Learning unit: Basics of pharmacokinetics

Impact of the learning unit:

To gain knowledge of pharmacokinetics, together with knowledge of the mechanism of action of drugs, and to select an appropriate drug administration route, dosage form, and dose of the drug. This will enable students to predict changes in the effect of the drug due to various factors such as comorbidities, age, sex or concomitant medications.

Important terms

movement of drug molecules across biological membranes within the body

- diffusion through the phospho-lipid bilayer
- diffusion through membrane pores
- carrier-mediated transport
  - facilitated transport
  - active transporters

binding of drugs to plasma proteins

pharmacokinetic processes

pharmacokinetic parameters

- primary
- secondary

invasion of drug

drug absorption

- maximum concentration of drug achieved in plasma (c_{max})
- time needed to achieve maximum plasma concentration (t_{max})
- bioavailability (F)
  - absolute
  - relative
- bioequivalence
- area under the curve (AUC)
- absorption rate constant (k_a)

pre-systemic elimination

- first-pass effect
- P-glycoprotein
- cytochrome P450

distribution of drugs

- rate of distribution
- distribution equilibrium
- volume of distribution (Vd)
- redistribution

drug elimination

- clearance (Cl)
- elimination rate constant (k_e)
- half-life ($T_{1/2}$)

1st order kinetics (linear kinetics)

zero order kinetics (non-linear kinetics, saturation kinetics)

drug metabolism

- phase 1 reactions
- phase 2 reactions
    - cytochrome P450
        - induction of P450
        - inhibition of P450
- genetic polymorphism of biotransformation enzymes
- biodegradation and bioactivation of drugs and prodrugs

drug excretion

- alteration of excretion
- renal excretion
    - glomerular filtration
    - tubular secretion

tubular reabsorption

liver excretion

enterohepatic recirculation

factors affecting pharmacokinetic processes

pharmacokinetic interactions

Learning outcomes

Students will know the principles of drug molecule movement across the cell barriers in the body

Students understand individual pharmacokinetic processes and know which pharmacokinetic parameter they describe

Students know and can explain the principles of linear and non-linear pharmacokinetics

Students can predict basic pharmacokinetic interactions and understand their mechanism.

Students can calculate the dose of a drug based on the required plasma concentration, distribution volume and bioavailability.

Students can calculate time needed to eliminate the drug based on $T_{1/2}$.

Study materials:

Rang & Dale's pharmacology: Chapters 8,9,10 (pp. 101-131)

Handouts and lecture No. 3