

Index

Bold page numbers = tabulated PK data

- a**
 - 852 A **418**
 - abacavir **438**
 - abanoquil **425**
 - abecarnil **299**
 - abiraterone **483**
 - abortifacients **490, 539, 541**
 - Abraham, Edward P. **522**
 - absorption of drugs **14**
 - ABT-263 **365**
 - ABT-279 **345**
 - ABT-761 **194**
 - ABT-869 **371**
 - acadesine **428**
 - acamprosate **203, 204**
 - acarbose **81**
 - ACE inhibitors **99, 162, 335–340, 352**
 - acebutolol **324**
 - acecainide **216**
 - aceclofenac **506**
 - acefylline **441**
 - acetemetacin **503**
 - acenocoumarol **60, 143**
 - acepromazine **305**
 - acesulfame **208, 434**
 - acetals **138**
 - acetamidoeugenol **42**
 - acetamidofluorene **133**
 - acetaminophen (paracetamol) **84, 92**
 - metabolism **82**
 - acetazolamide **451, 457**
 - acetohexamide **37, 139, 458**
 - acetophenone **59**
 - 4-(acetylamino)antipyrine **181**
 - acetylcholine **118, 393**
 - acetylcholinesterase **118**
 - acetylcholinesterase inhibitors **245, 334, 399, 420**
 - acetylcholinesterase reactivators **398, 399**
 - acetyl-CoA **15, 145**
 - N-acetylcysteine **99, 162, 339**
 - acetylenes **40**
 - N-acetylhomocysteine **162**
 - L-acetylmethadol **165, 237**
 - N-acetylprocainamide **216**
 - acetylsalicylic acid **164, 349, 497, 512**
 - acifran **510**
 - acipimox **70, 398**
 - acitretin **153**
 - acivicin **160**
 - acne **152, 153, 481**
 - ACNU (nimustine) **69**
 - ACP-103 **257**
 - acridines **413, 416, 420**
 - acrivastine **145, 231**
 - acrolein **55, 205**
 - acromegaly (excessive growth) **360**
 - actisomide **238**
 - active transport of drugs **15, 16**
 - active tubular secretion **15**
 - acute coronary syndrom **366**
 - acyclovir **437**
 - N-acyl amino acids **147**
 - N-acylcyanamides **146**
 - acylguanidines **122, 123**
 - acylhydrazines **137**
 - N-acylsulfonamides **146**
 - N-acylureas **193**
 - adamantyl group **37**
 - adapalene **152**
 - adefovir dipivoxil **210, 443**
 - adenosine **436**

- adenosine A₁ agonists 438
 adenosine A₁ antagonists 442
 adenosine A_{2A} agonists 437
 adenosine A_{2A} antagonists 245, 442
 adenosine deaminase inhibitors 444
S-adenosyl-L-methionine 15, 436
 adimolol 48, 327
 adinazolam 471
 adjunct antineoplastics 254, 367
 adrafinil 146, 157
 adrenaline 3, 267
 adrenaline receptors 225
 adrenergic agonists 273, 281–283
 α₂-adrenergic agonists 126, 130, 275
 β₃-adrenergic agonists 24, 281
 α₁-adrenergic antagonists 278, 279, 416,
 425, 426
 α₂-adrenergic antagonists 125, 299, 380
 α-adrenoceptor antagonists 246
 β-adrenoceptor antagonists 195, 320–328
 adrenocortical steroids 477–481
 adverse drug reactions 17–20
 afatinib 373
 aflatoxines 41
 afloqualone 50, 136, 419
 AFN-1252 171
 AG-1343 351
 AG-14699 300
 AG-337 418
 agomelatine 48, 275
 agranulocytosis 18, 19, 173
 ajmaline 298
 alacepril 167, 339
 alafosfalin 209, 211
 alanine, as solubility enhancer 166, 167
 alatrofloxacin 167, 516
 albaconazole 389
 albendazole 385
 albendazole sulfoxide 61, 188, 385
 albumin 6
 albuterol 284
 alclofenac 499
 alcohol dehydrogenase inhibitors 379
 alcohols 71–81
 – acidity 40
 – prodrugs 164, 186, 213, 214
 alcoholism 194, 204
 alcuronium 300
 aldehyde dehydrogenase inhibitors 194
 aldehydes 138
 aldose reductase inhibitors 153, 163, 178,
 201, 502
 aldosterone antagonists 487
 alendronic acid 211
 alfalcalcidol 489
 alfentanil 243
 alfuzosin 425
 alnidine 126
 aliphatic amines 107–117
 aliskiren 168, 349, 357
 alizapride 218
 alizarin 89, 495
 alkanes 35
 alkenes 40–44
 alkyl bromides 53
 alkyl chlorides 51, 52
 alkyl fluorides 49–51
 alkyl groups 35
 alkyl sulfonates 54, 206
 alkylating agents 49–56, 206, 300
 alkynes 40
 allergic rhinitis (hay fever) 225–227,
 252–258; see also antipruritics, histamine
 H₁ antagonists
 allopurinol 439
 allylamine, pK_a 110
 allylbenzenes 42
 alminoprofen 499
 almitrine 41, 253
 almokalant 326
 almorexant 288
 almotriptan 293
 alogliptin 342, 435
 alosetron 381
 alovudine 432
 alphaxalone 483
 alpidem 52, 410
 alprazolam 470
 alprenolol 320, 322
 alprostadiol 539
 altretamine 398
 alvimopan 168, 239, 330
 Alzheimer's disease 245, 297, 353, 355, 417
 α-amanitin 347
 amantadine 37, 115
 ambrisantan 151
 ambroxol 117, 136
 amdinocillin 526
 amebicides, see antiprotozoals
 ameltolide 344
 amezinium 407
 AMG 706 366
 amides 166
 – as prodrugs 114, 167
 amfenac 500
 amfepramone 270
 amfonelic acid 516
 amidines 120

- amidopyrine 181
 amifampridine 398
 amiflamine 273
 amifostine 214
 amikacin 79
 amiloride 123, 130, 408
 amineptine 313
 amines 107, 133, 225
 – basicity 40, 110
 – metabolism 110–114
 – prodrugs 112–114, 167, 187
 – rates of N-dealkylation 111–114
 aminoacetonitrile, pK_a 110
 amino acid conjugation 15
 amino acids 147, 157–163
N-(aminoalkyl)benzamides and -benzoates 215–224
 aminoalkylindoles 291–300
 4-aminoantipyrine 181
 aminobenzenesulfonamides 21, 450
 2-aminobenzoic acid 498
 4-aminobiphenyl 133
 4-aminobutyric acid, see GABA
 9-aminocamptothecin 423
 amino carboxylic acids 147, 157–163
 6-aminocaproic acid 157
 aminoglutethimide 136, 196
 aminoguanidine 128
 aminoglycoside antibacterials 78–81
 6-aminohexanoic acid 157
 aminoisobutyric acid 347
 6-aminopenicillamic acid 522, 523
 aminopeptidase inhibitors 156
 aminopeptidases 166
 aminophenols 82
 aminopterin 551
 4-aminopyridine 395, 398
 aminopyrine 173
 5-aminosalicylic acid 511, 512
 amiadarone 17, 54, 261
 amisulpride 106, 218
 amitriptyline 101, 312
 amlexanox 495, 507
 amlodipine 108, 165, 449
 ammonium chloride (for urine acidification) 269
 ammonium salts 107, 118
 amobarbital 198
 amocarzine 194, 201, 371
 amodiaquine 414, 420
 amorolfine 273
 amosulalol 285
 amoxapine 318
 amoxicillin 526
 AMPA antagonists 404
 amperozide 240
 amphetamine 270
 amphetamines 267
N-Lysamphetamine 270
 amphotericin B 561
 ampicillin 204, 526
 ampiroxicam 186
 amprenavir 214, 351
 amrinone 406
 amsacrine 416
 amylocaine 215
 anabolics 434
 anacetrapib 177
 anaerobic organisms 378
 anagrelide 131
 analeptics, see CNS stimulants
 analgesics
 – narcotic 149, 227, 228, 237, 239, 243, 251, 274, 278, 329–334
 – non-narcotic 84, 85, 126, 153, 181, 211, 235, 236, 270, 276, 305, 316, 330, 403, 405, 455, 456
 anastrozole 184, 390
 andarine 170
 androgen receptor modulators 170, 179
 androgens 477, 485, 486
 anesthetics
 – inhalation 53
 – iv 84, 116, 198, 199, 243, 281, 471
 – local 77, 84, 215, 216, 224, 236, 341–344, 483
 angina pectoris 66, 320
 angioedema 359
 angiotensin 335
 angiotensin converting enzyme (ACE) 335;
 see also ACE inhibitors
 angiotensin II antagonists (sartans) 335, 543–547
 angiotensinogen 335
 anidulafungin 359
 anilides of glycine 341–346
 aniline 133
 anilines 133–136
 aniracetam 172, 174
 anorexics (appetite suppressants) 125, 251, 268, 270–273, 280
 anseculin 247
 antabuse (disulfiram) 194, 202
 anthelmintics (vermicides)
 – cestodes 86, 264
 – nematodes 97, 124, 127, 194, 250, 385, 386, 562
 – schistosoma 74, 158, 183, 287, 288, 496

- anthelmintics (*vermicides*) (*contd.*)
 - trematodes 57
 anthracene 47
 anthracyclines 492–495
 anthranilic acid 498
 anthraquinones 495, 496
 antiacetylcholinesterase agents 118
 antiacne agents 152, 153, 481
 antiadrenal agents 56
 antiadrenergics 117
 antiallergics 247, 440, 478, 481, 495, 507, 510, 515
 antialopecia agents (hair-growth stimulants) 407
 antiamyloidogenic agents 204
 antiandrogens 170, 179, 481
 antianginals
 - β -blockers 321–328
 - calcium antagonists 227, 229, 474
 - carnitine palmitoyltransferase inhibitors 230
 - nitrous oxide precursors 66, 76, 401
 - phenethylamines 276, 277, 286
 - piperazines 252
 antiangiogenics (vascular growth suppressants) 366, 371, 372
 antianxiety agents, see anxiolytics
 antiarrhythmics
 - adenosine A₁ antagonists 438
 - alkylamines 182, 233, 236, 238, 241, 257, 259, 261, 263, 285, 298, 307, 313, 345, 422, 435
 - amidines 125
 - ammonium salts 117, 222
 - β -blockers 321–328
 - calcium channel blockers 277, 474
 - guanidines 128
 - phenethylamines 276, 277, 279, 286
 - potassium channel blockers 117, 263, 463
 - sodium channel blockers 216, 217, 220, 224
 antiarteriosclerotics 399
 antiarthritics 79, 89
 antiasthmatics
 - β_2 -adrenergic agonists 283, 286
 - leukotriene D₄ antagonists 422, 440, 509, 511
 - lipoxygenase inhibitors 194, 495
 - mast cell degranulation blockers 510
 - methylxanthines 440, 441
 - other 224
 - PDE₄ inhibitors 151
 - steroids 478, 480
 - thromboxane A₂ antagonists 150
- antibacterials
 - aminoglycosides 79–81, 129
 - amphenicols 78
 - ansamycins 562, 563
 - antifolates 404, 405, 450
 - carbamates 176
 - diaminopyrimidines 404, 405
 - dyes 62, 512
 - β -lactams 522–537
 - lincosamides 78
 - macrolides 557, 559–563
 - nitrofurans 183
 - other 116, 160, 161, 210, 211, 317, 356, 384, 399, 417
 - peptides 361
 - quinolonecarboxylic acids 514–521
 - sulfonamides 21, 450, 458, 459
 - sulfones 87
 - tetracyclines 492–495
 antibacterial adjunct 162
 antibiotic resistance 523
 anticholinergics 118, 150, 221–223, 230, 233, 238, 303, 304
 anticholinesterase agents 118
 anticoagulants 127, 142, 143, 177
 anticonvulsants, see antiepileptics
 antidepressants
 - bicyclics 159, 228, 231, 270, 275, 276, 280
 - hydrazines 400
 - other 176, 216, 217, 236, 237, 271, 273, 281
 - pyrrolidones 175
 - tetracyclines 125, 245, 247, 249, 266, 293, 317, 318, 471
 - tricyclics 230, 234–236, 247, 251, 259, 306, 310–314, 317, 406
 antidiabetics
 - biguanides 131
 - carboxylic acids 150–152, 163, 510
 - DPPIV inhibitors 8, 23, 273, 288, 342, 345, 346, 355, 435, 443
 - other 77, 78, 128, 129, 458
 - sulfonylureas 462–464
 - thiazolidinediones 178, 179
 antidiarrheals 237, 239, 241
 antidiuretics 360
 antidotes
 - for cholinesterase inhibitors 398, 399
 - for curare 85, 190
 - for ethylene glycol 379
 - for heavy metals 158, 159, 162
 - for methanol 379
 antidyskinetics (tremor suppressants) 217, 287, 401

- antiemetics (nausea suppressants)
 - dopamine antagonists 217–219, 244, 260, 304, 307, 308
 - histamine H₁ antagonists 252–254, 303
 - 5-HT₃ antagonists 219, 223, 381
 - NK₁ antagonists 265, 266
 - THC analogs 86
- antiepileptics
 - acylureas 195
 - alcohols 74
 - amides 148, 162, 175, 354
 - barbiturates 197
 - benzodiazepines 466–476
 - carbamates 177, 189, 190, 404
 - carboxylic acids 148
 - dibenzazepines 316
 - GABA analogs 160, 161
 - GABA reuptake inhibitors 240
 - imides 177, 178, 196
 - sodium channel blockers 405
 - steroids 483
 - sulfamates 95
 - sulfonamides 457, 458
- antiestrogens 263
- antifibrotics 406
- antifolates 404, 405, 408, 409, 450, 551–553
- antifreeze agents 76
- antifungals
 - alcohols 76, 86 (phenol)
 - amines 116, 273
 - amino acids 160
 - guanidine 127
 - N-hydroxypyridones 406
 - imidazoles 387, 388, 391
 - peptides 359
 - polyenes 561
 - triazoles 387–392
- antiglaucoma agents 122, 126, 246, 321–328, 380, 385, 457, 540, 541
- antigonadotropins 485
- antigout agents 476, 510
- antihemorrhagics (hemostatics) 148, 157, 160, 182, 205
- antihistaminics, see histamine antagonists
- antihyperlipemics, see antilipemics
- antihypertensives
 - ACE inhibitors 99, 162, 335–340, 352
 - α₁-adrenergic antagonists 125, 244, 248
 - α₂-adrenergic agonists 126
 - angiotensin II antagonists 543–547
 - arylethanolamines 285, 286
 - aryloxyacetic acids 151, 155
 - aryloxypropanolamines 248, 276, 320–328
 - benzothiadiazines 452, 453
 - calcium channel blockers 277, 279, 446–449, 473, 474
 - dihydropyridines 446–449
 - dopamine agonists 272
 - guanidines 126–128, 130, 400
 - hydrazines 404, 419
 - indole alkaloids 298, 299
 - other 159, 403, 407, 418, 488
 - potassium channel openers 174, 407
 - prostaglandin analogs 540
 - quinazolines 425, 426
 - renin inhibitors 357
 - sulfonamides 452–454
- antihyperthyroids 182, 201, 434
- antihypoglycemics 452
- antihypotensives 273, 282, 285, 407
- antiinflammatories, non-steroidal, see NSAIDs
- antiinflammatories, steroidal 477–481
- antileprotics 87
- antineukemics, see antineoplastics
- anti-Lewisite (dimercaprol, BAL, 2,3-dimercaptopropanol) 98
- antilipemics 86, 154, 155, 161, 205, 398, 510, 549, 550
- antimalarials, see antiprotozoals
- antimetabolites 428
- antimigraine agents 95, 148, 159, 248, 253, 258, 291–296, 354
- antimitotic agents, see antineoplastics
- antimony-containing drugs 158
- antimuscarinics 118, 232
- antimycotic, see antifungals
- antineoplastics
 - alkylating agents 49–56, 141, 206, 300, 398
 - anthracyclines 492, 493
 - antifolates 408, 409, 551–553
 - antimitotics 291, 297, 298, 375, 554–556
 - apoptosis inducers 89, 194, 355, 365, 367
 - epipodophyllotoxins 89, 90
 - epothilone analogs 564
 - farnesyltransferase inhibitors 476
 - histone deacetylase inhibitors 156, 360, 366, 367
 - hormonal 170, 179, 196, 263, 357, 358, 483, 486, 487
 - kinase inhibitors 22, 217, 258, 366, 368, 369, 371–375, 412
 - matrix metalloproteinase inhibitors 352, 353, 371, 505
 - N-nitrosoureas 68, 69
 - nucleoside analogs 418, 428–439, 444

- antineoplastics (*contd.*)
 - other 117, 157, 160, 194, 252, 255, 262, 288, 300, 354, 356, 357, 366, 370, 372, 374, 375, 381, 386, 390, 402, 461, 505, 509, 558
 - platinum complexes 158
 - radiosensitizers 383, 564, 565
 - topoisomerase inhibitors 299, 416, 423, 424, 496, 516
 - triazenes 64, 65, 382, 507
- antibesity agents 152, 171
- antiosteoporotics 88, 210, 260–263, 353
- antiparkinsonians
 - adenosine A_{2A} antagonists 442
 - alkylamines 115
 - COMT inhibitors 83, 85, 86
 - diarylalkylamines 233, 257, 303
 - dopamine agonists 274, 275, 294–296
 - dopamine precursors 83, 159
 - dopamine reuptake inhibitors 251
 - MAO inhibitors 271
- antiplatelet agents 162, 465; see also platelet
- antiprotozoals
 - ameba 85, 382, 383, 417
 - giardia 183, 382
 - leishmania 127
 - malaria 62, 94, 95, 97, 131, 211, 233, 413, 414, 417, 420–422
 - pneumocystis 127, 141, 157
 - toxoplasma 141, 405
 - trichomonas 382, 383
 - trypanosoma 127, 157, 384
- antipruritics 77, 158, 254, 255, 304, 305; see also hay fever, histamine H₁ antagonists
- antipsoriasis agents 153, 489, 509
- antipsychotics
 - benzamides 217, 218
 - butyrophenones 241, 242
 - other 240, 241, 244–247, 249, 250, 274, 287, 309, 311, 318, 319
 - phenothiazines 301, 304–308
- antipyretics, see antiinflammatories
- antipyryne 181
- antirheumatics, see rheumatoid arthritis
- antirickettsials 78
- antiseizure agents, see antiepileptics
- antiseptics 82, 87, 132
- antiseptic surgery 82
- antisickling agents 151, 509
- antispasmodics 88, 117, 219–222, 227, 230, 232, 257, 261, 287
- antithrombocytemics 131
- antithrombotics
 - antiplatelet agents 162, 465
 - factor Xa inhibitors 170, 176, 177, 266, 363, 367, 370
 - NSAIDs 500; see also NSAIDs, salicylates
 - other 180, 247
 - platelet aggregation inhibitors 265, 366, 439, 539, 540
 - platelet glycoprotein IIb/IIIa blockers 265, 361, 362
 - thrombin inhibitors 363, 364
 - thromboxane antagonists 149, 150, 156, 542
 - thromboxane synthase inhibitors 149, 380, 510
 - vitamin K antagonists 142, 143
- antithyroids 261
- antitumor agents, see antineoplastics
- antiulceratives
 - histamine H₂ antagonists 101, 132, 264, 377, 380–382, 402
 - muscarinic M₁ antagonists 313
 - other 163, 407
 - prostaglandin analogs 541
 - proton pump inhibitors 104, 370, 396, 409, 410
- antiulorolithics 439; see also uricosurics, hyperuricemia
- antiussives (cough suppressants) 221, 248, 260, 261, 281, 288, 329–334, 345
- antivertigo agents 401; see also motion sickness
- antivirals
 - CCR5 antagonists 253, 382
 - hepatitis 351, 436
 - HIV 200, 240, 253, 317, 350–352, 354, 369, 382, 405, 516
 - neuraminidase inhibitors 122, 129, 160
 - nucleoside analogs 209–211, 428–438, 443, 444
 - other 115, 127, 143, 190, 385, 418, 425
 - reverse transcriptase inhibitors 294, 317
- anxiolytics (fear suppressants)
 - arylpiperazines 249, 318
 - benzodiazepines 466–476
 - carbamates 189, 190
 - other 125, 199, 234, 256, 266, 299, 306, 370, 391, 410, 426
- apalcillin 526
- apazone 4
- apixaban 177
- aplastic anemia 18
- apomorphine 287, 334
- apoptosis inducers 89, 194, 355, 365, 367
- appetite stimulants 86, 482
- appetite suppressants, see anorexics

- apraclonidine 126
 aprepitant 214, 265
 apricitabine 431
 aprindine 236
 aprobarbital 198
 aqueous pores 14, 119
 arabinofuranosylguanine 438
 arabinosyl-5-azacytidine 430
 arachidonic acid 538
 arecoline 264
 arene oxides 45
 arenes 45
 arenesulfonamides 21, 450–460
 argatroban 363
 L-arginine 129
 aripiprazole 247
 armadafinil 157
 aromatase inhibitors 390
 aromatic hydrocarbons 45
 aromatic hydroxylation 45
 aromatic thiols 99
 artelanic acid 94
 artemether 94
 artemisinin 95
 artemotil 97
 artemimol 97
 artesunate 94
 arthritis, see antiarthritics, rheumatoid arthritis
 articaine 164, 344
 articainic acid 344
 artificial sweeteners 77, 79, 115, 205, 434
 arundic acid 148
 arylacetic acids 497–506
 arylalkylamines 225–266; see also phenethylamines
 2-(aryl amino)benzoic acids 498
 aryl bromides 53
 aryl chlorides 52
 aryl fluorides 50
 N-arylhydroxylamines 60, 135
 3-aryloxy-2-hydroxypropylamines 320–328
 arylpropionic acids 303, 497–503
 arzoxifene 260
 ASA404 505
 ascaridole 97
 ascorbic acid (vitamin C) 77
 asenapine 318
 asimadoline 278
 asoprisnil 489
 aspirin 164, 349, 497, 512
 astemizole 226, 258
 Astra 341, 396
 astrocyte modulating agents 148
 asulacrine 416
 ataluren 508
 atazanavir 349, 354
 atenolol 3, 320, 326
 atherosclerosis 399
 atipamezole 380
 atomoxetine 228
 atorvastatin 46, 549
 atovaquone 141
 atracurium 290
 atrasentan 505
 atrial fibrillation 81, 330
 atropine (hyoscyamine) 223
 attention deficit hyperactivity disorder 228, 229
 atypical antipsychotics 240, 318, 319
 auranofin 79
 aurothiomalate 158
 autan 169
 avibactam 182
 avitriptan 248, 294
 axitinib 372
 azacytidine 430
 azanidazole 384
 azapropazone 180, 418
 azasetron 219
 azatadine 254, 315, 393
 azathioprine 439
 AZD 6140 439
 AZD 6244 372
 azelastine 258
 azetidine, pK_a 110
 azides 58, 133
 azidocillin 58, 526
 azilsartan 546
 azimilide 108, 182
 aziridine, pK_a 110
 aziridines 54
 azithromycin 108, 561
 azlocillin 527
 azo compounds 62
 azoles, see imidazoles, triazoles
 azomycin 378
 azosemide 454, 507
 aztreonam 208, 534
- b**
- baclofen 160, 272
 bacterial fatty acid biosynthesis inhibitors 171
 bacterial infections, see antibacterials
 bafetinib 368
 BAL (dimercaprol) 98
 balofloxacin 519

- balsalazide 62, 512
 bambuterol 284
 bamethan 35, 83, 282
 bamifylline 442
 barbital 193, 199
 barbiturates 17, 193, 197–199
 barnidipine 449
 basic drugs, see amines, amidines, etc.
 batimastat 352
 BAY 59-8862 556
 BAY-129566 505
 Bayer 193, 215, 446, 498
 bazedoxifene 261
 BB 83698 356
 bbb penetration 16
 BCH-4556 430
 Bcl-2 inhibitors 365, 375
 BCNU 69
 beclobrate 154
 beclomethasone dipropionate 478
 befloxatone 176
 benazepril 164, 339
 bencyclane 236
 bendamustine 56, 151
 bendazac 502
 bendroflumethiazide 452
 benign prostatic hyperplasia 278, 279, 416
 benoxaprofen 144, 501
 benperidol 242
 benproperine 260
 benserazide 137
 benzalkonium 118
 benzamides 215
 benzaronine 88
 benzboromarone 53, 88
 benzene 47
 benzenes, see arenes
 benzidine 133
 benzimidazoles 61, 378, 385, 386
 benznidazole 384
 benzocaine 215
 benzoctamine 306
 benzodiazepine agonists 299
 benzodiazepine antagonists 471
 benzodiazepines 60, 169, 466–476
 benzoic acid 145, 511
 benzoic acids 497, 506–513
 benzonitrile 59
 benzphetamine 273
 benzpyrene 47
 benzthiazide 102, 452
 benzylamine 236
 benzylamine, pK_a 110
 benzylamines 116, 117
 benzylisoquinolines 269
 benzylpenicillin 204, 522, 527
 bepotastine 257
 bepridil 136, 227
 beraprost 540
 besifloxacin 519
 besipirdine 403
 beta-adrenergic, see β -adrenergic
 beta-blockers 320
 betahistine 393, 401
 beta-lactamase inhibitors 182, 524
 beta-lactams 522–537
 betamethasone 478
 betamipron 162
 betaxolol 39, 93, 325
 bethanidine 128
 betrixaban 367
 bevantolol 276, 327
 bevirimat 491
 bexarotene 509
 bezafibrate 154
 bezitramide 239
 BG 9928 442
 biapenem 524
 BIBW 2992 373
 bicalutamide 170, 184
 bicifadine 270
 bidisomide 238
 bifemelane 260
 bifeprunox 245
 biguanides 122, 123
 bilastine 155, 256
 biliary excretion 15
 bilobalide 95
 bimatoprost 540
 bioactivation, carcinogens 45, 133, 135
 bioavailability 6, 14
 bioisosteres
 – of carboxylic acids 146
 – of esters 393
 – of phenols 83
 – of thioethers 100
 biological stains, see dyes
 bipterin 408
 biotin 162
 biperiden 233
 bisacodyl 207
 bisaramil 224
 Bischler–Napieralski reaction 269
 bis(2-chloroethyl)sulfide 54
 bisnorbiotin 162
 bisoprolol 325
 bisphenol A 86
 bisphosphonates 210–212

- bisquaternary ammonium salts 118, 119
 bizelesin 300
 Black, James 320
 bleeding, see anticoagulants
 blonanserin 250
 blood clearance 7
 blood-brain barrier 16
 BMS-184476 555
 BMS-204352 200
 BMS-214662 476
 BMS-275183 555
 BMS-317180 356
 BMS-564929 179
 BMS-708163 353
 boceprevir 351
 BOF-4272 445
 bone resorption inhibitors 210–212
 bopindolol 324
 boronic acids 354, 355
 bortezomib 354
 bosentan 407
 Bossert, Friedrich 446
 bosutinib 374, 412
 bradycardics 263
 bradykinin B₂ antagonists 359
 B-raf inhibitors 370
 brasofensine 251
 breathing, see respiratory stimulants
 brequinar 154, 521
 bretylium 117, 118
 brimonidine 126
 brinzolamide 457
 british anti-Lewisite (dimercaprol) 98
 brivanib 372
 brivanib alaninate 372
 brivaracetam 173, 175
 brivudine 53, 433
 brodimoprim 404
 brofaromine 259
 bromazepam 394, 469
 bromazine 235, 393
 bromfenac 500
 bromhexine 53, 116
 bromide 173
 bromine 53
 bromisovalum 193, 195
 bromoarenes 53
 bromobenzene 59
 bromocriptine 296
 bromodiphenhydramine 235
 bromopride 217
 bromotetrandrine 290
 bromovinyluracil 433
 bromperidol 241
 brompheniramine 231
 bronchodilators
 – ammonium salts 222
 – PDE₄ inhibitors 170
 – phenethylamines 280, 281, 283–286
 – xanthines 440–442
 brostallicin 357
 brotizolam 475
 Brotzu, Giuseppe 523
 bucolome 197
 budesonide 480
 budiodarone 261
 budipine 257
 buflomedil 242
 buformin 131
 bufuralol 286
 bumetanide 454, 508
 bunazosin 426
 bupivacaine 344
 bupranolol 39, 321
 buprenorphine 334
 bupropion 281
 burimamide 101
 buserelin 347, 357
 buspirone 249
 Buss, Carl Emil 497
 busulfan 55, 206
 butabarbital 199
 butalbital 198
 butanethiol 98
 butanol 98
 butaperazine 307
 butenafine 116
 butethal 199
 buthionine sulfoximine 157
 butofilolol 325
 butorphanol 331
 butriptyline 313
tert-butylamine, pK_a 110
 butylmercaptan 98
 butylscopolamine 222
 butylscopolammonium 118, 222
 O-benzoylmeprindolol 324
 γ-butyrolactams 173–176
 butyrophenes 241, 242, 256
- c**
- cabazitaxel 555
 cabergoline 295
 cadralazine 187, 404
 caffeine 440, 451
 calcifediol 488
 calcipotriol 489
 calcitriol 488, 489

- calcium channel blockers
 - arylalkylamines 227, 229, 276, 277, 279
 - dihydropyridines 446–449
 - piperazines 241, 253, 345
- calcium disodium edetate 158
- calcium mimetics (agonists) 229
- calcium regulators 212, 488
- calcium sensitizers 411
- calomel (Hg_2Cl_2) 451
- camazepam 472
- Camptotheca acuminata* 415
- camptothecin 415
- cancer, see antineoplastics
- candesartan 546
- candesartan cilexetil 186, 546
- canertinib 374
- cannabinoid antagonists 171, 234
- canrenone 488
- capecitabine 187, 432
- capillary protectants, see vasoprotectants
- capravirine 190
- capreomycin 1A 564
- capromorelin 356
- captotril 99, 162, 167, 335, 336, 339
- carazolol 326
- carbamates 187–191
- carbamazepine 17, 310, 316
- carbamazepine-10,11-epoxide 310, 316
- (2-carbamoylphenoxy)acetic acid 512
- carbamoyl phosphate I synthase activators 150
- carbapenems 523, 529–537
- carbenicillin 204, 528, 529
- carbetapentane 221
- carbidopa 83, 137, 159
- carbimazole 181, 201
- carbinoxamine 235, 393
- carbocromen 278
- carbohydrates 71, 78–81
- carbonates 186
- carbonic anhydrase inhibitors 385, 451, 454, 457, 458
- carboplatin 158
- N-(carboxyalkyl)- α -amino acid amides 335–340
- carboxylic acids 144–163
 - bioisosteres 146
 - metabolism 145
- carboxylic esters 154, 155, 164
- carboxypeptidases 166
- carbromal 193, 195
- carbutamide 462
- carcinogens 47, 49, 64, 68, 69, 117, 133, 178, 179, 183, 394
- carcinoïd syndrom 360
- cardiac arrhythmias 17
- cardiac depressants, see antiarrhythmics
- cardiac glycosides 81
- cardiac myosin activators 372
- cardioprotectives 130, 131, 196, 428
- cardiotonics 182, 276, 324, 400, 406, 410, 411, 425, 487
- cardiovascular agents 278
- carebastine 256
- carfecillin 529
- carfilzomib 352
- carglumic acid 150
- carindacillin 529
- Carini, David J. 543
- cariporide 130
- carisbamate 190
- carisoprodol 189
- carmeglitin 288, 342
- carmofur 434
- carmoxirole 248
- carmustine 69
- carnitine 161
- carnitine palmitoyltransferase inhibitors 230
- caroverine 227
- carprofen 501
- carteolol 323
- carticaine 344
- carumonam 534
- carvedilol 326
- casopitant 192, 266
- caspofungin 359
- catecholamines 83, 267, 268
- catechol-O-methyltransferase (COMT) 82, 83, 268
- catechols (1,2-dihydroxybenzenes) 82, 268
- cathartics, see laxatives
- cathepsin K inhibitors 353
- caustics (keratolytics) 148
- Caventou, Joseph Bienaimé 413
- CB 10-277 65, 507
- CCK antagonists 355
- CCR5 (chemokine 5) antagonists 253, 382
- CDK inhibitors 444
- cediranib 373
- cefaclor 530
- cefadroxil 530
- cefamandole 530
- cefatrizine 530
- cefazidone 532
- cefazolin 532
- cefcanel daloxate 186, 532
- cefdinir 533
- cefditoren pivoxil 535

- cefepime 535
 cefetamet 535
 cefetamet pivoxil 535
 cefixime 534
 cefmenoxime 536
 cefmetazole 532
 cefminox 533
 cefodizime 534
 cefonicid 203, 531
 cefoperazone 536
 ceforanide 531
 cefotaxime 534
 cefotetan 533
 cefotiam 536
 cefoxitin 531
 cefpimizole 537
 cefpiramide 536
 cefpirome 534
 cefpodoxime proxetil 186, 535
 cefprozil 530
 cefroxadine 530
 cefsulodin 532
 ceftaroline fosamil 532
 ceftazidime 534
 ceftibuten 102, 533
 ceftizoxime 533
 ceftobiprole medocaril 537
 ceftriaxone 536
 cefuroxime axetil 535
 celecoxib 455
 celiprolol 324
 centchroman 262
 central nervous system, see CNS
 cephaetetrile 531
 cephalixin 529
 cephaloridine 531
 cephalosporins 523, 529–537
 cephalosporin C 523
 cephalothin 531
 cephapirin 531
 cephradine 529
 cerivastatin 550
 ceronapril 209, 339
 cetamolol 322
 cetirizine 254
 cetrorelix 358
 cevimeline 264
 CGRP antagonists 354
 Chain, Ernst Boris 522
 chelating agents 158, 159, 398, 417, 508
 α -chemokine antagonists 565
 chemokine 5 (CCR5) antagonists 253, 382
 chemotherapeutics, see antineoplastics
 chinchona bark 4, 413
 chloral hydrate 74, 138, 188
 chlorambucil 52, 56, 151
 chloramphenicol 60, 73, 78
 chlorcyclizine 252
 chlordiazepoxide 466, 467, 474
 chlorguanide 131
 chlorhexidine 124, 132
 chlorinated biphenyls 52
 chlorine 51
 chlorine vs methyl 52
 chlormadinone 482
 chlormequat 51
 chlormerodrin 451
 chlormethine 55
 chlormezanone 106, 199
 2-chloroethylamine derivatives 52
 chloromethyl ethers 49
p-chlorophenoxyisobutyric acid (CPIB) 154
 1-(3-chlorophenyl)piperazine 247, 250
 chlorprocaine 216
 chloroquine 4, 113, 413, 414, 420
 chlorothiazide 451, 452
 chlorozotocin 69
 chlorphenesin 76
 chlorpheniramine 4, 71, 231
 chlorphentermine 272
 chlorproguanil 124, 131
 chlorpromazine 4, 109, 301, 304
 chlorpropamide 52, 463
 chlorprothixene 101, 305
 chlorquinaldol 417
 chlortetracycline 492, 494
 chlorthalidone 454
 chlorzoxazone 200
 cholecystokinin antagonists 150
 cholericetics (bile excretion enhancers) 77
 cholesterol 548
 cholesterlyl ester transfer inhibitors 177, 191
 choline 118
 cholinergics 85, 118, 190, 191, 264, 399, 420
 cholinesterase, see acetylcholinesterase
 choriocarcinoma 551
 chromonar (carbocromen) 278
 CI 941 496
 cibenzoline 125
 cicaprost 539
 ciclazindol 125
 ciclesonide 480
 cicletanine 403
 ciclopirox 406
 cidofovir 209, 430
 cifeline 120, 125
 cilansetron 381
 cilastatin 160, 525

- cilazapril 339
 cilnidipine 448
 cilomilast 151
 cilostazol 247
 cimaterol 286
 cimetidine 17, 101, 377, 381
 cimetropium 222
 cimicoxib 455
 cimoxatone 176
 cinacalcet 48, 229
 cinaldipine 448
 cinchonine 422, 521
 cinchophen 153
 cinitapride 219
 cinmetacin 503
 cinnarizine 50, 253
 cinolazepam 474
 cinoxacin 515
 cipemastat 352
 ciprofibrate 144, 154
 ciprofloxacin 17, 514, 517
 ciramadol 73, 276
 cisapride 220, 246
 cisplatin 158
 citalopram 184, 234
 citrulline 157
 cizolirtine 235
 cladribine 436
 clamikalant 463
 clarithromycin 17, 559
 classic antihistaminics 225; see also
 histamine H₁ antagonists
 clavulanic acid 524
 clearance 7
 clebopride 219
 clemastine 92, 236
 clenbuterol 35, 83, 286
 clentiazem 473
 clevidipine 448
 clinafloxacin 520
 clindamycin 78, 102
 clinical development 5
 clioquinol 417
 clobazam 474
 clobutinol 281
 clocapramine 168, 240, 314
 clodronic acid 212
 clofarabine 50, 436
 clofazimine 417
 clofibrate 154
 clofoctol 87
 clomethiazole 51, 57
 clomiphene 262
 clomipramine 314
 clonazepam 468
 clonidine 123, 126
 clonixin 506
 clopamide 137, 453
 clopentixol 308
 cloperastine 235
 clopidogrel 265
 cloprednol 479
 clorazepic acid 472
 clot prevention, see anticoagulants,
 antithrombotics
 clotiazepam 475
 clotrimazole 387, 388
 cloxacillin 528
 cloxazolam 473
 clozapine 19, 318
 CMN 131 396
 CMX001 430
 CNDAC 432
 CNS stimulants
 – amidines 125, 128
 – benzhydrylamines 313
 – indoles 299
 – indolones 403
 – phenethylamines 251, 270, 271
 – sulfoxides 157
 – xanthines 440
 coagulation factors, see factor Xa inhibitors
 cocaethylene 224
 cocaine 215, 224
 cocaine addiction 241
 codeine 91, 108, 269, 332
 coffee 397, 398; see also caffeine
 COL-3 495
 colchicine 476
 colorectal cancer; see antineoplastics
 common cold 225
 compactin (mevastatin) 548
 COMT inhibitors 83, 85, 86
 congestive heart failure 275, 411
 conivaptan 376
 conjugation reactions (phase II reactions)
 15
 constipation 329; see also laxatives
 contergan 189
 contraceptives 262, 481–486, 490
 contrast agents 72, 75, 76
 coronary artery disease 548
 coronary vasodilators, see vasodilators
 corticosteroids 477–481
 cortisol 479
 cortisol biosynthesis inhibitors 196
 cortisone 477, 479
 cotinine 175, 395, 402

- cough suppressants, see antitussives
 coumarin **141**
 COX inhibitors **455, 498**
 CP 14304 **460**
 CPI-1189 **217**
 CPIB **154**
 creatine **127, 394**
 creatinine **127, 394**
 cremophor EL **554**
 crizotinib **369**
 cromakalim **3, 174**
 cromoglicic acid **510**
 cromolyn **510**
 CS-758 **389**
 curare **289**
 curcumin **89**
 cyamemazine **305**
 cyanide **184**
 cyanoguanidines **202, 400**
 cyclacillin **526**
 cyclamate **37, 115, 205, 208**
 cyclic peptides **347, 358–361, 563, 564**
 cyclin-dependent kinase inhibitors **258**
 cyclizine **226, 252**
 cyclobarbital **197**
 cyclobenzaprine **311**
 cyclofenil **87**
 cycloguanil **405**
 cycloheptane **100**
 cyclohexane **100**
 1,2-cyclohexanediol **141**
 1,4-cyclohexanediol **141**
 cyclohexanes **37**
 cyclohexanol **141**
 cyclohexanone **141**
 cyclohexylamine **115**
 cyclooxygenase (COX) **538**
 cyclooxygenase inhibitors **455, 456, 497–513**
 cyclopentane **91, 100**
 cyclophosphamide **52, 55**
 cyclopropylamine, pK_a **110**
 cyclopropylmethyl group **39, 93**
 cycloserine **160**
 cyclosporine **358**
 CYP-inhibition/induction **16, 17, 394, 395**
 cyproheptadine **254, 314, 393**
 cyproterone acetate **481**
 cysteine **162**
 systemustine **69**
 cystic fibrosis **508**
 cytarabine **432**
- cytochrome P450, see CYP
 cytotoxic drugs, see antineoplastics
- d**
- DA-8159 **443**
 dabigatran etexilate **121, 363**
 dacarbazine **65, 382**
 daidzein **88**
 dalfampridine **398**
 dalfopristin **563**
 daltroban **156**
 danazol **485**
 dantrolene **108, 183**
 danusertib **368**
 dapagliflozin **79**
 dapiprazole **246**
 dapotum **308**
 dapoxetine **48, 228**
 dapsone **87, 134**
 darbufelone **85**
 darexaban **367**
 darifenacin **238, 278**
 darinaparsin **355**
 darodipine **448**
 darunavir **352**
 dasatinib **369**
 daunorubicin **492, 493**
 DDT **52**
 dealkylation
 – of amides **169**
 – of amines **110–114**
 – of ethers **92**
 – of lanosterol **387**
 debrisoquin **128**
 decitabine **430**
 decongestants **124, 126, 280, 282**
 DEET **169**
 deferasirox **508**
 deferiprone **398**
 deferoxamine **357**
 deflazacort **481**
 dehalogenation **53**
 dehydrogenase inhibitors **194**
 deiodination **53**
 delapril **338**
 delavirdine **294, 425**
 demeclocycline **494**
 dementia **217**
 4-demethoxydaunorubicin **493**
 demexiptiline **313**
 demoxepam **467, 474**
 denaverine **165, 221**
 2-deoxy-2-methylenecytidine **431**
 2'-deoxyguanosine **437**

- deprenyl 271
 deramciclane 234
N-desalkylflurazepam 469
 des-ciclesonide 480
 desethylchloroquine 113, 414
 desferrioxamine 357
 desglymidodrine 167, 285
 desipramine 112, 114, 134, 311
 desloratadine 114, 255, 315, 393
 desmethylfluoxetine 112, 228
N-desmethylatinib 112, 396
 desmethylsibutramine 112
O-desmethylvenlafaxine 276
 desmopressin 360
 desogestrel 140, 484
 desoxypipradrol 229
 detergents (disinfectants) 82, 87, 118
 detoxification 18
 development of drugs 13
 dexamethasone 17, 50, 478
 dexmedetomidine 378, 379
 dexrazoxane 172, 196
 dextromethorphan 331
 dextromoramide 237
 dextrorphanol 331
 dezocine 274
 DG-051 260
 diabetes, see antidiabetics
 diabetic neuropathy 163, 178
 diabetic retinopathy 375
 diacerein 89, 495, 513
 diacetolol 324
 diacetylmorphine 333
 diacetylrrhein 89, 495, 513
 diagnostic aids 401, 508
 diagnostic dyes, see dyes
 diamines 109, 119
 2,4-diaminoanisol 133
 3,4-diaminopyridine 398
 diaphoretics (sweating inducers) 380
 diazepam 93, 169, 468, 471
 diazepinomycin 317
 diazomethane 64
 diazonium salts 64
 diazoxide 452
 dibekacin 80
 dibenzazepines 310–317
 dibenzepin 317
 dibromoanthranilic acid 117
 1,2-dibromoethane 49
 dichloroacetic acid 148
 dichlorobenzene 59
 2,6-dichlorobenzaldehyde 130
 2,6-dichlorobenzylalcohol 130
 2,6-dichlorophenol 126
 dichlorphenamide 454
 dichlorvos 74
 diclofenac 47, 505
 dicloxacillin 528
 dicoumarol 143
 dicyclomine 221
 dicycloverine 221
 didanosine 435
 dienogest 184, 486
 diet, see anorexics
 diethylamine, pK_a 110
 5,5-diethylbarbituric acid 193
 diethylcarbamazine 192, 194
 diethylcathinone 270
 diethylpropion 270
 diethylstilbestrol 87
 diethylthiomethylcarbamate 194
 difenoxin 239
 diflomotecan 424
 difloxacin 517
 diflunisal 513
 difluorodeoxyuridine 431
 difluoroethylamine, pK_a 110
 digitalis 81
 digitoxin 81, 451
 digoxin 81
 dihydroazacytidine 430
 dihydrobiopterin 408
 dihydrocodeine 332
 dihydroergocrinine 296
 dihydroergocryptine 296
 dihydroergotamine 296
 dihydroergotoxine 297
 dihydrofolate reductase inhibitors 408, 409, 551–553
 dihydroorotate dehydrogenase inhibitors 20, 169
 dihydropyridines 60, 446–449
 dihydrotachysterol 488
 dihydroxybenzenes 82, 83
 1,2-dihydroxycyclohexane 141
 diloxanide furoate 85
 Dilthey, Alfred 193
 diltiazem 17, 165, 446, 474
 dimercaprol (British anti-Lewisite, 2,3-dimercaptopropanol) 98
 dimethadione 177
 dimethindene 232
 4-dimethylaminoazobenzene 133
 dimethylamino group 109–112
 4-dimethylaminostilbene 133
 2,6-dimethylaniline 341, 343
 dimethylcysteine 99, 159, 339

- dimethylether 100
 dimethyl fumarate 149
 dimethylnitrosoadamantane 115
N-(2,6-dimethylphenyl)glycinamides 341
 dimethylsulfide 100
 dinoprostone 539
 dioxin (tetrachlorodioxin) 52
 dipeptidylpeptidase IV, see also DPPIV
 diphenhydramine 17, 225, 234, 377
 diphenoxylate 239
 diphenylpyraline 257
 dipipanone 237
 (S) -diprafenone 325
 diprophylline 441
 dipropyl ether 91
 dipyrone 181, 203
 dirithromycin 561
 discovery of drugs 3
 disinfectants 82, 87, 118
 disobutamide 108, 238
 disopyramide 108, 238
 dispersion (London) forces 51
 disposition of drugs 15
 distigmine 399
 distribution, volume of 6
 disulfiram 194, 202
 ditazol 75
 diuretics
 – aldosterone antagonists 487, 488
 – loop 131, 155, 161, 181, 385
 – mercurial 451
 – sodium channel blockers 130, 408
 – sulfonamides 450, 452–455, 457, 463
 – osmotic 76, 77, 193
 – other 230, 441
 DJ-927 556
 DMDC 431
 DMSO 101
 DMXAA 505
 DNA gyrase 514
 DNA gyrase inhibitors 8, 514
 DNA ligands 357
 DNA methylation inhibitors 429
 dobutamine 276
 docetaxel 554
 dofetilide 279
 dolasetron 223
 Domagk, Gerhard 62, 450
 domperidone 244
 donepezil 139, 245
 L-dopa, see levodopa
 dopamine 267, 268
 dopamine agonists 250, 272, 275, 296
 dopamine antagonists 217, 240–242, 244, 245, 260
 dopamine D_{A2} agonists 248
 dopamine D_2 agonists 274, 275
 dopamine D_2 antagonists 217–219, 240, 250
 dopamine D_3 antagonists 27, 218
 dopamine D_4 antagonists 246
 dopamine reuptake inhibitors 241, 251, 281, 516
 dopamine transport blockers 230
 dopamine–norepinephrine reuptake inhibitors 281
 dopamine receptors 225
 dopexamine 276
 doranidazole 383
 doripenem 524
 dorzolamide 457
 dosulepin 313
 dothiepin 101, 313
 doxacurium 289
 doxapram 234
 doxazosin 425
 doxefazepam 474
 doxepin 101, 145, 312
 doxercalciferol 489
 doxofylline 138, 441
 doxorubicin 492
 doxycycline 493
 doxylamine 92, 235
 DPPIV inhibitors 8, 23, 273, 288, 342, 345, 346, 355, 435, 443
 droloxfene 263
 dronabinol 86
 dronedarone 261
 droperidol 242
 drospirenone 488
 drotaverine 287
 drug development 3
 drug discovery 3
 drug–drug interactions 16
 drug excipients 77
 DTI-0009 438
 duloxetine 228
 Duncia, John V. 543
 DuP 697 456
 DuPont 543
 dutasteride 487
 dydrogesterone 482
 dyes 62, 89, 90, 300, 495, 508, 512
 dyes, as antibacterials 62, 512
 dynorphins 329
 dyphylline 441
 dyskinesia (tremor) 217, 287, 401

- e**
- E-2020 245
 - EAA 090 211
 - ebastine 256
 - ebrotidine 132
 - ecstasy 275
 - edatrexate 552
 - edotecarin 375
 - odoxaban 367
 - edrophonium 85
 - EDTA 158
 - EF5 384
 - efaproxiral 154
 - efavirenz 17, 188, 200
 - efegatran 364
 - eflornithine 157
 - EHNA 444
 - Ehrlich, Paul 62
 - eicosanoids 538
 - elcometrine 481
 - electron-poor alkenes (Michael acceptors) 19, 155
 - electrophilic metabolites 17–19
 - elesclomol 194
 - eletriptan 293
 - elgodipine 449
 - eliglustat 279
 - elimination of drugs 15
 - elinogrel 465
 - eliprodil 283
 - eltanolone 483
 - eltoprazine 134, 250
 - eltrombopag 140, 153
 - elvitegravir 516
 - elvucitabine 433
 - EMD 87580 106, 123, 130
 - emedastine 258
 - emepronium 230
 - emetics 287
 - emtricitabine 138, 431
 - enalapril 335, 336
 - enalkiren 357
 - encainide 220
 - enclomiphene 262
 - endopeptidases 166
 - endorphins 329
 - endothelin antagonists 151, 369, 407, 408, 458, 509
 - endralazine 137, 419
 - enilconazole 388
 - eniporide 130
 - enkephalins 329
 - enocitabine 432
 - enoltasosartan 546, 547
 - enoxacin 17, 517
 - enoximone 182
 - enprofylline 440
 - ensaculin 247
 - entacapone 83, 86
 - entecavir 73, 437
 - enterohepatic recirculation 15
 - entinostat 136, 188, 366
 - enzastaurin 375
 - enzymatic activation 18, 19
 - epalrestat 153, 201
 - epanolol 327
 - eperisone 242
 - ephedrine 280
 - epichlorohydrin 49
 - epinastine 316
 - epinephrine (adrenaline) 3, 267
 - epirubicin 492
 - plerlenone 96, 488
 - eplivanserin 236
 - epothilone B 564
 - epoxide hydrolase inhibitors 28
 - epoxides 96
 - epristeride 487
 - eprodisate 203, 204
 - eprosartan 545
 - eptastigmine 191
 - erdosteine 162
 - Erdtman, Holger 341
 - erectile dysfunction 299, 442, 443, 539
 - ergocalciferol 488
 - ergocristine, see dihydroergocristine
 - ergoloid 297
 - ergosterol 387
 - ergot alkaloids 291, 296, 297
 - ergotamine 296
 - erlotinib 44, 374, 415
 - ertapenem 525
 - erythrohydroxynonyladenine 444
 - erythromycin 17, 108, 557, 560
 - eslicarbazepine acetate 316
 - esmolol 326
 - esophageal reflux, see antiulceratives
 - estazolam 470
 - esters 154, 155, 164
 - estradiol 44, 477, 486
 - estrامustine 56
 - estriol 486
 - estrogen receptor modulators 260–262
 - estrogens 87, 477, 485, 486
 - estrone 486
 - ET-743 288
 - etafenoxine 125
 - etamiphylline 442

- etamsylate 203, 205
 etanidazole 383
 ethacrynic acid 155, 451
 ethambutol 116
 ethanol 17, 71, 74
 ethanolamine, pK_a 110
 ethchlorvynol 44, 74
 ethers 91
 ethinamate 44
 3'-C-ethinylcytidine 431
 ethinyl estradiol 44, 82, 485
 ethinyl estradiol-3-sulfate 485
 ethinyl estradiol-17-sulfate 485
 ethionamide 201, 400
 ethopropazine 303
 ethosuximide 172, 177
 ethoxybenzene 91
 ethoxybenzenes 91, 92
 ethoxzolamide 385, 457
 ethylamphetamine 270
 N -(2-ethylbutanoyl)urea 193
 ethyl carbamate 188
 ethylenediamine 110, 116
 ethylene glycol 76
 ethylenimines (aziridines) 54
 ethyl ethers 91
 ethylphenylmalonamide 196
 etidocaine 343
 etidronic acid 212
 etifoxine 125
 etilefrin 282
 etintidine 382
 etizolam 475
 etodolac 501
 etofenamate 506
 etofylline 440
 etomidate 380
 etonogestrel 140, 484
 etoperidone 247
 etoposide 89
 etoricoxib 106, 403, 456
 etozolin 161
 etravirine 184, 405
 etretinate 153
 β -eucaine 215
 everolimus 559
 exatecan 424
 excipients 77
 excitatory amino acids, see AMPA, GABA, NMDA
 excretion of drugs 14, 15
 exemestane 486
 exopeptidases 166
 EXP 3174 545
 EXP 6155 544
 EXP 6803 544
 EXP 7711 544
 expectorants 76, 116, 117; see also mucolytics
 ezetimibe 86
 ezogabine 404
- f**
- Fabry disease 279
 factor Xa inhibitors 170, 176, 177, 266, 363, 367, 370
 fadrozole 390
 falipamil 276
 famciclovir 443
 famotidine 122, 132
 fampridine 398
 fantofarone 277
 Farber, Sidney 551
 farnesyltransferase inhibitors 255, 380, 476
 faropenem 524
 fasudil 422
 fatigue suppression 268
 fazadinium 410
 fazarabine 430
 FDA 9, 10
 febuprol 73, 77
 febuxostat 510
 felbamate 17, 19, 20, 188, 189
 felodipine 60, 108, 447
 femoxetine 251
 fenbufen 150
 fenclofenac 47, 505
 fencloxic acid 504
 fendiline 229
 fendosal 513
 fenethazine 301
 fenfluramine 271
 fenofibrate 155
 fenoldopam 272
 fenoprofen 501
 fenoterol 284
 fenpirane 71, 232
 fenproporex 271
 fenquizone 453
 fenspiride 188, 243
 fentanyl 243
 fentiazac 504
 feprazone 180
 fesoterodine 232
 fever, see NSAIDs
 fexinidazole 384
 fexofenadine 256
 fiacitabine 431

- fialuridine 431, 433
 fibrates 144, 154, 155, 548
 fibrin 349
 fibrinogen 349
 fibrinogen antagonists 361
 fidarestat 178
 fidaxomicin 562
 fiduxosin 278
 Filehne, Wilhelm 173
 finasteride 487
 fingolimod 73, 77
 finroazole 390
 first-pass metabolism 14, 15
 Fischer, Emil 193
 Fischer, Otto 172
 five-membered lactams 173–176
 FK506 559
 FK-binding protein 557
 flavopiridol 252
 flavoxate 88, 164
 flecainide 220
 Fleming, Alexander 522
 fleroxacin 518
 flesinoxan 245
 flestolol 195
 flomoxef 533
 Florey, Howard 522
 flosequinan 418, 515
 flosulide 455
 florvagatran 355
 floxacillin 528
 flucloxacillin 528
 fluconazole 17, 213, 389
 flucytosine 434
 fludarabine 436
 fludiazepam 60, 93, 469
 flufenamic acid 394, 507
 fluindione 142
 flumazenil 471
 flumezinol 74
 flumequine 515
 flunarizine 41, 50, 253
 flunisolide 480
 flunitrazepam 60, 468
 flunoxaprofen 501
 fluocinolone acetonide 480
 fluocortolone 481
 fluorescein 508
 fluorine 49
 2-fluoroaniline 49
 2-fluorophenol 49
 1-(4-fluorophenyl)piperazine 250
 5-fluorouracil 434
 fluoxetine 10, 17, 112, 228
 fluoxymesterone 485
 flupentixol 309
 fluphenazine 308
 flupirtine 188, 394, 404
 flurazepam 469, 474
 flurbiprofen 499
 flurithromycin 560
 flusoxolol 325
 flutamide 170
 fluticasone 50, 481
 flutoprazepam 93, 469
 fluvastatin 17, 549
 fluvoxamine 17, 140, 236, 272
 folic acid analogs 551–553
 folinic acid 552
 fomepizole 379, 388
 fominoben 345
 formamides 356
 formestane 487
 formoterol 283
 4-(formylamino)antipyrine 181
 forodesine 437
 fosamprenavir 214, 351
 fosaprepitant 214
 foscarnet 209, 210
 fosfluconazole 213, 390
 fosfomycin 209, 210
 fasinopril 339
 fosmidomycin 209, 211
 fosphenytoin 179, 213
 fospropofol 84
 fostamatinib 366
 fostriecin 214
 fotemustine 69
 fradafiban 362
 Franke, Hans 462
 frovatriptan 293
 Fuchs, Joachim 462
 fulvestrant 486
 fungal infections, see antifungals
 fungicides 86
 furafylline 441
 Furakawa, Y. 543
 furegrelate 510
 furosemide 454, 508
 fusidic acid 152, 491
 future of small molecule drugs 9
- g**
- GABA agonists 149, 160, 161, 204, 391
 GABA receptor modulators 483
 GABA reuptake inhibitors 240
 gabapentin 37, 160
 gaboxadol 161, 264

- galantamine 334
 gallamine 259
 gallopamil 277
 ganaxolone 483
 ganciclovir 437
 ganglionic blocking agents 118
 Gardos channel inhibitors 151
 garenoxacin 521
 gastric ulcers, see antiulceratives
 gastrin project 396
 gastrointestinal tract 14
 gastropokinetics 217–220, 246, 355
 gastroprotectants 141, 541
 gatifloxacin 518
 Gaucher's disease 78, 279
 gefitinib 373, 412
 gemcitabine 73, 431
 gemfibrozil 154, 550
 gemifloxacin 520
 genaconazole 389
 genistein 88
 gentamicin 80
 gentisamide 512
 gentisic acid 511
 gepefrine 273
 gepirore 249
 gestodene 484
 gimatecan 423
 glaucoma 122, 126
 glibenclamide 464
 glibornuride 463
 glicalzide 463
 glimepiride 464
 glipizide 464
 gliquidone 464
 glisoxepid 464
 GLP-1 342
 glucocorticoids 477–481
 glucose transporter inhibitors 79
 glucosylceramide synthase inhibitors 279
 glucuronidation 15, 146
 glutarimides 172, 195, 196
 glutathione 15, 157
 glutathione transferases 15
 glutethimide 172, 196
 glyburide 464
 glycerin dinitrate 66
 glycerol 71, 76
 glycine, as solubility enhancer 114, 166
 glycine ethyl ester, pK_a 110
 glycol 76
 glycopyrrolate 221
 glycosides
 – anthracycline 492, 493
 – antibacterial 78–81
 – cardiac 81
 glymidine 458
 GnRH agonists 357, 358
 GnRH antagonists 358
 gold sodium thiomolate 158
 gonadotropin agonists 347
 goserelin 358
 gout suppressants 476, 510
 gramine 341
 granisetron 223
 grapefruit 16, 17
 grepafloxacin 17, 517
 growth hormone 17
 growth hormone secretagogues 356
 Grünenthal 189
 guaifenesin 76
 guanabenz 122, 130
 guanadrel 128, 140
 guanethidine 122, 128
 guanacine 123, 130, 342
 guanidine 127
 guanidines 122
 guanoxan 128
 guanylate cyclase stimulators 370
 gut 14
 GW597599 265
 gyrase inhibitors 514
- h**
 haemo-, see hemo-
 halazepam 169, 470
 half-life of drugs 6, 15
 halides 49
 hallucinogenics 116, 264, 275, 295
 haloalkanes 49
 halofantrine 113, 233
 halofenate 155
 halofenic acid 155
 haloperidol 17, 72, 139, 241
 halothane 53
 hay fever 225–227, 252–258; see also
 antipruritics, histamine H₁ antagonists
 headache, see migraine, antimigraine agents
 heart failure 372, 509
 heart valve damage 271
 heat shock protein 8
 heavy-metal poisoning, antidotes for 158,
 159, 162
 hedgehog signaling inhibitors 366
 hedonal 193
 helminths (worms), see anthelmintics
 hemiacetals 92, 138
 hemoglobin 133, 138, 154, 509

- hemolytic anemia 133, 517
 hemorheologic agents 441
 hemorrhage, see anticoagulants
 hemostatics 148, 157, 160, 182, 205
 heparin 349
 hepatic enzyme inducers 74
 hepatitis 351, 436
 hepatitis C virus protease inhibitors 351
 hepatoprotectants 159, 162
 hepatotoxicity 18
 heptobarbital 197
 heptane 91
 herbicides 84, 142, 155, 397, 402
 hERG 17
 heroin 164, 333
 Hertz, Roy 551
 hexachlorocyclohexane (lindane) 56
 hexachlorophene 87
 hexanols, solubility 36
 hexobarbital 41, 197
 hippuric acid 145, 511
 histamine 107, 377, 379, 393
 histamine agonists 377, 379, 401
 histamine antagonists 377
 histamine H₁ antagonists 155, 225, 227, 230–232, 234–236, 239, 248, 252–258, 303, 304, 312, 316, 402, 442
 histamine H₂ antagonists 101, 132, 264, 377, 380–382, 402
 histamine H₃ antagonists 377
 histamine H₄ antagonists 377
 histamine receptors 225
 histidine 377
 histone deacetylase inhibitors 156, 360, 366, 367
 HIV integrase inhibitors 26, 516
 HIV protease inhibitors 25, 350–352, 354; see also antivirals
 HIV-1 maturation inhibitors 491
 HMG CoA reductase inhibitors 548–550
 Hodkin, Dorothy Crowfoot 522
 Hoechst 173, 215
 Hoffmann, Felix 498
 Hoffmann-La Roche 466
 homotaurine 204
 hormone replacement therapy 477, 484
 HSP70 inducers 194
 HSP90 inhibitors 8
 human ether-à-go-go related gene 17
 hycanthone 496
 hydantoins 178, 179, 183
 hydralazine 137, 419
 hydrazines 137
 hydrazones 137
 hydrocephalus 94
 hydrochlorothiazide 452
 hydrocodone 91, 92, 332
 hydrocortisone 479
 hydroflumethiazide 452
 hydromorphone 91, 92, 331
 hydrophilic pores 14, 119
 hydrophilicity, effect on PK 6, 7, 71, 72
 hydroxamic acids 146, 147, 156, 157, 352, 353
 hydroxy acids 157
 N-hydroxyamidines 120, 121
 4-hydroxybutyric acid 149
 10-hydroxycarbamazepine 316
 hydroxychloroquine 420
 1-hydroxycholecalciferol 489
 3-hydroxytocinine 176, 402
 3-hydroxydihydroquinidine 422
 hydroxyethylmorphine 332
 5-hydroxyindoles 159
 hydroxyindolylacetic acid 159
 3-hydroxyquinidine 42
 hydroxylamines 133, 135
 5-hydroxymethyltolterodine 232
 hydroxynortriptyline 312
 hydroxytriamterene sulfate 408
 2-hydroxy-4-trifluoromethylbenzoic acid 511
 hydroxytrimazosin 73
 5-hydroxytryptamine (5-HT), see serotonin
 5-hydroxytryptophan 159
 hydroxyurea 192, 194
 1 α -hydroxyvitamin D₂ 489
 hydroxyzine 254
 hyoscyamine (atropine) 223
 hyperammonemia 149, 150
 hypercalcemia 212
 hypercholesterolemia 548
 hypericin 90
 hyperprolactinemia 274, 294–296
 hypertension 543; see also antihypertensives
 hyperuricemia 445
 hypnotics
 – acyclic ureides 193, 195
 – alcohols 74
 – amides 288, 371, 410, 426, 427
 – barbiturates 193, 197–199
 – benzodiazepines 466–476
 – carbamates 188, 189
 – other 74, 161, 235, 236, 380
 – phenothiazines 303, 305
 – piperidinediones 195, 196, 199
 hypoglycemia 452
 hypolipidemics 87
 hyponatremia 376

- hypotensives, see antihypertensives
 hypoxanthine 435
 hypoxia probes 384
 hypoxia-selective antineoplastics 419
- i*
- ibandronic acid 211
 ibudilast 440
 ibuprofen 497, 498
 ibuproxam 498
 ibutilide 285
 ICA-17043 151
 icatibant 359
 ICI 320
 ICL 670 508
 iclaprim 405
 icofungipen 160
 idarubicin 493
 idarubicinol 493
 idazoxan 125
 idebenone 141
 idoxuridine 433
 idrapril 352
 idrocilamide 75
 idronoxil 89
 ifenprodil 283
 ifetroban 156
 ifosfamide 55
 I. G. Farben 450
 ilaprazole 410
 iloperidone 245
 iloprost 540
 imatinib 112, 368
 imidafenacin 237, 380
 imidapril 337
 imidazole 377, 379
 imidazoles 377–386
 imidazolines 120
 imides 146, 172
 imipenem 525
 imipramine 101, 112, 310, 311
 imipramine *N*-oxide 112, 311
 imiquimod 418
 immunogenicity 347, 523
 immunomodulators 77, 169, 174, 196, 418,
 436, 439, 559
 immunostimulants 565
 immunosuppressants 55, 153, 154, 358,
 403, 428, 439, 496, 557–559
 incadronic acid 211
 INCB 9471 253
 incontinence 220, 232, 238
 indacaterol 283
 indalpine 259
- indanazoline 126
 indapamide 453
 indecaïnide 238
 indeloxazine 280, 322
 indinavir 17, 349, 350
 indiplon 371
 indirectly acting sympathomimetics 268
 indobufen 500
 indocyanine green 300
 indole alkaloids 291–300
 indomethacin 146, 502
 indoprofen 499
 indoramin 244
 induction of CYP 16
 infertility 477
 inflammation, see antiinflammatories
 inhibition of CYP 16
 injection (parenteral dosing) of drugs 15–17
 inogatran 364
 inosine 435
 inotropics, see cardiotonics
 insect repellents 169
 insecticides 56, 84, 457
in silico drug discovery 9
 insulin secretagogues 129
 insulin sensitizers 151, 152, 178, 179
 interactions, drug–drug 16
 intercalators 492, 496
 intestine 14
 intoplicine 299
 intoxication 17–20
 intraocular pressure 126; see also
 antiglaucoma agents
 iodine 53
 iodixanol 75
 iodoquinol 54, 417
 iohexol 54, 75
 iomeprol 75
 iopamidol 72, 76
 iopromide 75
 iosimide 76
 ipratropium 222
 ipriflavone 88
 iprindole 236
 iproniazid 137, 400
 ipsapirone 249
 irbesartan 38, 545
 irinotecan 424
 irofulven 141
 iron chelators 357, 508
 irreversible tyrosine kinase inhibitors 374
 irritable bowel disease 217, 278, 281, 381
 irlsogladine 407
 isatoribine 436

- isavuconazole 387, 388
 isepamicin 80
 isoamyl nitrite 66
 isobutyl nitrite 66
 isofagomine 78
 isofezolac 504
 isoflavones 88
 isogramine 341
 isomazole 410
 isoniazid 17, 137, 399
 isopropylamine, pK_a 110
 isoproterenol 83, 284
 isoquinolines 269
 isosorbide 94
 isosorbide dinitrate 66, 93
 isosorbide mononitrate 66, 94
 isosteres, see bioisosteres
 isotretinoin 153
 isovaleramide 148
 isoxicam 460
 isoxsuprine 283
 ispinesib 374
 ispronicline 401
 isradipine 108, 448
 istaroxime 487
 istradefylline 442
 itasetron 223
 itching, see antipruritics
 itraconazole 17, 140, 391
 ivabradine 277
 ivermectin 562
 ixabepilone 96, 564
- j**
- Jendrássik, Ernst 451
- k**
- KA-672 247
 kairine 173
 kairoline A 173
 kanamycin B 80
 karenitecin 423
 keratolytics 148
 ketamine 281
 ketanserin 244
 ketazolam 473
 ketobemidone 330
 ketoconazole 17, 391
 3-ketodesogestrel 484
 2-ketodoxapram 234
 ketones 139
 ketoprofen 500
 ketorolac 503
- ketotifen 255, 315
 kidneys 15
 kinase inhibitors 422, see also
 antineoplastics
 kinesin spindle protein inhibitors 374
 Klarer, Josef 62, 450
 Knorr, Ludwig 173
 Koenigs, Wilhelm 172
 Kolbe, Adolph Wilhelm Hermann 497
 Koller, Carl 215
 KRN-5500 444
 Kuhn, Roland 310
 Kurzrok, Raphael 538
 KW-6002 442
- I**
- labetalol 285
 Laborit, Henri 301
 lacidipine 448
 lacosamide 354
 lactams 172–183
 β -lactams 522–537
 lactic acidosis 131
 lactones 165, 559–562, 564
 lactulose 78
 lafutidine 402
 lamifiban 361
 lamivudine 431
 lamotrigine 405
 landiolol 327
 laninamivir 129
 lanosterol 387
 lanreotide 360
 lansoprazole 409
 lapatinib 374
 laquinimod 461
 laropiprant 144, 505
 lasofoxifene 111, 262
 latamoxef 533
 latanoprost 541
 laxatives 77, 78, 130, 207, 264, 539
 lazabemide 401
 LBM 415 356
 lead discovery 3–8
 lead optimization 13–20
 lecozotan 245
 leflunomide 169
 lefradafiban 187, 362
 lenalidomide 172, 196
 lenampicillin 526
 leprostatics 87
 lercanidipine 448
 lesopitron 249
 letrozole 184, 390

- leucovorin 552
 leukemia 206, 493, 509, 551
 leukotriene A₄ hydrolase inhibitor 260
 leukotriene D₄ antagonists 423, 511
 leukotrienes 538
 leuprorelin 358
 levallorphan 331
 levamisole 127
 levetiracetam 168, 173, 175
 levobunolol 47, 321, 323
 levocabastine 239
 levodopa 83, 159
 levodropizine 248
 levofloxacin 519
 levomepromazine 305
 levomethorphan 331
 levonorgestrel 483, 484
 levoprotiline 306
 levorphanol 331
 levosimendan 411
 levovirin 429
 librium 466
 licofelone 504
 lidocaine 215, 341, 342, 343
 lidoflazine 241, 345
 Lieb, Charles 538
 Liebreich, Oscar 188
 lignocaine 343
 linagliptin 41, 111, 342, 443
 lincomycin 78
 lindane 56
 linezolid 176
 linifanib 371
 linopirdine 403
 lipase inhibitors 152
 lipid peroxidation inhibitors 490
 lipid-lowering drugs, see antilipemics
 5-lipoxygenase inhibitors 194
 lirimilast 195
 lisinopril 336
 Lister, Joseph 82
 lisuride 192, 295
 litracene 306
 liver 13–19
 lixivaptan 376
 lobeline 263
 lobucavir 438
 local anesthetics 77, 84, 215, 216, 224, 236,
 341–344, 483
 locomotor stimulants 516
 lofepramine 114, 311
 lofexidine 120, 126, 342
 lomefloxacin 518
 lometrexol 552
 lomustine 68
 lonafarnib 255
 lonazolac 504
 London dispersion forces 51
 long QT syndrome 17
 lonidamine 502
 loop diuretics 131, 155, 161, 181, 385
 loperamide 241
 lopinavir 350
 loprazolam 475
 loracarbef 530
 loratadine 226, 255, 315
 lorazepam 473
 lorcainide 113, 257
 lorcaserin 272
 lormetazepam 472
 lornoxicam 460
 losartan 543–545
 losoxantrone 496
 lotrafiban 361
 lovastatin (mevinolin) 548, 549
 loxapine 19, 318
 loxiglumide 355
 loxoprofen 498
 LSD 295
 lubeluzole 246, 327
 lubiprostone 539
 lucanthone 496
 lumefantrine 233
 lumiracoxib 506
 lurasidone 249
 lurtotecan 424
 lusitropics 487
 LY-517717 266
 lymecycline 494
 lysergic acid diethylamide 295
- m**
- mabuterol 286
 macitentan 408
 macrocyclic compounds 557–565
 macrolides 557–564
 Maillard reaction 394
 malaria, see antiprotozoals
 manidipine 449
 mannitol 71, 77
 MAO inhibitors 117, 216, 259, 270, 271, 273,
 293, 400, 401
 MAP kinase inhibitors 366
 maprotiline 306
 maraviroc 240
 marijuana, see THC
 marimastat 353
 masitinib 368

- matrix metalloproteinase inhibitors 21, 26, 352, 353, 495
 maxipost 200
 mazindol 125
 MDMA 275
 mebendazole 385
 mechlorethamine 52, 55
 meclizine 252
 meclofenamic acid 506
 meclozine 252
 medazepam 471
 medifoxamine 138, 259
 medrogestone 483
 medroxalol 285
 medroxyprogesterone 482
 medroxyprogesterone acetate 482
 mefenamic acid 136, 506
 mefloquine 111, 414, 422
 mefruside 454
 megestrol acetate 482
 meglumine 77
 MEK inhibitors 372
 melagatran 362
 melanin-concentrating hormone receptor-1 antagonists 29
 melatonin 292
 melatonin MT₁/MT₂ agonists 275
 melitracene 306
 melogliptin 346
 meloxicam 460
 melperone 242
 melphalan 56, 151
 memantine 37, 115
 menogaril 493
 menopausal hot flushes 218
 menthol 74
 meobentine 128
 meperidine 251
 mephentermine 271
 mephobarbital 41, 197
 mepindolol 324
 mepivacaine 343
 meprobamate 189
 meptazinol 274
 mepyramine 227
 mequitazine 304
 merbaphen 451
 mercamphamide 451
 mercaptans 98
 mercaptoethanesulfonic acid (mesna) 99, 203, 205
 mercaptoimidazole (thiamazole) 182, 379
 mercaptomerin 451
 mercaptourine 99, 439
 mercaptovaline 99, 159, 339
 Merck & Co. 544
 mercumatilin 451
 mercurous chloride 451
 mercury-containing drugs 451
 meropenem 525
 mersalyl 451
 mesalazine 511
 mescaline 145, 275
 mesna 99, 203, 205
 mesotriione 142
 mestranol 485
 mesylates 206
 metabolic activation 17–19, 133
 metaclazepam 472
 metaldehyde 94
 metamizol 181
 metapramine 317
 metaproterenol 284
 metapyrilene 225, 227
 metaraminol 282
 metastat 495
 metaxalone 177
 metformin 124, 131
 methacycline 494
 methadone 139, 237
 methamphetamine 270
 methanesulfonic esters 206
 methaqualone 419
 methazolamide 457
 methdilazine 304
 methemoglobin 133
 methicillin 528
 methimazole 99, 182, 379
 methionine 159
 methitural 102
 methixene 304
 methocarbarnol 190
 methohexitol 41, 198
 methotrexate 147, 551
 methotriimeprazine 305
 methoxsalen 142
 methoxynaphthylacetic acid 141
 8-methoxysoralen 142
 7-methoxytacrine 420
 methsuximide 178
 4-(methylamino)antipyrine 203
 methylaminorex 280
 methylanilines 341
 L-N-methylarginine 129, 157
 methyl benzoate 59
 methyldopa 159
 methylene blue 62

- 3,4-methylenedioxymethamphetamine 275
 methylergometrine 295
 methylergonovine 295
 (R) - α -methylhistamine 379
 methylnaltrexone 334
 methylphenidate 251
 methylprednisolone 478
N-methylpyridinium 397
 3-methyl-2-thiohydantoin 182
 methyprylon 199
 methysergide 295
 metiamide 101
 metipranolol 324
 metoclopramide 217
 metocurine 289
 metolazone 138, 453
 metopimazine 168, 308
 metoprolol 39, 93, 325
 metopyrone 401
 metrifonate 74
 metronidazole 17, 378, 383
 metyrapone 401
 mevalonate 548
 mevastatin (compactin) 548
 mevinolin (lovastatin) 548, 549
 mexazolam 473
 mexiletine 259, 342
 mezlocillin 527
 MGCD-0103 367
 mianserin 317, 394
 mibepradil 279
 micafungin 359
 Michael acceptors 19, 155
 miconazole 17, 387, 388
 microtubule-stabilizing agents 564
 midazolam 471
 midodrine 167, 285, 286
 Mietzsch, Fritz 62, 450
 mifentidine 380
 mifepristone 490
 miglitol 72, 77
 miglustat 78
 migraine 291
 migraine prophylaxis 255
 milnacipran 237
 milrinone 406
 minaprine 406
 mineralocorticoids, see corticosteroids, steroids
 minocycline 495
 minoxidil 70, 407
 miotics (contract pupil) 190, 380
 mirtazapine 317, 394
 misonidazole 383
 misoprostol 538, 541
 mitiglinide 150
 mitomycin C 300
 mitotane 56
 mitoxantrone 496
 mivacurium 289
 mizolastine 258
 mizoribine 428
 MK-0974 354
 MK-473 155
 MKC-1 375
 MMI-270 353
 moclobemide 216
 modafinil 146, 157, 168
 moexipril 338
 mofarotene 262
 mofebutazone 180
 mofegiline 43
 molecular modeling 9, 10
 molecular weight 13–16
 molluscicides 94
 molsidomine 161
 mometasone 479
 monoamine oxidase (MAO) 267, 268
 monomethyl fumarate 149
 montelukast 422
 moprolol 322
 morclofone 261
 mordant yellow 512
 moricizine 17, 307
 morocromen 192, 278
 morphine 269, 329, 332
 morpholine, pK_a 110
 mosapramine 240, 314
 mosapride 218
 motesanib 366
 motility, gastrointestinal, see
 gastroprokinetics
 motion sickness 222, 226
 moxalactam 533
 moxifloxacin 519
 moxonidine 123, 127
 MS-275 366
 mucolytics 98, 116, 162
 multidrug resistance gene 16
 multiple sclerosis 169, 398, 461
 muraglitazar 152, 188
 muscarinic acetylcholine M₁ antagonists 313
 muscarinic acetylcholine M₃ antagonists 238
 muscarinic agonists 264
 muscarinic antagonists 118, 222, 237

- muscle relaxants
 - skeletal 75, 115, 126, 160, 177, 183, 189, 190, 200, 234, 242, 259, 264, 300, 311, 410, 419, 468
 - smooth, see anticholinergics, bronchodilators, vasodilators
- muscular dystrophy 508
- mushroom poisons 347
- mustards 52, 54–56
- muzolimine 131, 181, 379
- myalex 504
- mycophenolic acid 153
- mydriatics (enlarge pupil) 282, 299
- myoson 232

- n**
- nabilone 86
- nabumetone 139, 141
- nadolol 323
- nafamostat 127, 164
- nafarelin 358
- nafcillin 48, 526
- nafronyl 48, 221
- naftazone 182, 192
- naftidrofuryl 221
- naftopidil 248, 327
- nalbuphine 333
- nalidixic acid 514, 516
- nalmefene 333
- naloxone 333
- naltrexone 333
- naphazoline 48
- naphthalene 47
- 2-naphthylamine 133
- naphthyl groups 48
- 1-naphthyl N-methylcarbamate 48
- 1-naphthylthiourea 48
- naphthyridines 415, 416
- naproxen 499
- napsagatran 363
- naratriptan 258, 293
- narcotic antagonists 119, 239, 330, 331, 333
- narcotics, see analgesics
- narconapride 220
- nasal decongestants 124, 126, 280, 282
- nasal dosing, peptides 347
- nateglinide 38, 163
- National Cancer Institute 415, 554
- natriuretic enhancers 442
- nausea, see antiemetics, emetics
- navagliptazar 151
- navitoclax 365
- nebicapone 85
- nebivolol 327
- nedocromil 509, 515
- nefazodone 17, 247
- nefiracetam 174, 344
- nefopam 235
- negamycin 161, 355
- nelarabine 438
- nelfinavir 351
- nematodes, see anthelmintics
- nemonapride 219
- nemonoxacin 519
- neopentylamine, pK_a 110
- neoplastic diseases, see antineoplastics
- neostigmine 118, 187, 190
- nepafenac 168, 500
- neramexane 111, 115
- neratinib 373
- netilmicin 81
- netobimin 61, 385
- neuraminidase inhibitors 122, 129, 160
- neuritis 189
- neurokinin NK₁ antagonists 265, 266
- neurokinin NK₃ antagonists 418
- neuroleptics, see antipsychotics
- neuromuscular blocking agents 118, 119, 289, 290, 300, 490, 491
- neuroprotectants 95, 211, 246, 249, 259, 283, 385
- nevirapine 17, 317
- nexopamil 277
- NF-κB activation inhibitors 149
- NHE-1 130
- niacin 398, 505, 548
- niaprazine 248
- nicainoprol 323
- nicardipine 449
- niclosamide 86
- nicorandil 66, 401
- nicotinamide 398, 399
- nicotine 393, 402
- nicotine addiction 272
- nicotinic acetylcholine agonists 118, 259, 401
- nicotinic acid 398
- nicotinic agonists 118, 272
- nicotinic receptors 118
- N-nicotinoyl glycine 398
- nicoumalone 143
- nifedipine 60, 447
- nifeekalant 435
- niflumic acid 394, 507
- nifurtimox 183
- nikethamide 399
- nilotinib 368
- nilutamide 60, 179

- nilvadipine 447
 - nimesulide 455
 - nimetazepam 468
 - nimodipine 447
 - nimorazole 383
 - nimustine 69
 - nipradilol 323
 - niridazole 183
 - nisoldipine 447
 - nitazoxanide 183
 - nitisinone 142
 - nitrates 66
 - nitrazepam 60, 468
 - nitrendipine 60, 447
 - nitric oxide 66
 - nitric oxide synthase inhibitors 128, 129, 157
 - nitriles 184
 - nitrites 66
 - nitro compounds 59
 - nitroarenes 59
 - nitrobenzene 59
 - nitrofurans 61, 183
 - nitrofurantoin 60, 183
 - nitrofurazone 183
 - nitrogen mustards 52, 54–56
 - nitroglycerin 66, 76
 - nitroimidazoles 378
 - nitroso compounds 68
 - nitrosoarenes 60, 135
 - nitrosoureas 54, 68
 - nitrothiazoles 183
 - nitrous oxide 161
 - nizatidine 132
 - NK, see neurokinin
 - NK-611 90
 - NMDA antagonists 115, 211, 270, 281, 283, 427, 501
 - noberastine 258
 - nocloprost 541
 - nolatrexed 418
 - nolomirole 275
 - nomifensine 136, 230
 - nonsedating histamine H₁ antagonists 256–258
 - nonsense mutation suppressors 508
 - nonsteroidal antiandrogens 170, 179
 - nonsteroidal antiinflammatory drugs, see NSAIDs
 - nootropics
 - 3-(aryloxy)propylamines 246, 247, 260, 280
 - benzylamines 190
 - five-membered lactams 173–175
 - nicotine analogs 259, 264, 401
 - other pyridines 403, 420
 - quinones 141
 - tryptamine derivatives 297, 298
 - noradrenaline 267
 - noradrenaline reuptake inhibitors 228, 270, 276
 - noradrenaline/dopamine reuptake inhibitors 229
 - norbutriptyline 313
 - norchlorcyclizine 252
 - norcyclizine 252
 - nordazepam 60, 169, 394, 467, 469, 472
 - norepinephrine reuptake inhibitors 228, 270, 276
 - norethindrone 484
 - norethisterone 484
 - norfenefrine 281
 - norfloxacin 514, 517
 - norgestimate 483
 - normeperidine 168
 - nornicotine 402
 - norpropoxyphene 229
 - nortilidine 330
 - nortriptyline 312
 - norzimeldine 231
 - noscapine 269, 288
 - NSAIDs
 - arylacetic acids 497–506
 - arylpropionic acids 303, 497–503
 - benzoic acids 497, 498, 506, 507
 - other 75, 150, 224, 236, 403, 419, 455, 456
 - phenols 85
 - pyrazolones 180, 181
 - salicylates 497, 498, 511–513
 - thiazinecarboxamides 459, 460
 - NTBC 142
 - nucleoside analogs 428–445
 - nucleoside phosphorylase inhibitors 439
 - nufenoxole 237
 - NXL-104 182, 207
- o**
- obatoclax 375
 - obesity 152, 171; see also anorexics
 - obidoxime 399
 - ocinaplon 370
 - octofene 87
 - octopamine 282
 - octreotide 360
 - odanacatib 353
 - ofloxacin 514, 518
 - oglemilast 400
 - olanzapine 19, 318
 - olaparib 372, 411

- olcegepant 354
 olefins 40–44
 oligoamides 347, 365
 oligoarylamines 365
 oligosaccharides 78–81
 olmesartan medoxomil 186, 545
 olopatadine 145, 312
 olpadronic acid 211
 olsalazine 62, 512
 omapatrilate 99, 339
 omecamtiv mecarbil 372
 omeprazole 10, 17, 104, 396, 409
 oncogenes, see carcinogens
 oncolytics, see antineoplastics
 ondansetron 381
 OPC-6535 508
 opiate agonists 239, 241, 243, 274, 329–334
 opiate antagonists 119, 239, 330, 331, 333
 opiates 329–334
 opioid analgesics, see analgesics
 opipramol 311
 opium 269
 optimization of leads 13, 20
 oral bioavailability 6, 14
 orbofibran 362
 orciprenaline 83, 284
 orexin antagonists 288
 organomercurials 451
 orlistat 152
 ormeloxifen 262
 ornidazole 51, 383
 orotic acid 435
 orphenadrine 234
 ortataxel 556
 orthocaine new 215
 oseltamivir 160, 164
 osmotic agents 94
 osmotic diuretics 76, 77, 193
 osmotic laxatives 77, 78
 ospemifene 263
 ostarine 170
 osteoporosis 210, 260–263
 otamixaban 363
 overactive bladder 230, 281
 oxacillin 528
 oxaliplatin 158
 oxametacine 147, 502
 oxamniquine 287
 oxantel 124
 oxantrazole 496
 oxaprozin 144, 150
 oxatomide 254
 oxazepam 169, 467, 472
 oxazidione 142
 oxazolam 473
 oxcarbazepine 316
 oxedrine 35, 282
 oxidative stress inducers 194
 N-oxides 70
 oximes 138
 oxiracetam 72, 175
 oxiranes 96
 oxisuran 105, 403
 oxitriptan 159, 292
 oxitropium 222
 oxmetidine 382
 oxolinic acid 515
 oxprenolol 322
 oxybate sodium 149
 oxybutynin 165, 221, 233
 oxycodone 333
 oxymetazoline 124
 oxyphenbutazone 180
 oxypurinol 439
 oxytetracycline 494
 oxytocics 295
 ozagrel 380
 ozolinon 161
- p**
- PA-824 384
 paclitaxel 554, 555
 pafenolol 326
 Paget's disease 210
 pain suppression, see analgesics, NSAIDs
 paliperidone 244
 palonosetron 219
 pamidronic acid 211
 pancopride 219
 pancuronium 490
 panipenem 525
 panobinostat 156, 294
 pantoprazole 410
Papaver somniferum 269
 papaverine 269, 287
 paracetamol (acetaminophen) 84, 92
 – metabolism 82
 paraldehyde 94
 parallel synthesis 10
 paraquat 397
 parasitic infections, see anthelmintics,
 antiprotozoals
 parasympathomimetics, see cholinergics
 parecoxib 456
 parenteral dosing (injection) of drugs 15–17
 paricalcitol 489
 Parkinson's disease, see antiparkinsonians
 paromomycin 81

- paroxetine 10, 17, 251
 PARP inhibitors 300, 372
 pazinaclone 426
 pazopanib 369, 406
 pazufloxacin 519
 PDE, see phosphodiesterase
 pefloxacin 514, 518
 PEG (poly(ethylene glycol)) 92, 348
 peldesine 439
 Pelletier, Pierre Joseph 413
 pemetrexed 553
 pemirolast 515
 pemoline 128
 P-glycoprotein (P-gp) 13, 16
 P-glycoprotein inhibitors 254, 290, 367
 L-penbutolol 47, 320, 321
 penclomedine 402
 penciclovir 443
 penethamate 527
 penfluridol 241
 penicillamine 99, 159, 339
 penicillin 522
 penicillin F 522
 penicillin G 522, 527
 penicillin V 522, 527
 penicillinase inhibitors 182
 pentachlorophenol 84
 pentamidine 120, 127
 pentanols, solubility 36
 pentazocine 274
 2-pentenylpenicillin 522
 pentobarbital 41, 198, 202
 pentopril 338
 pentostatin 438
 pentoxifylline 441
 pentoxyverine 221
 peptic ulcers, see antiulceratives
 peptidases 166
 peptide deformylase inhibitors 356
 peptides 166, 347, 358–360
 peptidomimetics 347–361
 peramivir 129
 perampanel 404
 perazine 306
 PERC 57
 pergolide 294
 perhexiline 230
 pericyazine 308
 perindopril 337
 peristaltic stimulants, see gastropokinetics
 peroxides 97
 perphenazine 109, 308
 perzinfotel 211
 pethidine 251
 PGE₁ 539
 PGE₂ 538
 PGG₂ 538
 P-gp 13, 16
 pharmacokinetics–structure relationship 5
 phases of clinical development 5
 phenacetamide 195
 phenacetin 85, 91
 phenanthrene 47
 phenazone 4, 173, 181
 phenazopyridine 62, 405
 phencyclidine 37, 116, 264
 phenidmetrazine 280
 phenelzine 137
 phenethicillin 527
 phenethylamines 267–290
 pheneturide 193, 195
 phenformin 124, 131
 pheniramine 230
 phenmetrazine 280
 phenobarbital 17, 46, 197
 phenol 36, 82, 84
 phenols 82
 – prodrugs 84, 164, 186
 phenothiazine 303
 phenothiazines 301–309
 phenoxybenzamine 52
 phenoxyethylpenicillin 522, 527
 phenprobamate 190
 phenprocoumon 142
 phensuximide 178
 phentermine 271
 phentolamine 120, 125, 320
 phenylacetic acid 149
 N-phenylacetylglutamine 149
 phenylbutazone 4, 46, 180
 4-phenylbutyric acid 149
 phenylephrine 282
 phenylethylamines 267
 phenyl groups, unsubstituted 46
 phenylketonuria 408
 phenylpiracetam 175
 phenylpropanolamine 280
 phenytoin 17, 179, 213
 pheochromocytomas 51
 phocomelia 189
 pholcodine 108, 332
 pholedrine 273
 phosphates 213
 phosphodiesterase III inhibitors 247, 406
 phosphodiesterase IV inhibitors 170, 195,
 287, 400, 444, 508
 phosphodiesterase V inhibitors 299, 442,
 443

- phosphonates 209
 phosphonic acids 209
 phosphoric acid derivatives 213
 photosensitizers 90, 142, 564, 565
 phototoxicity 18, 90
 phthalimide, pK_a 172
 physostigmine 190
 phytoestrogens 88
 picoprazole 396
 picosulfate 207
 picotamide 366
 Pictet–Spengler reaction 269
 picumast 247
 pidotimod 174
 pilocarpine 378, 380
 pilsicainide 344
 pimavanserin 257
 pimecrolimus 559
 pimobendan 411
 pimonidazole 383
 pimozone 240
 pinacidil 400
 pinaverium 117
 pinazepam 169, 470
 pindolol 323
 pioglitazone 178
 pipamperone 242
 pipecurium 118, 491
 pipecuronium 491
 pipemicidic acid 514, 518
 piperacillin 527
 piperazine 109, 250
 piperazines 109, 227–266
 piperidine, pK_a 110
 piperidines 227–266
 piperoxan 320
 pipotiazine 308
 piracetam 173, 174
 pirazolac 504
 pirbuterol 285
 pirenzepine 313
 piretanide 455, 508
 pirfenidone 406
 piribedil 250
 piritramide 239
 piritrexim 408
 pirlindole 293
 pirmagrel 149
 pirmenol 71, 233
 piroxicam 186, 396, 460
 piroximone 182, 400
 pirozantrone 496
 pirprofen 499
 pitavastatin 550
 pivampicillin 526
 pixantrone 496
 pizotifen 255, 315
 pizotyline 255, 315
 plasma clearance 7
 plasma half-life 6, 15
 plasma protein binding 6
Plasmodium falciparum 413
 platelet aggregation inhibitors 265, 366, 439, 539, 540
 platelet glycoprotein IIb/IIIa blockers 265, 361, 362
 platinum-containing drugs 158
 PLD-118 160
 pleconaril 385
 plerixafor 565
 plinabulin 381
 polar surface area 14, 16
 polidocanol 77
 poly(ADP-ribose) polymerase inhibitors 386
 polycyclic hydrocarbons 47
 poly(ethylene glycol) 92, 348
 polyols 71–81
 polythiazide 453
 pomalidomide 196
 ponalrestat 502
 pores, hydrophilic 14, 119
 posaconazole 391
 potassium channel blockers 150, 263, 398, 435, 462, 463
 potassium channel openers 174, 200, 400, 407
 potency of drugs 8, 18
 Poulen Frères 215
 pozanicline 259
 PPAR- γ agonists 151, 152, 178, 179
 practolol 47, 321, 324
 pradefovir 443
 pralatrexate 552
 pralidoxime 118, 398
 pramiconazole 392
 pramipexole 115, 274
 pramiracetam 174
 pramiverine 257
 pranlukast 509
 pranoprofen 501
 prasugrel 265
 pravastatin 549
 prazepam 93, 169, 469
 praziquantel 288
 prazosin 415, 425
 preclamol 274
 preclinical development 5
 prednisolone 479

- prednisone 17, 479
 pregabalin 161
 pregnanolone 483
 preladenant 245
 premazepam 475
 prenalterol 324
 prenylamine 229, 273
 pridinol 71, 232
 prilocaine 343
 prils 335–340
 primaquine 414, 421
 primidone 197
 prinomastat 371
 probenecid 17, 458, 507
 probucol 87
 procainamide 134, 215, 216
 procaine 215
 procarbazine 117, 137
 procaterol 283
 prochlorperazine 109, 307
 procyclidine 71, 233
 prodrugs
 – amides as 114, 167
 – of alcohols 164, 186, 213, 214
 – of amides 214
 – of amines 112–114, 167, 187
 – of carboxylic acids 167, 186
 – of ketones 140
 – of phenols 84, 164, 186
 – of thiols 213
 progabide 149
 progesterone 477, 482
 progesterone receptor modulators 489
 progestins 477
 progestogens 482–488
 proglumide 150
 proguanil 131
 prokinetic drugs, see gastroprokinetics
 prolactin 274
 prolactin inhibitors 294–296
 promazine 101, 301, 304, 393
 promethazine 4, 301, 303
 prontosil 62, 450
 propafenone 325
 propantheline 221
 propargylamine, pK_a 110
 propentophylline 441
 propericiazine 308
 propiomazine 303
 propiram 227, 401
 propiverine 220
 propofol 82, 84
 propoxyphene 228
 propranolol 47, 320, 321, 322
 propylamine, pK_a 110
 propylbenzene 91
 2-propyl-2-pentenoic acid 148
 propylthiouracil 201, 434
 propyphenazone 181
 proquazone 419
 prostacyclins 538
 prostaglandin analogs 538–542
 prostaglandin antagonists 156, 505
 prostaglandin E₁ (PGE₁) 539
 prostaglandins 538
 prostatic hyperplasia 278, 279, 416
 proteases 166
 proteasome inhibitors 352
 protein binding 6
 protein kinase C inhibitors 375
 prothipendyl 304, 393
 protonamide 400
 protizinic acid 303
 proton pump inhibitors 104, 370, 396, 409, 410
 protozoals, see antiprotozoals
 protriptyline 312
 proxyphylline 441
 PRT 054021 367
 prucalopride 134, 220
 prulifloxacin 114, 520
 pruritus (itching), see antipruritics
 pseudohypericin 90
 psoralens, see 8-methoxysoralen
 psoriasis 489, 509, 551
 PT-523 552
 pteridines 415, 416
 pulmonary hypertension 124, 369, 370, 458
 purine derivatives 435–439, 443–445
 pyrantel 124
 pyrazinamide 399
 pyrazofurin 429
 pyrazolone antipyretics 172
 pyrexia, see antiinflammatories
 pyridine 395, 397
 pyridine N-oxides 70
 pyridines 393–410
 pyridinol carbamate 399
 pyridostigmine 399
 pyrilamine 225, 227
 pyrimethamine 405
 pyrimidine N-oxides 70
 pyrimidines 25, 27, 393
 1-(2-pyrimidinyl)piperazine 250
 pyritinol 403
 pyronaridine 417

q

quaternary ammonium salts 118
 quazepam 201, 470
 quercetin 88
 quetiapine 19, 319
 quinacrine 420
 quinagolide 208, 274
 quinapril 338
 quinazoline 416
 quinazoline N-oxides 466
 quinazolines 415
 quinazolones 418, 419
 quinethazone 452
 quinidine 17, 42, 421
 quinine 4, 172, 413, 421
 quinoline 416
 quinolines 24, 412–425
 quinolonecarboxylic acids 4, 514–521
 quinupramine 111, 314
 quinupristin 563

r

R406 366
 R-115777 380
 R-115866 391
 R-126638 392
 R-406 366
 rabeprazole 409
 raclopride 218
 radioactive tracing agents 53
 radiosensitizers 383, 564, 565
 ragaglitazar 152
 raloxifene 260
 raltegravir 369
 raltitrexed 553
 ramatroban 156, 293
 rambazole 391
 ramelteon 275
 ramipril 337
 ramosetron 381
 ranitidine 102, 132
 ranolazine 327, 345
 rapacuronium 490
 rapamycin 557, 558
 rasagiline 113, 271
 rate of elimination 7
 ravuconazole 389
 razaxaban 370
 reactive compounds, toxicity 17
 rebamipide 163
 reboxetine 228, 322
 recainam 345
 5 α -reductase inhibitors 487
 reflux esophagitis, see antiulceratives

regadenoson 437
 remifentanil 164, 243
 remikiren 349, 357
 remoxipride 218
 renin 335
 renin inhibitors 336, 357
 renzapride 219
 repaglinide 510
 repartitioning agents 286
 repinotan 249
 repirinast 510
 Research Triangle Institute 415
 reserpine 165, 299
 resistance, antibiotic 523
 respiratory stimulants 234, 253, 263, 399
 retigabine 136, 394, 404
 retinoic acid agonists 152, 153, 509
 retinoic acid metabolism blocking agents 391
 revaprazan 370, 406
 reverse transcriptase inhibitors 294, 317
 rhein 89
 rheumatoid arthritis 98, 158, 159, 169, 352, 366
 rhizoxin 564
 Rhone-Poulenc 301
 ribavirin 429
 ribostamycin 80
 ridogrel 149
 rifabutin 562
 rifampin 17, 563
 rifapentine 17
 rifaximin 563
 rilmazafone 167
 rilmenidine 125
 rilpivirine 405
 riluzole 385
 rimantadine 37, 115
 rimiterol 284
 rimonabant 234
 riociguat 370, 407
 risedronic acid 212
 risperidone 244
 ritalinic acid 251
 ritanserin 256
 ritipenem 525
 ritodrine 282
 ritonavir 17, 351
 rivaroxaban 176
 rivastigmine 188, 190, 273
 rivoglitazone 179
 rizatriptan 292
 RNA polymerase inhibitors 562
 Ro 03-8799 383

- Ro 31-1118 325
 Ro 31-7453 375
 Ro 48-6791 471
 Ro 48-8684 471
 rocuronium 491
 rodenticides 48, 299
 rofecoxib 456
 roflumilast 170, 396, 400
 rolapitant 111, 265
 rolipram 175, 275
 rolitetracycline 494
 romidepsin 360
 ropinirole 275
 ropivacaine 344
 roquinimex 461
 roscovitine 444
 rosiglitazone 178
 rosoxicam 515
 Ross, Warren 415
 rosuvastatin 550
 rotigotine 274
 roxatidine 264
 roxifiban 120, 188, 362
 roxithromycin 560
 RPR 109881A 555
 RSR-13 154
 rubitecan 423
 ruboxistaurin 375
 rufinamide 390
 rufloxacin 102, 518
 rule of five 13
 Runge, Friedlieb 82
 rupatadine 114, 255, 316
- S**
- S-8307 543, 544
 S-8308 544
 sabeluzole 246, 327
 safinamide 117, 207
 safrole 42
 sagopilone 564
 salbutamol 72, 284
 salicin 497
 salicylamide 168, 512
 salicylamide O-acetic acid 512
 salicylates 497, 498, 511–513
 salicylic acid 497, 498, 511
 salmeterol 285
 salsolinol 287
 salt formation, advantages 107
 sapacitabine 432
 sapropterin 408
 saquinavir 351
 saracatinib 374
 saralasin 335, 543
 sarizotan 401
 sartans 543–547
 satavaptan 262
 satraplatin 158
 saxagliptin 345
 Saxl, Paul 451
 Schering 215
 schizophrenia 418
 Schmidéberg, Oswald 188
 scopolamine 96, 222
 secnidazole 60, 73, 383
 secobarbital 41, 198
 γ -secretase inhibitors 353, 355
 sedatives, see hypnotics
 seizures, see antiepileptics
 P-selectin inhibitors 24
 selegiline 271
 seletracetam 43, 175
 selodenoson 438
 selumetinib 372
 semagacestat 355
 sematilide 217
 senicapoc 151
 seratrodast 150
 sergliiflozin etabonate 186
 serine protease inhibitors 127
 serotonin 292
 serotonin antagonists 244, 245, 255, 296
 serotonin 5-HT₁ agonists 219, 248
 serotonin 5-HT_{1,2C} agonists 250
 serotonin 5-HT_{1A} agonists 23, 219, 245, 248, 249
 serotonin 5-HT_{1A} antagonists 245, 257
 serotonin 5-HT_{1A,B,D,F} agonists 258
 serotonin 5-HT_{1B,1D} agonists 291–293
 serotonin 5-HT₂ antagonists 240, 256, 277
 serotonin 5-HT_{2A} agonists 275
 serotonin 5-HT_{2A} antagonists 236, 250
 serotonin 5-HT_{2A,2C} agonists 295
 serotonin 5-HT_{2A,2C} antagonists 234
 serotonin 5-HT_{2C} agonists 272
 serotonin 5-HT₃ antagonists 219, 223, 381
 serotonin 5-HT₄ agonists 130, 218–220
 serotonin receptors 225
 serotonin reuptake inhibitors 228, 234, 236, 237, 248, 259, 270, 276
 serotonin transporter inhibitors 228
 sertaconazole 388
 sertindole 246
 sertraline 17, 230
 Sheehan, John C. 522
 sibrafiban 121, 362
 sibutramine 112, 272

- sickle cell disease 151, 509
 side-effects 16–20
 silanes 264, 509
 sildenafil 442
 silodosin 279
 silperisone 264
 simendan 411
 simvastatin 549
 sirolimus 558
 sitafloxacin 520
 sitagliptin 23, 273, 346
 sitaxentan 458
 skin rashes 18
 sleep disorders, see hypnotics
 small molecule drugs, future 9
 SmithKline Beecham 415
 SMO antagonists 366
 smoke 17
 SN-38 424
 SNS-032 258
 sodium channel blockers 130, 216, 217, 220,
 224, 405, 408
 sodium/proton exchange inhibitors (NHE-1)
 130, 131
 solabegron 281
 solifenacin 230
 solubility
 – alkanols 36
 – drugs 5, 10, 13, 14
 – ethers 91
 somatostatin analogs 360
 sorafenib 371, 396
 sorbinil 178
 sorbitol 71, 77
 sorivudine 434
 sotalol 83, 268, 286
 sparfloxacin 144, 520
 spectinomycin 79
 spermicides 77
 spiramycin 562
 spirapril 337
 spironolactone 487
 splenda (sucralose) 51, 79
 squalene 548
 SR 121463 262
 SR-4554 384
 stains, see dyes
 statins 548–550
 stavudine 433
 Sternbach, Leo 466
 steroids 477–491
 stibogluconate 158
 stimulants, see CNS stimulants
 stiripentol 74
 St. John's wort 16, 90
 stomach 14
Streptomyces 492
 streptomycin 122, 129
 streptozotocin 69
 strychnine 299
 succinimides 177, 178
 sucralose 51, 79
 sudoxicam 460
 sufentanyl 243
 sulbactam 524
 sulbenicillin 204, 528
 sulfadiazine 458
 sulfadoxine 134, 459
 sulfamethoxazole 17, 459
 sulfamic acids 207
 sulfamidochrysoidine 62
 sulfamoxole 459
 sulfanilamide 62
 sulfapyridine 459, 512
 sulfasalazine 62, 512
 sulfates 207
 sulfapyrazone 180
 sulfisoxazole 459
 sulfluramid 457
 sulfonal 193
 sulfonamides 21, 450, 452–460; see also
 sulfonylureas
 sulfonates 206
 sulfones 26, 101, 106
 sulfonic acids 203–205
 sulfonic esters 206
 sulfonylureas 462–465
 sulfoxides 101, 104, 396, 397
 sulfoxone 87
 sulfur mustard 54
 sulindac 105, 503
 sulotroban 156
 sulpiride 217
 sulprostone 147, 541
 sulthiame 457
 sultopride 218
 sultosilic acid 205, 206, 513
 sumatriptan 291, 292
 sunitinib 113, 217
 suprofen 500
 surface, see topical
 surgery, antiseptic 82
 suriclonie 426
 sweeteners, artificial 77, 79, 115, 205, 434
 sympathomimetics, see adrenergic agonists
 synephrine 267, 282
 syphilis 451

- t**
- T₄, thyroxine 159
 - tabimorelin 356
 - TAC-101 509
 - tachyarrhythmias, see antiarrhythmics
 - tacrine 414, 420
 - tacrolimus 557, 559
 - tadalafil 299
 - tafenoquine 421
 - TAK-375 275
 - TAK-442 170
 - Takeda 543
 - talabostat 355
 - talampanel 476
 - talampicillin 526
 - talbutal 198
 - talinolol 325
 - talnetant 418
 - talsaclidine 44, 264
 - talbotulin 356
 - tamibarotene 509
 - tamoxifen 263
 - tamsulosin 279
 - tandospirone 249
 - tanomastat 505
 - tapentadol 274
 - taranabant 171, 396
 - tariquidar 367
 - TAS-106 431
 - tasosartan 546, 547
 - tauromustine 69
 - taxanes 554–556
 - taxol 10, 554, 555
 - tazarotene 509
 - tazifylline 442
 - tazobactam 524
 - TBC-3711 369
 - TBR-652 382
 - tebufelone 85
 - tecadenoson 438
 - tecans 415, 423, 424
 - tecarfarin 143
 - tedisamil 263
 - tegarur 434
 - tegaserod 122, 130
 - telaprevir 351
 - telbivudine 433
 - telcagepant 192, 354
 - telithromycin 561
 - telmisartan 546
 - temafloxacin 517
 - temazepam 138, 472
 - temelastine 402
 - temocapril 102, 338
 - temocillin 529
 - temoporfin 564
 - temozolomide 65, 382
 - temsirolimus 558
 - tenatoprazole 409
 - tenidap 139, 459
 - teniposide 90
 - tenofovir disoproxil 210, 444
 - tenoxicam 459
 - tepa 55
 - tepoxalin 504
 - teprenone 141
 - teprotide 335
 - teratogenics 189
 - terazosin 426
 - terbinafine 48, 116, 271
 - terbogrel 149
 - terbutaline 3, 284
 - terfenadine 226, 256
 - terguride 294
 - teriflunomide 169
 - terodiline 229
 - tert-alkylamine dealkylation 111
 - tertatolol 320, 323
 - tertiary alcohols 71, 73
 - terutroban 162
 - tesagliptazar 152, 206
 - tesofensine 111, 112, 251
 - testosterone 477, 486
 - tetomilast 508
 - tetrabenazine 287
 - tetrachlorodioxin 52
 - tetrachloroethylene 57
 - tetracycline 493
 - tetracyclines 492–495
 - tetrahydrocannabinol (THC) 86, 146
 - tetrahydrofolic acid 552
 - tetrahydrofuran 91, 100
 - tetrahydroisoquinolines 269, 287–290
 - tetrahydropyran 100
 - tetrahydrothiophene 100
 - tetrazepam 468
 - tetrazoles 146
 - tezosentan 509
 - thalidomide 172, 189, 195
 - thalline 4, 173
 - tham 115
 - THC 86, 146
 - thebaine 269
 - theobromine 440, 451
 - theophylline 440
 - therapeutic index 5
 - THF 91, 100
 - thiabendazole 378, 386

- thiamazole 182, 379
 thiامphenicol 78
 thiazinamium 303
 thiazolidinediones 178, 179
 thiethylperazine 307
 thioacetamide 201
 thioamides 194
 thiocarbonyl compounds 201
 thioethers 100
 thioguanine 201, 439
 thiols 98
 – prodrugs 213, 214
 thionylan 227
 thiopental 199, 202
 thiophene *S*-oxides 102, 103
 thioridazine 17, 306
 thiotepta 55
 thiothixene 307
 thioureas 194
 thrombin inhibitors 349, 354, 363, 364; see also antithrombotics
 thrombolytic drugs, see antithrombotics
 thromboxane antagonists 149, 150, 156, 542
 thromboxane synthase inhibitors 149, 380, 510
 thromboxanes 538
 thymidylate synthase inhibitors 418
 thyroxine, T₄ 159
 tiagabine 161, 240
 tiamenidine 127
 tianeptine 146, 313
 tiapride 217
 tiaprofenic acid 503
 tiaramide 224
 tiazofurin 429
 tibolone 484
 ticagrelor 439
 ticarcillin 529
 ticlopidine 17, 265
 ticrynafen 102, 103, 155
 tienilic acid 155
 tigecycline 495
 tilidine 43, 330
 tiludronic acid 212
 timolol 326
 timoprazole 396
 tinidazole 106, 382
 tinofedrine 232
 tiopronin 99, 162, 339
 tiotropium 96, 222
 tipifarnib 380
 tipranavir 143
 tiracizine 187, 313
 tirapazamine 70, 419
 tirilazad 490
 tirofiban 361
 tiropamide 261
 tizanidine 123, 126
 tizoxanide 183
 TMC-207 417
 tobacco alkaloids 402
 tobramycin 80
 tocainide 343
 tocolytics (inhibitors of uterine motility) 282, 283, 286
 D- α -tocopherol 86
 tofisopam 476
 tolamolol 327
 tolazamide 463
 tolazoline 124, 320
 tolbutamide 52, 462
 tolcapone 85
 tolfenamic acid 506
 tolmetin 503
 tolroxatone 176
 tolperisone 242
 tolrestat 163
 tolterodine 232
 toluene 47
 o-toluidine 133, 341, 343
 tolvaptan 376
 tomopenem 525
 tomudex 553
 topical anesthetics, see local anesthetics
 topical antifungals, see antifungals
 topical disinfectants 82, 87, 118
 topiramate 95, 207
 topixantrone 496
 topoisomerase I inhibitors 299, 415, 423, 424
 topoisomerase II inhibitors 416, 496
 topotecan 415, 424
 torasemide 463
 torcetrapib 191
 toremifene 263
 torsades de pointes 17
 torsemide 463
 tosedostat 156, 353
 tosufloxacin 516
 toxicity of drugs 17
 TPA-023 391
 trabectedin 288
 tramadol 330
 tramiprosate 204
 trandolapril 337
 tranexamic acid 160
 tranzamic acid 160
 tranilast 507

- tranquilizers, see antipsychotics, hypnotics
 transplant rejection 557
 tranylcypromine 270
 trapidil 440
 travoprost 147, 540
 traxoprodil 283
 trazodone 247
 trefentanil 243
 tremor, see antidyskinetics, antiparkinsonians
 treprostinil 540
 tretinoin (*all-trans*-retinoic acid, vitamin A acid) 153
 triamcinolone 478
 triamcinolone acetonide 479
 1,2,4-triaminobenzene 62
 triamterene 408
 triazenes 64
 triazolam 470
 triazoles 387–392
 trichlormethiazide 453
 trichloroacetaldehyde 138
 trichloroacetic acid 138, 148
 1,2,4-trichlorobenzene 56
 trichloroethanol 74, 138
 triclabendazole 385
 triclopyr 155, 402
 tricyclic antidepressants, see antidepressants
 triethylamine, pK_a 110
 trifluoperazine 307
 trifluoroacetamides 166
 trifluoromethyl groups 51
 trifluorothymidine 433
 triflupromazine 305
 trifluridine 433
 triflusid 511, 512
 trigonelline 398
 trihexyphenidyl 233
 triiodobenzoic acid 75
 trimazosin 73, 426
 trimebutine 220
 trimecaine 343
 trimethazine 305
 trimetazidine 252
 trimethadione 177
 trimethobenzamide 260
 trimethoprim 404
 trimetrexate 136, 409
 trimipramine 314
 tripamide 453
 triplennamine 225, 227
 triprolidine 145, 231
 trocade 352
 troglitazone 17, 179
 trombopoietin agonists 153
 tropane alkaloids 222–224
 tropisetron 223
 trospectomycin 79
 trovafloxacin 167, 516
 troxicitabine 138, 430
 tryptamine 107
 tuberculostatics 201, 399, 400, 417; see also antibacterials
 D-tubocurarine 289
 tubular secretion 15
 tubulin ligands 291
 tubulin polymerization inhibitors 356, 381
 tucaresol 138, 509
 tulobuterol 281
 TXA₂ 538
 tybamate 189
 tyramine 107, 267
 tyrosine kinase inhibitors, see antineoplastics
 tyrosinemia 142
- u**
- U-101387 246
 - UCB 173
 - udenafil 443
 - UK-240455 427
 - ulcers, gastric
 - drugs for treatment, see antiulceratives
 - NSAID-associated 497, 498
 - ulifloxacin 114, 520
 - ulipristal acetate 136, 490
 - undesirable effects of drugs (adverse drug reactions) 17–20
 - unoprostone isopropyl 541
 - unsaturated groups (alkenes) 40–44
 - UR-8880 455
 - uracilacetic acid 53, 433
 - urapidil 248, 435
 - urea cycle disorders 149
 - urea 193
 - ureas 28, 29, 192–199
 - ureides 146
 - urethanes (carbamates) 187–191
 - uricosurics (enhancers of uric acid excretion) 88, 155, 180, 435, 458
 - urinary acidifiers 116, 159
 - urinary incontinence 220, 232, 238
 - urogenital atrophy 263
- v**
- V-11294A 444
 - vadimezan 505
 - valacyclovir 437
 - valdecoxib 456

- valium 468
 valnoctamide 148
 valproic acid 144, 148
N-valproylglycine 162
 valrocemide 162
 valsartan 547
 vamicamide 238
 vancomycin 361
 vandetanib 373
 vanilloid-1 antagonists 25
 vanoxerine 241
 vapiprost 542
 vardenafil 443
 varenicline 272, 422
 vascular disrupting agents 381
 vasoconstrictors 126, 296, 505
 vasodilators
 – cerebral 221, 236, 283, 287, 298, 422, 440
 – coronary 66, 93, 94, 229, 230, 241, 278, 440
 – peripheral 236, 242, 250, 282, 283, 285,
 401, 437, 441, 539, 540
 vasopressin agonists 360
 vasopressin antagonists 262, 376
 vasopressors (antihypotensives)
 273, 282, 285, 407
 vasoprotectants 88, 182
 vatalanib 372, 421
 Vater, Wulf 446
 vecuronium 490
 vegetables 17
 VEGF inhibitors 371, 373
 veliparib 386
 velnacrine 414, 420
 vemurafenib 370
 venlafaxine 276
 veralipride 218
 verapamil 17, 277, 446
 verlukast 423
 vernakalant 330
 veronal 193
 verteporfin 565
 vertigo 401; see also motion sickness
 vesnarinone 425
 vicriviroc 253
 vidarabine 436
 vigabatrin 43, 161
 vilazodone 248
 vildagliptin 342, 346
 viloxazine 280, 322
 vinblastine 297
 vinca alkaloids 291, 297, 298
 vincamine 298
 vincristine 297
 vindesine 298
 vinflunine 298
 vinorelbine 297
 vinpocetine 298
 vinyl bromides 53
 vinyl chloride 49
 vinyl chlorides 52
 vinyl fluorides 43
 vinyl groups 40–43
 vinylbital 199
 viral infections, see antivirals
 viramidine 429
 vismodegib 366
 vitamin A 153
 vitamin B₃ 398, 399
 vitamin B₉ 551
 vitamin C 77
 vitamin D analogs 488, 489
 vitamin D₂ 488
 vitamin D₃ 489
 vitamin E (tocopherol) 86
 vitamin H (biotin) 162
 vitamin K antagonists 143
 Vogl, Alfred 451
 volume of distribution 6
 volume, body fluids 7
 vomiting, see antiemetics, emetics
 von Mering, Josef 188, 193
 voreloxin 516
 voriconazole 389
 vorinostat 156
 VX-702 366
- w**
- Wani, Mansukh C. 554
 warfarin 60, 139, 142, 349
 weight reduction, see anorexics
 Western yew tree 554
 willow bark extracts 497
 Willstätter, Richard 215
 Wintersteiner, Oskar 522
 Wong, Pancras C. 543
 worms, see anthelmintics
- x**
- xamoterol 327
 xanomeline 264
 xanthine oxidase inhibitors 439, 445,
 510
 xemilofiban 363
 xibenolol 321
 ximelagatran 121, 362
 ximoprofen 499

xipamide 454
X-ray contrast agents 72, 75, 76

y
YH1885 370, 406
YM-150 367
yohimbine 299

z
zaflurikast 511
zalcitabine 430
zaleplon 371
zaltopropfen 501
zamifenacin 278
zanamivir 122, 129
zatebradine 277
zatosetron 223
ZD 9331 147, 552
zebularine 429

zenarestat 502
zidovudine 58, 432
zileuton 192, 194
zimeldine 71, 231
ziprasidone 244
zofenopril 164, 339
zoledronic acid 212
zolmitriptan 292
zolpidem 52, 410
zomepirac 503
zonampanel 501
zoniporide 131
zonisamide 457
zopiclone 427
zopolrestat 502
zosuquidar 254, 327
zotarolimus 558
zotepine 140, 318
zuclopenthixol 308

