INTRODUCTION TO PHARMACOKINETICS
It is obtained from Greek word “Kinesis” meaning “movement”.
“Pharmacokinetics is the study of drug absorption, distribution, metabolism and excretion (ADME).”
Pharmacokinetics is currently defined as the study of the time course of drug absorption, distribution, metabolism, and excretion.
“It deals with the movement of drug molecule under the influence of biological, physicochemical and formulation factors.”
Factors that are important in controlling drug concentration at the site of action are studied in pharmacokinetics. These factors are important for the optimal use of drugs.
Clinical pharmacokinetics is the application of pharmacokinetic principles to the safe and effective therapeutic management of drugs in an individual patient.

Applications of pharmacokinetics:
The knowledge of pharmacokinetics is implemented in the following:

i. Dosage regimens:
Dosage regimen is a systematized dosage schedule having two variables, the size of each dose and the frequency of dose.
Dosage regimen estimation is based on the specific patient consideration and is known as individualization or optimization. This is required on the basis of age, weight, sex, disease state, liver function, pregnancy etc.
So, pharmacokinetics is used to calculate dosage regimen.

ii. Drug switching:
During a therapy course, a patient is switched over from one brand of drug or from one route to another (i.e. from IV to IM). This conversion may cause fluctuations in the drug concentration in body.
Pharmacokinetics study helps to reduce the fluctuation.

iii. Determination of route of administration:
Route of administration may affect drug pharmacokinetics.
A drug administered through oral route may demonstrate first pass effect.
A drug administered via IM route may demonstrate erratic drug release.
A drug administered via IV may precipitate at injection site.
So, the pharmacokinetic evaluation is required in determination of route.

iv. Calculation of dose:
The pharmacokinetics also helps in choosing the loading dose (a large initial dose given to achieve the effective plasma concentration) and maintenance dose (Dose of a drug at regular and fixed time intervals to maintain its effective concentration in body).

v. Prediction of drug interaction:
Pharmacokinetics studies are helpful in assessment of drug-drug and food-drug interactions that interfere at drug absorption, distribution, metabolism and excretion.

vi. Prediction of drug accumulation:
Pharmacokinetics studies are implemented in the assessment of rate and extent of drug accumulation (protein binding).

vii. Identification of pharmacokinetics variables:
Pharmacokinetics is employed to identify various patients, physiologic and disease variables that could alter clinical response i.e. liver function.

viii. Bioequivalence study:
The bioequivalence studies evaluate differences in the availability between formulations. These studies are based on pharmacokinetic evaluation of formulations.
GOALS OF PHARMACOKINETICS
Primary goals of clinical pharmacokinetics include enhancing efficacy and decreasing toxicity of a patient's drug therapy. The development of strong correlations between drug concentrations and their pharmacologic responses has enabled clinicians to apply pharmacokinetic principles to actual patient situations.

A drug's effect is often related to its concentration at the site of action, so it would be useful to monitor this concentration. Receptor sites of drugs are generally inaccessible to our observations or are widely distributed in the body, and therefore direct measurement of drug concentrations at these sites is not practical. For example, the receptor sites for digoxin are believed to be within the myocardium, and we cannot directly sample drug concentration in this tissue. However, we can measure drug concentration in the blood or plasma, urine, saliva, and other easily sampled fluids (Figure 1-1).

![Diagram of drug in blood and tissue](image1)

**Figure 1-1.** Blood is the fluid most often sampled for drug concentration determination.

Kinetic homogeneity describes the predictable relationship between plasma drug concentration and concentration at the receptor site (Figure 1-2).

![Diagram of plasma drug concentration versus concentration in tissues](image2)

**Figure 1-2.** Relationship of plasma to tissue drug concentrations.

Changes in the plasma drug concentration reflect changes in drug concentrations in other tissues. As the concentration of drug in plasma increases, the concentration of drug in most tissues will increase proportionally. Similarly, if the plasma concentration of a drug is decreasing, the concentration in tissues will also decrease. Figure 1-3 is a simplified plot of the drug concentration versus time profile after an intravenous drug dose and illustrates the property of kinetic homogeneity.
The property of kinetic homogeneity is important for the assumptions made in clinical pharmacokinetics. It is the foundation on which all therapeutic and toxic plasma drug concentrations are established. That is, when studying concentrations of a drug in plasma, we assume that these plasma concentrations directly relate to concentrations in tissues where the disease process is to be modified by the drug (e.g., the central nervous system in Parkinson's disease or bone in osteomyelitis). This assumption, however, may not be true for all drugs.

**Figure 1-3.** Drug concentration versus time.

REFERENCE


*Applied Biopharmaceutics And Pharmacokinetics, By Leon Shargel*