Oral Surgery

Lecture: 5 **Dr. Saif Saadedeen**

"Pharmacology of Local Anesthesia"

Local anesthetic cartridges

Local anesthetic cartilage contains the following ingredients:

1. Local anesthetic agent
2. Vasoconstrictor
3. Preservative for the vasoconstrictor (Reducing agent)
4. Ringers solution
5. Distilled water
6. General preservative

"Local anesthetic agents"

Local anesthetics are drugs which upon topical application or local injection cause a reversible loss of sensory perception, especially of pain in a restricted area of the body, it provides pain control during dental therapy and it interrupts the propagation of impulse preventing it from reaching the brain.

These drugs are listed by their percentage (%) concentration. The number of mg of an agent contained in the cartridge can be calculated by multiplying the percentage (%) concentration by the number of ml in the cartridge. Thus, a cartridge containing 2ml of 2% local anesthetic solution contains 40 mg of the local anesthetic agent (2% mean that for each ml there is 20 mg, if the cartilage contains 2 ml then 20x2=40 mg of the local anesthetic agent in a single cartilage).

Classification of local anesthetics

The local anesthetic agents can be classified in various ways

1 – on the basis of occurrence in nature

- Natural (e.g. cocaine)
- Synthetic (e.g. lignocaine)

2 – on the basis of duration of action

- Short-acting (e.g. articaine, lidocaine)
- Long-acting (e.g. bupivacaine)

3 – on the basis of chemical structure

- Ester (e.g. Procaine, Cocaine, Benzocaine)
- Amide (e.g. Lidocaine, Prilocaine, Articaine)

Pharmacokinetic of local anesthesia:

Distribution: Once absorbed into the blood, local anesthetics are distributed throughout the body to all tissues. The blood level of local anesthetic is influenced by the following factors:

1. The rate at which the drug is absorbed into the cardiovascular system.
2. The rate of distribution of the drug from the vascular compartment to the tissues (more rapid in healthy patients than in those who are medically compromised as congestive heart failure patients).
3. Elimination of the drug through the metabolic or excretory pathways.

Metabolism:

A – Ester local anesthetics

Ester local anesthetics are hydrolyzed in the plasma by the enzyme pseudocholinesterase.

Peoples having an atypical form of pseudocholinesterase get inability to hydrolyze ester local anesthetics, thus prolongation of higher blood levels of the local anesthetic and an increased potential for toxicity.

B – Amide local anesthetics

The metabolism of the amide type is more complex and somewhat slower than that of the ester type, it appears that breakdown does not occur in the bloodstream and that hydrolysis takes place mainly in the presence of catalysts in the liver, the product is then excreted in the urine.

Excretion: The kidney is the primary organ for both the local anesthetics and its metabolites. A percentage of a given dose of the local anesthetic drug will be excreted unchanged in the urine and this varies according to the drug.

Common local anesthetic agents

Lignocaine (Lidocaine, Xylocaine)

Lignocaine: is the most commonly used local anesthetic agent in dentistry, it is the first non-ester type of local anesthetic agent to be used in dentistry, it is stable as it can be stored for a long time at room temperature, it withstands boiling and autoclaving and it is compatible with all types of vasoconstrictors.

- Classification: Amide.
- Metabolism: in the liver.
- Excretion: Via the kidneys. Less than 10% unchanged.
- Vasodilating Properties: Considerably less than those of procaine; however, greater than those of mepivacaine.
- The onset of Action: Rapid (3 to 5 minutes).
- Effective Dental Concentration: 2%.
- Anesthetic Half-Life: (90 minutes).
- Topical Anesthetic Action: Yes (with a concentration of 5% or 10%).
- Availability in dentistry: dental cartridge of 2% lidocaine with or without epinephrine.

Maximum Recommended Dose:

The maximum recommended dose of lidocaine with epinephrine (1:100.000) is **7 mg/kg.** While **4.5 mg/kg** is the maximum dose of lidocaine without epinephrine. In all the cases not to exceed an absolute maximum dose of 500mg.

- Lidocaine replaced procaine (Novocain) as the drug of choice for pain control. Compared with procaine, lidocaine possesses a significantly more rapid onset of action, produces more profound anesthesia, has a longer duration of action and has greater potency.

- Allergy to amide local anesthetics is extremely rare; although possible, this is a major clinical advantage of lidocaine and all amides over ester-type local anesthetics.

❖ Selection of local anesthetic agent is based on:

1. Duration of action
2. Need for control of post-operative pain
3. Physical and mental status of the patient
4. Concomitant medications

❖ An approximate duration for completing the surgical procedure should be taken into account. Based on duration, the action of the local anesthetic agent can be grouped as:

1. Ultrashort-acting agents: where the duration of action is less than 30 minutes: e.g. 2 % lidocaine without vasoconstrictor.

2. Short-acting agents: where the duration of action is 30 to 90 minutes: e.g. 2% lidocaine with vasoconstrictor.

3. Medium-acting agents: where the duration of action is 90 to 180 minutes: e.g. 4 % prilocaine with vasoconstrictor.

4. Long-acting agents: where the duration of action is 180 minutes or longer: e.g. 0.5% of bupivacaine.

- Most of the oral surgical procedures result in varying amount of post-operative pain. The local anesthetic agent serves as additional medication that sometimes eliminates the need for postoperative analgesia.

- Any co-existing medical condition such as hypertension, diabetes should be considered, a patient with a history of allergy to a specific local anesthetic agent should be considered. The mental status of the patient has to be evaluated, small children and the mentally retarded patient may traumatize their lip, tongue or cheeks due to the effect of local anesthesia.

"Vasoconstrictor"

Vasoconstrictors are drugs that constrict blood vessels thereby control tissue perfusion. They are added to the local anesthetic solutions to oppose the vasodilatation actions of the local anesthetic agent.

After injection of the local anesthetic, blood vessels dilate in the area, resulting in an increased blood flow to the site. This increase in perfusion leads to the following reactions:

1. Increased rate of absorption of the local anesthetic into the cardiovascular system, which in turn removes it from the injection site.
2. Increased plasma level of the local anesthetic, with an increased risk of local anesthetic toxicity.
3. Decreased duration of action and decreased depth of anesthesia because it diffuses away from the injection site more rapidly.
4. Increased bleeding at the site of injection due to increased perfusion.

The advantages of additions of vasoconstrictors to the local anesthetic solution are:

Vasoconstrictors decrease blood flow (perfusion) to the site of the injection. The absorption rate of the local anesthetics into the cardiovascular system is reduced, resulting in lower anesthetic plasma levels.

1. Decrease the risk of systemic toxicity (lower the local anesthetic plasma levels).
2. Increase the duration of action of local anesthetics (higher volumes of the local anesthetic agent remain in and around the nerve for longer periods).
3. Decrease bleeding at the site of injection, especially useful when increase bleeding is anticipated (e.g. during a surgical procedure).

Dilution of vasoconstrictors:

The dilution of the vasoconstrictor is commonly referred to as ratio (1 to 1000, and is written as 1:1000). This 1:1000 mean that there is 1 gm (1000 mg) of the drug contained in 1000 ml of solution.

Types of the vasoconstrictors:

A - Epinephrine (adrenaline)

Epinephrine remains the most effective and the most commonly used vasoconstrictor in medicine and dentistry.

Sources: secreted primarily by the adrenal medulla. It is available as synthetic and obtained also from the adrenal medulla of animals.

Mode of action: acts directly on both alpha and beta-adrenergic receptors.

Systemic action:

Cardiovascular system

It causes increased systolic and diastolic blood pressure, increased heart rate, increased cardiac output and it causes increased myocardial oxygen consumption.

Respiratory system

Adrenaline is a potent dilator of the smooth muscle of the bronchiole, so it is the drug of choice for management of acute asthma.

Central nervous system

In the usual therapeutic dosage, adrenaline is not a potent CNS stimulant, CNS stimulation occurs when an excessive dosage is given.

Availability in dentistry

Adrenaline is the most potent and widely used vasoconstrictor in dentistry. It is available in 1:50000, 1: 80000, 1:100000, 1:200000 dilution.

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Maximum dosage:

This drug is potent and can produce undesirable results if used in large volumes or if inadvertently injected intravascularly. So, these drugs should be used with consideration to their benefits and risks. The maximum doses are as follows:

A - For normal healthy adult patient the maximum recommended dose is **0.2 mg** per appointment that means:

20 ml of a 1:100000 dilution (10 cartridges)

B - For patient with clinically significant cardiovascular disease

The max dose is **0.04 mg** per appointment, that means:

4 ml of a 1: 100 000 dilution (2 cartridges)

B - Felypressin

- Source: it is a synthetic analog of the anti-diuretic hormone (vasopressin).
- Mode of action: it acts as a direct stimulant of vascular smooth muscle.
- Systemic action:
    - Heart: no direct effect.
    - Blood vessel: in high doses induces vasoconstriction.
    - CNS: no effect.
    - Uterus: it has oxytocic action (promotes rapid labor) so it is contraindicated in pregnant patients.

Selection of a vasoconstrictor

The selection of an appropriate vasoconstrictor is based on following factors:

1. Length of the surgical procedure: The duration of plain anesthesia only lasts for few minutes; the addition of adrenaline will prolong the duration.
2. The requirement of hemostasis: Some of the vasoconstrictors are effective in minimizing the blood loss during the surgical procedure as adrenaline, while Felypressin is of minimal value in achieving hemostasis.
3. The requirement of postoperative pain control: Adding vasoconstrictor will prolong the pain-free status.
4. Physical status of the patient and medication used: The benefit and risks of including a vasoconstrictor in a local anesthetic solution in patients who are medically compromised must be weighed against benefits and risks of using plain anesthesia.

- For the following group of patients, the use of local anesthetic agents with vasoconstrictor is contraindicated:
  A. Patients with a significant cardiovascular disease such as ischemic heart disease, hypertension or cerebral strokes.
  B. Patients with thyrotoxicosis.
  C. Patients receiving monoamine-oxidase inhibitors or tricyclic antidepressant.

"Reducing agent"

Vasoconstrictors in local anesthetic solution are unstable and maybe oxidize especially on prolonged exposure to sunlight and this will lead to brown discoloration of the solution. To overcome this problem a small quantity of antioxidant as **sodium bisulfite** is added to the cartridges. This substance reacts with Oxygen before oxygen can destroy the vasoconstrictor so it protects their stability.

"Preservative"

These are added to increase the shelf life and include:

1. Methylparaben which is bacteriostatic and fungistatic agent
2. Thymol which is antiseptic, fungistatic

"Ringers Solution"

The anesthetic agent and the additives are dissolved in modified ringer solution. It is added to the content of the cartridge to make the solution isotonic with the tissue of the body. This isotonic vehicle minimizes the discomfort during injection of local anesthesia.

"Distilled Water"

It is used as diluents to provide the volume of solution in the dental cartridge.

The end of Lecture 5