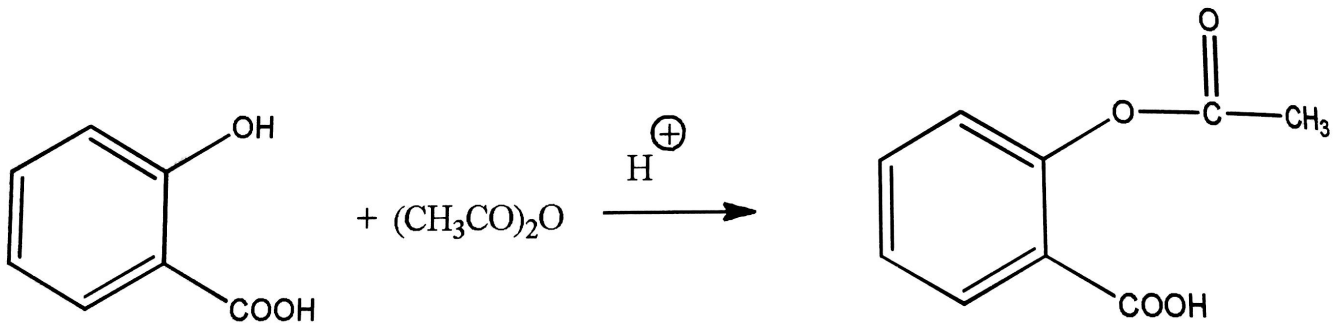
7.18.5 Synthesis of Aspirin

The reaction of salicylic acid with acetic anhydride yields Aspirin. The crude product thus obtained may be recrystalized from benzene, mixture of acetic acid and water(1:1) and various other non aqueous solvents.

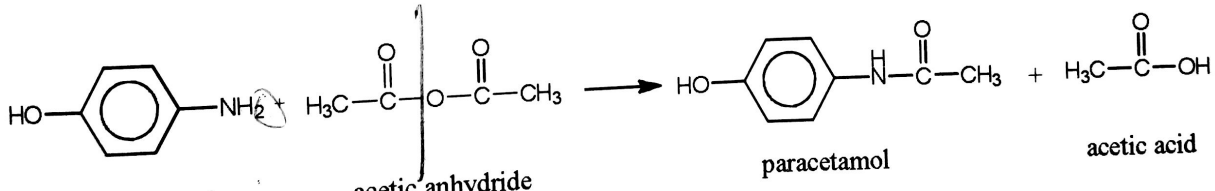


Salicylic acid Acetic anhydride Acetyl salicylic acid

3 per cent solution in alcohol –water mixture is known as tincture of iodine. It is applied on wounds. Iodoform is also used as an antiseptic for wounds.

7.18.4 Synthesis of Paracetamol

Paracetamol is made by reacting 4-aminophenol with ethanoic anhydride (more commonly called acetic anhydride). This reaction forms an amide bond and ethanoic acid as a byproduct. When the reaction is complete the paracetamol is then isolated. The crude product can be purified by recrystallization from water/Ethanol mixture(1:1) or from other appropriate solvents.



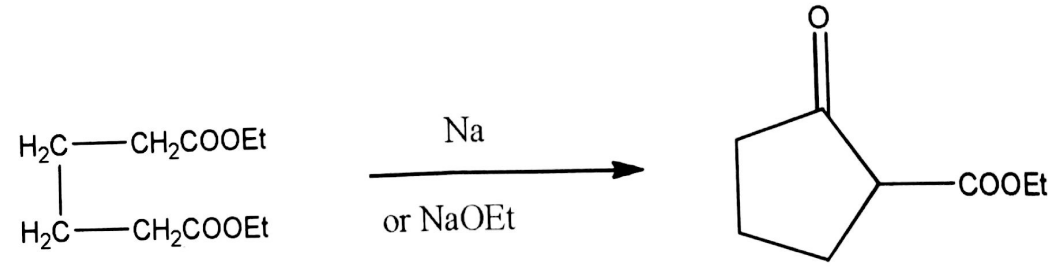
4-aminophenol acetic anhydride paracetamol acetic acid

Mechanism

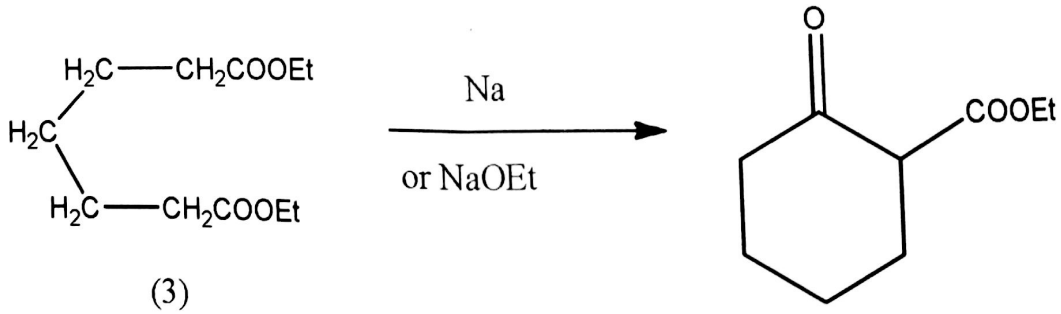
acetic anhydride

7.16 DIECKMANN CONDENSATION

It is an intramolecular Claisen condensation and is useful for the preparation of cyclic ketones. Diesters of C_6 and C_7 dibasic acids give good yields of cyclic β-keto-esters. Thus, ethyl esters of adipic and pimelic acids (1 and 3) give 2-carboxycyclopentanone (2) and 2-carboethoxy cyclohexanone (4), respectively.



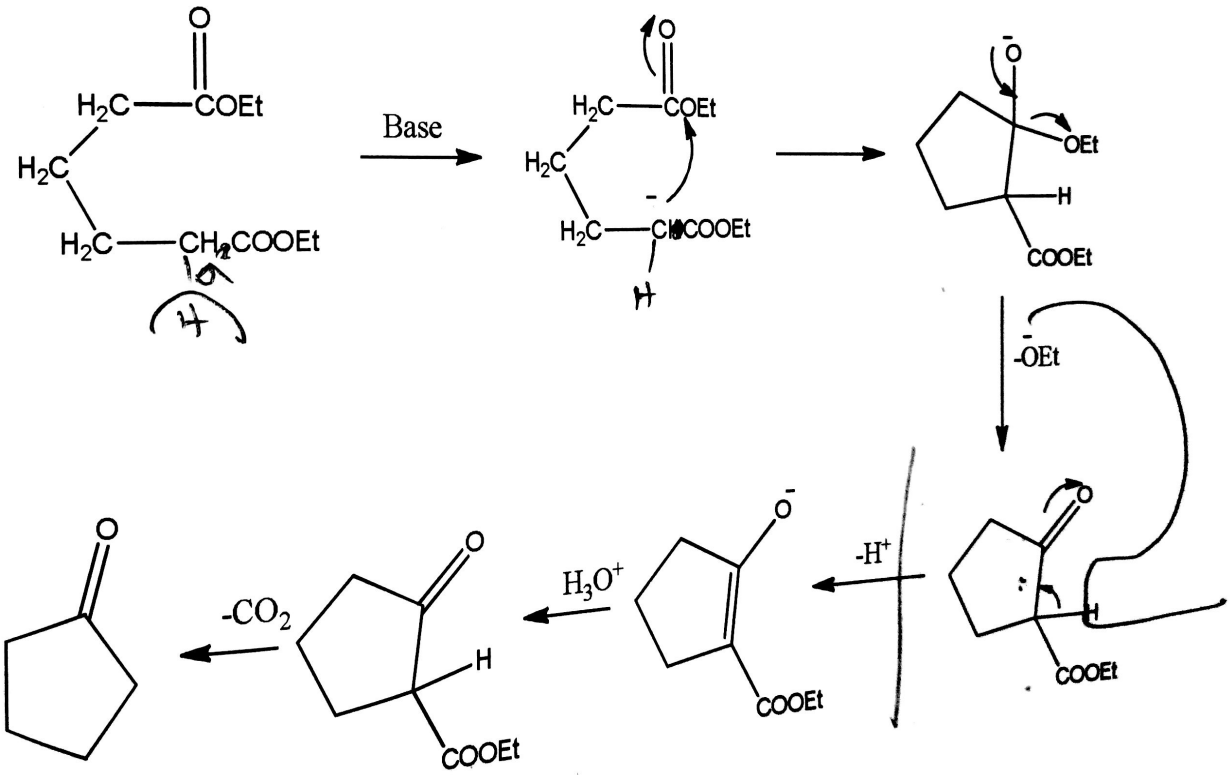
(1) (2)



(3) (4)

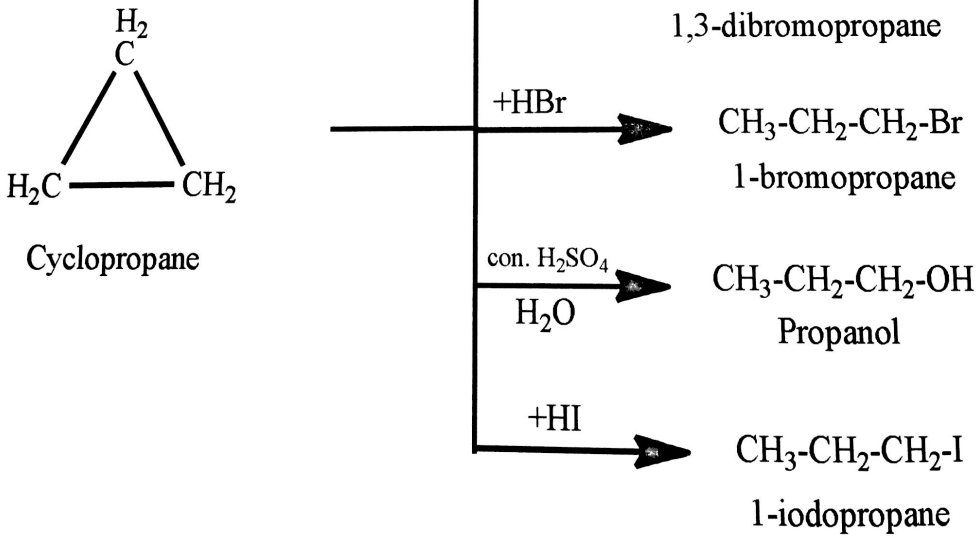
The diesters of short chain dibasic acids due to strain react differently to form cyclohexanediones by intermolecular condensation and cyclisation.

The mechanism involves the intermolecular attack of initially formed enolate anion to the carbonyl group of other ester group. The expulsion of ethoxide anion (EtO^-) leads to the formation of β-ketoester, which on hydrolysis, followed by decarboxylation is converted into cyclic ketone.



7.17 RING OPENING REACTIONS

Addition of reagents such as Cl_2, Br_2, HI, H_2SO_4, H_2 to cyclopropane leads to ring opening and the corresponding reactions are given below:



7.18 SYNTHESIS OF COMMONLY USED DRUG MOLECULE