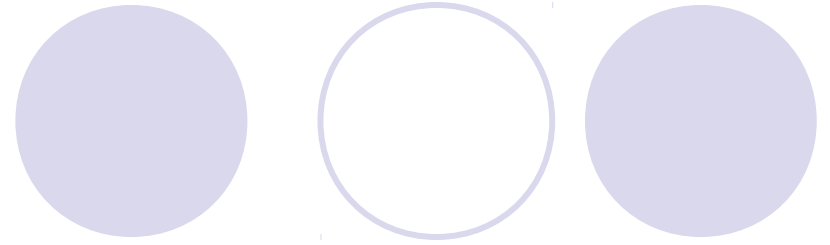
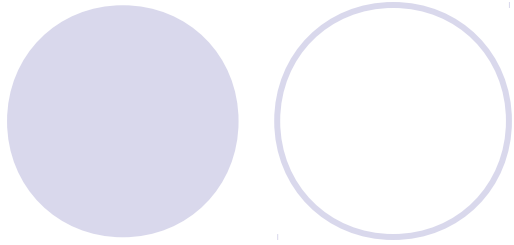


Analgesics

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“I’d like you to come up with a catchy jingle that’ll give people a headache everytime it’s played.”



What is pain?

- An unpleasant sensory and emotional experience associated with actual or potential tissue damage.

What are pain receptors and their functions.

- **Pain receptors** in our bodies are nerves that transmit pain. These are free nerve endings located in various body tissues that respond to thermal, mechanical and chemical stimuli.
- When stimulated, these pain receptors generate an **impulse**. The pain results of various impulses arriving at the spinal cord and the brain.
- When tissues become injured, they release chemicals called **prostaglandins** and leukotrienes that make the pain receptors more sensitive and thus causing pain.

Definition of analgesics and categories

- **Analgesics are drugs that relieve pain.**

These are:

- **Mild analgesics:** used for relief of mild pain.
(aspirin, acetaminophen)
- **Strong analgesics:** used for relief of vary severe pain.
(morphine, heroin, codeine)
- Local anesthetics: used as pain killers in localized areas.
(lidocaine, procaine)
- General anesthetics

Mild analgesics



- They work by blocking the enzyme-controlled synthesis of **prostaglandins**.
- The main effects prostaglandins are:
 - 1) The constriction of blood vessels, which helps increase the body temperature.
 - 2) Direct effect on the body's heat regulating centre, hypothalamus, which produces fever.
 - 3) Increase of the permeability of capillaries which allows water to pass to the tissue and cause pain and swelling.



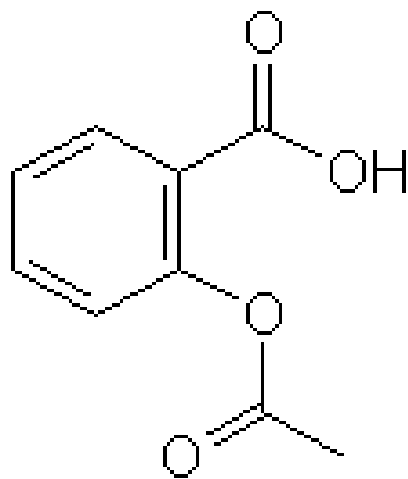
Natural painkillers

- They are produced naturally in the body.
- **Endorphins** and **enkephalins** are the natural opiates found in the part of the brain and the spinal cord that transmit pain impulses. They are able to bind to neuro-receptors in the brain and produce relief from pain.
- The temporary loss of pain immediately after an injury is associated with the production of these chemicals.

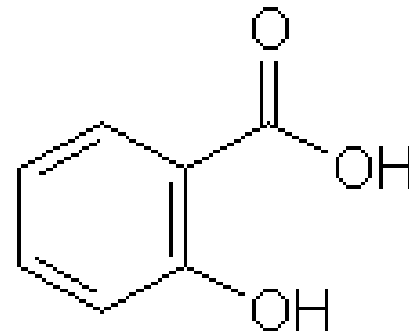
Salicylic acid-Aspirin



- **Salicylic acid** was widely used as a fever reducer
- However, it is relatively strong acid so it was unpleasant to take orally and it damaged the membranes lining the mouth, esophagus and stomach.
- **Sodium salicylate** (its salt) was used but it was also highly irritating to the stomach.
- Its ester called **Acetyl Salicylic Acid** (ASA) named **aspirin** retains the beneficial properties of salicylic acid but is less irritating to the stomach.
- ASA is relatively tasteless so it can be taken orally.



Acetylsalicylic acid
(aspirin)



Salicylic acid

Uses of derivatives of salicylic acid

- As **mild analgesic** for minor aches and pains.
- As an **antipyretic**.
- As an **anti-inflammatory** agent when there is swelling from injuries.
- As an **anti-clotting** agent in the prevention of abnormal blood clotting and as an anti clotting agent after heart surgery.

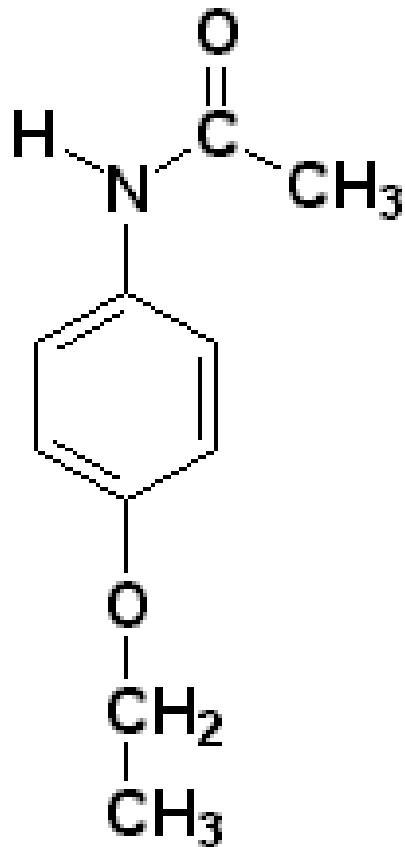
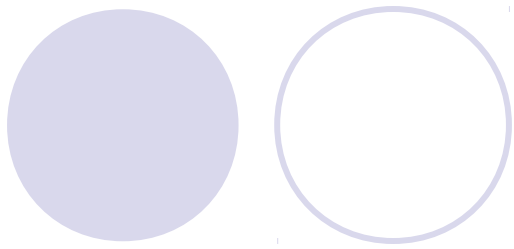
Disadvantages of Aspirin



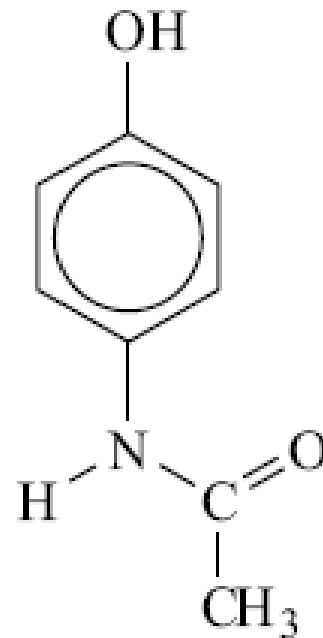
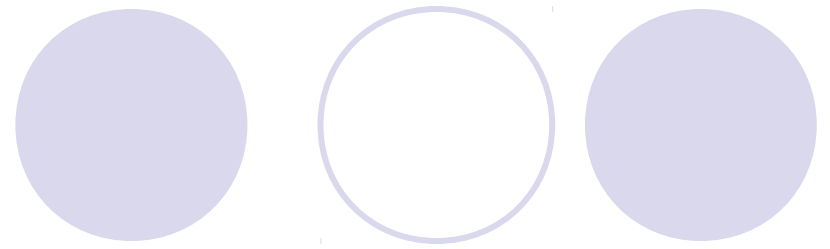
- Aspirin can cause **stomach upset** and internal bleeding due to its acidic nature.
- There is a risk of developing severe **gastrointestinal bleeding** following use of alcohol.
- 0,5% are **allergic to aspirin** leading to skin rashes, respiratory difficulty and even shock
- Aspirin is one of the most frequent causes of accidental poisoning in infants.
- The taking of aspirin by **children** under twelve has been linked to **Reye's disease** (a fatal liver and brain disorder with the symptoms of vomiting, lethargy, irritability and confusion.)

Aspirin substitutes (**Acetaminophen**)

- **Acetaminophen** is the metabolic byproduct of phenacetin and is active ingredient of many over-the-counter drugs (OTC)
- It is like aspirin as it is an anti-pyretic.
- It is an analgesic to reduce mild pain.
- It does not upset the stomach or cause bleeding.
- It is **not an effective anti inflammatory** drug.
- It is a safe drug when it is used in the correct dose BUT can rarely cause side effect such as blood disorders and kidney damage.
- In great dose (>20 tablets) can cause serious liver damage, brain damage, coma and even death.



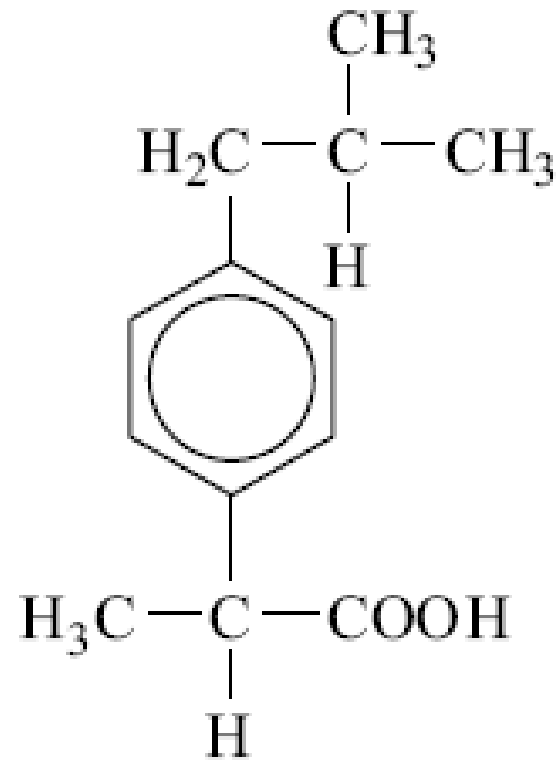
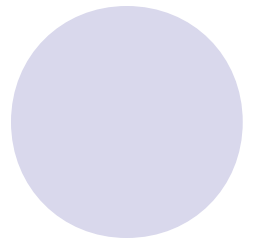
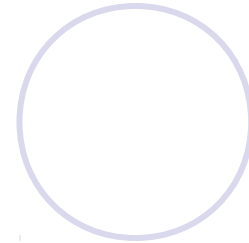
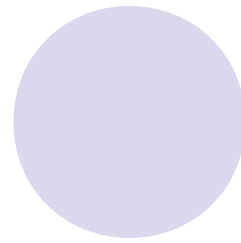
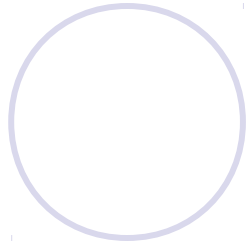
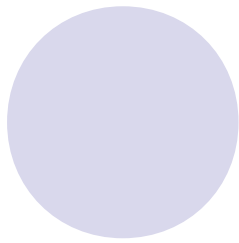
Phenacetin



paracetamol (acetaminophen)

Aspirin substitutes(**Ibuprofen**)

- Ibuprofen has many of the same effects as aspirin but seems to cause fewer stomach problems.
- It is an **anti-inflammatory** drug.
- It is effective in low doses and has a wide margin of safety.
- In great dose has similar side effects as ASA.



ibuprofen

Strong analgesics



They temporarily bind to the opiate receptor sites in the brain preventing the transition of pain impulses

-The opium alkaloids:

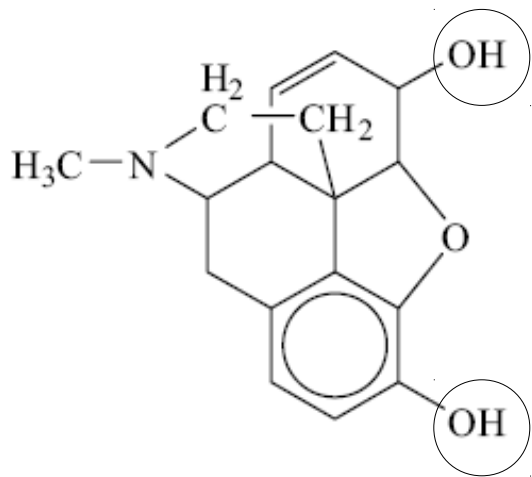
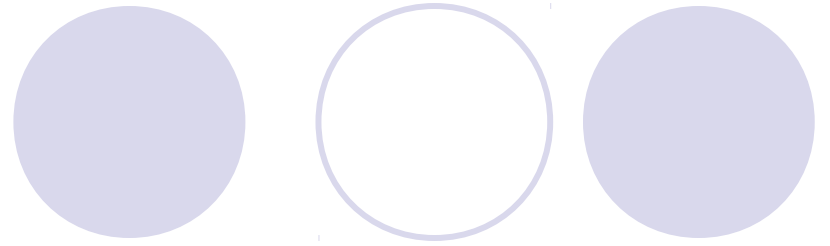
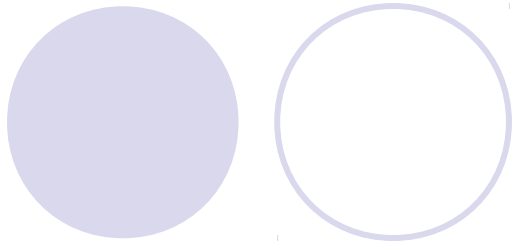
Opiate: it is a natural or synthetic drug that exerts actions on the body similar to those induced by morphine.

Narcotic: is a term generally used for drugs that have both a narcotic and analgesic action.

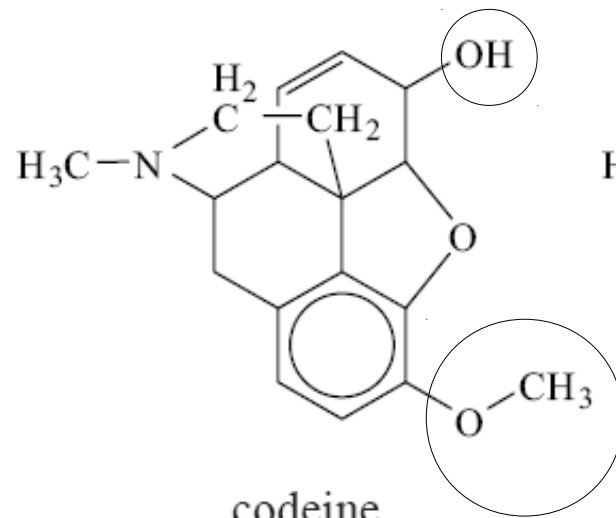
morphine, heroin and codeine



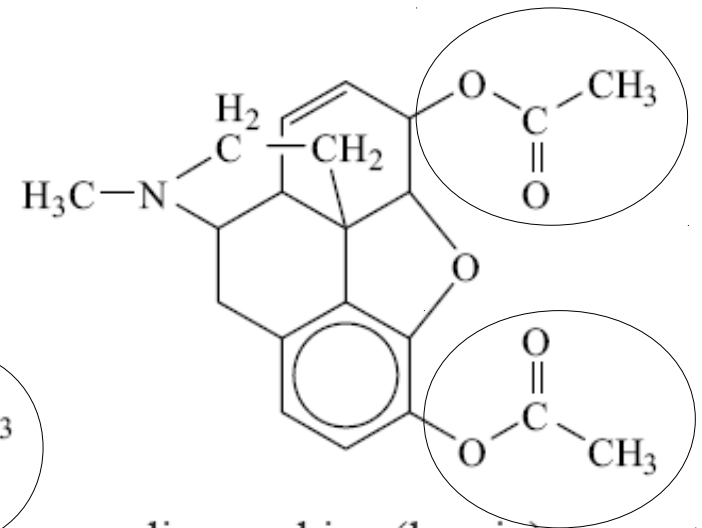
- **Morphine** is the principal alkaloid and makes up about 10% by mass of raw opium.
- **Codeine** makes up about 0.5% by mass of raw opium.
- **Heroin** is usually synthesized from morphine and thus is a semi-synthetic drug and it is obtained by relatively simple structural modification of morphine or codeine.



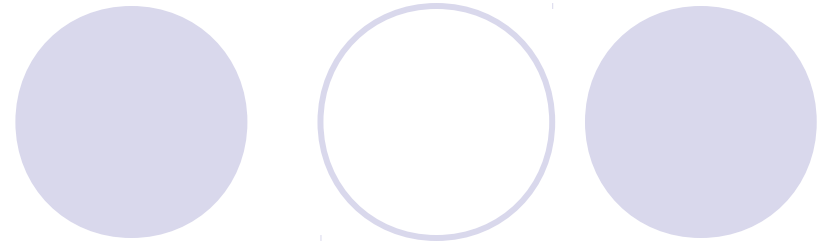
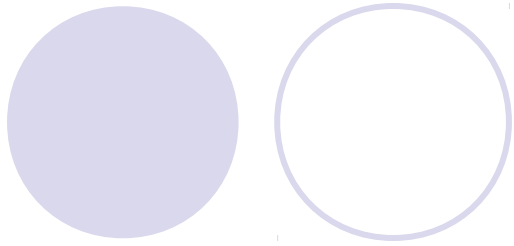
morphine



codeine



diamorphine (heroin)



Opium plant



Morphine

Advantages and disadvantages of opiates.

Pharmacological effects:

Opiates exert major effects on:

- The central nervous system.
- The eye
- The gastrointestinal tract (the digestive system)

The prime medical uses of opiates are:

- As strong analgesic in the **relief of severe pain** caused by injury and chronic disease.
- In the **treatment of diarrhea** by producing a constipating effect.
- To **relieve coughing** by suppressing the “cough centre” situated in the brain system.

Advantages and disadvantages of opiates.

Physiological effects of opiates:

Opiate produce:

- Analgesia
- Drowsiness
- Mood changes
- Medical clouding

Some individuals experience:

- Anxiety
- Fear
- Lethargy
- Sedation
- Lack of concern
- Inability to concentrate

Tolerance



- **Tolerance** appears due to the induction of drug metabolizing enzymes in the liver and also to the adaptation of neurons in the brain to the presence of the drug.
- The users that became tolerant to one opiate will also exhibit a tolerance to all other opiates.



Dependence

- **Physical dependence** is the state in which people do not function properly without a drug.

Symptoms:

- Restlessness
- Sweating
- Fever
- Chills
- Vomiting
- Increase rate of respiration
- Cramping
- Diarrhea
- Unbearable aches



Dependence

Depends on:

- The dose
- Frequency of drug administration
- The duration of the drug dependence
- The opiate used



The opiates (in general)

- They are extremely potent and valuable drugs for the **treatment of pain**
- They have the capacity of inducing a state of **euphoria** and **relief from physiological pain**
- The opiates induce profound **tolerance** and **physiological dependence**
- They are important both medically and sociologically as the user is difficult to treat and must frequently **resort to crime** to support the habit and reach a source of supply.

Summary of the effects of opiates

Short term effects

- Sedation and stupor
- Euphoria
- Reduced tension, worry and fear
- Reduced coughing reflex
- Occasional death from overdose

Long term effects

- Loss of appetite
- Sterility
- Withdrawal illness, loss of job, crime
- Diversion of energy and money
- Risk of dangerous infections due to shared needles.