LOCAL ANAESTHESIA *(L.A)*

The discovery in the late 1800s of a group of chemicals with the ability to prevent pain without inducing loss of consciousness was one of the major steps in the advancement of the medical and dental professions. For the first time, medical and dental procedures could be carried out easily and in the absence of pain.

Pain divided in two components:-

1-Pain perception: The skin and the mucous membrane are provided with numerous nerves-end organs for the perception of touch, temperature, and pain stimuli. The application of an electrical, thermal, chemical, or mechanical stimulus to them may produce an impulse, or wave of excitation in the nerve-fiber which is self-propagating and in a uniform intensity.

2-Pain reactions: If a unit stimulus is applied to two individuals, each one reacts in a different way. The intensity of pain and patients response to it may vary not only from person to another but also from time to time in the same individual. This must be considered in clinical observation.

****Pain threshold**: A patient has a high pain threshold when he shows little or no reaction to painful stimuli, while who has a low pain threshold is liable to react violently to the same or even lesser stimuli.

Pain threshold varies between individuals and in the same individual at different time and its level is determined by these factors:-

1- Psychological make-up:- emotionally unstable persons have a low pain threshold, nervous patient become hyper active and tend to magnify pain out of all proportion.

2 -Fear and apprehension of dental treatment.

3 - Fatigue: Pain threshold is lowered in tiredness.

4 – Age: children often have a low pain threshold and they cannot distinguishing between pain and pressure.

Generation And Conduction Of Pain (Nerve Impulses)

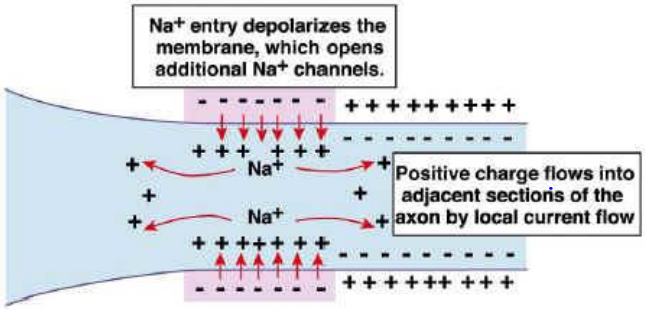
The function of a nerve is to carry messages from one part of the body to another. These messages are in the form of electrical action potentials, they are called ***impulses.*** When a nerve is stimulated, an impulse is propagated that will be interpreted as pain when it reaches the brain.

Action potential in a neuron,

Phase 1: The unstimulated nerves (resting state) means that the electrical charge on the outside of the membrane is positive while the electrical charge on the inside of the membrane is negative (Na on the outside). (−70 mV)

Phase 2: ***(Depolarization)***: When a stimulus of sufficient intensity is applied to the nerve, it gets excited, Na+ get inside the cell. This causes complete **depolarization** (rapid depolarization) of the neuron and an ***impulse*** is created and continues to transmit

along the neuron. (Inside of the cell becomes flooded with Na+ ions and the nerve has reached a potential of approximately +40 mV).



Phase 3: Repolarization

It occurs at the end of depolarization.

Repolarization occurs once the peak of the action potential is reached and the membrane potential begins to move back toward the resting potential (−70 mV).

Duration of the Complete Cycle

The entire process (phases 2 and 3) takes 1 m.sec; depolarization phase (phase 2) takes 0.3 m.sec, while repolarization phase (phase 3) takes 0.7 msec.

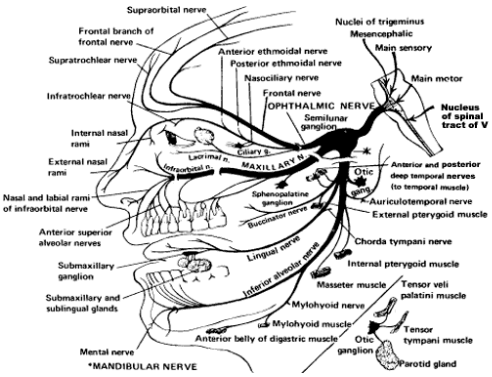
MODE OF ACTION OF LOCAL ANESTHETICS

The concept behind the actions of local anesthetics is simple: They prevent both the *generation* and the *conduction* of a nerve impulse, local anesthetics block the way between the source of the impulse (e.g. needle prick) and the brain. Therefore, the impulse aborted and prevented from reaching the brain so, it cannot be interpreted by the patient as pain.

Local anesthetics are known as membrane-stabilizing drugs, it prevent *ions exchange* through the nerve membrane, so when the impulse reached the blocked nerve segment it will stopped because it is unable to activate the nerve membrane which is necessary for its continued propagation.

Anatomical basis of dental pain:-

The impulses originating in the nerve-ending of dental pulp and the supporting structures of the teeth are transported to the central nervous system by the maxillary and mandibulare divisions of trigeminal nerve then it continue it path way until reach the contra-lateral side of the cortex of the brain. Any interruption of neural pathways at any level may abolish the sensation of pain.



The control of pain: The nerve endings subserving pain can be stimulated by mechanical, osmotic, thermal and chemical stimuli. Local anesthetic agent is usually used either to reduce or abolish the excitability of nerve-endings or to block the path ways to the brain.

Mode of action of Local anesthesia:

The anaesthetic agent is formed by a combination of a weak base and strong acid. When it deposited in human tissues, it will readily hydrolysed by the alkalinity of the human

tissues (pH 7.4 approx.) to liberate the **alkaloid base** which is then free to taken up by the nerve-fiber and produce anesthesia.

The free base prevents the increase in sodium permeability of the nerve membrane (stabilize the nerve membrane). When the local anaesthetic molecules affect the nerve cell membrane they appear to do so by stabilizing the membrane and thus preventing the rapid ionic exchanges, particularly of sodium, which are necessary for impulse initiation and propagation.

It is well known that the pH of a local anesthetic solution (as well as the pH of the tissue into which it injected) greatly influences its nerve-blocking action. Acidification of tissue decreases local anesthetic effectiveness. Inadequate anesthesia results when local anesthetics are injected into inflamed or infected areas. The inflammatory process produces acidic products: The pH of normal tissue is 7.4; the pH of an inflamed area is 5 to 6.

** The injection of L.A. solution in **the present of acute infection at operation site this** will spread the infection and seldom produce anesthesia. (therefore, a regional anesthesia is used to obtain anesthesia in the infected area).

Local Anaesthetic Solutions

Any preparation of L. anaesthetic solution contains the following constituents :-

1-Local anaesthetic agent.
2- vasoconstrictor.
3- Reducing agent.
4- Preservative. مواد حافظة
5- Fungicide. مبيد فطريات
6- The vehicle.

1-Local anaesthetic agent: - Most of the local anaesthetic agents belong to two homologous chemical formulation in that there is an aromatic nucleus which is joined to the active amino –groups by either **amid** or **ester** linkage.

Amide type aromatic nucleus-------NHCO------ amino –groups

Ester type aromatic nucleus--------COO------- amino –groups

Amide anaesthetic

Lignocaine :- its frequently used.
Mepivacaine
Prilocaine

Bupivacaine
Etidocaine

} Its long acting and its rarely used in dental surgery but are useful for therapeutic nerve block.

Ester anaesthetics

Cocaine
Procaine
Benzocaine
Amethocaine

Maximum recommended dose. (*MRD*: mg/kg):

The maximum recommended dose is the maximum quantity of drug a patient can safely tolerate during an appointment based on their physical status, health conditions and medications.

Maximum recommended doses of local anesthetic drugs are presented in terms of milligrams of drug per kilogram body weight (mg/kg). Administration of dose above the MRD may cause an overdose (toxic) reaction, which can be noticed by visual and auditory disturbances, drowsiness, numbness of tongue tremor of the arms and legs, Muscular twitching then may progress to unconsciousness, convulsions, coma and cardiac depression.

	MRD **mg/kg**
Articaine (Septocaine)	**7**
Bupivacaine (Marcaine)	**2**
Lidocaine (Xylocaine)	**7**
Mepivacaine (Carbocaine or Polocaine)	**6.6**
Prilocaine (Citanest)	**8**

Onset Of Action

The onset of action of local anesthetics, is the period from local anesthetic deposition near the nerve trunk to profound anesthetization., which is affected by several factors such as the onset of action is faster in smaller diameters of nerve trunks, and the onset is prolonged in areas with increased tissue or nerve sheath size.

The onset of action for: Lidocaine, Mepivacaine, Prilocaine, Articaine are 2-4 min.
Bupivacaine has 5-8min for onset of action,
Procaine 14-18min

How to calculate the MRD:

For example: **Lidocaine** is used in the concentration of 2%. It simply means 2 **g** of solution is contained in 100 ml of solution. Hence, it means 20 **mg** of the solute is contained in 1 **ml** of solution. In other words, 1 ml of 2% **Lidocaine** solution contains 20 mg of the local anesthetic agent (lignocaine).

Calculation of Maximum Dosage and Number of Cartridges (Single Drug)

Patient: 22 Years Old, Healthy, Female, 50 kg
Local Anesthetic cartridges (1.8 ml) : Lidocaine HCl + Epinephrine 1 : 100,000
Lidocaine 2% = 20mg per ml **2000**mg per**100**ml =**20** mg per **1** ml {simply multiply by 10 to change it to mg per ml}
20mg x 1.8 ml(per cartridge) = 36 mg/cartridge
Lidocaine: 7.0 mg/kg = 7x50=350 mg (MRD)
Number of cartridges: 350/36 = ≈93

Patient: 40 Years Old, Healthy, Male, 90 kg
Local Anesthetic cartridges (1.8 ml): Articaine HCl + Epinephrine 1 : 200,000
Articaine 4% = 4000mg per 100 ml =40 mg per 1 ml.
40 x 1.8= 72 mg/cartridge
Articaine: 7.0 mg/kg = 630 mg (MRD)
Number of cartridges: 630/72 = ≈9.0

2-Vasoconstrictors: small quantity of vasoconstrictor added the local anaesthetic solution. ***Their advantages are:***

1- It reduces the toxic effects by retarding the absorption of constituents.
2- Increase the depth and duration of anaesthesia. As it limits the anaesthetic agent to a localized area.
3-It produces a relatively bloodless field of operation for surgical procedures

Types of vasoconstrictars :-

1. Adrenaline (epinephrine, Supranol)
2. Noradrenalin (laevoarterenol, norepinephrine)
3. Felypressin (Octapressin)

3-Reducing agent: The vasoconstrictors are unstable in solutions and may oxidize, especially when they exposed to sunlight for longtime, the solution will be brown and this discoloration is an indication that the solution must be discard. To overcome this problem, a small quantity of sodium-meta-bisulphite is added to solution to **compete** for the available oxygen, this reducing agent is more readily oxidized than adrenalin or nordrenalin which will stay stable by preventing its oxidation.

4- Preservative : Small quantity of it will make the anaesthetic solution very stable and increase its shelf-life. Some preservatives may produce allergic reactions in sensitize patient.

5- Fungicide:- ***thymol*** is added to the solution to act as a fungicide and prevents the proliferation of minute fungi, which makes the solution cloudy when it occurs without the presence of fungicidal agent.

6-The vehicle : the anaesthetic agent and the additives are dissolved in modified *Ringers* solution which is isotonic vehicle to minimize discomfort during injection.

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Metabolism and Excretion:

The process of local anaesthetic agent detoxification is different according to their chemical structure whether it Contain ***ESTER*** linkage, e.g, procaine or it contains ***AMIDE*** linkage .e.g., lignocaine .

The ester type agents are dissociated by **esterases** in the blood and hydrolysed, Some

oxidation may also take place in the liver. However, all breakdown products are excreted in the urine.

The metabolism of the amide type agents is more complicated and slightly slower. The breakdown does not occur in the blood-stream and that hydrolysis takes place mainly in the presence of catalysts in the liver, the products are then oxidized further and some conjugated. Finally, conjugated and unconjugated products are excreted in the urine .

Patients with impaired liver or renal function should **not be given large doses** of local anaesthetic agents because of the risk that if failure of metabolism and excretion may result in over –dosage.

The elimination **half-life** of a local anesthetic is the period of time it takes for 50% of the drug to be metabolized/ removed from the body. For example, lidocaine and Prilocaine have a half-life of 1.5 hours, Articaine has the lowest half-life with 45 min. While Bupivacaine has the longest half-life with 3.5 hours

****Tachyphylaxis:** is an increased tolerance to a drug that is administered repeatedly. When a dental procedure lasts longer than the duration of the anesthetic, a second injection may be required to finish the procedure. But, if the patient has pain, this mean that the nerve has returned to function and the reinjection of local anesthetic will be ineffective, as it is usually more difficult to achieve profound anesthesia again. Therefore, it is important to re-inject the anesthetic before the sensation start to return. As if administrated a smaller volume of anesthesia than the volume originally administered, the nerve fiber can achieve rapid onset of action.

Some clinicians have been concerned about the frequent reports of **paresthesia when using **articaine** local anesthesia. Therefore some research have made recommendations to avoid using **articaine** for in dental block because of the potential for paresthesia.
One of the possible disadvantages of Articaine is that it delivered as a 4% solution. The local anesthetic-induced nerve injury is concentration dependent, with injuries increasing as concentration increases

Paresthesia: can be defined as persistent anesthesia beyond the expected duration or altered sensation, such as tingling or itching, beyond a normal level.