

Subject Index

a

- Acetyl-CoA 426
- Acyclic diene metathesis polymerizations (ADMET), 147
- O-Acyl isourea 511
- α -(*N*-Acylamino) amides 517
- Acylase 488, 490
- 3-Acylazirines 305
- O-Acylimidate 502
- Additions, 1,4- 52, 105, 278, 304, 314, 379, 432, 560, 590
- Adenine 527
- Adenosine 527
- Alanine 364, 479
- Aldimines 14, 206, 372
- Aldol reaction 558
 - Domino Michael/Aldol 74
 - Evans 40
 - Intramolecular 74
 - Mukaiyama 57, 64
 - Nitroaldol 258, 405
 - Retro 52
 - Wittig 57
- Alkaloids 562
 - *Amaryllidaceae* 564, 586
 - Anhalonium 322
 - Aspidosperma 565
 - Cinchona 495, 564
 - Iboga 565
 - Indole 563
 - Isoquinoline 322, 581
 - Piper 110
 - Piperidine 563
 - Protoberberine 580
 - Pyrroloquinoline 564
 - Vallesiachotamine 567
 - Xanthine 375
- Alkene metathesis 147

Alkylations

- α -Alkylations of β -ketoesters 48, 125
- γ -Alkylations of β -ketoesters 48
 - of carbonyls and carboxyls 34
 - Frater–Seebach alkylations 80
 - Geminal dialkylation of ketones 19
 - *N*-Alkylations 4, 264, 335, 582
 - *O*-Alkylations 65, 257, 394, 395
 - *S*-Alkylations 286
- Allylations 552
- Allylic alcohols 2, 125, 178, 194, 203, 260, 593
- Allylic amines 429
- 1,3-Allylic strain 447
- Allyl silanes 441
- N*-Allylthiocarbamates 465
- Alpine borane, (+)- 229
- Alzheimer's disease 297, 464
- Amaryllidaceae* alkaloids 564, 586
- Aminations 484
- Amino acids 478, 490
 - Alanine 479
 - Arginine 479
 - Asparagine 479
 - Boc-protected amino acids 490
 - Cysteine 479
 - Histidine 479
 - Isoleucine 479
 - Lysine 479, 563
 - Methionine 479, 490
 - Phenylalanine 73, 180, 479, 508, 564
 - Proteinogenic 479
 - Selenocysteine 480
 - Threonine 479
 - Tryptophan 479
 - Tyrosine 479
 - Valine 479
- Amino acylase 488
- Amino alcohols 41, 184, 234, 500

- β-amino esters 268, 383, 511
 Amino sugars 464
 Aminoalkylations 57
 Aminocyclopropanes 154
 6-Aminofulvene 168
 α-Aminoisobutyric acid 481
o-Amino-*cis*-stilbene carboxylic acids 198
 Analgetics 92
 Androstane 427
 Anhalonine 322
 Anhalonium alkaloids 322
 Anhydro sugars, 1,2- 465
 β-Anilinoacrylic esters 384
 Annulenes 161, 165
 Anomeric deprotection 470
 Anthelmintics 408
 Anthranilic acids 222, 405
 Antibiotics, β-Lactam- 267
 Anticancer agents 335, 426, 483
 Antidepressant compounds 155
 Antifungal agents 309
 Antigene/antisense therapeutics 430
 Antihypertensives 316
 Antiinflammatory compounds 92, 329
 Antimicrobial defense mechanism of plants 309
 Antipyrine 329
 Antirheumatic compounds 92
 Anti-serotonin active compounds 586
 Antitumor agents 365
 Arginine 479
 Artemisia ketone 425, 441
 Aryl boronic acids 65
 Arylpolyenes 110
 Aspartame 508
 Aspartic acid 479
 Aspidosperma alkaloids 565
 Asthma 382
 ATP 420
 Auxiliaries
 – Evans 40, 179
 – RAMP 35
 – SAMP 36
 A-values 447
 Azetidin-2-one 267
 Azines 315
 Azocines 586
 Azomethine ylides 358
 Azulenes 166
- b**
- Bacterial infections 464
 Baeyer–Villiger oxidation 579, 582
 Baker's yeast 80
- Barton–Zard synthesis 278
 BASF synthesis of vitamin A 458
 Basketanes 172
 Baylis–Hillman reactions 14, 356
 Benzocycloheptene 21
 Benzopyrrole 356
 1,4-Benzoquinone 172
 Benzosuberone 21
 Benzothiophenes 286
N-(Benzoyloxycarbonyl)glycine 496
 1-Benzylisoquinolines 580
 1-Benzyltetrahydroisoquinoline 581
 Berbine 580
 B-form DNA double helix 529
 Biaryls 138
 Bicyclo[2.2.2]octanes 189
 Bicyclo[8.8.8]-1,10-diaza hexaoxaheptacosane 398
 Biginelli synthesis 317
 Bioisosterism 286
 Biological activity
 – Analgetics 92
 – Anti-Alzheimer 297, 464
 – Antibiotic 144, 267
 – Anticancer 335, 426, 483
 – Antidepressant compounds 155
 – Antifungal compounds 309
 – Antihypertensive compounds 316
 – Antirheumatic compounds 92
 Bischler–Napieralski reactions 323, 580
 Bisoxazoline ligands 179
 Boc-protecting groups 484, 485, 522
 Bromination 59, 95, 138, 162, 167, 469, 560
 Buflavine 564, 586
- c**
- Ca antagonists 316
 Cannabigerolic acid 559
 Cannabis 559
 Cannabigerol 559
 (±)-cantharidin 392
 Capping 522
 Carbamoylase 490
 Carbethoxycarbene 154
 Carbohydrates 464
 Carbonylation 602
 Carbonyl olefinations
 – Lombardo 32
 – Lombardo-Takai 32
 – Tebbe 32
 – Wittig 21, 29, 430
N-Carboxybenzylolation of α-amino acids 508
 Carnegie 322

- Carroll reactions 126
 Catecholborane 230
 Catenanes 420
 CBS reduction 231, 234
 Cell recognition 464
 Cephalosporin 267
 Chain elongation 49, 126, 521
 Chiral (acyloxy)borane (CAB) 65, 179
 2-Chloro-1-methylpyridinium salts 268
 Chlorophyll 416
 2-Chlorotriptyl chloride resin 523
 Chrysanthemic acid 593
 Cicloxilic acid 117
 Cinchona alkaloids as chiral ligands 495
 Cinchonidine 93
 (*R*)-Citronellal 437
 (*R*)-Citronellol 438
 Citrulline 481
 Claisen reactions
 – Claisen condensations 80, 383, 560
 – Claisen-like cyclizations 54
 – Claisen orthoester reactions 193
 – Claisen (oxa-Cope) rearrangements 126,
 383, 494
 Co Complexes 157
 Coniine 563
 Conjugate additions *see* Additions, 1,4-
 Conrad–Limpach synthesis 384
 Cope rearrangements 4, 126, 194, 392
 Corey–Seebach method 105
 Corey–Chaykovsky method 155
 Cormint oil 437
 Coronands 398
 Corrins 417
 Corynanthe alkaloids 564
 Cosmetics 429
 Cross-metathesis 147
 Crown ether-catalyzed S_N reaction 429
 Crown ethers 399, 403
 Cryptands 398
 Cu-catalyzed cyclopropanation 594
 Curtius rearrangement 156
 Cyanine dyes 408
 Cyanoacetylation 375
 Cyclic anhydrides 8
 Cycloadditions
 – [1+2] 154, 161
 – [2+2] 28, 166, 172, 263, 268
 – [4+2] 123, 173, 178, 191
 – 1,3-Dipolar 357, 359
 Cycloartenol 427
 Cyclobutadiene 173
 Cyclooligomerization of alkynes 581
 Cyclopenta[cl]phenanthrenes 427
 Cyclopolyolefin 167
 Cyclopropanation 155
 Cyclopropanes 155
 Cyclotetramerizations 417
 Cytidine 527
 Cytosine 527
- d**
- D₁ receptor agonists 344
 Dakin–West reaction 366
 Damascones 123
 Dehydrolinalool 124
 Delepine reaction 336
 Deoxy sugars 464
 Deoxyribonucleic acid (DNA) 527
 Dess–Martin Periodinane 189, 219
 Desymmetrization of *meso* compounds 8
 Dethioacetalization 106
 3,4-Dialkyl-pyrroles 417
 4,5-Diaminopyrimidines 376
 Diaryliodonium compounds 189
 Diazines 257
 Diazotizations 21, 611
 Dibenzazocines 587
 (Dichlorovinyl)cyclopropane carboxylic acids
 193
 Diclofenac 92
 Dieckmann cyclizations 80, 345
 Diels–Alder reactions 65, 72, 173, 178, 180,
 392
 – Asymmetric 179
 – Hetero-Diels–Alder 58, 393, 568
 – Intramolecular Hetero-Diels–Alder 393
 – of sulfoximines 380
 Difluoropropellanes 163
 Dihydroazoles 358
 3,4-Dihydroisoquinolines 323
 Dihydrophenanthrenes 199
 Dihydroquinidine 215
 Dihydroquinine 215
 Dihydroxylations 214
 Diisopinocampheyl chloroborane 229
 Dilution principle 399
 Dimethylallyl diphosphate 426
 Dimroth rearrangement 367
 1,2-Diols 214
 Dipeptide esters 508, 516
 1,3-Dipolar cycloadditions 357, 359
 1,3-Dithiane 105
 Doebrner–Miller synthesis 410
 Domino reactions *see* chapter 5
 Dopamine agonists 344
 Double helix 529
 Duff formylation 207

- Dyes 398, 404
 Dynamic kinetic resolution 489
- e**
 Eder–Sauer–Wiechert–Hajos–Parrish reaction 51
 Electrocarboxylation 93
 Electrocyclic transformations 1
 – Ring openings 162, 272, 274
 – Electrocyclizations 166, 198
 Enantioselective reactions
 – enzymatic hydrolysis 95
 – organocatalysis 52, 72
 – Strecker reaction 323
 – transfer hydrogenations 324, 569
 Enders method 36
 Ene reactions 436, 439
 Enediyne antibiotics 144
 Enzymatic ester hydrolysis 92
 Enzymatic reductions 80
 Epidermal growth factors 365
 Epoxidations 203
 – Sharpless–Katsuki 203, 259
 – Jacobsen 206
 Eschweiler–Clarke reaction 590
 Esterification of α -amino acids 508
 Estradiol 427
 Estranes 427
 (Ethoxycarbonyl)methylphosphonates 459
 Evans auxiliaries 40, 179
 Ex chiral pool synthesis (ECP) 85
- f**
 Factor 43 417
 False flax 309
 Favorskii rearrangement 172
 Fischer glycosylations 465
 Fischer indole synthesis 297
 Flavones 383
 Fluorenylmethoxycarbonyl (Fmoc) 522
 Fragrances 178, 426
 Frater–Seebach alkylations 79
 Friedel–Crafts
 – acylation 21, 85, 92, 355, 383
 – ring closure 21
 Frontalin 79
 Fürstner indole synthesis 309
- g**
 Geissoschizine 564
 Geminal dimethylation 21
 Geranial 124, 125
 Geraniol 429
 Geranium oil 429
 Geranyl diphosphate 426, 429
 Gibberellic acid 426
 Glucaric acid 464
 Glucitol 464
 Glutamic acid 479
 Gonanes 427
 Grubbs carbene complexes 149
 Guanine 527
 Guanosine 527
- h**
 Hemine 416
 Haller–Bauer reaction 274, 275
 α -Halogenations 57, 92
 α -Halogeno acetal 92
 Hantzsch synthesis of pyridines 239, 315
 Heck reactions 130, 344
 – intramolecular 294
 Helices 481
 – A-, C-, and D-DNA 529
 Hemes 417
 Hemlock 563
 Henry reaction 280, 404
 Hepatic protectant 117
 Heptalene 166
 α -Hetaryl propionic acids 92
 Heterocycles *see chapter 3*
 – Azetidin-2-one 267
 – Benzothiophene 286
 – Chromans 601, 602
 – Chromone 381
 – Dioxins 601, 602
 – Diphenylfurans 272
 – Imidazole 334
 – Indole 293, 297, 309, 404
 – Indolizine 355
 – Isoquinoline 322
 – Naphthyridine 370
 – Oxadiazole, 1,2,4- 301
 – Oxazoles 358, 501
 – Oxiranes 203
 – Phenanthridine 344
 – Piperazine 342, 343
 – Porphyrin 416
 – Purine 375
 – Pyrazole 358
 – Pyridine 315
 – Pyrimidine 315, 329
 – Pyrroles 278, 408
 – Pyrrolopyrimidine 365
 – Pyrylium ions 272
 – Quinoline 371, 408
 – Triazoles, 1,2,3- 358
 – Xanthines 375

Hetero-Diels–Alder reactions 566
 Heumann synthesis 405
 Hexose-DNA 530
 High-dilution principle 398
 High-pressure reactions 391
 Hilbert–Johnson nucleosidation 531
 Himachalens 19
 Hoffmann-La Roche synthesis (Vitamin A) 458
 Hormones 479
 Horner reactions 29, 30, 456
 Host-guest relationships 399
 HPLC (chiral stationary phase) 7, 213
 Huang–Minlon procedure 21
 Human chromosome 527
 Hünig procedure 105
 Hydantoinase 490
 3-Hydroxy-3-methylglutaryl-CoA 426
 Hydroxypyroline 481
 β-Hydroxy-α- amino acids 502
 Hypervalent iodine compounds 189

i

Iboga alkaloids 565
 Ibuprofen 92
 Iminium ions 179, 317, 323, 489, 564
 Indican 404
 Indigo plant 404
 Indomethacin 92
 Induced diastereoselectivity 446
 Inhibition of tyrosine kinase 365
 Insecticides 193, 286, 593, 594
 In situ racemization 489
 Intramolecular reactions
 – Aldol 72
 – Heck 294
 – Hetero-Diels–Alder 393
 Inversion 85, 338
 Ion exchange chromatography 573
 Iris roots 123
 Irones 123
 Isocyanates 268
 (+)-isomenthol 436
 Isomerization of amide bonds 480
 Isonitriles 280, 500, 519
 Isopentenyl diphosphate 426
 Isoprenoids 425
 (–)-isopulegol 438
 Isoquinoline alkaloids 322

j

Jacobsen catalyst 206
 Jacobsen epoxidation 206
 Jørgensen's catalyst 72

k

Kinetic resolutions 488
 Knoevenagel condensations 7, 365, 391, 445
 Knorr synthesis 329, 385
 Koenigs–Knorr glycosylations 474
 Kornblum oxidation 5
 Krapcho cleavage (of β-keto esters) 344, 430

l

β-Lactams 257
 Lanosterol 426, 549
 Larock isoquinoline synthesis 370
 L-Aspartic acid 508
 Leucine 479
 Linalool 429
 Lindlar catalyst 458
 Lipases (PS-D) 96, 259
 Lombardo reaction 601
 Lombardo-Takai olefination 32
 Low-valent titanium 309
 Lycopene 426

m

Macrocyclic polyethers 399
 Mannich reactions 1, 53, 550
 Marckwald imidazole synthesis 334
 Markownikov-oriented addition 111
 Matched case 59
 Meerwein arylations 198
 Meldrum's acid 568
 Menthyl esters 59
 MEP pathway 427
 Merrifield procedure 521
 Metamizole 329
 Metathesis 146, 147
 Methionin 479, 490
N-Methylation of amino acids 483
 Mevalonate pathway 426
 Mevalonic acid 426
 Mexican peyotl cactus 322
 Michael additions *see* Additions, 1,4-
 Microwave-assisted transformations 299,
 357, 615
 Mismatched case 59
 Mitsunobu reactions 86, 298, 484
 Molecular motors 420
 Monoamine oxidase A 155
 Monoterpenes 426
 Monoterpeneoid indole alkaloids 564
 Morphine 563
 Mukaiyama aldol reactions 57, 64
 Mukaiyama reagent 268
 Multicomponent domino reactions (MCR)
 516

- Multistriatin 426
 Muscarine 563
 Myrcene 429
- n**
 Naphthyridines 370
 (+)-Neoisomenthol 436
 (+)-Neomenthol 436
 Neryl diphosphate 429
 Neurotransmitters 479
 Nifedipine 316
 Nitroaldol additions 280, 405
 5-(*p*-Nitrophenyl)tetrazole 545
 Nonsteroidal antiinflammatory compounds 92
 Norcaradiene–cycloheptatriene rearrangement 163
 Noyori hydrogenations 323, 511
 Nucleobases 527
 Nucleosidation reactions 532
 Nucleotides 527
- o**
 Octamethylporphyrinogen 417
 Olefin metathesis 130
 Oligonucleotides 527
 Oligosaccharides 467
 Oncogenesis 464
 Organocatalysis 52, 72
L-Ornithine 563
 Ortho-lithiation 145
 Ortho-metalation 288
 Oxazaborolidinones 179
 Oxidations
 – of alcohols 218
 – Baeyer–Villiger 582
 – with Dess–Martin–Periodane 222
 – Kornblum oxidation 5
 – Oxidative chlorinations 4
 – Oxidative cyclizations 198
 – with Perruthenate 225
 – Swern 220
 – with TEMPO 227
 Oxidosqualene 549
- p**
 Paal–Knorr synthesis 410
 Palmarosa oil 429
Papaver somniferum 563
 Passerini reaction 502
 Paterno–Büchi reaction 263
 Pd-catalyzed annulations 294
 Penicillins 267
 Pentafulvenes 166
- Peppermint oil 437
 Peptide formation using DCC method 508
 Peptide nucleic acid (PNA) 531
 Peptide synthesis 508
 Peptides *see* chapter 4.3
 Perfumery 426, 429
 Permethrin 193, 593
 Peterson olefinations 30, 588
 Phase-transfer catalysis 399
N-Phenacylpuridinium ions 356
 Pheromones 34, 79, 426
 Phosphoramidite 531, 543
 Photobrominations 59, 138
 Photochemical [2+2]-cycloadditions 173, 263
 Photochemical domino processes 199
 Photochemical ring-openings 428
 Photocyclization of enamides 345
 Phytoalexin 309
 Pictet–Spengler reaction 568, 590
 Pine oil 178
 α -, β -pinene 178
 Pinner synthesis (pyrimidines) 330, 377
 Piper alkaloids 110
Piper nigrum (black pepper) 563
 Piperidine alkaloids 563
 Piperines 110, 563
 Plant growth inhibitors 286
 PO-activated olefinations 29
 Podands 398
 Polysaccharides 464
 Pore glass (CPG) resin 543
 Porphyrinogens 416
 Porphyrins 416
 Pregnanes 427
 Pressure effects (on selectivity) 393
 Prevost method 215
 Prins reaction 118, 446
 (*S*)-proline 53, 479
 Prostaglandin biosynthesis 92
 Protecting groups
 – Boc 485, 521, 570
 – Carbamates 234, 235
 – Carbohydrate chemistry 470
 – Fmoc 521
 – *N*-protecting groups 234, 298, 464, 485, 495
 – THP 42, 503
 Proteins 479
 Protoberberine alkaloids 580
 Pschorr phenanthrene synthesis 198
 Psychoanaleptics 155
 Puffer fish 565
 Pumiliotoxin C 563

Pyramidone 329
 Pyranoquinolines 382
 Pyrethrins 593
 Pyrethrolones 593
 Pyrethrum compounds 593
 Pyrroloquinoline alkaloids 564
 Pyrrolysine 480

q

Quinidine 566
 Quinine 495, 566
 1,4-Quinones 173

r

Racemase 490
 Radical reactions 193
 RAMP 35
 Ras farnesyltransferase inhibitors 334
 Rearrangements
 – Claisen 126, 383
 – Cope 4
 – Curtius 155
 – Dimroth 367
 – Favorskii 173
 – Norcaradiene-cycloheptatriene 163
 – Oxa-Cope 194
 – [2,3]-Sigmatropic 4
 – [3,3]-Sigmatropic 125, 194, 383, 494
 – 1,5-Sigmatropic hydrogen shifts 346
 – 1,7-Sigmatropic hydrogen shifts 428
 Reductions
 – BINAL-H reduction 232
 – CBS reduction 234
 – enzymatic 80
 – of ketones 229
 Reductive amination 239, 484
 Reformatsky reaction 21
 Refreshing ingredients 437
 Reissert synthesis 293
 Remfry–Hull cyclocondensations 365
 Resins 94, 521, 534, 541
 Reticulin 564
 Retinoids 456
 Retinol 457
 Retinol acetate 457
 Reversed-phase silica gel 490
 Rh-catalyzed hydrocarbonylations 4
 Rh-catalyzed thermolysis 594
 Rhône-Poulenc synthesis (Vitamin A) 458
 Ring-closing olefin metathesis (RCM) 147
 Ring-opening metathesis polymerization
 (ROMP) 147
 Rink amide resin 523
 Robinson annulation 52

Rotaxanes 420
 Ru catalysts 93, 226, 322, 569
 Rubber 426

s

Salutaridine 564
 SAMP 36
 Sandmeyer reaction 199, 611
 Schmidt glycosylations 466
 Schotten–Baumann reactions 112
 Schrock carbene complexes 147
 Secologanin 564
 Senecic acid 596
 SET processes 318, 406
 Sharpless dihydroxylations 206
 Sharpless–Katsuki epoxidations 203
 Sheet structures 481–482
 Sight purpur 426
 1,5-Sigmatropic hydrogen shifts 346
 1,7-Sigmatropic hydrogen shifts 428
 [2,3]-Sigmatropic rearrangement 4
 [3,3]-Sigmatropic rearrangement 126, 193,
 392, 494
 Signal transduction 464, 479
 Sila-Wittig reactions 30
 Sleep disorders 297
 Solid-phase DNA synthesis 532
 Solid-phase peptide synthesis (SPPS) 521
 Sonogashira reactions 136, 374, 612
 (–)-Sparteine 7, 566
 Squalene 427
 π - π Stacking 420
 Staudinger reaction 268
 Stephen–Castro reaction 143
 Steroids 427
 Stetter reaction 105
 Strecker synthesis 489
 Strictosidine 564
 Sugar phosphites 465
 Sumitomo synthesis (Vitamin A) 457
 Suzuki–Miyaura reactions 136, 586
 Sweetener 508
 Swern oxidations 219

t

Takasago process 438
 Taxol 426
 Tebbe reagent 31
 TEMPO 220
 TEMPO oxidation 227
 Terpenes 425
 – Biosynthesis 426
 – Diterpenes 425, 456
 – Monoterpene 425, 426, 429, 441, 564

Terpenes (*contd.*)

- Polyterpenes 425
- Sesquiterpenes 425, 445
- Sesterterpenes 425
- Tetraterpenes 425
- Triterpenes 425
- Testosterone 427
- Tetrahydrocannabinol 559
- Tetrahydro- β -carboline 568
- Tetrapropylammonium perruthenate 220
- Tetrapyrroles 416
- Theobromine 375
- Theophylline 375
- Thioglycosides 472
- Thioindigo 404
- Three-component process (3-MCR) 502
- Thymidine 527
- Thymine 527
- Thymol 437
- Tiaprofenic acid 92
- TMS-glycosides 465
- Traube synthesis (purine derivatives) 376
- (O-Trimethylsilyl)cyanohydrin 104
- Tropinone 550
- Tryptamine 567
- Turn structures 480
- Tyrosine kinase inhibitor 365

U

- Ugi reactions 516
- Umpolung 52, 104
- Uracil 527
- Uronic acids 464
- Uroporphyrinogen III 417

V

- Vallesiachotamine indole alkaloids 567
- van Leusen synthesis 278
- Vetiver oil 445
- Vetiveria zizanoides 445
- Vilsmeier reaction 408
- Vilsmeier reagent 324
- Vincristine 565
- Vision 456
- Vitamin A, all-*trans* 425, 456
- Vitamin D 428
- Volume of activation 392
- Vorbrüggen nucleosidation 532

W

- Wacker oxidation 243, 603
- Walden inversion 87
- Wang resin 523
- Watson–Crick base pairing 527
- Willgerodt–Kindler reaction 93
- Williamson ether syntheses 391
- Wittig aldol reactions 57
- Wittig reactions 21, 29, 458, 612
- Woodward–Hoffman rules 264

X

- Xanthine 375
- Xanthine derivative 375

Z

- Ziegler–Ruggli high-dilution principle 398
- Zincke reaction 166