



## CHEMICAL SYNTHESIS OF LOCAL ANAESTHETICS DRUGS

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### ABSTRACT

Anaesthetics term is known from Greek words Anaesthesia, its mean insensibility. Local Anaesthetics only affect particular part of body insensitive for pain feeling. These are applied directly to the peripheral nervous tissues which block nerve conduction as well as all sensation in the particular part which is being supplied by the nerves. Chemically they are substituted esters and amides. Some important local Anaesthetics Drugs are Ligocain, Procain, Benzocain, Cinechocaineand Prilocain etc.

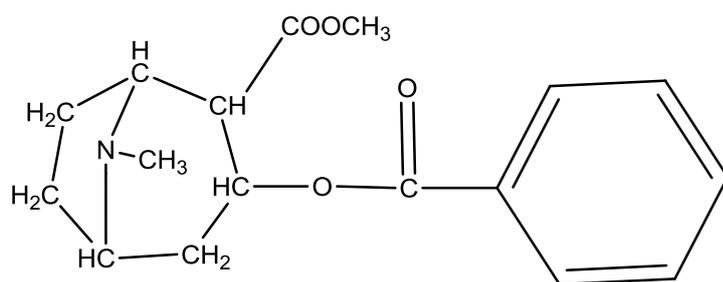
**KEYWORDS:** Anaesthesia, nervous, tissues, nerves. Esters, Amides. Sensation.

### INTRODUCTION

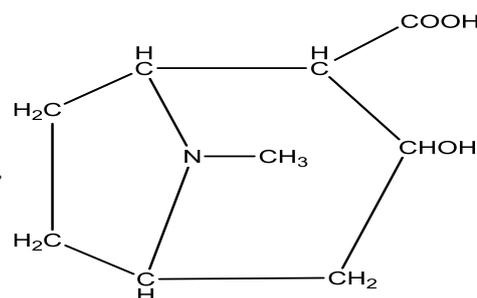
Anaesthetics term is known from Greek words Anaesthesia, its mean insensibility. Local Anaesthetics only affect particular part of body insensitive for pain feeling. These are applied directly to the peripheral nervous tissues which block nerve conduction as well as all sensation in the particular part which is being supplied by the nerves. Chemically they are substituted esters and amides. Substitutes are alkyl and aminoalkyl. These drugs are considered under pharmacodynamic agents. They are used in surgery without disturbing whole body. These drugs are more effective further more researches are required to produce local anaesthetics

### Out Line Synthesis of Some Local Anaesthetics Drugs The esters

**1. Cocaine:** It is an alkaloid, having local anaesthetic properties. It is levorotatory base. Chemically; it is benoilmethyl ecgonine. Ecgonine is a derivative of tropane in which carboxylic group at position-2 and hydroxyl group at position3 hence cocaine is an ester of benzoic acid having nitrogenous alcohol. Its hydrochloride salts forms hygroscopic crystal and soluble in water. Due to its toxic nature restricted to eye surgery and surgery of ear, nose and throat.



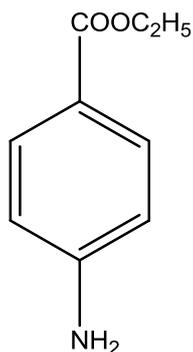
**Cocaine**



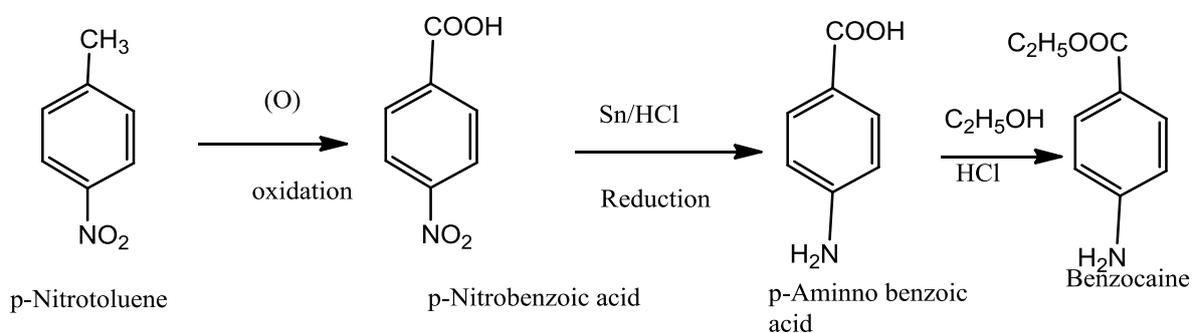
**Ecgonine**

**2. Benzocaine:** It is simple compound ethyl 4-aminobenzoate, having surface anaesthetic activity. Due

to low toxicity it can be used orally for the relieving the pain of gastric ulcer or gastric carcinoma.

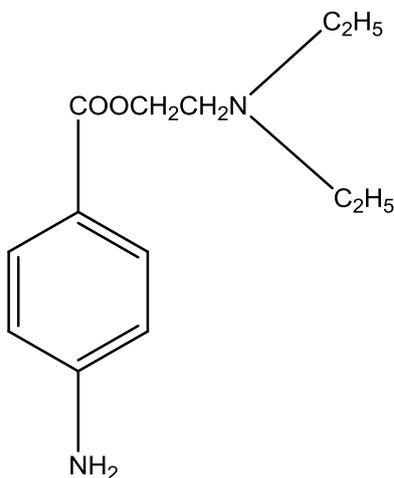


Benzocaine

2. **Benzocaine**

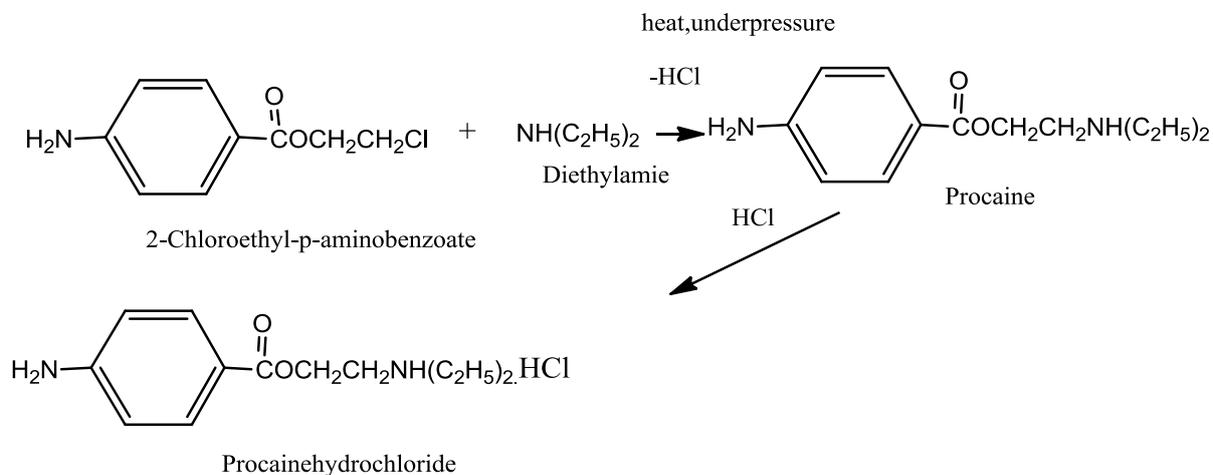
**3. Procaine:** It is chemically known as 2 diethyl amino ethyl p-amino benzoate, its salt forms colourless .odourless crystals, soluble in water. it is mainly applied

by injection. Its action gets prolonged by adding adrenaline to the solution.



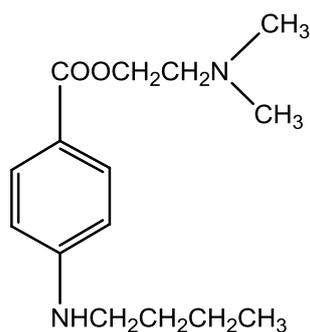
Procaine

## Procaine



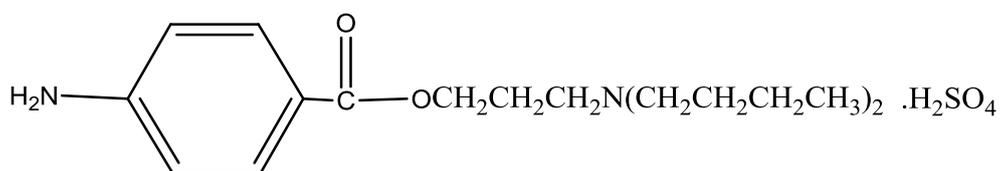
**4. Amethocaine:** It is especially used as surface anaesthetic. It is prepared by introducing a butyl substituent into p amino group of dimethylaminoethyl

benzoate. It gives to a longer acting rather toxic drug, amethocaine hydrochloride.

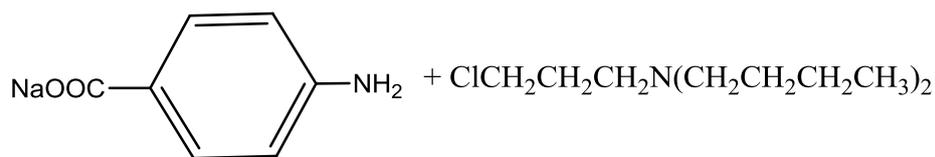


## Amethocaine

**5. Butacaine:** It is chemically 3-dibutyl amino-propyl p-amino benzoate. It finds use as a surface anesthetic. Its sulphate is more useful.

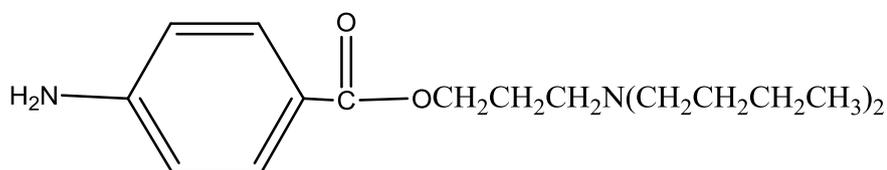
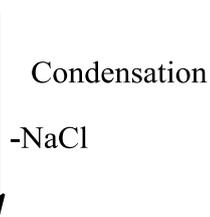


## Butacaine sulphate

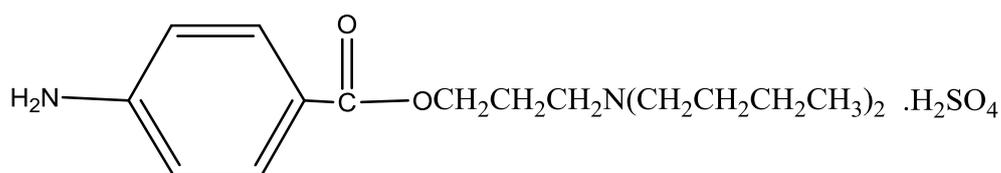


Sodium p-aminobenzoate

3-dibutyaminopropyl chloride



Butacaine

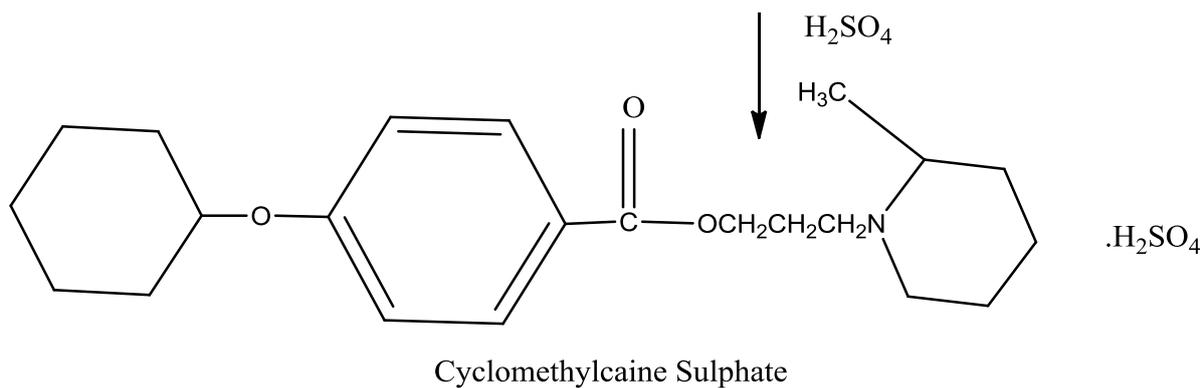


Butacaine sulphate

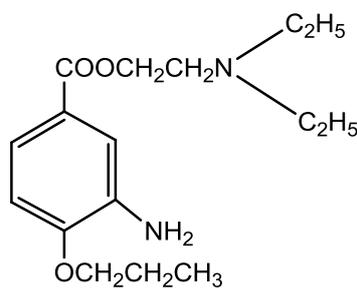
6. **Cyclomethycaine Sulphate:** It has bulkier cyclohexyloxy substitution at para position of the benzene. The ester group is having a 3-(2-methyl

piperidine propyl group. It finds use as surface anesthetic. not suitable for injection and harmful for use in ear, nose and throat.

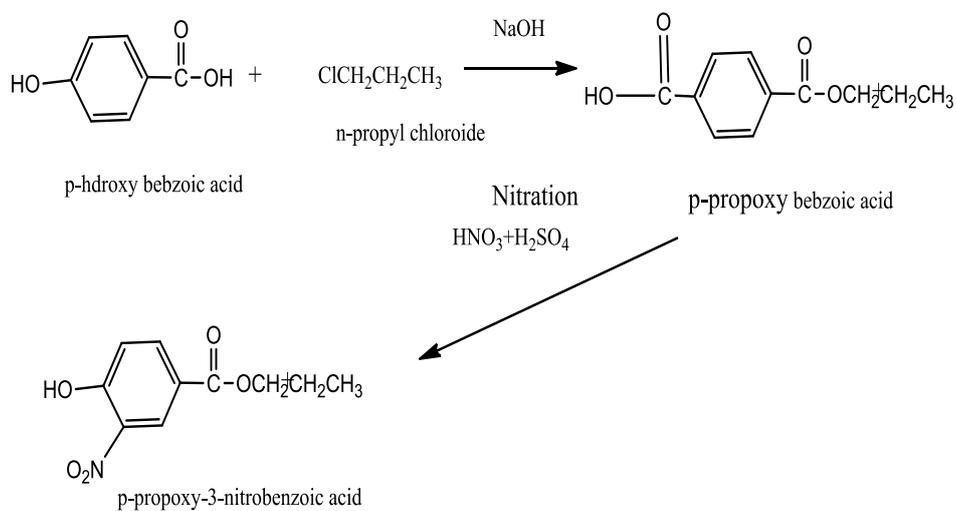


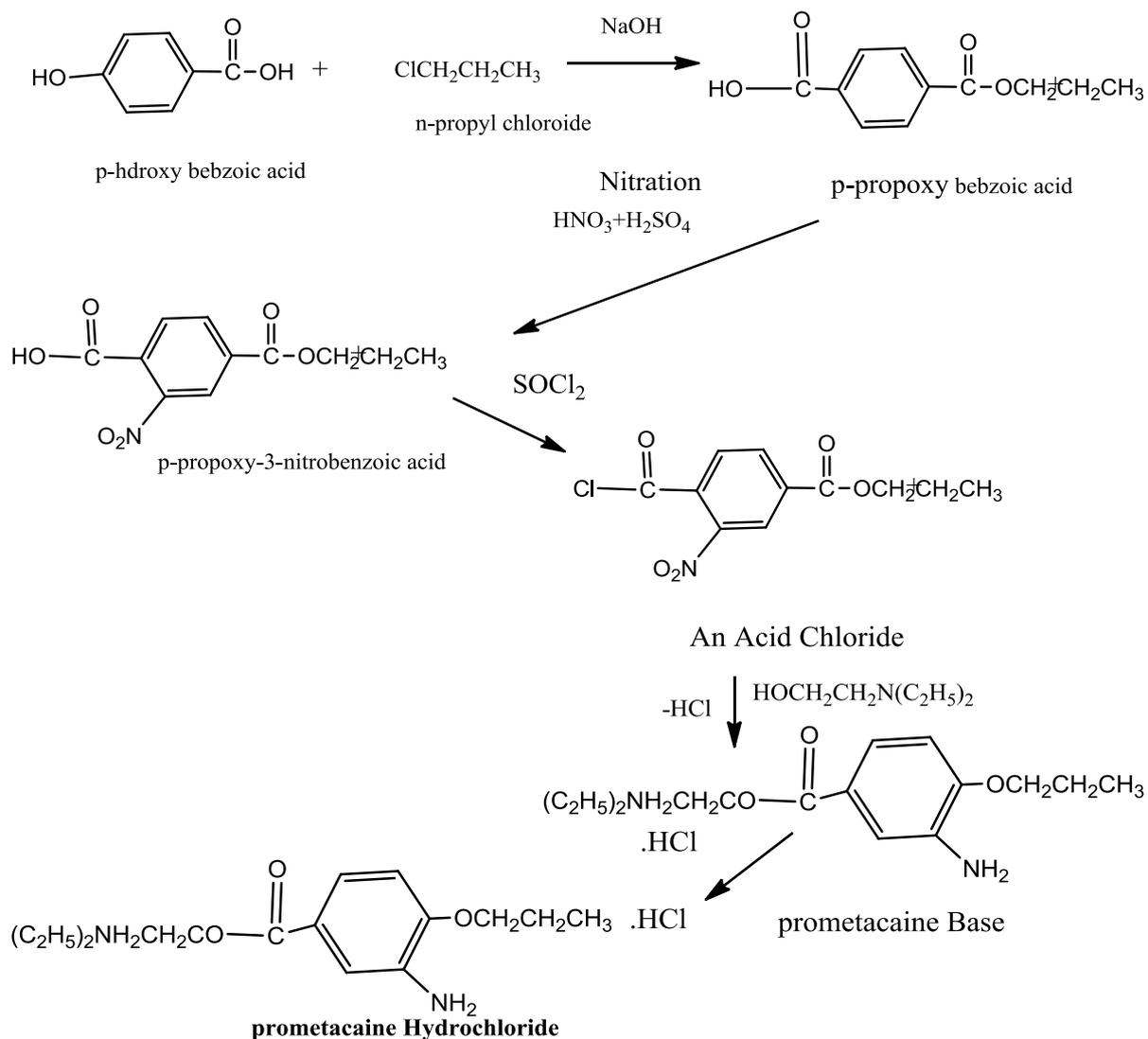


**7. Proxymetacaine.** Chemically it is related to procaine, slightly more potent than amethocaine in equal concentration, a surface anaesthetic which finds use in ophthalmology,

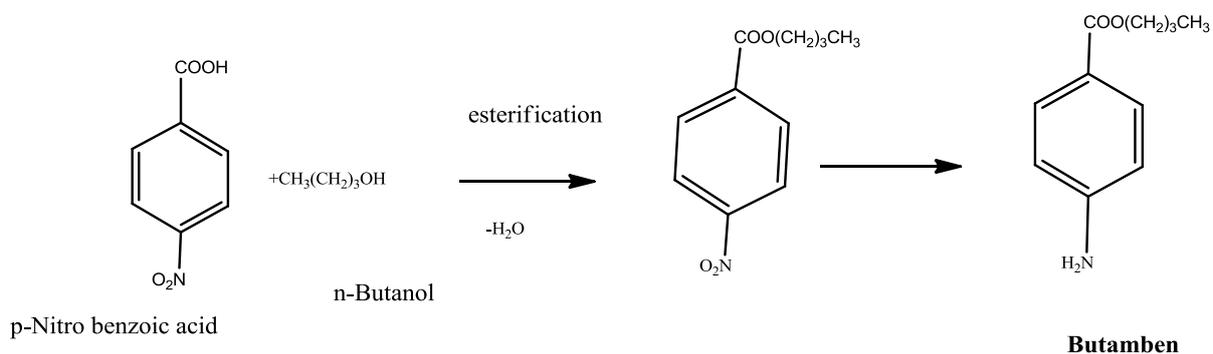


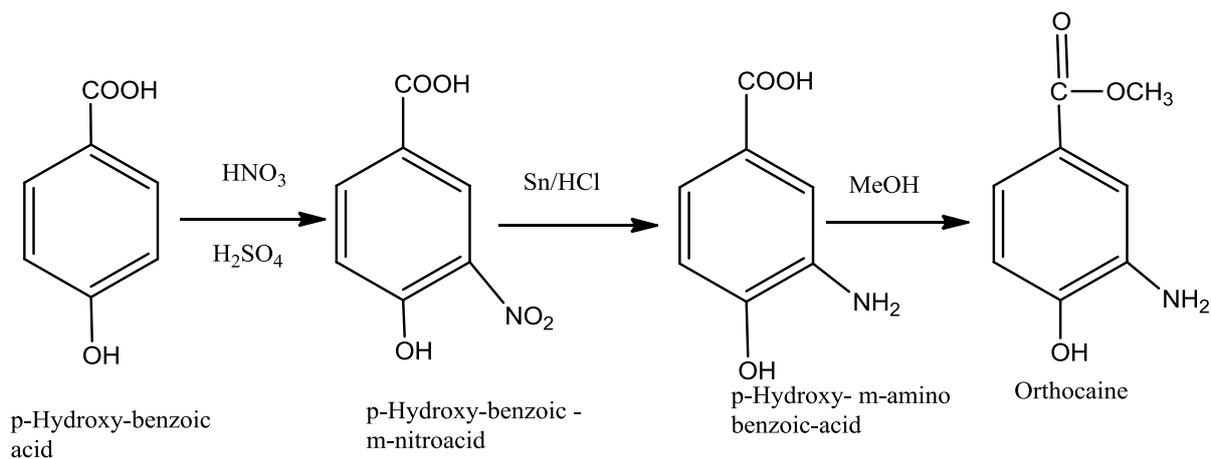
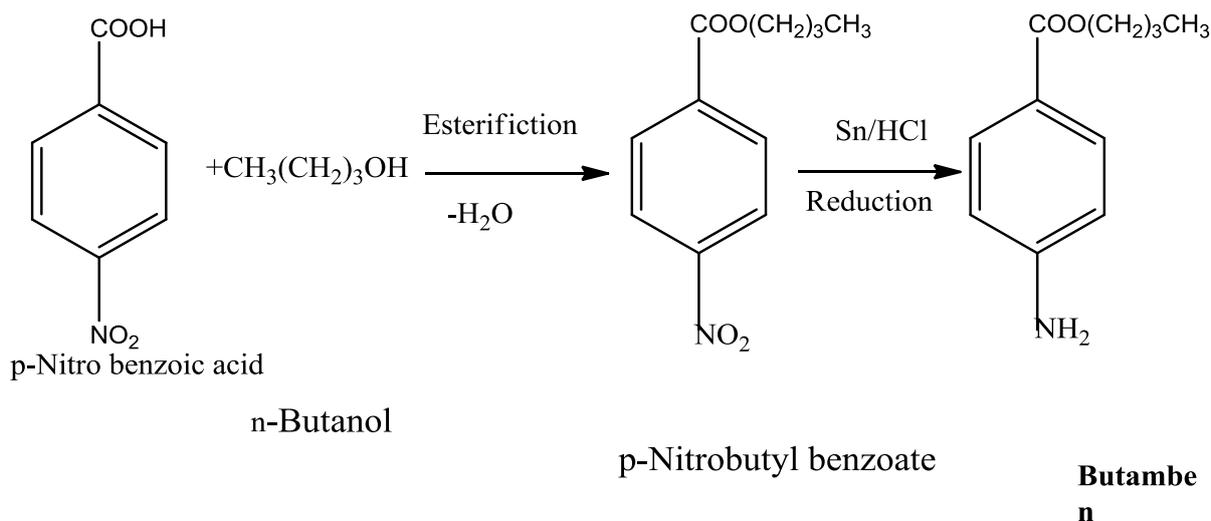
Proxymetacaine.





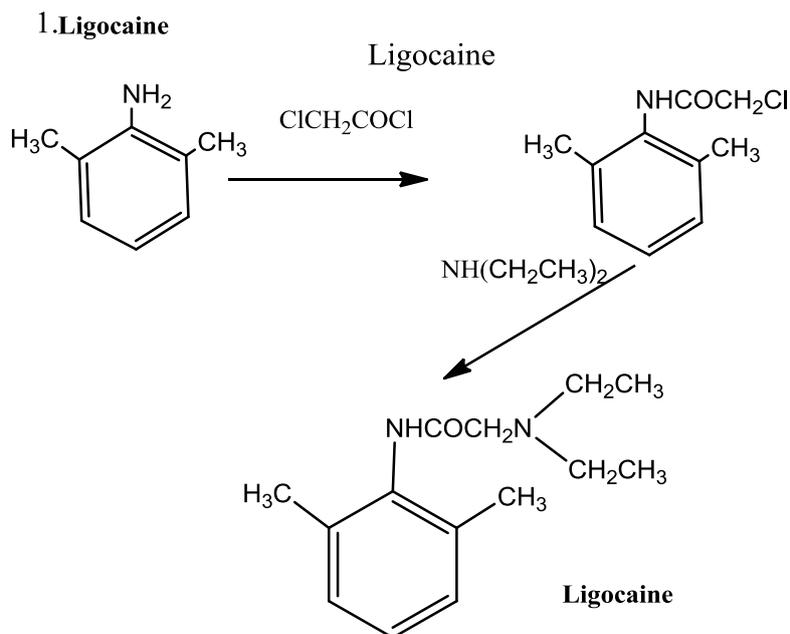
### 8. Butamben



9. Orthocaine10. Butamben(ii) Amides

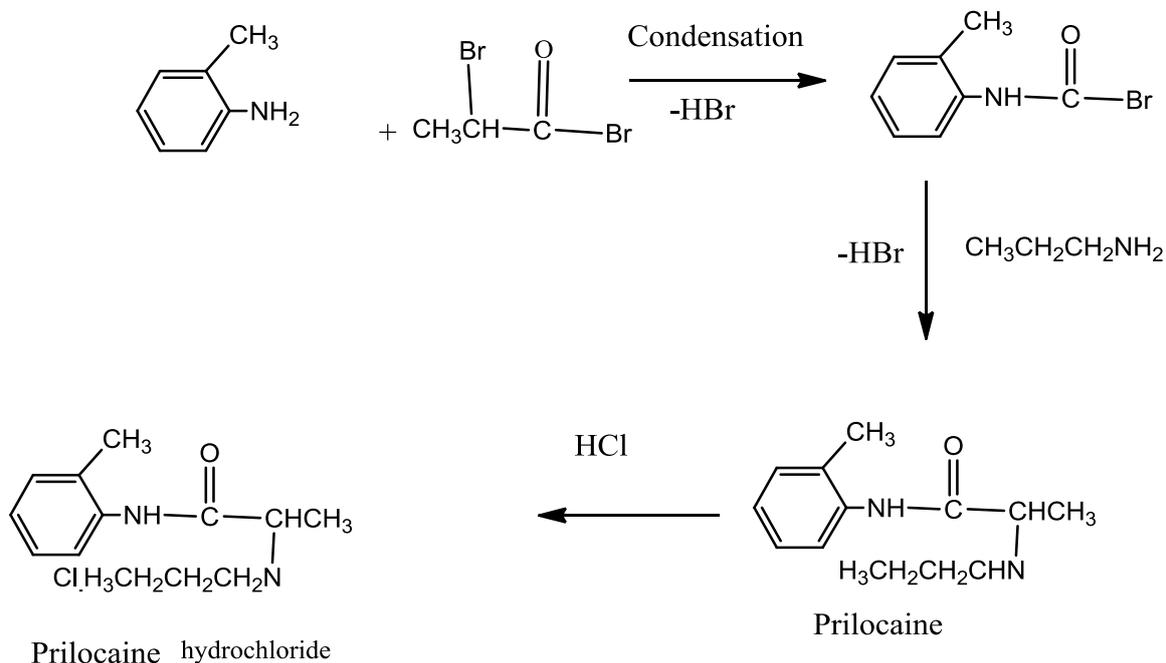
**Ligocaine:** Chemical name is *N*-diethylaminoacetyl-2,6-xylidine. It has been widely used by injection and for local application to mucous membrane. It is possible

to increase its onset and duration of action by the addition of adrenaline. In dentistry its 2% solution with adrenaline or noradrenalin is generally used.



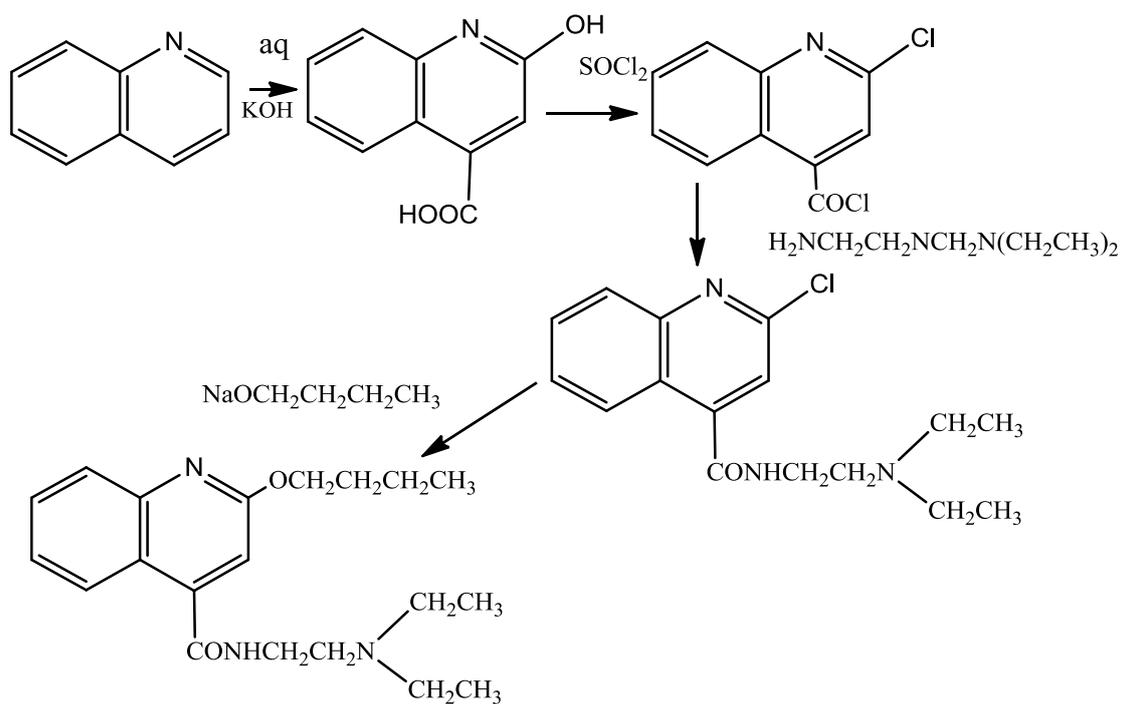
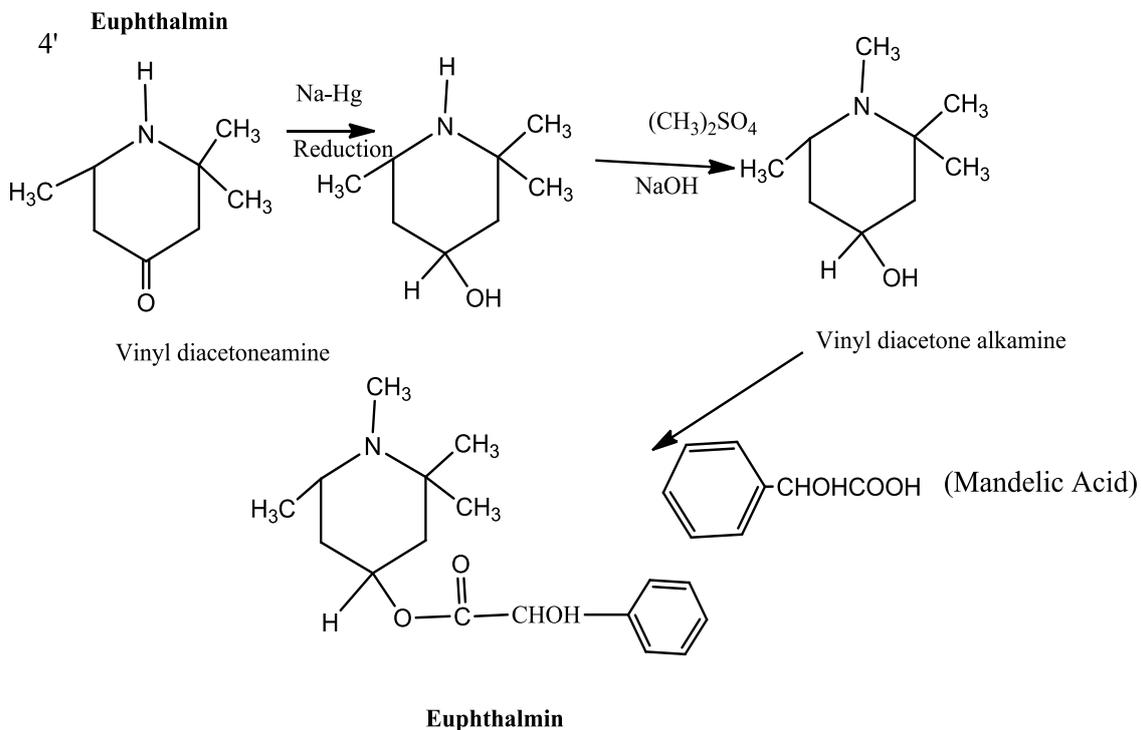
**2. Prilocaine:** It is 2-propylamino-o-toluidide hydrochloride. It is 40% less toxic than ligocaine. It is used in same way as lignocaine.

2. **Prilocaine Base**



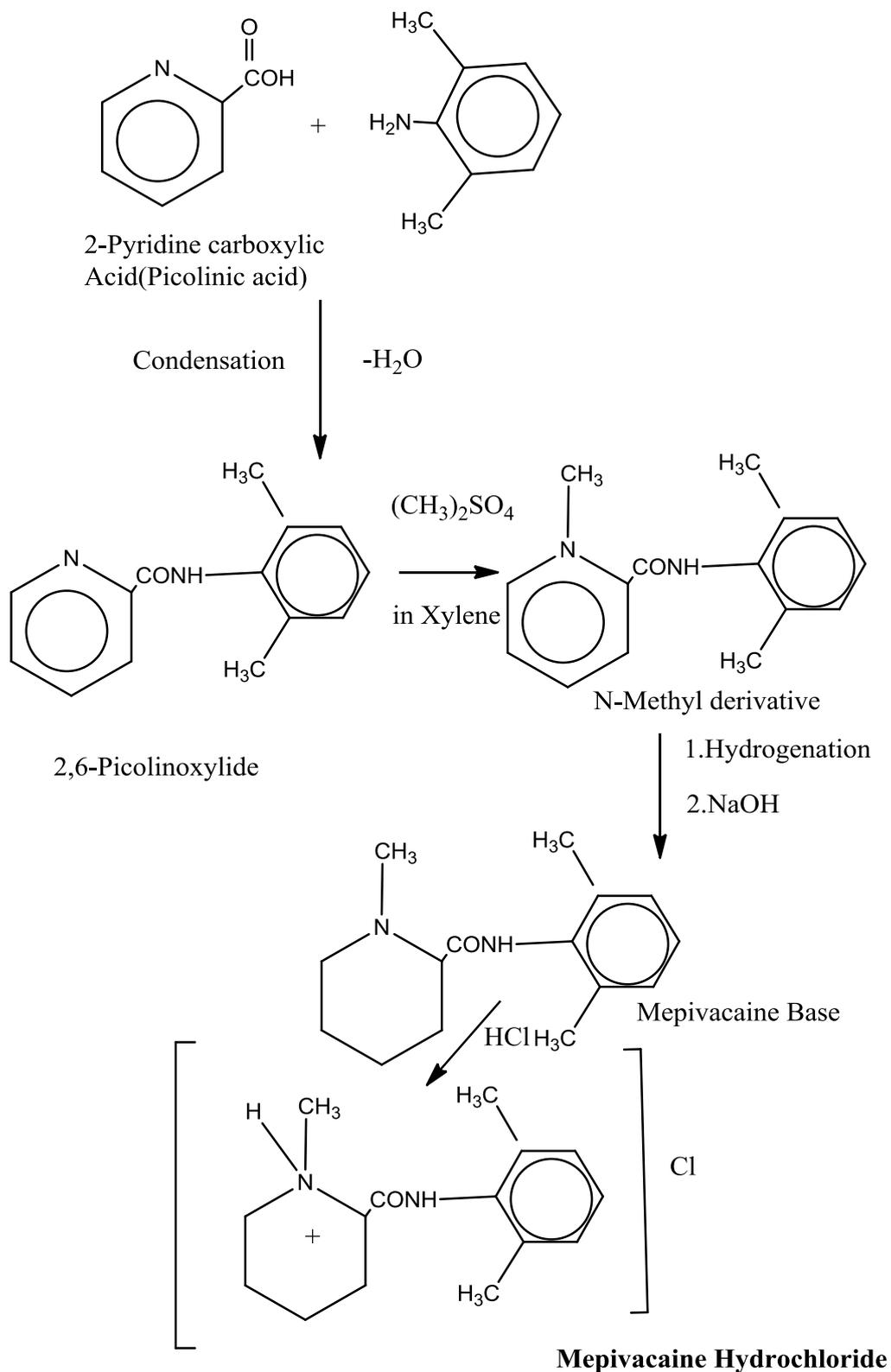
**3. Cinchocaine hydrochloride:** It is a non anilide amide type local anesthetic, its local anaesthetic action has been

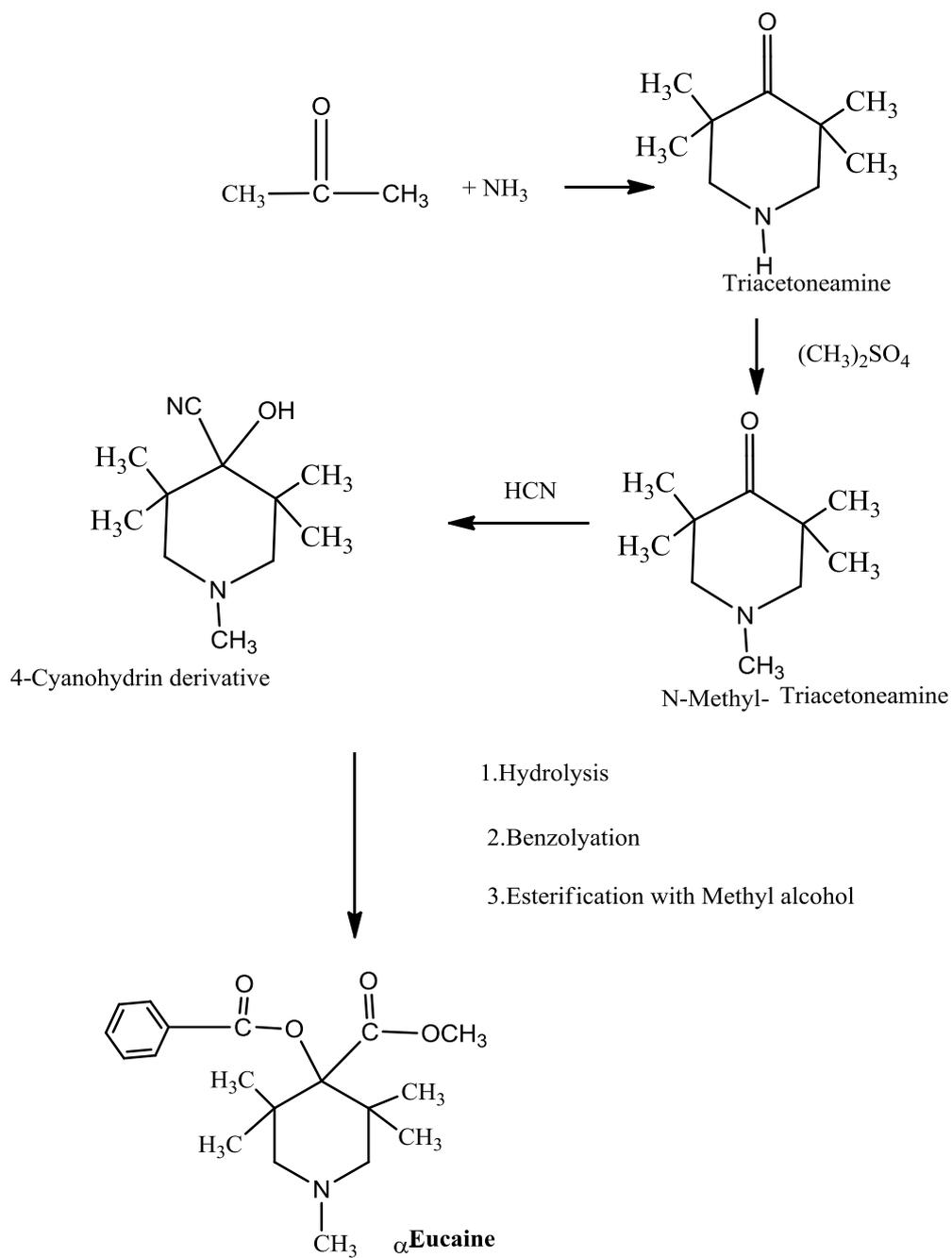
found to be greater and therefore it can be used in lower concentration.

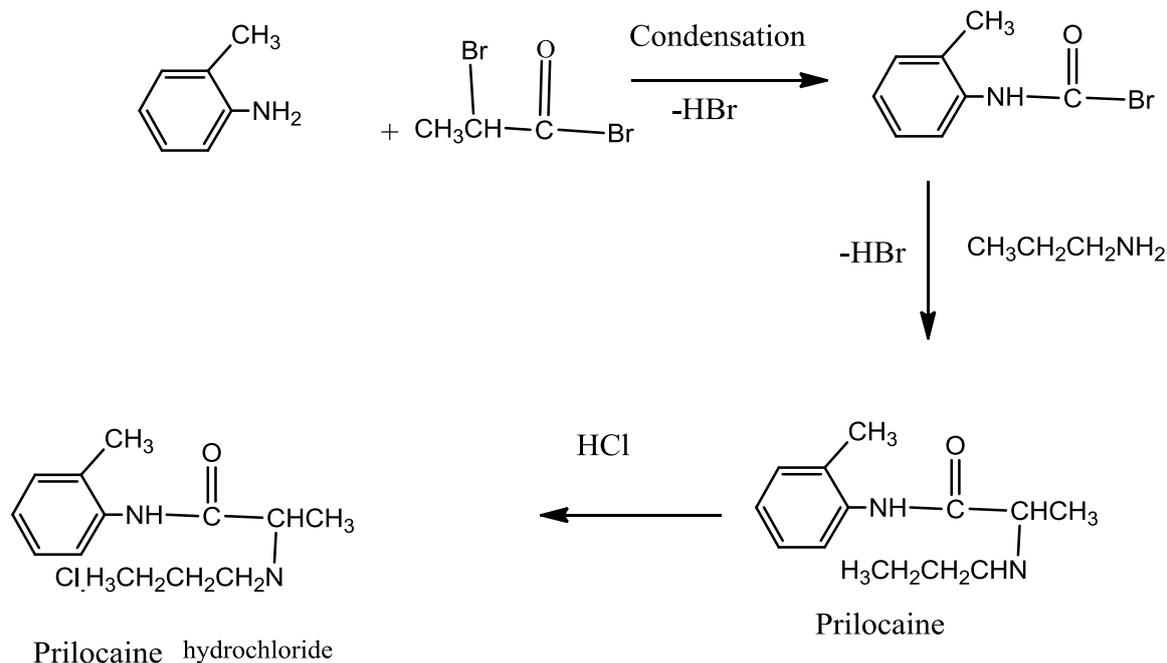
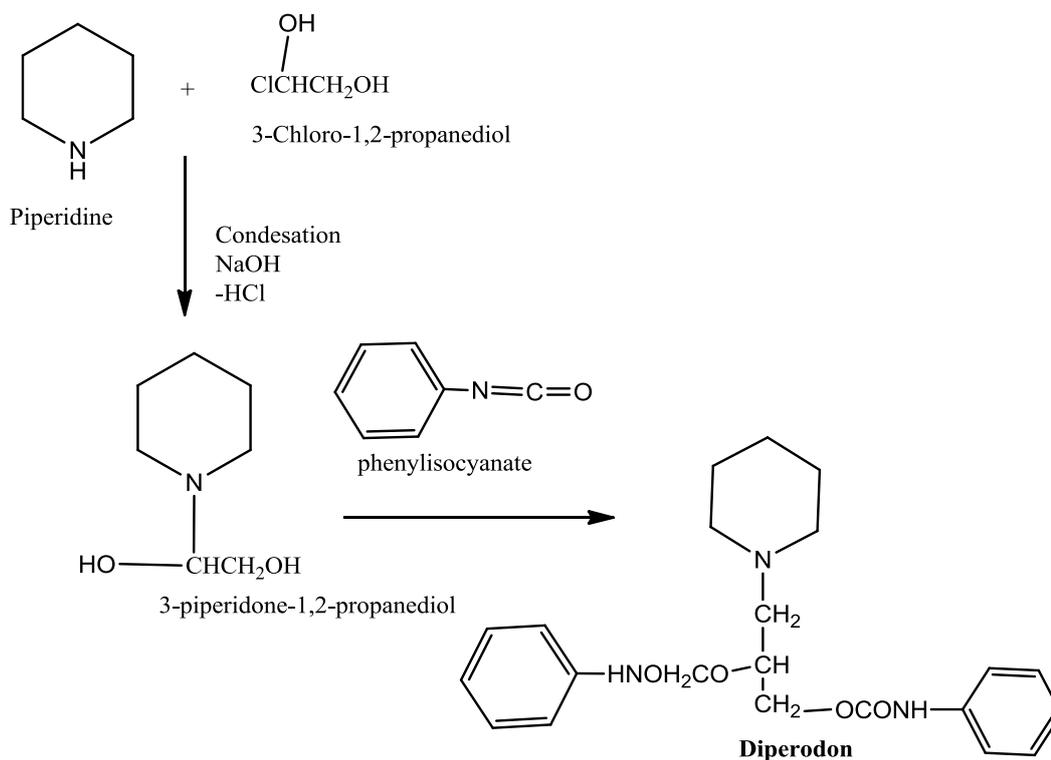
3. Cinechobain or DibucaineCinechobain or Dibucaine



### Mepivacaine Hydrochloride



7. **Eucaine**

8. **Prilocaine Base**9. **Diperodon****RESULT AND DISCUSSION**

These drugs are given to the peripheral nervous tissue, block nerve conduction and abolish all sensation in the part supplied by the nerves. It tends to block both the generation and the conduction of the nerve impulses. They are mainly used in dental and surgical procedures to prevent pain. These are chemically esters (Ar-COO-R)

and amides (Ar-CONH-R), R is mainly amino alkyl or substituted aminoalkyl. In dentistry ligocaine, prilocaine and mepivacaine are commonly used. It can be possible to induce regional nerve block anaesthesia by injection in to around the nerve trunks or ganglia that parts to get operated. It is possible to produce spinal anaesthesia by the drug within dual membrane which is surrounding the

spinal cord and the nerve coats. Commonly used Spinal anaesthesia are Cinchocaine, amethocaine and Prilocaine. In dentistry lignocaine, prilocaine and mepivacaine are commonly used. It can be possible to induce regional nerve block anaesthesia by injection in to around the nerve trunks or ganglia that parts to get operated. It is possible to produce spinal anaesthesia by the drug within dual membrane which is surrounding the spinal cord and the nerve coats. Commonly used Spinal anaesthesia are Cinchocaine, amethocaine and Prilocaine.

### CONCLUSION

These Local Anaesthetics Drugs are very useful. Not producing nausea or vomiting, on toxic to brain, liver, heart and kidney tissues. These are also produce analgesia and muscles relaxation and none irritating to mucous membrane.

### REFERENCES

1. Chatwal G.R. (2012) Medicinal chemistry(2<sup>nd</sup>.ed) - Himalayan Publishing House India(chapter-7).
2. Alka Gupta (2015) Medicinal chemistry (1<sup>st</sup>.ed) - Pragati Prakshan Merutt (chapter-3).
3. Ochai. E.-I (1987) General Principles of Biochemistry of the Elements. Plenum, New York.
4. Gray, H.B. (1986.) Chem. soc. Rev.1986.
5. Schmidt. R.F, Fundamental of Neurophysiological1978, Springer Verlag, 2<sup>nd</sup> ed.
6. Sternback. L.H et al; Drugs affecting the central nervous system 1968, vol.2, A. Burger New york, Marcel Dekker.