



Day 1 December 3 (Mon), 2018

Main Hall, 2F, ROHM Theatre Kyoto

15:00 - 15:10 **Opening Remarks**

15:10 - 16:00 Plenary Lecture 1

Chair: Shiroh Futaki (Kyoto University)

15:10 - 16:00 PL-01 Redesign of Vancomycin for Resistant Bacteria

Dale L. Boger

The Scripps Research Institute, Department of Chemistry, 10550 N. Torrey Pines Rd., La Jolla CA 92037, USA

16:00 - 18:45 Session 1: Novel Synthetic Methodology

Chairs: Takayuki Doi (Tohoku University)
Gong Chen (Nankai University)

16:00 - 16:28 **O-01 Enabling Technologies for Translating Bioactive Peptides** into Therapeutics

Qing Lin

Department of Chemistry, State University of New York at Buffalo, Amherst, New York 14260, USA

16:28 - 16:44 O-02 Multivalent Ligand Design – Chemistry and Applications

Markus Muttenthaler^{1, 2}

¹Institute of Biological Chemistry, University of Vienna,

²Institute for Molecular Bioscience, The University of Queensland

16:44 - 17:00 O-03 Npys-Based Solid Phase Disulfide-Peptide Synthesis and its Application to Peptide–Drug Conjugates

Akihiro Taguchi, Kyohei Muguruma, Yoshio Hayashi

Department of Medicinal Chemistry, Tokyo University of Pharmacy and Life Sciences

17:00 - 17:28 O-04 C-H Functionalization Strategy for Synthesis of Complex Peptides

Gong Chen

State Key Lab of Elemento-Organic Chemistry, Nankai University, China

17:28 - 17:45 **Break**

17:45 - 18:13 O-05 Chemical Protein Synthesis with the KAHA Ligation

Jeffrey Bode^{1,2}

¹Laboratory of Organic Chemistry, ETH Zürich, Zürich, Switzerland,

²Institute of Transformative bio-Molecules (ITbm), Nagoya University, Nagoya, Japan

18:13 - 18:29 **O-06** The Discovery and Application of Ynamide Coupling Reagents

Junfeng Zhao

College of Chemistry and Chemical Engineering, Jiangxi Normal University





18:29 - 18:45 **O-07 Peptide Self-Cleavage Reaction Using an Aminooxy Group:**Application to a Solubilizing Tag System for Native Chemical Ligation

Shugo Tsuda, Hideki Nishio, Taku Yoshiya

Peptide Institute, Inc.

Day 2 December 4 (Tue), 2018 Main Hall, 2F, ROHM Theatre Kyoto

Chairs: Hironobu Hojo (Osaka University)

9:15 - 12:40

Philip Dawson (The Scripps Research Institute)

9:15 - 9:43 O-08 Automated protein synthesis using SEA chemistry

Session 2: Advances in Protein Synthesis

Oleg Melnyk¹, Vangelis Agouridas¹, Nathalie Ollivier¹, Marine Cargoët¹, Rémi Desmet¹, Annick Blanpain¹, Hervé Drobecq¹, Vincent Diemer¹, Benoit Snella¹, Thomas Toupy², Jean-Christophe M Monbaliu²

¹UMR CNRS 8204, Chemical Biology of Flatworms, Université de Lille, Institut Pasteur de Lille, 1 rue du Pr Calmette, 59021 Lille Cedex, France,

²Center for Integrated Technology and Organic Synthesis, UR Molecular Systems, Department of Chemistry, University of Liège, B-4000 Liège (Sart Tilman), Belgium

9:43 - 10:03 **O-09 Synthesis, 3D Structure Analysis, and Biological Evaluation of Apratoxin A and its Analogues**

Takayuki Doi

Graduate School of Pharmaceutical Sciences, Tohoku University

10:03 - 10:19 **O-10** β-Thiolactone Enabled Peptide Ligation and Preparation

Qiang Zhang

State University of New York, University at Albany

10:19 - 10:47 **O-11 New tools for protein chemical synthesis and modification**

Xuechen Li

Department of Chemistry, State Key Laboratory of Synthetic Chemistry, The University of Hong Kong, Hong Kong SAR, China

10:47 - 11:12 **Break**

11:12 - 11:40 **O-12 Use of Chemical Protein Synthesis to Develop Tool Molecules for Studying Protein Ubiquitination**

Lei Liu

Tsinghua University

11:40 - 12:00 O-13 Dressed-up Artificial Viral Capsids self-assembled from Viral beta-Annulus Peptides

Kazunori Matsuura

Faculty of Engineering, Tottori University

12:00 - 12:16 **O-14** Improved TRAP Display for Selection of Monobodies, Nanobodies, and Macrocyclic Peptides

<u>Hiroshi Murakami</u>, Taishi Kondo, Keigo Ishizaki, Seita Kito, Takahiro Sezaki, Tomoshige Fujino Department of Biomolecular Engineering, Graduate School of Engineering, Nagoya University, Japan



12:16 - 12:28 **O-15** Preparation of Protein Thioesters Enabled by Carboxypeptidase-mediated C-Terminal Specific Hydrazinolysis

<u>Chiaki Komiya</u>, Jun Tsukimoto, Masahiro Ueda, Takuya Morisaki, Tsubasa Inokuma, Akira Shigenaga, Kohji Itoh, Akira Otaka

Institute of Health Biosciences and Graduate School of Pharmaceutical Sciences, Tokushima University

12:28 - 12:40 O-16 Development of On-resin Synthesis of Cyclic Disulfide Peptides Using Methyl 3-Nitro-2-pyridinesulfenate

<u>Kiyotaka Kobayashi</u>, Akihiro Taguchi, Kyohei Muguruma, Kentaro Takayama, Atsuhiko Taniguchi, Yoshio Hayashi

Department of Medicinal Chemistry, School of Pharmacy, Tokyo University of Pharmacy and Life Sciences

14:50 - 19:00 Session 3: Chemical Biology and Bioimaging

Chairs: Toru Kawakami (Osaka University)

James P. Tam (Nanyang Technological University)

14:50 - 15:18 **O-17 Peptide Thioamides for In Vivo Applications**

E. James Petersson, Taylor Barrett, Chunxiao Liu, Xing Chen *University of Pennsylvania, Department of Chemistry*

15:18 - 15:38 **O-18** Exploiting organic "name reactions" for chemoselective peptide engineering

<u>Philip Dawson</u>, Dillon Flood, Philip Cistrone, Mike Bird, Tony Silvestri, Jordi Hintzen Department of Chemistry, The Scripps Research Institute, La Jolla, 92037, USA

15:38 - 15:50 O-19 Expanding the Versatility of the Oxime Ligation to Disulfide –Rich Peptides

Anke Hering¹, Markus Muttenthaler^{1, 2}

¹Institute for Molecular Biosciences, The University of Queensland, St. Lucia, Queensland, Australia,

²Faculty of Chemistry, Institute of Biological Chemistry, University of Vienna, Vienna, Austria

15:50 - 16:02 **O-20 Synthetic Strategy of Peptidomimetic Based on Chloroalkene Dipeptide Isoteres and Its Biological Application**

Takuya Kobayakawa, Hirokazu Tamamura

Department of Medicinal Chemistry, Institute of Biomaterials and Bioengineering (IBB), Tokyo Medical and Dental University (TMDU)

16:02 - 16:18 **O-21** Identify amyloidogenic peptides in TDP-43 and create photocontrollable probes for neurodegenerative disease studies

Jen-Tse Huang

Institute of Chemistry, Academia Sinica

16:18 - 16:46 **O-22** Cyclisation of conotoxins as an engineering tool to modulate folding, analgesic potency and biopharmaceutical properties

David J. Craik, Xiaosa Wu, Yen-Hua Huang, Quentin Kaas

Institute for Molecular Bioscience, The University of Queensland, Brisbane, QLD 4072, Australia

16:46 - 17:16 **Break**





Chairs: Akira Otaka (Tokushima University)

E. James Petersson (University of Pennsylvania)

17:16 - 17:44 O-23 Design, Folding and Self-assembly of Collagen Triple Helices

Jeffrey D. Hartgerink

Rice University, Departments of Chemistry and Bioengineering, Houston, Texas, USA

17:44 - 18:04 O-24 Targeting Unfolded Collagen by Cyclic Collagen-Mimetic Peptides

<u>Takaki Koide</u>¹, Koh K. Takita¹, Kazunori K. Fujii¹, Ryo Masuda¹, Tetsuya Kadonosono², Hiroyuki Kimura³

¹Department of Chemistry and Biochemistry, School of Advanced Science and Engineering, Waseda University, Shinjuku, Tokyo, 169-8555, Japan,

²Department of Life Science and Technology, School of Life Science and Technology, Tokyo Institute of Technology, Yokohama, Kanagawa, 226-8501, Japan,

³Department of Analytical and Bioinorganic Chemistry, Division of Analytical and Physical Sciences, Kyoto Pharmaceutical University, Yamashina, Kyoto 607-8414, Japan

18:04 - 18:20 **O-25 Discovery of "Photo-Degradation Tag of Protein" Derived from Squalene Synthase**

<u>Yasushi Takemoto</u>¹, Di Mao¹, Louvy Punzalan¹, Sebastian Goetze¹, Motonari Uesugi^{1,2}

¹Institute for Chemical Research, Kyoto University, ²iCeMS, Kyoto University

18:20 - 18:32 **O-26** Affinity-Controlled Induction of Immune Responses by Bispecific Cross-Linkers between Antibody-Fc and Tumor Antigens

<u>Koichi Sasaki</u>¹, Minori Harada², Hiroshi Tagawa¹, Akihiro Kishimura^{1, 2, 3, 4}, Takeshi Mori^{1, 2, 3}, Yoshiki Katayama^{1, 2, 3, 4, 5, 6}

¹Department of Applied Chemistry, Faculty of Engineering, Kyushu University, Japan,

²Graduate School of Systems Life Sciences, Kyushu University, Japan,

³Center for Future Chemistry, Kyushu University, Japan,

⁴International Research Center for Molecular Systems, Kyushu University, Japan,

⁵Centre for Advanced Medicine Innovation, Kyushu University, Japan,

⁶Department of Biomedical Engineering, Chung Yuan Christian University, Taiwan

18:32 - 19:00 **O-27 Peptidyl Adaptogenics**

James P. Tam

Nanyang Technological University

Day 2 December 4 (Tue), 2018

South Hall, 1F, ROHM Theatre Kyoto

9:15 - 12:40 Session 4: Peptides in Diseases

Chairs: Yoshio Hayashi (Tokyo University of Pharmacy and Life Sciences) Yan-Mei Li (Tsinghua University)

9:15 - 9:27 **O-28 Semisynthesis of Glycosyl-Sialyltransferase bearing a Homogeneous** *N*-linked Oligosaccharide

Arisa Shimada, Yuta Maki, Ryo Okamoto, Masayuki Izumi, Yasuhiro Kajihara Department of Chemistry, Graduate School of Science, Osaka University, Japan





9:27 - 9:39O-29 Fluorocarbon-peptide conjugates (FPC): new concept to increase the metabolic stability of peptides for therapeutic applications

Sridevi Maalika Ramanoudjame¹, Lucie Esteoulle¹, Adrien Flahault⁴, Cendrine Seguin², Stéphanie Riché¹, Béatrice Heurtault², Romain Hany³, Patrick Gizzi³, Xavier Iturrioz⁴, Sylvie Fournel², Benoit Frisch², Catherine Llorens Cortes⁴, Dominique Bonnet¹

¹Laboratoire d'Innovation Thérapeutique, UMR 7200 CNRS-Université de Strasbourg, Faculté de Pharmacie, LabEx MEDALIS, Illkirch,

²Laboratoire de Conception et Application de Molécules Bioactives, UMR 7199 CNRS-Université de Strasbourg, Faculté de Pharmacie, Illkirch,

³Plateforme de Chimie Biologie Intégrative, UMS3286 CNRS-Université de Strasbourg, LabEx MEDALIS Illkirch,

⁴Centre Interdisciplinaire de Recherche en Biologie, UMR 7241/Inserm U1050, Collège de France

9:39 - 9:55 O-30 **Novel Therapeutic Peptides Derived from Human Essential Enzymes**

Sunghoon Kim

Medicinal Bioconvergence Research Center Department of Molecular Medicine and Biopharmaceutical Sciences Graduate School of Convergence Science and Technology College of Pharmacy, Seoul National University, Korea

9:55 - 10:15 0-31 Structure Evolution of Tetramer Formation in p53/p63/p73 Family

Kazuyasu Sakaguchi

Department of Chemistry, Faculty of Science, Hokkaido University

10:15 - 10:43 **O-32** The Power of Isoacyl Chemistry: Its Application to Insulin and Glucagon

Fa Liu

Novo Nordisk Research Center, Seattle, Washington 98109

10:43 - 11:08 **Break**

Synthesizing Site-Specific Phosphorylated TDP 43 Prion-like Domain: 11:08 - 11:36 **O-33 Novel Material for Studying the Function of PS404 Modification**

Qian-Qian Li, Yu-Qing Liu, Yan-Mei Li

Key Lab. of Bioorganic Phosphorus Chemistry & Chemical Biology, Department of Chemistry, Tsinghua University

11:36 - 11:56 **O-34** Peptidomimetic-based mid-size drugs: anti-cancer and anti-HIV agents

Hirokazu Tamamura

Institute of Biomaterials and Bioengineering, Tokyo Medical and Dental University

11:56 - 12:12 **O-35** Method to generate highly stable D-amino acid analogs of bioactive helical peptides using a mirror image of the entire PDB

Philip M. Kim

University of Toronto

12:12 - 12:40 **O-36 High-Affinity Peptidomimetic Inhibitors of the DCN1-UBC12 Protein-Protein Interaction and Therapeutic Applications**

Shaomeng Wang

University of Michigan, Ann Arbor, MI, USA





14:50 - 19:00 Session 5: Peptide Interaction with Membranes

Chairs: Katsumi Matsuzaki (Kyoto University)
Yangmee Kim (Konkuk University)

14:50 - 15:10 O-37 Lipid domain formation and reduction of membrane fluidity: Initiating events of bacterial growth inhibition and cell death induced by R-,W-rich cyclic hexapeptides

Kathi Scheinpflug¹, Christof Junkes¹, Oxana Krylova¹, Henrik Strahl², Margitta Dathe¹

¹Leibniz Forschungsinstitut für Molekulare Pharmakologie, Berlin, Germany,

15:10 - 15:38 **O-38** Histidine-rich designer peptides with antimicrobial, transfection and transduction activities

Burkhard Bechinger¹, Justine Wolf¹, Luic Vermeer¹, Arnaud Marquette¹, Morane Lointier¹, Jesus Raya¹, Philippe Bertani¹, Denis Wilkins Juhl¹, Antoine Kichler², Martin Gotthard³, Max Wittmann³, Regine Süss³, Louic Hamon⁴, Anne Galy^{4,5}, David Fenard⁵

¹University of Strasbourg/CNRS, Chemistry, F-67070 Strasbourg,

15:38 - 16:06 **O-39 Papiliocin is a Promising Peptide Antagonist of Toll Like Receptor 4** with a Therapeutic Potential for the Treatment of Sepsis

Yangmee Kim

Department of Bioscience and Biotechnology, Konkuk University

16:06 - 16:34 **O-40** Kinked amphipathic peptides potentiates activities of various grampositive antibiotics by perturbing outer membrane of gram-negative bacteria

Jaehoon Yu¹, Yoonwha Choi¹, Yong Pil Chong², Sujin Park², Soonsil Hyun³, Seoyeon Kim¹, Sun Mi Jin¹, Doyeon Jo¹, Soeun Bae¹, Seonju Lee⁴, Kyung Kyu Kim⁵, Yang Soo Kim², Yan Lee⁴

16:34 - 16:50 **O-41 High-Resolution NMR Studies of Peptide-Antibiotics in Cell Membranes**

João Medeiros-Silva, Shehrazade Jekhmane, Eefjan Breukink, <u>Markus Weingarth</u> Bijvoet Center for Biomolecular Research, Utrecht University, The Netherlands

16:50 - 17:20 **Break**

²Institute for Cell and Molecular Biosciences, Newcastle University, Newcastle upon Tyne, UK

²University of Strasbourg/CNRS, Pharmacy, F-67401 Illkirch,

³University of Freiburg, Pharmaceutical technology, D-79104 Freiburg,

⁴University of Evry/INSERM, F-91000 Evry, ⁵Généthon, F-91000 Evry

¹Department of Chemistry & Education, Seoul National University, Seoul 08826, Korea, ²Department of Infectious Diseases, Asan Medical Center, University of Ulsan College of Medicine, Seoul, Republic of Korea,

³Institute of Molecular Biology & Genetics, Seoul National University, Seoul 08826, Korea,

⁴Department of Chemistry, Seoul National University, Seoul 08826, Korea,

⁵Department of Molecular Cell Biology, Sungkyunkwan University School of Medicine, Suwon 61439, Korea



Chairs: Shunsaku Kimura (Kyoto University)

Ferenc Hudecz (Eötvös Loránd University)

17:20 - 17:48 **O-42** Unnatural cyclic peptides inspired by natural products: cell permeability and biological activity from diverse scaffolds

R. S. Lokey¹, Colin N. Kelly¹, Matthew R. Naylor¹, Victoria G. Klein¹, Chad E. Townsend¹, Andrew M. Ly¹, Joshua Schwochert², Cameron R. Pye²

¹Department of Chemistry and Biochemistry, University of California Santa Cruz, 1156 High St., Santa Cruz, CA 95064, United States,

²Unnatural Products, 335 Shake Mill Rd, Santa Cruz, CA 95060

17:48 - 18:08 **O-43** Cyclosporin O derivatives: synthesis, structural investigation, and biological applications

Jiwon Seo, Dongjae Lee

Department of Chemistry, School of Physics and Chemistry, Gwangju Institute of Science and Technology, Gwangju 61005, Republic of Korea

18:08 - 18:24 **O-44** The Use of Peptide-Membrane Interactions in the Design of Selective and Potent Sodium Channel Inhibitors

Christina I. Schroeder¹, Akello J. Agwa¹, Steve Peigneur², Chen Yuen Chow¹, Alexander Mueller¹, Nicole Lawrence¹, Evelyne Deplazes³, Alan E. Mark⁴, David J. Craik¹, Glenn F. King¹, Irina Vetter¹, Jan Tytgat², Sónia Troeira Henriques¹

¹Institute for Molecular Bioscience, ²Katholic University of Leuven, ³Curtin University, ⁴The University of Queensland

18:24 - 18:36 **O-45** L17E-mediated Cytosolic Delivery and its Mode of Action

Misao Akishiba, Shiroh Futaki

Institute for Chemical Research, Kyoto University

18:36 - 18:48 **O-46** Generation and Screening of Gramicidin A-Based Library

Yuri Takada, Hiroaki Itoh, Masayuki Inoue

Graduate School of Pharmaceutical Sciences, The University of Tokyo

18:48 - 19:00 **O-47** Vesicle-to-sheet Transition of Copolymer Modified Liposomes with **Membrane Disruptive Peptide**

Tomoka Takenaka, Takuro Ochiai, Wakako Sakamoto, Tsukuru Masuda, Naohiko Shimada, Atsushi Maruyama

School of Life Science and Technology

Day 3 December 5 (Wed), 2018

Main Hall, 2F, ROHM Theatre Kyoto

9:10 - 12:05 **Session 6: Structurally Constrained Peptides**

Chairs: Masakazu Tanaka (Nagasaki University) Gilles Guichard (University of Bordeaux)

9:10 - 9:26 O-48 **Blocking Protein-DNA Interactions with Stapled Peptides**

Federico Bernal, Merissa Baxter, Sterling Robert Payne

Laboratory of Protein Dynamics and Signaling, National Cancer Institute, National Institutes of Health USA





9:26 - 9:42 **O-49 Silencing Intracellular Protein-Protein Interactions with Covalent Helical Peptide Inhibitors**

Aline Dantas de Araujo¹, Junxiam Lim¹, Andrew C. Good², Renato T. Skerlj², David P. Fairlie¹

¹Institute for Molecular Bioscience, University of Queensland, Brisbane, QLD 4072, Australia,

²Noliva Therapeutics, Newton, MA 02465, USA

9:42 - 10:10 **O-50** Peptide backbone engineering using oligourea foldamers : Structural insights and biological perspectives

Gilles Guichard

University of Bordeaux

10:10 - 10:37 **Break**

10:37 - 11:05 O-51 Platforms for the generation and screening of cyclic peptide libraries

Ali Tavassoli

School of Chemistry, University of Southampton, Southampton, United Kingdom

11:05 - 11:21 O-52 Precise Disulfide Pairing to Approach the Entire Sequence Space for Searching Bioactive Disulfide-Rich Peptides

Chuanliu Wu

Department of Chemistry, College of Chemistry and Chemical Engineering, Xiamen University

11:21 - 11:37 O-53 Fluorescent PPI-visualization of Cyclized Helix-Loop-Helix Peptide "MicroAntibody" Inhibiting Intracellular HDM2-p53 interaction

<u>Daisuke Fujiwara</u>¹, Kazunori Zikihara¹, Shunsuke Inaura¹, Masataka Michigami¹, Eiji Yuba², Ikuhiko Nakase¹, Ikuo Fujii¹

¹Department of Biological Science, Graduate School of Science, Osaka Prefecture University, ²Department of Applied Chemistry, Graduate School of Engineering, Osaka Prefecture University

11:37 - 12:05 O-54 Aromatic foldamer-based protein mimicry and recognition

Ivan Huc

Department of Pharmacy, Ludwig-Maximilians-University Munich, Germany

Day 3 December 5 (Wed), 2018

South Hall, 1F, ROHM Theatre Kyoto

9:10 - 12:05 Session 7: Peptide Materials

Chairs: Motoyoshi Nomizu (Tokyo University of Pharmacy and Life Sciences)

Joel Schneider (National Cancer Institute)

9:10 - 9:38 **O-55** Structure-based design of peptide assemblies affords gels that facilitate suturing of ultrasmall blood vessels

Joel Patrick Schneider

National Cancer Institute, National Institutes of Health, USA

9:38 - 10:06 O-56 Controlled Hierarchical Assembly of Helical Foldamers

Hee-Seung Lee

Department of Chemistry, Center for Multiscale Chiral Architectures, KAIST, Daejeon 34141, Republic of Korea



10:06 - 10:22 **O-57** Functional Peptide-Modified Dendrimers: From Artificial Proteins to Nanomedicine

Chie Kojima

Department of Applied Chemistry, Graduate School of Engineering, Osaka Prefecture University

10:22 - 10:38 O-58 Site-specific Chemical Modification of Antibody by Affinity Peptide to Generate Multi-functional Antibody Medicines

Yuji Ito

Department of Chemistry and Bioscience, Graduate School of Science and Engineering, Kagoshima University

10:38 - 11:05 **Break**

11:05 - 11:33 O-59 Electric Properties of Peptide Self-Assemblies Having Macrodipole Moments

Shunsaku Kimura, Hirotaka Uji, Yuki Tabata, Hiroshi Omura, Yusuke Kamano Department of Material Chemistry, Graduate School of Engineering, Kyoto University

11:33 - 11:49 **O-60** A semi-synthetic approach to engineer ion channels in live cells

Keith Khoo, Iacopo Galleano, <u>Stephan Alexander Pless</u> *University of Copenhagen*

11:49 - 12:05 **O-61 Metal Induced Self-Assembly of Collagen-Mimetic Peptides: Morphology Modulation and Hydrolytic Catalysis Evaluation**

<u>Jia-Cherng Horng</u>, Yi-Han Ting, Hsuan-Ju Chen, Wan-Jung Cheng Department of Chemistry, National Tsing Hua University

Day 4 December 6 (Thu), 2018

Main Hall, 2F, ROHM Theatre Kyoto

9:10 - 12:35 Session 8: Peptides in Biosignaling

Chairs: Hidehito Mukai (Nagahama Institute of Bio-Science and Technology)
Annette Beck-Sickinger (Leipzig University)

9:10 - 9:38 O-62 Structural Insights in the Binding Mode of Neuropeptide Y and Approaches in Tumour Targeting

Annette G. Beck-Sickinger

Institute of Biochemistry, Leipzig University, Brüderstr, 34, D 04103 Leipzig, Germany

9:38 - 9:54 O-63 Turripeptides from Turrid Snails: Extending the Search for Neuroactive Peptide Drug Candidates to an Untapped Megadiverse Group of Conoideans

<u>Gisela P. Concepcion</u>¹, Carla A. Omaga¹, April B. Cabang¹, Victor M. Chua¹, Julita S. Imperial², Baldomero M. Olivera²

9:54 - 10:06 **O-64 Identification of Human Neuropeptide Homologues in Animal Venoms Using Hidden Markov Models**

Helen Mendel¹, Quentin Kaas¹, Paul Alewood¹, Markus Muttenthaler^{1, 2}

¹The Marine Science Institute, University of the Philippines,

²Department of Biology, University of Utah

¹Institute for Molecular Bioscience, University of Queensland, St. Lucia, Queensland, Australia,

²Faculty of Chemistry, Institute of Biological Chemistry, University of Vienna, Vienna, Austria





10:06 - 10:34 O-65 Orexin Neurons at the Interface of Systems that Regulate Emotion and Arousal/Vigilance

Takeshi Sakurai

Faculty of Medicine/WPI-IIIS, University of Tsukuba

10:34 - 10:46 **O-66 Development of Positive Modulators of Histone H3K27 Methylation**

Yasuaki Tokodai¹, Fumika Yakushiji², Toru Sengoku³, Akira Katsuyama², Satoshi Ichikawa²

10:46 - 11:11 **Break**

11:11 - 11:39 **O-67** Peptidases, Peptides, and Peptidomics: New Insights into Functional Roles of Peptides in Cellular Signaling

Lloyd D. Fricker

Department of Molecular Pharmacology, Albert Einstein College of Medicine, Bronx, NY, USA

11:39 - 11:55 **O-68** Intracellular peptides from cell biology to pharmacology

Emer S. Ferro

University of Sao Paulo

11:55 - 12:15 **O-69 Targeting NCOA1 Transcription Coactivator Using Peptidomimetics**

Yeongju Lee, Hyun-Suk Lim

Department of Chemistry and Division of Advanced Materials, Pohang University of Science and Technology, Pohang 37673, South Korea

12:15 - 12:35 **O-70** Synthesis and structure-activity relationship studies of insulin/insulin-like peptides

Nitin Patil^{1,2}, Praveen Praveen¹, Xiaozhou Zhang¹, Ross Bathgate¹, John Wade^{1,3}, M. Akhter Hossain^{1,3}

14:50 - 15:25 Akabori Memorial Award Lecture

Chair: Hisakazu Mihara (Tokyo Institute of Technology)

14:50 - 15:25 AW-01 Amino Acid Chalcogen Analogs as Tools in Peptide and Protein Research

Luis Moroder

Max-Planck-Institute of Biochemistry, Martinsried, Germany

¹Hokkaido University, Graduate School of Life Science,

²Hokkaido University, Faculty of Pharmaceutical Sciences,

³Yokohama City University, Graduate School of Medicine

¹Department of Microbiology, Monash University,

²Florey Institute of Neuroscience and Mental Health, University of Melbourne, Victoria 3010, Australia.

³School of Chemistry, University of Melbourne, Victoria 3010, Australia





15:35 - 19:00 **Session 9: Therapeutic Design**

Chairs: Hirokazu Tamamura (Tokyo Medical and Dental University)

Norbert Sewald (Bielefeld University)

15:35 - 15:55 **O-71 Nutrient-Oriented Peptide Library as a Source of Energy Metabolism Modulators**

Motonari Uesugi^{1,2}

¹Institute for Chemical Research and Institute for Integrated Cell-Material Sciences (WPI-iCeMS), Kyoto University, ²AMED-CREST

15:55 - 16:23 O-72 Synthetic Studies of Immunostimulating Peptide-Glycan Conjugates: Development of New Adjuvants and Application to New Cancer Immunotherapies

<u>Koichi Fukase</u>^{1,2,3}, Yoshiyuki Manabe^{1,2}, Kazuya Kabayama^{1,2,3}, Tsung-Che Chang¹, Feng Qi¹, Yuka Nimura¹, Yukari Fujimoto⁴, Yoshie Kametani⁵, Shino Ohshima⁵, Asuka Miyamoto⁵, Chun-Cheng Lin⁶

16:23 - 16:43 **O-73 Mirror-Image Screening of Chiral Natural Products for SH2 Domain Inhibitors**

Shinya Oishi¹, Taro Noguchi¹, Keitou Shu¹, Kaori Honda², Yasumitsu Kondoh², Hiroyuki Osada², Hiroaki Ohno¹, Nobutaka Fujii¹

¹Graduate School of Pharmaceutical Sciences, Kyoto University, Sakyo-ku, Kyoto 606-8501, Japan.

²Chemical Biology Research Group, RIKEN Center for Sustainable Resource Science, Wako, Saitama 351-0198, Japan

16:43 - 16:55 **O-74 Endowment of pH Responsivity to Anti-Cancer Peptides by Introducing Unnatural Amino Acid Residues**

Naoto Tanishiki, Yoshiaki Yano, Katsumi Matsuzaki

Graduate School of Pharmaceutical Sciences, Kyoto University

16:55 - 17:07 **O-75 Peptide-Based Vaccines to Treat Foot-And-Mouth Disease: Exploring Their Efficacy and Molecular Mode of Action**

Mar Forner^{1, 2}, Sónia Troeira Henriques¹, David Craik¹, Sira Defaus², David Andreu²

¹Institute for Molecular Bioscience, The University of Queensland,

17:07 - 17:32 **Break**

17:32 - 18:00 O-76 Controlling RAS with Monobodies

Shohei Koide

Perlmutter Cancer Center and Department of Biochemistry and Molecular Pharmacology, New York University School of Medicine, New York, U.S.A.

¹Deaprtment of Chemistry, Graduate School of Science, Osaka University,

²Core for Medicine and Science Collaborative Research and Education, Project Research Center for Fundamental Sciences, Osaka University,

³Institute for Radiation Sciences, Osaka University,

⁴Department of Chemistry, Faculty of Science and Technology, Keio University,

⁵Faculty of Medicine, School of Medicine, Tokai University,

⁶Department of Chemistry, National Tsing Hua University

²Departament de Ciències Experimentals i de la Salut, Pompeu Fabra University





18:00 - 18:28 **O-77 Twofold bio-orthogonal derivatization by different formylglycine- generating enzymes**

Tobias Krüger¹, Stefanie Weiland², Georg Falck³, Marcus Gerlach¹, Mareile Boschanski², Kristian M. Müller³, Thomas Dierks², Norbert Sewald¹

¹Bielefeld University, Faculty of Chemistry, Organic and Bioorganic Chemistry, PO Box 100131, 33501 Bielefeld, Germany,

²Bielefeld University, Faculty of Chemistry, Biochemistry, PO Box 100131, 33501 Bielefeld, Germany,

³Bielefeld University, Faculty of Technology, Cellular and Molecular Biotechnology, PO Box 100131, 33501 Bielefeld, Germany

18:28 - 18:44 O-78 Homogeneous Antibody-Drug Conjugates (ADCs) by a Tryptophan-Selective Protein Bioconjugation

<u>Kounosuke Oisaki</u>¹, Takashi Ishiyama^{1,2}, Atsushi Kawamura¹, Kuniko Saiki¹, Yuki Kobayashi¹, Katsuya Maruyama¹, Yohei Seki¹, Keita Iguchi², Masaru Mitsuda², Motomu Kanai¹

¹Graduate School of Pharmaceutical Sciences, The University of Tokyo,

18:44 - 19:00 **O-79 Quantitative Single Cell Analysis for Transcriptional Activity and Oligomerization of Tumor Suppressor Protein p53**

<u>Rui Kamada</u>, Yu Toguchi, Junya Wada, Madoka Kanno, Toshiaki Imagawa, Kazuyasu Sakaguchi Department of Chemistry, Faculty of Science, Hokkaido University

Day 4 December 6 (Thu), 2018

South Hall, 1F, ROHM Theatre Kyoto

9:10 - 9:30 JPS Young Investigators Award Lecture 1

Chair: Takayuki Doi (Tohoku University)

9:10 - 9:30 AW-02 3D Structure-Activity Relationship Study of Naturally Ocurring Peptides and its Application to Drug Design

Yuichi Masuda

Graduate School of Bioresources, Mie University, 1577 Kurimamachiya-cho, Tsu, 514-8507, Japan

9:30 - 12:35 Session 10: Cell-Penetrating Peptides and Drug Delivery

Chairs: Ikuhiko Nakase (Osaka Prefecture University) Jaehoon Yu (Seoul National University)

9:30 - 9:58 **O-80** The power of chemoselectivity: Functional peptide and proteinconjugates for extra- and intracellular targeting

Christian Peter Hackenberger^{1,2}

¹Leibniz-Forschungsinstitut für Molekulare Pharmakologie (FMP) Campus Berlin-Buch Robert-Roessle-Str. 10 13125 Berlin, Germany,

²Humboldt Universität zu Berlin, Institut für Chemie, Brook-Taylor-Str. 2 12489 Berlin, Germany

9:58 - 10:26 **O-81** Lipid-sensitive amphiphilic peptides for intracellular delivery of biomacromolecules

Shiroh Futaki

Institute for Chemical Reserch, Kyoto University

²KANEKA Corporation, Biotechnology Research Laboratories





Targeting Intracellular Protein-Protein Interactions with Macrocyclic 10:26 - 10:42 **O-82 Peptides**

Dehua Pei

Department of Chemistry and Biochemistry, The Ohio State University

10:42 - 11:07 **Break**

11:07 - 11:27 **O-83** Cell-penetrating peptide foldamers for drug delivery system

Makoto Oba, Masakazu Tanaka

Graduate School of Biomedical Sciences, Nagasaki University

11:27 - 11:43 **O-84** Intracellular target delivery of boron compounds using cellpenetrating peptides for boron neutron capture therapy (BNCT)

Ikuhiko Nakase^{1, 2}, Miku Katayama^{1, 2}, Yoshishide Hattori³, Miki Ishimura³, Ikuo Fujii¹, Shiroh Futaki⁴, Mitsunori Kirihata³

11:43 - 11:55 **Q-85** Toxicity and Mechanism of Action of Cyclic Helix-Loop-Helix Peptide

Gregoire J-B Philippe¹, Diana Gaspar², Yen-hua Huang¹, Joachim Weidmann¹, Nicole Lawrence¹, Johannes Koehbach¹, Caibin Sheng³, Alexander Löwer³, Miguel ARB Castanho², David J. Craik¹, Sonia T. Henriques¹

11:55 - 12:07 **O-86** Enhanced cellular exosome uptake efficacy by modification of cellpenetrating sC18 peptides on exosomal membranes

Kosuke Noguchi¹, Constance Chollet², Ines Neundorf², Ikuhiko Nakase¹

12:07 - 12:35 **O-87 Blood-Brain Barrier Shuttle Peptides, from Discovery to Applications**

Meritxell Teixidó

Institute for Research in Biomedicine (IRB Barcelona), Barcelona Institute of Science and Technology (BIST), Baldiri Reixac 10, Barcelona 08028, Spain

¹Graduate School of Science, Osaka Prefecture University, Japan,

²NanoSquare Research Institute, Osaka Prefecture University, Japan,

³Research Center of BNCT, Osaka Prefecture University, Japan,

⁴Institute for Chemical Research, Kyoto University, Japan

¹Institute for Molecular Bioscience, The University of Queensland, QLD 4072, Australia,

²Faculdade de Medicina da Universidade de Lisboa, 1649-028 Lisboa, Portugal,

³Technical University Darmstadt, 64287 Darmstadt, Germany

Department of Biological Science, Graduate School of Science, Osaka Prefecture University, Japan,

²Institute of Biochemistry, Department of Chemistry, University of Cologne, Germany





15:35 - 19:00 Session 11: Peptide Biophysics and Analytical Methods

Chairs: Kazuyasu Sakaguchi (Hokkaido University)

James G. Omichinski (University of Montreal)

15:35 - 15:55 **O-88** Antibodies from multiple sclerosis patients preferentially recognize hyperglucosylated adhesin of non-typeable Haemophilus influenzae

Anna Maria Papini^{1,2,3}, Paolo Rovero^{1,4}, Francesco Lolli⁵, Roberta Lanzillo⁶, Chiara Testa^{1,2}, Barbara Imperiali⁷, Marthe Walwoort^{7,8}, Raya Eilam⁹, Rina Aharoni¹⁰, Francesca Nuti^{1,2}, Vincenzo Brescia Morra⁶

¹French-Italian Interdepartmental Laboratory of Peptide & Protein Chemistry & Biology,

Reproductive Sciences and Odontostomatology, Federico II University of Naples, Italy,

15:55 - 16:23 O-89 The role of phosphorylation and acetylation in regulating SUMO-SIM interactions in proteins recruited to promyelocytic leukemia (PML) nuclear bodies (PML-NB)

James G. Omichinski

Department of Biochemistry and Molecular Medicine, University of Montreal, Montreal, Qc Canada

16:23 - 16:39 **O-90 Effect of Arginine Modification on Structure and Function**

Richard P. Cheng

Department of Chemistry, National Taiwan University

16:39 - 16:51 **O-91 Total chemical synthesis and biophysical characterisation of trefoil factor 3**

Nayara Braga Emidio¹, Hue Tran¹, Christina Schroeder¹, Paul Alewood¹, Markus Muttenthaler^{1,2}

¹Institute for Molecular Bioscience, The University of Queensland, Brisbane, Queensland 4072, Australia,

²Institute of Biological Chemistry, Faculty of Chemistry, University of Vienna, 1090 Vienna, Austria

16:51 - 17:07 **O-92 Nontraditional noncovalent interactions in protein structure and design**

Neal J. Zondlo

Department of Chemistry and Biochemistry, University of Delaware, United States

17:07 - 17:32 **Break**

²Department of Chemistry "Ugo Schiff", University of Florence, Italy,

³PeptLab@UCP and Laboratory of Chemical Biology, University of Paris-Seine, France,

⁴Department of Neurosciences, Psychology, Drug Research and Child Health - Section of Pharmaceutical Sciences and Nutraceutics, University of Florence, Italy,

⁵Department of Biomedical, Experimental and Clinical Sciences, University of Florence, Italy,

⁶Multiple Sclerosis Clinical Care and Research Centre, Department of Neurosciences,

⁷Departments of Biology and Chemistry, Massachusetts Institute of Technology, Cambridge, MA, USA,

⁸Stratingh Institute for Chemistry, University of Groningen, the Netherlands,

⁹Department of Veterinary Resources, The Weizmann Institute of Science, Rehovot, Israel,

¹⁰Department of Immunology, The Weizmann Institute of Science, Rehovot, Israel





17:32 - 18:00 O-93 Investigating peptaibols by synthesizing analogs and exploiting EPR

Marta De Zotti¹, Barbara Biondi², Cristina Peggion¹, Marina Gobbo^{1,2}, Marco Crisma², Claudio Toniolo^{1,2}, Simona Oancea³, Victoria N. Syryamina^{4,5}, Sergei A. Dzuba^{4,5}, Fernando Formaggio^{1,2}

¹Department of Chemical Sciences, University of Padova, 35131 Padova, Italy,

²Institute of Biomolecular Chemistry, Padova Unit, CNR, 35131 Padova, Italy,

³"Lucian Blaga" University of Sibiu, Department of Agricultural Sciences and Food Engineering, 550012 Sibiu, Romania,

⁴Institute of Chemical Kinetics and Combustion, RAS, Novosibirsk 630090, Russian Federation,

⁵Novosibirsk State University, Novosibirsk 630090, Russian Federation

18:00 - 18:20 **O-94 Construction of supramolecular peptide hydrogels functionalized** with bioactive sequences for 3D cell culture

<u>Hiroshi Tsutsumi</u>, Jyh Yea Chia, Iori Kodama, Hisakazu Mihara School of Life Science and Technology, Tokyo Institute of Technology

18:20 - 18:32 **O-95** Helical Secondary Structures of Peptides Composed of Cyclic Amino Acids with a Chiral Acetal Moiety

Ryo Eto¹, Makoto Oba¹, Atsushi Ueda¹, Mitsunobu Doi², Yosuke Demizu³, Masaaki Kurihara⁴, Masakazu Tanaka¹

¹Department of Pharmaceutical Chemistry, Graduate School of Biomedical Sciences, Nagasaki University,

²Osaka University of Pharmaceutical Sciences, ³National Institute of Health Sciences,

⁴International University of Health and Welfare

18:32 - 19:00 O-96 Artificial β-Double Helices from γ-Peptides

Hosahudya N. Gopi

Department of Chemistry, Indian Institute of Science Education and Research, Dr. Homi Bhabha Road. Pune-411 008

Day 5 December 7 (Fri), 2018

Main Hall, 2F, ROHM Theatre Kyoto

9:10 - 12:25 Session 12: Frontier of Industrial Applications

Chairs: Hiroaki Suga (The University of Tokyo)

Thomas Kodadek (The Scripps Research Institute)

9:10 - 9:43 **O-97 Development of glycosylated somatostatin having extended half-life** and native-like binding profile

<u>Hirofumi Ochiai</u>, Hayato Saijo, Takahiro Yamamoto, Yuji Nishiuchi, Akio Kanatani, Taiji Shimoda *GlyTech, Inc.*

9:43 - 10:16 **O-98** Tolerance of helix-breaking residues within the context of a stapled peptide

Anthony William Partridge¹, Hung Yi Kristal Kaan¹, Yu-Chi Juang¹, Ahmad Sadruddin¹, Shuhui Lim¹, Christopher J. Brown², Simon Ng², Dawn Thean², Fernando J. Ferrer², Charles Johannes², Tsz Ying Yuen², Srinivasaraghavan Kannan², Pietro Aronica², Mohan R. Pradhan², Chandra S. Verma², Jerome Hochman³, Shiying Chen³, Hui Wan³, David P. Lane², Tomi K. Sawyer³

¹MSD, Singapore, ²A*STAR, Singapore, ³Merck & Co., USA





10:16 - 10:49 O-99 Targeting Malaria and Tuberculosis with PDPS

Nasir Kato Bashiruddin

PeptiDream Inc.

10:49 - 11:19 **Break**

11:19 - 11:52 O-100 Design & Data Visualization Approaches for the Engineering of Nav1.7-Inhibitory Peptide-Antibody Hybrids with Enhanced Potency and Pharmacokinetics

Les P. Miranda

Amgen Research, Amgen Inc., One Amgen Center Drive, Thousand Oaks, CA 91320, USA

11:52 - 12:25 **O-101 Peptoid-like Inhibitors of Proteasome Accessory Factors as Potential Chemotherapeutics**

Thomas Kodadek, Paige Dickson, Scott Simanski, Darci Trader

Department of Chemistry, The Scripps Research Institute, 130 Scripps Way, Jupiter FL USA 33458

Day 5 December 7 (Fri), 2018

South Hall, 1F, ROHM Theatre Kyoto

9:10 - 9:30 JPS Young Investigators Award Lecture 2

Chair: Yoshio Hayashi (Tokyo University of Pharmacy and Life Sciences)

9:10 - 9:30 AW-03 Medicinal chemistry based on mid-sized peptides derived from biomolecules

Kentaro Takayama

Department of Medicinal Chemistry, Tokyo University of Pharmacy and Life Sciences, 1432-1 Horinouchi, Hachioji, Tokyo 192-0392, Japan

9:30 - 12:35 Session 13: Peptides in the Brain and CNS

Chairs: Yoshitaka Nagai (Osaka University)

Ernest Giralt (IRB Barcelona/University of Barcelona)

9:30 - 9:46 O-102 A Structure-Activity Relationship Study on the Marine-Sourced Bacterial Secondary Metabolite Nobilamide B Peptide

Oliver John V. Belleza¹, Marco Paolo de V. Jacinto¹, Jortun O. Tun², Gisela P. Concepcion², Aaron Joseph Lucero Villaraza¹

¹*Institute of Chemistry, University of the Philippines-Diliman,*

²Marine Science Institute, University of the Philippines-Diliman

9:46 - 9:58 O-103 Development Through Combinatorial Chemistry of a Bioactive Copper Peptidyl Complex: Characterization and In Vitro/In Vivo SOD Activity

<u>Amandine Vincent</u>¹, Christelle Hureau², Elodie Quévrain¹, Agnès Dancs³, Katalin Selmeczi ³, Philippe Pelupessy¹, Clotilde Policar¹, Nicolas Delsuc¹

¹Laboratoire des Biomolécules - UMR 7203 Department of Chemistry, Ecole Normale Supérieure, 24 rue Lhomond 75005 Paris, FRANCE,

²Coordination Chemistry Lab - UPR 8241 205 Route de Narbonne 31077 Toulouse, FRANCE, ³Laboratoire Structure et Réactivité des Systèmes Moléculaires Complexes- UMR CNRS UL 7565 Université de Lorraine 1 Boulevard des Aiguillettes, BP 70239 54506 Vandoeuvre-lès-Nancy Cedex, FRANCE





9:58 - 10:18 O-104 The Structure and Dynamics of Mutated Amyloid Beta Fibrils

<u>Daniel Huster</u>¹, Juliane Adler¹, Alexander Korn¹, Holger A. Scheidt¹, Felix Hofmann², Sudipta Maiti³, Perunthiruti K. Madhu³

¹Leipzig University, ²Martin Luther University Halle,

10:18 - 10:46 **O-105** Toxic amyloid fibrils formed by amyloid β-peptide on neuronal membranes: their mechanism of formation and structure

Katsumi Matsuzaki

Graduate School of Pharmaceutical Sciences, Kyoto University

10:46 - 11:11 **Break**

11:11 - 11:39 O-106 Unlocking the Mysteries of Amyloid Diseases with Macrocyclic β-Sheet Peptides

James S. Nowick

Department of Chemistry, University of California, Irvine, Irvine, CA 92697-2025, USA

11:39 - 12:07 **O-107 Molecular therapy for the polyglutamine diseases using the aggregate** inhibitor peptide QBP1

Yoshitaka Nagai

Department of Neurotherapeutics, Osaka University Graduate School of Medicine

12:07 - 12:19 **O-108 Development of Novel Relaxin-3 Analogues for Blood-brain Barrier Penetration**

Han Siean Lee¹, Ross A.D. Bathgate², Joseph A. Nicolazzo³, K. Johan Rosengren¹

¹School of Biomedical Sciences, Faculty of Medicine, The University of Queensland, Brisbane, Queensland, Australia,

²Florey Institute of Neuroscience and Mental Health and Department of Biochemistry and Molecular Biology, The University of Melbourne, Victoria, Australia,

³Drug Delivery, Disposition and Dynamics, Monash Institute of Pharmaceutical Sciences, Monash University, Victoria, Australia

12:19 - 12:35 **O-109 Construction of fluorescent biosensor using a turn-on-type imaging** probe for GABA(A) receptors to discover allosteric modulators

<u>Seiji Sakamoto</u>¹, Fumio Harada¹, Kazuma Amaike¹, Kei Yamaura¹, Shigeki Kiyonaka¹, Itaru Hamachi^{1, 2}

¹Department of Synthetic Chemistry and Biological Chemistry, Graduate School of Engineering, Kyoto University,

²Core Research for Evolutional Science and Technology (CREST), Japan Science and Technology Agency

Day 5 December 7 (Fri), 2018

Main Hall, 2F, ROHM Theatre Kyoto

14:50 - 16:20 Session 14: Peptides: Today and the Future

Chairs: Koichi Fukase (Osaka University)

Anna Maria Papini (University of Florence)

14:50 - 15:20 O-110 The RaPID way to discover bioactive pseudo-natural macrocycles

Hiroaki Suga

Department of Chemistry, Graduate School of Science, The University of Tokyo

³Tata Institute of Fundamental Research Mumbai





15:20 - 15:50 **O-111 Synthetic Lysine Acylation of Histones**

Motomu Kanai

Graduate School of Pharmaceutical Sciences, The University of Tokyo

15:50 - 16:20 O-112 Ligand-directed chemistry for selective protein labeling in live cells

Itaru Hamachi

Department of Synthetic Chemistry and Biological Chemistry, Kyoto University

16:35 - 17:25 Plenary Lecture 2

Chair: Katsumi Matsuzaki (Kyoto University)

16:35 - 17:25 **PL-02 De novo protein Design**

William DeGrado

Dept. of Pharmaceutical Chemistry, University of California, San Francisco, San Francisco, CA 94158-9001, U.S.A.

17:25 - 18:00

Closing Ceremony