

Index

a

acyl chloride

- coupling 53, 57, 146, 154, 172, 179
- formation 3, 7, 172
- Friedel Craft acylation 94, 100
- hydrogenation 3, 7

alcohol

- activation 66, 74, 112, 117, 142, 145–147, 149, 154, 157, 160, 164, 175, 182, 193, 201
- alkylation 25, 33, 112, 117, 174
- Mitsunobu type reaction 142, 149, 175, 182
- oxidation 57, 63, 70, 161, 163, 166, 168–169, 177, 184–185, 210–211, 219–220, 238, 253
- protection/deprotection 63, 65, 71–72, 142, 146–147, 149, 154, 156, 161, 163, 167, 174–178, 182, 184–185, 187, 247

aldimine 93, 99

alkene

- bis-hydroxylation 161, 166
- formation 42, 46, 160, 163, 168
- halogenation 2, 174, 182
- hydration 142, 148
- hydroboration 163, 211, 220
- hydrogenation 25, 28, 34, 42, 47, 161, 167, 177–178, 185, 187
- metathesis 142, 144, 150
- oxidation 147, 157, 161, 166
- ozonolyse 208, 216

alkyne

- acetylide derivative 177, 185
- hydrogenation 177, 182, 185
- metathesis 67, 75

α -chloroethyl chloroformate (ACE-Cl) 23, 32

amide

- alkylation 145, 148, 153, 157
- formation 10, 17, 22, 28, 30, 39, 43, 79, 87–88, 108–109, 111–114, 116–117, 124–127, 133–135, 191–192, 198–200, 208–209, 211–212, 218, 220, 222, 235, 249
- hydrolysis 234, 239, 248, 255
- protection/deprotection 193, 208, 210–211, 217, 232, 239, 254
- reduction 22, 30, 193–194

amine

- alkylation 25, 190, 195, 203
- coupling 10, 17, 22, 28, 30, 39, 43, 79, 87–88, 108–109, 111–114, 116–117, 124–127, 133–135, 137, 191–192, 198–200, 212, 222
- diazotization 10, 13, 172, 179
- formation 22, 24, 30, 33, 138, 145, 148, 153, 157, 234, 248
- imine/enamine derivatives 19, 24, 33, 43, 49, 94, 97, 99, 103, 104, 120–122, 124, 129–133, 191, 194, 202, 232–233, 236–237, 240, 244–245, 247, 250, 251
- oxidation 78–79, 85

- amine (*contd.*)
 protection/deprotection 22, 31, 43,
 47, 49, 78–79, 85–87, 89,
 108–109, 111–113, 116–117, 122,
 125–127, 131, 134, 136, 190–192,
 194, 197, 200, 201, 208, 211–212,
 218, 219, 221, 245, 253, 254
 reductive amination 24, 33, 43, 49,
 191, 194, 232–233, 240
 7-aminocoumarin 107
 arene
 aromatic nucleophilic
 substitution 10, 14, 52, 55, 57
 electrophilic substitution 26, 35, 94,
 100, 160, 164, 194, 201, 246, 254
 Friedel Craft acylation 94, 100
 ATG4B 107–110, 112
 atovaquone 1–4
 autophagy 107
 aziridine, hydrogenation of 220
 azobenzene-thiourea
 derivatives 77–82
- b**
 bicyclic lactam templates 205,
 208–211
 bioassay-guided fractionation 61
 biological studies 109–110, 115, 128,
 137, 215, 224, 229–231,
 242–244
 biphenylalanine (Blp) 238–240
 Birch reduction 175
 Boc-L-phenylalanine 111
 bromoform reaction 18
- c**
 Cahn–Ingold–Prelog rules 54, 141
 caraphenol B 159–164
 carbonyl group
 acetal derivative 42, 45–46, 50, 122,
 131, 142, 148–149, 176, 178, 183,
 186, 193, 200
 aldol condensation 3, 52–53, 56–57,
 63, 70, 146, 155
 α,β -unsaturated 12, 19, 24, 33,
 82–83, 85, 90–92, 125, 127, 134,
 136, 178, 187, 220
 enolate derivative 12, 18, 24, 33, 83,
 85, 90–92
 Fischer indole synthesis 41, 45, 50,
 120, 129
 formation 57, 163, 168–169, 208,
 210, 211, 216, 219, 220
 haloform reaction 12, 18
 imine/enamine formation 19, 24, 33,
 43, 49, 94, 97, 99, 103–104,
 120–122, 124, 129–133, 191, 194,
 202, 232–233, 236–237, 240,
 244–246, 250–251
 imine/enamine hydrolysis 236–237,
 251, 255
 imine/enamine reaction 24, 33, 43,
 49, 94, 97, 99, 103–104, 120–122,
 124, 129–133, 191, 194, 202,
 232–233, 236–237, 244–245,
 247, 250
 Julia olefination 65, 72
 nucleophilic addition to 25, 33, 65,
 72, 74, 142, 147–149, 156,
 160–161, 163–164, 169, 172,
 176–177, 180, 183, 185, 187,
 232–233, 236, 244–246, 250, 255
 reduction 65, 72, 147, 156, 160–161,
 172, 187, 250
 reductive amination 24, 33, 43, 49,
 191, 194, 232–233, 240
 stereoselective nucleophilic addition
 to 147, 156, 172, 178, 180, 187,
 232–233, 244–246, 250
 Tebbe olefination 163, 168
 Wittig type reaction 42, 46, 160,
 177, 185, 193, 200, 208, 210–211,
 217, 219
- carboxylic acid
 activation 3, 7, 10, 17, 28, 38, 43,
 48, 67, 74, 79, 87–88, 108–109,
 111–114, 116–117, 122,
 124–125, 127, 131, 133–135,
 137, 142, 211–212, 220, 222, 249
 decarboxylation 2, 4–5, 234, 248
 enolate derivative 2, 4, 175
 esterification 52–53, 56–57, 67, 74,
 122, 124–125, 131, 134, 142, 147,
 175, 183, 199

formation 232, 234, 245, 248
 protection/deprotection 52–53,
 56–57, 198–200, 214, 223, 236,
 248, 251
 reduction 63, 70, 176, 183
 Weinreb amide 43, 48, 65, 73
 carboxylic acid derivatives
 aldol type reaction 53, 57, 63, 70,
 146, 155
 enolates 52–53, 56–57, 62–63, 66,
 69–70, 74, 82, 145, 146, 154, 155,
 175, 183, 200, 235–237, 250, 252
 cathepsin B 119, 123, 128, 138
 4-chlorophenylalanine (ClF) 234–235
 chromatography 214, 223
 coupling constants 16, 201
 coupling reaction
 Heck 26, 37, 190
 Kumada 247
 Negishi 9–10, 15
 Stille 68, 76
 Suzuki 95, 102, 239, 246, 254
 Cram/Cram chelate model 147, 156,
 173, 178, 180, 187
 CuI 66, 74, 174
 cycloaddition 178, 186, 208, 216
 cyclohexylalanine (Cha) 236–237
 cyclopentapeptide A 205

d

Dean–Stark conditions 21, 79
 Dess–Martin oxidation 63–64, 163,
 168–169
 diastereoselective synthesis 53, 57, 63,
 66, 70, 73, 147, 156, 174, 178,
 187, 235, 250
 Diels–Alder type reaction 178, 186
 dimethyl sulfide 167

e

electrophilic glycine synthons 236
 electrophilic substitution 26, 35, 94,
 100, 160, 164, 194, 201, 246–247,
 254
 eletriptan 41–45
 elimination reaction 25, 34, 62, 69,
 122, 125, 132, 134, 161, 191, 199

enantiomeric excess 98, 234, 249
 enantioselective synthesis 232–233,
 236–238, 240, 245, 248, 250–252
 enzymatic reaction 109–110, 112, 115,
 118, 128, 137, 234, 239–240, 249,
 254–256
 (+)-*epi*-muricatacin 172–175, 183
 epoxide
 formation 174–175, 182
 ring opening 174–175, 183
 Erlenmeyer–Plöchl synthesis 239, 255
 ester
 aldol type condensation 52, 56
 α,β -unsaturated 178, 190, 192–193,
 196, 199–200, 220
 enolate derivative 52, 56, 82, 145,
 154, 190, 192, 197, 200, 234–237,
 248, 251, 252
 formation 52–53, 56–57, 67, 74,
 122, 125, 131, 134, 142, 144, 147,
 156, 175, 178, 183, 191, 199
 hydrolysis 234, 239, 248, 255
 reduction 63, 71, 146, 176,
 193–194
 saponification 62, 66, 69, 185, 209,
 211, 220
 transesterification 3, 7, 176, 184
 Evans chiral auxiliary 53, 57, 65, 73,
 146, 155
 5-exo-trig cyclisation 151

f

Felkin–Ahn model 147, 156, 173, 178,
 180, 187
 Fischer indole synthesis 41, 45, 50,
 120, 129
 Friedel Craft acylation 94, 100

g

gel-filtration chromatography 223
 Grignard reaction 149, 156, 163, 172,
 179

h

haloform reaction 18
 halogen exchange 144
 Heck coupling reaction 26, 37, 190

homophenylalanine 231–232

Horner–Wadsworth–Emmons
reaction 217

hydrogenation

of acyl chloride 3, 7

of alkene 25, 28, 34, 42, 47, 161, 167,
177–178, 187

of azido compound 145, 153

of aziridine 220

of enamide 208, 211, 218

enantioselective hydrogenation 209,
218–219

of nitrile 24, 33, 193–194, 202

i

infrared spectroscopy 9, 14, 22, 24, 32, 62,
64, 70, 71, 94, 100, 146, 154, 162,
167, 172, 176, 179, 184, 192, 199

integrins 205

isochromandione 1

isothiocyanate 78–79, 87, 89

j

Julia olefination 65, 72

k

kinetic resolution 234, 248, 249

Kröhnke reaction 12

Kumada coupling 246–247

l

Le Chatelier's principle 253

leiodermatolide 61–68

Lewis structure 53, 171, 195

4-lithiobutene 146–147, 156

lithium–bromide exchange 33

L-selectride 172, 180

Luche reaction 178, 187

m

macrocyclization 213, 214, 223, 224,
241

macrolide 68

martinellic acid 189–195

mass spectrometry 3, 6, 195, 202

Michael addition 12, 19, 24, 33, 82–83,
85, 90–92, 125, 127, 134, 136, 220

Mills reaction 78–79, 86, 88

Mitsunobu type reaction 142, 149,
175, 182

muricatacin 171–178, 187

n

1-naphtylalanine (1-Nal) 235–236

2-naphtylalanine (2-Nal) 235

Negishi coupling 9, 10, 14–15

nitrile hydrolysis 232, 245

norleucine (Nle) 237–238

Noyori's catalyst 173

nuclear magnetic resonance

(NMR) 2–3, 6, 11, 16, 23, 31,
53, 56, 70, 80–81, 89–90, 94–95,
97–98, 100, 103–104, 193, 201,
230, 232, 243

nucleophilic addition 36, 155

nucleophilic substitution 2, 5, 10, 14,
52, 55, 57, 144–147, 154, 157,
160, 164, 194–195, 201

o

oligostilbenes 159

optical rotation 234, 249

organocatalysis 82–83, 85, 91–92, 233,
236–238, 245–248, 250–252

organometallic compound

addition 25–26, 34, 43, 48, 65–66,
73–74, 142, 147, 149, 156, 160,
163–164, 169, 172, 177, 180, 185
preparation 25–26, 33, 36, 48, 95,
100–101, 156, 160, 164, 177, 185
substitution 95, 101, 174–175, 183

oxidation

of alcohol 57, 63, 70, 177, 184–185,
210–211, 219–220, 238, 253

of aldehyde 146–147, 154

of alkene 147, 157

Dess–Martin oxidation 63–64, 163,
168–169

Swern oxidation 161, 166–167,
184–185

of thioether 160, 165

p

palladium-catalyzed cross-coupling
reaction 27, 68, 189

- peptide
 conformation 207, 216, 227, 229, 241
 cyclization 214, 223–224
 structure 205, 227, 229–230, 240, 243
 synthesis 108–109, 111–115, 211–213, 220–223, 229, 241–242
- phenylglycine 232–233
- phenylsulfanyl group 143
- photo-isomerization 83, 91–92, 96–98, 103, 105
- protegrin I 227, 228
- pyridoxal 5'-phosphate 93–98
- q**
- quinaldine 3, 7
- r**
- radical reaction
 cyclization 143–144, 151–152
 group transfer 144, 152
 reduction 143, 151
- Ramberg–Bäcklung reaction 160, 165–166
- reduction
 of acyl chloride 3, 7
 of amide 22, 30, 193–194
 of azido compound 145, 148, 153, 157
 Birch reduction 175
 of carbonyl 65, 72–73, 147, 156, 160, 164, 172, 178, 180, 187
 of carboxylic acid 63, 176, 183
 of ester 63, 146, 154, 176, 184–185, 193–194, 201
 of hydrazine 238, 253
 Luche reaction 178, 187
 of nitrile 24, 33, 146, 191, 198
 of nitro compound 10, 16, 52, 57
 radical reduction 143, 151
 stereoselective carbonyl
 reduction 172–174, 178, 180–181, 187
- reductive amination 239–240
- reductive cyclization 51–52
- resolution of racemic mixture 44–45, 49, 234
- ring-closing alkyne metathesis
 reaction 67, 75
- s**
- saponification 69, 220
- Schöllkopf synthesis 235, 249, 250
- SEN794 9–13
- sigmatropic rearrangement 96, 120, 129
- size exclusion chromatography 213, 223
- SN₁ mechanism 14
- SN_{Ar} mechanism 14
- Staudinger reaction 157
- stemoamide 141–148
- stemona* alkaloids 141
- Stille coupling 68, 75–76
- Strecker reaction 232–233, 244–246
- streptophenazine A 51–54
- Suzuki coupling 95–96, 102, 238, 246, 254
- Swern oxidation 161, 166–167, 184–185
- t**
- Tebbe olefination 163, 168–169
- triethylammonium chloride 37, 38
- Tsuji–Trost reaction 112, 117, 189–190, 192, 197, 200
- u**
- UV–vis spectroscopy 97, 103, 119–120, 130
- v**
- Vilsmeier reagent 3, 7, 171–172, 179
- w**
- Weinreb amide 43, 48, 65, 73
- Wheland intermediate 35–36
- Wittig type reaction 46, 160, 177, 185, 193, 200, 208, 210–211, 217, 219
- x**
- X-ray diffraction 82, 84, 91
- z**
- Zimmerman Traxler transition
 state 63, 65, 70–71, 73, 155

