

# Pharmacokinetics

What the body does to the drug

# Pharmacokinetics of Local Anaesthetics

- Drug **absorption**
- Drug **distribution**
- Drug **metabolism**
- Drug **excretion**

# LA absorption

- LA drug is in dynamic equilibrium within the nerve cell
- LA drug is taken up by the local circulation when it is outside nerve cell
- The more **vascular** the **tissue**, the more **rapid** the **absorption** into the local circulation
- The **greater the vasodilatory effect of the LA drug, the shorter its action** as an anaesthetic

# LA distribution

- LA carried on plasma proteins within blood **to all body tissues**
- Can potentially anaesthetise all excitable cells
  - **Brain and spinal cord**
  - **Cardiac muscle**
- Very important that only a **low dose** of LA drug reaches the circulation, over a **long period**
- Therefore ASPIRATE SYRINGE prior to delivering LA solution
  - ensure that needle tip is not within e.g. the posterior tibial artery
- **Rapid absorption** from the site of delivery causes a **high blood level of drug**

# LA metabolism and excretion

- LA within blood is metabolised at first pass **in liver**
  - Cimetidine competes for the same liver receptors as LA drugs
- **Liver metabolites** of LA carry **10% of initial LA action**
  - Patients with poor liver function probably are unsuitable for LA
- Liver LA-metabolites picked up by hepatic circulation and thence into the general circulation and taken to:
  - **Lungs**: exhaled
  - **Gut**: expelled
  - **Skin**: sweat
  - **Kidney**: **urine**

# CONTRAINDICATIONS TO LA

- Few major contraindications – but many ‘use with caution’
  - – e.g.:  $\beta$ -blockers; Amiodarone; Cimetidine
- Some drugs
  - – e.g.: Tricyclic antidepressants, MAOIs
- Reduced liver and kidney function
  - Remember many DM patients have nephropathy
- History of ADR to LA
  - Atopic individuals
- Many contraindications to the patient’s suitability to the procedure to be done under LA

# Drugs that can contraindicate LA

- MAOIs and Adrenaline
  - either as adrenalised LA solution
  - OR if used in emergency
- Anti-cholinesterases
  - Neostigmine – used to treat myasthenia gravis
  - Quinine
- Glaucoma drops
  - = B-Blockers, also slow the heart rate
- $\beta$ -blockers and other anti-arrhythmics
- Anti-epileptics
  - Phenytoin = CNS suppressants)

# Drugs regimes that indicate the patient may not be suitable for surgery

- Corticosteroids → • Suppress healing
- Immunosuppressives → • Proneness to infection
- Anti-coagulants → • Cardiac arrhythmias, proneness to clotting
- Antidiabetic agents → • Poor healing, proneness to infection
- NSAIDs and aspirin → • Suppress healing
- Nifedipine / Inositol → • Peripherhal vascular disease



# How suitable for SURGERY are these patients?

History of previous adverse / anaphylactoid reaction to the LA

History of atopy (hayfever, eczema, asthma)

Local sepsis or infection

Poor peripheral circulation

History / current liver disease

Sick, frail or undernourished patients

Cardiac disease / heart attack within past 6/12

Haemophilia / sickle cell

Diabetes mellitus

Lymphoma (their medication may contraindicate the use of LA)

Renal failure

Pregnancy

# If you are not sure.....

- ***A good maxim is 'if in doubt. don't'.***
- ***A useful indicator is that the patient has had dental LA without adverse incident*** (but no guarantees.....)