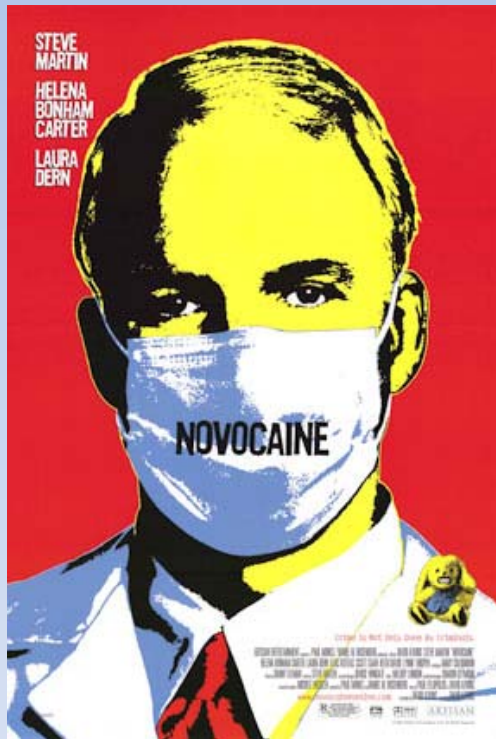


Local Anesthetics

History



- The first local anesthetics were cocaine and procaine (Novocain) 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

Local anesthetic	Half Life (in hours)
lidocaine	1.6
mepivacaine	1.9
bupivacaine	3.5
prilocaine	1.6
articaine	0.5

- 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**



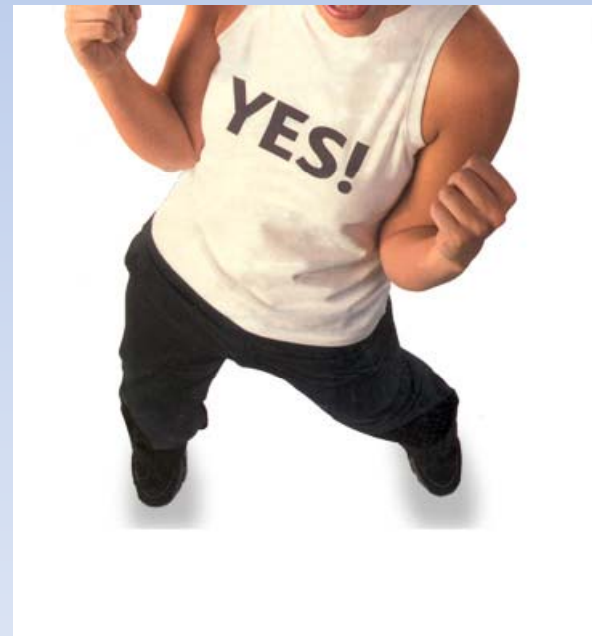
Lidocaine

- 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

- $120 \text{ lb} \times 2 \text{ mg/lb} = 240 \text{ mg maximum}$
- $240 \text{ mg} \div 36 \text{ mg per cartridge} = 6.6 \text{ cartridges}$
- 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



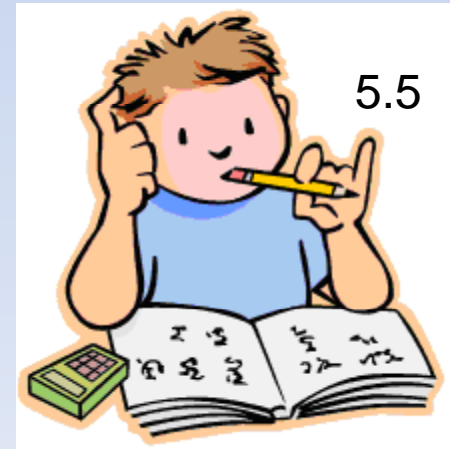
Prilocaine

- 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?



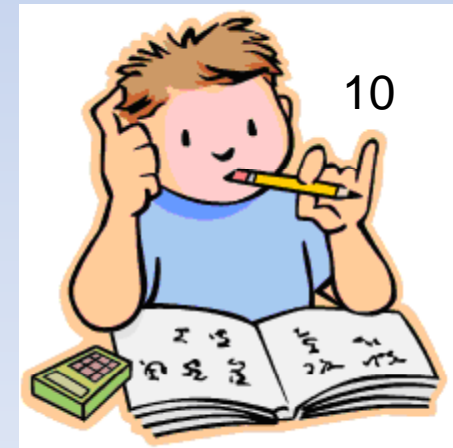
bupivacaine

- 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 0.6mg per lb with a maximum of 90 mg
- If a patient weighs 150 lbs, what is the maximum number of cartridges they can receive?



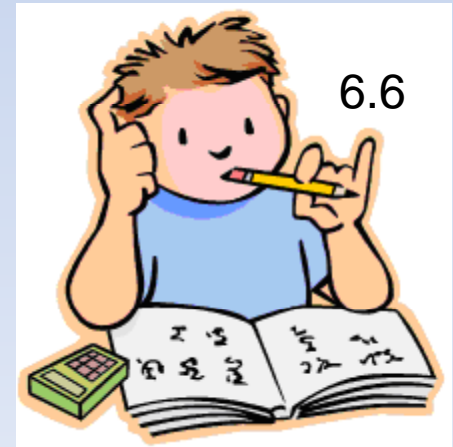
Articaine

- 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?



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