

Biopharmaceutics and Pharmacokinetics (Theory)

BP602T

A Textbook for B. Pharm Semester VI

As per the new Pharmacy Council of India (PCI) Syllabus 2026 (NEP 2020)

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Preface

This book is an account of biopharmaceutics and pharmacokinetics written for the undergraduate pharmacy student following the Pharmacy Council of India syllabus, specifically the sixth-semester course BP602T. Its aim is to present the subject as a connected whole rather than a set of isolated formulae. The plasma concentration–time curve is treated throughout as the central observable from which almost every parameter is read or derived; the processes of absorption, distribution, metabolism, and excretion provide the organising frame; and each pharmacokinetic model is developed as a deliberately simplified description chosen because it is useful, not because it is literally true.

The mathematics has been derived rather than asserted wherever it could be, on the conviction that an equation understood is an equation remembered. Every worked example has been checked numerically, and the figures have been drawn afresh for this book in a plain style suited to print. The treatment keeps the Indian student in view, taking the Indian Pharmacopoeia as the primary compendium and the Indian regulatory frame as the default, while noting the international standards a graduate will also meet.

The book is intended to serve three readers at once: the student meeting the subject for the first time, the reviser returning to it before an examination, and the candidate preparing the night before. Definitions are stated plainly, the harder points are dwelt on, and the common misconceptions are named and corrected where they arise.

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Course Objectives

The objectives of this course are to:

1. Describe fundamental biopharmaceutics and pharmacokinetic principles governing drug absorption, distribution, metabolism, and excretion.
2. Analyze the physicochemical and biological factors that determine drug bioavailability, protein binding, and elimination pathways.
3. Illustrate compartmental pharmacokinetic models, and their evaluations through application of mathematical equations to calculate key parameters.
4. Design, conduct, and interpret bioavailability, bioequivalence, and in vitro–in vivo correlation studies.
5. Apply pharmacokinetic principles to optimize dosing regimens—including loading and maintenance doses and steady-state kinetics—and utilize software tools to analyze both linear and non-linear drug kinetics.

Course Outcomes

Upon successful completion of this course, the students will be able to:

1. Interpret fundamental pharmacokinetic and pharmacodynamic principles and apply them to patient-centered clinical scenarios.
2. Evaluate the impact of physicochemical and biological variables on drug absorption, distribution, and protein binding in clinical practice.
3. Differentiate among bioavailability classifications and develop bioequivalence study protocols that comply with international regulatory standards.
4. Solve pharmacokinetic problems using compartment models by employing numerical techniques.
5. Design optimal dosing regimens, interpret non-linear pharmacokinetic behaviors, and utilize simulation software for PK/PD analysis.

Syllabus

Unit	Topics	No. of lectures
I	Introduction to Biopharmaceutics and pharmacokinetics: Introduction to various Pharmacokinetic parameters (using Plasma drug Concentration vs Time curve) and Pharmacodynamic parameters and drug delivery index. Absorption: Mechanisms of drug absorption through GIT, Physicochemical, Biological and Dosage form related factors influencing drug absorption through GIT, methods of Assessment of GIT absorption. Distribution: Tissue permeability of drugs, binding of drugs, apparent volume of drug distribution, plasma and tissue protein binding of drugs, factors affecting protein-drug binding. Kinetics of protein binding, Clinical significance of protein binding of drugs.	10 Hours
II	Elimination (Metabolism and Elimination): Drug metabolism and basic understanding of metabolic pathways renal excretion of drugs, factors affecting renal excretion of drugs, renal clearance, non renal routes of drug excretion of drugs. Bioavailability and Bioequivalence: Definition and Objectives of bioavailability, absolute and relative bioavailability, Introduction to BCS and biopharmaceutical drug disposition classification system, methods of measurement of bioavailability (Plasma data, Urinary excretion data), Protocol for assessment of bioavailability and bioequivalence studies. in-vitro drug dissolution methods (test apparatus I-VII), biorelevant dissolution mediums, in-vitro in-vivo correlations: Concept and Applications, Biowaivers, Methods of enhancement of bioavailability.	10 Hours

III	Pharmacokinetic Models: Compartment Models: Definition, Basis of classification, Properties of compartment, Advantages and disadvantages of compartment modelling. Kinetic considerations of One compartment open model. (a) Intravenous Injection (Bolus/rapid) (b) Intravenous infusion and (c) Extra-vascular administration (with emphasis on Curve Fitting, Wagner-Nelson, Loo Riegelman). Introduction to non-compartment model: Principles, estimation of PK parameters (AUC, AUMC, MRT, MAT statistical moment theory).	10 Hours
IV	Multicompartment models: Multiple dosage regimen: dosing interval, drug accumulation, loading dose, maintenance dose. PK Parameters Kinetic consideration of two compartment open model (a) Intravenous Injection (Bolus/rapid) and (b) Extra vascular administrations (oral administration). Kinetics of multiple dosing, steady state drug levels, calculation of loading and maintenance doses and their clinical significance. Multiple dosage regimen. Introduction to pharmacokinetic consideration of Modified release drug products.	9 Hours
V	Nonlinear Pharmacokinetics: Introduction, Reasons for Non-linearity, Michaelis-menton method of estimating parameters, Explanation with example of drugs. Application of PK software: Introduction to various in-silico methods for calculating various PK parameters.	6 Hours

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Chapter 1: Introduction to Biopharmaceutics and Pharmacokinetics

Learning outcomes

After studying this chapter the student will be able to:

- 1. Define biopharmaceutics, pharmacokinetics, and pharmacodynamics, and place each within the sequence of events that follows the administration of a dose.*
- 2. Describe the plasma concentration–time curve obtained after a single dose and identify the absorption, post-absorption, and elimination regions on it.*
- 3. Read the pharmacodynamic parameters from the curve: minimum effective concentration, maximum safe concentration, therapeutic window, onset, duration, and intensity of action.*
- 4. Read the principal pharmacokinetic parameters from the curve and state, in outline, the meaning of the elimination rate constant, half-life, apparent volume of distribution, clearance, area under the curve, and bioavailability.*
- 5. Explain the therapeutic index and the therapeutic window as measures of drug safety, and distinguish them from the drug targeting (delivery) index used in targeted drug delivery.*
- 6. Recognise a first-order process and use a semilogarithmic plot to linearise it.*

1.1 Introduction

A drug taken by mouth or injected does not act where it is placed. It must reach the systemic circulation, distribute to the tissue that contains its site of action, produce an effect, and then be removed from the body. Biopharmaceutics and pharmacokinetics are the two disciplines that describe this journey quantitatively, and pharmacodynamics describes what the drug does once it arrives.

Biopharmaceutics is the study of the relationship between the physicochemical properties of a drug substance, the dosage form in which it is given, and the route of administration, on the one hand, and the rate and extent of systemic drug absorption on the other. It is concerned with the steps a formulation must complete before the drug is available in the blood: the tablet must disintegrate, the drug must dissolve, and the dissolved drug must cross the