BIOPHARMACEUTICS OF ORALLY ADMINISTERED DRUGS

P. Macheras, C. Reppas and J.B. Dressman



Ellis Horwood

London New York Toronto Sydney Tokyo Singapore Madrid Mexico City Munich

Table of contents

Preface			
1.	İntr	oduction	1
PAI	RT I		
2.	Rate parameters and physical processes relevant to drug absorption		
	2.1	Basic kinetics relevant to drug transport	7
	2.2	Characteristic times: Application to first- and zero-order processes	12
	2.3	Mechanisms of drug transport	14
PAI	RT II		
3.	Bioavailability		
	3.1	Introduction to bioavailability	25
	3.2	Processes that affect the bioavailability of drugs after oral	
		administration	28
	3.3	Methods of assessing and parameters used to characterize	
		bioavailability	30
4.	Supply of the gastrointestinal fluids with drug		
	4.1	Processes which transfer drug to the gastrointestinal fluids and their	
		impact on absorption	38
	4.2	Release of the drug from a solid immediate-release dosage	
		formulation	40
	4.3	Drug release from an extended-release system	74
	4.4	Assessing drug dissolution and release in vitro	79
5.	Delivery of the drug to and removal of drug from uptake sites		
	5.1	Processes involved in the delivery of drug to and removal of drug	
		from uptake sites	89

vi Table of contents

	5.2	Review of the anatomy and physiology of the gastrointestinal tract	99
	5.3	Transit of the dosage form and the drug through the gastrointestinal	
		tract	110
6.	Uptake of drug by the gastrointestinal mucosa		125
	6.1	Transfer of the drug from the gastrointestinal fluids to the interior of the epithelial cell	127
	6.2	Transfer of the drug from the interior of the epithelial cell into the	
		blood	143
	6.3	Methods of enhancing the permeation of drugs through the gastro- intestinal mucosa	149
	6.4	Methods of studying uptake	151
PAF	кт Ш		
7.	Prot	ein binding	163
	7.1	Structure of the most important plasma proteins	164
	7.2	Drug-protein binding	169
	7.3	Techniques used in protein binding studies	184
8.	Distribution of drugs in the body		
	8.1	Apparent volume of distribution	189
	8.2	Transport of drugs through specific membranes	201
	8.3	Excretion of drugs in breast milk and saliva	206
9.	Renal and hepatic clearance		211
	9.1	The concept of clearance	211
	9.2	Renal clearance	214
	9.3	Hepatic clearance	225
	9.4	First-pass effect	250
PAI	RT IV		
10.	Statistical treatment of experimental data		
	10.1	Testing for the equivalence of means	259
	10.2	Simple linear regression analysis	268
	10.3	Correlation	274
Inde	ex		279