



# LOCAL ANAESTHESIA

Loss of sensation in a prescribed body area (usually infers blockade of a specific nerve or infiltration of a small area with local anaesthetic, e.g. intercostal nerve block) is known as local anaesthesia/analgesia. The first scientific demonstration of local anaesthesia was made by Germans using cocaine. Neimann discovered cocaine in 1860.

Loss of sensation in a larger, though limited body area (usually infers blockade of a large nerve or group of nerves with local anaesthetic, e.g. epidural anaesthesia) is known as regional anaesthesia/analgesia.

## LOCAL ANAESTHETIC DRUGS:

Local anesthesia may be produced by many tertiary bases and certain alcohols. However, all clinically useful materials are either aminoesters or aminoamides. These materials, when applied in sufficient concentration at the site of action, prevent conduction of electrical impulses by the membranes of nerve and muscle.

Vasoconstrictor substances are added to many local anesthetic solutions in order to prolong their duration of action by delaying absorption of the agent from the site

### Characteristics of an ideal local anaesthetic:

- ☞ It should be freely soluble in water for easy dispensing.
- ☞ It should be heat stable so that can be sterilized easily.
- ☞ It should have near neutral pH so as to cause least tissue reaction.
- ☞ It should cause specific nerve action and not generalized sedation.
- ☞ It should be devoid of addiction.
- ☞ The absorption from the site should be slow so as to have longer duration of action. Normally adrenalin is added to delay absorption from the site.
- ☞ It should have good penetration power so as to produce uniform analgesia.
- ☞ It should cause intense analgesia i.e. high potency at lower doses.
- ☞ It should cause rapid onset of action.
- ☞ The analgesic action produced should be reversible.
- ☞ It should produce low systemic toxicity.
- ☞ It should be cheap.

### Mechanism of action:

The nerve fiber is surrounded by a lipid layer (myelin sheath) and  $\text{Ca}^{+}$  ions are the membrane stabilizing ions. The ionic change takes place through this layer to produce action potential i.e. excitation of nerves, whenever it is stimulated (surgical stimulus etc.). The local anaesthetics destabilize this myelin sheath by altering the membrane  $\text{Ca}^{+}$  and prevents the inflow of  $\text{Na}^{+}$  ions resulting into 0 depolarization. There is no conduction and therefore analgesia is observed.

Also it has been postulated that the local anaesthetics compete with Ach resulting into no propagation of nerve impulses and so analgesia is observed.



## Commonly used local anaesthetics:

### 1. Cocaine:

It is a natural local anaesthetic prepared from the leaves of *Erythroxylon coca* a South American plant. It was introduced in 1884 by Koller for clinical use.

- ☞ It is alkaloid in nature.
- ☞ It is sparingly soluble in water but readily in oils. However used in aqueous form as cocaine HCl.
- ☞ It has addictive properties
- ☞ It causes a feeling of euphoria/being strong.
- ☞ It causes dilation of pupils.
- ☞ It may cause corneal ulceration.
- ☞ It causes vasoconstriction of superficial vessels.
- ☞ It is very toxic in nature – causes twitching and convulsions in the initial stage and hypotension and respiratory depression in the later stages.
- ☞ Mostly used for surface analgesia as 4% solution for eye ailments and as 10-20% solution for painful nasal, laryngeal and pharyngeal affections.
- ☞ **It has been replaced by synthetic local anaesthetics.**

### 2. Procaine:

It is a synthetic local anaesthetic of ester group which was synthesized by Einhorn in 1905.

- ☞ It is available as white crystalline powder dissolved in equal weight of water and is used in aqueous form as procaine HCl.
- ☞ It is stable in water and air.
- ☞ It can be sterilized by autoclaving without loss of potency.
- ☞ Its efficacy is almost equal to cocaine but 10 times less toxic when combined with adrenalin.
- ☞ Absorption from the site is very fast so producing shorter duration of action. Therefore generally used with adrenalin for longer duration of action.
- ☞ It is non irritant in action.
- ☞ It is rapidly and completely detoxified by the liver.
- ☞ **Disadvantages:** Fast absorption from the site; unstable in presence of alkali – precipitation occurs in presence of detergent or savlon and there is loss of potency; penetration is less so no uniform analgesia.
- ☞ **Uses:** As local anaesthetic in 2% concentration; As IV injection (without adrenalin) to reduce cardiac irritability and to prevent cardiac arrhythmias and ventricular fibrillation during general anaesthesia; For 'Visceral Procaine Blockade' in ruminants to take care of visceral pain in certain conditions.



### 3. Amethocaine HCl:

Resembles procaine and belongs to ester group. Mostly used for surface analgesia as 1% solution for eye affections and as 2% solution for nasal, laryngeal and pharyngeal affections.

### 4. Tetracaine HCl:

It also resembles procaine in action and is good for surface analgesia of mucous membranes. It is considered as drug of choice for corneal anaesthesia.

### 5. Lignocaine/lidocaine:

It is a synthetic local anaesthetic of amide group which was introduced in the year 1944. It is the most important local anaesthetic for clinical use in different surgical manipulations in different animals.

- ☛ It is available in powder form as white or slightly yellow powder.
- ☛ Relatively stable but nearly insoluble in water. So mostly used as lignocaine HCL in aqueous form.
- ☛ **It is more effective than procaine HCl because of:** rapid onset of action; intense action; higher penetration power; extreme stability – can be stored for a very longer duration without loss of potency; stability in the presence of acid/alkali – no loss of potency even if boiled in the presence of acid/alkali.
- ☛ It crosses placental barrier.
- ☛ It is detoxified by the liver.
- ☛ It can cause toxicity when overdosing. The toxicity depends upon the concentration of the drug in the blood and not only the amount of the drug injected i.e. absorption from the site (highly vascular or less vascular) is very important. The approximate toxic doses are 0.6 gm (30ml of 2% solution) in dogs and 6.0 gm (300ml of 2% solution) in horses and cattle. The toxic symptoms seen are drowsiness, twitching and respiratory depression in the early stages and hypotension and bradycardia in the later stages.
- ☛ **Uses:** As local anaesthetic for different surgeries; In larger doses as sedative and systemic analgesic; As IV injection (without adrenalin) to reduce cardiac irritability and to prevent cardiac arrhythmias and ventricular fibrillation during general anaesthesia; for surface analgesia of mucous membranes.
- ☛ **Availability:** 0.5 – 4% solution with or without adrenalin. 2% solution is mostly used for different surgical manipulations and 4% solution is mostly used for surface analgesia.

### 6. Mepivacaine HCl:

It is synthetic local anaesthetic of amide group mostly used for local infiltration analgesia and nerve blocks in equines. It is two times more potent than procaine and is less toxic than lignocaine. The onset is slow but duration is 2-3 times more than procaine. Mostly used in the concentration of 1-2%.

### 7. Bupivacaine:

It is synthetic local anaesthetic of amide group mostly used where longer duration of analgesia is warranted.



- ☞ It is a long acting local anaesthetic.
- ☞ Potency is 2-4 times more than lignocaine
- ☞ Highly stable – can be boiled in the presence of acid/alkali and can be autoclaved repeatedly without any loss of potency.
- ☞ Can be toxic. The toxic symptoms are bradycardia, AV block or even cardiac arrest.
- ☞ **Availability:** 0.25, 0.5, 0.75 and 1.0% solutions with or without adrenalin.
- ☞ **Uses:** Used where prolonged analgesia is required e.g. For nerve block in acute laminitis in horses; For caudal epidural anaesthesia in recurrent prolapse of uterus/vagina in cattle, sheep and goats.

### 8. Ethyl alcohol:

It has been used as local analgesia and the effect is produced due to demyelination of nerves. Mostly the effect produced is for a very longer time (few days to few months) as re-myelination is a slow process. 5ml of 70-95% ethyl alcohol when injected into soft tissues causes necrosis of 1cm area and so desensitization. Also ethyl alcohol has been used in combination with 0.5-2% lignocaine HCl (mixed in equal ratio) for long term caudal epidural analgesia in recurrent prolapse of rectum/vagina in sheep, goats and even cows.

### 9. Ethyl chloride:

Spray of ethyl chloride has been used for surface analgesia as being volatile in nature, when sprayed, evaporation occurs and therefore area is desensitized for 30-60 sec.

### Commonly used local anaesthetics with comparative potency and duration of action

| AGENT   | TRADE NAME          | POTENCY (Comparative) | DURATION |
|---|---------------------|-----------------------|----------|
| Procaine 10, 20, 100 mg/mL Injection  | Novocaine           | 1                     | 1 hr     |
| Lidocaine 5, 10, 15, 20, 40 mg/mL<br>Injectable. Supplied both with and without epinephrine 1:200,000<br>2.0% jelly, viscous<br>2.5%, 5.0% ointment | Xylocaine           | 2                     | 2 hr     |
| Mepivacaine 10, 15, 20 mg/mL solutions (no epinephrine)   | Vetacaine           | 2.5                   | 1.5-2 hr |
| Bupivacaine 2.5, 5.0, 7.5 mg/mL Injectable  | Anawin, Sensorcaine | 8                     | 4 - 6 hr |