

Local anaesthetics (LA)

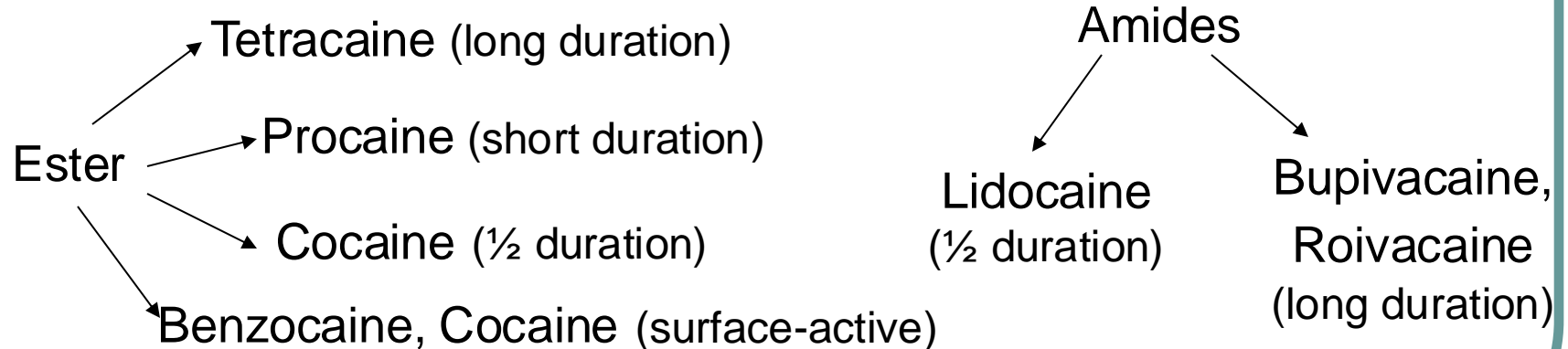


Dr. Saed M. Al-Dallaen
Mu'tah University, Medicine faculty,
Jordan, 2025

Local Anaesthetic (LA)

- Produces localized loss of sensation **without loss** of consciousness.

- **Types of LA:**



Pharmacology of LA

Desirable properties

- Water soluble
- 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

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

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
- Tricyclic AD therapy

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

Precautions during LA

- Proper doses
- Avoid vasoconstrictors in certain areas
- Use premedications (diazepam)
- 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** less allergy than other
- **Prilocaine** similar to lignocaine
- **Bupivacaine**: long acting ($t_{1/2}$ 3hrs) used in obstetrics
- **Alacaine** surface LA to the eye

Ester examples

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

Ethyl chloride

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