

**The International Chemical Congress of Pacific Basin Societies
[PACIFICHEM 2015]
New Horizon of Process Chemistry by Scalable Reactions and
Technologies (#426)**

Honolulu, Hawaii, USA

December 15 - 20, 2015

Organizer: Kiyoshi Tomioka, Robert M. Williams, Reuben Jih-Ru Hwu, Hironao Sajiki,
Takayuki Shioiri, Nobuyoshi Yasuda

Process chemistry underpins the competitiveness of chemical and pharmaceutical industries. Since the stagnation of process chemistry is estimated to cause the industrial depression and excessive loss, chemists focus on process chemistry consistently provide the stimulus by the development of novel and efficient new reactions and technologies. This symposium will draw focus to the methodologies and technologies adaptable to process chemistry because the drug discovery from molecules will be involved in other symposia. This symposium will be concerned with new catalysts, reactions and methods for the synthesis of functional materials including pharmaceuticals, agrochemicals, functional materials, chemical raw materials and so on oriented in the direction of process chemistry including green and sustainable chemistry as one of the major topics. Furthermore, various isolation methods including crystallization, development of reactors and equipment, direct observational methods of the reaction progress are also important topics. Finally, the process design to scale up will be also considered.

<Program>

Oral Presentations at Hilton Hawaiian Village

Session 1: 18th December, 2015 13:00-17:00, 12 presenters

Session 2: 19th December, 2015 8:00-12:00, 12 presenters

Session 3: 19th December, 2015 13:00-17:00, 12 presenters

Poster Presentations

Poster Session : 19th December, 2015 19:00-21:00, 33 presenters

Oral Presentations
18th December afternoon

Chair: Hironao Sajiki

1:00 PM-1:20 PM Invited Paper: Oral

Tomioka, Kiyoshi (Doshisha Women's College of Liberal Arts)

“Short step asymmetric synthesis of complex molecules”

1:20 PM-1:40 PM Invited Paper: Oral

Varela, Jesús (Universidad de Santiago de compostela)

“Catalytic ruthenium carbenes: New modes of cyclization”

1:40 PM-1:55 PM Contributed Paper: Oral

Hosoya, Yosuke (Chugai Pharmaceutical Co., Ltd.)

“Efficient synthesis of pyrimidine derivative, CH5132799: Control of residual palladium and overall process optimization”

Chair : Kin-ichi Tadano

1:55 PM-2:15 PM Invited Paper: Oral

Ohshima, Takashi (Kyushu University)

“Dinuclear Iron Complex-Catalyzed Transesterification ~Synthesis of tert-Butyl Esters and Unprecedented Chemoselectivity~”

2:15 PM-2:30 PM Contributed Paper: Oral

Tahara, Yuki (AJINOMOTO CO., INC.)

“Development of the Novel kokimi Peptide”

2:30 PM-2:50 PM Invited Paper: Oral

Kayano, Akio (Pharmaceutical Science & Technology, Eisai Product Creation Systems, Eisai Co., Ltd.)

“Development of scalable synthesis and quality control strategy for ER-403812-09”

2:50 PM-3:00 PM

Coffee break

Chair : Robert Williams

3:00 PM-3:15 PM Contributed Paper: Oral

Lokhat, David (University of KwaZulu-Natal)

“Design and Analysis of a Continuous Gas-Phase Process for the Production of Hexafluoropropene Oxide”

3:15 PM-3:35 PM Invited Paper: Oral

Yoshida, Shinya (Astellas Pharma Inc.)

“Challenging synthesis of the highly functionalized echinocandin ASP9726: A successor of micafungin how can we achieve the large-scale synthesis”

3:35 PM-3:50 PM Contributed Paper: Oral

Britton, Joshua (Flinders University)

“The vortex fluidic device – thin film processing technology gets a new spin”

Chair : Takeshi Sugai

3:50 PM-4:10 PM Invited Paper: Oral

Fujimori, Shinji (Gilead Sciences)

“Process development of GS-9669”

4:10 PM-4:30 PM Invited Paper: Oral

Akai, Shuji (Osaka University)

“New horizon of lipase-catalyzed dynamic kinetic resolution of alcohols for producing optically active compounds”

4:30 PM-5:00 PM Invited Paper: Oral

Blackmond, Donna (The Scripps Research Institute)

“Enabling sustainable organic synthesis via electrochemistry”

19th December morning

Chair : Mitsuru Shindo

8:00 AM-8:20 AM Invited Paper: Oral

Sajiki, Hironao (Gifu Pharmaceutical University)

“Heterogeneous platinum-group metal catalyzed deuterium labeling methods on the basis of C-H activation”

8:20 AM-8:40 AM Invited Paper: Oral

Fujiwara, Yuta (Meiji Seika Pharma Co., Ltd.; the scripps research institute)

“Radical-based C-H functionalization of heteroarenes aimed at late-stage functionalization in drug discovery”

8:40 AM-8:55 AM Contributed Paper: Oral

Abe, Hiroyuki (Central Pharmaceutical Research Institute, Japan Tobacco)

“Practical synthesis of first-in-class MEK inhibitor trametinib (Mekinist®)”

Chair : Takahiko Akiyama

8:55 AM-9:15 AM Invited Paper: Oral

Senanayake, Chris (Boehringer Ingelheim Pharmaceuticals, Inc.)

“Important Catalytic Transformations for Drug Development”

9:15 AM-9:35 AM Invited Paper: Oral

Shibata, Norio (Nagoya Institute of Technology)

“Asymmetric synthesis of efavirenz via organocatalyzed enantioselective trifluoromethylation”

9:35 AM-9:50 AM Contributed Paper: Oral

Bhogle, Nandkumar (Sunovion Pharmaceuticals)

“Application of Shibasaki asymmetric amination to manufacture of ASI-2”

9:50 AM-10:00 AM

Coffee break

Chair : Shinji Fujimori

10:00 AM-10:20 AM Invited Paper: Oral

Kitade, Yukio (Gifu University)

“Chemical modification of the 3' -dangling end of dsRNAs for the development of RNA medicines”

10:20 AM-10:35 AM Contributed Paper: Oral

Ishikura, Shinya (University of Toyama)

“Citric acid assisted one-step synthesis for highly dispersed metallic Ru/SiO₂ : As-prepared Ru/SiO₂ catalysts for Fischer-Tropsch synthesis”

10:35 AM-10:55 AM Invited Paper: Oral

Miura, Toru (Wako Pure Chemical Industries, Ltd.)

“Development of analytical standards guaranteed by qNMR”

Chair : Takayuki Shioiri

10:55 AM-11:10 AM Contributed Paper: Oral

Miyake, Nobuhisa (ASAHI KASEI CHEMICALS CORPORATION)

“The innovative process for carbonates from CO₂”

11:10 AM-11:30 AM Invited Paper: Oral

Hsiao, Yi (Bristol-Myers Squibb)

“Catalysis in the Pharmaceutical Industry :Challenges and Approaches”

11:30 AM-12:00 PM Invited Paper: Oral

Negishi, Ei-ichi (Purdue University)

“Magical Power of d-Block Transition Metals as Catalysts for Organic Synthesis: Principles and Examples”

19th December afternoon

Chair : Guy Humphrey

1:00 PM-1:20 PM Invited Paper: Oral

Hwu, Jih Ru (National Tsing Hua University; National Central University)

“New Anti-Infective Agents and A Novel Delivery Strategy”

1:20 PM-1:40 PM Invited Paper: Oral

Takahashi, Daisuke (AJINOMOTO Co., Inc.)

“AJIPHASE®; Novel and high efficient liquid-phase peptides and oligonucleotides synthetic method for large scale manufacturing.”

1:40 PM-2:00 PM Invited Paper: Oral

Siegel, Jay (Tianjin University)

“Process chemistry enabling academic basic research: Curved aromatic hydrocarbons.”

Chair : Shuji Akai

2:00 PM-2:15 PM Contributed Paper: Oral

Ando, Kaori (Gifu University)

“Highly Practical New Methylenation Reagent For Aldehydes And Ketones”

2:15 PM-2:35 PM Invited Paper: Oral

Hirose, Yoshihiko (Amano Enzyme Inc.)

“Application of engineered biocatalysts in process chemistry”

2:35 PM-2:50 PM Contributed Paper: Oral

Ashida, Yuichiro (Kwansei Gakuin University)

“Parallel and (E)- and (Z)-stereocomplementary synthesis of multi-substituted α,β -unsaturated esters directed for process chemistry: Application to expeditious parallel syntheses of all four (E)- and (Z)- Zimeridine and Tamoxifen”

2:50 PM-3:05 PM

Coffee break

Chair : Jih Ru Hwu

3:05 PM-3:25 PM Invited Paper: Oral

Shindo, Mitsuru (Kyushu University)

“Cu(II)-Catalyzed dissymmetrization of dithiomalonates”

3:25 PM-3:40 PM Contributed Paper: Oral

Linghu, Xin (Genentech)

“A practical synthesis of ERK inhibitor GDC-0994 on multi-kilogram scale”

3:40 PM-4:00 PM Invited Paper: Oral

Nakamura, Yoshitaka (Daiichi Sankyo Co., Ltd.)

“Practical organocatalytic kinetic resolution of a chiral bicyclic ketone for synthesis of a pharmaceutical key intermediate”

Chair : Kiyoshi Tomioka

4:00 PM-4:20 Invited Paper: Oral

Humphrey, Guy (Merck & Co.)

“Development of efficient syntheses of drug candidates and intermediates exploiting novel asymmetric Phase Transfer Catalysed (PTC) reactions.”

4:20 PM-4:40 PM Invited Paper: Oral

Akiyama, Takahiko (Gakushuin University)

“Enantioselective synthesis of chiral amines based on the transfer hydrogenation of ketimines by means of chiral phosphoric acid”

4:40 PM-5:00 PM Invited Paper: Oral

Shioiri, Takayuki (Nagoya City University)

“Will new synthetic reagents open the door to the future?”

Poster Presentations
19th December afternoon 19:00-21:00

1. **Ahn, Hogeun** (Sunchon National University)
Alkylation of phenol with styrene over solid acid catalysts
2. **Al-Kinany, Mohammed** (King Abdul Aziz City for Science and Technology; Oxford university)
Isopropylation of Benzene over Modified Zeolite with Metal Oxide to Produce Isopropylbenzene (Cumene)
3. **Almegren, Hamid** (King Abdulaziz City for Science & Technology)
Catalytic cracking of vacuum residue over modified zeolite to produce light olefins
4. **Asai, Shota** (Gifu Pharmaceutical University)
Platinum group metal on carbon-catalyzed aqueous dehydrogenation of alcohols
5. **Endo, Natsuki** (Meijo University)
Liquid-phase mixture synthesis of 36 peptides using fluorous-Fmoc protection strategy and their ACE inhibitory activities
6. **Esaki, Hiroyoshi** (Hyogo College of Medicine)
Palladium on spherical carbon (Pd/SC) as a catalyst for chemoselective hydrogenation
7. **Fujiwara, Tetsuya** (Kwansei Gakuin University)
Chiral cyclopropane and cyclobutane forming-reactions using α -anion of nitriles; asymmetric synthetic study of (1R,3R)-metofluthrin and (+)-grandisol
8. **Fujiwara, Tomoya** (University of Toyama)
Mild and environmentally friendly oxidative cleavage reaction of oxacyclic methanols to lactones by 2-iodobenzamide catalyst-Oxone combination
9. **Hanamura, Shun** (Keio University)
Enzyme-catalyzed phenolic acetyl group manipulation technology-2: application toward synthesis of glycosylated derivatives
10. **Honda, Atsushi** (Kwansei Gakuin University)
(E)-, (Z)-Stereocomplementary parallel synthesis of (E)- and (Z)- Tamoxifens
11. **Ichikawa, Tomohiro** (Gifu Pharmaceutical University)
Heterogeneous palladium catalyst supported on anion exchange resin for chemoselective hydrogenation and Suzuki-Miyaura reaction of chloroarenes
12. **Ide, Masataka** (Ryukoku university)
Regio- and stereoselective synthesis of (E)-1-bromo-2-iodoalkenes from internal alkynes

13. **Ide, Masataka** (Ryukoku university)
Regio- and stereoselective synthesis of vicinal (*Z*)-dihaloalkenyl silanes through in situ generated BrCl
14. **Ishihara, Kotaro** (Meijo University)
S_N2 displacement at the quaternary carbon center: a novel entry to the synthesis of α,α -disubstituted α -amino acids
15. **Kajimoto, Satomi** (Kwansei Gakuin University)
Practical preparation of α -formyl esters from simple esters and methyl formate utilizing Ti-Claisen condensation and its utilization for useful building blocks.
16. **Kamitanaka, Tohru** (Ritsumeikan University)
Development of Regioselective Introduction of Nucleophiles toward Quinone Monoacetals by Design of Specific Acid Catalyst
17. **Kim, Vicna** (Sunchon National University)
Efficient hydroarylation of phenol with styrene employing mixed catalysts
18. **Kiyota, Yuki** (Gakushuin University)
Chiral phosphoric acid catalyzed asymmetric transfer hydrogenation of trifluoromethylated imines
19. **Kondo, Masaru** (Nagoya institute of technology)
Enantioselective reaction of α -heteroacetonitriles using palladium pincer complexes with chiral bis(imidazoline)s
20. **Kuroda, Kiichi** (Sumitomo Dainippon Pharma Co., Ltd.)
Efficient synthesis of a PDE 4 inhibitor by using Pd-Cu catalyzed C-H/C-Br coupling of benzoxazole with a heteroaryl bromide
21. **Mase, Nobuyuki** (Shizuoka University)
Green organic synthesis in gas-related multiphase reactions using micro- and nanobubbles strategy
22. **Masuda, Masahiro** (Gifu Pharmaceutical University)
FeCl₃-catalyzed self cleavage of methoxyphenylmethyl protected alcohols
23. **Miura, Toru** (Wako Pure Chemical Industries, Ltd.)
Development of standard solutions for qNMR
24. **Monguchi, Yasunari** (Gifu Pharmaceutical University)
One-pot *N*-arylidole synthesis from 2-bromophenethylamine
25. **Moriya, Yuka** (Gakushuin University)
Chiral Phosphoric Acid Catalyzed Asymmetric Synthesis of Dihydroquinolones Using Aza-Michael Reaction
26. **Nakajima, Noriyuki** (Toyama Prefectural University)

Synthesis of procyanidins and their derivatives using silyl-protecting group

27. **Nambu, Hisanori** (University of Toyama)
Development of magnetic nanoparticle-supported iodoarene oxidative catalysts and its application
28. **Oguri, Kazuma** (Meijo university)
A concise synthesis of all stereoisomers of Dendroamide A employing fluorous-Fmoc reagents
29. **Sawama, Yoshinari** (Gifu Pharmaceutical University)
Stainless steel-mediated hydrogen generation from water using ball milling and its application
30. **Son, Seokhwan** (Sunchon Univ.)
Study on the Synthesis of Styrenated Phenol Using Organometallic Catalysts
31. **Sugiyama, Yuya** (Meijo university)
Asymmetric epoxidation of aromatic olefins using light fluorous salen manganese complex: Enhancement of enantioselectivity by the addition of benzotrifluoride as a cosolvent
32. **Yamada, Tsuyoshi** (Gifu Pharmaceutical University)
Platinum group metal on carbon-catalyzed multiple deuteration of arenes and alkanes in 2-propanol/D₂O mixed solvent
33. **Yashiro, Kazuki** (Keio University Faculty of Pharmacy Graduate School of Pharmaceutical Sciences)
Enzyme-catalyzed phenolic acetyl group manipulation technology-1: application to the synthesis of physiologically active natural products