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# BASIC PHARMACOKINETICS & COMPARTMENT MODELLING


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# BASIC PHARMACOKINETICS & COMPARTMENT MODELLING

**Pharmacokinetics** *is defined as the kinetics of drug absorption, distribution, metabolism and excretion (KADME) and their relationship with the pharmacological, therapeutic or toxicological response in man and animals.There are two aspects of pharmacokinetic* studies –

- ***Theoretical aspect–*** *which involves development of pharmacokinetic models to predict* drug disposition after its administration. Statistical methods are commonly applied to interpret data and assess various parameters.
- ***Experimental aspect–*** *which involves development of biological sampling techniques*, analytical methods for measurement of drug (and metabolites) concentration in biological samples and data collection and evaluation.

## ➢ Plasma Drug Concentration Vs Time Profile

A direct relationship exists between the concentration of drug at the biophase (site of action) and the concentration of drug in plasma.

Two categories of parameters can be evaluated from a plasma concentration time profile – *Pharmacokinetic parameters, and Pharmacodynamic parameters.*

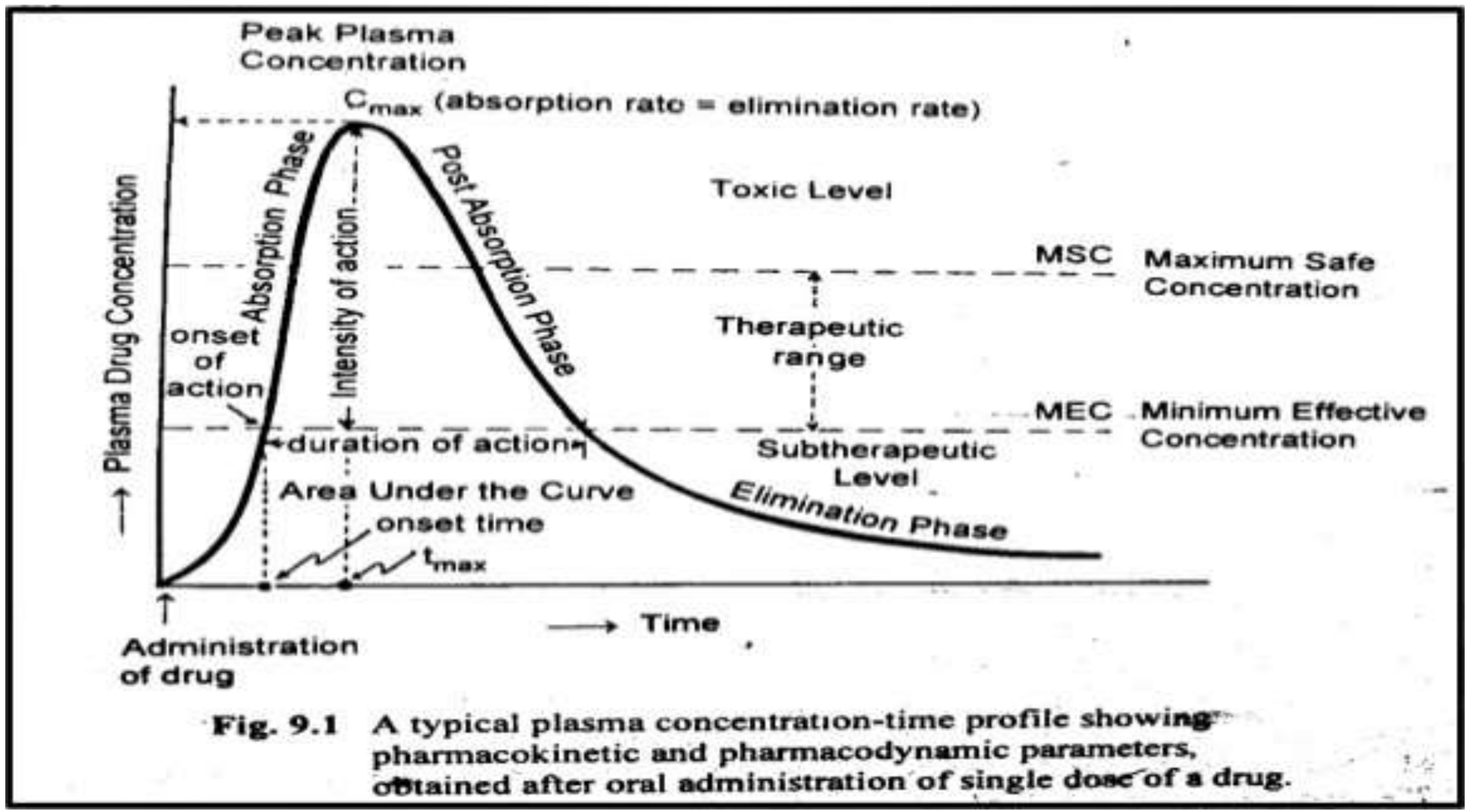



**Fig. 9.1** A typical plasma concentration-time profile showing pharmacokinetic and pharmacodynamic parameters, obtained after oral administration of single dose of a drug.

## Pharmacokinetic Parameters

**1. Peak Plasma Concentration (Cmax)**

*The peak plasma level depends upon –*

*The administered dose*

*Rate of absorption, and*

*Rate of elimination.*

**2. Time of Peak Concentration (tmax)**

**3. Area Under the Curve (AUC)**

## Pharmacodynamic Parameters

*1. Minimum Effective Concentration (MEC)*

*2. Maximum Safe Concentration (MSC)*

*3. Onset of Action*

*4. Onset Time*

*5. Duration of Action*

*6. Intensity of Action*

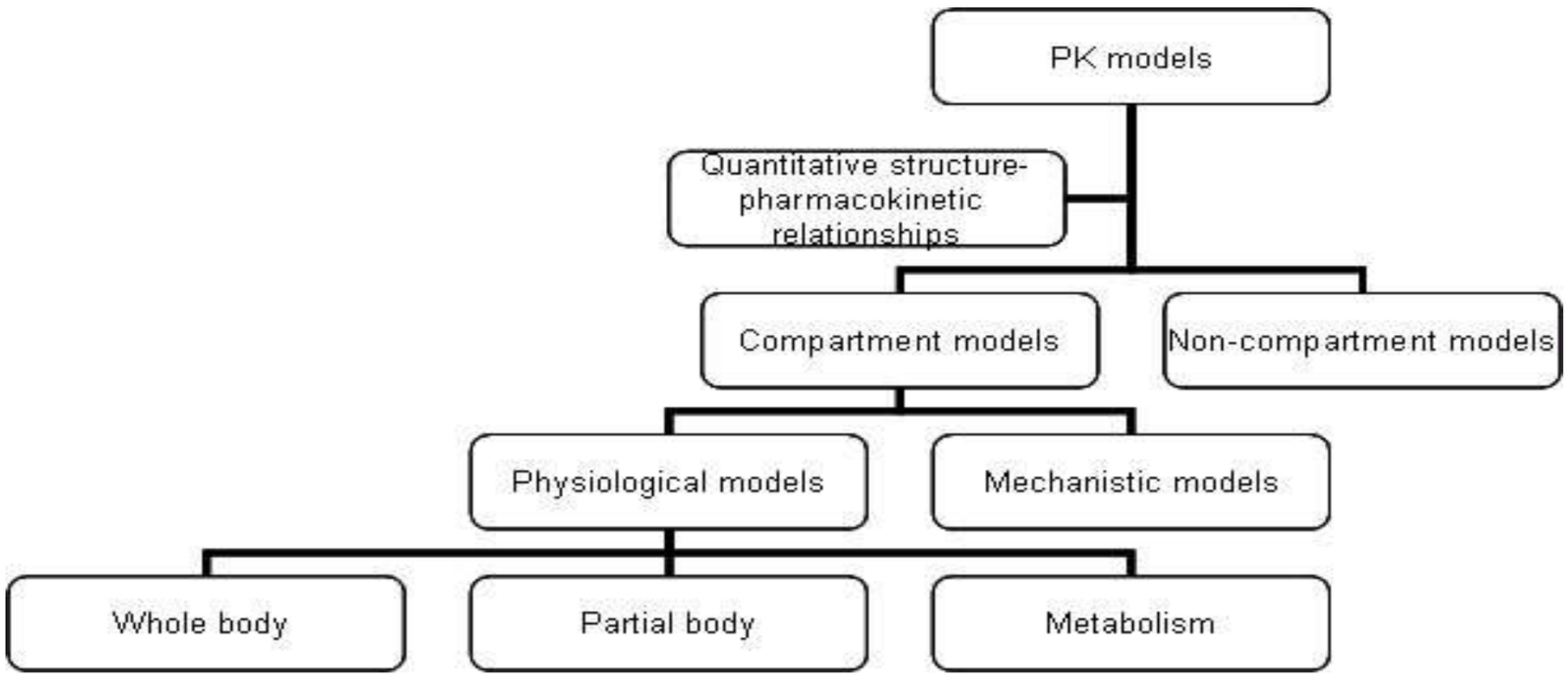
*7. Therapeutic Range*

*8. Therapeutic Index*

## ➢PHARMACOKINETIC MODELS

Drug movement within the body is a complex process. The major objective is therefore to develop a generalized and simple approach to describe, analyse and interpret the data obtained during *in vivo drug disposition studies. The two major approaches in the* quantitative study of various kinetic processes of drug disposition in the body are:

1. Model approach, and
2. Model-independent approach (also called as non-compartmental analysis)



## Pharmacokinetic Model Approach

- A model is a hypothesis that employs mathematical terms to concisely describe quantitative relationships. **Pharmacokinetic models** provide concise means of expressing mathematically or quantitatively, the time course of drug(s) throughout the body and compute meaningful pharmacokinetic parameters.

## *Applications of Pharmacokinetic Models –*

1. Characterizing the behaviour of drugs in patients.
2. Calculating the optimum dosage regimen for individual patients.
5. Evaluating the risk of toxicity with certain dosage regimens.
6. Correlating plasma drug concentration with pharmacological response.
7. Evaluating the bioequivalence between different formulations of the same drug.
8. Explaining drug interactions.

# Types of Pharmacokinetic Models

Pharmacokinetic models are of two different types –

***Compartment models –*** *are also called as empirical models, and*

***Physiological models –*** *are realistic models.*

## ➢ Compartment Models

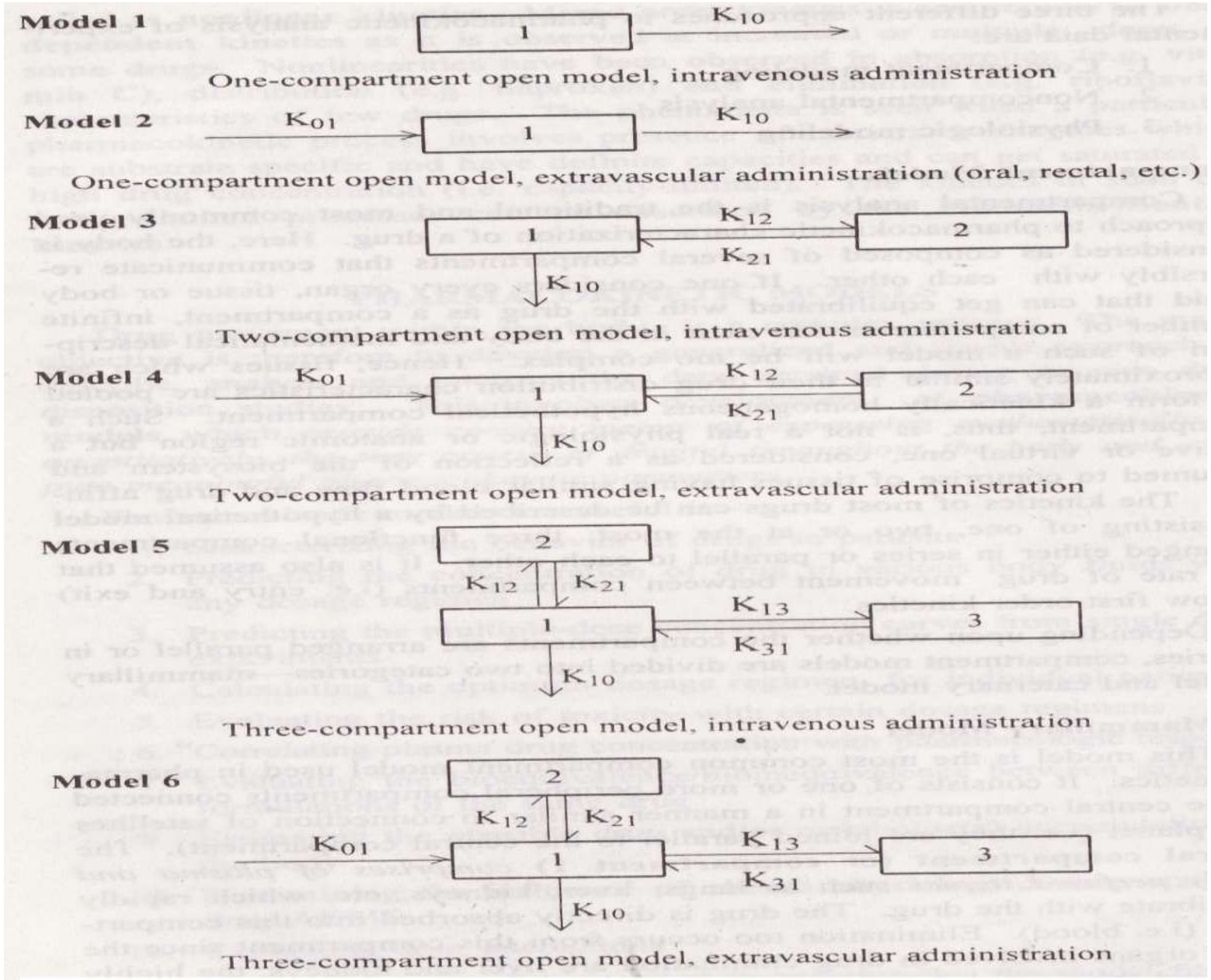
Compartmental analysis is the traditional and most commonly used approach to pharmacokinetic characterization of a drug. These models simply interpolate the experimental data and allow an *empirical formula to estimate the drug concentration with* time.

Depending upon whether the compartments are arranged parallel or in a series, compartment models are divided into two categories:

1. Mammillary model
2. Catenary model.

# 1. Mammillary Model

This model is the most common compartment model used in pharmacokinetics.



The number of rate constants which will appear in a particular compartment model is given by R.

For intravenous administration, $R = 2n - 1$

For extravascular administration, $R = 2n$

where n = number of compartments.

## 2. **Catenary Model**

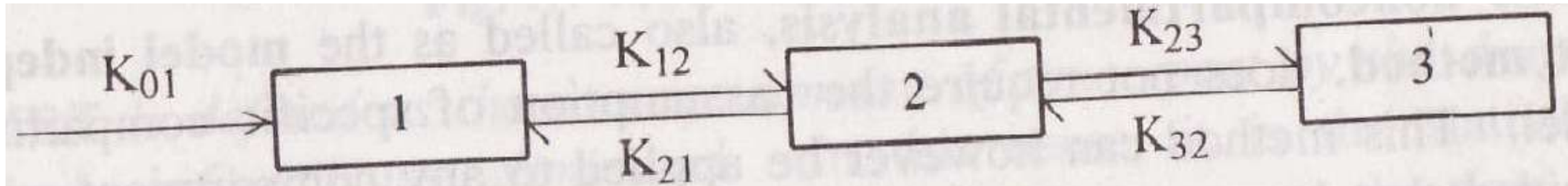
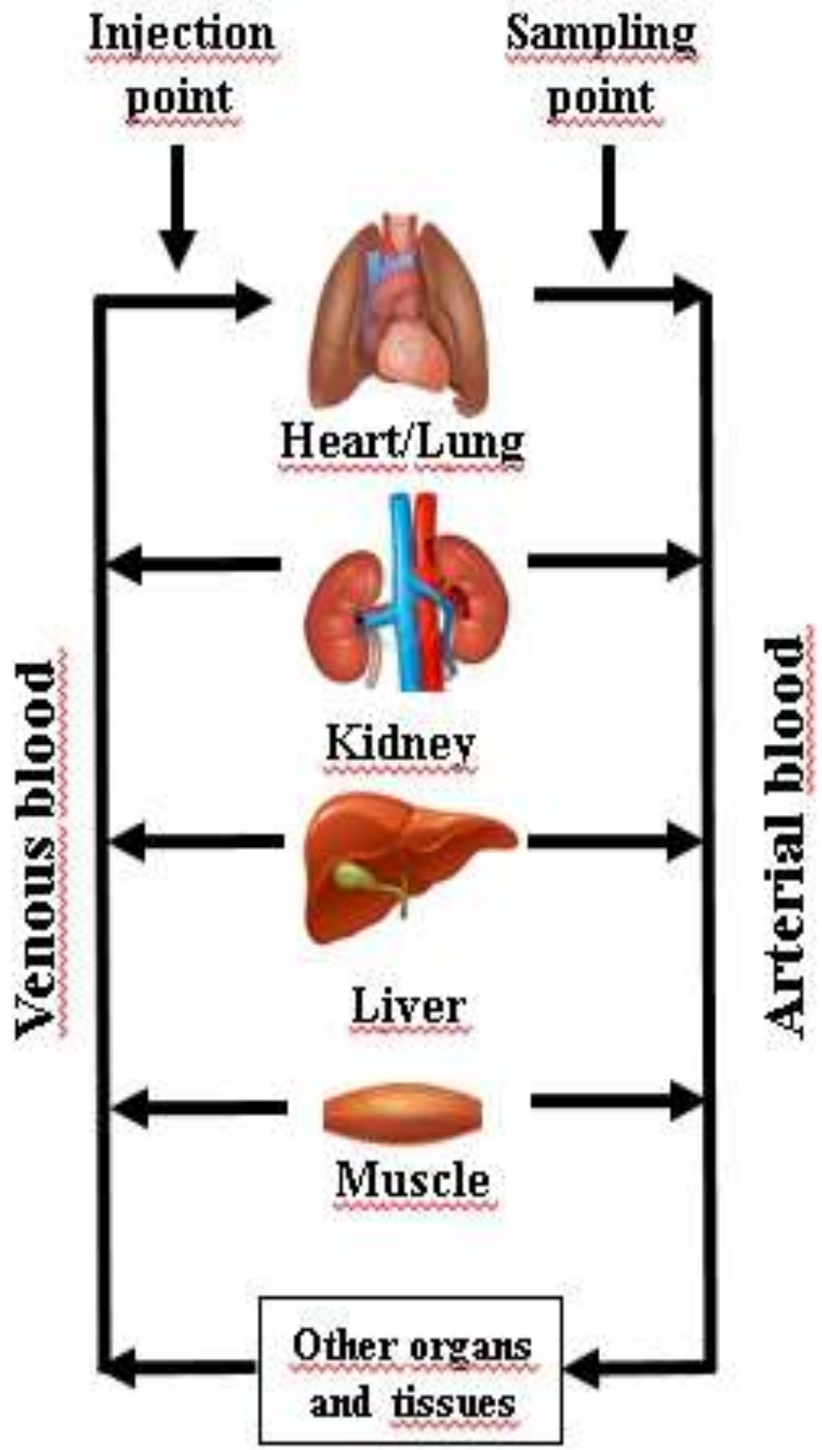



**Fig. 9.6** A caternary model

# Physiological Models

These models are also known as *physiologically-based pharmacokinetic models (**PB-PK models**).*

They are drawn on the basis of known anatomic and physiological data and thus present a more realistic picture of drug disposition in various organs and tissues. The number of compartments to be included in the model depends upon the disposition characteristics of the drug. Organs or tissues such as bones that have no drug penetration are excluded.

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