Local anaesthetics (LA)

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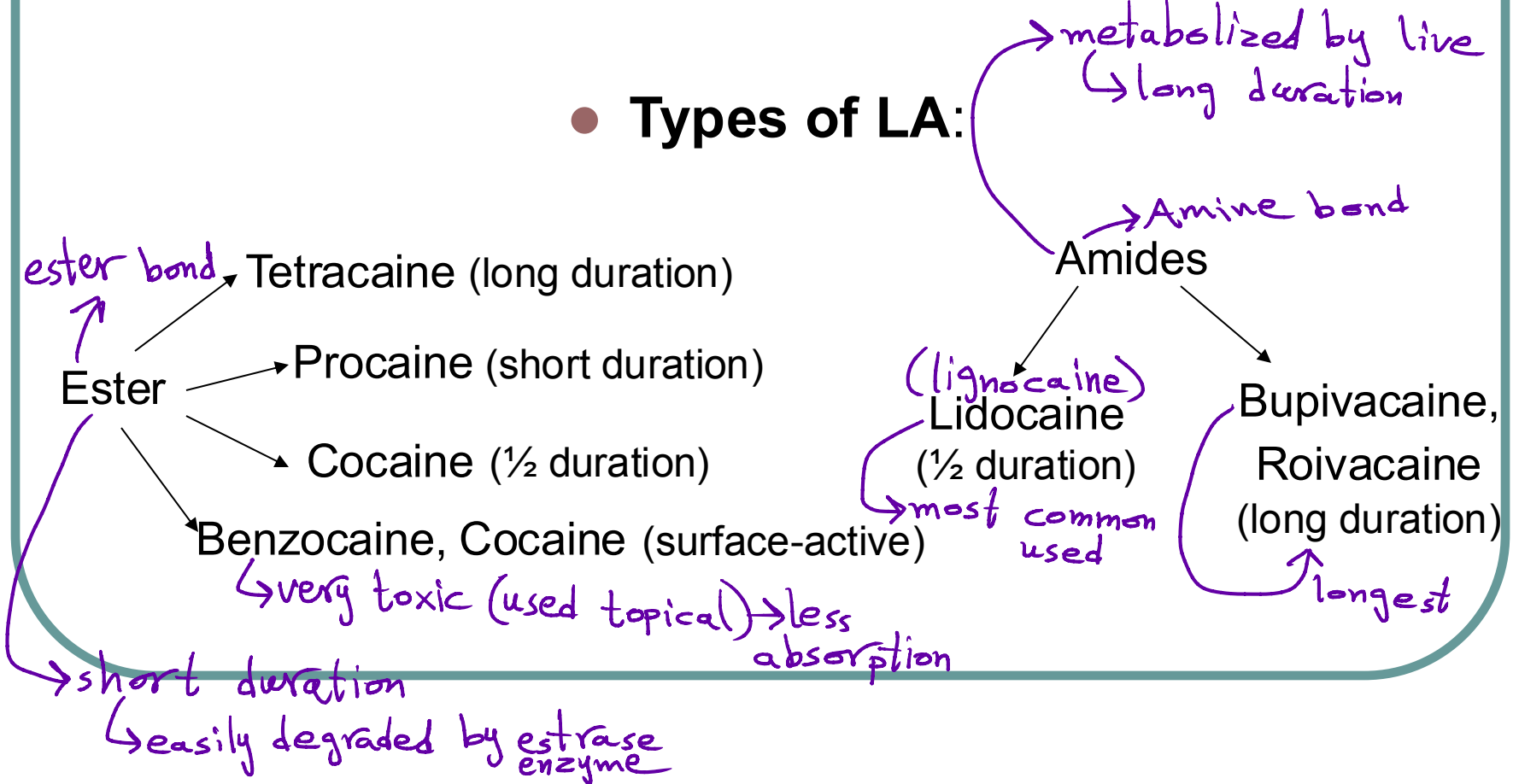
Local Anaesthetic (LA)

- Produces localized loss of sensation **without loos** of consciousness.
- **Types of LA**:



Pharmacology of LA

Desirable properties

- Water soluble → injection
- Non-irritant
- Rapid onset
- Suitable duration of action
- Non-toxic locally

Local effects:

- Local anaesthetic (membrane stabilizing) effect due to:
  - Blockade of Na-channels in nerve cells
  - Interferes with action potential generation
  - Interference with propagation of impulses

abscess → inflammation → change ph (acidic) → LA ionized → can't enter
- → General anaesthesia
- → give it with bicarbonate

Pharmacology of LA; local effects

- Differential effects on nerve fibres
- Nerve fibres are:
  - A-fibres (motor functions; largest)
  - B-fibres (autonomic function)
  - C-fibres (somatic sensation; smallest)

Pharmacology of LA; local effects

- Smallest C-fibres are the earliest affected
- A-fibres are the last affected

- 1st function lost is pain
- Last function lost is motor function
- Due to the large surface area of the C-fibres

Pharmacology of LA; systemic effects

- Unwanted (with large doses or repeated)
- CNS stimulation:
  - Anxiety, tremor even convulsions
- CNS depression:
  - Coma, respiratory depression, hypotension
- CVS depression:
  - Hypotension, myocardial depression

Pharmacokinetics

Absorption of LA from:

- Intact skin: all poor
- Mucous membrane:
  - Amides: well and rapidly absorbed
  - Esters: poorly absorbed
- Injection sites: both are absorbed
  - → sub cutaneous
  - → no I.M or I.V

Termination of action of LA

- Effects terminated by removal from the site
- Vasoconstrictors: delay local absorption:
  - Increase duration of local effects
  - Decrease systemic toxicity
- Examples: adrenaline, NA, felypressin

Contraindications of vasoconstrictors with LA

- CV diseases
- Certain area (fingers, toes, penis)
- Halothane general anaesthesia → ↑Adrenaline
- Tricyclic AD therapy → prevent reuptake of Adrenaline

Pharmacology of LA

- Onset of action: within 5min
- Duration of action: 1-1.5 hrs
- Metabolism:
  - Amides in the liver
  - Esters in the liver and in the plasma
- Smaller doses:
  - Liver disease or in HF

Clinical uses of LA

- Minor surgical operations
- Painful mucous membrane lesions
- Before endoscopy
- With GA in high risk patients
  - Elderly, CV, respiratory disease

Technique of LA administration

- Surface anaesthesia (jelly, cream, solution)
- Infiltration anaesthesia
- Regional anaesthesia:
  - Peripheral nerve block (brachial plexus)
  - Spinal anaesthesia
  - epidural anaesthesia

Adverse reactions

- Overdosage toxicity
- Allergy:
  - Systemic (asthma and anaphylaxis)
  - Local (rashes and dermatitis)
- Spinal anaesthesia adverse reactions
  - Headache, hypotension, infection, trauma
- Vasoconstrictor adverse effects
- keratitis

most common

Precautions during LA

- Proper doses
- Avoid vasoconstrictors in certain areas
- Use premedications (diazepam) → sedation → ↓dose of LA
- Avoid intravascular injection
- Avoid injection into infected areas
- Avoid injections into traumatized urethra
- Felypressin with LA in CV disease and tricyclic AD

Amides examples

- Lignocaine (lidocaine) less allergy than other
- Prilocaine similar to lignocaine
- Bupivacaine: long acting (t½ 3hrs) used in obstetrics (longest → less effect on CVS)
- Alacaine surface LA to the eye

Ester examples

- Procaine (Novocaine)
- Benzocaine for mucous membrane lessions
- Cocaine
  - Rarely used, has vasoconstrictor effect (blocks amine uptake)

Ethyl chloride

- Volatile liquid
- In containers under pressure
- Acts by local cooling and freeezing of tissues
- Useful to incise abscess