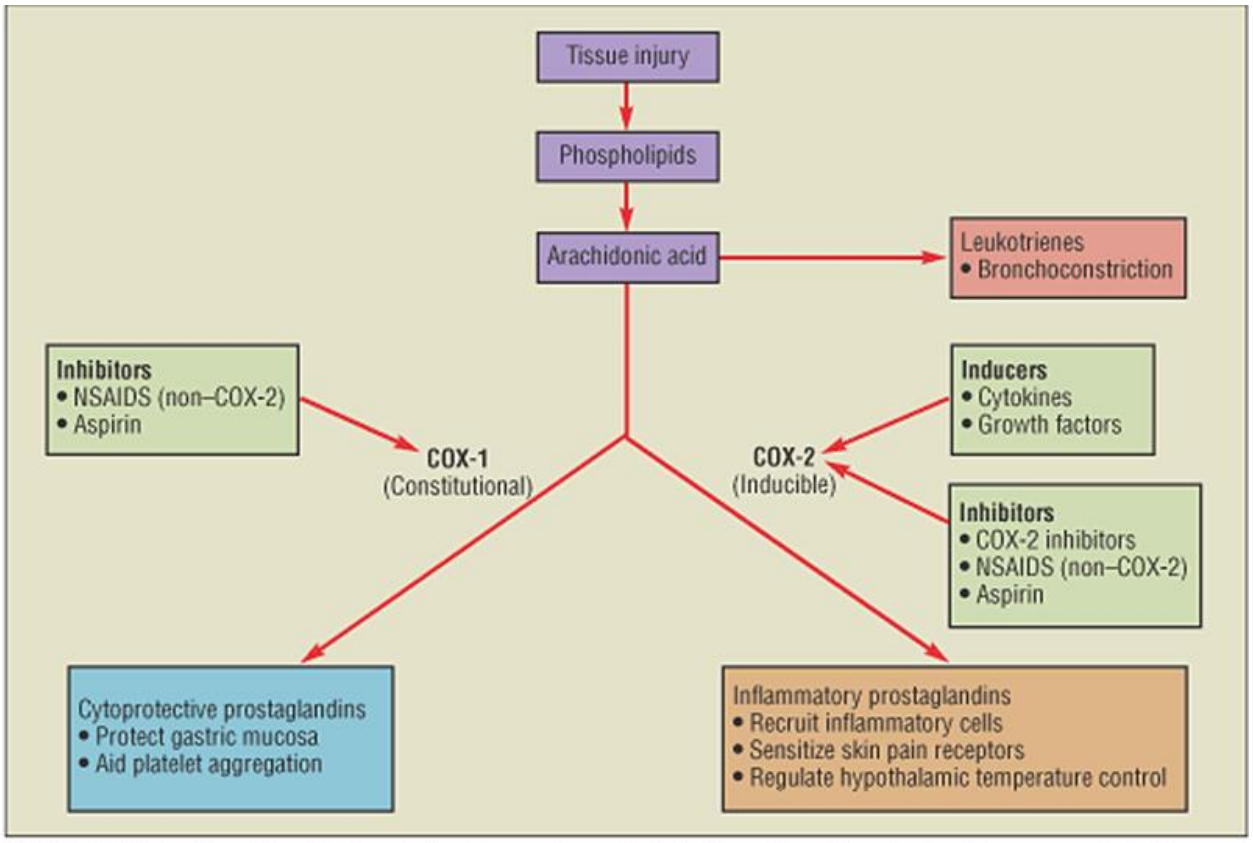
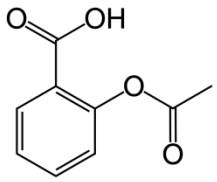


FIGURE 1. Algorithm of the biochemical pathway shows that the formation of prostaglandins occurs via both cyclooxygenase enzymes (COX-1 and COX-2).

2.1 Aspirin

IUPAC NAME: 2-Acetoxybenzoic acid



Therapeupic uses:

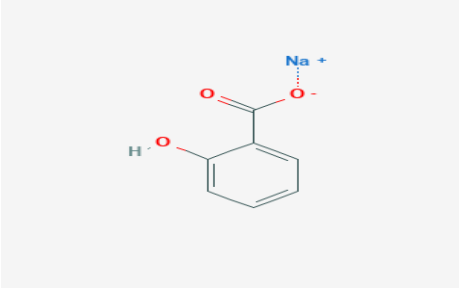
- Aspirin is used in the treatment of a number of conditions, including fever, pain, rheumatic fever, and inflammatory conditions, such as rheumatoid arthritis, pericarditis, and Kawasaki disease.
- Lower doses of aspirin have also been shown to reduce the risk of death from a heart attack, or the risk of stroke in people who are at high risk or who have cardiovascular disease, but not in elderly people who are otherwise healthy.
- There is some evidence that aspirin is effective at preventing colorectal cancer, though the mechanisms of this effect are unclear.

Adverse Effects:-

- Aspirin should not be taken by people who are allergic to ibuprofen or naproxen, or who have salicylate intolerance or a more generalized drug intolerance to NSAIDs, and caution should be exercised in those with asthma or NSAID-precipitated bronchospasm.
- Owing to its effect on the stomach lining, manufacturers recommend people with peptic ulcers, mild diabetes, or gastritis seek medical advice before using aspirin.

2.2 Sodium Salicylate:-

IUPAC NAME: Sodium *o*-hydroxybenzoate



Therapeutic Uses:-

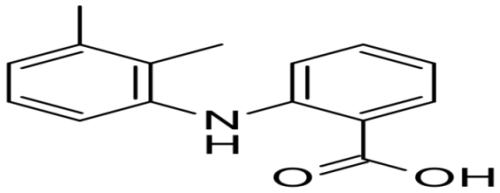
- It is used in medicine as an analgesic and antipyretic. Sodium salicylate also acts as non-steroidal anti-inflammatory drug (NSAID) and induces apoptosis in cancer cells and also necrosis.
- It is also a potential replacement for aspirin for people sensitive to it.
- It may also be used as a phosphor for the detection of vacuum ultraviolet radiation and electrons.

Adverse Effects:-

- Heartburn.
- Irritation of the Stomach or Intestines.
- Nausea.
- Stomach Cramps.
- Vomiting.

2.3 Mefenamic acid

IUPAC NAME: N-(2,3-Xylyl)-anthranilic acid



Therapeutic Uses

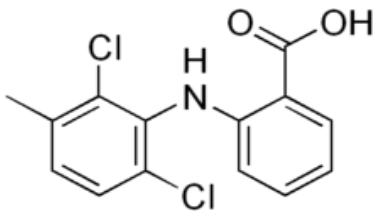
- Mefenamic acid is used to treat moderate pain and menstrual pain.
- There is evidence that supports the use of mefenamic acid for perimenstrual migraine headache prophylaxis, with treatment starting 2 days prior to the onset of flow or 1 day prior to the expected onset of the headache and continuing for the duration of menstruation.

Adverse Effects

- Headaches, nervousness, and vomiting.
- Serious side effects may include diarrhea, hematemesis (vomiting blood), hematuria (blood in urine), blurred vision, skin rash, itching and swelling, sore throat and fever.
- It has been associated with acute liver damage.

2.4 Meclofenamate

IUPAC NAME:2-[(2,6-dichloro-3-methyl phenyl)amino]benzoic acid



Therapeutic Uses

- Used for joint, muscular pain, arthritis and dysmenorrhea.
- It is a member of the anthranilic acid derivatives (or fenamate) class of NSAID drugs and was approved by the FDA in 1980.
- Like other members of the class, it is a COX inhibitor and prevents formation of prostaglandins.

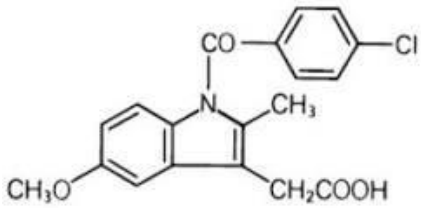
Adverse Effects

- Nausea.

- Vomiting.
- Heartburn.
- Dizziness.
- Drowsiness.
- Diarrhea.

2.5 Indomethacin

IUPAC NAME: 1-(4-chlorobenzoyl) 5-methoxy-2-methylindol-3yl acetic acid



Therapeutic Uses

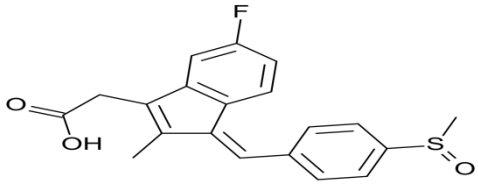
- rheumatoid arthritis
- ankylosing spondylitis
- osteoarthritis
- gouty arthritis

Adverse Effects

- Edema (swelling due to fluid retention)
- Hyperkalemia (high potassium levels)
- Hypernatremia (high sodium levels)
- Hypertension

2.6 Sulindac

IUPAC NAME: (Z)-5-Fluoro-2-methyl-1-[4-(methyl sulphinyl) benzylidene] indene-3yl acetic acid



Therapeutic Uses

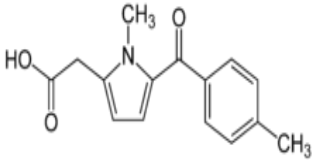
- Like other NSAIDs, it is useful in the treatment of acute or chronic inflammatory conditions.
- This is thought to help maintain constant blood levels with reduced gastrointestinal side effects.

Adverse Effects

- nausea, vomiting, stomach pain, indigestion, loss of appetite;
- Diarrhea, constipation.
- Headache, dizziness, nervousness.
- Itching, rash.
- Ringing in your ears.

2.7 Tolmetin

IUPAC NAME: [1-methyl-5-(4-methyl benzoyl)-1H-Pyrrol-2-yl] acetic acid



Therapeutic uses

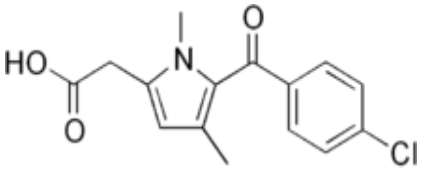
- Tolmetin is used alone or with other treatments to reduce pain, swelling, and joint stiffness from rheumatoid arthritis and osteoarthritis.
- It is also used for juvenile rheumatoid arthritis.

Adverse Effects

- Tolmetin can also increase the risk of gastrointestinal conditions such as perforation or bleeding, which is fatal.
- Antacids can be taken with Tolmetin to relieve stomachaches that often occur.
- Overdose can result in drowsiness, nausea, epigastric pain, and vomiting.

2.8 Zomepriac

IUPAC NAME: 2-[5-(4-chlorobenzoyl)-1,4-dimethyl pyrrol-2-yl] acetic acid



Therapeutic Uses

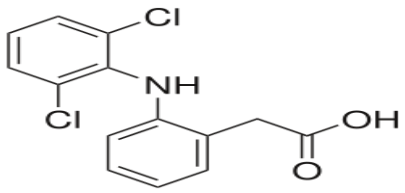
- Zomepirac was indicated for the management of mild to severe pain.
- Multiple clinical trials demonstrated zomepirac to be more effective than aspirin or codeine alone and to be as effective as analgesic combinations containing codeine or other opioids.

Adverse Effects

- Zomepirac is associated with an increased incidence of urogenital symptoms such as dysuria and pyuria.
- Because of tumorigenicity in rats, the drug is contraindicated in children, pregnant women, and nursing mothers.

2.9 Diclofenac

IUPAC NAME: 2-[(2, 6-Dichlorophenyl) amino] phenyl-acetate



Therapeutic Uses

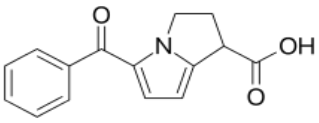
- Diclofenac is used to treat pain, inflammatory disorders, and dysmenorrhea.

Adverse Effects

- Indigestion, gas, stomach pain, nausea, vomiting.
- Diarrhea, constipation.
- Headache, dizziness, drowsiness.
- Stuffy nose.
- Itching increased sweating.
- Increased blood pressure.
- Swelling or pain in your arms or legs.

2.10 Ketorolac

IUPAC NAME: 5-benzoyl-2, 3-dihydo-1H-pyrrolizine-1-carboxylic acid



Therapeutic Uses:-

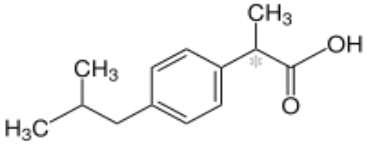
- Ketorolac is used for short-term management of moderate to severe pain.
- It is usually not prescribed for longer than five days, due to its potential to cause kidney damage.
- Ketorolac is effective when administered with paracetamol to control pain in newborns because it does not depress respiration as do opioids.
- Ketorolac is also an adjuvant to opioids medications and improves pain relief.

Adverse Effects:-

- Headache.
- Drowsiness.
- Indigestion.
- Stomach or abdominal pain.

2.11 Ibuprofen

IUPAC NAME(RS)-2-(4-Isobutyl phenyl) Propionic acid



Therapeutic Uses:-

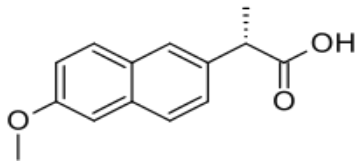
- Ibuprofen is used primarily to treat fever (including post-vaccination fever), mild to moderate pain (including pain relief after surgery), painful menstruation, osteoarthritis, dental pain, headaches, and pain from kidney stones.
- About 60% of people respond to any NSAID; those who do not respond well to a particular one may respond to another.
- It is used for inflammatory diseases such as juvenile idiopathic arthritis and rheumatoid arthritis.

Adverse Effects:-

- upset stomach, mild heartburn, nausea, vomiting;
- bloating, gas, diarrhea, constipation;
- dizziness, headache, nervousness;
- decreased appetite;
- mild itching or rash; or.

2.12 Naproxen

IUPAC NAME : 2-(6-Methoxy-2-naphthyl) Propionic acid



Therapeutic Uses:-

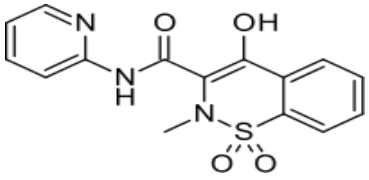
- Naproxen's medical uses are related to its mechanism of action as an anti-inflammatory compound.
- Naproxen is used to treat a variety of inflammatory conditions and symptoms that are due to excessive inflammation, such as pain and fever (naproxen has fever-reducing, or antipyretic, properties in addition to its anti-inflammatory activity).
- Notably, not all medications that reduce fever are anti-inflammatory compounds (such as paracetamol).

Adverse Effects:-

- indigestion, heartburn, stomach pain, nausea;
- headache, dizziness, drowsiness;
- bruising, itching, rash;
- swelling; or.
- ringing in your ears.

2.12 Piroxicam

IUPAC NAME: 4-Hydroxy-2-methyl-N-(2-pyridinyl)2H-1, 2-benzothiazine-3-carboxamide 1,1-dione



Therapeutic Uses:-

- It is used in the treatment of rheumatoid and osteoarthritis, primary dysmenorrhea, postoperative pain; and act as an analgesic, especially where there is an inflammatory component.
- The European Medicines Agency issued a review of its use in 2007 and recommended that its use be limited to the treatment of chronic inflammatory conditions, as it is only in these circumstances that its risk-benefit ratio proves to be favorable.

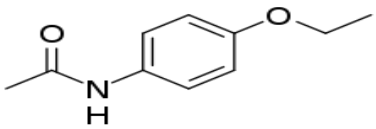
Adverse Effects:-

- abnormal liver function tests;
- urination problems;
- upset stomach, heartburn, loss of appetite, stomach pain, nausea, vomiting;

- gas, diarrhea, constipation.

2.13 Phenacetin

IUPAC NAME: N-(4-Ethoxy phenyl) acetamide



Therapeutic Uses:-

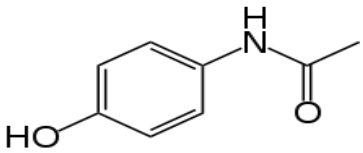
- Phenacetin has been used as a cutting agent to adulterate cocaine in the UK and Canada, due to the similar physical properties.
- Due to its low cost, phenacetin is used for research into the physical and refractive properties of crystals. It is an ideal compound for this type of research.

Adverse Effects:-

- In the United States, the Food and Drug Administration ordered the withdrawal of drugs containing phenacetin in November 1983, due to its carcinogenic and kidney-damaging properties.

2.14 Acetaminophen

IUPAC NAME: 4-Hydroxy acetanilide



Therapeutic Uses:-

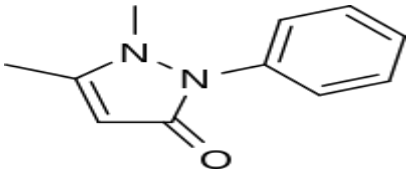
- Acetaminophen is an analgesic used to temporarily relieve minor aches and pains due to headache, muscular aches, backache, minor pain of arthritis, the common cold, toothache, and premenstrual and menstrual cramps.
- Acetaminophen is also used to temporarily reduce fever.

Adverse Effects:-

- Nausea, vomiting, loss of appetite, or severe stomach pain.
- Trouble passing urine or change in the amount of urine.
- Light-headedness, sweating, fainting, or weakness.
- Unusual bruising or bleeding.

2.15 Antipyrine

IUPAC NAME: 2,3-Dimethyl-1-phenyl-3-pyrazolin-5-one



Therapeutic Uses:-

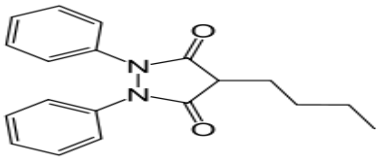
- Antipyrine and benzocaine otic is used to relieve ear pain and swelling caused by middle ear infections.
- It may be used along with antibiotics to treat an ear infection. It is also used to help remove a buildup of ear wax in the ear.
- Antipyrine and benzocaine are in a class of medications called analgesics.

Adverse Effects:-

- Allergy to pyrazolones
- Nausea
- Agranulocytosis
- Hepatotoxicity

2.16 Phenylbutazone

IUPAC NAME: 4-Butyl-1,2-diphenyl pyrazolidine-3,5-dione



Therapeutic Uses:-

- Phenylbutazone is a nonsteroidal anti-inflammatory drug (NSAID) effective in treating fever, pain, and inflammation in the body.
- As a group, NSAIDs are non-narcotic relievers of mild to moderate pain of many causes, including injury, menstrual cramps, arthritis and other musculoskeletal conditions.

Adverse Effects:-

- Overdose or prolonged use can cause gastrointestinal ulcers, blood dyscrasia, kidney damage (primarily dose-dependent renal papillary necrosis), oral lesions if given by mouth, and internal hemorrhage.
- This is especially pronounced in young, ill, or stressed horses which are less able to metabolize the drug.
- Effects of gastrointestinal damage include edema of the legs and belly secondary to leakage of blood proteins into the intestines, resulting in decreased appetite, excessive thirst, weight loss, weakness, and in advanced stages, kidney failure and death.

✓ Learning Outcome:-

1. Understand the chemistry of drugs with respect to their pharmacological activity.

2. Know the Structural Activity Relationship (SAR) of different class of drugs.

Narcotic and Non-Narcotic Analgesics

Introduction

Narcotics are addictive drugs that reduce the user's perception of pain and induce euphoria (a feeling of exaggerated and unrealistic well-being). The English word narcotic is derived from the Greek *narkotikos* , which means "numbing" or "deadening." Although the term can refer to any drug that deadens sensation or produces stupor, it is commonly applied to the opioids—that is, to all natural or synthetic drugs that act like morphine.

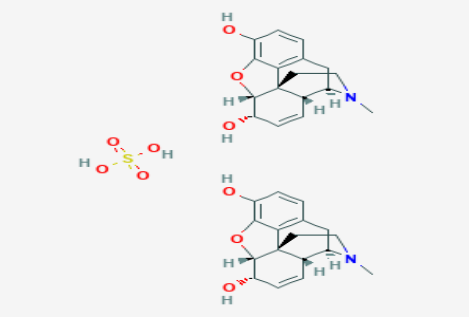
Classification

Mechanism of action

Opioids produce effects on neurons by acting on receptors located on neuronal cell membranes. Three major types of opioid receptor, m, d and k (mu, delta and kappa), were defined pharmacologically several years ago. Recently, the 3 opioid receptors have been cloned, and their molecular structures described. These receptors belong to the large family of receptors which possess 7 transmembrane-spanning domains of amino acids.

Pharmacological studies have shown that the naturally -occurring opioid peptide, b endorphin, interacts preferentially with m receptors, the enkephalins with d receptors and dynorphin with k receptors . Morphine has considerably higher affinity for m receptors than for other opioid receptors. The opioid antagonist, naloxone, inhibits all opioid receptors, but has highest affinity for m receptors. All 3 receptors produce analgesia when an opioid binds to them. However, activation of k receptors does not produce as much physical dependence as activation of m receptors.

1. Morphine sulphate
   IUPAC NAME:7,8-didehydro-4,5-epoxy-17-methyl morphinan-3,6 α diol



Uses:

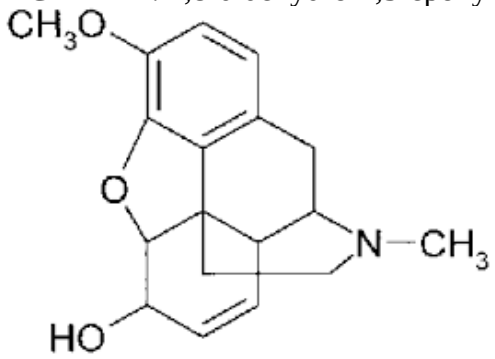
- *Preservative-free* Morphine Sulfate Injection is indicated for the management of pain where use of an opioid analgesic by PCA is appropriate.
- It was developed for administration via a compatible Hospira infusion device.

Adverse Effects:

- The most serious side effect is respiratory depression. Because of delay in maximum CNS effect with intravenously administered drug (30 min), rapid administration may result in overdosing.
- The depression may be severe and could require intervention While low doses of intravenously administered morphine have little effect on cardiovascular stability, high doses are excitatory, resulting from sympathetic hyperactivity and increase in circulating catecholamines.

2. Codeine,

IUPAC NAME: 7,8-didehydro-4,5-epoxy-3-methoxy-17-methyl morphinan-6 α-ol



Uses:

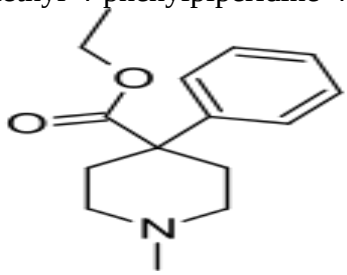
- Codeine is used to treat mild to moderate pain and to relieve coughing.
- It is also used to treat diarrhea and diarrhea-predominant irritable bowel syndrome, although loperamide (which is available without a prescription for milder diarrhea), diphenoxylate, paregoric, or even laudanum are more frequently used to treat severe diarrhea.
- Weak evidence indicates that it is useful in cancer pain, but it is associated with increased side effects.
- The American Academy of Pediatrics does not recommend its use in children due to side effects. The FDA lists age under 12 years old as a contraindication to use.

Adverse effects:

- Common adverse effects associated with the use of codeine include drowsiness and constipation.
- Less common are itching, nausea, vomiting, dry mouth, miosis, orthostatic hypotension, urinary retention, euphoria, and dysphoria.
- Rare adverse effects include anaphylaxis, seizure, acute pancreatitis, and respiratory depression.

- As with all opiates, long-term effects can vary, but can include diminished libido, apathy, and memory loss. Some people may have allergic reactions to codeine, such as the swelling of skin and rashes.

3. Meperidine hydrochloride
   IUPAC NAME: Ethyl-1-methyl-4-phenylpiperidine-4-carboxylate hydrochloride



Uses:

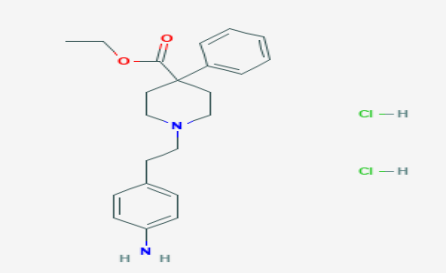
- Pethidine is the most widely used opioid in labour and delivery but has fallen out of favour in some countries such as the United States in favour of other opioids, due to its potential drug interactions (especially with serotonergics) and its neurotoxin metabolite, norpethidine.
- It is still commonly used in the United Kingdom and New Zealand,[15] and was the preferred opioid in the United Kingdom for use during labour, but has been replaced largely by hydromorphone since the mid-2000s.[16]
- Pethidine is the preferred painkiller for diverticulitis, because it decreases intestinal intraluminal pressure.

Adverse effects:

- The major hazards of Meperidine, as with other opioid analgesics, are respiratory depression and, to a lesser degree, circulatory depression, respiratory arrest, shock, and cardiac arrest.
- The most frequently observed adverse reactions included lightheadedness, dizziness, sedation, and nausea, vomiting, and sweating.

4. Anilerdine hydrochloride

IUPACNAME: Ethyl-1-[2-(4-aminophenyl)ethyl]-4-phenylpiperidine-4-carboxylate



Uses:

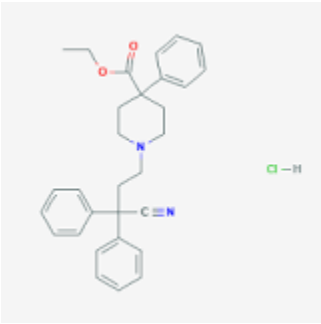
- Anilerdine is a synthetic opioid and strong analgesic medication.
- It is a narcotic pain reliever used to treat moderate to severe pain.

- Narcotic analgesics act in the central nervous system (CNS) to relieve pain. Some of their side effects are also caused by actions in the CNS.

Adverse effects:

- Anilerdine is absorbed by all routes of administration.
- Symptoms of overexposure include dizziness, perspiration, a feeling of warmth, dry mouth, visual difficulty; itching, euphoria, restlessness, nervousness and excitement have been reported.

5. Diphenoxylate hydrochloride
   IUPAC NAME: Ethyl-1-(3-cyano-3,3 diphenyl propyl)-4-phenyl-piperidine-4-carboxylate hydrochloride



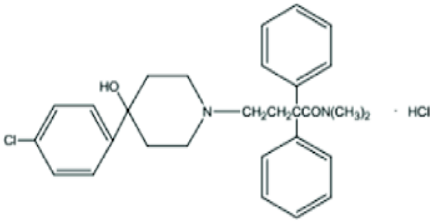
Uses:

- This medication is used to treat **diarrhea**.
- It helps to decrease the number and frequency of bowel movements. It works by slowing the movement of the intestines.
- Diphenoxylate is similar to narcotic pain relievers, but it acts mainly to slow the gut.

Adverse effects:

- drowsiness, dizziness, feeling restless;
- headache;
- numbness in your hands or feet;
- depression, not feeling well;
- confusion, feelings of extreme happiness;
- red or swollen gums;
- dry mouth, nose, or throat;
- Nausea, vomiting, upset stomach, loss of appetite.

6. Loperamide hydrochloride
   IUPAC NAME 4-[4-(4-chlorophenyl)-4-hydroxypiperidine]-N,N-dimethyl-2,2-diphenyl butyramide hydrochloride



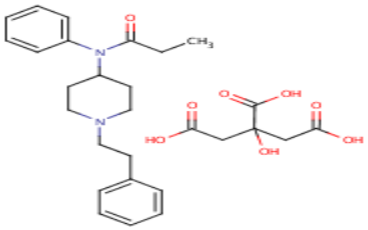
Uses:

- This medication is used to treat sudden **diarrhea** (including traveler's **diarrhea**).
- It works by slowing down the movement of the gut.
- This decreases the number of bowel movements and makes the stool less watery. Loperamide is also used to reduce the amount of discharge in patients who have had an ileostomy.

Adverse effects:

- Dizziness.
- Drowsiness.
- Dry mouth.
- Vomiting.
- Constipation.
- Fatigue.
- Stomach pain, discomfort, or enlargement.

7. Fentanyl citrate
   IUPAC NAME: N-phenyl-N-[1-(2-phenylethyl)piperadin-4-yl] propanamide-2-hydroxy-1,2,3-propane-tricarboxylate



Uses:

- Intravenous fentanyl is often used for anesthesia and to treat pain.
- To induce anesthesia, it is given with a sedative-hypnotic, like propofol or thiopental, and a muscle relaxant.
- To maintain anesthesia, inhaled anesthetics and additional fentanyl may be used. These are often given in 15-30 minute intervals throughout procedures such as endoscopy, surgeries, and in emergency rooms.

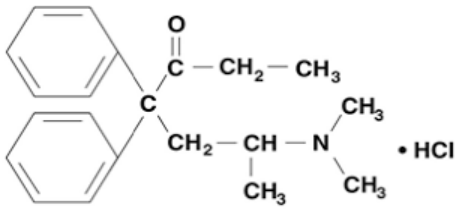
Adverse effects:

- Fentanyl's most common side effects, which affect more than 10% of people, include diarrhea, nausea, constipation, dry mouth, somnolence, confusion, asthenia (weakness), sweating.

- Less frequently, in 3-10% of people, fentanyl can cause abdominal pain, headache, fatigue, anorexia and weight loss, dizziness, nervousness, hallucinations, anxiety, depression, flu-like symptoms, dyspepsia (indigestion), shortness of breath, hypoventilation, apnoea, and urinary retention.
- Fentanyl use has also been associated with aphasia. Despite being a more potent analgesic, fentanyl tends to induce less nausea, as well as less histamine-mediated itching, than morphine.

8. Methadone hydrochloride

   IUPAC NAME: (RS)-Dimethyl (1-methyl-4-oxo-3,3-diphenylhexyl)-amine hydrochloride



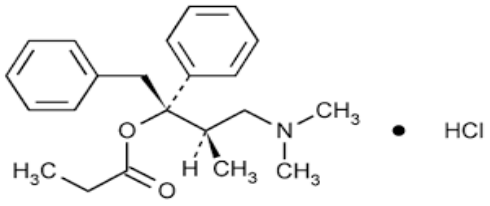
Uses:

- Methadone is used for the treatment of opioid use disorder.
- It may be used as a maintenance therapy or in shorter periods for detoxification to manage opioid withdrawal symptoms.
- A 2009 Cochrane review found methadone was effective in retaining people in treatment and in the reduction or cessation of heroin use as measured by self-report and urine/hair analysis but did not affect criminal activity or risk of death.
- Treatment of opioid-dependent persons with methadone follows one of two routes: maintenance or detoxification. Methadone maintenance therapy (MMT) usually takes place in outpatient settings.

Adverse effects:

- Sedation
- Diarrhea or constipation
- Flushing
- Perspiration and sweating
- Heat intolerance
- Dizziness or fainting
- Weakness

9. Propoxyphene Hydrochloride
   IUPAC NAME: (1S,2R)-1-Benzyl-3-dimethylamino-2-methyl-1-phenyl propyl propionate hydrochloride



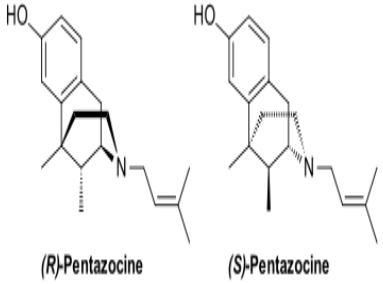
Uses

- Propoxyphene is in a group of drugs called narcotic pain relievers.
- Propoxyphene is used to relieve mild to moderate pain.
- Propoxyphene may also be used for purposes other than those listed in this medication guide.

Adverse effects:

- lightheadedness
- drowsiness
- dizziness
- sleepiness
- constipation

10. Pentazocine
    IUPAC NAME: (2RS,6RS, 11RS)-6, 11-dimethyl-3-(3-methyl but-2-enyl)-1,2,3,4,5,6-hexahydro-2,6-methano-3 benzocin-8-ol



Uses:

- Pentazocine injection is used to relieve moderate to severe **pain**.
- It may also be used before surgery or with a general anesthetic (**medicine** that puts you to sleep).
- Pentazocine belongs to the group of **medicines** called narcotic analgesics (**pain medicines**). It acts on the central nervous system (CNS) to relieve **pain**.

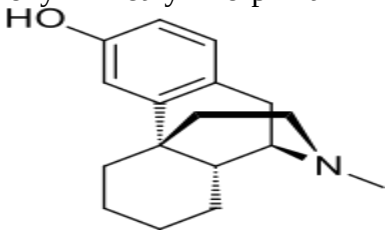
Adverse effects:

- noisy breathing, sighing, shallow breathing;
- a light-headed feeling, like you might pass out;

- severe constipation;
- pain, burning, irritation, or skin changes where the injection was given.

11. Levorphanol tartarate

IUPAC NAME: (-)-3-hydroxy-N-methyl-morphinan



Uses:

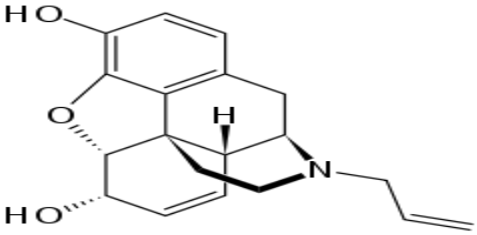
- This medication is used to treat moderate to severe **pain**.
- Levorphanol is an opioid (narcotic) **pain** reliever.
- It acts on certain centers in the brain to give you **pain relief**.

Adverse effects:

- Nausea,
- vomiting, constipation, lightheadedness,
- dizziness, drowsiness, dry mouth,
- Flushing, or vision problems may occur.
- If any of these effects persist or worsen, tell your doctor or pharmacist promptly.

12. Nalorphine hydrochloride

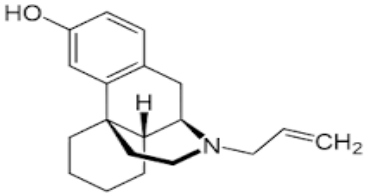
IUPAC NAME: (-)-3-hydroxy-N-methyl-morphinan hydrochloride



nalorphine produces side effects such as dysphoria, anxiety, confusion, and hallucinations, and for this reason, is no longer used medically.

Levallorphan tartarate

IUPAC NAME: (-)-17-allylmorphinan-3-ol



Uses:

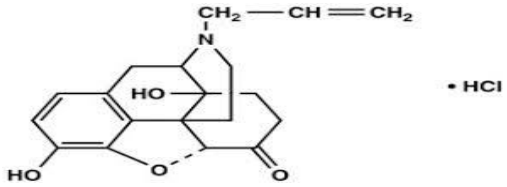
- Levallorphan was formerly widely used in general anesthesia, mainly to reverse the respiratory depression produced by opioid analgesics and barbiturates used for induction of surgical anaesthesia whilst maintaining a degree of analgesia.

Adverse effects:

- Levallorphan can produce severe mental reactions at sufficient doses including hallucinations, dissociation, and other psychotomimetic effects, dysphoria, anxiety, confusion, dizziness, disorientation, derealization and feelings of drunkenness.

Naloxone hydrochloride:

IUPAC NAME: 4, 5 α-Epoxy-3, 14-dihydroxy-17-(prop-2-enyl) morphinan-6-one hydrochloride



Uses:

- It is an opioid antagonist used for the complete or partial reversal of opioid overdose, including respiratory depression.
- Narcan is also used for diagnosis of suspected or known acute opioid overdose and also for blood pressure support in septic shock.
- Narcan is available in generic form.

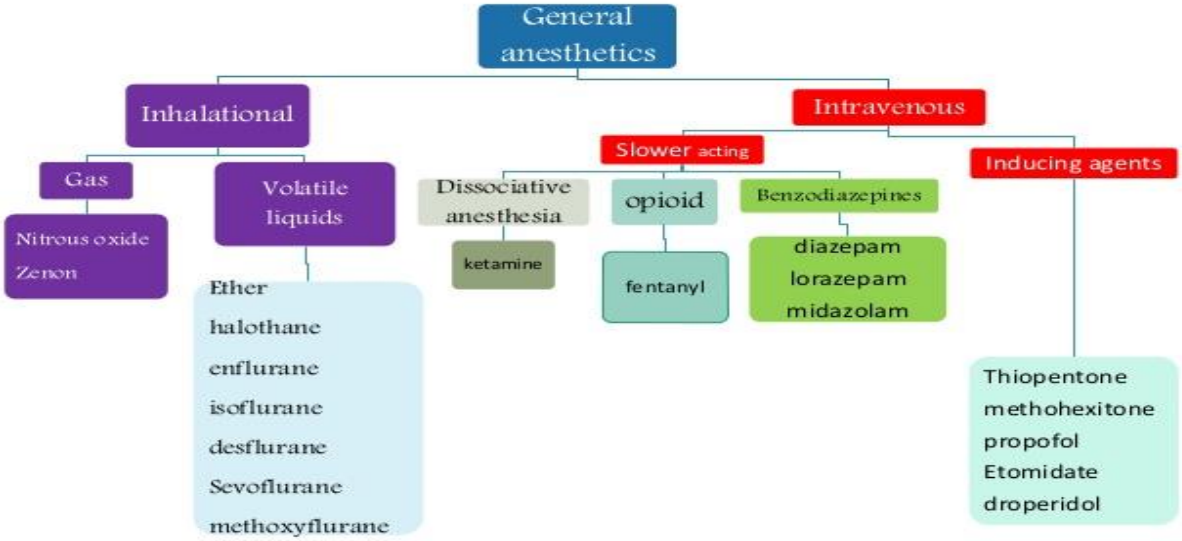
Adverse effects:

- nausea, vomiting, diarrhea, stomach pain;
- fever, sweating, body aches, weakness;
- tremors or shivering, fast heart rate, pounding heartbeats, increased blood pressure;
- Feeling nervous, restless, or irritable.

General Anesthetics

Objective:- Inhalation anesthetics: Halothane*, Methoxyflurane, Enflurane, Sevoflurane, Isoflurane, Desflurane.Ultra short acting barbitutrates: Methohexital sodium*, Thiamylal sodium, Thiopental sodium. Dissociative anesthetics: Ketamine hydrochloride.*

CLASSIFICATION



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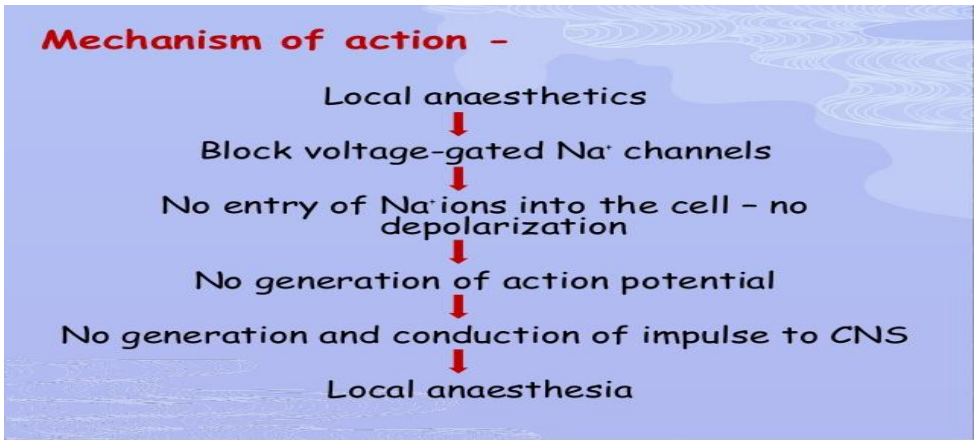
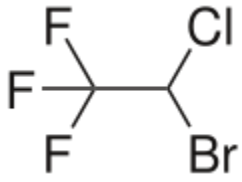
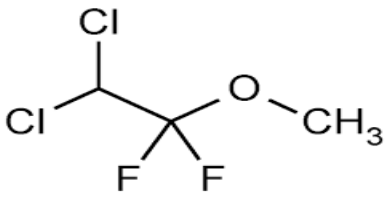


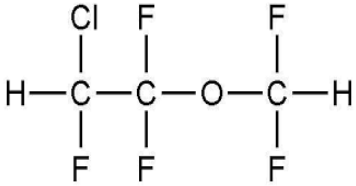
1. Halothane:-
   IUPAC NAME:2-bromo,2-chloro,1,1,1-trifluoroethane



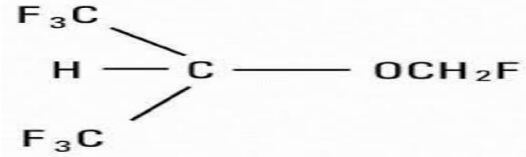
2. Methoxyflurane
   IUPAC NAME:2,2-dichloro-1,1-difluoro-1-methoxyethane



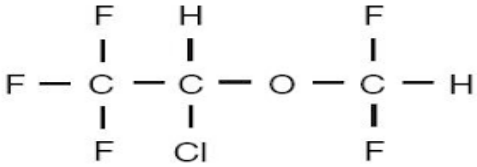
3. Enflurane
   IUPAC NAME:2-chloro-1,1,2-trifluoroethyldifluoromethylether



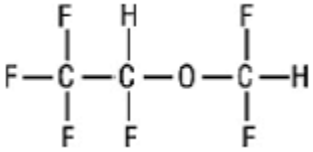
4. Sevoflurane
   IUPAC NAME:1,1,1,3,3,3-hexafluoro-2-(fluoromethoxy) propane



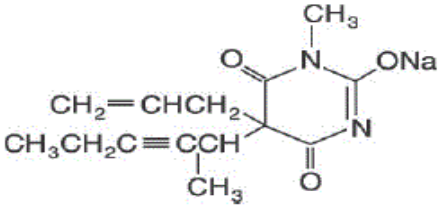
5. Isoflurane
   IUPAC NAME:



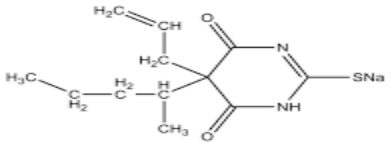
6. Desflurane
   IUPAC NAME:2-(difluoromethoxy)-1,1,1,2-tetrafluoroethane



7. Methohexital sodium
   IUPAC NAME: sodium;5-hex-3yn-2-yl-1-methyl-2,6-dioxo-5-prop-2-enylpyrimidin-4-olate



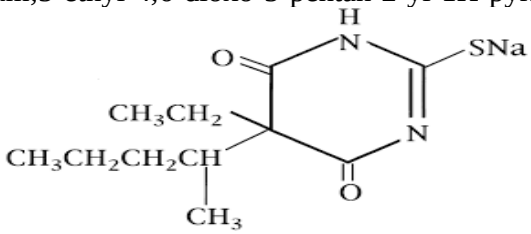
8. Thiamylal sodium
   IUPAC NAME:sodium;4,6-dioxo-5-pentan-2-yl-5-prop-2-enyl-1H-pyrimidine-2-thiolate



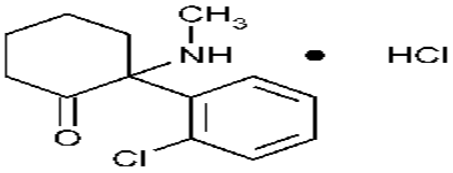
Thiamylal Sodium

[Sodium 5-allyl-5-(1-methylbutyl)-2-thiobarbiturate]

9. Thiopental sodium
   IUPAC NAME: sodium;5-ethyl-4,6-dioxo-5-pentan-2-yl-1H-pyrimidine-2-thiolate



10. Ketamine hydrochloride
    IUPAC NAME:2-(2-chlorophenyl)-2-(methylamino)cyclohexane-1-one hydrochloride.



Uses of General An aesthetics

Adverse effects of General Anesthetics

Learning outcomes

- Students know about the classification, mechanism of action & uses of some drugs.