

23.1. LOCAL ANAESTHETICS

23.1.1. Introduction

Local anaesthetic agents act locally to **abolish the sensory perception over a local area**. They vary in their pharmacological properties and are used in the following local anaesthesia techniques:

- 1) Topical anaesthesia (surface),
- 2) Infiltration,
- 3) Plexus block,
- 4) Epidural (extradural block), and
- 5) Spinal anaesthesia (subarachnoid block).

Local anaesthetics bind to cell membrane sodium channels and inhibit the sodium ion passage, thus prevent the membrane depolarisation of nerve cells.

Sodium channel is liable to local anaesthetic binding in the open state; therefore the frequently stimulated nerves are blocked easily.

The ability of local anaesthetics to block a nerve depends on the length of the nerve exposed, nerve diameter, myelination, and the anaesthetic used.

23.1.2. Classification

Local anaesthetics are classified as follows:

1) Injectable Anaesthetics

- i) **Low Potency, Short Duration:** Procaine and Chloroprocaine.
- ii) **Intermediate Potency and Duration:** Lignocaine and Prilocaine.
- iii) **High Potency, Long Duration:** Tetracaine, Bupivacaine, Ropivacaine, and Dibucaine.

2) Surface Anaesthetics

- i) **Soluble:** Cocaine, Lignocaine, Tetracaine, and Benoxinate.
- ii) **Insoluble:** Benzocaine, Butylaminobenzoate, and Oxethazaine.

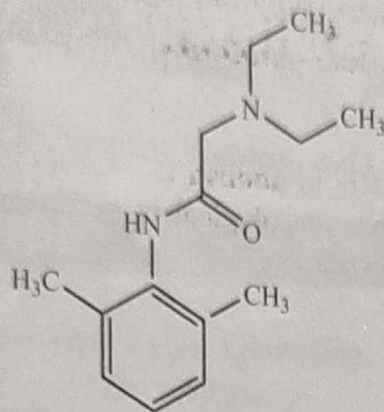
23.1.3. Individual Compounds

The following drugs are studied in detail:

- 1) Lignocaine,
- 2) Procaine, and
- 3) Benzocaine.

23.1.3.1. Lignocaine

Lignocaine (or lidocaine and xylocaine) produces temporary loss of sensory, motor, and autonomic function when it is injected or applied close to neural tissue.

Chemical Structure

Lignocaine

Molecular Formula: $C_{14}H_{22}N_2O$

IUPAC Nomenclature: 2-(diethylamino)-N-(2,6-dimethylphenyl)acetamide

Properties: Lignocaine occurs as a white or slightly yellow coloured, solid, crystalline powder with a characteristic odour. It is highly soluble in alcohol and chloroform; and readily soluble in ether and benzene.

Uses: Lignocaine is used for producing local or regional anaesthesia by penetration techniques such as percutaneous injection and intravenous regional anaesthesia, by peripheral nerve block techniques like brachial plexus and intercostal, and by central neural techniques like lumbar and caudal epidural blocks.

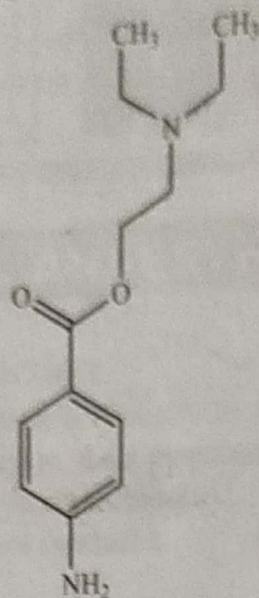
Stability and Storage Conditions: Commercially available **lignocaine hydrochloride solutions** in 5% dextrose remain stable for at least 18 months from the manufacture date. Lignocaine can be stored at 28.9°C temperature for up to 45 days and can tolerate temperature changes up to 51.7°C for accumulative time of 795 minutes (13.25 hours) without undergoing degradation.

Types of Pharmaceutical Formulations: Infusion solution, injectable solution, gel, ointment, implant, and spray.

Brand Names: Lignopar Plus, Lignotross, and L-Caine.

23.1.3.2. Procaine

Procaine is an ester type local anaesthetic. It has a slow onset and a short duration of action. It is a benzoic acid derivative with antiarrhythmic and local anaesthetic properties.

Chemical Structure

Procaine

Molecular Formula: $C_{13}H_{20}N_2O_2$

IUPAC Nomenclature: 2-(diethylamino) ethyl 4-aminobenzoate.

Properties: Procaine occurs as a white coloured, crystalline solid powder. It is soluble in ether, alcohol, benzene, and chloroform.

Uses: Procaine is used for penetration anaesthesia, spinal block, and peripheral nerve block. It is also used as a local anaesthetic, mainly in oral surgery.

Stability and Storage Conditions: Procaine is air sensitive and forms toxic fumes of nitroxides when heated to decomposition. It should be stored in tightly-closed containers, under an inert atmosphere, in cool, dry, well-ventilated areas, away from light and incompatible substances.

Types of Pharmaceutical Formulations: Injection, solution, and liquid.

Brand Names: Mericaine and Novocaine.

23.1.3.3. Benzocaine

Benzocaine is a surface anaesthetic which prevents the transmission of impulses on nerve fibres and at nerve endings.

Molecular Formula: $C_9H_{11}NO_2$

IUPAC Nomenclature: Ethyl 4-aminobenzoate.

Properties: Benzocaine occurs as a solid white powder. It is soluble in dilute acids and 1gm of benzocaine dissolves in 5ml alcohol, 2ml chloroform, 4ml ether, and in 30-50ml of expressed almond oil or olive oil.

Uses: Benzocaine is used for suppressing gag reflex, as a lubricant and topical anaesthetic on oesophagus, mouth, larynx, nasal cavity, rectum, urinary tract, vagina, and respiratory tract or trachea.

Stability and Storage Conditions: Benzocaine is stable in air, pressure, and at normal temperatures. When not in use, it should be stored in closed containers in cool, dry, well-ventilated areas away from non-compatible substances.

Types of Pharmaceutical Formulations: Stick, spray, and gel.

Brand Names: Orajel, Dermoplast, Anbesol, and Lanacane.

23.2. EXERCISE