Local Anesthetics
History

• The first local anesthetics were cocaine and procaine (Novacain) developed in late 1800’s

• They were called “esters” because of their chemical composition

• Esters had a slow onset and short half life so they did not last long
History

• Derivatives of esters called “amides” were developed in the 1930’s
• Amides had a faster onset and a longer half life so they lasted longer
• Amides quickly replaced esters
• In dentistry today, esters are only found in topical anesthetics
Generic Local Anesthetics

• There are five amide anesthetics used in dentistry today. Their generic names are;
  – lidocaine
  – mepivocaine
  – bupivacaine
  – prilocaine
  – articaine

• Each is known by at least one brand name
Brand Names

- **lidocaine**: Xylocaine, Lignospan, Alphacaine, Octocaine
- **mepivocaine**: Carbocaine, Arestocaine, Isocaine, Polocaine, Scandonest
- **prilocaine**: Citanest, Citanest Forte
- **bupivacaine**: Marcaine
- **articaine**: Septocaine, Zorcaine
About Local Anesthetic (LA)

• Local anesthetic (LA) works by binding with sodium channels in neurons preventing depolarization
• LA is inactivated at the injection site when it is absorbed into the blood stream and redistributed throughout the body
• If enough LA is absorbed, sodium channels in other parts of the body will be blocked, causing systemic side effects
About LA

• A clinical effect of LAs is dilation blood vessels, speeding up absorption and distribution
• To counteract this dilation so anesthesia is prolonged, a vasoconstrictor is often added to LAs
• However, vasoconstrictors have side effects also
Metabolism and Excretion

- Most amide LAs are metabolized (inactivated) by the liver and excreted by the kidneys.
- Prilocaine is partially metabolized by the lungs.
- Articaine is partially metabolized by enzymes in the blood as well as the liver. Therefore it has a short duration.
Local anesthetic’s Half Life

- A drug’s half life is the time it takes for half of the circulating local anesthetic to be metabolized and made inactive.
- A long half life means it stays around a long time and therefore there’s a greater risk of overdose.
- A short half life means it does not have a long duration.
Local anesthetic’s Half Life

- The half life of each amide local anesthetic

<table>
<thead>
<tr>
<th>Local anesthetic</th>
<th>Half Life (in hours)</th>
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</thead>
<tbody>
<tr>
<td>lidocaine</td>
<td>1.6</td>
</tr>
<tr>
<td>mepivacaine</td>
<td>1.9</td>
</tr>
<tr>
<td>bupivacaine</td>
<td>3.5</td>
</tr>
<tr>
<td>prilocaine</td>
<td>1.6</td>
</tr>
<tr>
<td>articaine</td>
<td>0.5</td>
</tr>
</tbody>
</table>

- Bupivacaine (Marcaine) has the longest duration, articaine (Septocaine) the shortest
A Little Chemistry

• A local anesthetic is a “weak base” which means, in its nonionized form, it has a pH greater than 7.0
• Nonionized local anesthetic (or “base”) is lipid soluble.
• Our tissue is made of lipids.
• Therefore, to easily pass through tissue, the local anesthetic must be in its “base” form.
A Little Chemistry

- The solution in the cartridge is an acid (a pH less than 7.0).
- The acid “ionizes” the local anesthetic or give it a charge.
- Ionized local anesthetic is called a “salt”
- Local anesthetic “salt” is water soluble.
- Therefore, the local anesthetic must be in its “salt” form to dissolve in water.
A Little Chemistry

• So, how does the local anesthetic “salt” get back to its “base” form so it will be lipid soluble again?

• Our tissues normally have a pH greater than 7.0, so when we inject the local anesthetic, the ionized “salt” form is neutralized and converted back to its nonionized “base” form.
A Little Chemistry

• What happens if the tissue is infected, however?
• The tissue becomes acidic, or develops a pH less than 7.0
• Local anesthetic injected into infected tissue cannot be neutralized because the pH is too low.
• Therefore the “base” is not formed, the local anesthetic is not lipid soluble, and it cannot pass through lipid tissues easily
Lidocaine

- Lidocaine is the most often used local anesthetic
- Pulpal anesthesia lasts 60 – 90 minutes
- Soft tissue anesthesia last 3-4 hours
- “Plain” lidocaine cartridges (no vasoconstrictor) are color coded LIGHT BLUE
Lidocaine

• Epinephrine is added to prolong anesthesia

• Lidocaine cartridges with 1:50,000 epinephrine are color coded GREEN

• Lidocaine cartridges with 1:100,000 epinephrine are color coded RED
Lidocaine

• Lidocaine comes in a 2% concentration in a cartridge which equals 36 mg. of anesthetic per cartridge.
• The maximum recommended dose a patient may receive is 2 mg per pound or a total of 300 mg.
• If a patient weighs 120 lb., how many cartridges of lidocaine can they receive?
Calculating Maximum Cartridges

• 120 lb X 2 mg/lb = 240 mg maximum
• 240 mg ÷ 36 mg per cartridge = 6.6 cartridges
• How about if they weighed 200 lbs?
mepivacaine

- Mepivacaine does not dilate blood vessels as much as lidocaine, therefore,
- It can be used without a vasoconstrictor (often called “plain”)
- However, mepivacaine with a vasoconstrictor is available
- Pulpal anesthesia with “Plain” is 20 – 40 minutes, soft tissue 2-3 hours
“Plain” mepivacaine

- “Plain” mepivacaine comes as a 3% concentration in a cartridge or 54 mg per cartridge
- A “Plain” mepivacaine cartridge is color coded TAN
- The maximum recommended dose of mepivacaine ("plain" or with a vasoconstrictor) is 2.0 mg/lb of patient weight or a maximum of 300 mg
- 120 lb patient x 2.0 mg = 240 mg maximum
- 240 mg ÷ 54 mg per cartridge = 4.4 cartridges
mepivacaine

• Mepivacaine with a vasoconstrictor (usually levonordefrin) is a 2% concentration or 36 mg per cartridge.

• Mepivacaine cartridges with a vasoconstrictor is color coded BROWN.

• The maximum permissible dose of mepivacaine with a vasoconstrictor is 3.0 mg/lb of patient weight or a maximum of 500 mg.
Prilocaine

- It has one brand name - Citanest (no vasoconstrictor)
- A cartridge of prilocaine is color coded **BLACK**
- With a vasoconstrictor (1:200,000 epinephrine) it is called Citanest Forte
- A cartridge of prilocaine with vasoconstrictor is color coded **YELLOW**
Prilocaine

• Prilocaine comes in a 4% solution, so a cartridge contains 72 mg. of anesthetic
• The maximum recommended dose a patient may receive is 2.7 mg per pound or a maximum of 400 mg.
• How many cartridges of Citanest Can a 200 lb patient receive?
bupivacaine

• It has one brand name – Marcaine
• It contains a vasoconstrictor – 1:200,000 of epinephrine
• A cartridge is color coded **BLUE**
• Pulpal anesthesia is 1 – 3 hours, soft tissue anesthesia is 4-9 hours
Bupivacaine

- Bupivacaine is a .5% solution, a cartridge contains 9 mg of anesthetic.
- The maximum recommended dose is 0.6 mg per lb with a maximum of 90 mg.
- If a patient weighs 150 lbs, what is the maximum number of cartridges they can receive?
Articaine

- It comes with epinephrine, 1:100,000
- A cartridge is color coded **GOLD**
- Pulpal anesthesia is 60 to 75 minutes, soft tissue anesthesia is 3-6 hours
Articaine

- Articaine is a 4% solution, a cartridge contains 72 mg of anesthetic.
- The maximum recommended dose is 3.2 mg per lb with a maximum of 500 mg.
- If a patient weighs 150 lbs, what is the maximum number of cartridges they can receive? 6.6
Articaine

• Articaine cartridges say they contain 1.7ml, not the standard 1.8 ml
• The manufacturer cannot guarantee ALL cartridges contain 1.8 ml so they have to say 1.7 ml instead but most contain 1.8 ml
• Reports of parasthesia (prolonged anesthesia) are more frequent with Articaine
Which Local anesthetic to Use

- Lidocaine is most often used when a vasoconstrictor is not contraindicated
- Mepivacaine is used when a vasoconstrictor is contraindicated and the procedure is short
- Prilocaine is used when a vasoconstrictor is contraindicated and the procedure is long
- Bupivacaine is given when procedures are long or after treatment for pain control
- Articaine is very lipid soluble so is used when obtaining anesthesia is difficult