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Basic Principles of Pharmacology

Pharmacology

Pharmacokinetics, pharmacodynamics, absorption, distribution, metabolism, excretion, drug receptors, dose-response relationship, half-life and bioavailability.

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CHAPTER 02 / PHARMACOLOGY 02. Basic Principles of Pharmacology

1. Introduction

Drug response depends on both drug exposure and the sensitivity of the biological target. Pharmacokinetics describes what the body does to the drug; pharmacodynamics describes what the drug does to the body. Understanding the distinction helps explain onset, duration, dose adjustment, treatment failure and toxicity.

2. Pharmacokinetics - ADME

Process	Description	Factors influencing it
Absorption	Entry of drug into systemic circulation	Route, solubility, gastric emptying, blood flow, interactions.
Distribution	Movement between blood and tissues	Perfusion, protein binding, lipid solubility, tissue barriers.
Metabolism	Biochemical conversion of drug	Liver function, enzyme induction or inhibition, genetics.
Excretion	Removal of drug or metabolites	Renal function, filtration, secretion, reabsorption, biliary elimination.

Absorption and bioavailability

Oral medicines usually dissolve and are absorbed in the gastrointestinal tract. Some undergo presystemic metabolism in the gut and liver before reaching systemic circulation, known as the first-pass effect. IV administration bypasses absorption and has bioavailability of 100%. Oral bioavailability can be reduced by incomplete absorption or first-pass metabolism. Modified-release formulations change the rate of release. Food may improve or reduce absorption depending on the drug.

Distribution

Albumin binds many acidic drugs, while alpha-1 acid glycoprotein binds many basic drugs. Unbound drug is generally available to interact with targets and undergo elimination. Low albumin, oedema and pregnancy may affect distribution. The apparent volume of distribution (Vd) relates the amount of drug in the body to its plasma concentration; it is a theoretical volume, not necessarily an anatomical space.

Metabolism

Phase I reactions include oxidation, reduction and hydrolysis; cytochrome P450 enzymes are important in many oxidative reactions. Phase II reactions include conjugation, such as glucuronidation. Metabolism may inactivate a drug, activate a prodrug or generate active or toxic metabolites. Enzyme induction can reduce exposure to susceptible drugs; inhibition can increase exposure. Effects may differ when the drug is a prodrug.

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Excretion and clearance

Renal elimination includes filtration of unbound drug, tubular secretion and reabsorption. Other routes include bile, faeces and exhaled air. Clearance is the theoretical volume of plasma cleared of drug per unit time. Impaired kidney or liver function may prolong exposure. Follow the specific product's renal dosing method rather than assuming all drugs use the same estimated filtration value.

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3. Half-life, steady state and dose timing

Half-life is the time for plasma drug concentration to fall to half its previous value during the relevant elimination phase. With linear first-order kinetics, t1 2 = 0.693 x Vd / clearance. Approximately four to five half-lives are needed to approach steady state with regular dosing or to eliminate most of a drug after stopping. Some drugs have nonlinear kinetics, active metabolites or prolonged tissue effects, so these rules are approximations.

Worked example: A concentration of 80 mg/L and half-life of 4 hours gives 40 mg/L after 4 hours, 20 mg/L after 8 hours, and 10 mg/L after 12 hours, assuming first-order elimination and no further dose.

Loading doses aim to reach a target concentration promptly. Maintenance doses replace eliminated drug. The conceptual relationships are loading dose = target concentration x Vd / bioavailability; maintenance dose rate = target concentration x clearance / bioavailability. These equations require clinically validated parameters and are not a substitute for a prescription.

4. Pharmacodynamics and receptors

Target type	Example of mechanism
Ligand-gated ion channel	Nicotinic acetylcholine receptors control ion flow.
G-protein-coupled receptor	Beta-adrenergic receptors alter intracellular signalling.
Enzyme-linked receptor	Insulin receptor has tyrosine kinase activity.
Intracellular receptor	Steroid hormones alter gene expression.
Enzyme / transporter	ACE inhibitors inhibit an enzyme; SSRIs inhibit a transporter.

An agonist activates a receptor. A partial agonist produces a lower maximal effect in a given system. An antagonist reduces agonist action without activating the receptor in the conventional sense. Competitive antagonism may be overcome by increasing agonist concentration under appropriate conditions; noncompetitive inhibition often reduces maximum response.

5. Dose-response relationship

- Potency is the dose or concentration needed to produce a specified effect; efficacy is the maximum effect obtainable in a given system.

- ED50 is a median effective dose in a defined population or experimental context. TD50 is a median toxic dose.

- Therapeutic index = TD50 / ED50 (or LD50 / ED50 in preclinical contexts). It is an approximate safety measure, not an individualized dosing rule.

- A narrow therapeutic window requires careful monitoring. Examples include lithium, digoxin and some antiepileptics.

- Tolerance is reduced response after repeated exposure. Tachyphylaxis is a rapid reduction in response. Dependence is physiological adaptation that may produce withdrawal on discontinuation; it is not identical to addiction.

6. Factors modifying drug response

Age, body composition, pregnancy, genetic variation, kidney and liver function, nutrition, disease severity, drug interactions, adherence and timing all influence response. Pharmacogenetic examples include variable CYP2D6 metabolism of codeine and increased risk of selected adverse reactions with particular genetic variants. Testing decisions follow clinical guidance.

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7. Nursing importance and clinical application

A patient receiving a renally eliminated medicine develops oliguria and rising creatinine. Review the prescription and recent laboratory results, assess toxicity, communicate with the prescriber and pharmacist before the next dose when safety is uncertain, and document the action. Do not make an unapproved dose change. For therapeutic drug monitoring, collect samples at the specified time relative to dosing; document the last administration time, sample time and current regimen.

8. Important examination questions

Long answer questions

1. 1. Describe ADME and factors affecting each process.
2. 2. Explain drug receptors, dose-response curves, potency and efficacy.
3. 3. Explain half-life, clearance and bioavailability with clinical examples.

Short answer questions

- First-pass metabolism; enzyme induction and inhibition; therapeutic index; loading and maintenance dose; agonist and antagonist.

Very short answer questions

- What is IV bioavailability? - 100%.

- What is Vd? - Apparent volume relating total drug amount to plasma concentration.

- How long to approach steady state in linear kinetics? - About four to five half-lives.

9. Quick revision

ADME = absorption, distribution, metabolism, excretion. Drug effect is influenced by receptor activity and exposure. First-pass metabolism may reduce oral bioavailability. Potency is not efficacy. Renal and hepatic impairment may require individualized treatment.

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Conclusion

Pharmacokinetic and pharmacodynamic principles explain medicine timing, variability and toxicity, helping nurses make appropriately informed monitoring and escalation decisions.

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Practice questions

Choose one best answer. The answer key and explanations follow the questions.

1. Why can first-pass metabolism reduce the bioavailability of an oral medicine?

A. It stops renal elimination completely
B. Some drug is metabolized before reaching systemic circulation
C. It prevents every drug from dissolving
D. It makes all drug remain protein-bound

2. Which drug fraction is generally available to interact with biological targets?

A. Only drug stored in fat
B. Only drug already excreted in urine
C. Unbound drug
D. Only drug bound to albumin

3. For a susceptible active drug, what can inhibition of its metabolizing enzyme do?

A. Always eliminate exposure
B. Guarantee faster clearance
C. Prevent any active drug from entering blood
D. Increase drug exposure

4. A renally cleared medicine is prescribed to a patient whose kidney function has markedly declined. Which concern should the nurse raise?

A. Reduced oral bioavailability as the inevitable effect
B. Drug accumulation and a possible need for prescriber-led dose or interval adjustment
C. Increased hepatic first-pass metabolism as the direct effect
D. An immediate allergic reaction as the inevitable effect

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Answer key and explanations

1. B - Some drug is metabolized before reaching systemic circulation

Presystemic metabolism in the gut and liver reduces the amount reaching systemic circulation.

2. C - Unbound drug

Unbound drug can interact with targets and undergo elimination.

3. D - Increase drug exposure

Enzyme inhibition can reduce metabolism and increase exposure; prodrugs can behave differently.

4. B - Drug accumulation and a possible need for prescriber-led dose or interval adjustment

Reduced renal clearance may prolong drug elimination and increase exposure. Dose or interval changes require the authorised prescriber and the specific medicine guidance.

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