

Contents

Chapter 1.	Monocyclic and Acyclic Aliphatic Compounds	1
1.	Cyclopentanes	1
	a. Prostaglandins	1
	b. Other Cyclopentanoids	7
2.	Cyclohexanes	8
	a. Cyclohexane and Cyclohexene Carboxylic Acids	8
	b. Cyclohexylamines	12
	c. Miscellaneous	17
3.	Adamantanes	18
4.	Noncyclic Aliphatics	20
	References	23
Chapter 2	Derivatives of Benzyl and Benzhydryl Alcohols and Amines	26
1.	Derivatives of Benzylamine	27
2.	Benzhydrylamine Derivatives	30
3.	Benzhydryl Derivatives	31
	References	34

Chapter 3.	Phenylethyl and Phenylpropylamines	36
	1. Phenylethyl and Phenylpropylamines	36
	a. Those With a Free ArOH Group	36
	b. Those Agents With an Acylated or Alkylated ArOH Group	44
	2. 1-Phenyl-2-Aminopropanediols	45
	3. Phenylethylamines	47
	4. Phenylpropylamines	55
	References	59
Chapter 4.	Arylalkanoic Acids and Their Derivatives	63
	1. Antiinflammatory Arylacetic Acids	63
	2. Diaryl and Arylalkyl Acetic Acids: Anticholinergic Agents	71
	3. Miscellaneous Arylalkanoic Acids	78
	References	82
Chapter 5.	Monocyclic Aromatic Compounds	85
	1. Derivatives of Benzoic Acid	85
	a. Acids	85
	b. Anthranilic Acid and Derivatives	88
	c. Amides	92
	2. Derivatives of Aniline	95
	3. Derivatives of Phenol	98
	a. Basic Ethers	98
	b. Phenoxyacetic Acids	101
	c. Ethers of 1-Aminopropane-2,3-diol	105
	4. Arylsulfones and Sulfonamides	111
	a. Sulfones	111
	b. Sulfonamides	112
	5. Functionalized Benzene Derivatives	119
	a. Alkyl Analogues	119
	b. Miscellaneous Derivatives	126
	References	127

Chapter 6.	Steroids	135
	1. Estranes	136
	2. Androstanes	153
	3. Pregnanes	164
	a. 11-Desoxy Derivatives	164
	b. 11-Oxygenated Pregnanes	176
	References	200
Chapter 7.	Polycyclic Aromatic and Hydro- aromatic Compounds	207
	1. Indanes and Indenes	208
	2. Naphthalenes	211
	3. Fluorenes	217
	4. Anthracenes	219
	5. Dibenzocycloheptanes and Dibenzycycloheptenes	221
	6. Tetracyclines	226
	References	228
Chapter 8.	Five-Membered Heterocycles	232
	1. Derivatives of Pyrrole	233
	2. Derivatives of Furan	238
	3. Derivatives of Imidazole	242
	4. Derivatives of Pyrazole	261
	5. Derivatives of Oxazole and Isoxazole	262
	6. Derivatives of Thiazole	267
	7. Miscellaneous Five-Membered Heterocycles	270
	References	273
Chapter 9.	Six-Membered Heterocycles	278
	1. Pyridines	278
	2. Piperidines	284
	3. Piperazines and Pyrazines	298
	4. Pyrimidines	302
	5. Miscellaneous Structures	304
	References	308
Chapter 10.	Morphinoids	314
	1. Compounds Derived from Morphine	315
	2. Morphinans	323
	3. Benzomorphan	325

	4. Phenylpiperidines	328
	References	337
Chapter 11.	Five-Membered Heterocycles Fused to One Benzene Ring	340
	1. Indoles	340
	2. Reduced Indoles	348
	3. Indazoles	350
	4. Benzimidazoles	352
	5. Miscellaneous	354
	References	358
Chapter 12.	Six-Membered Heterocycles Fused to One Benzene Ring	361
	1. Quinolines	362
	2. Isoquinolines	373
	3. Quinazolines	379
	4. Cinnolines and Quinoxalines	387
	5. Miscellaneous Benzoheterocycles	390
	References	396
Chapter 13.	Benzodiazepines	401
	References	407
Chapter 14.	Heterocycles Fused to Two Benzene Rings	
	1. Central Rings Containing One Heteroatom	410
	2. Benzoheterocycloheptadienes	420
	3. Derivatives of Dibenzolactams	424
	4. Other Dibenzoheterocycles	430
	References	432
Chapter 15	β -Lactam Antibiotics	435
	1. Penicillins	437
	2. Cephalosporins	439
	3. Cephamycins	442
	References	443
Chapter 16.	Miscellaneous Fused Heterocycles	445
	1. Compounds with Two Fused Rings	446
	2. Compounds with Three or More Fused Rings	

3. Purines and Related Hetero- cycles	463
4. Polyaza Fused Heterocycles	469
5. Ergolines	475
References	480
Indexes	
Cross Index of Drugs	
Index	501
Errata for VOLUME 1 of ORGANIC CHEMISTRY OF DRUG SYNTHESIS	513