

Contents

Preface..... (v)

List of Figures..... (xiii)

CHAPTER 1

Antibiotics-I

1.1 β -Lactam Antibiotics.....	1
1.1.1 Penicillin.....	3
1.1.2 Cephalosporins	7
1.1.3 β -Lactamase Inhibitors	11
1.2 Aminoglycosides	13
1.2.1 Streptomycin.....	14
1.2.2 Neomycin.....	15
1.2.3 Kanamycin.....	16
1.3 Tetracyclines.....	17
1.3.1 Oxytetracycline.....	19
1.3.2 Chlorotetracycline.....	19
1.3.3 Doxycycline.....	19
1.3.4 Minocycline	20

CHAPTER 2

Antibiotics-II

2.1 Macrolide.....	21
2.1.1 Erythromycin	22
2.1.2 Clarithromycin.....	23
2.1.3 Azithromycin	23
2.2 Miscellaneous	23
2.2.1 Chloromphenicol	24
2.2.2 Clindamycin.....	27
2.3 Antimalarials	28
2.3.1 Etiology of Malaria.....	29
2.4 Quinolines.....	30
2.4.1 Quinine Sulphate	32
2.4.2 Chloroquine	34

2.4.3	Amodiaquine	37
2.4.4	Primaquine Phosphate	38
2.4.5	Pamaquine	40
2.4.6	Quinacrine Hydrochloride	42
2.4.7	Mefloquine.....	44
2.5	Biguanides and Dihydro Triazines	45
2.5.1	Proguanil.....	46
2.5.2	Cycloguanil Pamoate	47
2.6	Miscellaneous.....	48
2.6.1	Pyrimethamine.....	48
2.6.2	Artesunate	49
2.6.3	Artemether	51
2.6.4	Atovoquone	52
2.7	Prodrugs.....	53
2.7.1	Basic Concepts.....	53
2.7.2	Application of Prodrugs Design	56

CHAPTER 3

Anti-Tubercular Agents, Urinary Tract Anti-Infective Agents, Antiviral Agents

3.1	Anti-Tubercular Agents.....	64
3.2	Synthetic Anti-Tubercular Agents.....	66
3.2.1	Isoniozid	67
3.2.2	Ethionamide.....	69
3.2.3	Ethambutol.....	70
3.2.4	Pyrazinamide	72
3.2.5	p-Aminosalicylic Acid.....	73
3.3	Anti Tubercular Antibiotics.....	75
3.3.1	Rifampicin	75
3.3.2	Rifabutin	79
3.3.3	Cycloserine	80
3.3.4	Streptomycine.....	82
3.3.5	Capreomycin Sulphate.....	85
3.4	Urinary Tract Anti-Infective Agents	87
3.5	Quinolones.....	87
3.5.1	Nalidixic Acid.....	92

3.5.2	Norfloxacin.....	92
3.5.3	Enoxacin.....	93
3.5.4	Ciprofloxacin.....	94
3.5.5	Ofloxacin.....	96
3.5.6	Lomefloxacin.....	97
3.5.7	Sparfloxacin.....	97
3.5.8	Gatifloxacin.....	98
3.5.9	Moxifloxacin.....	99
3.6	Miscellaneous.....	100
3.6.1	Furazolidone.....	100
3.6.2	Nitrofurantoin.....	101
3.6.3	Methanamine.....	102
3.7	Antiviral Agents.....	103
3.7.1	Amantadine Hydrochloride.....	108
3.7.2	Rimantadine Hydrochloride.....	109
3.7.3	Idoxuridine.....	110
3.7.4	Trifluridine.....	110
3.7.5	Acyclovir.....	111
3.7.6	Ganciclovir.....	113
3.7.7	Zidovudine.....	114
3.7.8	Didanosine.....	115
3.7.9	Zalcitabine.....	116
3.7.10	Lamivudine.....	116
3.7.11	Loviride.....	117
3.7.12	Delavirdine.....	118
3.7.13	Ribavirin.....	118
3.7.14	Saquinavir.....	119
3.7.15	Indinavir.....	120
3.7.16	Ritonavir.....	121

CHAPTER 4

Antifungal Agents Anti-protozoal Agents Anthelmintics Sulphonamides and Sulfones

4.1	Antifungal Agents.....	123
4.2	Antifungal Antibiotics.....	125
4.2.1	Amphotericin-B.....	125

4.2.2	Nystatin.....	127
4.2.3	Natamycin.....	129
4.2.4	Griseofulvin.....	130
4.3	Synthetic Antifungal Agents	131
4.3.1	Clotrimazole	132
4.3.2	Econazole.....	133
4.3.3	Butoconazole	134
4.3.4	Oxiconazole	135
4.3.5	Tioconazole	135
4.3.6	Miconazole	136
4.3.7	Ketoconazole	138
4.3.8	Terconazole	139
4.3.9	Itraconazole	140
4.3.10	Fluconazole.....	142
4.3.11	Naftifine hydrochloride	143
4.3.12	Tolnaftate	145
4.4	Anti-protozoal Agents	148
4.5	Antiamoebic Drugs.....	149
4.5.1	Metronidazole.....	150
4.5.2	Tinidazole	152
4.5.3	Ornidazole	153
4.5.4	Diloxanide Furoate	153
4.5.5	Iodoquinol.....	154
4.5.6	Pentamidine isothionate.....	156
4.5.7	Eflornithine.....	157
4.5.8	Atovaquone.....	158
4.6	Anthelmintics	159
4.6.1	Diethylcarbamazine Citrate	161
4.6.2	Ivermectin	163
4.6.3	Thiabendazole.....	165
4.6.4	Mebendazole.....	166
4.6.5	Albendazole	168
4.6.6	Niclosamide	171
4.6.7	Praziquantel	173
4.6.8	Oxamniquine	175
4.7	Sulphonamides and Sulfones.....	176
4.7.1	Sulfamethizole.....	183

4.7.2 Sulfisoxazole	183
4.7.3 Sulfamethazine	184
4.7.4 Sulfacetamide	185
4.7.5 Sulphapyridine.....	186
4.7.6 Sulfamethoxaole	186
4.7.7 Sulfadiazine	188
4.7.8 Mafenide Acetate.....	188
4.7.9 Sulfasalazine.....	189
4.8 Folate Reductase Inhibitors	190
4.8.1 Trimethoprim.....	191
4.8.2 Cotrimoxazole.....	192
4.9 Sulfones	194
4.9.1 Dapsone	194

CHAPTER 5

INTRODUCTION TO DRUG DESIGN AND COMBINATORIAL CHEMISTRY

5.1 Introduction to Drug Design.....	197
5.2 QSAR	204
5.2.1 Physicochemical Parameters used in QSAR	205
5.2.2 Hydrophobicity	205
5.2.3 Hammett's Electronic Parameter	208
5.2.4 Taft's Steric Parameter	210
5.2.5 Hansch Analysis	211
5.2.6 Hansch Analysis: An Update.....	212
5.2.7 Pharmacophore Modeling.....	213
5.3 Combinatorial Chemistry	218
5.3.1 Concept of Combinatorial Chemistry	218
5.3.2 Application of Combinatorial Chemistry	219
<i>Bibliography</i>	225
<i>Index</i>	233