

## LOCAL ANAESTHETICS USED IN DENTISTRY

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### RESUME

*La connaissance des propriétés cliniques et pharmacologiques des anesthésiques locaux par ceux permis de les employer est essentielle, d'une part pour leur utiliser-et sûr d'une part pour un meilleur arrangement des réactions systémiques certaines (certains d'entre elles même représentant un danger pour la vie) associées à l'administration de telles substances.*

**MOTS CLES:** *le dosage maximum permis, durée d'analgésie, a fréquemment employé des anesthésiques d'art dentaire.*

### 1. Introduction

The local anaesthesia is defined as the sensitivity loss on a limited surface of the body, induced by the depression of the nervous excitation concerning the nervous terminations or the inhibition of the nervous impulse transmission regarding the peripheral nerves. [1]

An important feature of the local anaesthesia is represented by it eradicating the pain sensation without affecting the consciousness of the patient.

### 2. Material and method

We will stress upon the frequently used dentistry local anaesthetics combinations.

There are several local anaesthetic insertion procedures:

- The mechanical trauma;
- The reduced temperature;
- The anoxia;
- Chemically irritating substances;
- Neurologic agents such as the phenol or the alcohol;
- Chemical agents such as the local anaesthetics.

Only the substances inducing an anaesthetic transitory and completely reversible state have a clinical application.

The properties of an ideal anaesthetic are: [1]

- They ought not prove irritating for the tissues on which they are applied;
- They ought not lead to the permanent alteration of the nervous structure;
- The systemic toxicity must be reduced;

-It must prove efficient regardless of being applied locally, on the mucous membranes or administered by infiltrations;

-The effect must occur as rapidly as possible.

The duration of the effect must last enough to allow the clinical maneuvers to take place, yet not to require a prolonged recovery period.

The majority of the anaesthetics used in the medical practice meet the first two conditions: they are (relatively) non-irritating and completely reversible. The systemic toxicity is also of an extreme importance, due to the fact that all the anaesthetics (either of topical or infiltration type) will be absorbed directly into the cardiovascular system. Even though many of the substances are classified as being local anaesthetics and are used in different medical fields, only some of them are used in dentistry. The first table displays the currently used dentistry local anaesthetics and their diverse combinations. The local anaesthetics are divided in two large groups: ethers and amides. The procaine and propoxiphene belong to the ethers' group, none of them being still used due to the more accentuated side effects than the clinical effect itself. [2] The lidocaine, mepivacaine, prilocaine, articaine and bupivacaine belong to the amides' group (table 1).

### The lidocaine (xylene)

It is an amide with the following chemical formula: 2-diethylamino 2,6-hydrochloride acetoxylidide. It has been synthesized by de Nils Löfgren in 1943 and approved by the World Dental Federation in 1948. It is metabolized in the liver through the microsomal oxidases fixation function, resulting monoethylenglyceine and xylidine; the latter is a local anaesthetic with a toxic potential. [3] The excretion is made through the kidneys, 10%, and the rest of 80% through intermediate metabolites. The elimination process of the injected substance is

faster in the first three hours, the epuration rate decreasing afterwards. [4]

**Table 1.** Local anaesthetics used in dentistry

Local anaesthetics (including a vasoconstrictor)	Reaction time
<b>Articaine Hydrochloride</b> 4% + epinephrine 1:100.000 4% + epinephrine 1:200.000	Intermediate Intermediate
<b>Bupivacaine Hydrochloride</b> 0,5% + epinephrine 1:200.000	Long
<b>Lidocaine Hydrochloride</b> 2% 2% + epinephrine 1:50.000 2% + epinephrine 1:100.000	Short Intermediate Intermediate
<b>Mepivacaine Hydrochloride</b> 3% 2% + epinephrine 1:100.000	Short Intermediate
<b>Prilocaine Hydrochloride</b> 4% 4% + epinephrine 1:200.000	Short (infiltration) and intermediate (nervous blockage) intermediate

The maximum adrenaline xylene adult dose recommended by its producer is of 7mg/kg and no greater than 500mg. In children, the recommended dose stays the same, 7mg/kg. [5] Concerning the lidocaine without a vasoconstrictor, the producer recommends a maximum dose of 4,4 m/kg, but no more than 300mg.

Some authors [1] recommend a maximum dosage allowed of 4.4 mg/kg lidocaine, associated with a vasoconstrictor. This dose is enough to assure a profound anaesthetic level, excluding the risk of toxic reactions, usually induced by an overdose.

### Mepivacaine

Is an amide with the following chemical formula: 1-methyle 2,6-pipecoloxylidine. It has been synthesized in 1957 by A.F. Ekenstam and introduced in the medical practice in 1960 as a solution 2%,

associated with levonordephrine as a vasoconstrictor and in 1961 as a solution 3%, without any vasoconstrictor. It is metabolized in the liver and excreted through the kidneys

The mepivacaine has moderate vasodilating properties, which results in a longer anaesthetic effect than in other substances. The duration of the dental pulp anaesthesia is of around 24 to 40 minutes (20 minutes in the plexal anaesthesia and 40 minutes in the peripheral truncal anaesthesia), compared to the simple xylene anaesthesia, with a duration of only 5 minutes.

The mepivacaine 3% without a vasoconstrictor is recommended to patients not tolerating a vasoconstrictor, as well as minor clinical procedures not requiring a profound or long-lasting anaesthetic.

The mepivacaine 2% combined with adrenaline induces a profound anaesthesia not only of the dental pulp, but also of the soft tissues, similar to the one observed in case of associating lidocaine with epinephrine.

### **The prilocaine**

It is an amide with the following chemical formula: 2 propylamino-*o*-propiontoluidide. It is also known as the propitocaine.

It has been synthesized in 1953 by Löfgren and Tegnér, reported in 1960 and approved by the World Dental Federation in 1965.

The prilocaine's metabolism significantly differs from the one of the lidocaine and the mepivacaine. Being a secondary amine, the prilocaine is hydrolyzed by the hepatic amidases into the orto-toluidine and N-propylalanine. The carbon dioxide is an important element of the prilocaine metabolism's end. The orto-toluidine induces the formation of the methemoglobin, eventually leading to methemoglobinemia should the anaesthetic be administered in large quantities. [6] Small

methemoglobinemia quantities have also been observed after the administration of benzocaine and lidocaine [7,8], however the prilocaine considerably reduces the oxygen transportation blood capacity, to such extent that sometimes it determines a visible cyanosis. [9,10] The dose where no clinical cyanosis signs appear is of maximum 600 mg. Usually, less than 20% methemoglobine levels are not accompanied by clinical signs (grey-blue coloration of the lips, mucous membranes and nails, with a rare but possible circulatory and respiratory depression). The methemoglobinemia is reversible in the first 15 minutes after the intravenous administration of 1-2 mg/kg methylene blue 1% solution, in a 5 minutes interval.[8]

The biotransformation process of the prilocaine takes place at a faster pace than the one of the lidocaine, most of it in the liver, but also to some extent in the kidneys and lungs. The prilocaine's plasmatic level decreases faster than the one of the lidocaine, thus being considered less toxic than the other amidic anaesthetics.

The prilocaine has vasodilating properties; the vasodilatation it induces is greater than in the case of the mepivacaine, more reduced than the one produced by the xylene and considerably more reduced than the one produced by the other amidic anaesthetics.

The maximum adult dosage allowed is of 6 mg/kg, without administering more than 400 mg.

The anaesthesia obtained by supraperipostal infiltration of simple prilocaine 4% proves to be superficial (10-15 minutes for the dental pulp and 1.5-2 hours for the surrounding soft tissues). The simple prilocaine 4% truncal peripheral anaesthetics is much more profound (40-60 minutes for the dental pulp and 2-4 hours for the soft tissues), having a similar duration to the xylene or mepivacaine associated with a vasoconstrictor.

The prilocaine 4% with a vasoconstrictor (epinephrine 1:200.000) determines a pulp

anaesthesia of 60 to 90 minutes and one of the soft tissues of 3 up to 8 hours.

### The articaine

It is an amide, having the following chemical formula: 3-N-propylamino-2-carbomethoxy-4-methylphen. It has been synthesized in 1969 by H. Rusching and his collaborators and approved by the World Dental Federation only in 2000.

The articaine is the only amidic-type local anaesthetic containing the tiophen group; moreover, it also contains an ether group and as a result its biotransformation takes place both in the plasma (being hydrolyzed by the plasmatic esterases) and in the liver. [11] The excretion is made 5-10% through the kidneys, while the rest of 90% taking place through metabolites. [12]

The articaine is the most frequently used local anaesthetic and is only available when associated to a vasoconstrictor (epinephrine 1:100.000 or 1:200.000). The 1:100.000 adrenaline formula offers a pulp anaesthesia lasting 60-75 minutes, while the 1:200.000 adrenaline formula offers one lasting 45-60 minutes. [13,14]

Its use is contra-indicated in patients sensitive to the amidic-type local anaesthetics or sulphites (the patient suffering from allergic bronchial asthma), in patient suffering from hepatic disorders and those suffering from severe cardiovascular dysfunctions, due to the fact that the amidic-type anaesthetics are metabolized in the liver and have depressive properties on the myocardium. The accidental administration directly into the blood flow may be associated with convulsions followed by the depression of the central nervous system and cardio-respiratory arrest.

### The bupivacaine

It is an amide with the following chemical formula: 1-butyl-2,6-pipecoloxylidine hydrochloride, synthesized for the first time in 1957 by A.F. Ekenstam.

It is 4 times stronger than the lidocaine, mepivacaine and prilocaine, yet a lot less toxic than the lidocaine and mepivacaine. It is metabolized in the liver and excreted through the kidneys. It has important vasodilating properties. It has a longer reaction time (6 to 10 minutes). It can be found as a solution 0.5%, associated with adrenaline 1:200.000.

The maximal adult dosage allowed is of 1.3 mg/kg and no more than 90 mg.[1]

The dentistry use of the bupivacaine has two major indications:

- Prolonged dental maneuvers requiring a pulp anaesthesia reaction time greater than 90 minutes (e.g. major oral rehabilitation, implantologic surgery, extended paradental procedures)
- The postoperative pain management (endodontal, paradental, post-implant, surgical)

The strong postoperative analgesic needs of the patient are greatly reduced when using the bupivacaine as a local anaesthetic. [15] Therefore, the bupivacaine is generally administered at the end of the treatment, before the patient is allowed to leave the practice. Concerning this matter, a protocol [16,17] for the postoperative pain management has been described: premedication involving a non-steroidal anti-inflammatory drug, the administration of a local medium-term analgesic time and after having finished the therapeutic maneuvers, right before the patient is allowed to leave the practice, the administration of a long-term anaesthetic (bupivacaine), continuing to regularly take the non-steroidal anti-inflammatory drug.

### 3. Conclusions

The correct choice of the local anaesthetic for every patient must take into account several considerations:

- The pain control time required by a certain therapeutic maneuver;
- The postoperative pain control requirement;
- The necessity of the haemostasis;
- The contra-indications at the administration of the chosen anaesthetic.

The clinical-proven allergy to certain a substance stands for absolute contra-indication at the administration of a local anaesthetic. The relative contra-indications usually depend on the patient (and the eventual systemic disorders he might have).

Therefore, a dentistry practice ought to have several anaesthetic types, depending on their reaction time:

- Short reaction time anaesthetics (30 minutes);
- Pulp intermediate reaction time anaesthetics (around 60 minutes);
- Medium reaction time anaesthetics (90 minutes or more);
- Topical anaesthetics required by the conditioning of the soft tissue before injecting the local anaesthetic.

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