SPINE INTERVENTION SOCIETY
24TH ANNUAL SCIENTIFIC MEETING
Navigating the Changing Landscape in Spine Care
New Orleans Marriott

24TH ANNUAL SCIENTIFIC MEETING SLIDES
Duration of Action/Which Local Anesthetics to Use

Stephan Klessinger, Germany
No Disclosures
• Potency
• Speed of Onset
• Duration of Action
A Variety of Local Anesthetics

Cocaine – 1884

Problems:
addiction
not sterilizable

Sigmund Freud
Carl Koller
Chemical Characteristics

- Reversible effect
- Soluble in water
- Sterilizable
- Tissue compatibility
- Rapid onset

Cocaine – 1884

Procaine – 1905

Procaine:
- slow onset
- low potency
Procaine – Structure

pH 5 – 6

[C\text{BH}^+]
Potency

- Potency is related to lipid solubility
- The more lipophilic, the more readily it permeates neuronal membranes
- greater affinity for sodium channels

<table>
<thead>
<tr>
<th></th>
<th>octanol:water partition coefficient</th>
</tr>
</thead>
<tbody>
<tr>
<td>Procaine</td>
<td>100</td>
</tr>
<tr>
<td>Tetracaine</td>
<td>5822</td>
</tr>
</tbody>
</table>

Cocaine – 1884

Procaine – 1905

Tetracaine – 1930
**Speed of onset**

- It is the un-ionized form that more readily diffuses across the nerve membrane.
- \( p\text{Ka} = \text{pH} \) at which a given drug is half ionized and half un-ionized.
- \( p\text{Ka} \) approximates physiologic tissue pH means faster onset.
- \( p\text{Ka} > 9 \): nearly no effect of LA.
- Low tissue pH (inflammation): less effect of LA.
- Higher concentration speeds the rate of onset.

<table>
<thead>
<tr>
<th></th>
<th>octanol:water partition coefficient</th>
<th>( p\text{Ka} )</th>
</tr>
</thead>
<tbody>
<tr>
<td>Procaine</td>
<td>100</td>
<td>8.9</td>
</tr>
<tr>
<td>Tetracaine</td>
<td>5822</td>
<td>8.4</td>
</tr>
</tbody>
</table>
Classes

Esters

Cocaine – Procaine – Tetracaine – Benzocaine

Amides

Lidocaine – Bupivacaine – Mepivacaine – Ropivacaine

...i... -caine → Amides

Allergic reactions

Cocaine – 1884
Procaine – 1905
Tetracaine – 1930
Lidocaine – 1944
Bupivacaine – 1963
## Esters – Amides

<table>
<thead>
<tr>
<th></th>
<th>octanol:water partition coefficient</th>
<th>Relative Potency</th>
<th>pKa</th>
<th>Onset</th>
<th>Type</th>
</tr>
</thead>
<tbody>
<tr>
<td>Procaine</td>
<td>100</td>
<td>1</td>
<td>8.9</td>
<td>slow</td>
<td>Ester</td>
</tr>
<tr>
<td>Tetracaine</td>
<td>5822</td>
<td>8</td>
<td>8.4</td>
<td>slow</td>
<td>Ester</td>
</tr>
<tr>
<td>Lidocaine</td>
<td>366</td>
<td>2</td>
<td>7.7</td>
<td>fast</td>
<td>Amide</td>
</tr>
<tr>
<td>Bupivacaine</td>
<td>3420</td>
<td>8</td>
<td>8.1</td>
<td>slow</td>
<td>Amide</td>
</tr>
</tbody>
</table>
Duration of Action

Protein binding
Metabolism
Site of injection
Channels
Duration of Action – Protein Binding

Greater protein binding

*⇒* longer associated with neural membrane

*⇒* longer duration of action

<table>
<thead>
<tr>
<th>Drug</th>
<th>Octanol:Water Partition Coefficient</th>
<th>Relative Potency</th>
<th>pKa</th>
<th>Onset</th>
<th>Protein Binding</th>
</tr>
</thead>
<tbody>
<tr>
<td>Lidocaine</td>
<td>366</td>
<td>2</td>
<td>7.7</td>
<td>fast</td>
<td>64 %</td>
</tr>
<tr>
<td>Bupivacaine</td>
<td>3420</td>
<td>8</td>
<td>8.1</td>
<td>slow</td>
<td>96 %</td>
</tr>
</tbody>
</table>
Duration of Action – Metabolism

**Esters:**
- plasma pseudocholinesterase
  - para-aminobenzoic acid (PABA) → allergic reaction
- more rapidly catabolized, shorter duration of action

**Amides:**
- metabolism via hepatic P450 enzyme system
- nearly all metabolism via the liver
- clearance is highly dependent on hepatic blood flow.
Duration of Action – Site of injection

Protein binding
Metabolism
Site of Injection
Channels

- The duration of LA action depends on the absorption from the site of injection
  - dependent on the blood supply
- The more vascular the location, the more rapidly the agent is absorbed.

subcutaneous > intercostal > caudal > epidural > peripheral nerve > intrathecal

the use of epinephrine is not recommended for spine procedures
Duration of Action – Channels

- used pre-emptively, local anaesthetics act on closed channels. They prevent depolarization
- used to block ongoing pain, local anesthetics may act on open channels
  ⇒ longer duration of action
<table>
<thead>
<tr>
<th>Relative Potency</th>
<th>Onset</th>
<th>Duration of Action</th>
</tr>
</thead>
<tbody>
<tr>
<td>Procaine</td>
<td>1</td>
<td>slow</td>
</tr>
<tr>
<td>Tetracaine</td>
<td>8</td>
<td>slow</td>
</tr>
<tr>
<td>Lidocaine</td>
<td>2</td>
<td>fast</td>
</tr>
<tr>
<td>Bupivacaine</td>
<td>8</td>
<td>slow</td>
</tr>
<tr>
<td>Ropivacaine</td>
<td>8</td>
<td>slow</td>
</tr>
</tbody>
</table>
We Need Two Local Anesthetics

Comparative medial branch blocks with:
- short acting: lidocaine
- long acting: bupivacaine

Response:
- concordant
- discordant
- discrepant

Duration of Action

- No absolute duration of action for a given local anesthetic
- Typical mean duration. Duration different for every patient
- However, in a given patient: short-acting shorter than long-acting
- Duration measurable in hours, not days
Thank you!

- Baker R, Garg V, Bogduk N. Local Anesthetic Primer for the Interventional Pain Specialist. SIS