

Chapter – 2 Drug acting on the Peripheral Nervous System

Topic: LOCAL ANESTHETICS

2.1

Introduction

A local anaesthetic can be defined as a drug which reversibly prevents transmission of the nerve impulse in the region to which it is applied, without affecting consciousness.

There are many drugs which exert local anaesthetic activity in addition to their main clinical uses, but this article will focus on those drugs which are principally used for their local anaesthetic properties.

2.2

Classification of Drug

Local anaesthetic classification:

A. Injectable anaesthetic:

- 1. Low potency, short duration:** e.g. Procaine and Chlorprocaine
- 2. Intermediate potency:** e.g. Lidocaine (Lignocaine) and Prilocaine
- 3. High potency and long duration:** e.g. Tetracaine, Bupivacaine, Ropivacaine, Etidocaine, Mepivacaine and Dibucaine (Cinchocaine)

B. Surface anaesthetic:

- 1. Soluble:** e.g. Cocaine, Lidocaine, Tetracaine and Benoxinate
- 2. Insoluble:** e.g. Benzocaine, Butylaminobenzoate and Oxethazine

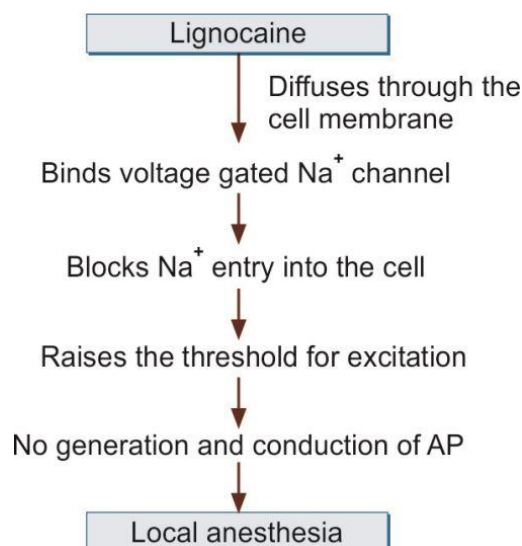
C. Miscellaneous drugs: e.g. Clove oil, phenol, chlorpromazine and diphenhydramine etc.

2.3

Mechanism of Action

The application of local anesthetic to nerve, that is actively conducting impulses will inhibit inward migration of Na^+ . This elevates threshold for:

- electrical excitation,
- reduces rate of rise of action potential,
- slows propagation of impulse, and
- if drug concentration is high, completely blocks conduction.



The local anesthetics interfere with process fundamental to generation of action potential, namely, the large, transient voltage-dependent rise in permeability of membrane to Na⁺.

2.4

Ideal Properties of Local Anesthetics

1. It should be non-irritating to the tissue.
2. It should be stable in water and lipid.
3. It should have quick onset of action and sufficient duration of action.
4. It should not cause permanent damage to nerves.
5. It should not have any little systemic toxicity.
6. It should be stable, easily sterilizable and inexpensive and safe.
7. It should be cheap and easily available.

2.5

Adverse Effects

i. CNS stimulation characterized by restlessness, disorientation, tremors, and at times clonic convulsions. Continued exposure to high concentrations results in general CNS depression; death occurs from respiratory failure secondary to medullary depression.

ii. Cardiac toxicity is result of drug induced depression of cardiac conduction (e.g., atrioventricular block, intraventricular conduction block) and systemic vasodilation. These effects may progress to severe **hypotension** and **cardiac arrest**.

iii. Allergic reactions, such as red and itchy eczematoid dermatitis or vesiculation.

True allergic manifestations have been reported with procaine.

The amides are essentially free of allergic properties, but suspected allergic phenomena may be caused by methylparaben, a parahydroxybenzoic acid derivative used as an antibacterial preservative in multiple-dose vials and dental cartridges.

Esters should be avoided in favor of an amide, when patient has history of allergy to PABA-containing preparation i.e., cosmetics or sunscreens.

2.6

Lidocaine (Xylocaine)

Lidocaine hydrochloride (Xylocaine) is most commonly used local anesthetic. It is well tolerated, and in addition to its use in infiltration and regional nerve blocks, it is commonly used for spinal and topical anesthesia and as an antiarrhythmic agent. Lidocaine has more rapidly occurring, more intense and more prolonged duration of action than does procaine.



Clinical Uses

A. Topical Anesthesia

a. It is used on mucous membranes in nose, mouth, tracheobronchial tree, and urethra. The vasoconstriction produced by some local anesthetics, cocaine especially, in nose by preventing bleeding and inducing tissue shrinkage.

b. It permits many diagnostic procedures in awake patient, and when it is combined with infiltration techniques, excellent anesthesia may be obtained for many surgical procedures in eye and nose.

B. Infiltration (i.e., injection of local anesthetics under skin) of surgical site provides adequate anesthesia.

C. Regional Block, a form of anesthesia that includes spinal and epidural anesthesia, involves injection near a nerve or nerve plexus proximal to surgical site.

D. Spinal Anesthesia (subarachnoid block) produces extensive and profound anesthesia with minimum amount of drug.

E. Lumbar epidural anesthesia affects same area of body as does spinal anesthesia. The drug is deposited outside dura.

F. Caudal Anesthesia - In caudal form of extradural anesthesia, agent is introduced through sacral hiatus above coccyx. It is applicable to perineal and rectal procedures.

G. Control of Cardiac Arrhythmias - Procainamide and lidocaine are primary drugs for treating cardiac arrhythmias.

2.7**Procaine**

- ❖ Procaine hydrochloride is readily hydrolyzed by plasma cholinesterase, although hepatic metabolism also occurs.
- ❖ Its use is confined to infiltration anesthesia and occasionally for diagnostic nerve blocks.
- ❖ This is because of its low potency, slow onset, and short duration of action. While its toxicity is fairly low.
- ❖ it is hydrolyzed in vivo to produce para-aminobenzoic acid, which inhibits the action of sulfonamides. Thus, large doses should not be administered to patients taking sulfonamide drugs.
- ❖ It is not effective topically but is employed for infiltration, nerve block, and spinal anesthesia. It has slow onset and short (1hour) duration of action.
- ❖ All concentrations can be combined with epinephrine.
- ❖ It is available in dental cartridges with phenylephrine as vasoconstrictor.



General Therapeutic uses of local anesthetics:

1. Generally all the local anesthetics are used as local anesthetics.
2. for infiltration Anesthesia

3. For Nerve blocking anesthesia.
4. For Spinal anesthesia.
5. for dental anesthesia.

General Adverse Effects

1. Hypotension
2. Bradycardia
3. Convulsions
4. Respiratory Paralysis
5. Skin Sensitization
6. Allergic dermatitis.
7. A typical asthmatic attack.