



Contents

<i>Preface</i>	<i>v</i>
1. Local Anti-infective Agents	1–22
1. Introduction	1
2. Classification	1
2.1 Alcohols and Related Compounds	3
2.2 Aldehydes	4
2.3 Phenols and its derivatives	5
2.4 Oxidizing Agents	10
2.5 Halogen - Containing Compounds	11
2.6 Cationic Surfactants	13
2.7 Dyes	17
2.8 Mercury Compounds	19
2.9 Preservatives	20
2. Antifungal Agents	23–49
1. Introduction	23
2. Classification	27
2.1 Fatty acids and Carboxylic Acids	28
2.2 Phenols and its Derivatives	29
2.3 Nucleoside Antifungals	31
2.4 Antifungal Antibiotics	33
2.5 Allyamine and Related Compounds	36
2.6 Azole Antifungal Agents	38
2.7 Newer Antifungal Agents	49
3. Synthetic Antibacterial Agents	50–68
1. Introduction	50
2. Classification	52
2.1 Sulfonamides	53
2.2 Quinolones	53
2.3 Nitrofurans	64
2.4 Methenamine and its Salts	67

4. Antitubercular Agents	69–77
1. Introduction	69
2. Classification	69
2.1 First Line Agents	70
2.2 Second Line Agents	74
5. Antiprotozoal Agents	78–85
1. Introduction	78
2. Classification	79
2.1 Intestinal Amoebiasis	79
2.2 Extra Intestinal Amoebiasis	83
2.3 Both Intestinal and Extra Intestinal Amoebiasis	84
6. Anthelmintics	86–98
1. Introduction	86
2. Classification	86
2.1 Piperazines	87
2.2 Benzimidazoles	88
2.3 Heterocyclics	91
2. Natural Products	93
2.5 Vinyl Pyrimidines	95
2.6 Amide	96
2.7 Nitro Derivative	97
2.8 Imidazo Thiazole	98
7. Antiscabious and Antipedicular Agents	99–101
8. Antibacterial Sulphonamides	102–126
1. Introduction	102
1.1 Nomenclature of Sulphonamides	103
1.2 General Properties	103
1.3 Crystalluria and pK_a	103
1.4 Mechanism of Action	104
1.5 Structure Activity Relationship	106
1.6 Therapeutic Uses	106
2. Classification	107
2.1 General Method of Synthesis	109
2.2 Sulphonamides for General Infections	112
2.3 Sulphonamides for Urinary Tract infection	117
2.4 Sulphonamides for Intestinal Infections	120

2.5 Sulphonamides for Local Infections	122
2.6 Sulphonamide for Dermatitis	123
2.7 Sulphonamide Combination	125
9. Antimalarials	127–142
1. Introduction	127
2. Classification	128
2.1 Cinchona alkaloids	129
2.2 4 - Amino Quinolines	130
2.3 8 - Amino Quinolines	133
2.4 9 - Amino Acridines	136
2.5 Biguanides	137
2.6 Pyrimidine Analogues	139
2.7 Polycyclic Antimalarials	140
2.8 Miscellaneous	141
2.9 Newer Antimalarial Agents	142
10. Antibacterial Antibiotics	143–196
1. Introduction	143
2. Classification	144
2.1 β - Lactam antibiotics	145
2.1.1 Penicillins	145
2.1.2 Cephalosporins	158
2.2 Aminoglycoside Antibiotics	171
2.3 Tetracyclines	177
2.4 Macrolide Antibiotics	185
2.5 Lincomycins	188
2.6 Polypeptide Antibiotics	189
2.7 Miscellaneous	192
11. Antiviral Agents	197–225
1. Introduction	197
2. Classification	200
2.1 Purine Nucleoside and Nucleotide	201
2.2 Pyrimidine Nucleoside and Nucleotide	207
2.3 Thiosemicarbazone Derivatives	209
2.4 Adamantane Amines	210
2.5 Miscellaneous Agents	212

3. Anti-HIV Agents	214
3.1 <i>Reverse Transcriptase Inhibitors</i>	214
3.2 <i>Non-nucleoside Reverse Transcriptase Inhibitors</i>	220
3.3 <i>HIV Protease Inhibitors</i>	222
12. Antineoplastic Agents	226–267
1. Introduction	226
2. Classification	229
2.1 Alkylating Agents	230
2.2 Antimetabolites	243
2.3 Antibiotics	253
2.4 Plant Products	258
2.5 Enzymes	261
2.6 Hormones	261
2.7 Interferons	264
2.8 Miscellaneous	265
13. Antianginal Agents	268–287
1. Introduction	268
2. Classification	268
2.1 Nitrite and Nitrates	269
2.2 β -Adrenergic Blocking Agents	271
2.3 Calcium Channel Blockers	271
2.4 Cardiovascular Agents	281
2.5 Miscellaneous	287
14. Antiarrhythmic Drugs	288–293
1. Introduction	288
2. Classification	288
2.1 Membrane Stabilizing Agents	288
2.2 β -Adrenergic Blocking Agents	291
2.3 Repolarization Prolongators	291
2.4 Calcium Channel Blockers	293
15. Antihypertensive Agents	294–312
1. Introduction	294
2. Classification	295
2.1 Sympatholytic Agents	296
2.2 Diuretics	298
2.3 Vasodilators	299

2.4 Calcium Channel Blockers	302
2.5 Drugs Acting on Angiotensin - Renin - Aldosterone Axis	302
16. Antihyperlipidemic Agents	313–331
1. Introduction	313
2. Classification	317
2.1 HMG - CoA Reductase Inhibitors	317
2.2 Fibric acid Derivatives	322
2.3 Bile acid Sequestrants	326
2.4 LDL Oxidation Inhibitor	327
2.5 Pyridine Derivatives	328
2.6 Miscellaneous Agents	329
17. Anticoagulants, Thrombolytic and Antithrombolytic Agents	332–342
1. Anticoagulants	332
1.1 Introduction	332
1.2 Mechanism of Action	332
1.3 Classification	333
1.3.1 <i>In vitro</i> Anticoagulants	333
2. Thrombolytics	338
2.1 Introduction	338
3. Anti-thrombolytic Drugs	338
3.1 Introduction	338
4. Anti-fibrinolytics	341
18. Oral Hypoglycemic Drugs	343–355
1. Introduction	343
2. Classification	343
2.1 Sulfonyl urea	344
2.2 Biguanides	350
2.3 Metaglinides	351
2.4 Thiazolidinones	352
2.5 α -Glucosidase Inhibitors	353
2.6 Aldose Reductase Inhibitors	354
2.7 Miscellaneous	355
19. Steroids and Related Compounds	356–402
1. Introduction	356
1.1 Structure and Stereochemistry	357
1.2 Nomenclature	358

1.3 Steroid Biosynthesis	360
1.4 Mechanism of Action	360
2. Sex Hormones	362
2.1 Androgens	362
2.2 Oestrogens	369
2.3 Gestogens	382
3. Adrenocorticoids	391
3.1 Mineralocorticoids	391
3.2 Glucocorticoids	395
4. Oral Contraceptives	401
4.1 Combined Oral Contraceptive Pills	402
4.2 Progestogen Only Pills	402
20. Hormones	403–419
1. Insulin	403
1.1 Introduction	403
1.2 Biosynthesis of Insulin	404
1.3 Production of Insulin	407
1.4 Insulin Preparation	407
2. Thyroid Drugs	408
2.1 Introduction	408
2.2 Bio - synthesis of Thyroid Hormone	409
2.3 Conformational Properties of Thyroid Hormones	411
2.4 Synthetic - Thyroid Hormones	412
3. Antithyroid Drugs	413
3.1 Introduction	413
3.2 Classification	413
4. Neurohypophyseal Hormones	416
4.1 Introduction	416
4.2 Vasopressin	418
21. Diagnostic Drug and Reagents	420–429
1. Introduction	420
2. Classification	420
2.1 Gall Bladder Function	421
2.2 Gastric Function	422
2.3 Liver Function	422
2.4 Ophthalmic Diagnostic Aids	423
2.5 Pancreatic Function	424

2.6	Kidney Function	424
2.7	Lymphatic System	425
2.8	Bronchial Airway Hyperacidity	426
2.9	Drug – Hypersensitivity	426
2.10	Drugs Used in X-ray Contrast Medium	426
2.11	Miscellaneous	428
22.	Drug Discovery and Development	430–444
1.	Drug Discovery	430
1.1	Introduction	430
1.2	Lead Moiety	431
1.2.1	Drug Discovery Without a Lead	431
1.3	Lead Discovery	433
2.	Drug Development (Lead Modification)	436
2.1	Pharmacophore Identification	436
2.2	Functional Group Optimization	439
2.3	Structure Activity Relationship	440
2.4	Variation of Substituents	441
2.5	Ring Chain Transformation	442
2.6	Bio-isosterism	444
23.	QSAR and Drug Design	445–468
1.	Introduction	445
2.	Parameters	446
2.1	Lipophilic Parameters	447
2.2	Polarizability Parameters	453
2.3	Electronic Parameters	454
2.4	Steric Substitution Constant	456
2.5	Other Parameters	459
3.	Quantitative Models	459
3.1	Hansch Analysis	459
3.2	Free Wilson Analysis	463
3.3	The Fujita-Ban Modification	464
3.4	Mixed Approach	465
3.5	The Topliss Decision Tree	465
24.	Computer Aided Drug Design	469–479
1.	Introduction	469
2.	Various Models	469
2.1	Molecular Modeling	469

2.2 Molecular Graphics	470
2.3 Molecular Mechanics	471
2.4 Molecular Dynamics	476
2.5 Quantum Mechanics	477
2.6 Hybrid QM / MM	479
25. Drug Design through Enzyme Inhibition	480–490
1. Introduction	480
2. General Concept of Enzyme Inhibitors	480
3. Types of Enzyme Inhibitors	481
3.1 Reversible Inhibitors	481
3.2 Irreversible Enzyme Inhibitors	484
4. Enzyme Kinetics	487
4.1 The Michaelis-Menten Equation	487
4.2 Lineweaver-Burk Plot	488
26. Combinatorial Chemistry	491–499
1. Introduction	491
2. Solid Phase Synthesis	492
2.1 Parallel Synthesis	495
2.2 Mix and Split Technique	495
3. Solution Phase Synthesis	498
4. Screening	499
5. Applications	499
<i>Index</i>	500–509