

# LONG ACTING LOCAL ANESTHETICS IN DENTISTRY-AN UPDATE

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**ABSTRACT:** Long acting local anesthetics have proved to be very reactive for the suppression of both intra operative and postoperative pains. They are useful for lengthy dental treatments and for prevention of severe pain following many types of surgical procedures. Although the currently available long acting local anesthetics for dentistry have minimal side effects in the doses usually employed, there are potential problems. Bupivacaine can cause significant cardiac depressant and dysrhythmogenic responses. Etidocaine has less pronounced effects on the cardiovascular system, but its use may be associated with inadequate control of intra operative bleeding. A new long acting local anesthetic, ropivacaine, appears to offer advantages over either of the currently used long-acting agents.

## INTRODUCTION:-

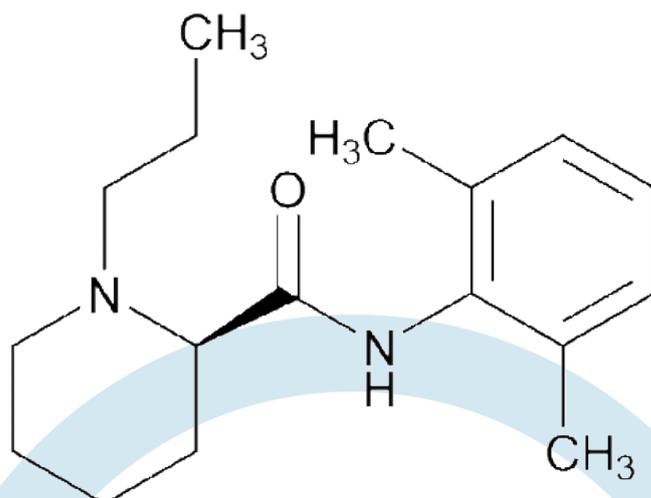
The ideal local anesthetic agent for dentistry would have a rapid onset of action, no unwanted side effects, a large therapeutic index, and a predictable duration of action. Since most conventional restorative dental procedures are of short duration and result in little or no post treatment pain, a lengthy period of altered sensation after completion of such treatment is not desirable. However, some dental procedures are lengthy, and a local anesthetic agent with several hours duration is desirable to minimize the need for reinjection. Other procedures, such as periodontal surgery and removal of impacted teeth, predictably result in postoperative pain. For these procedures, a local anesthetic of long duration may decrease post treatment pain and lessen the need for other analgesic drugs. Another desirable feature of local anesthetic formulations for surgical procedures is the ability to minimize intraoperative bleeding by vasoconstriction.

Currently available local anesthetic drugs for use in dentistry can be divided into three categories. Short-acting drugs, such as 4% prilocaine, 3% mepivacaine, and 2% lidocaine, provide 30 min or less of pulpal anesthesia. Two percent lidocaine with 1: 50,000 or 1: 100,000 epinephrine, 2% mepivacaine with 1: 20,000 levonordefrin, 4% prilocaine with 1: 200,000 epinephrine, and 2% procaine plus 0.4% propoxycaine with either 1: 20,000 levonordefrin or 1: 30,000 norepinephrine are examples of intermediate duration agents. Long-duration agents include 0.5% bupivacaine with 1: 200,000 epinephrine, and 1.5% etidocaine with 1:200,000 epinephrine. A third long-duration local anesthetic agent that may ultimately prove to be useful in the practice of dentistry is ropivacaine. Tetracaine, an ester-type long-acting local anesthetic, has delayed onset and significant toxicity; it is not used by injection for dental local anesthesia. This paper reviews the long-acting anesthetic suitable for intraoral injection.

## BUPIVACAINE:-

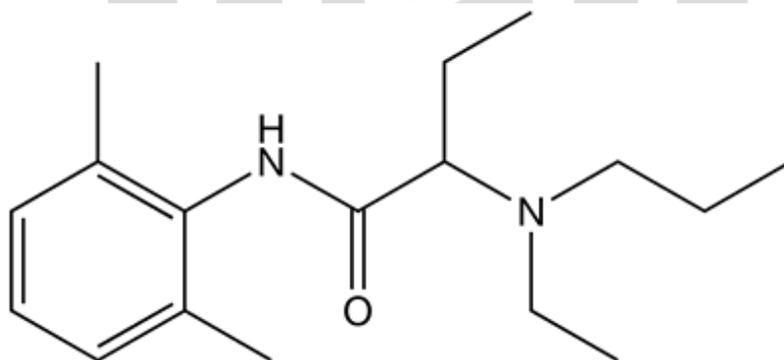
Bupivacaine is an amide local anesthetic that is related structurally to mepivacaine. Bupivacaine differs from mepivacaine only in the substitution of a butyl group for the methyl group at the amine end of the molecule. Bupivacaine, which has a pKa of 8.1, has a significantly higher percentage (83%) in ionized form at normal tissue pH than does mepivacaine.<sup>[1]</sup>

Laskin, Wallace, and DeLeo reported an onset of paresthesia with 0.5% bupivacaine with 1: 200,000 epinephrine administered by conventional inferior alveolar nerve block of 1.67 + 1.94 min compared to 1.13 + 0.64 min for 2% lidocaine with 1:100,000 epinephrine.<sup>[2]</sup> Pricco found the onset of the bupivacaine-epinephrine formulation to occur within 2 min in 49 of 50 cases, and that profound anesthesia was achieved within 4 to 8 min of injection. Danielsson et al recorded an onset of lower lip numbness after 2 min in 80% of patients injected with bupivacaine, versus 82% with lidocaine (both formulations containing epinephrine).<sup>[3]</sup> Within 5 min of injection, 99% of patients who received bupivacaine reported altered lower lip sensation, versus 100% of patients who received lidocaine injections. Bupivacaine is more highly protein bound (95%) than is mepivacaine (75%). Local anesthetics that are attracted more strongly to plasma protein tend to have longer durations of action than those that are less strongly attracted. The potency of bupivacaine as a local anesthetic is approximately four times that of lidocaine. Because bupivacaine is supplied for dental use in a 0.5% solution, it is typically administered to patients in the same volume as is 2% lidocaine.<sup>[4]</sup>

**FIGURE 1:- STRUCTURE OF BUPIVACAINE****ETIDOCAINE:-**

Etidocaine, like bupivacaine, is an amide-type local anesthetic.<sup>[5]</sup> Etidocaine is similar in structure to lidocaine; it differs from lidocaine by the addition of a propyl for an ethyl group at the amine end, and an addition of an ethyl group on the carbon in the intermediate chain. Etidocaine is approximately 50 times more lipid-soluble than lidocaine. Etidocaine's plasma-protein binding (94%) is similar to that of bupivacaine (95%), and greater than that of either lidocaine (65%) or mepivacaine (75%). In vitro, etidocaine is approximately four times more potent than lidocaine as a local anesthetic agent. Etidocaine has been reported to produce lower blood concentrations than equimolar doses of bupivacaine, and also to be less toxic.<sup>[6]</sup>

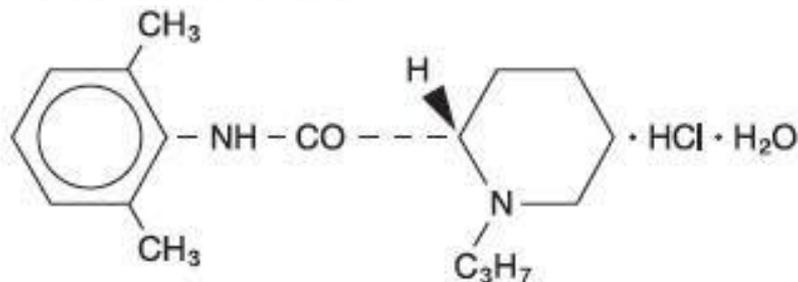
Danielsson et al.<sup>9</sup> in a third molar extraction study, reported that hemostasis was adequate in 94% of patients who received lidocaine with epinephrine, and in 90% of patients who received bupivacaine with epinephrine. In those patients who received etidocaine, hemostasis was adequate in only 75%.<sup>9</sup> In the aforementioned study by Sisk et al. increased intraoperative bleeding was subjectively associated with use of etidocaine compared to lidocaine.<sup>[7]</sup> The increased bleeding, however, did not significantly affect the length of the surgical procedure. In another study using the same local anesthetic drugs, with quantification of blood loss, it was shown that blood loss was approximately doubled by use of the etidocaine preparation. Etidocaine has less cardiodepressant activity than bupivacaine, but more than lidocaine. While its anesthetic potency is approximately four times that of lidocaine, its systemic toxicity is three times as great. Nevertheless, the manufacturer's recommended maximum dosage is 8mg/kg up to 400 mg.

**FIGURE 2:- STRUCTURE OF ETIDOCAINE****ROPIVACAINE:-**

Because of the significant cardiovascular toxicity of bupivacaine, and the inadequate intraoperative hemostasis that may be associated with the use of etidocaine, interest exists in developing a local anesthetic with a long duration, similar to the currently available long-acting local anesthetics, but without the related complications.<sup>[8]</sup> Ropivacaine is an amide-type local anesthetic agent structurally related to mepivacaine and bupivacaine. Ropivacaine has been reported to have approximately a 75% greater margin of safety than bupivacaine. In a study in which six human volunteers were each given 50 mg of ropivacaine intravenously over a 15-min period, there were no signs or symptoms of cardiovascular toxicity noted, and no significant alterations in heart rate, blood pressure, or electrocardiogram.<sup>[9]</sup> When fatal doses of ropivacaine, bupivacaine, or lidocaine were given intravenously to

sheep, the ratio of fatal doses was determined to be 9 (lidocaine): 2 (ropivacaine):1 (bupivacaine).<sup>[10]</sup> Cardiovascular electrophysiological effects of ropivacaine were also found to be intermediate between those of lidocaine and bupivacaine.

**FIGURE 3:- STRUCTURE OF ROPIVACAINE**



#### **MEPIVACAINE:-**

Introduced in 1960, a 2 percent solution of mepivacaine has potency and toxicity ratings roughly equivalent to a 2 percent solution of lidocaine. The greatest advantage of mepivacaine is that it has less vasodilating activity than lidocaine (all anesthetic agents without an added vasoconstrictor are vasodilators to some degree) and can therefore be used reliably as a nonvasoconstrictor-containing solution for procedures of short duration. The plain solution has a pulpal anesthetic duration of 20 to 40 minutes with a soft-tissue duration of two to three hours. The vasoconstrictor-containing solution has a pulpal duration equivalent to that of lidocaine with vasoconstrictor, that is, pulpal anesthesia for one to 1.5 hours and soft-tissue duration of three to five hours. It should be noted that although the levonordefrin vasoconstrictor in mepivacaine is less likely to produce tricyclic antidepressants such as amitriptyline hydrochloride.

#### **PRILOCAINE:-**

Prilocaine, also introduced in 1960, is slightly less potent and considerably less toxic than lidocaine as a local anesthetic agent. Like mepivacaine, prilocaine produces less tissue vasodilation than lidocaine and can be used reliably in plain solution form for short-duration procedures. Prilocaine is available as a 4 percent plain solution or as a 4 percent solution with 1:200,000 epinephrine. The plain solution has a pulpal duration of 40 to 60 minutes with soft-tissue anesthesia for two to three hours. It is worth noting that the duration of anesthesia with plain prilocaine is more dependent upon the type of injection given than are other anesthetics. Infiltration injections of prilocaine plain may only provide five to 10 minutes of pulpal anesthesia while regional block injections typically show the commonly described 40- to 60-minute durations. The vasoconstrictor-containing solution provides pulpal anesthesia for one to 1.5 hours like lidocaine and mepivacaine with a potentially longer soft-tissue duration of three to eight hours. Anecdotally, prilocaine has been said to have greater efficacy in patients who are difficult to anesthetize, for example, patients with a past or present history of substance abuse. An additional advantage is the decrease in cardiac side effects due to the lower vasoconstrictor concentration. Relative contraindications for the use of prilocaine include a patient history of methemoglobinemia, anemia, or cardiac or respiratory failure due to hypoxia.

#### **SUMMARY:-**

Long acting local anesthetics are very essential for the suppression of the intraoperative pain. Long acting local anesthetics delay the onset of post operative pain and reduced the intensity of postoperative pain that does occur. Bupivacaine has the most significant depressant and dysrhythmogenic effects on the cardiovascular system. Etidocaine might have a rapid onset of action, but its use may be associated with increased intraoperative bleeding. Ropivacaine may be effective for prolonged anesthesia and postoperative analgesia without the need for added vasoconstrictor.

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