

Index

a

- abarelix 508–509
 Abciximab 499, 512
 abundance-based proteomics 535
 – absolute quantification 534
 – AQUA 535
 abzyme 299–300
 ACE 124, 125, 143, 430
 – inhibitors 125, 430
 ACE 2, *see* angiotensin-converting enzyme 2
 acetaldehyde/chloroanil test 274
 N^{α} -acetylcarnosine 64
 achatin 74, 144
 Acm 208, 209–210, 323, 334, 336, 348,
 354
 acromegaly 115, 424, 425
 ACTH 110, 113–117, 130, 133–134, 177, 503,
 504, 508
 actin 162
 active ester 194, 214, 234–237, 239, 241,
 258, 269, 279, 300, 320, 371
 – leaving group capacity 235
 – oxazolone formation 236
 – racemization 236, 237, 257, 258, 300
 – tetrahedral intermediate 235, 237
 active specific immunotherapy (ASI) 514
 activity-based protein profiling (ABPP)
 535–536
 activity-based proteomics (ABP) 535
 acyl azide 214, 217, 225–226, 245
 acyl enzyme 293, 295, 296
 acyl halides 225, 237–238
 – racemization 237–238
 O-acyl isopeptide method 273
 O-acyl isourea 232–234
 acyl migration 210, 214, 232, 233, 273, 344,
 347, 378, 379
 acyltransferase 90, 293
 N-acyl urea 233, 234
 adenosine triphosphate (ATP) 68, 76, 78, 94,
 102, 288, 289
 adhesion molecules 82
 adipokinetic hormones (AKH) 140
 ADME 368, 414
 ADP-ribosylation 79, 93
 adrenocorticotrophic hormone, *see* ACTH
 adrenocorticotropin, *see* ACTH
 adrenomedullin 121, 123
 advanced glycation end-products 34
 affinity enrichment 535
 agonism 120, 413, 428
 agonist 65, 66, 106, 123, 125, 126, 138, 139,
 177, 418, 430, 459, 520
 agouti protein 118
 Aimoto thioester approach 329
 Akabori method 23
 alamethicin 149
 alanine scan 413
 alaphosphin 148
 albumins 486, 488, 494, 495
 S-alkylation 192, 211, 213, 216
 allatostatin families 141, 142
 allostatin-like peptides 119, 514
 allyl transfer 191, 261, 332, 392
 allyl-type protecting group 392, 400
 alloc protecting group 392
 alphashcreen technology 519–520
 Alzheimer's disease 273, 280, 497, 500
 Amadori rearrangement 19, 93
 amanitins 160
 amatoxins 73, 160, 161, 162
 amidase 293, 296, 331
 amidation 86–87, 113, 129, 357, 487
 amide, primary 218, 262
 ω -amide protection 218–221
 amine-capture method 354

- amino acid 24–26, 38, 466
 – N²-alkylated 418
 – biosynthesis 365
 – chloride 192, 230, 237, 267
 – composition 24–26, 460
 – conformationally constrained 416
 – dehydro 365, 396, 418
 – deletion 417
 – C²-dialkyl 417, 418, 420
 – exchange 12, 176
 – glycosylated 280, 387, 390
 – helix compatibility 38
 – β-homo 435
 – isofunctional exchange 176
 – modification 79, 417
 – N-methyl 243, 247, 377, 378, 419, 420
 – nonproteinogenic 2, 38, 147, 290, 417, 418, 422
 – preactivation 249
 – phosphorylated 395
 β-amino acid 365, 368, 376, 422, 426, 435–437, 487, 518
 D-amino acid 3, 10, 39–42, 73, 94, 96, 137, 138, 144, 297, 365, 368, 372, 376, 377, 418, 419, 478, 487, 518
 amino acid activation 76, 94, 247
 amino acid analysis 20, 24–26, 34, 35
 amino acid anhydride 232
 – N-carboxy 75, 226, 229–231, 245, 248, 324, 447
 – mixed 76, 194, 199, 226–229, 236, 245, 246, 271, 320, 324, 378
 – symmetrical 232, 233, 234–236, 240, 275, 330
 – N-thiocarboxy 231
 – urethane protected N-carboxy 231
 aminoacyl-tRNA 76–78, 94, 297
 α-aminoisobutyric acid 149, 280, 420
 6-aminopenicillanic acid 148
 aminopeptidase 22, 125
 amphiphilic structure 42–44, 155
 amphiregulin 109
 amphotericin 399
 amylin 121–123, 510
 amyloid-β 273, 341
 anchimeric assistance 23
 anchoring group 199, 251, 256, 258, 259, 265, 275, 336, 464 *See also*, linker
 anconenin 153
 androctonus 158
 angiotensin converting enzyme 124, 143, 325, 430
 angiotensin-converting enzyme 2 125
 angiotensin kinin system 123–125
 angiotensinogen 124
 angiotensins 123–125
 anhydride 229–231
 2-anilino-5(4H)-thiazolone 28, 29
 anorexigenic peptide 112
 antagonism 413, 428
 antagonist 3, 113, 119, 124, 125, 414, 418, 419, 424, 427, 429, 430, 459, 470, 483, 507, 510, 511, 513, 517, 520
 antamanide 73, 162, 367
 antho-Kamide 144
 anthopleurin-A 159
 antho-Rlamide I 144
 antho-RNamide 144
 antibody
 – catalytic 300
 – monoclonal 498, 512
 antibody-catalyzed synthesis 299
 antibody library 461
 antifreeze protein type III 128
 antigen 1, 16, 17, 40, 68, 70, 82, 90, 444, 445, 446, 462, 497, 498, 513, 514
 antihemophilic factor 72
 antisense nucleotides 434
 antisense peptide 491
 antithrombin 485, 494
 α₁-Antitrypsin 494
 anxiety peptide 144
 apamin 159, 160
 apidaecin 155
 apidaecin Ia 155
 Aranesp® 508, 511
 arene sulfonyl protecting group 203
 arginine 183, 203, 503
 – guanidino protecting group 203, 217
 – lactam formation 202, 203
 – methylation 92
 – nitro 202
 argiotoxin 159
 array 156, 414, 463–466, 501, 513
 asialoglycoprotein receptor 81
 asparagine
 – β-amide protecting group 218
 – α-aspartyl peptide 206, 218
 – cyclization reaction 218, 371
 – ω-nitrile formation 218, 220
 aspartame 2, 245, 294, 325
 aspartic acid, β-carboxy protecting group 207
 aspartimide 93, 190, 199, 206, 387
 α-aspartyl peptides 206, 218
 β-aspartyl peptides 206, 218
 atosiban 119, 505, 508, 511
 atrial natriuretic peptide 123, 127
 atriopeptide 127, 278

- atriopeptigen 127
 atriopeptin 278
 autocrine hormone 66
 auxiliary-mediated ligation 346
 avimers 488
 azapeptide 421
 azatide 431
 azide coupling 199, 226, 324, 328, 502
- b**
- Bac5 155
 bacilysin 148
 bacitracin 74, 146, 147, 150
 backbone amide linker 373, 375
 backbone amide protecting group 272, 273
 backbone-engineered ligation 355–356
 backbone cyclization 11, 257, 262, 367, 371, 379
 backbone modification 421–423
 backing-off strategy 226, 256
 bactenectin 155
 bacteriocins 152
 Barlos resin 260–261, 336
 barnase 329
 β -barrel 68, 442
 Bcl-2 514, 515
 bee venom 159, 160
 benzodiazepine receptor 145
 benzyl ester 187, 198, 206, 214, 260, 342, 387
 benzoyloxycarbonyl group 63, 186, 187, 202, 205, 215, 221, 387
 betacellulin (BTC) 109
 binary encoding 471, 473
 – nonsequential 471
 bioassays, solid-phase 256
 biochemical communication 65, 66, 96
 biochemical protein ligation 281
 biochemical peptide/protein synthesis 77, 125, 175, 252, 288, 317, 344, 348, 355, 358, 394
 biological membranes 51, 67, 490
 biological target 414, 477
 biologically active peptides 11, 96, 97, 216, 277, 434
 bioreactor, continuous-flow 289
 bleomycin 146, 508
 blood brain barrier 67, 132, 144, 411, 437, 491, 492
 blood clotting 70, 71, 81, 513
 blood coagulation 70, 71, 72, 80, 91
 blood pressure regulating peptide families 123
 blunt ends 282
- Boc 184, 187–193, 203–207, 210, 212, 214, 217, 218, 220, 224, 228, 229, 231, 236, 245, 246, 257–260, 264, 329, 333, 342, 390, 391, 398, 400, 461, 464
 Boc/Bzl/Pac tactics 323, 324
 Boc/Bzl tactics 214, 224, 267
 bombesin 130, 143, 417, 491
 bombesin family 143, 417, 491
 bombinin 74
 bomboitin 160
 BOP 218, 239, 245, 269, 373, 502
 borapeptide 421
 BQ-123 126
 bradykinin 124, 125, 430, 510
 bradykinin-potentiating peptides (BPP) 124
 brain natriuretic peptide 127, 512
 brevenin-1 155
 bromophenolblue test 274
 N-bromosuccinimide 27, 28
 bufokinin 107
 α -bungarotoxin 157
 buserephin 113, 488, 507, 508
tert-butyl 189, 190, 192, 194, 196, 198, 207, 210, 214, 216, 217, 224, 229, 238, 258, 267, 275, 322, 380, 402
tert-butyloxycarbonyl group (Boc) 188, 189
 butyryl choline esterase 223
 byetta 508, 510
- c**
- caerulein peptides 98
 calcitonin 120–123, 130, 278, 289, 333, 338, 488, 507, 511, 515
 calcitonin gene-related peptide 120–122, 130
 calcium ions 72
 calmodulin 15, 108
 campath 499
 capillary electrophoresis 14, 16, 31, 249, 341
 capillary isoelectric focusing 16
 capillary zone electrophoresis 16
 capping 269, 271, 332, 464
 capreomycin 146
 captopril 430
 carassin 107
 carbene insertion 472
 carbodiimide 231–235
 – DCC 198, 231–235, 258, 269, 279
 – polymeric 233
 carbohydrate 81, 82, 83, 85, 92, 140, 221, 285, 347, 348, 386–391, 432, 446, 514
 N^e-(carboxymethyl)lysine 93
 carboxy protecting group 180, 193–195, 199, 200, 206, 216, 223, 253, 318, 374, 375, 400
 C^α-carboxy protecting group 193–199, 318

- γ -carboxyglutamic acid 34
 carboxylation 79, 81, 485
 carboxypeptidase 23, 31, 33, 125, 430
 cardiac peptide hormones 127–128
 cardiotrophin IV 127
 carnosine 63, 64
 α -casein exorphin 139
 β -casomorphins 139, 373
 β -casorphins 139
 casoxins 139
 catalytic antibody 300
 CCK receptor 98
 cDNA 18, 64, 74, 98, 282, 283, 286, 477
 cecropin 44, 75, 154–156
 cell adhesion 82, 85, 246, 374, 413
 cell-free transcription/translation 290
 cell-free translation approach 288–290
 cell-penetrating peptides (CPP) 490
 – protein transduction domains 490
 cellulose 15, 64, 256, 350, 461, 464, 465
 cephalosporin c 147
 cerulein 97, 98, 108
 ceruletide 98
 cetrorelix 113, 508, 509
 chain elongation, linear 78, 181, 229, 255,
 269, 318, 320, 340, 342, 354, 433, 537
 chaotropic salt 272
 chemical evolution 75
 chemical ligation 264, 339, 343–359, 394,
 395, 400, 488
 chemical proteomics 535
 – activity-based protein profiling 535
 – activity-based proteomics 535
 – irreversible affinity based probes 536
 – reversibly binding affinity-based
 probes 539, 540
 chemical shift 53, 416
 chemogenomics 516
 chemokines 91, 350, 369
 chemoselective ligation 320, 344, 345, 351,
 355, 443
 chitin 18, 256, 351, 352
 chlamydoxin 372
 chloromethylation 257
 6-chloro-1-hydroxybenzotriazole (Cl-HOBt)
 503
 2-chlorotrityl group 199, 332, 335, 341, 402,
 506
 chlorotoxin 159
 cholecystokinin/pancreozymin (CCK-PZ)
 91, 97, 98, 104, 108, 402, 429, 508
 choline ester 223, 400, 401
 choline esterase 223, 400
 α -choriogonadotropin 91
 christmass factor 72
 chromatography
 – affinity 14–16, 18, 530, 534, 536, 540,
 541
 – gel filtration 15
 – gel permeation 15, 18
 – hydrophobic interaction 15, 18
 – ion-exchange 14, 15, 18, 25
 – metal affinity 18
 – reverse-phase high performance liquid
 15
 – size-exclusion 14, 15, 64
 – thin-layer 14
 chymotrypsin 26, 34, 220, 330, 394
 cilengitide 514
 cinnamycin 153
 cionin 97, 98
 circular dichroism 43, 49–50
 – vibrational 50
 cis peptide bonds 7, 371, 376, 419
 CLIP 117, 130, 133
 cloning 30, 283, 478, 492
 clostripain 26
 α -cobratoxins 157
 coeruleoplasmin 81
 cofactor 63, 81, 120
 coiled coil 42, 71, 439, 443, 444
 colicins 152
 colistin 146, 147
 collagen 38, 71, 73, 80, 117, 120, 385, 386,
 485
 collision-induced dissociation 31, 532
 colony stimulating factors 494, 514
 combinatorial chemistry 176, 256, 277, 280,
 350, 413, 457, 458, 460, 467, 476, 478, 517
 combinatorial organic synthesis 457
 combinatorial peptide synthesis 457–478
 – mixture-based 459–460
 – solid-phase 460, 461, 468
 combinatorial synthesis 280, 338, 375, 429,
 458, 459, 460, 466, 467, 470, 474
 complement system 70, 80
 compound libraries 429, 457, 461, 467, 471,
 472, 474, 478, 516, 518, 520
 computer-aided molecular design (CAMD)
 415
 conantokins 157, 158
 conformation 3, 6, 24, 36, 39–54, 71, 77, 81,
 129, 176, 200, 255, 272, 299, 367, 368, 371,
 373, 411, 413, 415, 420, 423, 424
 conformational analysis 3, 53, 176, 417
 conformational homogeneity 176, 381
 conopeptides 157, 158
 conotoxins 157, 158

- controlled pore glass 29, 256
 contrypans 157
 convergent synthesis 320–321, 326–327, 342, 349, 444
 core sequences 269, 270
 corticliberin 110, 113–115
 corticostatin 104, 115
 corticotropin 64, 112, 115–117, 130, 175, 278
 corticotropin-like intermediate lobe peptide 117, 130
 corticotropin release-inhibiting factor 112, 117
 corticotropin-releasing hormone 114
 cortistatin 105
 countercurrent distribution 14, 18, 64
 coupling, oxidative 210
 coupling reaction 179, 194, 195, 218, 224, 226, 228, 229, 231, 233, 238, 241, 244, 247, 249, 250, 269, 273, 279, 280, 300, 319, 326, 334, 341, 342, 445, 463, 502
 C-peptide 102, 103
 crabolin 159, 160
 cripto 109
 cross-linked enzyme crystals 294
 crustacean cardioactive peptide 140, 141
 crystallization method 278, 467
 C-type natriuretic peptide 127, 128
 curtatocins 159
 Curtius rearrangement 214, 226, 326, 377
 cyanogen bromide 27, 495, 532
 cyanuric fluoride 238
 cyclization 368–381
 – chain-to-tail 370
 – head-to-side chain 370
 – head-to-tail 199, 369, 371, 374, 378
 – high dilution 371, 373, 382
 – pseudo-dilution 373, 382
 – side chain-to-head 380
 – side chain-to-side chain 369, 380
 – side chain-to-tail 370
 – tail-to-side chain 380
 – turn-inducing elements 368, 371
 cyclo-(His-Pro-) 112
 cyclodepsipeptide 149, 365, 367, 377
 cyclodimerization 371, 376, 383
 cyclohexyl 196, 206, 215, 232, 257
 cyclo-oligomerization 373
 cyclopeptide 365–381, 440
 – β-amino acid 365, 368, 376, 426
 – conformational design 368
 – conformational flexibility 368
 – β-hairpin mimetics 369
 – heteromeric 146
 – homomeric 146
 – N-methylation 368
 – pentapeptide 371–373, 376, 377
 – protein epitope mimetics 369
 – tetrapeptides 372, 376, 377
 – tripeptides 376
 – turn 365, 367–369, 371
 cyclopeptide synthesis
 – backbone anchoring 374
 – cyclization auxiliary 377
 – cyclization in solution 373
 – cyclodimerization 371, 376, 383
 – cyclo-oligomerization 373
 – diphenylphosphoryl azide (DPPA) 226, 373
 – 1,3-dipolar cycloaddition 380, 381, 542
 – disulfide 366, 367, 369, 380–388
 – epimerization 372, 373, 377
 – metathesis macrocyclization 379, 380
 – on-resin cyclization 373, 374, 375, 380
 – pentafluorophenylester ring closure 371
 – side chain anchoring 374
 – Staudinger ligation 357, 379
 – triphosgene 377
 cyclophilin (Cyp) 7, 151
 cycloscan 367
 cyclosporin A 7, 96, 151, 512
 cyclosporin O 365
 cyclosporin synthetase 96, 151
 cyclotheonamide 422
 cyclotide 370
 Cyl-1 372
 Cyl-2 372
 cysteine protease 293, 294, 353, 537, 538
 cystine-peptide 381
 – condensation of fragments 381
 – oxidative refolding 381
 cysteine, thiol protecting group 208, 210, 383
 cytokines 82, 485, 496, 498, 499

d

- dactinomycin 146
 dalfopristin 147
 dansyl chloride 21, 22
 dansyl method 21, 22
 daptomycin 365, 368, 399, 508, 513
 darbepoetin alfa 508, 511
 DAST 238
 DCC 198, 219, 231–234, 237, 258, 269, 279, 341, 435
 DDAVP 119, 418, 503
 Dde 192, 205
 deamidation 19, 36, 218
 deconvolution
 – recursive 467, 475

- defensin 74, 75, 155, 156
 dehydroalanine 153, 211
 delta-sleep inducing peptide 130, 144
 deltorphins 137, 138
 de-novo design 413, 439, 442, 444
 de-novo sequencing 32
 dephosphorylation 87, 88, 395, 398
 depletion 120, 511, 530
 depsipeptide 147, 149, 245, 273, 421
 dermorphins 74, 137–138
 des-Gln¹⁴-ghrelin 108, 109
 deslorelin 507, 508
 desmopressin 325, 488, 503, 511
 detection 14–16, 250, 500, 518–519, 521, 531, 532, 535
 detirelix 113, 509
 deuteration 52
 diabetes-associated peptide 122
 diabetes insipidus 119, 418, 503
 diabetes mellitus 100, 102, 122, 283, 488, 493, 501, 510, 511
 – insulin-dependent 102
 – noninsulin-dependent 122
 N,N'-dialkyl urea 233
 diazepam-binding inhibitor 156
 diazepam-binding inhibitor peptide 144–145
 dibenzofulvene 189, 191, 267, 323
 didemmin 372
 diethylglycine 426
 differential 2D gel electrophoresis (DIGE) 531
 difficult sequence 271–273, 339
 – incomplete acylation 271
 dihedral angle 36, 41, 420, 438
 diketopiperazine 19, 36, 256, 261, 264, 271, 277, 332, 375
 β-2,4-dimethyl-3-pentyl ester 207
 4-(dimethylamino)pyridine 258
 2,4-dinitrofluorobenzene 21
 dinitrophenyl method 21
 Diosynth rapid solution peptide synthesis (DioRaSSP) 507
 diphenylphosphoryl azide, *see* DPPA
 dipterincin 394
 directed assembly 320
 discontinuous epitopes 178, 367, 412
 disulfide bond (disulfide bridge) 10, 44, 50, 79, 116, 152, 155–158, 217, 269, 341, 366, 360, 381, 383, 384, 386, 492, 503, 505, 512
 – cleavage 24
 – collagen peptides 386
 – insulin 80, 101, 382, 383, 384
 – interchain 10, 34, 104, 381, 382, 386
 – intrachain 10, 103, 158, 381, 424
 – minicollagen-1 385
 diversity 460, 468, 473, 483, 484, 492, 497, 515
 diversomer 458, 473
 Dmab 197, 199, 208
 2,4-Dmb ester 195
 DNA ligase 282
 DNA sequence analysis 30, 281
 DNA synthesis 283, 513
 DNA template-controlled ligation 351
 dolichol pyrophosphate 84
 DPDPE 380, 424, 492
 DPPA 226, 245, 373, 376
 drug candidate 411, 414, 457–460, 501, 507
 drug delivery systems 488–492
 drug design 3, 49, 153, 414–416, 457, 515
 Dts 191, 268, 269
 duramycins 153
 dwarfism 115, 286, 496
 dynamic combinatorial library 476–477
 dynamic range 529
 dynorphins 132, 135, 136
- e**
- early placenta insulin-like peptide 104
 Edman degradation 23, 28–30, 32, 270, 474
 Edman microsequencing 471
 EGF family 109–110
 eisenin 90
 elastin 73
 elcatonin 121, 508, 511
 electrophilic aromatic substitution 214, 217
 electrostatic interaction 39, 45, 92, 439
 eleodoisin 106, 107, 508
 β-elimination 19, 189, 211, 220, 336, 387, 391, 396, 400
 elongation factors 78
 enalapril 430
 encoding methods 470–474
 – nonchemical 474
 end group analysis 21–24
 – C-terminal 21
 – N-terminal 21, 34
 endocrine hormone 66, 97, 111, 115
 endokinins 105, 106
 endomorphins 141
 endopeptidase 26, 124, 129
 endorphins 131–136
 – α-endorphin 134, 135
 – β-endorphin 113, 117, 130, 133, 134, 278, 430
 – γ-endorphin 134, 135
 – δ-endorphin 134, 135
 – α-neo 132, 134–136

- endothelin 123, 125–127
 endothelium-derived relaxing factor 126
 endothiopeptide 421
 enduracidin 147, 148
 enfuvirtide 4, 235, 278, 336, 337, 484, 506, 507, 508, 509, 514
 enkephalin (EK) 67, 132–135, 424
 – Leu- 132, 319
 – Met- 132, 137, 492
 enniatins 63
 enolization 246
 enzymatic degradation 31, 81, 367, 486
 enzymatic ligation 297, 330, 358, 359
 enzymatic synthesis 283, 291, 294, 318, 319, 331, 388, 389, 391, 394
 enzyme 220, 221, 223, 245, 277, 281, 295, 397, 400, 411–416, 536
 enzyme assay 17, 473, 520
 enzyme inhibitor 1, 15, 414, 428, 429, 434, 467, 537
 epidermal growth factor 109, 493, 496
 epidermin 153
 epimerization 94, 96, 181, 206, 209, 213, 233, 246–247, 249, 270, 280, 297, 339–341, 372, 373, 377
 epiregulin 109
 epitope
 – continuous 177, 178, 367, 412
 – discontinuous 178, 367, 412
 epitope mapping 177, 463, 467, 470, 501
 eptifibatide 508, 512
 equilibrium-controlled enzymatic synthesis 292–294, 359
 erythrocyte differentiation factor 494
 erythropoietin 487, 490, 493, 511
 ESI 20, 31
 esterase 221, 293, 294, 400
 ethyl 195, 228
 eumamine mastoparan-AF 159, 160
 excluded protecting group method (EPG) 280, 359
 exenatide 508, 510
 exendins 99, 510
 exorphins 139–140
 expansion of the genetic code 290
 expressed protein ligation 297, 351–352, 368
 extended native chemical ligation 346
- f**
- F_1F_0 -ATPase 68
 Fab fragment 68, 512
 FACS 470
 factor II 71
 S-farnesylation 89, 400
- farnesylation 89
 farnesyltransferase (F Tase) 89
 Fc fragment 68, 489
 fentanyl 131, 132, 134
 fibrin 71, 72
 fibrinogen 72, 485
 fibrinopeptides 72
 fibrin-stabilizing factor 72
 fibroblast growth factors 490, 494, 496
 fibroin 39, 71
 fibronectin 494
 fibrous proteins 71
 fingerprint 31, 532
 FK506 binding proteins 7
 fluorescence correlation spectroscopy 49, 56
 fluorescence resonance energy transfer 55, 518, 519
 9-Fluorenylmethyl (Fm) 192, 197, 209, 238
 Fmoc 188, 204–206, 210, 213, 217, 220
 Fmoc-protected amino acid halides 189, 228, 238, 261, 464
 Fmoc/tBu tactics 27, 213, 224, 276, 322
 foldamer 431, 436
 follicle-stimulating hormone releasing hormone 113
 folliliberin 110
 follitropin 110, 116
 forced peptide synthesis 246
 force-field calculations 415
 Nⁱⁿ-formylation 217
 N-formyl group 149
 Forteo[®] 120, 509, 511
 fourier transform infrared spectroscopy 51
 fragmentation 26, 31, 32, 221, 223, 264, 531, 534, 540
 fragment condensation 181, 235, 320, 330, 331, 383, 384, 433
 fragment growing 416
 fulicin 74
 functional proteomics 530, 535–537
 fusion proteins 15, 16, 18, 287, 483, 487, 489, 493, 500
 Fuzeon[®] see enfuvirtide
- g**
- G protein-coupled receptors 65, 104–105, 107, 118, 119, 121, 135, 146, 147, 400
 G proteins 121, 400
 galanin 130, 142, 490
 gas chromatography, electron capture detection 473
 gas phase sequencer 29, 30
 gastric inhibitory polypeptide 100, 156
 gastrin 66, 91, 97–99, 104, 130, 402, 417, 483

- gastrin family 97–99
 gastrin-releasing peptide 130, 417, 483
 gastroenteropancreatic peptide families 96, 97
 gastrointestinal hormone 97
 gene 120, 121, 281
 gene transfer 447
 genetic algorithms 421, 422
 genetic engineering 55, 281, 285–287, 496
 genome 2, 49, 175, 282, 457, 477, 500–501,
 515–517, 529, 532, 537
 S-geranylgeranylation 89
 geranylgeranyltransferase 89
 ghrelin 108, 109, 115
 GIP(7–42) 156
 glicentin 99
 glicentin-related pancreatic peptide (GRPP)
 99
 global restriction approach 423
 globin 140
 globulins 124
 glucagon 64, 67, 88, 99–102, 104, 112, 278,
 424, 425, 483, 508, 510
 glucagon-like peptides 99, 100, 101, 483
 glucose-dependent insulinotropic
 polypeptide 99, 100
 α -glucosidase 221
 β -glucosidase 221
 glutamic acid 34, 90, 98, 112, 205, 206, 207, 219
 glutaminyl cyclase 90
 γ -carboxy protecting group 374, 375
 glutamine
 – nitrile formation 218
 – pyroglutamyl peptide 218
 – ω -amide protecting group 219
 glutathione 8, 9, 63, 93
 gluten 140
 gluten-exorphin A5 139, 140
 gluten-exorphins 140
 glycans 81, 84–86, 90, 394
 glycoconjugate 82
 glycoforms 81
 glycopeptide remodeling 386
 – N-glycopeptide 390
 – O-glycopeptide 391
 glycopeptide synthesis 86, 346, 386, 387, 391,
 392, 394
 – hydrophilic resins 393
 – native chemical ligation 344–346, 350, 352,
 353, 394–395
 – safety-catch 378, 395
 – solid-phase peptide synthesis 3, 4, 175, 190,
 251–279, 393, 446
 – sugar-assisted ligation 347
 glycoproteins
 – N-linked 395
 – O-linked 84
 – trimming 84
 endo-glycosidase 390
 exo-glycosidase 390
 C-glycoside 83, 85
 N-glycoside 83, 387
 O-glycoside 83
 β -N-glycosidic bond 387
 α -O-glycosidic bond 388
 glycosidic bond 82, 83, 85, 93, 221, 387, 388,
 391, 394
 glycosulfopeptide 402
 glycosylation 81–86
 – block 387
 – stepwise 84
 glycosyltransferases 386, 390
 gonadoliberin 90, 110, 113, 419, 507
 gonadotropin-releasing hormone 110,
 419
 gonadotropins 110, 113, 419
 goserelin 507, 508, 509
 GPI-linked proteins 90
 gramicidins 11, 39, 63, 64, 67, 95, 96, 146,
 147, 149, 365
 gramicidin A 39, 67, 149
 gramicidin S 11, 63, 95, 96, 146, 147, 365
 granulocyte colony stimulating factor 494
 granulocyte macrophage colony stimulating
 factor 514
 graph theoretical methods 415
 greek key motif 46
 green fluorescent protein 55, 278, 335,
 518
 growth hormone 100, 103, 104, 108, 109, 114,
 115, 286, 386, 424, 425, 484, 492, 496
 growth hormone release-inhibiting
 hormone 110
 growth hormone secretagogue (GHS-R) 108,
 115
 – receptor 108, 115
 growth hormone-releasing hormone 98–99,
 100, 110, 114, 115
 – receptor 110
 GRPP 99
 GTP-binding proteins 89
 4-guanidinophenyl ester 295, 330
 guanidino protection 202–204
 guanine nucleotide-binding proteins 15
 guanylation 204, 211
- h***
- Hageman factor 72
 β -hairpin 42, 43, 46, 369

- β-hairpin mimetics 369
- handles 256, 280, 332, 341–343
- HAPipU 241, 242
- HAPyU 377
- HATU 240–245, 373, 376
- HBTU 218, 235, 240, 243, 269, 280, 373
- HC Toxin 372
- head activator 145
- helix
 - bundles 42, 46, 439, 442, 443
 - compatibility 38
- α-helix
 - initiators 426
- βαβ-helix 442
- 3₁₀-helix 38, 41, 42
- helix-turn-helix motif 46
- helospectine I 99
- helospectine II 99
- hematide 511
- hemocyanins 514
- hemoglobin 68, 140
- hemokinin-1 105, 106
- hemopressin 139, 140
- hemorphins 140
- heparin binding growth factor 91, 109
- hepatitis B surface antigen 494
- heptyl ester 223, 396, 397
- herceptin 496
- heregulin 109
- heterodetic peptide 11, 146
- heteromeric peptide 10, 74, 146
- hexafluoroacetone 245, 246
- HFMS resin 336
- high-frequency signal 474
- high-molecular weight kininogen 71
- high-throughput screening 290, 433, 458, 473, 497, 518–521
- hirudin 341, 485
 - imidazole group protection 211–215
- histidine 18, 45, 64, 211
- histone methyltransferases (HMT) 520
- histones 92, 520, 521
- HIV protease 278
- HIV-1 gp 41, 490, 506
- Hmb 200, 201, 206, 272
- HMBA resin 260
- Hnb 200, 201, 206, 272, 377
- HOAt 218, 225, 234, 237, 239–242, 272, 324, 340, 372, 373, 503
- HOBt 206, 213, 218, 225, 234–239, 242, 258, 280, 319, 320, 324, 326, 329, 334, 336, 340, 503
- HODhbt 326, 327, 329
- homocysteine 216, 520
- homodetic peptide 11
- homogeneity characterization 17, 20, 249, 326, 381
- homogeneous time-resolved fluorescence (HTRF) screening assay 519
- homology design 48
- homology modeling 48, 416
- homomeric peptide 10, 11, 146
- HONB 237
- hormone
 - autocrine 66
 - endocrine 66, 97, 111, 115
 - paracrine 66
 - pituitary 115–118, 145
- HOSu 234, 237, 328, 329, 340
- HPLC 15, 16, 25, 26, 31, 249, 250, 277, 318, 342, 507
- ¹⁵N HSQC 416
- HTRF assay 519
- Humalog 510
- human genome 2, 7, 175, 281, 457, 500, 515, 520, 537
- human immunodeficiency virus (HIV) 2, 349, 490
- Humatrop 287, 496
- hybrid approach 325, 332, 335, 484, 506
- HYCRON linker 393
- hydrazide 23, 182, 198, 199, 200, 217, 218, 225, 226, 256, 260, 262, 276, 356
- hydrazinolysis 192, 193, 198, 208, 212, 214, 225, 226, 262, 268, 333
- hydrazone-forming ligation 356
- hydrins 25, 273, 343
- hydrogen bond 36–40, 44, 45, 50–53, 200, 219, 236, 237, 271, 411, 428, 432–440
- hydrogen fluoride 187, 198, 323
- hydrophilic resins 393
- hydrophobic collapse 48, 429
- hydrophobic interaction 15, 45, 46, 428, 429, 501
- hydrophobic protein-ligand interactions 429
- hydroxy group protection 214–216
- α-hydroxylating monooxygenase 87
- hydroxylation 36, 79, 80, 87
- N-hydroxypiperidinyl ester 236
- hylambatins 107
- hypertensin 125
- hypocretins 136–137
- hypophysis 64, 111, 117, 136
- hypothalamic releasing hormones 110, 111
- hypothalamus 90, 100, 101, 104, 108–119, 136, 143–146

i

- icatibant 508, 510
 IDSP sequence 82
 IgE pentapeptide (human) 68, 499
 IgF receptor 101, 103, 115
 imidazole protection 211–214
 imine capture ligation 351
 immobilized pH gradient 531
 immune system 68, 70, 90, 105, 106, 114, 128, 483, 496, 500
 immunoglobulins 15, 68, 70, 487–489, 499
 incretins 100, 101
 indole protecting group 217–218
 indolicidin 155
 inflammatory compounds 82
 inhibin 328
 inhibiting factors 110, 112, 117
 inhibitor affinity chromatography (IAC) 536, 540
 insect diuretic peptides 127
in-situ neutralization 272
 insulin 2, 20, 21, 34, 35, 66, 67, 80, 100–104, 108, 145, 175, 209, 284, 285, 287, 288, 294, 425, 493, 496, 510
 – B chain 34, 35, 70, 80, 102–104, 140, 287, 385, 510
 – C-peptide 102, 103
 – glargine (HOE901) 287, 510
 – Lispro 287, 510
 insulin-like growth factor(s) 101, 103, 115
 – growth factor 1 115
 insulin-like peptides 103, 104
 insulin receptor 102
 insulin superfamily 101–104
 integrilin 508
 integrins 82, 100, 368, 413, 514
 intein-mediated protein ligation 351–353
 interaction–dipole-dipole 45
 – ion-dipole 45
 intercellular adhesion molecule 82
 interferons 284, 286, 493, 495, 496
 interleukin 66, 278, 345, 493–496, 499
 intermediate filaments 71
 intermedin/adrenomedullin-2 121, 123
 internal reference amino acid 256
 introns 76, 123, 282, 286
 inverse peptide synthesis 318
 iodolysis 210
 islet amyloid polypeptide 122
 isoaspartyl peptide formation 205, 206, 276
 isokinetic mixture 468
 isopenicillin N synthase 148
 isopeptide bond 8
 isotope-labeled hydrolytic agents 249

isovaline 420

- isoxazolium method 236
 iteration method 474

j

- jak proteins 535

k

- Kaiser oxime resin 332
 Kaiser test 273, 341
 KALA amphipathic peptide 159, 490
 kaliuretic peptide 128
 kallidin 124
 kallikrein–kinin system 124
 kallikreins 72, 124
 kassinin 106, 107
 keratin 38, 39, 71
 α -ketoacid–hydroxylamine amide ligation 357, 358
 ketone bodies 102
 kinase 65, 87, 88, 102, 330, 331, 519, 541
 kinetically controlled enzymatic synthesis 292–294
 kinetically controlled ligation 348–350
 kininase II 124
 kinins 123, 124
 kyotorphin 143

l

- α -lactalbumin 140
 β -lactam antibiotics 148
 lactoferrin 139
 lactogenic hormone 116
 β -lactoglobulin 139, 140
 lactorphins 139, 140
 ladder sequencing 32, 33
 lanreotide 104, 508, 512
 lantibiotics 74, 153, 366
 Lantus 287, 510
 large-scale peptide synthesis 278, 324, 484, 502–507
 LDV sequence 82
 lead compound 126, 176, 177, 414, 457, 458, 460
 leptin 108, 115
 Leu-enkephalin 132, 134
 leukocyte interferon 82, 83, 85
 leuprolide 113, 507, 508
 Levinthal paradox 48
 Leydig cell insulin-like peptide 104
 LF-transferase 297
 LH-RH 110, 113, 325, 338, 488, 491, 508
 liberins 90, 110
 library 177, 430, 458, 459, 472–477

- ligand-receptor interaction 415
 ligase C-N 291, 296, 332, 353
 ligation 343–359
 – expressed protein 351–353, 358
 – extended native chemical ligation 346–348
 – hydrazone-forming 356
 – kinetically controlled ligation 348–350
 – native chemical 344–345, 350–353, 394, 395, 400
 ligation strategies
 – desulfurization 348
 linker 222, 252–263
 – orthogonal 472, 473
 lipase 221, 223, 494
 lipidation 79, 88–90, 400, 401, 497
 Lipinski rules 411
 lipomodulin 494
 lipopeptides 147, 151, 220, 365, 398–401, 508, 513
 – antimicrobial 398
 – Diels–Alder ligation 400
 – Pam₃Cys 399
 – S-farnesylated 400
 – S-palmitoylated 400
 – synthetic adjuvants 399
 – vaccine 399
 lipophilic segment coupling 333, 334
 lipoproteins 399
 lipotropic hormone 116
 lipotropin 116
 β-lipotropin 132, 133, 134, 135, 278, 328
 Liprolog/Humalog 510
 liquid phase sequencer 29
 liquid-phase peptide synthesis 245, 251, 278–280, 466
 lisinopril 430
 liver cell growth factor 71
 locustatachykinin I 106, 107
 locustatachykinin II 106, 107
 long-acting natriuretic peptide 127
 loop mimetics 426
 losartan 430
 luliberin 110
 luteinizing hormone 113, 116, 325, 447
 luteinizing hormone-releasing hormone 113, 447
 luteotropin 116
 lutropin 116
 lymphokines 498
 lyppressin 508
 lysine, ε-amino protection 205
 lysine side chain N-acetylation 92
 lysine specific histone methyltransferases (KMT) 520
 lysozyme 277, 349, 443
 lysyl hydroxylase 80
- m**
- mabthera/rituxan 499
 machine learning 415
 macrocyclization 6, 245, 373, 377, 379, 380
 macrophage colony stimulating factor 494, 514
 macrophage inhibitory factor 494
 magainins 44, 74, 154, 155
 mahogany 118
 maillard reaction 19, 93
 major histocompatibility complex 69, 70, 436
 MALDI-ToF MS 274
 margatoxin 158
 mass spectrometry 16, 20, 31–32, 35, 249, 341, 342, 350, 501, 530–532, 540
 mast cell degranulating peptide 160
 mastoparan 159, 160, 490
 Mbs 203, 204, 213
 melanin-concentrating hormone 131
 melanocortin 117, 118
 melanocortin system 118
 melanocyte-stimulating hormone (MSH) 89, 116–118, 130–134, 418, 425, 491
 – α-MSH 89, 116–118, 130–134, 381, 418
 – β-MSH 116–118
 – γ-MSH 117, 118, 133, 134
 melanoliberin 117
 melanostatin 110, 117
 melanotans 118
 melanotropin 64, 89, 110, 113, 116–118
 α-melanotropin *see* melanocyte-stimulating hormone
 α-MSH *see* melanocyte-stimulating hormone
 β-melanotropin 64
 – β-MSH *see* melanocyte-stimulating hormone
 – γ-MSH *see* melanocyte-stimulating hormone
 mellitin 43, 159
 membrane 16, 18, 44, 51, 52, 67, 68, 70, 71, 81, 102, 152, 153, 155, 289, 336, 400, 411
 Merrifield synthesis 181, 252, 253
 Merrifield tactics 265
 messenger RNA 75, 282
 metabolic stability 366
 metal affinity chromatography 18
 metal chelation 44
 metalloprotease 430, 541, 542
 metalloproteins 440
 – miniaturized 440
 metathesis, ring-closing 379
 Met-enkephalin 132, 134, 135, 137, 498
 methionine

- oxidation 24, 36, 93, 217,
- sulfoxide formation 24, 34, 36, 217
- thioether group protection 190, 216, 217
- methyl ester 89, 198, 257, 320, 330, 434
- α -methylphenacyl ester resin 333
- MHC proteins 69, 70, 436
- microcalorimetry 49
- microglobulins 70
- microheterogeneity 81
- microscopic reversibility 291
- microwave-enhanced peptide synthesis 280
- midkine 326
- minicollagen-1 385
- mismatch sequence 269–271
- milk protein-derived opioid peptides 139
- model peptide 51, 177, 178, 250, 295, 299, 440, 490
- molecular chaperones 7
- molecular modeling 3, 176, 366, 415, 429
- monitoring on-resin 273–274
- monoclonal antibodies 177, 299, 467, 483–485, 493, 498, 499
- morphiceptin 139
- morphine 131–134, 137, 139, 430, 512
- morphine modulating neuropeptides 430
- motilin 108, 109, 130, 131, 175
- motilin-related peptide (MAP) 108
- MS peptide sequencing 16
- MSI-78 155
- Mtr 204
- Mts 204
- MudPIT 531, 533
- mucins 85
- Mukaiyama's reagent 243
- multidimensional protein identification technology 531
- multidimensional separations 14
- multipin synthesis 461, 462, 463
- multiple antigen peptides 444, 445, 446
- multiple peptide synthesis 175, 177, 459–465

- n**
- nafarelin 113
- nalorphine 134
- naloxone 134, 140
- naltrexone 134
- nanoscale polymer particles 447
- nanospray 31
- native chemical ligation 344–346, 350–355, 394, 395, 400
- Natrecor[®] 128, 508, 512
- natriuretic peptides 127
- NBB resin 261, 333
- neighboring group participation 264, 388
- α -neoendorphin 132, 134–136
- β -neoendorphins 132, 134–136
- neokytorphin 143
- nerve growth factor 487, 494
- nesiritide 128, 508, 512
- neurohormones 67, 130
- neurohypophyseal hormones 110, 118–120
- neurokinins 105, 106, 143
- neuromedin B 142
- neuromedin C 143
- neuromedin N 143, 145
- neuromedin U 143
- neuromedins 142, 143, 145
- neuronal network 415
- neuropeptide 97, 101, 103, 106, 107, 123, 128–130, 136–138, 142–146
- neuropeptide F 145
- neuropeptide FF 130, 145
- neuropeptide γ 106
- neuropeptide K 106
- neuropeptide receptor 137, 145
- neuropeptide 26Rfa 145
- neuropeptide S 146
- neuropeptide W 146
- neuropeptide Y 106, 107, 123, 137, 146, 333, 491
- neuropeptide Y family 106–108, 146
- neurophysins 119
- neuroregulins 109
- neurotensin 90, 130, 131, 143, 145, 370
- neurotensin-like peptide 143, 145
- neurotransmitter 1, 65, 67, 88, 96–98, 100, 105, 106, 112, 126, 130, 132, 144, 516
- neutralization, *in-situ* 272
- ninhydrin 25, 273
- ninhydrin reaction 25
- ninhydrin test 273
- nisin 74, 153, 154
- nitrile formation 218, 220
- 4-nitrophenyl ester 236
- 3-nitrotyrosine 34, 93
- NMDA receptor 158
- NMR spectroscopy 20, 49, 52–54
- gel-phase 274
- solid-state 52
- nociceptin 130, 135, 138, 139
- nociceptin/orphanin 130, 138, 139
- nocistatin 138, 139
- nomenclature 7, 8, 11, 12, 38, 344
- nonmammalian tachykinins 106
- non peptide drug 3, 412, 415, 433, 473
- non-native chemoselective ligation 349
- nonribosomal peptide synthesis (NRPS) 94–96

- NOP receptor 138
 Npys 205, 210
 Nsc 192, 193
 S1-nuclease 282
 nuclear overhauser effect 53
 nucleoproteins 30
 nucleotidylation 79
 Nvoc 183, 465, 466
- o**
 obestatin 109
 octadecaneuropeptide (ODN) 144
 octreotide 105, 425, 508, 512
 oligocarbamate 431, 432, 437
 oligopyrrolinone 431, 438,
 oligosulfonamide 437
 – vinylogous 431
 oligosulfone 431
 oligourea 431
 omphalotin A 365, 377, 378
 ophthalmic acid 64
 opioid peptides 131–136
 – endogenous 131, 132, 135
 – milk protein-derived 139
 opioid receptor 131–135, 138–142, 430
 optical rotation index 49
 optical rotatory dispersion 49
 orexins 130, 135, 136
 ORL1 receptor 138
 ornithine, ω -amino group protection 204–205
 orphanin FQ 138
 orthoclone (OKT3) 494, 498, 499
 orthogonal ligation 350
 orthogonality 182, 223, 224, 262, 267,
 268–269, 322, 338, 380
 – hidden 262
 ostabinolin-C 120, 511
 osteoclast 120
 ovalbumin 88
 overlapping fragments 34
 5(4H)-oxazolone
 – 2-alkoxy 228, 233, 234, 248, 249
 – formation 247, 248
 oxidative degradation 276
 oxime-forming ligation 356
 oxyntomodulin 99
 oxytocin 64, 116, 118–120, 123, 130, 176, 177,
 209, 320, 325, 418, 424, 488, 505, 511
 – antagonist 505
 – receptor 511
- p**
 PACAP-38 99, 101
 palmitoylation 400
- panbo-RPCH 140, 141
 pancreatic islet hormones 109
 pancreatic polypeptide 106, 107, 108
 pancreozymin 98
 PAOB 223
 PAPS 91
 paracrine hormone 66
 parallel synthesis 460–467
 parathormone 120
 parathyrin 120, 278
 parathyroid hormone 120, 121, 284, 511
 parathyroid hormone-related peptide 121
 parvulins 7
 Pbf 204
 PEG-protein conjugates 488
 PEGylation techniques 486–488
 pelvetin 90
 penetratin 490
 penicillin G acylase 221
 penicillin N 147, 148
 pentafluorophenyl ester 235, 246, 258, 343
 Pep5 153
 pep洛mycin 146
 pepstatin 428
 peptaibol 149, 398
 peptaibols 149
 peptibodies 487
 peptiCLECs 294
 peptide
 – aminox 435, 436, 437
 – analysis 14
 – antibiotics 74, 96, 146–156, 282, 398,
 508
 – bioavailability 3, 176, 368, 412, 420, 485,
 488, 492, 515
 – carbo 432
 – cystine bridge 381–382
 – defense 152, 154, 156
 – heterodetic 11, 146
 – heterodetic cyclic 104, 118, 424
 – heteromeric 10, 74, 146
 – homodetic 11
 – homogeneity 20
 – homomeric 10, 11, 146
 – hydrazino 431
 – hydrolysis 21, 23, 24, 188, 198, 274, 291,
 293, 294, 390, 427
 – mass map 31
 – pharmacophoric groups 3, 176, 368, 413,
 414, 429
 – proteolytic cleavage 79, 98, 99, 104, 124,
 293, 295, 497
 – therapeutic 2, 285, 287, 483–489, 493–497,
 498

- thioester 346
- toxin 96, 156–162
- vinylogous 422, 431, 438
- β -peptide 4, 431, 432, 435, 436, 437
- γ -peptide 8, 431, 432, 437, 486, 518, 537
- peptide aldehyde 276, 356
- peptide amide 87, 100, 107, 108, 122, 123, 138, 143, 145, 160, 260, 332
- peptide analysis 14
 - amino acid composition 24, 460, 491
 - C-terminal end group 21–24
 - N-terminal end group 21–24, 34
- peptide antibiotic 74, 96, 146–156, 282
 - nonribosomally synthesized 74, 147–152
 - ribosomally synthesized 74, 152–156
- peptide aptamers 522
- peptide bond
 - *cis* 7, 41, 371, 376, 419
 - double, bond character 6, 36
 - formation 75, 78, 94, 96, 178–181, 188, 224–246, 281, 291, 292, 296–299, 321, 328, 351, 376
 - antibody-catalyzed formation 297–300
 - sensitivity 16
 - *trans* 419
- peptide cleavage 34, 275–277, 428
- peptide dendrimer 444–446, 498
- peptide dendrimer synthesis 444–446
- peptide-derived active pharmaceutical ingredients 502
- peptide drug 484, 488, 492, 502–515
 - ADME 414
- peptide drug delivery systems 488–492
- peptide ester 260, 276, 374, 375
- peptide folding 417
- peptide histidine isoleucine 98, 100
- peptide histidine isoleucine amide 99, 100
- peptide hormone 64–66, 80, 91, 96, 97, 101, 108, 110, 115, 117, 119–121, 124, 127, 128, 133, 140, 176, 413, 417, 429, 485, 501, 510
- peptide hydrazide 225, 260, 276, 356
- peptide leucine arginine 45
- peptide library 470, 474, 477
- peptide ligase 291, 444
- peptide mass fingerprint 532
- peptide modification 412, 418, 423, 429
- peptide nanotubes 440, 441
- peptide nucleic acids 431, 434–435
- peptide pharmaceuticals 325, 502–522
- peptide polymer 447
- peptide production plant 484, 502, 505, 507
- peptide synthesis
 - concepts 317–359
 - large-scale 278, 324, 484, 502–507
 - LF-transferase 297, 298
 - microwave-enhanced 280
 - non-ribosomal 74, 297
 - polymer reagent 279
 - protease-catalyzed 291–295, 297, 358
 - ribosomal 75–79, 295
 - segment condensation 181, 277, 296
 - stepwise 323, 337
 - strategy 3
 - tactics 317–324
- peptide synthesizer 267, 268, 274, 275, 462, 506, 507
- peptide synthetases 94
- peptide thioester synthesis 346
- peptide toxin 156–162
- peptide YY 106–108
- peptide-based vaccines 497–498
- peptidome 530
- peptidomimetic 411–413, 418–439
 - alkene 422
 - amide analog 421
 - aminooxy acid 422
 - carbapeptide 424
 - hydrazino acid 422
 - hydroxyethylene 422, 428
 - ketomethylene isostere 421
 - phosphonamide 299, 421
 - reduced amide 421
 - retro- 421
 - retro-inverso 422, 423
 - sulfonamide 421
 - sulfonamide 421
 - thioester 421
- peptidyl- α -hydroxyglycine α -amidating lyase 87
- peptidyl prolyl *cis/trans* isomerases 7
- peptidyl transferase 78, 281, 291, 295
- peptidyl transferase center (PTC) 78, 281
- peptidylglycine α -amidating
 - monooxygenase 86, 113
- peptoids 432–434
- peptoid libraries 433
- peptoid synthesis 432
- β -peptoids 433
- Pfp 434
- pGlu 90, 112, 140
- phage 477, 483, 488, 491, 499, 500, 521
- phage coat protein 477, 478
- phage display 477, 478, 488, 491, 499, 500, 511, 521
- phallotoxins 73, 160, 161, 162

- pharmacophoric groups 3, 176, 368,
 412–414, 416, 429
 pharmacokinetics 414, 486, 495, 510
 – ADME 368, 414
 phase change synthesis 335
 phenyl ester, halogen-substituted 236
 3'-phenyl-2-thiohydantoin 28
 phenylalanine, constrained derivatives 420
 phenyl isothiocyanate 28, 29
 3'-phenylproline 420
 phenylthiocarbamoyl 28, 32
 N-phenylthiomethyl 272
 3'-phosphoadenosine-5'-phosphosulfate
 (PAPS) 92
 phosphonium reagent 239, 241, 373
 phosphopeptides 395–398
 phosphorylation 35, 79, 87–88, 91–93,
 346, 395, 396, 398, 514, 519
 phosphoserine 26, 395, 398
 phosphothreonine 395, 398
 phosphotyrosine 88, 395, 396, 398
 phosphotyrosine binding domain 88
 phosphotyrosine mimetics 398
 photoaffinity labelling 291, 516, 541
 photolabile linker 261, 472
 phyllomedusin 107
 physalaemin 90, 106, 107
 picolyl ester method 342
 pituitary hormones 115–118
 pitressin 508
 pituitary adenylate cyclase activating
 polypeptide (PACAP) 99, 101, 115
 placentin 104
 plant defensins 75
 plasma kinins 123, 124
 plasma thromboplastin antecedent 72
 plasmid 283, 285, 289
 plasmin 72
 plasminogen 386, 493, 495
 plasminogen activators 493
 platelet-derived growth factor 494, 515
 pleiotrophin 326
 Pmc 206, 324, 334
 polarized light 49
 poly(dimethylacrylamide) 255, 393
 polyarginine 447
 polyethylene 255, 256, 278, 461–465,
 474
 polylysine 446, 447
 polymerase I 282
 polymeric support 251
 – solid 181, 198, 251–262, 373
 – soluble 198, 278, 285, 466–467
 polymyxins 74, 146, 151
 polyproteins 80, 113, 128, 129, 134
 – precursor 80, 128, 134
 polystyrene 15, 253–257, 259–262, 272, 278,
 337, 339, 342, 393, 461, 465
 POMC 80, 113, 117, 132, 133, 134
 porin 67, 68
 positional scanning 474–476, 537
 post-source decay 31
 post-translational modification 21, 34–36, 64,
 79–94, 153
 – carboxylation 81
 – glycosylation 81–86
 – hydroxylation 80
 – lipidation 88–90
 – phosphorylation 87–88
 – prenylation 89
 – sulfatation 91–92
 pox virus growth factors 109
 PP-fold family 107
 pramlintide 509, 510
 prekallikrein 72
 prenylation 88, 89
 Preos 120, 509, 511
 preprodynorphin 136
 preprotein 79
 prepro-protein 80
 pre-sequence 80, 273, 286
 preview analysis 270
 preview synthesis 277
 primary structure 10, 11, 20, 21, 26, 35, 36,
 53, 84, 97, 99, 105, 107, 108, 112, 117,
 124–127, 133, 135–140, 142, 146, 151,
 157–159, 175, 336, 413
 primer 282
 prior capture-mediated ligation 353–355
 proaccelerin 72
 procollagen 80
 proconvertin 71, 72
 proctolin 144
 prodynorphin 132, 134, 136
 proenkephalin 132–134
 proglucagon 99, 100
 prohormone converting enzyme 129
 proinsulin 80, 102, 287
 prolactin 110, 112, 116, 123, 146
 prolactin release-inhibiting hormone or
 factor 110
 prolactin-releasing hormone or factor 110
 prolactin-releasing peptide 146
 prolactoliberin 110
 prolactostatin 110
 prolyl hydroxylase 80
 proopiomelanocortin (POMC) 80, 117,
 134

- proprotein 80
 - protease-catalyzed peptide synthesis
 - 291–295, 297
 - proteasome 93, 537
 - protecting group 179–224, 317–324
 - alkyl type 192–193
 - backbone amide 199, 272, 273
 - C^α carboxy 193–199, 223, 318
 - enzyme-labile 220–221, 296, 400
 - intermediary 180
 - orthogonality 182, 223, 268, 322
 - photolabile 261, 465
 - real 195
 - scheme 211, 214, 224, 268, 321–324
 - semipermanent 180–182, 190, 201, 224, 266
 - tactical 181, 321, 323, 324
 - temporary 181, 182, 204, 212, 220, 224, 251, 252, 257, 265, 269, 272, 320, 322, 387, 391, 402
 - protecting schemes 182, 202, 204, 211, 214, 224, 268, 321–324, 396, 495
 - protection
 - guanidino group 202–204
 - hydroxy group 214–216
 - imidazole group 211–214
 - indole group 217–218
 - lysine ε-amino group 204, 205
 - ornithine δ-amino group 203, 204
 - side-chain 201
 - methionine thioether group 216, 217
 - ω-amide group 218–220
 - ω-carboxy group 205–208
 - protein
 - analysis 532–535
 - arrays 501
 - ¹⁵N-labeled 416
 - membrane-bound 18, 69, 89, 400
 - protein C 495, 513
 - protein S 90, 495
 - therapeutic 485, 487–489, 493, 497
 - protein adsorption 501
 - protein carbonyl 34, 35
 - protein detecting array 501
 - protein domain 85, 518
 - SH2 domain 88
 - SH3 domain 470, 518
 - protein epitope mimetics 369
 - protein folding 2, 48, 55, 81, 82, 178, 325, 413, 417, 439, 442, 443
 - protein function array 501
 - protein inactivation 19
 - protein kinases 87, 99, 102, 121, 330
 - protein-ligand interaction 412, 416, 429
 - protein microarrays 500, 501
 - protein modeling 516
 - protein pharmaceuticals 489, 492–501
 - protein phosphatases 7, 87, 395
 - protein phosphoglycosylation 85
 - protein phosphorylation 87, 88, 395
 - protein–protein interaction 2, 85, 88, 91, 94, 177, 367, 379, 412, 515, 519
 - protein splicing 18, 352, 353, 529
 - protein structure database 54
 - protein-tyrosine kinases 87
 - protein tyrosine phosphatases (PTPase) 88, 538, 539
 - protein tyrosine sulfation 91
 - proteolysis, limited 79, 80, 112, 291
 - proteome 500, 529–540
 - proteome analysis 16, 31, 32, 500, 529–531
 - database search 532, 534
 - differential approach 500, 531
 - proteomics 2, 31, 79, 175, 414, 500, 501, 516, 529–533, 535–537, 543
 - prothrombin 72, 81
 - prothymosin 335, 340, 341
 - protropin 286, 496
 - pseudobiopolymers 431–438
 - pseudoproline 200, 272, 351, 376, 419
 - PTH/PTHrP receptor (PTHR1) 120, 121
 - purification 13–20, 33, 64, 228, 229, 251, 256, 270, 277, 323, 326, 333, 337–339, 341–346, 374, 414, 445, 460, 462, 487, 502, 506, 536, 540
 - purification techniques 17–18, 338
 - PyBOP 218, 239, 269, 280, 396, 433
 - pyroglutamic acid 90, 98, 112
 - pyroglutamyl formation 79, 90–91
 - pyroglutamyl peptidase II 112
 - pyroglutamyl peptides 90, 218
 - pyrrolysine 5, 76
- q**
- quaternary structure 12, 436
 - quantitative proteomics
 - gel-based 533, 540, 541
 - gel-free 533
 - ICAT 533, 543
 - ICPL 543
 - isobaric tag for relative and absolute quantification 543
 - isotope-coded affinity tags 543
 - isotope-coded protein labelling 543
 - iTRAQ 543
 - metabolic stable-isotope labelling 533
 - SILAC 533
 - 8-quinolyl ester 236, 237

r

racemization 19, 180, 181, 188, 192, 194, 198, 209–211, 213, 214, 216, 225, 226, 228, 233–242, 246–251, 256–258, 261–263, 269, 271, 272, 280, 281, 294, 300, 318–321, 324, 325, 346, 372, 373, 378, 445
radiolabelled tumor-specific peptides 491
Ramachandran plot 36, 37
ranakinin 107
ranamargarin 107
ranatachyanin A 107
random coil 43, 50, 51, 255, 272
random sampling 416
random screening 429
ras proteins 89
reagent mixture method 468
receptor 1, 3, 7, 15, 44, 65, 66, 68, 70, 74, 81, 82, 87, 88, 90, 91, 93, 98, 99, 102–109, 111–115, 118–126, 128, 130–146, 152, 156–159, 176, 366–369, 380–399, 400, 402, 411–420, 424, 425, 428–430, 443, 470, 472, 473, 477, 486–491, 498–500, 507, 509, 510, 511–517, 519, 520
receptor activity modifying protein 123
receptor down-regulation 65, 419, 509
receptor mapping 416
receptor tyrosine kinases 65, 102
recombinant DNA techniques 281, 285–286, 442
recombinant growth hormone 496
recombinant protein 16, 18, 30, 283–285, 351, 352, 394, 400, 485, 492, 493, 495, 497
recombinant tissue plasminogen activator 495
red pigment-concentrating hormone 140
regioselectively addressable functionalized templates 442, 443
relaxin 102–104, 382
relaxin-like factor (RLF) 104
relaxin-like peptide family 102, 103
release factors 78, 79
release inhibiting hormones 110, 114, 115
releasing hormones 110, 112, 129
remicade 499
renin 124
reporter group 536, 537, 540–542
resin 251–265
– 2-chlorotriptyl 332, 335, 341, 346, 402, 506, 537
– Barlos 260, 261, 336
– BHA 260
– chloromethyl 257
– HMBA 260

– HMPB 258
– HYCRAM 261
– MBHA 260, 265, 329
– Merrifield 255, 257, 258
– oxime 280, 332, 374, 400
– PAM 258–260, 266
– Rink amide 260, 261, 432
– super acid-sensitive 258
– thioester 346, 374
– Wang 257, 258, 354, 376
resin loading 255, 256, 271, 273, 373, 445
restriction endonuclease 282–285
retaplate 495
reverse phase microarrays 501
reverse thioester ligation 350, 351
reverse transcriptase 282
reversed-phase HPLC 14, 15, 18, 29, 34, 333, 338, 341
RGD 82, 246, 367, 368, 413, 470, 513, 514
Rh^I catalysis 392
ribonuclease A 295, 325, 326, 329–331
ribonuclease S 231, 327, 328
ribosomal peptide synthesis 75–79, 94–96, 295
ribosomes 73, 75, 77–79, 288, 291, 297
ribozyme 281, 291, 295
ristocetin A 146
RNA
– mRNA 5, 75–78, 102, 109, 282–283, 288, 289, 530
– suppressor tRNA 290
– tRNA 5, 75–79, 288, 297
rough endoplasmic reticulum 80
ruhemann's violet 25

s

safety-catch linker 262–265, 395
– alkanesulfonamide 262
– arenesulfonamide 262
– DSA 263
– SCAL 264
Sakakibara approach 326, 327
salt coupling 194
salt-induced peptide formation 75
SAR by NMR 54, 416
sarafotoxins 125–126
saralasin[®] 125
sarenin 125
sauvagine 114
scalar coupling 53
scatchard plot 65
scavenger 188, 191, 197, 204, 215–217, 219, 266, 275, 337, 402, 460
Schlack-Kumpf method 30, 33

- scissile bond 291, 296
- scorpio peptide toxins 158
- scyliorhinus I and II 107
- SDS-polyacrylamide gelelectrophoresis (SDS-PAGE) 16, 530, 531
- sea anemone toxins 159
- second messenger 65, 120
- secondary structure 11, 36–37, 42–53, 272–273, 412, 413, 418, 419, 423, 425–426, 442, 444
- mimetics 425–426
- secretin 66, 97–101, 108, 136, 177, 320, 509, 511
- segment condensation 149, 181, 226, 233, 237, 240, 277, 295, 296, 320–322, 325–330, 332–334, 336, 339–341
- segment coupling, solid support-mediated 332–333
- E-selectin 82–83
- selenocysteine 5, 76, 383, 386
- separation methods 12–16, 530–532
- sequence analysis 20–21, 24–26, 28–35, 54, 281
- serine hydrolose 536, 537
- serine protease 70–72, 297, 536
- serine protecting group 273
- serum albumin 488, 495
- Shaker peptide 158
- β -sheet 39–40, 42–46, 48, 50, 51, 53, 75, 155–157, 176, 272, 277, 367, 423, 438, 439, 442
- Sheppard tactics 267, 323
- sialyl-Lewis X 82, 83, 390
- side-chain modification 346, 418–421
- signal deconvolution 51
- signal hypothesis 79
- signal peptidase 80, 102, 129, 286
- signal peptide 79, 80, 98, 129
- signal sequence-based peptides 102, 103
- silica 255, 256
- silk fibroin 39, 71
- silyl derivatives 473
- SiMb 200, 272
- simulated annealing 416
- simulect 499
- site-directed mutagenesis 415–416
- site-specific drug delivery 489
- sleeper peptide 158
- solid-liquid-phase peptide synthesis 279
- solid-phase chemical ligation 350
- solid-phase peptide synthesis 3, 4, 175, 181, 190, 204, 251–253, 266–268, 270, 280, 339–341, 393, 399, 445, 460, 504
- batchwise synthesis 274
- continuous flow mode 274
- convergent 339–341
- linear 277, 278, 319, 332, 339–341
- stepwise 181, 338, 339, 445
- solid phase sequencer 29
- solid-to-solid conversion 294
- soluble handle approach 280, 341
- solution phase/solid phase hybrid approach 332–334
- lipophilic 333–334
- solution phase synthesis 199, 252, 322, 323, 325, 326, 327, 337, 339, 341, 402, 460, 507
- somatotropin 100, 110, 114
- somatomedins 116
- somatostatin 104–105, 110, 115, 142, 285, 286, 338, 368, 382, 420, 424, 425, 436, 488, 491, 512
- somatostatin family 104–105
- somatotropin 110, 114–116, 285, 518
- release inhibiting peptide 110, 112, 114, 115, 117
- releasing peptides 130, 146, 417, 483
- sortase-mediated ligation 359
- spatial screening 367–369, 425
- spatially addressable parallel synthesis 465–466
- spider peptide toxins 159
- spinning-cup sequencer 29
- split and combine method 468–471
- split intein 378–379
- split intein-mediated circular ligation 378–379
- spot synthesis 461, 464
- SPS/SPPS-hybrid approach 332–336, 506
- Src homology 2 (SH2) domain 88
- Src homology 3 (SH3) domain 470, 518
- stability problems 19–20
- statine 428
- statins 110
- statistical method 415
- Staudinger ligation 357, 379
- stereochemical product analysis 249–251
- streptogramin 147
- streptokinase 495
- structural diversity 95, 148, 457, 458
- structure prediction 48
- structure-based molecular design 460
- Stuart factor 71, 72
- subproteome 535, 538, 541, 542
- substance P 105–106, 130
- substrate mimetic approach 295–297, 330, 331, 358
- subtiligase 295, 329, 330
- subtilin 153

- subtilisin 26, 294, 295, 329, 390, 391
 succinimide formation 276
 sugar-assisted ligation 347
 sulfation 91–92
 sulfated peptide 220, 402
 sumoylation 93, 94
 superoxide dismutase 495
 supersecondary structure 46
 surface complementary matching 416
 surface plasmon resonance 49, 501, 540, 541
 surfactin 151, 365
 switch peptide 273
 Symlin 509, 510
 syndecan-3 118
 synthetic adjuvants 399
 synthesis strategy 343, 505
 synthesis tactics 201
- t**
- T20, *see* enfuvirtide
 tachykinins 105–107, 123, 129, 131, 417
 tachykinin family 105–106
 tachykinin receptors 106
 tachypleins 156
 tagging 471, 472, 473, 531, 533, 534, 539, 542
 – cICAT 534
 – cleavable isotope-coded affinity tagging 534
 tandem mass spectrometry 31, 531–532
 target validation 517–518, 522
 targeted diversity approach 460
 tat fragment 490
 TBTU 210, 240, 241, 269, 320, 373
 teabag synthesis 461–462
 teduglutide 510
 teicoplanin 398
 telavancin 513
 template-associated synthetic proteins (TASP) 441–443
 template-mediated ligation 353–355
 teprotide 430
 teriparatide 509, 511
 terlipressin 509
 tertiary fold 46, 47, 439
 tertiary structure 11, 13, 36, 40, 44–48, 178, 439, 442
 therapeutic peptide engineering 483–486
 thermolysin 33, 294
 thiocarboxy segment condensation 328
 thioester-forming ligation 355
 thiol capture ligation 354
 thiol protecting group 208, 210, 211, 383
 thiol protection 208–211
 thionin 75
 thilopeptin 147
 thiophenyl ester 235
 thiostrepton 147, 148
 thiotemplate mechanism 94, 365
 three-dimensional structure 11, 36–49
 threonine hydroxy group protection 214–216
 thrombin 26, 71, 72, 81, 422, 470, 485
 thrombin receptor activator peptide 71
 thrombogen 72
 thromboplasmin 72
 thymalfasin 509, 513
 thymopentin 509
 thymopoietin 367
 thymosins 278, 509, 513
 thyroxine 112
 thyro-liberase 112, 115
 thyroliberin 90, 110, 112, 115, 509
 thyrotropin 104, 110, 112, 113, 116, 117, 512
 thyrotropin-releasing hormone 110
 tifluadom 430
 tirofiban 513
 tissue factor 71, 72, 511
 tissue factor pathway inhibitor (TFPI) 511
 tissue plasminogen activator 386, 493, 495
 Tmb 208, 210, 220, 346
 TNBS test 274
 4-toluolsulfonyl group 192
 torsion angle 6, 36–39, 42, 44, 53, 415, 419–421
 toxic peptides 73, 156, 161, 491
 toxin 157–159, 336, 372
 toxin II 158
 toxin V 158
 toxin Sh-I 159
 transcreener HTS assay platform 518, 519
 transcription 76, 88, 92, 282, 283, 434, 520, 529
 transcriptome 529
 transfection 282, 283, 285
 transfer RNA 5, 75–79, 94, 290, 297
 transferred NOE 54
 transferrin 489
 transforming growth factor α 109, 495
 transforming growth factor β 495
 transition state 236, 238, 298, 299, 427
 transition-state analogue 298, 299
 transition state inhibitor 427, 428
 translation 76, 79, 175, 288, 289
 translation system 288, 289
 transportan 490
 trapoxin A 372
 trapoxin B 372
 triflavin 34

- trifluoroacetyl 192, 205, 247
 2,2,2-trifluoroethanol 50, 272, 326
 trigger factor 65
 triiodothyronine 112
 2,4,6-trimethylbenzenesulfonyl 203
 triphenylphosphine 205
 trityl (Trt) 202, 208, 210, 213, 220, 238, 261, 320, 323, 332, 346, 400
 N-tritylhistidine 237
 Trojan horse peptides, *see* cell-penetrating peptides
 tropomyosin 142
 TROSY 52
 trypsin 26, 31, 34, 35, 129, 294, 295, 338, 348, 370, 532, 534
 tryptophan protecting group 187, 188, 204, 210, 217–218
 tumor-associated antigens 521
 tumor necrosis factor- α 495, 499
 tumor necrosis factor- β 494
 turn 37, 40–42
 – α -turn 41
 – β -turn 41, 42, 53, 157, 367, 377, 419, 421, 423, 425, 426, 439
 – γ -turn 41, 42, 367, 426
 – π -turn 41
 turn mimetics 425, 426, 430
 two-dimensional polyacrylamide gel electrophoresis 530–531
 tyrocidine A 365
 tyrocidins 96, 146, 365, 374
 tyrosine hydroxy group protection 214–216
 tyrosyl protein sulfotransferase 91, 92, 98
- u**
 ubiquitin 80, 94
 ubiquitylation 94
 ultrafiltration 14, 16, 278, 289, 530
 ultrahigh-throughput screening 521
 UNCA 231
 uperin 107
- uperolein 107
 urethane-type protecting group 182, 221, 223, 248
 urocortin/urotensin 1 114
 urokinase 495
 uromodulin 495
 uronium reagent 210, 240–243
 urotensin 114
- v**
 V8 protease 26, 358
 valinomycin 149
 vancomycin 146, 153, 509, 513
 vapreotide 104, 512
 vasoactive intestinal contractor 126
 vasoactive intestinal peptide (VIP) 99, 100
 vasopressin 64, 116, 118–120, 123, 125, 130, 131, 158, 418, 424, 488, 571
 vasotocin 280
 vector 282–283, 351, 492
 vessel dilator 128
 viomycin 146
- w**
 wobble hypothesis 77
 W(X)₆wamides 142
- x**
 xanthenyl resin 332, 335
 X-ray crystallography 6, 49, 51, 54–55, 414, 438
 – cyclotron beam 54
- z**
 Zadaxin 509, 513
 zein 341
 γ -zein 341
 zenapax 499
 ziconotide 509, 512
 zinc finger 44
 zymogen 72, 80