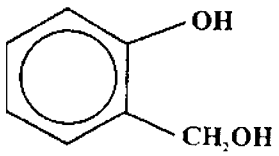
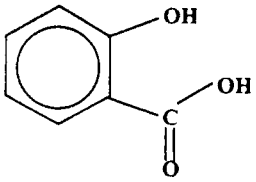
1. Many early medicines were obtained from plant brews. Willow bark contains the pharmacologically active substance salicyl alcohol.



salicyl alcohol

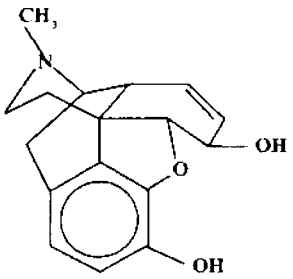


salicylic acid (2 hydroxybenzoic acid)

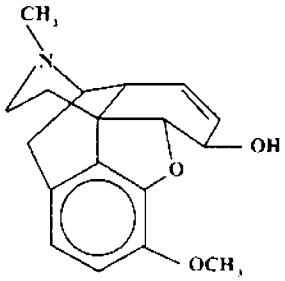
In the liver the salicyl alcohol is converted into salicylic acid. When raw salicylic acid was used for pain relef it was found that it caused ulceration of the mouth and stomach. When the hydroxyl group in salicylic acid was esterified with ethanoic acid to produce acetyl salicylic acid these effects were minimised. The acetyl salicylic acid was then marketed under the name Aspirin.

(a) What is the molecular formula of salicyl alcohol?
(b) What type of change happens in the kidneys when salicyl alcohol is converted in salicylic acid?
(c) Explain what is meant by the phrase *pharmacologically active.*
(d) Draw the structure of acetyl salicylic acid.

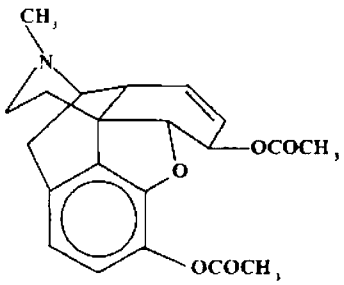
2. Many drugs work by simulating an active molecule in our bodies and binding on to a receptor site. The three molecules below all exhibit a similar pharmcophore and act in our bodies as analgesics or drugs which provide relief from pain.



(a) morphine



(b) codeine



(c) heroin

(a) Explain what is meant by an active site in our bodies.
(b) Explain what is meant by a pharmacophore.
(c) Draw the pharmacophore from the above drugs.

3. Many medicines are listed as agonists or antagonists. Adrenaline is a natural chemical which stimulates the heart and helps increase the intake of oxygen into the body.
Salbutamol simulates the effect of adrenaline in widening passages in the lungs and so is useful in the treatment of asthma. Beta blockers prevent naturally produced adrenaline from stimulating the heart rate and so is useful in the treatment of certain heart disorders.

Classify salbutamol and betablockers as agonists or antagonists and explain your answers.

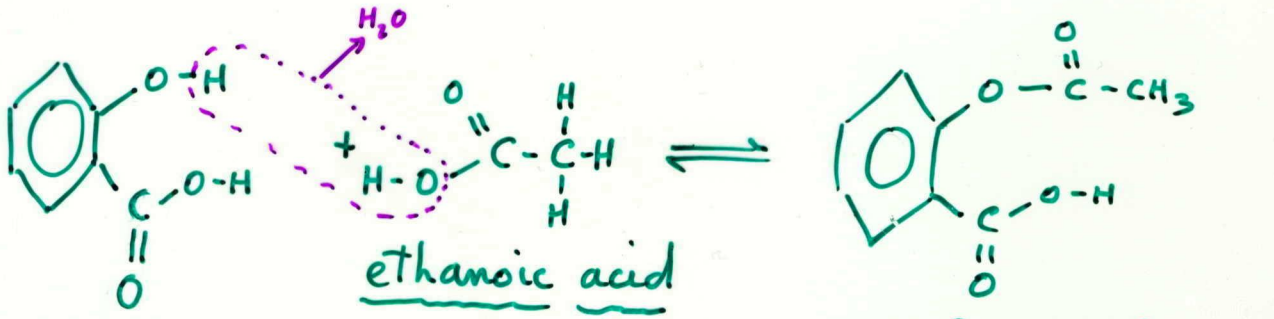
DRUGS

(1) (a) $C_6H_4(OH)(CH_2OH)$ OR $C_7H_8O_2$

(b) oxidation

(c) 'Pharmacologically active' refers to a molecule that alters the biochemical processes of the body. A pharmacologically active substance is known as a drug.

(d)



Salicylic acid

acetyl salicylic acid (ASPIRIN)

(2) (a) An active site (a receptor) is a part of a very large protein molecule that interacts with a specific small biologically active molecule. The active site contains specific functional groups.

(b) A pharmacophore is a structural fragment of the molecule that is biologically active. The shape of the pharmacophore complements that of the receptor site.

(c)