Contents

Preface xix
Message from the American Peptide Society President xxi
20th American Peptide Society Symposium xxiii
   Committees
   Sponsors xxvii
   Exhibitors xxix
American Peptide Symposia xxxi
   “Experiment”, pictorial retrospective from the first peptide bond to the 20th American Peptide Society Meeting xxxiii
   Portraits of the Pioneers of the American Peptide Society xlix
   Peptides of Life Teaching Peptide Science and Art in Elementary School lxxix
   The Merrifield Award lxix
   Meandering through 50 Years of Peptide Folds and Twists lxxxv
Makineni Lecturer lxxxix
   Stronger and (now) Longer Synthetic Collagen xc
   The Vincent du Vigneaud Award* xcix
   Peptide Society Travel Grants ci
   Bert L. Schram Young Investigator Mini Symposium Generously supported by the ESCOM Science Foundation ciii
   Young Investigator Poster Competition/Peptide Idol Generously Supported by C.S. Bio and Amgen ciii

Library Generation Analysis and Combinatorial Chemistry: dedicated to Bruce Merrifield 1

Bruce Merrifield

Dynamic Combinatorial Assembly of Peptide-Rhenium Coordinates: Application to the Selection of hCyp-18 inhibitors from a Library of 12 × 16 Components 3
Dynamic Combinatorial Assembly of Peptide-Rhenium Coordinates: Coordination Chemistry for Generating New Analogos of hCyp-18 Ligands 5
Oxorhenium-Mediated Cyclization and Self-Assembly of Peptides: A Combinatorial Approach for the Selection of New Ligands of the Human Cyclophilin hCyp-18 7
Thieno[3,2-e]diazepinediones and 3-Thienylimidazolidinediones Syntheses: Regio-Controlled Ring Opening of Thiaisatoic Anhydride by α-Amino Acids. 9
Solid-Phase Synthesis of Phosphinic Dipeptide Isosteres and β Amino Acids via Activated N-Terminal Acrylamides 11
Parallel Solid-Phase Synthesis of Macrocyclic Peptidomimetics Using Ru-Catalyzed Ring-Closing Metathesis and a New Application of Cross Metathesis 13
High Throughput Solid Phase Parallel Synthesis of Macrocyclic Peptidomimetics 15
Development of an Efficient Solid-Phase Synthetic Methodology to Construct a Combinatorial Library of a Potent HDAC Inhibitor 17
A Novel Hydrogel Functionalized with Specific Peptidomimetic Ligands for 2-D and 3-D Cell Culture 19
Application of the “Libraries from Libraries” Concept to “One-bead One-compound” Combinatorial Chemistry 21
An Appreciation of the Scientific Life and Achievements of Bruce Merrifield 23
Folding, Recognition, and Catalysis: dedicated to Elkan Blout

The “Bip Method” for Spectroscopic Assignment of the Absolute Configuration of the Spin-Labelled, Cyclic \( \beta^{2,3} \)-Amino Acids \( \beta \)-TOAC and POAC

Enzyme Mechanism and Function of a Novel Plant PDI Involved in the Oxidative Folding of Cystine Knot Defense Peptides

Biosynthesis of Siderophore-Peptides, A Class of Potent Antimicrobial Peptides from Enterobacteria, Requires Two Precursors

Post-Translational Modification and folding of A Lasso-Type Gene-Encoded Antimicrobial Peptide Require Two Enzymes only in Escherichia coli

Synthesis and 3D-Structure of Conformationally Controlled Nucleo-Peptides

Mixing Urea and Amide Bonds: Synthesis and Self-Organization of New Hybrid Oligomers

Optimizing the Fold Stability of Miniprotein Sequences

Antimicrobial Peptides Chelating Lanthanide Ions: the Case of Trichogin GA IV Analogues and Terbium(III)

Spectroscopic Characterization of the Fully-Extended, Planar, Peptide 2.05-Helix Based on Chiral, C\( ^{\alpha} \)-Ethylated, \( \alpha \)-Amino Acids

Monitoring Peptide Folding by Time-Resolved Spectroscopies: the Effect of a Single Gly to Aib Substitution

First Homo-Peptides Undergoing a Reversible 3\( _{10} \)-Helix to \( \alpha \)-Helix Transition

Molecular Modeling Approach to Achieving Erythropoietin Mimetic Peptides

Conformation Analysis of Parathyroid Hormone Residues Critical for Bioactivity

Light-Switchable Folding/Unfolding of the Collagen Triple Helix with Azobenzene-Containing Model Peptides

Structural Studies of Parathyroid Hormone Analogue with C-Terminal Aldehyde Function

Synthesis and Conformational Studies of Novel, Side-Chain Protected, L-(\( \alpha \)-Me) Ser Homo-Peptides

Introduction of N-alkyl Residues in Proline-rich Peptides: Effect on SH3 Binding Affinity and Peptide Conformation

Thermodynamic Stability And Native-State Dynamics Of Porcine Pancreatic Phospholipase A\( _{2} \) Studied By \( ^{1} \)H NMR Spectroscopic Measurements

The amyloidogenic domains of the human serum amyloid A protein -New Insights-

Quantitating Amino Acid \( \beta \)-Strand Preferences, Turn Propensities and Cross-Strand Interactions in a Designed Hairpin Peptide

Determinants of fold stabilizing aromatic-aromatic interactions in short peptides

Spectroscopic analysis of synthetic PrP helix2-binding peptide constructs

Unique Role of Clusters of Electrostatic Attractions in Controlling the Stability of Two-stranded \( \alpha \)-Helical Coiled-Coils
Contents

The Energetics of Weakly Polar Interactions in Model Tripeptides 79
Peptidomimetic Ligands for the Tandem SH2 Domain of the Syk Protein Involved in Signal Transduction 81
Subtilisin Kexin Isozyme-1 (SKI-1): Production, purification, inhibitor design and biochemical applications 83
Effects of Antifreeze Protein Fragments on the Properties of Model Membranes 85
Fluorogenic Cyclooctapeptides and Metal Ion Sensing 87
The Impact of Aromatic Residues on the Tertiary Fold of Avian Pancreatic Polypeptide 89

Peptide, Protein, and Peptidomimetic Synthesis honoring Ralph Hirschmann 91

Organic Letters

An Efficient Protocol for On-Resin, Vicinal Disulfide Formation: Applications to Thioredoxin Reductase 93
Potent and selective peptide agonists for human melanocortin receptor 5 95
Synthesis of a library of \( \psi \)-stylostatins with potential antibacterial activity 97
Mimicking natural globular structures with rigid scaffolds based on adamantane 99
Discovery and Optimization of a TRAIL R2 Agonist for Cancer Therapy 101
Novel reactive site loop derived mini-serpin inhibitors of recombinant Proprotein Convertase 4 105
Enzymatic ligation of peptides, peptide nucleic acids and proteins by means of sortase A 107
\( N \)-Methylated Cyclic Pentapeptides as Template Structures 109
Cyclic Dimers Of C-terminal \( \gamma_2 \)-MSH Analogs As Selective Antagonists Of The Human Sensory Nerve-Specific Receptor (SNSR-4) 111
Structure-Function Relationship Study of Parathyroid Hormone (1-11) Analogue Converting D-AA 113
Selective enzymatic hydrolysis of C-terminal tert-butyl esters of peptides 115
Peptide Ligation via the In-Situ Transformation of an Amide into a Thioester at a Cysteine Residue 117
Facile Synthesis of Glucagon-Like Peptide-1 (GLP-1) Mimetics 119
Synthetic Peptide Vaccines: The Quest to Develop Peptide Vaccines for Influenza, HIV and Alzheimer’s Disease 121
Rational Design of Peptidomimetics for Class B GPCRs: Potent Non-Peptide GLP-1 Receptor Agonists 125
The depsipeptide technique for the solid phase peptide synthesis: from stepwise assembly to segment condensation 127
<table>
<thead>
<tr>
<th>Title</th>
<th>Page</th>
</tr>
</thead>
<tbody>
<tr>
<td>A Novel Method for the Preparation of Peptide Thioester and its Application to Glycoprotein Synthesis</td>
<td>129</td>
</tr>
<tr>
<td>Synthesis of 4-arylmethyl-L-histidine analogues for structure-activity studies of position 10 of CGRP</td>
<td>131</td>
</tr>
<tr>
<td>Solid-phase synthesis of dipeptidic and pseudo-dipeptidic potential NOS inhibitors through a side-chain anchoring approach</td>
<td>133</td>
</tr>
<tr>
<td>Further Development of New Deprotection Chemistry for Cysteine and Selenocysteine Side Chain Protecting Groups</td>
<td>135</td>
</tr>
<tr>
<td>A New Synthesis of (+)-Negamycin and Its Derivatives as a Potential Therapeutic Agent for Duchenne Muscular Dystrophy Treatment</td>
<td>137</td>
</tr>
<tr>
<td>Design and Synthesis of Backbone Cyclic Phosphopeptides: The IκB Model</td>
<td>139</td>
</tr>
<tr>
<td>Synthesis of modified and hybrid protein derived biopolymers</td>
<td>141</td>
</tr>
<tr>
<td>SPPS of the Natural Product Chitinase Inhibitor Argifin: Library Generation and Biological Evaluation</td>
<td>143</td>
</tr>
<tr>
<td>Development of Chemokine Receptor CXCR4 Antagonists Using Bio-mimetic Strategy</td>
<td>145</td>
</tr>
<tr>
<td>Chemical Synthesis of the N-Terminal Cysteine-Rich Domain of Human OX40</td>
<td>147</td>
</tr>
<tr>
<td>Stereoslective Synthesis of Peptidomimetics Based on Acid-catalyzed Ring-opening of β-Aziridinyl-α,β-enoates</td>
<td>149</td>
</tr>
<tr>
<td>Development of a small molecule peptidomimetic affinity Ligand for efficient purification of the large protein Factor VIII</td>
<td>151</td>
</tr>
<tr>
<td>Expression and Characterization of Recombinant S2 Subunit of SARS-coronavirus S Fusion Protein</td>
<td>153</td>
</tr>
<tr>
<td>Synthesis of Biotinyl-TN14003, Anti-HIV Peptide Amide</td>
<td>155</td>
</tr>
<tr>
<td>Making double-minded peptides strong-willed? The side chain impact on the backbone conformation of N-methylated cyclic pentapeptides</td>
<td>157</td>
</tr>
<tr>
<td>Deprotection of Nα-Formyl Group on Tryptophan by Application of New Reagent, 1,2-dimethylethylendiamine in Aqueous Solution</td>
<td>159</td>
</tr>
<tr>
<td>Racemization-free segment condensation based on the O-acyl isopeptide method: Toward a chemical protein synthesis on solid support</td>
<td>161</td>
</tr>
<tr>
<td>Optimized Coupling Protocols for the Incorporation of Cys Derivatives during Fmoc-SPPS</td>
<td>163</td>
</tr>
<tr>
<td>Further studies on peptide synthesis at high temperature</td>
<td>165</td>
</tr>
<tr>
<td>Preparation of C-terminal modified peptides through alcohololysis and thiolysis mediated by metal ions</td>
<td>167</td>
</tr>
<tr>
<td>Synthesis and Biological Activity of Amino Acid Esters of Acyclovir</td>
<td>169</td>
</tr>
<tr>
<td>Poly-(Pro(\text{CH(OAc)CH}_2)Aib), a Protected Trimer of Pro-Aib Hydroxyethylene Isosteres</td>
<td>171</td>
</tr>
</tbody>
</table>
## Contents

<table>
<thead>
<tr>
<th>Title</th>
<th>Page</th>
</tr>
</thead>
<tbody>
<tr>
<td>Fast Conventional Synthesis of Human β-Amyloid (1-42) on the Symphony® and Prelude™</td>
<td>173</td>
</tr>
<tr>
<td>Side chain-to-Side chain Cyclization by Intramolecular Click Reaction - Building Blocks, Solid Phase Synthesis and Conformational Characterization</td>
<td>175</td>
</tr>
<tr>
<td>An Efficient Approach to Synthesize Multiple Peptides with Carboxyl C-termini</td>
<td>177</td>
</tr>
<tr>
<td>Synthesis and Biological Activity of Novel α-Conotoxin Analogues Incorporating Substituted Proline Derivatives</td>
<td>179</td>
</tr>
<tr>
<td>Application of Triethylsilane and Palladium-Charcoal-Induced Reductions in the Synthesis of Fmoc-Glutamic Acid Analogues</td>
<td>181</td>
</tr>
<tr>
<td>Synthesis of pyrrolo[3,2-e][1,4]diazepin-2-ones as potential γ-turn mimetics</td>
<td>183</td>
</tr>
<tr>
<td>Improvements in the Chemical Synthesis of Insulin-Like Peptides</td>
<td>185</td>
</tr>
<tr>
<td>Design And Parallel Synthesis Of New Bicyclic Small Molecules For Targeting The Melanocortin Receptors</td>
<td>187</td>
</tr>
<tr>
<td>Fully Automated Fast Fmoc Synthesis and On-Resin Disulfide Bridge Formation with Pseudoproline Dipeptides on the Prelude™</td>
<td>189</td>
</tr>
<tr>
<td>Efficient Synthesis of Protected L-Phosphonophenylalanine (Ppa) Derivatives Suitable for Solid Phase Synthesis</td>
<td>191</td>
</tr>
<tr>
<td>ALLESSAN CAP - A Highly Versatile And Affordable Coupling Agent</td>
<td>193</td>
</tr>
<tr>
<td>Novel Analogues of Bifunctional Ligands for Opioid and Melanocortin 4 Receptor</td>
<td>195</td>
</tr>
<tr>
<td>Fmoc as a Probe in Peptide Purification</td>
<td>197</td>
</tr>
<tr>
<td>1,3,5-Triazepan-2,6-diones as Conformationally Constrained Dipeptide Mimetics. In Silico Guided Identification of sPLA2 Inhibitors</td>
<td>201</td>
</tr>
<tr>
<td>Proline-based diketopiperazine-scaffolds</td>
<td>203</td>
</tr>
<tr>
<td>Concept and Synthetic Approach for a Kilogram Scale Synthesis of Octa-D-Arginine Amide Nonahydrochloride Salt</td>
<td>205</td>
</tr>
<tr>
<td>Stereoselective Synthesis of (3S)- and (3R)-3-Hydroxy-3-(2,6-Dimethyl-4-Hydroxyphenyl) Propanoic Acid and its Incorporation into a Cyclic Enkephalin Analogue</td>
<td>207</td>
</tr>
<tr>
<td>Highly Selective Cyclic Hexapeptides Antagonist of GPIIb-IIIa by Multiple N-Methylation</td>
<td>209</td>
</tr>
<tr>
<td>Synthesis of N-Boc Protected Hydrazine Diacids as Key Structural Units for the Formation of α-Helix Mimics</td>
<td>211</td>
</tr>
<tr>
<td>Solid-Phase Synthesis of 1,3,5-Trisubstituted 1,4-Diazepin-2-one Peptide Mimic</td>
<td>213</td>
</tr>
<tr>
<td>General Solid-Phase Phosphopeptide Proteomis with Affinity Pull-Down</td>
<td>215</td>
</tr>
<tr>
<td>Synthesis and Peptide Coupling of Protected Pyrrolylalanine</td>
<td>217</td>
</tr>
<tr>
<td>Synthesis of aryl sulfamate and phenol small peptide derivatives using a multidetachable sulfamate linker strategy</td>
<td>219</td>
</tr>
<tr>
<td>Phe-Aib Hydroxyethylene Dipeptide Isostere Synthesis</td>
<td>221</td>
</tr>
<tr>
<td>Title</td>
<td>Page</td>
</tr>
<tr>
<td>----------------------------------------------------------------------</td>
<td>------</td>
</tr>
<tr>
<td>Poly (vinyl alcohol)-graft-Poly (ethylene glycol) Supported Hydroxyproline: Synthesis and Application in the Enantioselective Aldol Condensation</td>
<td>223</td>
</tr>
<tr>
<td>Microwave-Assisted Synthesis of Rhodamine Fluorescent Tags</td>
<td>225</td>
</tr>
<tr>
<td>A novel family of diketopiperazines as a tool for the study of transport across the blood-brain barrier (BBB) and their potential use as BBB-shuttles.</td>
<td>227</td>
</tr>
<tr>
<td>New Perspective in Peptide Chemistry by N-Alkylation</td>
<td>229</td>
</tr>
<tr>
<td><strong>Peptide Materials Science</strong></td>
<td>233</td>
</tr>
<tr>
<td>Interactions of peptides with single-walled carbon nanotubes</td>
<td>235</td>
</tr>
<tr>
<td>Stimuli-responsive Peptide Self-assembly into Well-organized Nanofibers</td>
<td>237</td>
</tr>
<tr>
<td>pH Controlled Aggregation Morphology of Aβ(16-22): Formation of Peptide Nanotubes, Helical Tapes and Amyloid Fibrils</td>
<td>239</td>
</tr>
<tr>
<td>Immobilization of Antimicrobial Peptides on Reverse Osmosis Polyamide Membranes: Potential Biofilm Inhibitors?</td>
<td>241</td>
</tr>
<tr>
<td>Synthesis of Polylactides with Side Chain Functionality: Ring-Opening Polymerimerization of a Homobislactone Prepared from Lysine</td>
<td>243</td>
</tr>
<tr>
<td>New Degradable Cationic Peptides for Modulated Gene Delivery</td>
<td>245</td>
</tr>
<tr>
<td>The Depm protecting group is a useful alternative to the Hmb residue</td>
<td>247</td>
</tr>
<tr>
<td>Development of a RGDS-peptide modified polyurethane for tissue regeneration</td>
<td>249</td>
</tr>
<tr>
<td>Modulating Collagen Triple-Helix Stability with 4-Chloro, 4-Fluoro, and 4-Methylprolines</td>
<td>251</td>
</tr>
<tr>
<td>Peptide-Chitosan Matrix: a New Multifunctional Biomaterial</td>
<td>253</td>
</tr>
<tr>
<td><strong>Peptides for Youth, Unmet Medical Needs of Tomorrow</strong></td>
<td>257</td>
</tr>
<tr>
<td>Effect of phosphorylation on tau aggregation using model peptides and Circular Dichroism studies</td>
<td>259</td>
</tr>
<tr>
<td>Peptides for prolonging youth</td>
<td>263</td>
</tr>
<tr>
<td>The Synthesis of DAMGO-Based Potential Affinity Labels with High Mu Opioid Receptor Affinity and the Formation of Cyclic O-Alkyl Thiocarbamates</td>
<td>265</td>
</tr>
<tr>
<td>Amino acid Derivatives of Aporphinic Alkaloid Glauacine and their antioxidant activity</td>
<td>267</td>
</tr>
<tr>
<td>Synthesis of Cyclic Tetrapeptide CJ 15,208: A Novel Kappa Opioid Receptor Antagonist</td>
<td>269</td>
</tr>
<tr>
<td>Exploring the relationship between turn geometry and allosteric antagonism of peptide mimic ligands for the prostaglandin F2α receptor</td>
<td>271</td>
</tr>
<tr>
<td>Optimization of the bioconversion of the Angiotensin I Converting Enzyme inhibitors IPP and VPP</td>
<td>275</td>
</tr>
<tr>
<td>Tailor made Pharmacokinetics of Peptides by Transient PEGylation</td>
<td>277</td>
</tr>
<tr>
<td>Design and Synthesis of Cyclic Arodyn Analogues by Ring-Closing Metathesis (RCM) for Kappa Opioid Receptor (KOP) Antagonists</td>
<td>279</td>
</tr>
</tbody>
</table>
Contents

Switch-Peptides as Folding Precursors in Self-Assembling Peptides and Amyloid Fibrillogenesis 281

Peptides and Membrane Proteins: A Session Dedicated to Miklos Bodansky 283
Abbott Laboratories, IPSEN and Synthetech

BioShuttle mediated Plasmid Transfer – a Way to new genetic Intervention 285
Incorporation of a Novel, Fluorescent and Helicogenic α-Amino Acid Into Peptaibols: Trichogin Ga IV 287
Seeking for α-Helical Propensity in a Receptor-Bound Conformation of Glucagon-Like Peptide-1 289
Conformational Analysis and Folding of Transmembrane and Matrix Peptide Segments of the Mitochondrial Uncoupling Proteins: A Comparative Study 291
Dynamics study on single and multiple β-sheets 293
Homotrimeric Collagen Peptides As Model Systems For Cell Adhesion Studies 295
Cyclic Peptide Analogs of Laminin Active Sequences Enhance the Biological Activity 297
Hydrophobic Peptide Segments in Soluble Proteins Competent For Membrane Insertion: Role in Amyloidogenesis 299
Construction and Characterization of Melanocortin-2 and -4 Receptor Chimeras 301
Expression of Double Transmembrane Domain GPCR Fragments for Biophysical Analysis 303
Peptide and Non-Peptide Mimetics Utilize Different Pathways for Signal Transduction 305
Structural Studies on Large Fragments of G Protein Coupled Receptors 309
Isolation of the Influenza A HA2 C-terminal segment by combination of nonionic detergents 311
Molecular Imaging and Orientational Changes of Antimicrobial Peptides in Membranes 313
Glycated-CD59 antigen: exploration of synthetic approaches 317
A combination of ligand SAR and receptor site-directed mutagenesis reveals requirements for antagonist activity at the melanocortin-3 receptor 319
Conformational Effects of Cyclic Hexapeptides for Ion Channel Properties 321
Synthesis and Biological Activities of Cyclic Peptide, Hymenamide Analogs 323
Monitoring Glucagon and Glucagon Antagonist-Mediated Internalization: A Useful Approach to Study Glucagon Receptor Pharmacology 325
Semi-Synthetic Strategies to Obtain Glucosylated MOG to Identify Antibodies as Biomarkers in Multiple Sclerosis Disease 327
Photoprobe Peptides to Map the Interactions of Angiotensin II with its Receptor AT1 329
Synthesis and Biological Activity of Transmembrane Peptides Derived from FPR Family Receptors
Incorporation of the Unnatural Amino Acid $p$-benzoyl-$L$-phenylalanine (Bpa) into a G Protein-coupled Receptor in its Native Context
Ion Channel Formation of Dimeric Peptide Enhanced by Electrostatic Inter-Helical Interactions
Temperature-induced Ligand Contact Point Variations of the hAT$_1$ Receptor and of the Constitutively Active Mutant N111G-hAT$_1$
Structural changes in the binding pocket of the liganded angiotensin II receptor AT1 during activation.

**Peptides and Immunity**
Vaccine delivery utilizing liposaccharides
Vaccine Delivery: Synthesis and Investigation of a Highly Pure, Multi-Epitopic Lipopeptide Vaccine Candidate
Synthesis of Mannosylated Glycopeptides as Components for Synthetic Vaccines
Bradykinin Analogues Acylated On Their N-terminus – Some Recent Development
Rationally-designed Multivalent Architectures for Mimicking Homotrimers of CD40L, a Member of the TNF Superfamily
A Strategy for Selectively Shielding Portions of a Peptide/Protein from Immune Response while Maintaining Immunogenicity of Contiguous Epitopes
Synthesis of Peptide-Based Vaccines
A Peptide Vaccine Based on Retro-Inverso $\beta$-Amyloid Sequences Fails to Elicit a Cross-reactive Immune response
Synthesis and Application of (Z)-Alkene- and (E)-Fluoroalkene-Dipeptide Isosteres as cis-Amide Equivalents
Gadd45$\beta$ dimerization does not affect MKK7 binding
A Glycopeptide-based Technique for Selective Antibody Purification
C-Terminal Amidation On Aryl Hydrazine Resin

**Peptides and Infectious Disease**
Synergy Between a Lead Proline-rich Antibacterial Peptide Derivative and Small Molecule Antibiotics
Natural mutants of HIV CTL epitopes with enhanced immunogenicity as potential vaccine candidates
Lipodepsipeptide antibiotic fusaricidin and its analogues. Total solid-phase and biological activity.
Progress toward Total Solid-Phase Synthesis of Depsipeptide Antibiotic Katanosin B
Determination of the minimal fusion peptide of HIV, SIV and BLV fusion glycoproteins
## Contents

<table>
<thead>
<tr>
<th>Title</th>
<th>Page</th>
</tr>
</thead>
<tbody>
<tr>
<td>Development of a Novel Fusion Inhibitor against T-20-resistant HIV-1</td>
<td>389</td>
</tr>
<tr>
<td>ε-Peptide Chimeras as Novel Antimicrobials</td>
<td>393</td>
</tr>
<tr>
<td>Chemical modifications of short antimicrobial peptides from insects and vertebrates to fight multi-drug resistant bacteria</td>
<td>395</td>
</tr>
<tr>
<td><strong>Proteomics and Peptidomics</strong></td>
<td>397</td>
</tr>
<tr>
<td>Isolation of Peptides From Rat Tissues: Peptidomics vs. Degradomics</td>
<td>399</td>
</tr>
<tr>
<td><strong>Peptides as Diagnostics, Probes, and Biomarkers</strong></td>
<td>401</td>
</tr>
<tr>
<td>Multivalent peptidomimetics for tumor targeting</td>
<td>403</td>
</tr>
<tr>
<td>Comparison of $^{[18}\text{F}]\text{FBA}$ and $^{[18}\text{F}]\text{FPyMe}$ as peptide radio-labeling agents of PEPHC1 for PET imaging of EGFRvIII</td>
<td>405</td>
</tr>
<tr>
<td>Carbohydrated $^{[99m}\text{Tc}]\text{(CO)}_3\text{(N^αHis)}\text{Ac-Bombesin(7-14)}$ analogs</td>
<td>409</td>
</tr>
<tr>
<td>A Synthetic Peptide Approach for Elucidating the Points of Natural Auto-Antibody Reactivity to Proteolytic Fragments of Human IgG</td>
<td>411</td>
</tr>
<tr>
<td>Heterobivalent Ligands Crosslink Multiple Cell-Surface Receptors – A Step Towards Personal Medicine</td>
<td>413</td>
</tr>
<tr>
<td>Development of Polyvalent Peptide-Conjugated Magnetic Nanoparticles for Targeted In-Vivo Imaging of Micro-Thrombi</td>
<td>415</td>
</tr>
<tr>
<td>Design, Selection and Binding Mechanism of Bivalent Miniproteins Targeting Human Thrombin</td>
<td>417</td>
</tr>
<tr>
<td>Quenched Fluorescent Peptide Substrates as Tools for the Discovery of Novel Cardiovascular Disease Biomarkers</td>
<td>419</td>
</tr>
<tr>
<td>Solid Phase Synthesis and Analysis of Amadori Peptides</td>
<td>423</td>
</tr>
<tr>
<td>Design of Hetero-Bivalent Polypeptides as Kinetics-Based Sensors for High-Sensitivity Detection of Weak Molecular Interactions</td>
<td>425</td>
</tr>
<tr>
<td>Monitoring of native chemical ligation by surface plasmon resonance</td>
<td>427</td>
</tr>
<tr>
<td>Studies for Identification of the Minimal Epitope(s) mimicked by the Synthetic Glucoprobe CSF114(Glc)</td>
<td>431</td>
</tr>
<tr>
<td>Synthesis of Bivalent MSH Ligands and Evaluation of their Binding to hMC4R using MSH Lanthaligand</td>
<td>433</td>
</tr>
<tr>
<td>Synthesis Of Organometallic Glycopeptides And Electrochemical Studies To Detect Autoantibodies In Multiple Sclerosis Patients’ Sera.</td>
<td>435</td>
</tr>
<tr>
<td>Tumor Imaging With Tetrabranched Neurotensin</td>
<td>437</td>
</tr>
<tr>
<td>A new and selective radiolabeled αvβ3 peptide antagonist as tracer in tumor diagnosis</td>
<td>439</td>
</tr>
<tr>
<td>Ribose Building Block For The Synthesis Of Glycopeptides For Fishing Out Antibodies In Autoimmune Diseases</td>
<td>441</td>
</tr>
<tr>
<td>Design, Synthesis and Pharmacological Characterization of Fluorescein-Derived Endothelin Antagonists Bq-123 And Bq-788</td>
<td>443</td>
</tr>
</tbody>
</table>
### Contents

**Peptide Antagonists of the PED-hPLD1 Binding**  
*In vivo* Imaging Using Tissue Specific Near Infrared fluorescent Peptide Conjugate, c[RG DyK(HiLyte Fluor\textsuperscript{TM} 750)]  
445

**Photoinduced Intramolecular Covalent Bond Formation in Structurally Rigid**  
-Bpa-(spacer)-Met Hexapeptides  
449

**Evaluation of chemically and enzymatically prepared cross-linked BNP fragments**  
451

**Monitoring of MMPs activity *in vivo*, non-invasively, using solubility switchable MRI contrast agent**  
453

**99m-Tc-labeled Ac-DEVD Peptides as a Substrate for Measuring Caspase Activity**  
455

**Peptides Leads to the Drugs of Tomorrow**  
*Senn Chemicals*

PepLook: An innovative in silico tool for determination of structure, polymorphism and stability of peptides  
459

**The Effects of the EW - Peptide Optical and Chemical Isomers on the Hemopoietic Stem Cells in Intact and Irradiated Mice**  
461

**N-(Fluorenyl-9-methoxycarbonyl)amino Acid Amide Derivatives as a New Class of Anti-cancer Agents**  
465

**Opportunities and Challenges of Developing Peptide Drugs in the Pharmaceutical Industry**  
467

**Inhibition of pathogenically-related morphologic transition in *Candida albicans* by disrupting Cdc42 binding to its effectors**  
471

**Synthesis and Pharmacological Evaluation of Highly Potent [Dmt\textsuperscript{1}]DALDA Analogs**  
473

**Protease-resistant glucagon like peptide-1 analogs with long-term anti-diabetes type 2 activity**  
475

**The discovery and development of a natural combinatorial peptide template: the cyclotides**  
477

**Cyclic peptides as VEGF receptor antagonist**  
479

**Facile Synthesis of Hydrocarbon-Stapled Peptides**  
481

**Isolation of angiotensin I converting enzyme inhibitory peptides from a fish by-products hydrolysate Tensideal\textsuperscript{R}**  
483

**Novel Melanocortin-4 Receptor Agonists That Decrease Food Intake and Body Weight**  
485

**GHS-1a Agonists That Effectively Stimulate Food Intake and Body Weight Gain**  
487

**Conformation-activity relationships of cyclo-constrained \(\mu/\delta\) opioid agonists derived from the N-terminal tetrapeptide segment of dermorphin/deltorphin**  
489

**Deltorphin analogs restricted via a urea bridge: structure and opioid activity**  
491

**Synthesis and Characterization of Dimeric Venom Peptides**  
493

**Glycosyl-Enkephalins: Synthesis and Binding at the Mu, Delta & Kappa Opioid Receptors. Antinociception in Mice**  
495
Contents

Agonists and Partial Antagonists Acting on the Leptin – Leptin Receptor Interface ........................................ 497
Effect of 4-Fluoro-L-proline on the SH3 Binding Affinity .................................................................................. 499
Analogues of arginine vasopressin modified in the N-terminal part of the molecule with pipecolic acid isomers .................................................................................................................. 501
Analogues of arginine vasopressin modified at position 2 with proline derivatives: selective antagonists of oxytocin in vitro .................................................................................................................. 503
Multimeric peptides as agonists of the erythropoietin receptor ...................................................................... 505
Synthesis and in vitro Pharmacological Profile of Potent and Selective Peptidic V1a Receptor Agonists. .......... 507
Discovery of a Ligand that Compensates for Decreased Endogenous Agonist Potency of Melanocortin-4 Receptor Polymorphisms Identified in Obese Humans ..................................................... 509
High-affinity FGFR2 Binding Peptides Derived from the Native Epitope of the KGF Ligand ......................... 511
Application of Intramolecular Migration Reaction in Peptide Chemistry to Chemical Biology, Chemical Pharmaceutics and Medicinal Chemistry ................................................................................. 513
Synthesis & Biological Evaluation of PYY(3-36) Analogs Substituted with Alanine ........................................ 515
Development of μ/δ Opioid Ligands: Enkephalin Analogues Containing 4-Anilidopiperidine Moiety ............. 517
Factors that Affect Dissociation Degree of Strong Aggregated Peptide Chains when Bound to a Polymer or Free in Solution .............................................................................................................. 519
Development of AEZS-115 (ZEN-019) by Optimization of Structurally Unique, Orally Active, Peptidomimetic GnRH Antagonists ........................................................................................................ 521
Synthesis and solid-phase application of suitably protected γ-hydroxyvaline building blocks ....................... 523
Synthesis and Structure-based Dissection of Cyclic Peptide Chitinase Inhibitors: New Leads for Antifungal and Anti-Inflammatory Drugs ................................................................................. 525
Synthesis of Biotin-Tagged Diketopiperazine-Based Anti-Microtubule Agents and Tubulin Photoaffinity Labeling .......................................................................................................................... 527
Study on Interactions of Oligo(tyrosine sulfate)s with Synthetic Heparin-binding Peptides by Affinity Chromatography and MALDI-TOF-MS ................................................................. 529
Fallaxin analogues with improved antibacterial activity .................................................................................... 531
Alkene/Alkane-Bridged Mimics of the Lantibiotic Nisin: Toward Novel Peptide-Based Antibiotics .............. 533
Peptide-Lisinopril Conjugates: Design, Synthesis and Biological Activities .................................................... 535
Novel Bifunctional Peptides as Opioid Agonists and NK-1 Antagonists .......................................................... 537
Anti-HIV dendrimeric peptides ....................................................................................................................... 539
Ago-Antagonists for G Protein-Coupled Peptide Hormone Receptor by Modifying the Agonist’s Signalling Domain .......................................................................................................................... 541

Antibiotics .......................................................................................................................................................... 531
Model of Intermolecular Interactions between High Affinity Phosphopeptides and Stat3

Inhibition of Stat3 by Cell-Permeable Peptidomimetic Prodrugs Targeted to its SH2 Domain

Synthesis and Biological Activity of Conformational Restricted Galanin Fragments

Interaction of membrane mimetic vesicles with the antimicrobial peptide gomesin and its analogues

Limited Structure-Activity Relationships for Carboxy and AminoTerminal Substitutions of Peptide YY 25-36

Cysteine Based PNA (CPNA): Design and Synthesis of Novel CPNA Monomers

Synthesis and Biological Activity of Nicotianamine and Analogues

The active site specificity of angiotensin II converting enzyme 2 investigated through single and multiple residue changes and β-amino acid substrate analogs.

Effects of net charge and the number of positively charged residues on the biological activity of amphipathic α-helical cationic antimicrobial peptides

Action of Bauhinia-derivated compounds on Callosobruchus maculatus development

Positively charged gramicidin A based peptides form two types of membrane channels

Effects of Tumor-Associated Mutations in the p53 Tetramerization Domain on Oligomerization State and Transcriptional Activity

Self-association regions in the CARD of Bcl-10

GHRP-2 Attenuates Burn-Induced Dysfunctions in Rodents

Peptides binding the type E immunoglobulins

Evolution of the Synthetic Process to Prepare the Tripeptide Segment of ABT-510 motif

Isothermal Titration Calorimetry and Inhibition of Platelets Aggregation by [d-Phe/(Transcinnamoyl)-Pro-d-Arg-P1’-CONH2] Peptides Inhibitors of Thrombin

Trypsin Stability of Lysine-Peptoid Hybrids

Workshop: Production and Formulation of Peptide Drugs

Senn Chemicals

A Comparative Examination of two Fmoc Removal Reagents for Process Improvement to Produce Peptide Drugs

Bicyclic Organo-Peptides as Models for Carbohydrate Binding Proteins

Impurity Rejection in the Crystallization of ABT-510 as a Method to Establish Starting Material Specifications

Spatially Defined Peptide-Polymer Biotherapeutic Synthesis on Novel Cross-linked Beads with ‘Spatially Tunable’ and ‘Isolated’ Functional Sites
Contents xvii

**Workshop: Delivery: Peptide Drug to Physiological Target** 601

*Amylin*

Supramolecular Aggregates derivatized by CCK8 Peptide as Selective Nanocarriers for Drug Delivery 603

The role of Cell Penetrating Peptides (CPPs) in membrane lipid phase behavior: a novel aspect elucidating peptide-mediated delivery 605

Factors that influence oral bioavailability; A cathepsin K inhibitor for human studies 607

Injectable Biodegradable Polymer Depots For Minimally Invasive Delivery Of Peptides and Proteins 611

**Author Index** 615

**Subject Index** 627
Peptides for Youth
The Proceedings of the 20th American Peptide Symposium
Valle, S.; Escher, E.; Lubell, W.D. (Eds.)
2009, CIII, 643 p., Hardcover