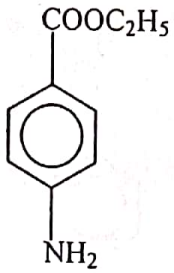
# D- 3. BENZOCAINE

**Aim**: To prepare an anaesthetic drug-benzocaine (ethyl paraaminobenzoate)

**Chemical name**: Ethyl p-amino benzoate
**Structure:**




**Pharmacological activity:** Local anaesthetic
**Principle:-**It consists of two steps.Step 1: Reduction of p-Nitro benzoic acid to p-Amino benzoic acid.Step 2:esterification of carboxylic acid to form benzocaine.

**Step1: Preparation of p-aminobenzoic acid.**

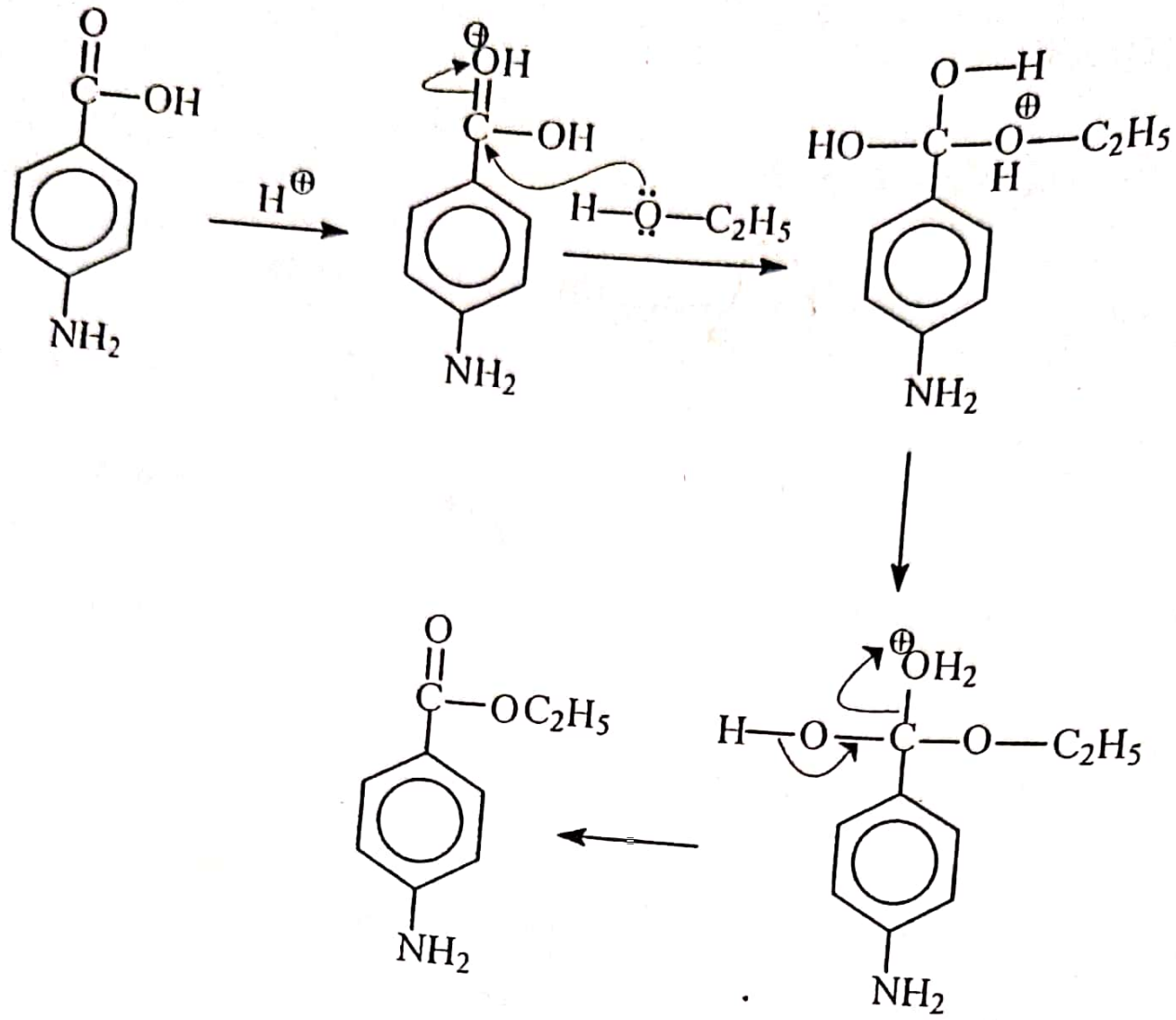
**Chemicals:** p-nitro benzoic acid 5.1 gm Conc. HCl, Ethanol , tin metal.

**Apparatus required:** 1 lit R.B flask, reflux condensor,1 lit beaker.

**Procedure:** Place 5 gms. of p-nitro benzoic acid in 1 liter RB flask to this add 12 gms of tin, 25 ml conc. HCl . Fit with reflux condenser heat the mixture gently until the reaction commences, and remove the flame. Shake the flask frequently and take care that the insoluble acid adhering to the side of the flask is transferred to the reaction mixture. Ocasional gentle warming may be necessary. After about 20 mins, most of the Tin would have reacted and a clear solution remains. Allow it to cool and decant the liquid into a 1 liter beaker. Wash the reminder tin by decantation with 15 ml of water and add the washings to the contents of the beaker. Add conc. $NH_3$ solution until the solution is just alkaline to litmus. Filter off the ppt of hydrated Tin oxide and wash well with water. Filter off any solid which separates. Acidify the liquid with glacial acetic acid and evaporate on water bath until the crystals start separating. Cool in ice filter the crystal at the pump .

**Recrystallisation**:solvent-alcohol

**Yield :** 4.1grms
**M. p.:** $192^0$c



**step 2: Etherification of p-amino benzoic acid to ethyl p-amino benzoate.:**

**Chemicals required**: 4gms. Of p-amino benzoic acid, 30 ml of absolute ethanol, dry HCl gas.

**Apparatus required**: 250 ml RB flask.

**Procedure:** Place 30 ml of absolute ethanol is taken in to a 500ml 2-necked RB flask and dry HCl gas was passed through it for 15 minutes. Introduce 4gms of p-amino benzoic acid to the flask fitted with condensor and reflux the mixture for 2 hours. On cooling the reaction mixture settled to a solid mass of hydrochloride of ethyl p- amino benzoate. The hot solution is poured into a excess water and sodium carbonate was added to the clear solution until it was neutral to litmus. The precipitate filtered at the pump and dried in air.

**Recrystallisation**: solvent- Alcohol.

**Yield:** 2gms

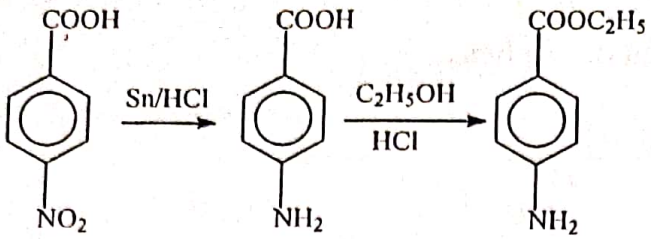
**m. p.** : $91^0$

**Dose:** Topical as 1 to 20% of aerosol cream or ointment to the skin

**Category:** Local anaesthetic.

**Reference:** Practical Organic Chemistry by Arthur I .Vogel p-1000

REACTION




p-Nitro benzoic acid  p-Amino benzoic acid  Benzocaine

MECHANISM