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Pharmacokinetics in Everyday Clinical Practice

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TABLE OF CONTENTS

INTRODUCTION

Pharmacokinetics is a branch of pharmacology that studies the velocity of the processes that determine the course of the plasma concentration of a drug. By applying a series of mathematical models, it enables to quantitatively describe the movement of a drug in the body over time. This is the result of the various phases that occur after administration of a drug, i.e., absorp-

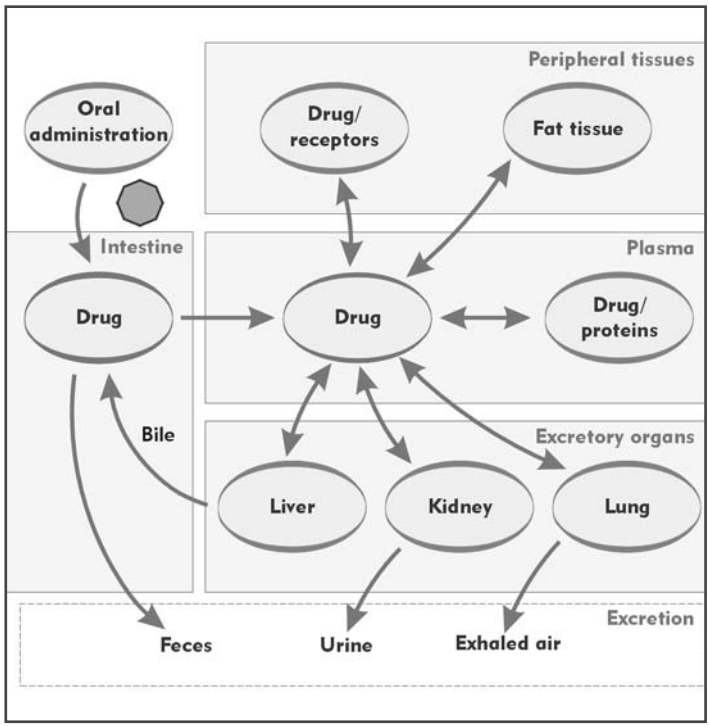

Figure 1. Fate of a drug after oral administration.

tion, distribution, metabolism and excretion. Knowing the "fate" of a drug in the body is the prerequisite for defining the most appropriate dosing regimen, in terms of amount and frequency of administration, in order to obtain the desired therapeutic effect. In fact, the therapeutic effect of a drug depends on the achievement of effective concentrations in target tissues. As an example, we can imagine what might be the fate of a drug after oral administration (Figure 1).

After being ingested, and having reached the gastrointestinal system, the drug, if it has suitable physicochemical characteristics, is absorbed and eventually enters the bloodstream. At this level, the drug binds to plasma proteins (in most cases with albumin), to a different degree depending on the circumstances, and then is distributed to various organs and tissues. Only the free moiety of the drug is "biologically active," which means that only the unbound fraction can diffuse out of the bloodstream to be distributed through the tissues. After this, in order to be eliminated, the drug must pass through the emunctory organs, in which it may or may not undergo biotransformation (metabolism), and is then excreted, as appropriate, by the kidney, or gut, or via other minor pathways (e.g., exhaled air, sweat, etc.).

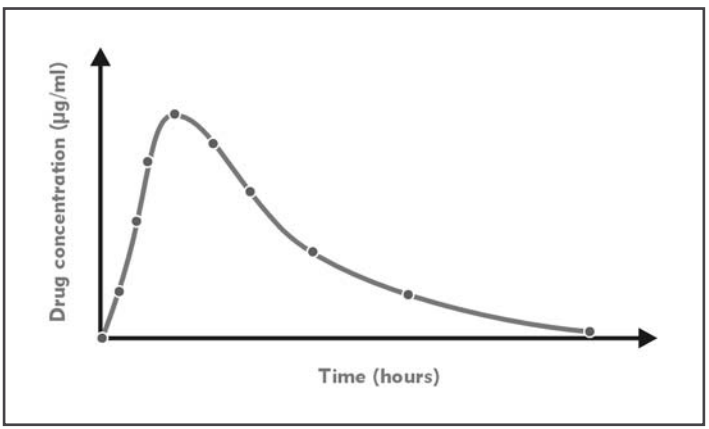

Figure 2. Plasma concentration versus time curve.

In this scenario, plasma essentially represents the mean by which the drug is conveyed to the various districts within the body. For this reason, knowing the plasma concentration profile of a drug in relation to the time after its administration can be a useful tool for understanding its pharmacokinetic behavior in the body (Figure 2).

The aim of this publication is not to define the strict mathematical rules that are the basis for pharmacokinetic studies conducted by experts, but to help all physicians to become familiar with some concepts that will enable them to apply the principles of pharmacokinetics in the daily management of their patients' treatments. Therefore, unlike in specialized texts, the use of mathematical formulas will be minimal, serving only to help physicians to understand these concepts.