

Contents

Preface	v
Chinese Peptide Symposium - 1996	vi
Abbreviations	ix
 Session I: Approach and Methods	
Cyclic peptides from unprotected precursors through ring-chain tautomerism <i>J.P. Tam, L.-S. Zhang, P. Botti and Y.-A. Lu</i>	3
Synthesis of glycopeptides with Lewis antigen or sialyl-Lewis ^x antigen side chains <i>H. Kunz, K. von dem Bruch, G. Kretzschmar and U. Sprengard</i>	8
N-urethane protected carboxyanhydrides (UNCAs) in amino acid and peptide chemistry <i>J.A. Fehrentz, C. Pothion, C. Devin, M. Paris, P. Chevallet, F. Winternitz, A. Loffet and J. Martinez</i>	12
Immobilisation of thermolysin for peptide synthesis with a high activity and stability <i>H. Eckstein, D. Hiittner and X. Zheng</i>	15
Synthesis of polypeptide by a thioester method <i>T. Kawakami, S. Kogure and S. Aimoto</i>	17
Synthesis of amino acids selectively labelled with stable isotopes for application in peptide synthesis <i>U. Ragnarsson, L. Grehn, Y. Elemes and B. Fransson</i>	22
Design and synthesis of fluorinated Phe-Gly dipeptidomimetics <i>W. Berts, R.C. Vollinga, K. Luthman and U. Hacksell</i>	25
New methods for synthesis of bis(cystine) peptide dimers <i>L. Chen and G. Barany</i>	27
	xiii

Contents

Ligation of laminin fragments onto a PEG dendrimer <i>L. Huang, D.-X. Wang and S.-J. Li</i>	29
Design and total synthesis of two peptide analogs of actinomycin D: 5,5'-Val ₂ -AMD and 2,2'-Phe ₂ -AMD <i>R. Wang, J.-M. Ni, X.-F. Pan, X.-W. Yang and X.-Y. Hu</i>	31
2,2-Difluoroboroxazolidin-5-ones: A novel approach to selective side-chain protections of serine and threonine by <i>tert</i> -butyl or benzyl groups <i>J.-D. Wang, Y. Okada and W. Li</i>	33
Signalling approaches to inhibition of cellular proliferation <i>A.M. Doherty, W.L. Cody, D.M. Leonard, M. Creswell, G.L. Bolton, D. McNamara, S.R. Eaton, K.R. Shuler, J. Scholten, J.S. Sebolt-Leopold and R.L. Panek</i>	35
Facile new method for preparation of optically active protected proline <i>J.-I. Yamaguchi and M. Ueki</i>	37
A pragmatic way to cleave acid-resistant resin <i>D.-X. Wang and L. Huang</i>	40
Phosphoramidate based inhibitors of angiotensin-converting enzyme (ACE) <i>H.-R. Chen and J.-C. Xu</i>	42
Thionyl chloride-phenol and phosphorus oxychloride-phenol could be used as efficient deprotection agents in peptide synthesis <i>Z.-W. Miao, Y. Pan, X.-Q. Li, X.-J. Xu and Y.-Q. Tang</i>	45
Solid phase synthesis of sodium ion channel IS3-4 segment simultaneously using Boc and Fmoc chemistry <i>Z.-W. Miao, Y. Jiang, X.-J. Xu and Y.-Q. Tang</i>	48
Influence of pH and water content on enzymatic peptide synthesis in organic solvents <i>G.-W. Xing, G.-L. Tian, Y. Lu and Y.-H. Ye</i>	50

Session II: Molecular Diversity-Peptide Libraries

Application of the one-bead one-compound combinatorial library method in protein tyrosine lunase and cell surface receptor research <i>K.S. Lam, Q. Lou, J. Wu, M. Lefhuich, R.T. McKay, L. Rychetsky, H. Phan, J. Joe, M.-L. Chen, R. Liu-Stevens, Y. Zhao and S.E. Salmon</i>	55
Libraries of synthetic glycopeptides in the characterization of the T cell response to tumor associated mucin antigens <i>M. Meldal, E. Meinjohanns, K. Frische, T. Jensen, P. Hansen, O. Werdelin, L. Galli-Stampino, S. Mouritsen and K. Bock</i>	59
Fluorescent quenched libraries for monitoring chemical and enzymatic disulfide bond formation and cleavage <i>J.C. Spetzler, V. Westphal, J.R. Winther and M. Meldal</i>	63
Simultaneous multiple synthesis by the Multipin™ method: Techniques for multiple handling, high throughput characterization and reaction optimization on solid phase <i>A.M. Bray, N.J. Maeji, L.M. Lagniton, D. Chiefari, I.W. James, K. Ang, N. Ede, R.M. Valerio and T.J. Mason</i>	67
Synthesis and antitumour activities of analogs and segments of <i>Papaver somniaferum</i> pollen tridecapeptide <i>J.-X. Xu and S. Jin</i>	71
Utilization of phage displayed peptides in the purification of genetic engineering products <i>R. Fang, J. Qi, T.-Y. Li, H. Zhou and W. Li</i>	75
A biologically active peptide isolated from the buckwheat pollen <i>Y.-L. Song, X. Wang, S.-W. He, S. Jin, J.-D. Liu and S. Wang</i>	78
Synthesis and structure-activity relationships of tripeptide mimetic analogs of ACE inhibitors <i>S.-F. Liu and J.-C. Xu</i>	81
Structure-activity relationship of VIP-PACAP hybrid peptides <i>M.-X. Wei, S. Naruse, K. Nokihiro, T. Ozaki, E. Ando, D.-Z. Chen, Z.-H. Shun and V. Wray</i>	83

Contents

Design and synthesis of salmon calcitonin analogs <i>B. Yang, Z.-J. Han, K.-L. Liu and Z.-K. Ding</i>	86
Session III Conformation of Peptides and Proteins	
Template assembled synthetic peptides (TASP) as receptor mimetics and 'locked-in' tertiary folds <i>G. Tuchscherer, P. Dumy, P. Garrouste, C. Lehmann, M. Mathieu, C. Peggion, S. Peluso, A. Razaname and M. Mutter</i>	91
Conformational studies of peptides spanning the helical sequence in the molten globule α -actalbumin <i>T. Kobayashi, A. Shimizu, M. Ikeguchi and S. Sugai</i>	94
Folding intermediate of β -lactoglobulin with non-native α -helical conformation <i>Y. Goto, D. Hamada and S. Segawa</i>	96
DNA topoisomerases II: Evolution of their ATP binding site and design of new inhibitors <i>L. Assairi</i>	98
Analysis of peptide composition and structure of cytochrome P-450nor2 <i>D.-L. Liu and H. Shoun</i>	100
Amphipathic helical potency and its relationship to the biological activity of synthetic calcitonin derivatives <i>H.-L. Jiang, B. Yang, B.-Y. Liu, Y.-M. Fan, S.-J. Shen and Z.-K. Ding</i>	102
DNA-binding characteristics of two analogs of actinomycin D: 5,5'-Val ₂ -AMD and 2,2'-Phe ₂ -AMD <i>R. Wang, J.-M. Ni, X.-W. Yung, X.-Y. Hu and X.-F. Pan</i>	104
A modified soft docking method for proteins and peptides <i>J.-M. Wang, X.-J. Xu and F. Jiang</i>	106
Preliminary studies on venom of a marine snail <i>Conus betulinus</i> <i>J.-S. Chen, B.-G. Ru, F.S. Lin, D.-M. Yang, P.-A. Zhou, C.-H. Wu, S.-L. Chang, Y.-C. Zhou, J.-K. Tie and K.-P. Hu</i>	109

Session IV: Structure and Design

Topographical considerations in de novo design of peptides <i>V.J. Hruby, S. Liao, M. Shenderovich, X. Qian, G.G. Bonner, G. Han, E.J. Bilsky and F. Porreca</i>	113
Anticoagulant activity of synthetic peptides targeting various functional steps of blood clotting <i>D.S. Cheng, F.-Y. Liu, X.-Z. Li and G.-L. Wang</i>	118
A rational approach to de novo design of α -helical proteins <i>Z.-W. Miao, X.-Q. Li, C.-X. Li, X.-J. Xu and Y.-Q. Tang</i>	120
Synthesis of a series of amino acid and peptide-porphyrin derivatives <i>X.-H. Chen, X.-L. Hao, G.-L. Tian and Y.-H. Ye</i>	124
De novo design and synthesis of amphiphilic α -helical peptides <i>X.-L. Hao, X.-H. Chen and Y.-H. Ye</i>	126

Session V: Bioactive Peptides

Relaxin: Recent structure and activity studies <i>J.D. Wade, F. Lin, Y.-Y. Tan, G. Talho and G.W. Tregear</i>	131
Novel synthesis of cyclotheonamide B1 <i>J.-G. Deng, Y. Hamada and T. Shioiri</i>	134
Identification of superantigen TSST-1 sequence important for induction of T cell proliferation using synthetic peptides and antibody to CD28 <i>W.-G. Hu and X.-H. Zhu</i>	136
Analogues of the δ opioid antagonist TIPP with increased lipophilic character <i>P.W. Schiller, G. Weltrowska, I. Berezowska, T.M.-D. Nguyen, N.N. Chung, C. Lemieux, K.A. Carpenter and B.C. Wilkes</i>	138
Cetrorelix, a potent LHRH-antagonist: Chemistry, pharmacology and clinical data <i>J. Engel and Th. Reissmann</i>	142

Contents

Characterization and identification of growth hormone receptors in snake-headed fish (<i>Ophiocephalida argus cantor</i>) liver membrane <i>X. Sun, S.-Q. Zhu and C.H.K. Cheng</i>	147
Effect of divalent cations and disulfide reducing agents on the specific binding of growth hormone to snakeheaded fish liver membrane <i>X. Sun, S.-Q. Zhu and C.H.K. Cheng</i>	150
Molecular mechanisms of apoptosis induced by CD3 ϵ peptide <i>D.-X. Zheng, S.-L. Liu, B.-P. Wang and C. Terhorst</i>	153
A common antigenic peptide of several HCV proteins <i>J.-X. Xu</i>	155
Multivalent synthetic peptide vaccine against schistosomiasis: Preparation of multiple antigenic peptide <i>J.-X. Xu</i>	157
Synthesis of antigenic peptides for HEV diagnosis <i>J.-X. Xu, Z.-H. Qin and M.-S. Cai</i>	160
A very strong acidic pentapeptide from <i>Panax ginseng</i> <i>Q. Li., C.-X. Fan, X.-J. Wang, Y.-H. Ye and Q.-Y. Xing</i>	164
Isolation and synthesis of a group of N- γ -glutamyl oligopeptides from <i>Panax ginseng</i> <i>C.-X. Fan, Y.-H. Ye, Z.-K. Chen, Q. Jiang, L. Yang and Q.-Y. Xing</i>	166
Studies on the venom of the marine snail <i>Conus betulinus</i> <i>K.-H. Wei, K.-P. Hu, L. Yu, M.-N. Zhong, J.B. Chen and S.-L. Zhang</i>	169
Synthesis of a radioiodinated photoreactive MAGE-1 peptide derivative and photoaffinity labeling of cell-associated human leukocyte antigen-AI molecules <i>F. Anjuere, A. Loyer, J.-C. Cerottini, C. Servis and I.F. Luescher</i>	171
Development of highly potent human parathyroid hormone analogs <i>J.Z. Dong, M. Chorev, C. Nakamoto, C.-W. Woon, B.A. Morgan, M. Rosenblatt and J.-P. Moreau</i>	173
CCK-B receptor activation in human lymphoblastic Jurkat cells results in AP-1 responsive genes activation. <i>D. Gagne, N. Bernad, C. Oiry, E. Cottin, M.-F. Lignon, J. Azay and J. Martinez</i>	176

Enhancement of MAPK activity in rat brain following AVP(4-8) administration <i>L.-Y. Qiao and Y.-C. Du</i>	178
Involvement of a G-protein coupled receptor (GPCR) in signal transduction induced by arginine-vasopressin(4-8) <i>L.-Y. Qiao and Y.-C. Du</i>	181
Effect of arginine vasopressin AVP(4-8) on CaMKII autophosphorylation and CaM expression in rat brain <i>L.-Y. Qiao, X.-F. Chen, B.-X. Gu and Y.-C. Du</i>	184
Synthesis of phosphopeptides as inhibitors of progesterone production <i>Q.-C. Xu, G.-S. Lu and Y. Sun</i>	187
Synthesis of DL-2-amino-3-(1,2-dihydro-2-thio-quinoliny)propionic acid <i>B.-Z. Qiao, A.-X. Li and S.-Y. Jia</i>	190
The relationship between hypotensive effect and structure of adrenomedullin <i>S.-L. Sheng, R. Wang, Y.-H. Guo, Z.-J. Ji, Z.-W. Zhao and R.-L. Zhang</i>	192
The preliminary study of arrhythmias in rats caused by PAMP <i>S.-L. Sheng, R.-L. Zhang, R. Wang, Z.-W. Zhao, Y.-H. Gou and Z.-J. Ji</i>	194
Synthesis and bioactivity of Hir-CGRP chimeric peptides <i>L.-Y. Wang, H.-P. Pan and Z.-Y. Chen</i>	196
The cardiovascular effects of YIGSR and RGD containing peptides <i>Z.-L. Chen, L.-P. Tu, K. Specht, L. Zhao, M. Zhao, D. Sabitouf; C. Wang and S.-Q. Peng</i>	198
Studies on the hybrid peptides of fibrinogen fragments <i>M. Zhao, S.-Q. Peng and Y.-Y. Wang</i>	200
The combination and function of YIGSR and YIGSK <i>L.-P. Tu, K. Specht, L. Zhao, Z.-L. Chen, C. Wang, D. Sabitouf; M. Zhao and S.-Q. Peng</i>	202
The relaxation effect of the linkers of APLRV and RGD <i>M. Zhao, S.-Q. Peng and D. Sabitouf</i>	204

Contents

Studies on the linkers of steroids and peptides <i>C. Wang, S.-Q. Peng and X.-C. Qiu</i>	206
A novel series of ET _A selective antagonists <i>J.-N. Xiang, S. T. Atkinson, D. Gaitanopoulos, D. Bryan, P. Nambi, E.H. Ohlstein, J. Weinstock and J.D. Elliott</i>	209
Syntheses of insulins: From <i>in vivo</i> to <i>in vitro</i> to <i>in vivo</i> <i>Y.-S. Zhang</i>	213
Design, synthesis and circular dichroism spectroscopy studies on DNA-binding activities of GCN4(226--252) peptide dimer <i>H. Wang, B. Zhou, Y. Luo, Z.-W. Miao, C.-Q. Chen, X.-J. Xu and Y.-Q. Tang</i>	215
Synthesis, resolution of α -amino-P-[4-(1,2-dihydro-2-oxo-quinoliny)] propionic acid and its physiological activity <i>Y.-H. Ye, D.-Y. Zhang, J.-G. Ji, G.-C. Jiang, S.-Y. Liu, W.-Y. Zhang and W.-Y. Chen</i>	218

Session VI: Chemistry-Biology-Interface

Conformational changes of bovine pancreatic polypeptide (BPP) as it interacts with lipids <i>S.-Q. Nie, Y. Li, K.-C. Lin, Y. Zhou, S.-F. Sui and H.-L. Jiang</i>	223
Preparation and evaluation of microspheres with biodegradable PLGA (50/50) containing an LHRH antagonist <i>Q.-M. Xia and R. Bodmeier</i>	226
Ester exchange reaction between N-phosphoserine and thymidine <i>Y. Ma, X.-H. Li, Y. Chen, Y.-P. Feng and Y.-F. Zhao</i>	229
Cleavage of DNA by N-phosphoserine in histidine aqueous medium <i>Y. Ma, X.-H. Li and Y.-F. Zhao</i>	231
RNA cleavage by N-phosphoryl serine in histidine buffer <i>X.-H. Li, Y. Ma, Y. Chen and Y.-F. Zhao</i>	233
A world-wide web server of protein domain assignment <i>J.-C. Luo, S.A. Islam, R.B. Russell and M.J.E. Sternberg</i>	235

Contents

Morphological characterization of peptide vesicle of native protein from Chinese wheat by electron microscopy <i>S.-Y. Wang and H.-L. Fu</i>	237
Expression of mouse metallothionein-I cDNA in <i>E. coli</i> <i>Y. Xiong, Y. -J. Zhou and B. -G. Ru</i>	239
Non-radioactive determination of phosphoamino acids in peptides and proteins by capillary electrophoresis <i>F.-Y. Che, X-X Shao, L.-G. Xu, R. Zeng and Q.-C. Xia</i>	242
Purification of a 28kD protein from maize pollen and studies on its properties <i>J. -H. Wang, Y. -J. Wu and X -R. Wu</i>	245
Synthesis of peptides using a thioredoxin gene fusion expression system: An application to hirudin <i>X-D. Zhu, D. -S. Cheng, X-Z. Li and F. -Y Liu</i>	247
Kinetics of self-assembly into oligopeptides from N-phosphoamino acids <i>W.-H. Zhou and Y.-F. Zhao</i>	249
Inhibition of trypsin by N-phosphoamino acids <i>W. -H. Zhou and Y. -F. Zhao</i>	251
Conjugation of galactosamine and ricin <i>F.- W. Wang, H.-C. Tang, G.-E. Li, D.-H. Dai and S. Zheng</i>	253
Author index	255
Subject index	259