Introduction to Pharmacology/High School (Nurse)

Pharmacology deals with:

- **pharmacodynamics** − the mechanisms and effects of drugs → what a drug does to an organism,
- **pharmacokinetics** − the fate of drugs in the body (absorption, distribution, metabolism, excretion).

For didactic reasons, we divide pharmacology into:

- **general pharmacology**
- **special pharmacology**

General pharmacology

Defines, on the basis of experiments, the generally valid laws manifested in the interaction between the organism and the pharmaceutical. Its knowledge is a prerequisite for understanding special pharmacology.

Special pharmacology

Classifies drugs in terms of **pharmacodynamics.** It studies the properties of drugs in their specific form. Examines and determines their pharmacokinetics.

Recipes

A set of basic provisions that must be followed when handling and creating a prescription.

Medicinal forms

- more about on Medicinal forms

Drug Elimination

- more about on Elimination of drugs (https://www.wikilectures.eu/w/Elimination_of_drugs)
- Determined by the chemical properties of the substance of interest.

  → water-soluble substances can be eliminated relatively quickly by the kidneys (PNC)
  → fat-soluble drugs are poorly excreted in urine → require transport binding to proteins (e.g. plasma albumin) that are not present in urine → lipophilic drugs require conversion to more hydrophilic metabolites, i.e. are **biotransformed**

Biotransformation - metabolism

- Processes occurring predominantly in the liver that are mediated by a number of enzymes.
- Two phases:

  Phase I reactions → change in drug structure (oxidation, reduction, hydrolysis).

  - Enzymes of the cytochrome P450 family. A metabolite often retains some liposolubility.

  Phase II reactions → conjugation reactions, such as binding to glucuronic acid, sulfuric acid, or glycine → metabolites with larger molecules and good water solubility to allow hepatic and renal elimination.

Excretion

- I.e. the removal of a substance from the internal environment of the body.
- It takes place mainly in the liver and kidneys, less significantly in the lungs, intestine, salivary and sweat glands, etc.
- Binding during excretion by the liver is substrate specific, therefore drug-drug interactions may occur when multiple drugs are administered simultaneously.

Distribution

- Means the penetration of the drug from the systemic circulation into the tissues.
- The process of distribution is strongly influenced by the properties of the administered substance.

  - For example, highly lipophilic drugs rapidly penetrate barriers and therefore tend to rapidly escape from the circulation and concentrate in tissues.
  - Hydrophilic drugs are unable to penetrate barriers and remain predominantly in the blood or extracellular fluid (see below).

Volume of distribution - Vd

- This quantity relates the dose administered to the concentration achieved:
- more about on Mathematical description of pharmacokinetic processes

Receptors

- Receptor mechanisms → the substance acts through a receptor, i.e. protein macromolecules with which it reacts and thereby induces a cellular response,

  → when endogenous or exogenous regulatory substances interact, they trigger a series of events that manifest themselves as a phamacological effect.

- **Affinity** - characterizes the ability of a substance to bind at a given concentration to a given receptor.
- **Intrinsic activity** - the ability of an attractant to elicit an effect.
- **Agonist** - binds to a receptor and produces an effect by interacting with it. (Full agonist = 100% effect)¨¨

    - **Partial agonist** - has little agonist effect when acting alone, competitively antagonizes their effect when acting with stronger agonists.

- **Antagonist** - inhibits the effect of agonists but has no effect on its own.

    - Reversibly interacting antagonists are referred to as competitive antagonists (they have relatively high affinity but very low intrinsic activity).
    - Non-competitive antagonists either bind irreversibly to the receptor while having very low intrinsic activity or inhibit the signal transduction induced by the agonist.

Non-receptor mechanisms

- Influenced by the chemical properties of substances or their interaction with other protein molecules, e.g. in body fluids.
- **These include:**

    - Increase in substrate supply (e.g., used to treat Parkinson's disease),
    - administration of a false precursor (e.g., α-methylnoradrenaline instead of NA is formed at the terminals),
    - Blockade of bioactive substance degradation (e.g., inhibition of a Physostigmine leading to acetylcholine accumulation; also e.g., inhibition of MAO),
    - affecting DNA function (cytostatics),
    - action of antibiotics and chemotherapeutics (affecting metabolism and function of the micro-organism).

Doses

- more about on Relationship between dose, plasma level and effect

Changes with repeated administration

- more about on Tachyphylaxis
- more about on Tolerance
- After repeated administration, **allergy** may occur on immunological grounds.

Drug interactions

- more about on Drug interactions

Links

External links

- Recipe basis in czech (http://portal.med.muni.cz/clanek-553-zaklady-receptury-lecivych-pripravku.html)

Sources

- MUDR. PETR VOJTÍŠEK, . *Základy farmakologie* [lecture for subject Modul Algeziologie, specialization Sestra pro intenzivní péči – postgraduální studium, Vyšší odborná škola zdravotnická škola Střední a vyšší zdravotnická škola Ústí nad Labem]. Ústí nad Labem. 11.11. 2011.