



SPINE INTERVENTION SOCIETY

24TH ANNUAL SCIENTIFIC MEETING

Navigating the Changing Landscape in Spine Care

New Orleans Marriott

July 27-30, 2016

24TH ANNUAL SCIENTIFIC MEETING SLIDES



Duration of Action/Which Local Anesthetics to Use

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No Disclosures

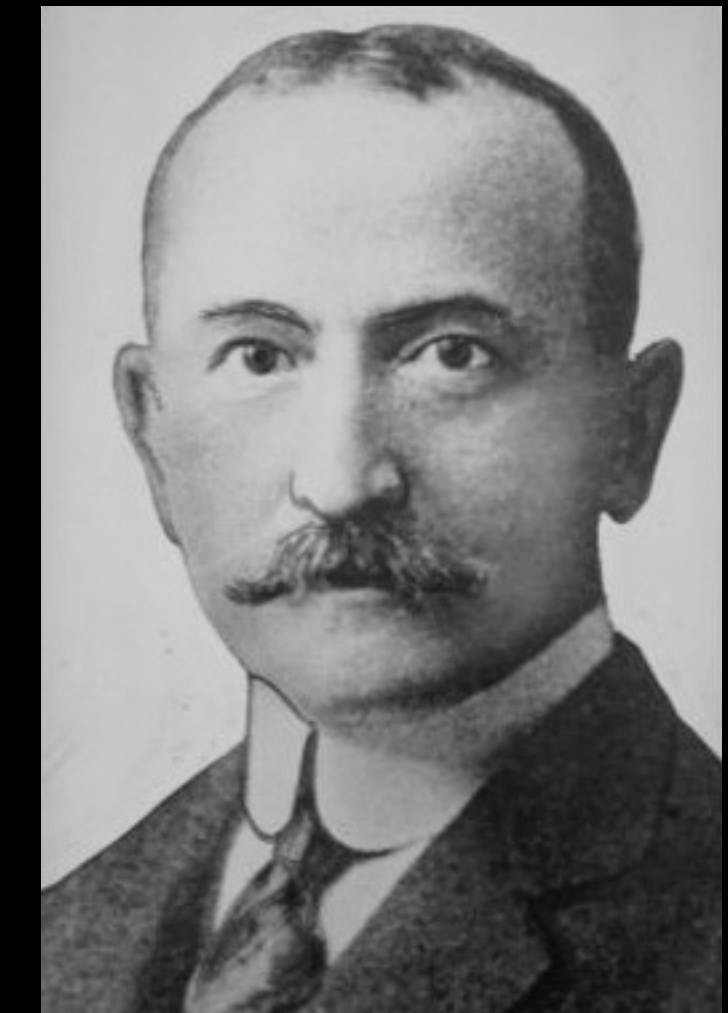
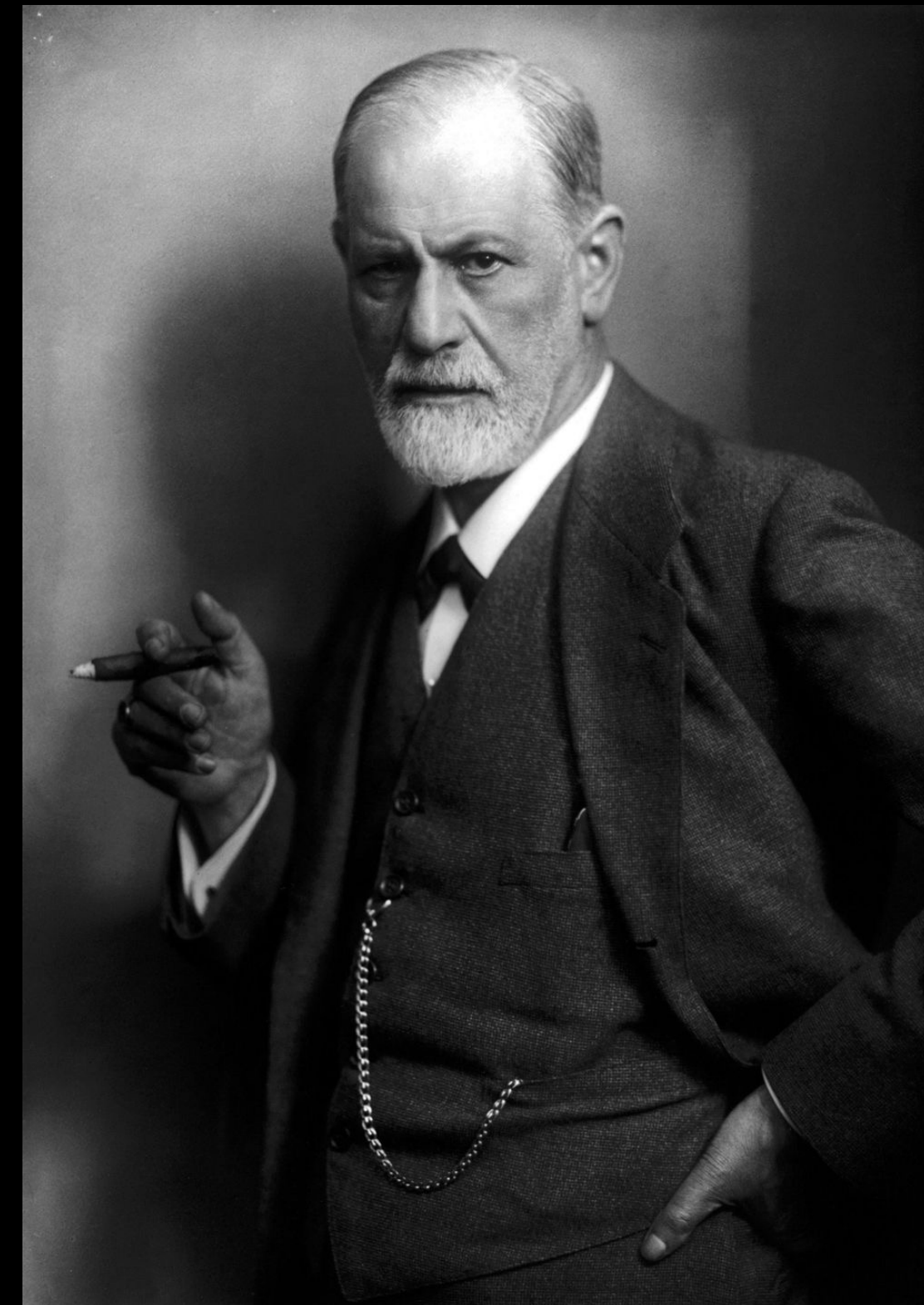


- Potency
- Speed of Onset
- **Duration of Action**

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

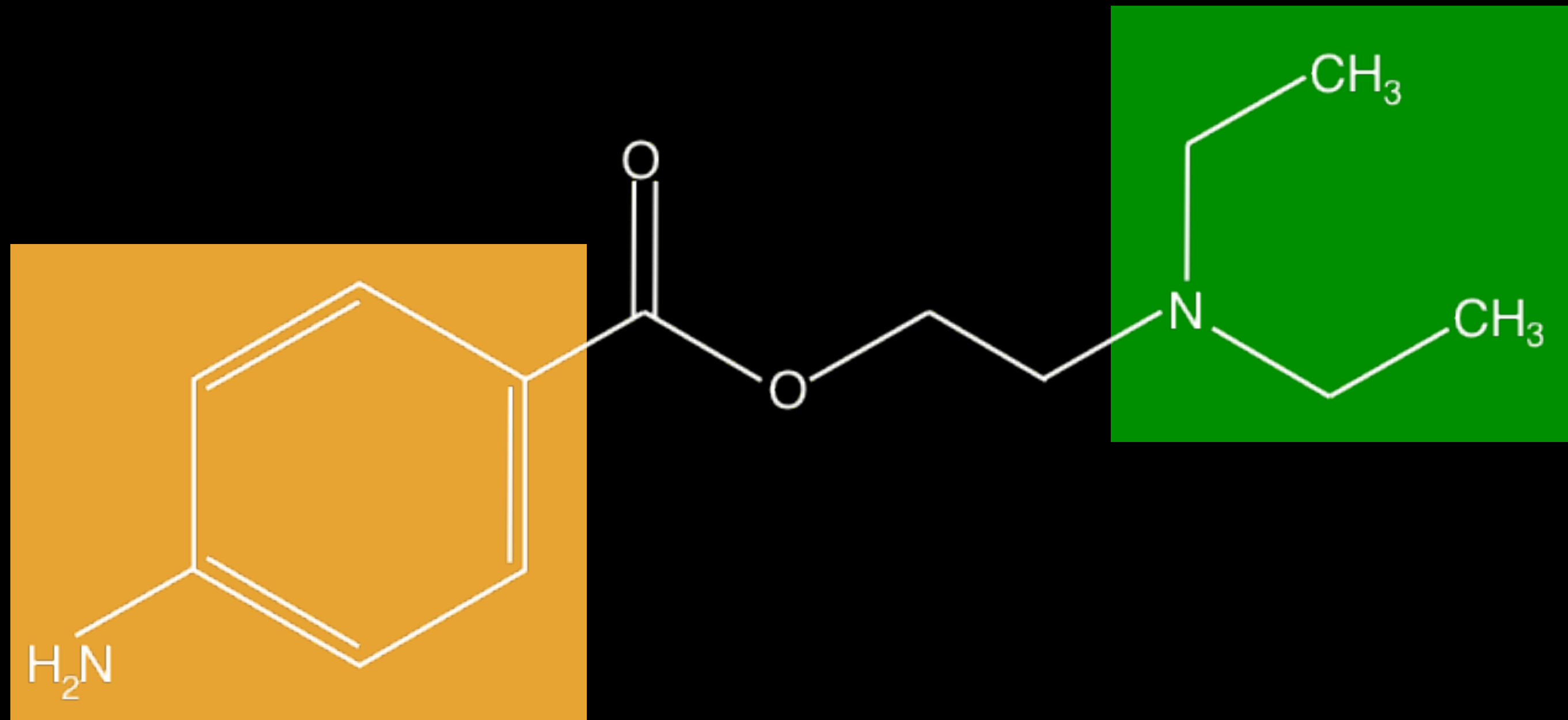
Cocaine – 1884



Procaine – 1905

Procaine:
slow onset
low potency

Procaine – Structure



pH 5 – 6

[BH⁺]

Cocaine – 1884



Procaine – 1905

Potency

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

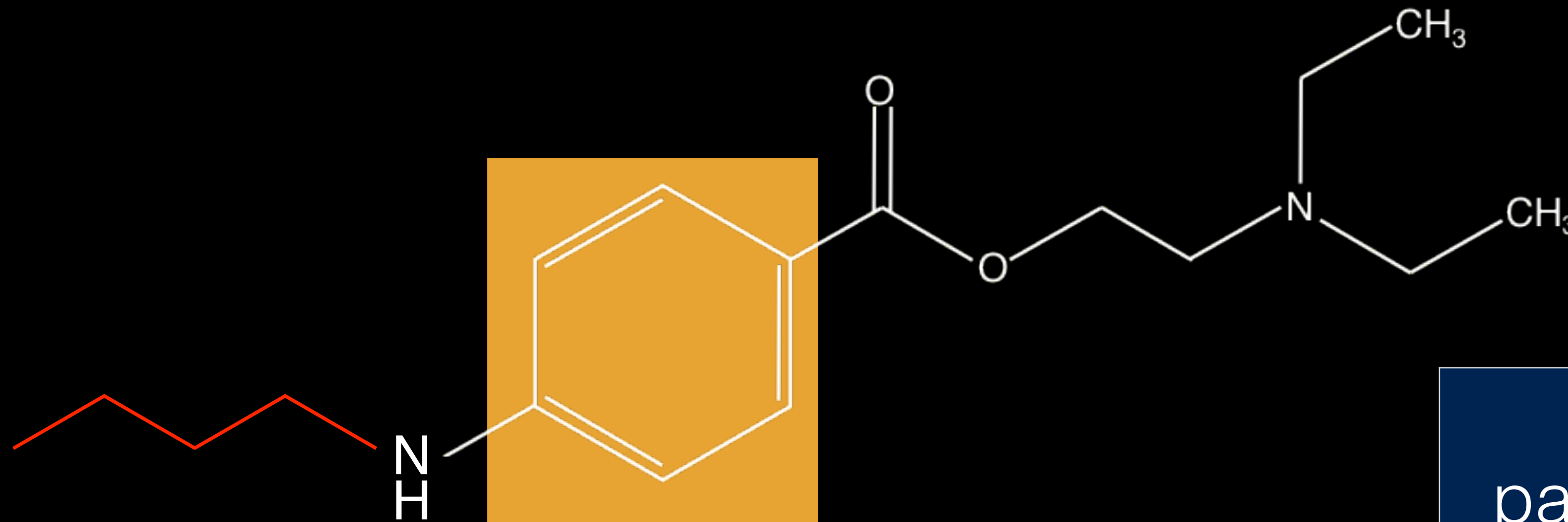
Cocaine – 1884



Procaine – 1905



Tetracaine – 1930



octanol:water
partition coefficient

Procaine

100

Tetracaine

5822

Speed of onset



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

Cocaine – 1884



Procaine – 1905

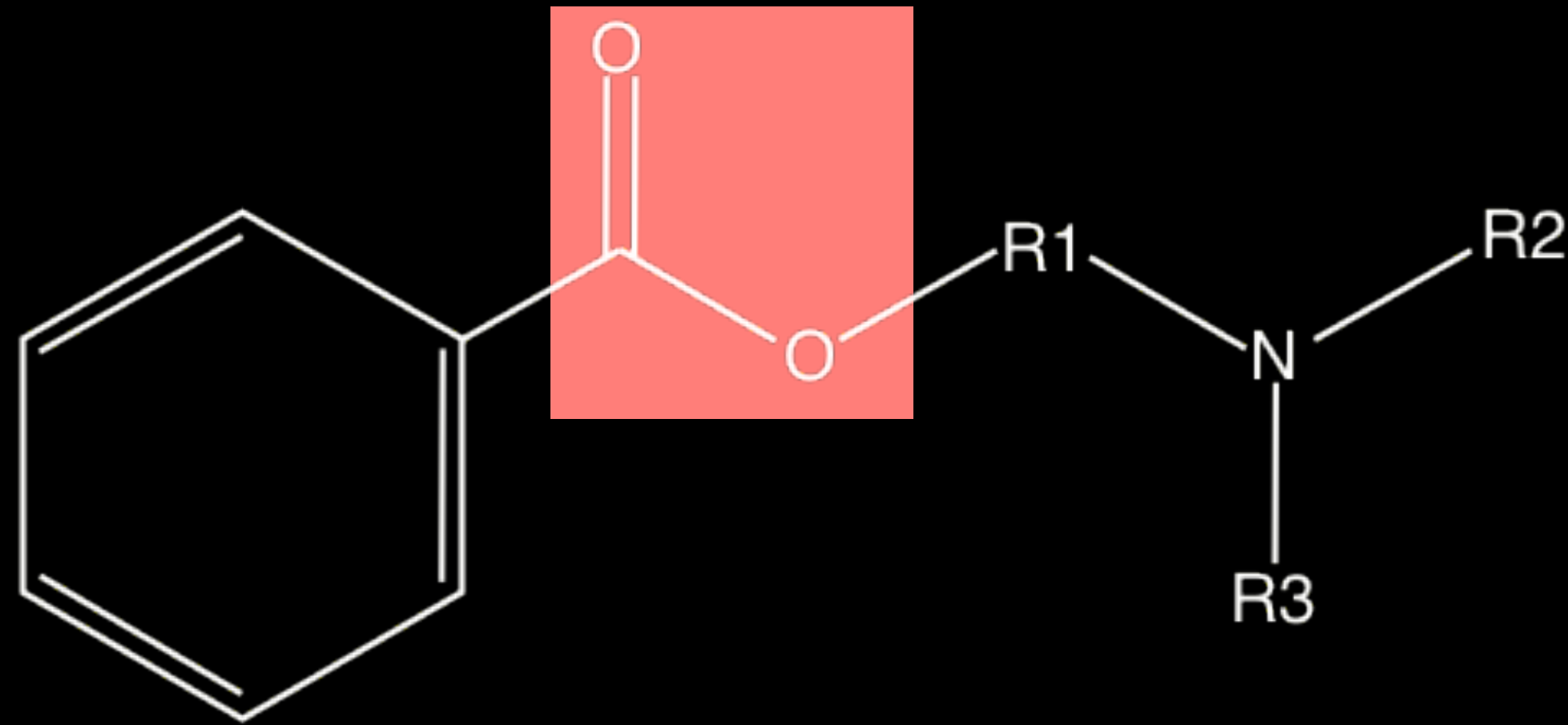


Tetracaine – 1930

	octanol:water partition coefficient	pK_a
Procaine	100	8.9
Tetracaine	5822	8.4

Classes

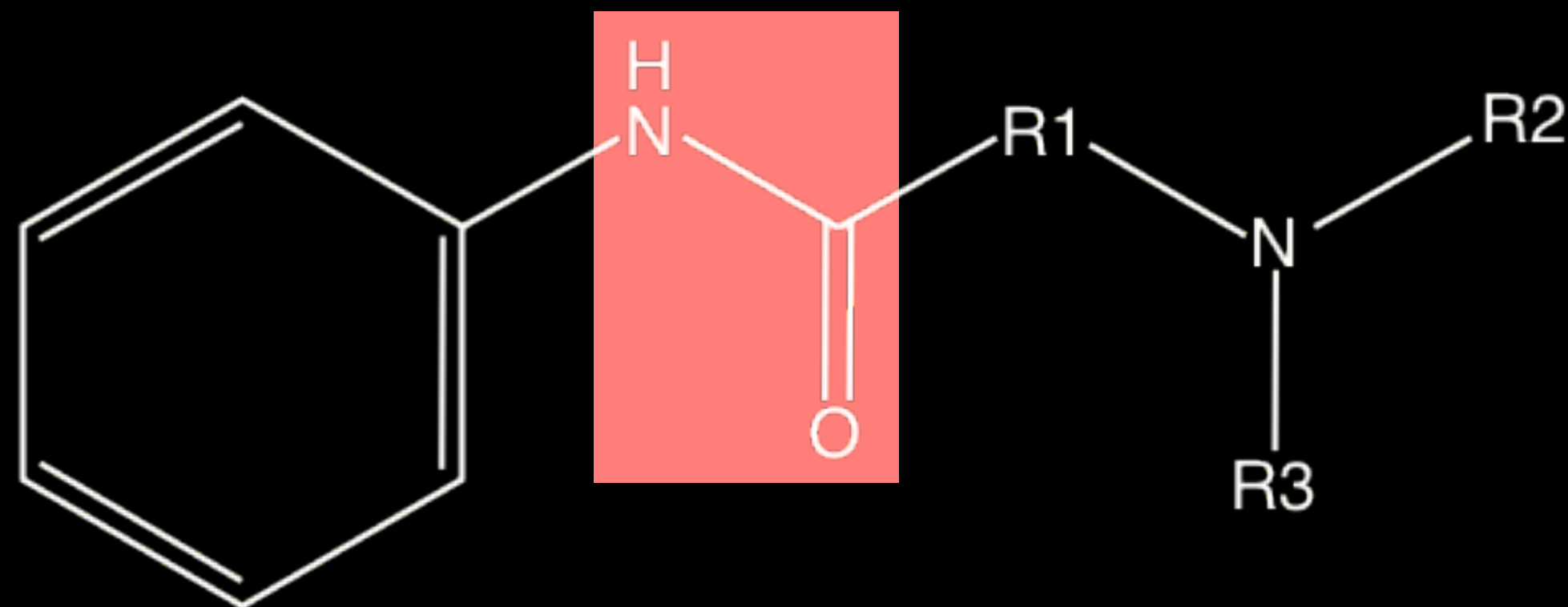
Esters



Allergic reactions

Cocaine – Procaine – Tetracaine – Benzocaine

Amides



Lidocaine – Bupivacaine – Mepivacaine – Ropivacaine
...i...-caine → Amides

Cocaine – 1884



Procaine – 1905



Tetracaine – 1930



Lidocaine – 1944



Bupivacaine – 1963

Esters – Amides

	octanol:water partition coefficient	Relative Potency	pKa	Onset	Type
Procaine	100	1	8.9	slow	Ester
Tetracaine	5822	8	8.4	slow	Ester
Lidocaine	366	2	7.7	fast	Amide
Bupivacaine	3420	8	8.1	slow	Amide

Duration of Action

Protein binding

Metabolism

Site of injection

Channels



Duration of Action – Protein Binding

Protein binding

Metabolism

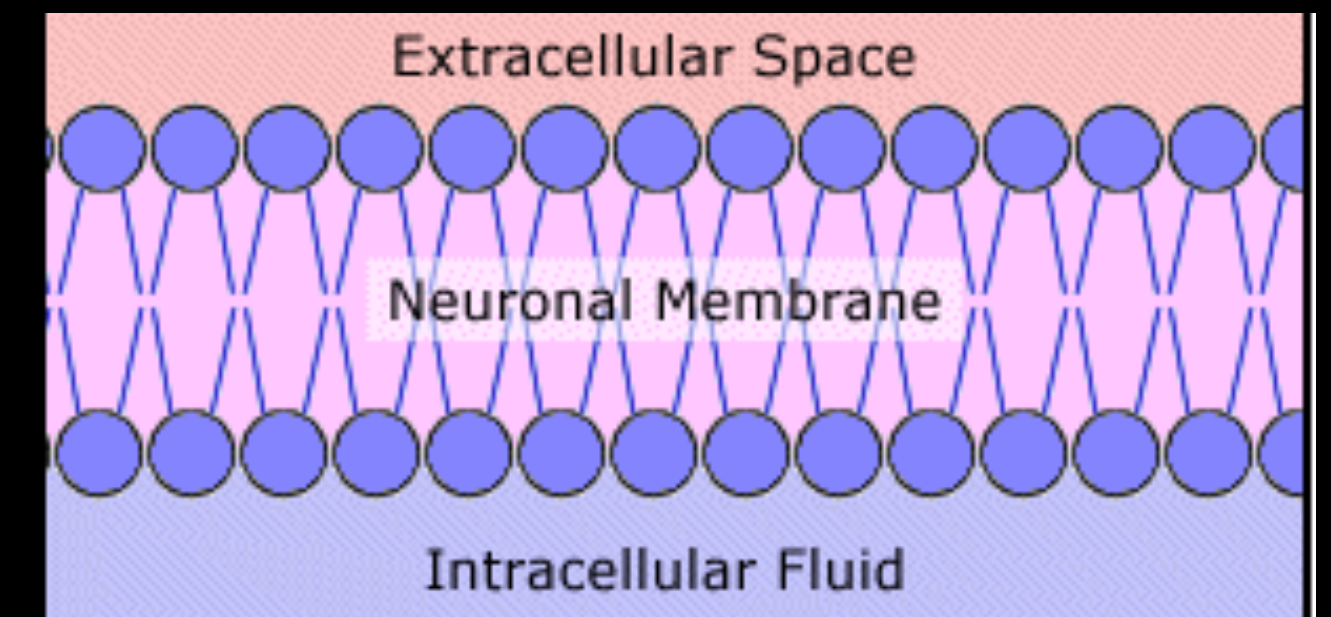
Site of injection

Channels

Greater protein binding

⇒ longer associated with neural membrane

⇒ longer duration of action



	octanol:water partition coefficient	Relative Potency	pKa	Onset	Protein binding
Lidocaine	366	2	7.7	fast	64 %
Bupivacaine	3420	8	8.1	slow	96 %

Duration of Action – Metabolism

Protein binding

Metabolism

Site of injection

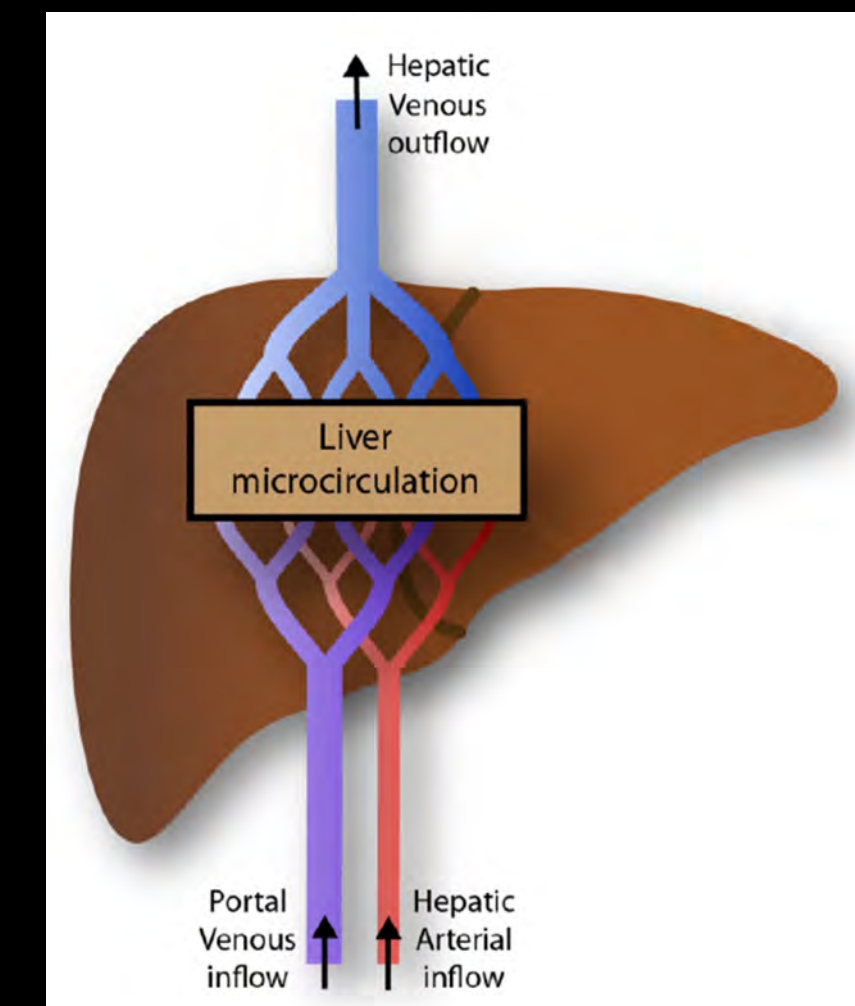
Channels

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

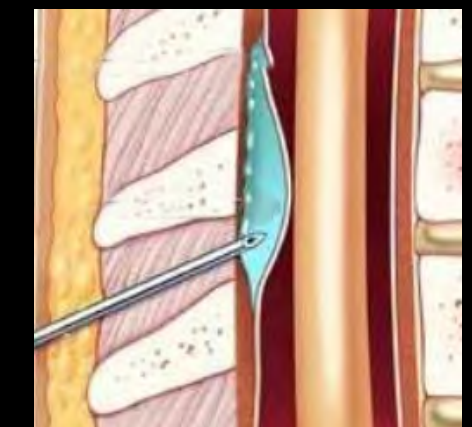
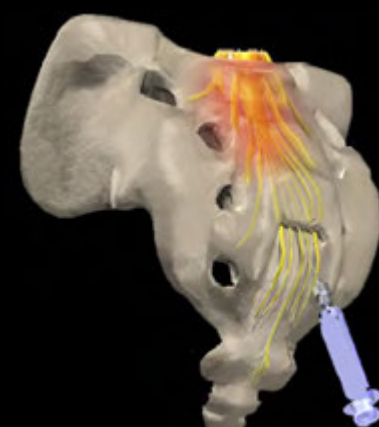
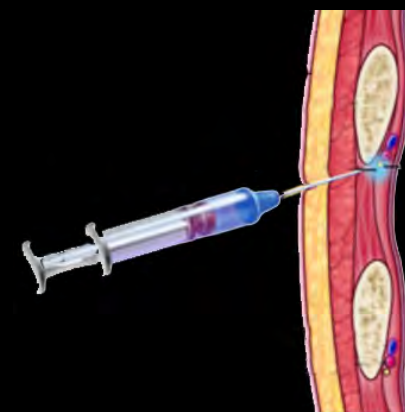
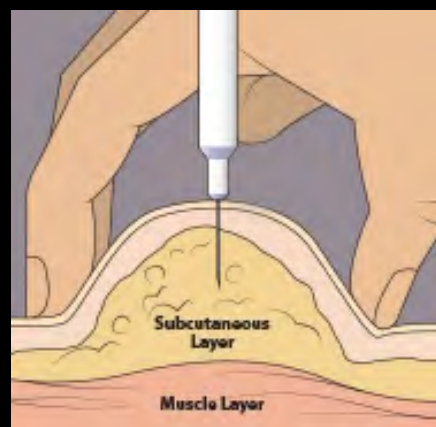
Metabolism

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

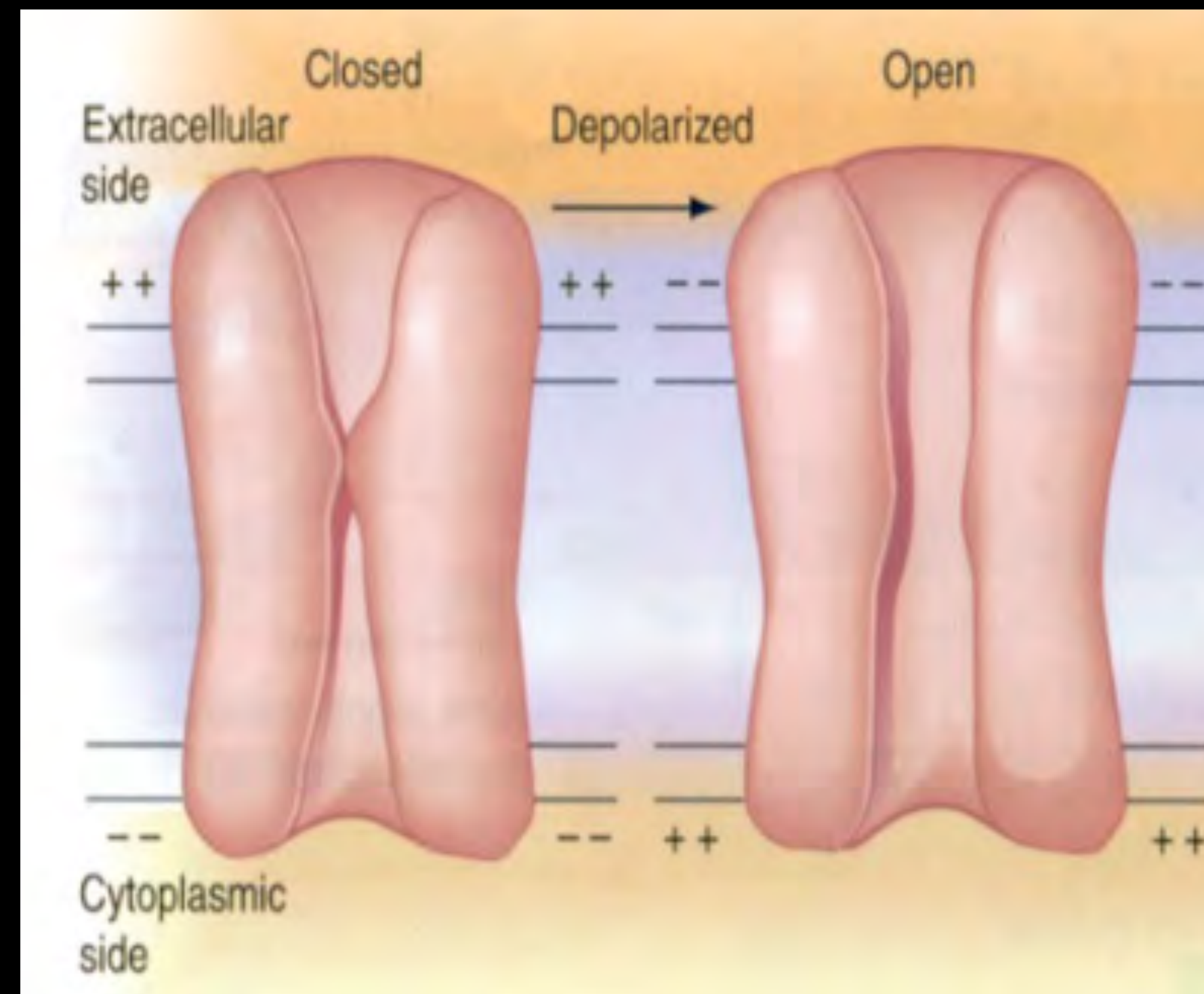
Protein binding

Metabolism

Site of injection

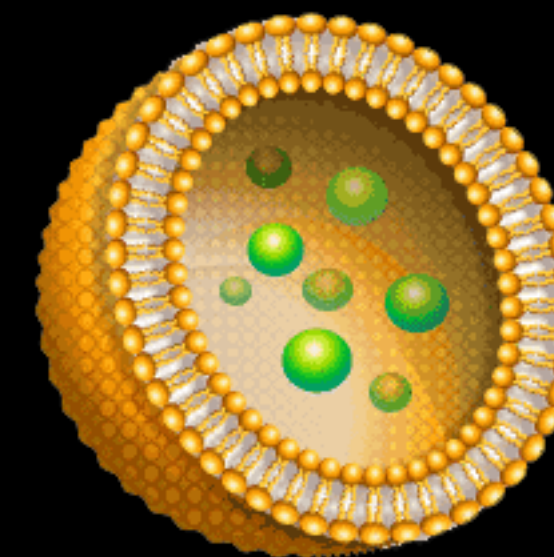
Channels

- 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



Overview

	Relative Potency	Onset	Duration of Action
Procaine	1	slow	20 – 30'
Tetracaine	8	slow	1.5 – 2 h
⇒ Lidocaine	2	fast	30 – 60'
⇒ Bupivacaine	8	slow	2 – 4 h
Ropivacaine	8	slow	2 – 4 h



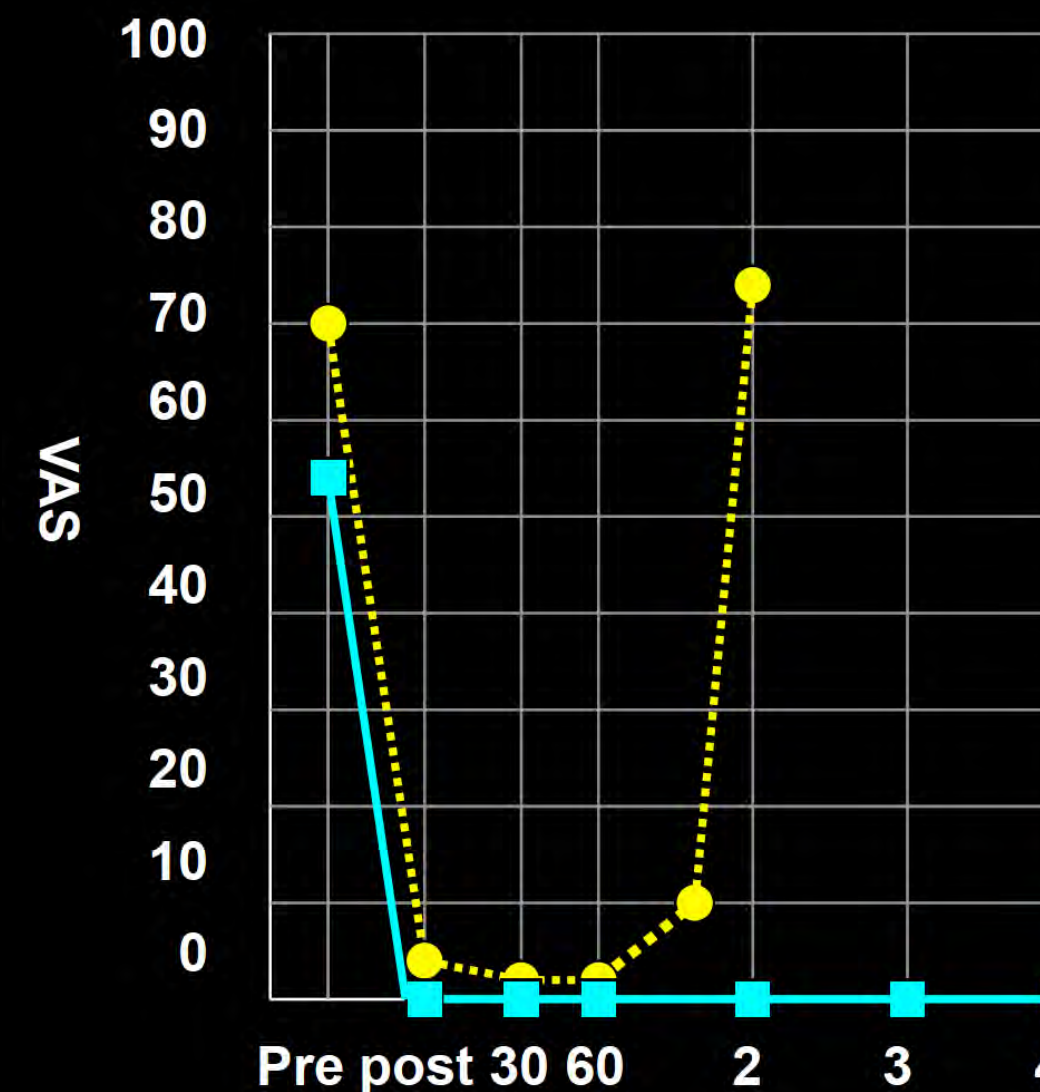
We Need Two Local Anesthetics

Comparative medial branch blocks with:

short acting

long acting

lidocaine
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!

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