The 8th Korea-China Symposium on Organic Chemistry

Jan. 31 – Feb. 4, 2016

Seoul, Republic of Korea

Organizing Committee

Professor Phil Ho Lee (Kangwon National University)

Professor Cheon-Gyu Cho (Hanyang University)

Professor Bongjin Moon (Sogang University)

Professor Zhi-Xiang Yu (Peking University)

Organized by

Center for Catalytic Organic Reactions, Kangwon National University

Center for New Directions in Organic Synthesis, Hanyang University

Sponsored by

National Research Foundation of Korea (NRF)

National Natural Science Foundation of China (NSFC)

The Korean Academy of Science and Technology (KAST)

Division of Organic Chemistry, The Korean Chemical Society

Welcome to the 8th Korea-China Symposium on Organic Chemistry

As chairman of the 8th Korea-China Symposium on Organic Chemistry, I would like to extend a warm welcome to all participants to this symposium. This is the eighth symposium following the previous meeting in July 2013 in Xi'an, China. This time, we have 14 chemists from each Korea and China as representative delegates. I am pleased to see some new faces among the participants in addition to old friends. I wish all of you a pleasant and enjoyable stay during the symposium, making new friends and strengthening our friendship, in addition to stimulating discussions on chemistry. I am sure that this symposium would provide a wonderful forum for exchanging new ideas and exciting chemistry among the participants, which eventually would lead to the development of organic chemistry in Asia and worldwide.

This bilateral symposium was initiated by Professor Sunggak Kim at KAIST and Professor Guo-Qiang Lin at SIOC fifteen years ago. Since the first meeting in China (Huangshan, August 2001), this bilateral symposium has been held continuously up to this 8th one in Seoul. All the entire previous symposiums have been very successful in the promotion of not only chemistry but also mutual understanding in both countries. I hope the previous success to continue for coming years through this Seoul meeting. The topics in this symposium will cover a broad area of organic chemistry, including organic synthesis, asymmetric catalysis, organometallics, organic functional materials, supramolecules, and so on.

As we all know, Seoul is the capital of Korea. Seoul is a beautiful and dynamic city where culture and history live in harmony with its people. Once again, I sincerely wish you a happy and enjoyable stay in Seoul.

I like to appreciate the financial support from Center for Catalytic Organic Reactions of Kangwon National University, Center for New Directions in Organic Synthesis of Hanyang University, National Research Foundation of Korea (NRF), National Natural Science Foundation of China (NSFC), and The Korean Academy of Science and Technology (KAST).

Thank you for attending the 8th Korea-China Symposium on Organic Chemistry.

Phil Ho Lee and Cheon-Gyu Cho
Chairmans of the 8th Korea-China
Symposium on Organic Chemistry
Jan. 31-Feb. 4, 2016

PROGRAM

January 31 (Sunday)

10:00-23:00 Arrival at *Hoam Faculty House*. Registration and Check in.

February 1 (Monday)

Morning Session

Chairperson **Bongjin Moon** (Sogang University)

9:00-9:15 Opening remarks
Phil Ho Lee (Kangwon National University)

Chairperson **Sang-gi Lee** (Ewha Womans University)

9:15-9:40 **Zhi-Xiang Yu** (Peking University)
Versatile Vinylcyclopropanes in Synthesizing Ring Compounds

9:40-10:05 **Seunghoon Shin** (Hanyang University)
Synthetic Method Development based on Rational Analysis of Intermediates

10:05-10:30 **Zhiping Li** (Renmin University)
Synthesis and Application of Organic Peroxides

10:30-10:45 Coffee Break

Chairperson **Sungwoo Hong** (KAIST)

10:45-11:10 **Juyoung Yoon** (Ewha Womans University)
Fluorescent Probes for Reactive Oxygen Species and Biothiols

11:10-11:35 **Xiaoyu Li** (The University of Hong Kong)
Target Identification: Methodology Development and a Case Study

11:35-12:00 **Hyun-Joon Ha** (Hankuk University of Foreign Studies)
Molecular Basis of Lipase-Mediated Stereodifferentiations

12:00-13:30 Lunch

Afternoon Session

Chairperson **Zhiping Li** (Renmin University)

13:30-13:55 **Do Hyun Ryu** (Sungkyunkwan University)
Catalytic Asymmetric Molecular Rearrangement Reactions with Diazo Compounds

13:55-14:20 **Ming-Hua Xu** (Shanghai Institute of Materia Medica, CAS)
Exceptionally Simple Olefin Ligands for Broad-Scope Asymmetric Catalysis

14:20-14:45 **Young Ho Rhee** (Pohang University of Science and Technology)
Palladium-Catalyzed Asymmetric Hydroalkoxylation of Allene: A Unique Access to Cyclic Acetal

14:45-15:10 **Liu-Zhu Gong** (University of Science and Technology of China)
C–H Activation-Based Asymmetric Allyation Reactions Enabled by Palladium/Brønsted Acid Combined Catalysis

15:10-15:20 Photo Session

15:20-15:35 Coffee Break

Chairperson **Ming-Hua Xu** (Shanghai Institute of Materia Medica, CAS)

15:35-16:00 **Soon Hyeok Hong** (Seoul National University)
Development of Highly Atom-Economical C–N Bond Formation Reactions Applying Hydrogen Transfer Strategy

16:00-16:25 **Zhan-Ting Li** (Fudan University)
Supramolecular Organic Framework (SOF): Periodic Self-Assembled Architectures in Water

16:25-16:50 **Hee-Seung Lee** (KAIST)
Recent Progress in Foldecture Research

16:50-17:15 **Zhao-Hui Wang** (Institute of Chemistry, CAS)
Tailor-Made Rylene Arrays for High Performance n-Channel Semiconductors

18:00-20:00 Dinner

February 2 (Tuesday)

Morning Session

Chairperson	**Young Ho Rhee** (Pohang University of Science and Technology)
9:00-9:25	**Yu-Rong Yang** (Kunming Institute of Botany, CAS)
	Total Synthesis of Tetracyclic Diquinane Lycopodium Alkaloids (+)-Paniculatine, (–)-Magellanine, (+)-Magellaninone
9:25-9:50	**Cheon-Gyu Cho** (Hanyang University)
	Aryl Hydrazide as Surrogate of Aryl Hydrazine and Beyond
9:50-10:15	**Guangxin Liang** (Nankai University)
	Stereoselective Total Synthesis of (+)-Roseophilin and (-)-Roseophilin
10:15-10:30	Coffee Break
Chairperson	**Zhan-Ting Li** (Fudan University)
10:30-10:55	**Sungwoo Hong** (KAIST)
	Investigation of Catalytic Approach for Successful Implementation of Fragment-Based Design
10:55-11:20	**Wei Wang** (Lanzhou University)
	Porous Catalysis Investigated by Solid-State NMR Spectroscopy
11:20-11:45	**Bongjin Moon** (Sogang University)
	Design and Synthesis of Chemical Tags for Improving Mass Spectrometric Analysis of Biomolecules
12:00-13:30	Lunch

Afternoon Session

Chairperson	**Seunghoon Shin** (Hanyang University)
13:30-13:55	**Jin Qu** (Nankai University)
	Intramolecular Polycyclization Reactions Using Epoxide as the Initiator

13:55-14:20 **Sukbok Chang** (KAIST)
Boron-Catalyzed Silylative Reduction of N-Heterocycles and Conjugated Carbonyl Compounds

14:20-14:45 **Wei He** (Tsinghua University)
Rh-Catalyzed Syntheses of Novel Siloles

14:45-15:10 **Phil Ho Lee** (Kangwon National University)
Rh-Catalyzed Transannulation Using N-Sulfonyl-1,2,3-triazoles

15:10-15:25 Coffee Break

Chairperson **Zhi-Xiang Yu** (Peking University)

15:25-15:50 **Bing-Feng Shi** (Zhejiang University)
PIP Bidentate Auxiliary in C–H Functionalization: Synthetic Application and Mechanistic Studies

15:50-16:15 **Duck-Hyung Lee** (Sogang University**)**
Convergent and Enantioselective Total Synthesis of (-)-Amphidinolide O and P

16:15-16:40 **Lei Liu** (Shandong University)
Catalytic Enantioselective Oxidative C–H Functionalization of Heterocycles

16:40-17:05 **Sang-gi Lee** (Ewha Womans University)
Tandem Divergent Catalysis using the Blaise Reaction Intermediate

17:05-17:20 Closing Remarks
Cheon-Gyu Cho (Hanyang University)

18:00-20:00 Dinner

February 3 (Wednesday)

9:00- Free discussion

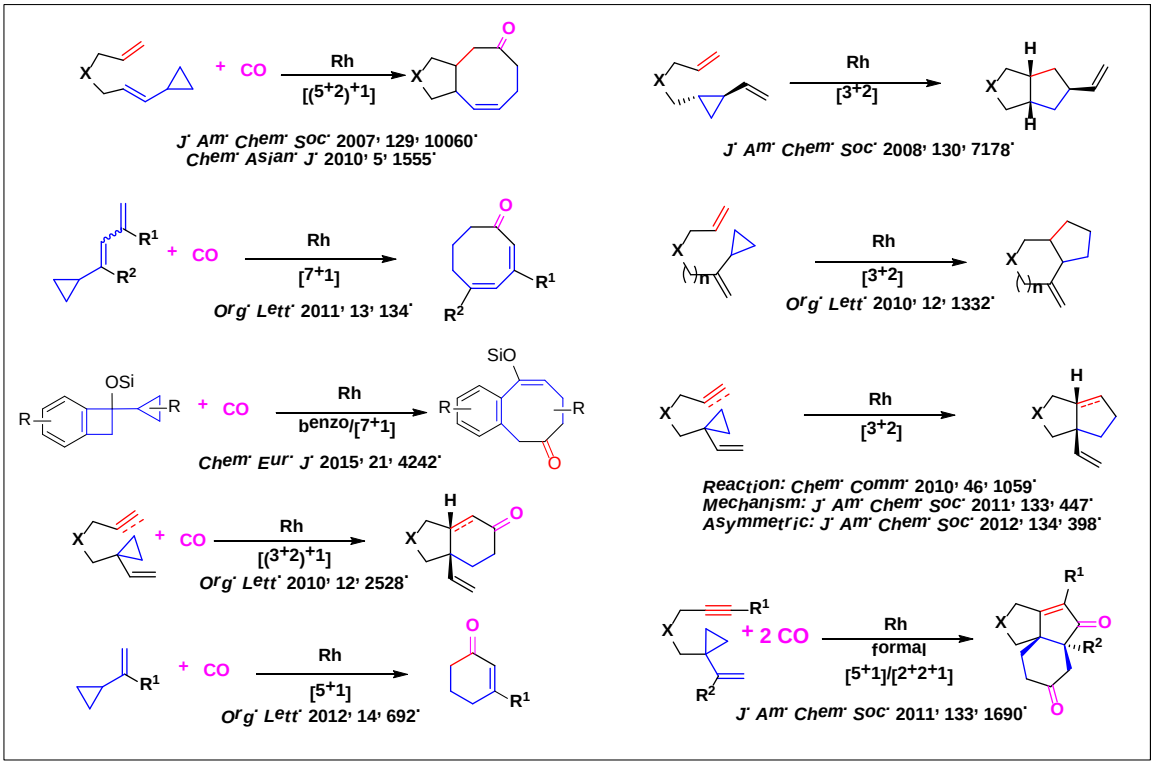
February 4 (Thursday)

09:00-24:00 Departure from *Hoam Faculty House*

Versatile Vinylcyclopropanes in Synthesizing Ring Compounds

Zhi-Xiang Yu
College of Chemistry, Peking University
Beijing 100871, China
Email: yuzx@pku.edu.cn

Under transition metal catalysis, vinylcycloropanes can act as either five-carbon units or three-carbon units to undergo various [m+n], [m+n+o] cycloadditions with other carbon units such as alkenes, alkynes, CO, demonstrating the versatility of vinylcyclopropanes in synthesizing various ring compounds. In this talk, several Rh-catalyzed cycloaddition reactions of vinylcycloropanes for the synthesis of ring compounds developed in the Yu group, such as the [(5+2)+1], [7+1], benzo/[7+1], [3+2], [(3+2)+1], formal [5+1]/[2+2+1], [5+1] reactions, will be presented. Also the application of these cycloadditions in natural product synthesis will be briefly introduced.



References

1. Jiao, L.; Yu, Z.-X. *J. Org. Chem.* **2013**, *78*, 6842.
2. Wang, Y.; Yu, Z.-X. *Acc. Chem. Res.* **2015**, *48*, 2288.

CURRICULUM VITAE – ZHI-XIANG YU

PERSONAL

Date & Place of Birth	October, 1969, Hubei, China
Work Address	Department of Chemistry Peking University Beijing 100871, China
Telephone	+8610-6276-7735
Fax	+8610-6276-7735
E-mail:	yuzx@pku.edu.cn

Home Page: http://www.chem.pku.edu.cn/zxyu/

EDUCATION

B.Sc.	Wuhan University, 1987-1991
M. Sc.	Peking University, 1994-1997
Ph.D.	Hong Kong University of Science & Technology, 1997-2001
Postdoctoral Fellow	University of California, Los Angeles, 2001-2004

ACADEMIC CAREER

Associate Professor	Peking University, 2004-2008
Professor	Peking University, 2008-present

QUALIFICATIONS AND AWARDS

(1) The National Science Fund for Distinguished Young Scholars of China, **2008**
(2) The Young Chemist Award, the Chinese Chemical Society & the Royal Society of Chemistry, **2008**.
(3) Thieme Synlett/Synthesis Journal Award, **2008**.
(4) The Chinese Chemical Society-SciFinder Award for Creative Work in Synthetic Organic Chemistry, **2012**.
(5) The Chinese Chemical Society-Physical Organic Chemistry Award, **2012**.

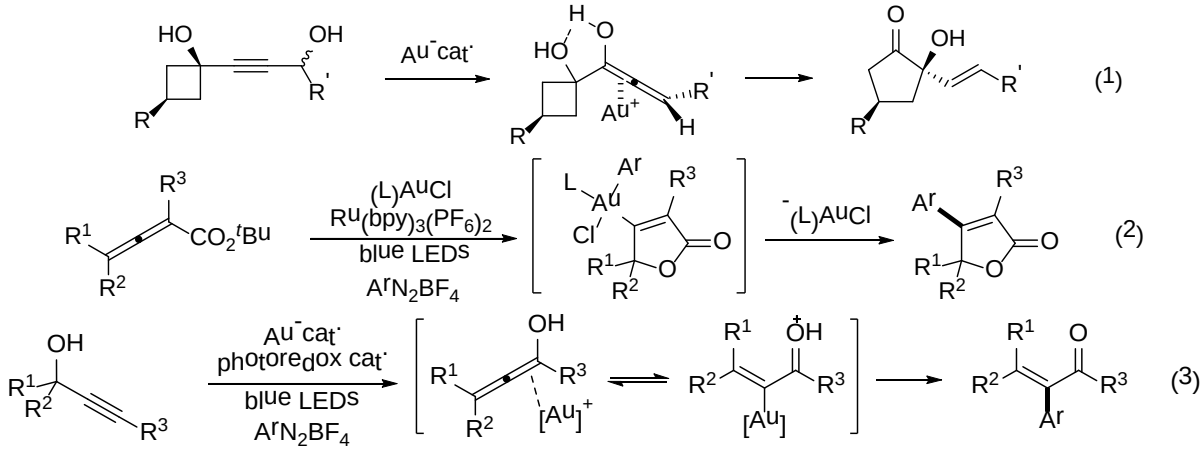
RESEARCH INTERESTS

Theoretical and Synthetic Organic Chemistry: Joining Forces of Computation and Organic Synthesis to
1) Study Reaction Mechanisms;
2) Discover, Design and Develop New Reactions;
3) Synthesize Natural and Non-Natural Products;
4) Design and Discover New Drug Leads.

Synthetic Method Development based on Rational Analysis of Intermediates

Seunghoon Shin
Department of Chemistry, Hanyang University
222 Wangsimni-ro, Seongdong-gu, Seoul, of Korea 133-791
E-mail: sshin@kangwon.ac.kr

Proper analysis and identification of reaction intermediates in transition metal catalysis often lead to new reaction discovery and gold-catalysis is no exception in this regard. In this presentation, we report some of our recent investigation on the following reaction intermediates: π-bound allenol-Au and σ-bound alkene-Au complexes. Allenols are found to be transient intermediates in the gold-catalyzed Meyer-Schuster rearrangement of propargyl alcohols *en route* to enones. In our tandem Meyer-Schuster rearrangement and ring expansion (eq. 1), [1] the allenol intermediates play key roles in the diastereo- and enantioselective ring expansion of cyclobutanols having a butyne-1,4-diol unit into cyclopentanones. Notable feature in obtaining stereoselectivity include i) hydrogen-bonding of allenol with adjacent alcohol, ii) rapid epimerization of the allene axis. Then the utilization of reaction intermediate will be discussed in the context of cross-coupling chemistry. While directed C-H activation proved fruitful in obtaining regioselective cross-coupling, utilization of *transient* C(sp^2)-metal species has not studied well, although such a protocol do not need the attachment/detachment of directing groups and thus represent greener approach to cross-coupling. Prompted by the dual Au/photoredox catalysis,[2a] the C(sp^2)- C(sp^2) coupling of butenolides was realized.[2b] This protocol is catalytic both in gold and photocatalyst and utilizes diazoniums for the dual role of external oxidant and coupling partner. Success of such coupling strategy requires that the oxidation of C(sp^2)-Au should be faster than its proto-demetallation and presents a significant challenges when the vinyl gold complexes are unstable. We address this by successful arylation of allenol intermediates from Meyer-Schuster rearrangement.[2c]



References

1. An, J. -H.; Yun, H.; Shin, S.; Shin, S. *Adv. Synth. Catal.* **2014**, *356*, 3749.
2. (a) Sahoo, B.; Hopkinson, M. N.; Glorius, F. *J. Am. Chem. Soc.* **2013**, *135*, 5505. (b) Patil, D. V.; Yun, H.; Shin, S. *Adv. Synth. Catal.* **2015**, *357*, 2622. (c) Um, J.; Yun, H.; Shin, S. *manuscript in preparation.*

CURRICULUM VITAE – SEUNGHOON SHIN

PERSONAL

Date & Place of Birth	24 December, 1970, Korea
Work Address	Department of Chemistry Hanyang University 222 Wangsimni-ro, Seongdong-gu Seoul, Korea 133-791
Telephone	+82-2-2220-0948
Fax	+82-2-2299-0762
E-mail:	sshin@hanyang.ac.kr
Home Page:	http://lcos.hanyang.ac.kr

EDUCATION

B.Sc.	Seoul National University, 1989-1994
M. Sc.	Seoul National University, 1994-1996
Ph.D.	The Ohio State University, 1996-2001 (with Professor T. V. RajanBabu)
Postdoctoral Fellow	Stanford University, USA, 2002-2004 (with Professor B. M. Trost)

ACADEMIC CAREER

2004.3-present, Assistant, Associate, and Full Professor, Hanyang Univertsity

QUALIFICATIONS AND AWARDS

(1) HYU academic award, Hanyang University, 2014
(2) Young Investigator Award, Korean Society of Organic Synthesis, 2014
(3) Shim Sangchul Award, The Korean Chemical Society, 2013
(4) Wiley Young Chemist Award, The KCS-Wiley, 2010
(5) The Distinguished Lectureship Award, The Chemical Society of Japan, 2010

RESEARCH INTERESTS

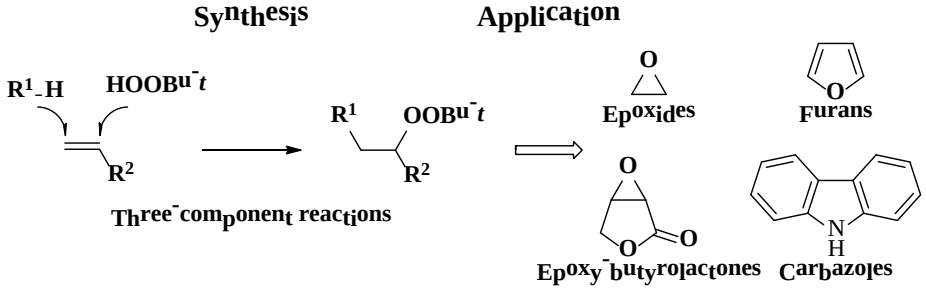
Synthetic methodology, organometallic, catalysis

Synthesis and Application of Organic Peroxides

Zhiping Li
Department of Chemistry, Renmin University of China
Beijing, 100872, China
E-mail: zhipingli@ruc.edu.cn

Organic peroxides have received considerable attention in pharmacy, biochemistry and food chemistry because of their close relationship with drug design, cell damage, and food safety. Organic peroxides also play as key reactive intermediates in synthetic chemistry. However, the established methods for peroxide formation have limited scope.

Recently, we developed the three-component strategy for the syntheses of the densely functionalized peroxides.[1-3] The methodology allows a functional group and a peroxy group to add across C=C bonds of alkenes selectively. The generated mixed peroxides could be efficiently and selectively transformed into multifunctionalized epoxides in the presence of a base catalyst, which are difficult to be obtained through the conventional methods.[4,5] The developed methods were successfully applied to synthesize the natural products, clavilactones, containing α,β-epoxy-γ-butyrolactone skeleton.[4,6] In addition, 2,3-disubstituted furans were constructed by the brønsted acid-catalyzed rearrangement of γ-carbonyl peroxides via 1,2-aryl migration.[7] Furthermore, γ-carbonyl *tert*-butylperoxides were applied as a new diene building block for the π-extension of simple indoles, by which carbazole alkaloids were synthesized concisely and selectively.[8]



References

1. Liu, W.; Li, Y.; Liu, K.; Li, Z. *J. Am. Chem. Soc.* **2011**, *133*, 10756.
2. Liu, K.; Li, Y.; Zheng, X.; Liu, W.; Li, Z. *Tetrahedron* **2012**, *68*, 10333.
3. Lu, S.; Qi, L.; Zheng, X.; Li, Z. *To be submitted*.
4. Liu, K.; Li, Y.; Liu, W.; Zheng, X.; Zong, Z.; Li, Z. *Chem. Asian. J.* **2013**, *8*, 359.
5. Zhong, Z.; Lu, S.; Wang, W.; Li, Z. *To be submitted*.
6. Lv, L.; Shen, B.; Li, Z. *Angew. Chem. Int. Ed.* **2014**, *53*, 4164.
7. Zheng, X.; Lu, S.; Li, Z. *Org. Lett.* **2013**, *15*, 5432.
8. Zheng, X.; Lv, L.; Lu, S.; Wang, W.; Li, Z. *Org. Lett.* **2014**, *16*, 5156.

CURRICULUM VITAE – ZHIPING LI

PERSONAL

Date & Place of Birth	7 February, 1971, China
Work Address	Department of Chemistry Renmin University of China Beijing, 100872, China
Telephone	+86-10-6251-4226
Fax	+86-10-6251-6444
E-mail:	zhipingli@ruc.edu.cn
Home Page:	http://chem.ruc.edu.cn/ligroup/index.html

EDUCATION

B.Sc. & M. Sc.	Nanjing University of Science and Technology, 1989-1995
Ph. D.	Dalian University of Technology, 1996-1999
Postdoctoral Fellow	Peking University, China, 1999-2000 (with Professor Zhenfeng Xi)
Postdoctoral Fellow	Hokkaido University, Japan, 2001-2002 (with Professor Tamotsu Takahashi)
Postdoctoral Fellow	McGill University, Canada, 2004-2006 (with Professor Chao-Jun Li)

ACADEMIC CAREER

2002-2004, Assistant Professor, Peking University
2006-present, Associate, and Full Professor, Renmin Univertsity of China

QUALIFICATIONS AND AWARDS

(1) New Century Excellent Talents in University (Chinese Ministry of Education), 2007
(2) The Distinguished Lectureship Award (The Chemical Society of Japan), 2010
(3) Thieme Chemistry Journal Award (Synlett, Synthesis & Synfacts), 2015

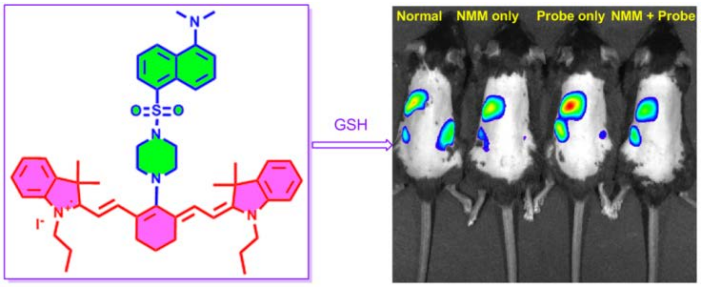
RESEARCH INTERESTS

Iron-catalyzed oxidative transformation of C-H bond, Iron-catalyzed transformation of C-X bond, Synthesis & transformation of functional organic peroxides, Syntheses of natural products.

Fluorescent Probes for Reactive Oxygen Species and Biothiols

Juyoung Yoon
Department of Chemistry and Nano Science, Ewha Womans University
Seoul 120-750, Republic of Korea
E-mail: jyoon@ewah.ac.kr

Fluorescence is an important detection method due to its simplicity and high detection limit. In this presentation, we focus our recent contributions to fluorescent chemosensors. We designed two **FBS**[1] and **PIS**[2] as new fluorescent HOCl probes which have high selectivity, sensitivity and short response time in a broad range of pH. Compared with other sensors, the "dual-lock" structure of **FBS** has an advantage of eliminating interferes from other ROS/RNS. We also designed and prepared the imidazoline-2-thione containing OCl^- probes, **PIS** and **NIS**, which operate through specific reactions with OCl^- that yield corresponding fluorescent imidazolium ions. Importantly, we demonstrated that **PIS** can be employed to image OCl^- generation in macrophages in a co-culture system. A new GSH selective cyanine derivative will be also presented.[3] The potential biological utility of this probe was shown by its use in fluorescence imaging of GSH in living cells and in monitoring GSH in vivo in a mouse model.



References

1. Xu, Q.; Lee, K.-A.; Lee, S.; Lee, K. M.; Lee, W.-J.; Yoon, J. *J. Am. Chem. Soc.* **2013**, *135*, 9944.
2. Xu, Q.; Heo, C. H.; Kim, G.; Lee, H. W.; Kim, H. M.; Yoon, J. *Angew. Chem. Int. Ed.* **2015**, *54*, 4890.
3. Yin, J.; Kwon, Y.; Kim, D.; Lee, D.; Kim, G.; Hu, Y.; Ryu, J.-H.; Yoon, J. *J. Am. Chem. Soc.* **2014**, *136*, 5351.

CURRICULUM VITAE – JUYOUNG YOON

PERSONAL

Date & Place of Birth	23 June, 1964, Korea
Work Address	Department of Chemistry and Nano Science Ewha Womans University 52 Ewhayeodae-gil, Seodaemun-gu Seoul 120-750, Korea
Telephone	+82-2-3277-2400
Fax	+82-2-3277-3419
E-mail:	jyoon@ewha.ac.kr
Home Page:	http://home.ewha.ac.kr/~jyoon/

EDUCATION

B.Sc.	Seoul National University, 1983-1987
Ph. D.	The Ohio State University, 1990-1994 (with Professor A. W. Czarnik)
Postdoctoral Fellow	UCLA, USA, 1994-1996 (with Professor Donald J. Cram)
Postdoctoral Fellow	Scripps Research Institute, USA, 1996-1998 (with Professor Kim D. Janda)

ACADEMIC CAREER

1998. 3-2002. 9, Full Time Lecture, Assistant Professor, Silla University
2002. 9-present, Assistant, Associate, and Full Professor, Ewha Womans University
2012-present, Center for Multidimentional Organic Materials, Creative Research Initiative (CRI), Director

QUALIFICATIONS AND AWARDS

(1) "Shim Sang Chul Award" from Organic Division of Korean Chemical Society, 2008
(2) "Monthly Best Scientist Award" by Ministry of Science and Technology of Korea, 2011
(3) "Knowledge Creation Grand Prize" (MEST), 2012
(4) A Member of Korean Academy of Science and Technology
(5) Fellow of Royal Society of Chemistry (RSC)

CURRENT SCIENTIFIC ACTIVITIES

2013–Present, Editorial Advisory Board; *Chemical Society Reviews* (RSC)
2014–Present, Editorial Advisory Board; *Scientific Reports* (Nature Publishing Group)
2015–Present, Editorial Board; *ACS Applied Materials & Interfaces* (ACS)
2015–Present, Editor; *Dyes & Pigments* (Elsevier)

RESEARCH INTERESTS

Fluorescent Probes; Chemosensors; Molecular Recognition; Organic Functional Materials

Target Identification: Methodology Development and a Case Study

Xiaoyu Li
Department of Chemistry, The University of Hong Kong, Hong Kong, China
E-mail: xiaoyuli@hku.hk

Accurate characterization of small molecule-protein interactions is one of the most important but very challenging tasks in chemical, biological, and pharmaceutical sciences.

In the first part of the presentation, we will describe a novel affinity labeling method named DNA-programmed Photo-Affinity Labeling (DPAL). DPAL's unique dual-probe system provides simple, flexible and modular affinity labeling of small molecule's protein targets. Importantly, the introduction of DNA tags enables multiplexed protein labeling. We will describe the methodology development and its recent extension to studying DNA-protein interactions.

In the second part, we will report a target identification project we recently completed. Terazosin, a marketed anti-hypertension drug, exhibits anti-apoptosis and protective activities in septic mouse. We have identified terazosin's new target of pgk-1 and elucidated the molecular mechanism underlying its new biological activities.

References

1. Li, G.; Liu, Y.; Liu, Y.; Chen, L.; Wu, S.; Liu, Y.; Li, X. *Angew. Chem. Int. Ed.* **2013**, 52, 9544.
2. Li, G.; Liu, Y.; Yu, X.; Li, X. *Bioconjugate Chem.* **2014**, 25, 1172.
3. Liu, Y.; Zheng, W.; Chen, N.; Liu, Y.; Chen, L.; Zheng, H.; Chen, X., Li, X. *Chem. Sci.* **2015**,*6*, 745.
4. Chen, X.; Zhao, C.; Li, X.; Wang, T.; Li, Y.; Cao, C.; Ding, Y.; Dong, M.; Finci, L.; Wang, J.; Li, X.; Liu, L. *Nat. Chem. Biol.* **2015**, *11*, 19.

CURRICULUM VITAE – XIAOYU LI

PERSONAL

Date & Place of Birth	28 July, 1975, China
Work Address	Department of Chemistry The University of Hong Kong Pokfulam Road Hong Kong SAR, China
Telephone	+852-2517 8042
Fax	
E-mail:	xiaoyuli@hku.hk
Home Page:	

EDUCATION

B.Sc.	Peking University, 1993-1997
M. Sc. & Ph. D.	The University of Chicago, 1997-2002 (with Professor David G. Lynn)
Postdoctoral Fellow	Harvard University, USA, 2002-2004 (with Professor David. R. Liu)

ACADEMIC CAREER

2015.10-present, Associate Professor, The University of Hong Kong
2009. 11-2015.9, Associate Professor, Peking University

RESEARCH INTERESTS

Bio-organic chemistry, chemical biology, DNA-encoded library, target identification.

Molecular Basis of Lipase-Mediated Stereodifferentiations

Hyun-Joon Ha
Department of Chemistry, Hankuk University of Foreign Studies
Yongin, 449-791, Republic of Korea
E-mail: hjha@hufs.ac.kr

Lipase as a biocatalyst can provide a new route to yield enantiomerically pure cyclic compounds including lactones and aziridines. Alkyl aziridine-2-carboxylates as useful chiral building blocks[1] were also efficiently resolved by lipase from *Candida Antarctica* (CAL-B) in *t*-BuOH saturated with NH_3 by stereoselective ammoniolysis. The rate and stereoselectivity in CAL-B mediated reactions of aziridine-2-carboxylates were affected drastically by the N-1 substituent on the aziridine ring, which was explained by modeling an "umbrella-like-inversion orientation".[2] This new conceptual term, an "umbrella-like-inversion orientation", expands to our earlier finding[3] regarding to the *Burkholderia cepacia* lipase (BCL)-mediated hydrolysis of γ-acetyloxymethyl-γ-butyrolactones. The origin of the completely reversed enantioselectivity by BCL[3] was investigated by molecular dynamics in the hydrolytic reaction of *cis*- and *trans*-β-alkyl γ-acetyloxymethyl-γ-butyrolactones. The result showed that the β-alkyl substituent was accommodated at the large hydrophobic pocket along H-bonds of carbonyl oxygen of the lactone with the "umbrella-like inversion" as a substrate-binding mode to the enzyme.[4]

The aforementioned cases strongly suggest the possibility to enhance the stereoselectivity of the lipase-mediated reactions by the interaction of the remote functional group of the substrate and the active site residues of lipase enzyme. CAL-B-catalyzed desymmetrization of prochiral 3-alkylglutaric acid diesters was succeeded for the preparation of optically 3-alkylglutaric acid monoesters bearing various alkyl substituents including methyl, ethyl, propyl, allyl and alkynyl. Allyl esters showed far better stereoselectivity suggesting a possible π-π interaction between the olefin of substrate and the Trp104 and/or His224 redidues at the enzyme active site, which we call "olefin effect".[5] Based on this reaction, the synthesis of (*S*)-(+)-3-aminomethyl-5-methylhexanoic acid known as a commercial drug pregabalin® was achieved in 70% overall yield.

References

1. (a) Lee, W.-K.; Ha, H.-J. *Aldrichimica Acta* **2003**, *36*, 57. (b) Ha, H.-J.; Jung, J.-H.; Lee, W. K. *Asian J. Org. Chem.* **2014**, *3*, 1020.
2. Park, J.-H.; Ha, H.-J.; Lee, W. K.; Généreux-Vincent, T.; Kazlauskas, R. J. *ChemBioChem.* **2009**, *10*, 2213.
3. Ha, H.-J.; Yoon, K.-N.; Lee, S.-Y.; Park, Y.-S.; Lim, M.-S.; Yim, Y.-G., *J. Org. Chem.* **1998**, *63*, 8062.
4. Eum, H.; R. Kazlauskas, R. J. Ha, H.-J. *Adv. Synth. Catal.* **2014**, *356*, 3585.
5. Jung, J.-H.; Yoon, D.-H.; Kang, P.; Lee, W. K.; Eum, H.; Ha, H.-J. *Org. Biomol. Chem.* **2013**, *11*, 3635

CURRICULUM VITAE – HYUN-JOON HA

PERSONAL

Date & Place of Birth	18 Nov, 1959, Korea
Work Address	Department of Chemistry Hankuk University of Foreign Studies Yongin, 449-791, Korea
Telephone	+82-31-330-4369
Fax	+82-31-330-4566
E-mail:	hjha@hufs.ac.kr
Home Page:	http://www.bioorg.org

EDUCATION

B.Sc.	Seoul National University, 1978-1982
M. Sc. & Ph. D.	Brown University, 1982-1986 (with Professor David E. Cane)
Postdoctoral Fellow	Stanford University, USA, 1986-1987 (with Professor Mike C. Pirrung)

ACADEMIC CAREER

1988-1991 Senior Research Scientist. KIST, Korea
1991-present, Assistant, Associate, and Full Professor, Hankuk University of Foreign Studies
1993-1993 Visiting Scholar, Emanuel College, Department of Chemistry, University of Cambridge, UK
2004-2006 Dean of General Affairs, Hankuk University of Foreign Studies
2010-2012 Associate Editor, Bulletin of the Korean Chemical Society
2012-present, Associate Editor, Asian Journal of Organic Chemistry
2013-2015 Dean, College of Natural Science, Hankuk University of Foreign Studies

QUALIFICATIONS AND AWARDS

(1) ACP Lectureship Awards (2010, 12 and 2011, 12)
(2) Aldrich Award, Korean Chemical Society (2012.10.)
(3) Award of Organic Chemistry, Division of Organic Chemistry, Korean Chemical Society (2015, 2)

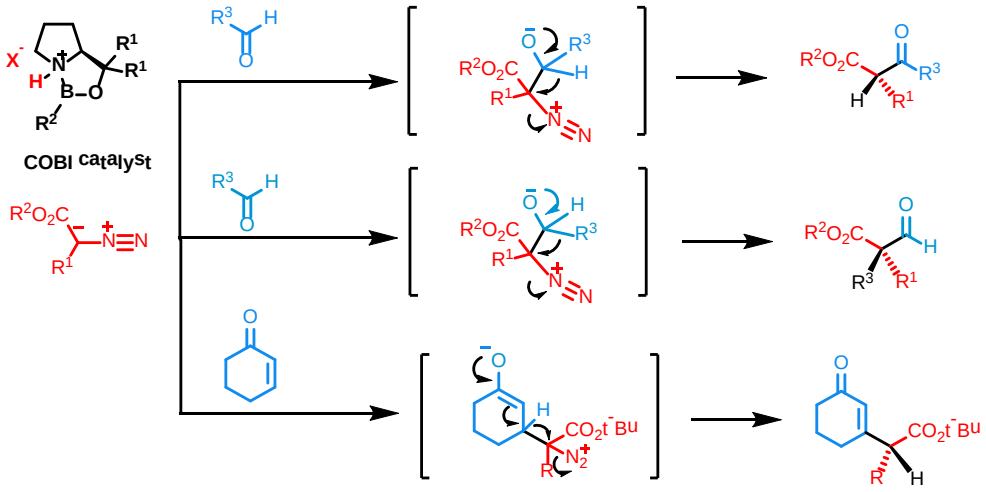
RESEARCH INTERESTS

Heterocycles and aziridine chemistry, Asymmetric synthesis, Synthetic methodology, Lipase-mediated reactions, Radiopharmaceuticals and Medicinal Chemistry.

Catalytic Asymmetric Molecular Rearrangement Reactions with Diazo Compounds

Do Hyun Ryu
Department of Chemistry, Sungkyunkwan University, Suwon 440-742, Republic of Korea
E-mail: dhryu@skku.edu

The chemistry of α-diazocarbonyl compounds has attracted great attention because of its extensive applications in organic chemistry since the first recorded synthesis of ethyl diazoacetate by Curtius in 1883. We developed highly enantioselective, catalytic cyclopropanation with diazoesters. In the presence of chiral oxazaborolidinium ion in high yield (up to 93%) with high to excellent diastereoselectivity (up to 98% de) and enantioselectivity (up to 95% ee).[1] In this presentation, we report that highly enantioselective Carbon-Hydrogen[2] and Carbon-Carbon insertion[3] reactions with various diazo compounds. Valuable chiral materials were obtained efficiently. In addition, theses methodologies were successfully applied to the synthesis of the natural products, sitophilate, and (+)-epijuvabione. As another application, optically active quaternary α- and β-amino esters were easily prepared from all carbon α-quaternary aldehydes in good yields and excellent enantioselectivities.



References

1. Gao, L.; Hwang, G.-S.; Ryu, D. H. *J. Am. Chem. Soc.* **2011**, *133*, 20708.
2. (a) Gao, L.; Kang, B. C.; Hwang, G.-S.; Ryu, D. H. *Angew. Chem. Int. Ed.* **2012**, *51*, 8322. (b) Lee, S. I.; Kang, B. C.; Hwang, G.-S.; Ryu, D. H. *Org. Lett.* **2013**, *15*, 1428 (c) Lee, S. I.; Hwang, G.-S.; Ryu, D. H. *J. Am. Chem. Soc.* **2013**, *135*, 7126. (d) Kang, B. C.; Nam, D, G.; Hwang, G.-S.; Ryu, D. H *Org. Lett.*, **2015**, *17* 4810. (e) Shin, S. H.; Baek, E. H.; Hwang, G. S.; Ryu, D. H *Org. Lett.*, **2015**, *17* 4746.
3. (a) Gao, L.; Kang, B. C.; Ryu, D. H. *J. Am. Chem. Soc.*, **2013**, *135*, 14556. (b) Kang, B. C.; Hwang, G.-S.; Ryu, D. H. *Org. Lett.* **2014**, *16*, 2077.

CURRICULUM VITAE – DO HYUN RYU

PERSONAL

Date & Place of Birth	3 January, 1970, Korea
Work Address	Department of Chemistry Sungkyunkwan University, Suwon 440-742, Korea
Telephone	+82-31-290-5931
Fax	+82-31-290-5976
E-mail:	dhryu@skku.edu
Home Page:	http://chemistry.skku.edu/dhryu

EDUCATION

B.Sc.	KAIST, 1987-1991
M. Sc. & Ph. D.	KAIST, 1991-1997 (with Professor Sung Ho Kang)
Postdoctoral Fellow	Harvard Medical School, USA, 2000-2002 (with Professor R. R. Rando) Harvard University, USA, 2002-2005 (with Professor E. J. Corey)

ACADEMIC CAREER

2005. 3-present, Assistant, Associate, and Full Professor, Sungkyunkwan University
2011-2012, Adjunct Professor, San Diego State University, USA

QUALIFICATIONS AND AWARDS

(1) Thieme Chemistry Journals Award 2008, Thieme Chemistry, 2008. 1. 9
(2) Asian Core Program Lectureship Award, Asian Core Program, 2010, 11. 10
(3) Asian Core Program Lectureship Award, the New Phase Asian Core program, 2012, 12, 14
(4) 17 th Chang-Sei Hee Scholar Award, 2014

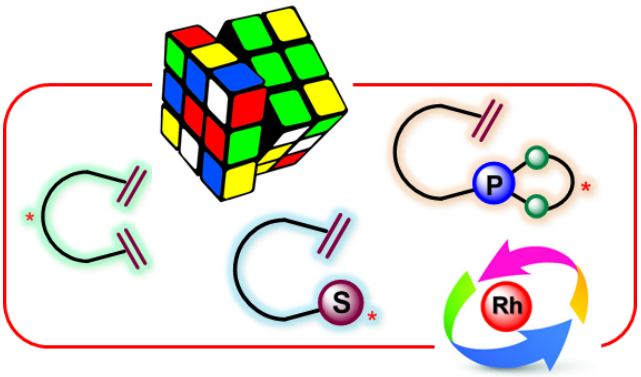
RESEARCH INTERESTS

Asymmetric boron-centered catalyst, synthetic methodology using rearrangements, total synthesis of natural products.

Exceptionally Simple Olefin Ligands for Broad-Scope Asymmetric Catalysis

Ming-Hua Xu
State Key Laboratory of Drug Research, Shanghai Institute of Materia Medica, Chinese Academy of Sciences, 555 Zuchongzhi Road, Shanghai 201203
E-mail: xumh@simm.ac.cn

The design of simple chiral ligands with great performance in transition-metal-catalyzed asymmetric reactions is an extremely attractive but rather challenging subject for organic synthesis and chemical & pharmaceutical industry. Despite considerable efforts over the past decades, there have been limited successes on rational design of simple chiral skeletons capable of efficient asymmetric catalysis. Recently, we reported our discovery of a novel class of chiral sulfur-based olefin ligands (SOLs)[1] bearing exceptionally simple frameworks and their broad-scope application in a series of rhodium-catalyzed asymmetric addition to C=C, C=O and C=N double bonds.[2] In this presentation, we will describe some of these progresses. In addition, success in designing a new series of simple chiral P-olefin ligands[3] consisting of an open-chain non-cyclic alkene skeleton as well as easily available C_1-symmetric diene ligands[4] bearing bicyclo[2.2.2]octadiene framework will be introduced.



References

1. For a feature article on sulfur-olefins: Li, Y.; Xu, M.-H. *Chem. Commun.* **2014**, *50*, 3771-3782.
2. For selected examples: (a) Jin, S.-S.; Wang, H.; Xu, M.-H. *Chem. Commun.* **2011**, *47*, 7230. (b) Qi, W.-Y.; Zhu, T.-S.; Xu, M.-H. *Org. Lett.* **2011**, *13*, 3410. (c) Zhu, T.-S.; Jin, S.-S.; Xu, M.-H. *Angew. Chem., Int. Ed.* **2012**, *51*, 780. (d) Zhu, T.-S.; Chen, J.-P.; Xu, M.-H. *Chem.–Eur. J.* **2013**, *19*, 865. (e) Wang, H.; Jiang, T.; Xu, M.-H. *J. Am. Chem. Soc.* **2013**, *135*, 971. (f) Li, Y.; Zhu, D.-X.; Xu, M.-H. *Chem. Commun.* **2013**, *49*, 11659. (g) Wang, H.; Li, Y.; Xu, M.-H. *Org. Lett.* **2014**, *16*, 3962. (h) Jiang, T.; Wang, Z.; Xu, M.-H. *Org. Lett.* **2015**, *17*, 528.
3. (a) Yu, Y.-N.; Xu, M.-H. *Org. Chem. Front.* **2014**, *1*, 738. (b) Yu, Y.-N.; Xu, M.-H. *Acta Chim. Sinica* **2014**, *72*, 815.
4. Chen, D.; Zhang, X.; Qi, W.-Y.; Xu, B.; Xu, M.-H. *J. Am. Chem. Soc.* **2015**, *137*, 5268.

CURRICULUM VITAE - MING-HUA XU

PERSONAL

Date & Place of Birth	March, 1971, China
Work Address	State Key Laboratory of Drug Research Shanghai Institute of Materia Medica Chinese Academy of Sciences 555 Zuchongzhi Road, Shanghai 201203, China
Telephone	+86-21-5080-7388
Fax	+86-21-5080-7388
E-mail:	xumh@simm.ac.cn
Home Page:	http://english.simm.cas.cn/fa/fas/

EDUCATION

B.Sc.	Ningbo Normal University, 1989-1993
M. Sc.	East China Normal University, 1993-1996
Ph. D.	Shanghai Institute of Organic Chemistry, CAS, 1996-1999
Postdoctoral Fellow	University of Virginia, USA, 1999-2002
	Georgetown University Medical Center, USA, 2002-2003

ACADEMIC CAREER

2005.7-present, Professor, Shanghai Institute of Materia Medica, CAS
2003.8-2005.6, Associate Professor, Shanghai Institute of Organic Chemistry, CAS

QUALIFICATIONS AND AWARDS

(1) Chinese Chemical Society Award for Young Chemists (2005)
(2) CAS Hundred Talent Program (2005)
(3) WuXi PharmaTech Life Science & Chemistry Award (2007)
(4) Chinese Academy of Sciences Excellent Mentor Award (2013)
(5) National Science Fund for Distinguished Young Scholars (2013)
(6) Excellent Academic Leaders of Shanghai (2014)

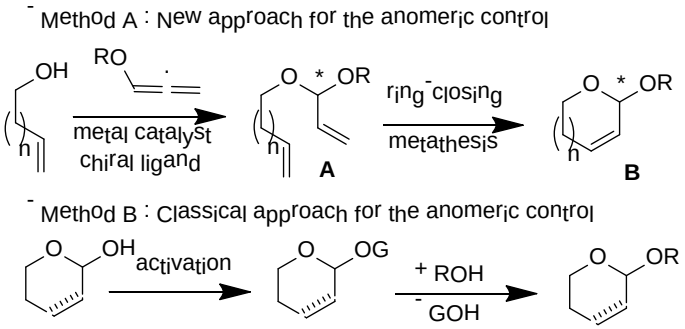
RESEARCH INTERESTS

New synthetic methodologies, asymmetric reactions, synthesis of biologically active natural and un-natural molecules, and medicinal chemistry.

Palladium-Catalyzed Asymmetric Hydroalkoxylation of Allene: A Unique Access to Cyclic Acetal

Young Ho Rhee
Department of Chemistry, POSTECH (Pohang University of Science and Technology)
Hyoja-dong San 31, Nam-gu, Pohang, Republic of Korea 790-784
E-mail: yhrhee@postech.ac.kr

Asymmetric formation of carbon-oxygen bond represents one of the most fundamental yet challenging transformations in synthetic organic chemistry. In particular, intermolecular asymmetric hydroalkoxylation of allene, to our best knowledge, still remains unknown. In this context, we envisioned that the chiral ligand-directed addition of olefinic alcohol to alkoxyallene would generate enantioenriched acyclic mixed acetal **A** (Method A) based upon our related works in this area. The subsequent RCM (ring-closing-metathesis) reaction would give the cyclic acetal **B**. This unprecedented method suggests unique control of the anomeric information in the cyclic acetals, which is of crucial importance in delineating the structure and function of structurally complex oligosaccharides and glycoconjugates. In conventional approaches for the anomeric control, synthesis of the oxacyclic framework precedes formation of the anomeric center (Method B). This method generally requires stoichiometric amount of activating groups and extensive protective group strategies. Moreover, controlling the anomeric configuration relies heavily on the nature of the pre-existing substituents. The proposed method is distinguished from the conventional ones in that the activating groups are not needed. In addition, the diversity can be easily pursued with regard to the ring size and the anomeric configuration of the cyclic acetal. Herein, our recent progresses in this area will be reported.



References

1. Kim, H.; Rhee, Y. H. *J. Am. Chem. Soc.* **2012**, *134*, 4011.
2. Kim, H.; Lim, W.; Im, D.; Kim, D.; Rhee, Y. H. *Angew. Chem. Int. Ed.* **2012**, *51*, 12055.
3. Kim, H.; Rhee, Y. H. *Synlett* **2012**, *23*, 2875.
4. Lim, W.; Kim, J.; Rhee, Y. H. *J. Am. Chem. Soc.* **2014**, *136*, 13618.

CURRICULUM VITAE – YOUNG HO RHEE

PERSONAL

Date & Place of Birth	28 December, 1967, Korea
Work Address	Department of Chemistry Postech Hyoja-dong San 31, Pohang 790-784, Korea
Telephone	+82-54-279-2121
Fax	+82-54-279-3399
E-mail:	yhrhee@postech.ac.kr
Home Page:	http://yhrhee.postech.ac.kr

EDUCATION

B.Sc.	Seoul National university, 1986-1990.
M. Sc	Seoul National university, 1990-1992
Ph. D.	Stanford University, 1997-2003 (with Professor Barry Trost)
Postdoctoral Fellow	UC Irvine, 2003-2005 (with Professor Larry Overman)

ACADEMIC CAREER

2005. 8-present, Assistant, Associate Professor, POSTECH

QUALIFICATIONS AND AWARDS

Sim-Sang-Chul Scholar Award, 2012, Organic Division, Korean Chemical Society

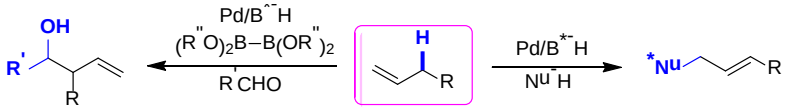
RESEARCH INTERESTS

Transition Metal Catalysis, Total Synthesis of Natural Products

C–H Activation-Based Asymmetric Allylation Reactions Enabled by Palladium/Brønsted Acid Combined Catalysis

Liu-Zhu Gong
Hefei National Laboratory for Physical Sciences at the Microscale and Department of Chemistry, University of Science and Technology of China, Hefei, Anhui 230026, P. R. of China
E-mail: gonglz@ustc.edu.cn

Asymmetric allylation reactions have turned out to be extremely useful in the creation of molecular complexity.[1] Both the Pd-catalyzed asymmetric allylic alkylation[1a,b] and allylation of carbonyls[1c] have been well investigated.[1] However, activated precursors of π-allyl fragments, including allylic halides, esters, carbonates, and even allylic alcohols have dominantly been employed in these transformations. In contrast, the asymmetric allylation reactions based on allylic C–H activation have much less been reported.[2] Recently, the metal/organo combined catalysis has been a general concept for creation of new transformations and shown the unique robustness to enable enantioselective functionalization of relatively inactive bonds.[3] Indeed, we have found that the combination of chiral palladium complexes and chiral Brønsted acids allows allylic alcohols to participate in asymmetric allylic alkylation with soft nucleophiles.[4] At this symposium, we will describe the C–H activation-based asymmetric allylic alkylation[5] and allylation of carbonyl compounds[6] under the combined catalysis of palladium complexes and Brønsted acids.



References

1. (a) Trost, B. M.; Crawley, M. L. *Chem. Rev*. **2003**, *103*, 2921. (b) Lu, Z.; Ma, S. M. *Angew. Chem., Int. Ed.* **2008**, *47*, 258. (c) Yus, M.; González-Gómez, J. C.; Foubelo, F. *Chem. Rev.* **2011**, *111*, 7774.
2. Ramirez, T. A.; Zhao, B. G.; Shi, Y. *Chem. Soc. Rev.* **2012**, *41*, 931.
3. For proof of concept: (a) Chen, G.-S.; Deng, Y.-J.; Gong, L.-Z.; Mi, A.-Q.; Cui, X.; Jiang, Y.-Z.; Choi, M. C. K.; Chan, A. S. C. *Tetrahedron: Asymmetry* **2001**, *12*, 1567. (b) Nakoji, M.; Kanayama, T.; Okino, T.; Takemoto, Y. *Org. Lett.* **2001**, *3*, 3329. For reviews: (c) Chen, D.-F.; Han, Z.-Y.; Zhou, X.-L.; Gong, L.-Z. *Acc. Chem. Res.* **2014**, *47*, 2365. (d) Shao, Z.-H.; Zhang, H.-B. *Chem. Soc. Rev.* **2009**, *38*, 2745. (e) Du, Z.-T.; Shao, Z.-H. *Chem. Soc. Rev.* **2013**, *42*, 1337.
4. Tao, Z.-L.; Zhang, W.-Q.; Chen, D.-F.; Adele, A.; Gong, L.-Z. *J. Am. Chem. Soc.* **2013**, *135*, 9255.
5. (a) Wang, P.-S.; Lin, H.-C.; Zhai, Y.-J.; Han, Z.-Y.; Gong, L.-Z. *Angew. Chem. Int. Ed.* **2014**, *53*, 12218. (b) Wang, P.-S.; Liu, P.; Zhai, Y.-J.; Lin, H.-C.; Han, Z.-Y.; Gong, L.-Z. manuscript submitted.
6. Tao, Z.-L.; Li, X.-H.; Han, Z.-Y.; Gong, L.-Z. *J. Am. Chem. Soc.* **2015**, *137*, 4054.

CURRICULUM VITAE – LIU-ZHU GONG

PERSONAL

Date & Place of Birth	15 October, 1970, China
Work Address	Department of Chemistry, University of Science and Technology of China, 96 Jinzhai Road, Hefei 230026, China
Telephone	+86-551-6360-0671
Fax	+86-551-6360-6266
E-mail:	gonglz@ustc.edu.cn
Home Page:	http://staff.ustc.edu.cn/~gonglz/

EDUCATION

B.Sc.	Henan Normal University, 1989-1993
M. Sc.	Chengdu Institute of Organic Chemistry, CAS, 1993-1996 (with Professor Yao-Zhong Jiang)
Ph. D.	Institute of Chemistry, CAS, 1996-2000 (with Professor Yao-Zhong Jiang and Ai-Qiao Mi)
Visiting Scholar	University of Virginia, USA, 1998-2000 (with Professor Lin Pu)

ACADEMIC CAREER

2000-2005, Assistant, Associate, and Full Professor, Chengdu Institute of Organic Chemistry, Chinese Academy of Sciences (CAS)
2003-2004, Alexander von Humboldt Research Fellow, Ludwig-Maximilians-Universität München, Germany (with Professor Paul Knochel)
2006-present, Professor, University of Science and Technology of China

QUALIFICATIONS AND AWARDS

(1) Alexander von Humboldt Research Fellow, 2003-2004
(2) CAS-Bayer Young Chinese Scientist Award, China, 2009
(3) Chinese Chemical Society-BASF Innovation Prize, 2009
(4) Chinese Chemical Society Young Chiral Chemistry Award, 2012
(5) National Natural Science Prize (2nd class), China, 2013

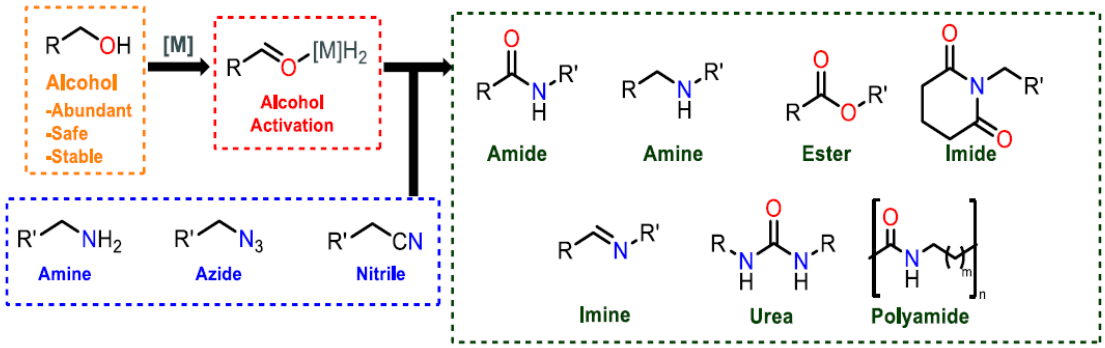
RESEARCH INTERESTS

Organo- and transition metal-catalyzed asymmetric synthesis
Metal/organo combined catalysis, including cooperative and relay catalysis
Total synthesis of natural products.

Development of Highly Atom-Economical C–N Bond Formation Reactions Applying Hydrogen Transfer Strategy

Soon Hyeok Hong
Department of Chemistry, Seoul National University
599 Gwanak-ro, Seoul 151-747, Republic of Korea
E-mail: soonhong@snu.ac.kr

Development of useful, practical, and selective synthetic methods that generate minimal by-product is of critical importance in both academic and industrial research. The research of our group seeks to develop practical and environmentally friendly synthetic methodology using transition metal complexes. Specifically, we have explored novel C–N bond formation reactions from primary alcohols and various N-sources, chemical syntheses using CO_2 and methanol as C1 feedstock, and development of organometallic catalysts based on N-heterocyclic carbene ligands. Direct C–N bond formation reactions from alcohols and N-containing molecules are highly atom-economical transformations producing hydrogen as the sole byproduct. Well-defined N-heterocyclic carbene based Ru complexes were developed as highly active precatalysts based on the mechanistic insight suggesting a Ru hydride species as an active catalytic intermediate. With the developed catalysts, various C–N bond formation reactions for the synthesis of amides, imides, ureas, and amines have been achieved. The developed catalytic systems involving hydrogen transfer have been also applied to CO_2 reduction and methanol activation.



References

1. Kim, S.; Kim, K. H.; Hong, S. H. *Angew. Chem. Int. Ed.* **2014**, *53*, 771.
2. Kim, S.; Hong, S. H. *ACS Catal.* **2014**, *4*, 3630.
3. Kang, B.; Fu, Z.; Hong, S. H. *J. Am. Chem. Soc.* **2013**, *135*, 11704.
4. Kang, B.; Hong, S. H. *Adv. Synth. Catal.* **2015**, *357*, 834.

CURRICULUM VITAE – SOON HYEOK HONG

PERSONAL

Date & Place of Birth	20 October, 1973, Korea
Work Address	Department of Chemistry Seoul National University 1 Gwanak-ro, Seoul 151-747, Korea
Telephone	+82-2-880-6655
Fax	+82-2-889-1568
E-mail:	soonhong@snu.ac.kr
Home Page:	http://sites.google.com/site/hongshsnuchem/

EDUCATION

B.Sc. & M.Sc	Seoul National University, 1992-1999
Ph. D.	Caltech, 2002-2007 (with Professor Robert H. Grubbs)
Postdoctoral Fellow	University of California, Los Angeles, USA, 2007 (with Professor J. Fraser Stoddart)

ACADEMIC CAREER

2011. 8-present, Assistant, Associate Professor, Seoul National University
2008-2011, Nanyang Assistant Professor, Nanyang Technological University
1999-2002, Full-time Instructor, Department of Chemistry, Korea Air Force Academy

QUALIFICATIONS AND AWARDS

(1) Asian Core Program Lectureship Awards, 2015
(2) Thieme Chemistry Journal Award, 2011
(3) National Research Foundation Fellow, Singapore, (2008-2011)

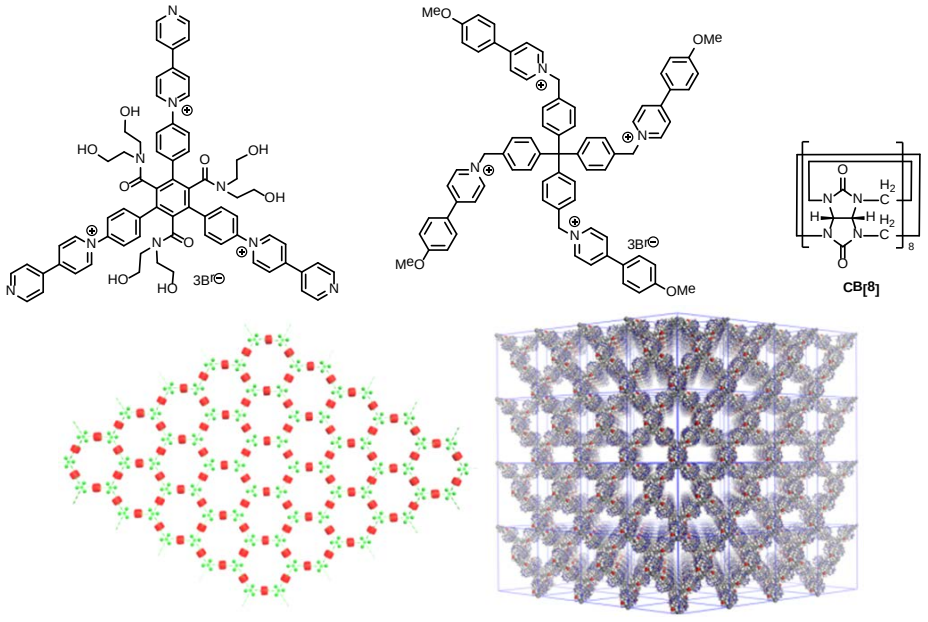
RESEARCH INTERESTS

Organometallic catalyst design, CO_2 activation, hydrogen transfer reaction, olefin metathesis

Supramolecular Organic Framework (SOF): Periodic Self-Assembled Architectures in Water

Zhan-Ting Li
Department of Chemistry, Fudan University
220 Handan Road, Shanghai 200433, China
E-mail: ztli@fudan.edu.cn

Self-assembly has emerged as a powerful strategy for the formation of advanced ordered supramolecular architectures. Despite that there have been a large number of crystalline frameworks reported in the solid state, the construction of soluble periodic supramolecular networks in the 2D or 3D space is still a challenge. We demonstrate that the encapsulation motif, which involves the dimerization of two hydrophobic aromatic units within cucurbit[8]uril (CB[8]), can be utilized to direct the co-assembly of tritopic or tetratopic molecular blocks and CB[8] into periodic 2D and 3D supramolecular organic framework (SOF) in water[1-3]. We also show that, upon evaporating the solvent, the periodicity of the 3D framework can be maintained in porous microcrystals.[3] As a new generation of supramolecular "ion sponges", the 3D frameworks can adsorb different anionic guests, including drugs and biologically active molecules, in both water and microcrystals, and drugs adsorbed in microcrystals can be released to water with selectivity.



Acknowledgements. We thank NSFC, MOST and MOE of China for financial support.

References

1. Zhang, K.-D.; Tian, J.; Hanifi, D.; Zhang, Y.; Sue, A. C.-H.; Zhou, T.-Y.; Zhang, L.; Zhao, X.; Liu, Y.; Li, Z.-T. *J. Am. Chem. Soc.* **2013**, *135*, 17913.
2. Zhang, L.; Zhou, T.-Y.; Tian, J.; Wang, H.; Zhang, D.-W.; Zhao, X.; Liu, Y.; Li, Z.-T. *Polym. Chem.* **2014**, *5*, 4715.
3. Tian, J.; Zhou, T.-Y.; Zhang, S.-C.; Xie, S.-H.; Zhang, D.-W.; Zhao, X.; Liu, Y.; Li, Z.-T. *Nature Commun.* **2014**, *5*, 5574.

CURRICULUM VITAE – ZHAN-TING LI (黎占亭)

PERSONAL

Date & Place of Birth	23 July, 1966, China
Work Address	Department of Chemistry Fudan University 220 Handan Road, Shanghai 200433, China
Telephone	+86-21-65642038
Fax	+86-21-65641740
E-mail:	ztli@fudan.edu.cn
Home Page:	http://supramol.fudan.edu.cn/Default.aspx

EDUCATION

B.Sc.	Zhengzhou University, 1981-1985
Ph. D.	Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences (CAS), 1987-1992 (with Qing-Yun Chen)
Postdoctoral Fellow	South Denmark University (with Jan Becher), 1994-1995
Visiting Scientist	University of Illinois at Urbana-Champaign (Steven C. Zimmerman), 2000-2001

ACADEMIC CAREER

1996-2002, Associate Professor, Shanghai Institute of Organic Chemistry, CAS
2003-2010, Professor, Shanghai Institute of Organic Chemistry, CAS
2010.6-present, Professor, Fudan University, Shanghai

RESEARCH INTERESTS

Hydrogen bonding-related bimimetic structures, foldamers, molecular recognition and self-assembly, functional conjugated aromatic structures, porous and supramolecular organic frameworks.

Recent Progress in Foldecture Research

Hee-Seung Lee
Molecular-Level Interface Research Center, Department of Chemistry, KAIST
291 Daehak-ro, Yuseong-gu, Daejeon 305-701, Republic of Korea
E-mail: hee-seung_lee@kaist.ac.kr

The design and synthesis of giant, protein-like molecular assemblies from peptidic materials in a flask remains a significant challenge in light of the marvelous topological complexity as well as functional anisotropy realized in biological architectures such as viral capsids and intracellular microcompartments. Attempts to understand the self-assembly process through a "bottom-up" analysis of the interactions between individual constituents have attracted considerable interest as a way to engineer macroscopic morphologies.

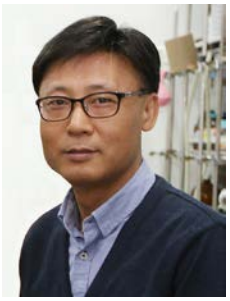
We have demonstrated that it is feasible to synthesize a variety of three dimensional (3D) organic molecular architectures from a set of foldamers (artificial peptides) with an identical secondary structure, such as 12-helix or 11-helix.[1-8] We coined the new term "foldectures" to describe a new class of crystalline peptidic material with unprecedented topological complexity derived from the rapid and non-equilibrium aqueous phase self-assembly of foldamers. Foldectures, although they are exclusively composed of peptides, exhibit unseen structural properties (for example, discrete and diverse morphogenesis, high crystallinity, and unrivaled uniformity in shape and size) that can meet the requirements for the design of new biocompatible, hierarchical assemblies.

Recently we have shown that foldectures are proven to be suitable molecular platforms for amplifying diamagnetic anisotropy at the molecular level and observing their macroscopic motions.[9] In this seminar, recent progress in our foldecture research will be discussed.

References

1. Kwon, S.; Jeon, A.; Yoo, S. H.; Chung, I. S.; Lee, H.-S. *Angew. Chem. Int. Ed*. **2010**, *49*, 8232.
2. Kwon, S.; Shin, H. S.; Gong, J.; Eom, J.; Jeon, A.; Chung, I. S.; Cho, S. J.; Lee, H.-S. *J. Am. Chem. Soc*. **2011**, *133*, 17618.
3. Kwon, S.; Kang, K.; Jeon, A.; Park, J. H.; Choi, I. S.; Lee, H.-S. *Tetrahedron* **2012**, (SI: Chemistry of Foldamers, invited article), *68*, 4368.
4. Kim, J.; Kwon, S.; Kim, S. H.; Lee, C.-K.; Lee, J.-H.; Cho, S. J.; Lee, H.-S; Ihee, H. *J. Am. Chem. Soc.* **2012**, *134*, 20573.
5. Yoo, S.H.; Eom, T. Kwon, S.; Gong, J.; Kim, J. Cho, S.J.; Driver, R.W.; Lee, Y.; Kim, H.; Lee, H.-S. *J. Am. Chem. Soc*. **2015**, *137*, 2159.
6. Eom, J.-H.; Gong, J.; Kwon, S.; Jeon, A.; Jeong, R.; Driver, R.W.; Lee, H.-S. *Angew. Chem. Int. Ed*. **2015**, *54*, 13204.
7. Eom, J.-H.; Jeong, R.; Gong, J.; Driver, R.W.; Lee, H.-S. *Bull. Korean Chem. Soc*. **2015**, *36*, 2583.
8. Eom, J.-H.; Gong, J.; Jeong, R.; Driver, R.W.; Lee, H.-S. *Solid State Sci.* **2015**, *48*, 39.
9. Kwon, S.; Kim, B. J.; Lim, H.-K.; Kang, K.; Yoo, S. H.; Gong, J.; Yoon, E.; Lee, J.; Choi, I. S.; Kim, H.; Lee, H.-S. *Nat. Commun.* **2015**, 6:8747 doi: 10.1038/ncomms9747.

CURRICULUM VITAE – HEE-SEUNG LEE



PERSONAL

Date & Place of Birth	25 December, 1967, Korea
Work Address	Department of Chemistry KAIST 291 Daehak-ro, Yuseong-gu, Daejeon 305-701, Korea
Telephone	+82-42-350-2846
Fax	+82-42-350-2810
E-mail:	hee-seung_lee@kaist.ac.kr
Home Page:	http://hslee.kaist.ac.kr

EDUCATION

B. Sc.	KAIST, 1986-1990
M. Sc. & Ph. D.	KAIST, 1990-1996 (with Professor Sung Ho Kang)
Postdoctoral Fellow	University of Wisconsin-Madison, USA, 1999-2003 (with Professor Sam H. Gellman)

ACADEMIC CAREER

2004. 9-present, Assistant and Associate Professor, KAIST
1996-1999, Senior Scientist, Samsung Fine Chemicals R&D Center
2009.9-present, Vice Director, Molecular-Level Interface Research Center (MIRC), KAIST

QUALIFICATIONS AND AWARDS

(1) Top 100 Outstanding Research in Korea, 2011
(2) 14th Sehi Jang Excellent Research Award, 2011
(3) The 4th KRIBB Poster Festival Innovation Award, 2010
(4) Synlett Journal Award, 2005

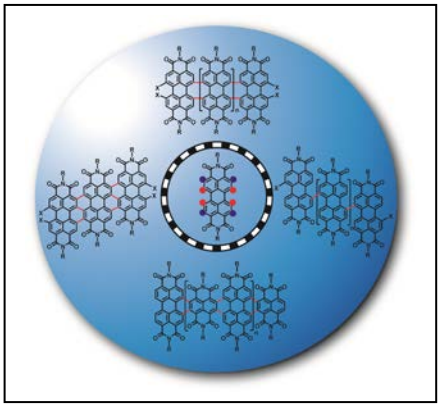
RESEARCH INTERESTS

Design and synthesis of artificial biopolymers, Self-assembly of foldamers, Functional organic materials

Tailor-Made Rylene Arrays for High Performance *n*-Channel Semiconductors

Zhao-Hui Wang
Institute of Chemistry, Chinese Academy of Sciences

Rylene dyes, which are based on naphthalene units linked in *peri*-positions, have attracted intensive attention in both theoretical and synthetic chemistry due to their perfect graphene-ribbon-like structures and attractive properties. They are recently emerging as promising key building blocks to create π-functional materials and have found use in a wide range of applications in optoelectronic devices.



This talk will focus on our recent progress in the design and synthesis of laterally expanded rylene dyes based on homo-coupling and cross-coupling reactions of core-functionalized PDIs and NDIs to achieve novel high performance n-channel organic semiconducting materials. These new achievements offer opportunities to learn fundamental issues about how chemical and physical properties alter with incremental changes in structure. We highlight synthetic methodology of transition-metal mediated coupling reactions (and/or C-H transformation) for singly-linked, doubly-linked, and fully-conjugated triply-linked oligoPDIs, and further for the construction of hybrid rylene arrays *via bay*- and/or *nonbay*-functionalization. In addition, we summarize the informative correlations between the molecular structures and their optoelectronic properties, especially the modulation of progressively red-shifted absorption maximua and positive shifts in the redox potentials, thus the decrease in the energy gaps and the increase in electron-accepting ability with expansion of π-system, which have direct impacts on their potential applications in optoelectronic devices. Finally, we introduce the promising applications of these laterally expanded rylene dyes as exceptional high performance n-channel semiconductors in organic field-effect transistors (OFETs) and competitive candidates for non-fullerene acceptors in high efficient organic photovoltaic devices (OPVs)

References

1. Jiang, W.; Li, Y.; Wang, Z. H. *Acc. Chem. Res* **2014**, *47*, 3135.
2. Lv, A. F.; Puniredd, S. R.; Zhang, J. H.; Li, Z. B.; Zhu, H. F.; Jiang, W.; Dong, H. L.; He, Y. D.; Jiang, L.; Li, Y.; Pisula, W.; Meng, Q.; Hu, W. P.; Wang, Z. H. *Adv. Mater.* **2012**, *24*, 2626.
3. Sun, D.; Meng, D.; Cai, Y. H.; Fan, B .B.; Li, Y.; Jiang, W.; Huo, L. J.; Sun, Y. M.; Wang, Z. H. *J. Am. Chem. Soc.* **2015**, *137*, 11156.

CURRICULUM VITAE – ZHAO-HUI WANG

PERSONAL

Date & Place of Birth	22 June, 1970, China
Work Address	Institute of Chemistry Chinese Academy of Sciences Zhongguancun North Str. No. 2, 100190, Beijing
Telephone	+86-10-62653617
Fax	+86-10-62653617
E-mail:	wangzhaohui@iccas.ac.cn
Home Page:	http://wangzhaohui.iccas.ac.cn

EDUCATION

B.Sc.	Nanjing University of Science and Technology, 1986-1990
M. Sc.	East China University of Science and Technology, 1992-1995
Ph. D.	East China University of Science and Technology, 1996- 1999 (with Prof. He Tian)
Postdoctoral Fellow	Max-Planck Institute for Polymer research, Germany, 1999-2005 (with Professor Klaus Muellen)

ACADEMIC CAREER

2005. 8-present, Professor of Chemistry, Institute of Chemistry, Chinese Academy of Sciences.

QUALIFICATIONS AND AWARDS

(1) "100 Talents" Scholar from CAS, 2005.
(2) Excellent "100 Talents" Scholar from CAS, 2010.
(3) The National Science Fund for Distinguished Young Scholars, 2012.
(4) CCS-BASF Innovation Prize, 2013.

RESEARCH INTERESTS

Design and synthesis of new functional organic molecules; Self-assembly and device fabrication of new functional organic molecules.

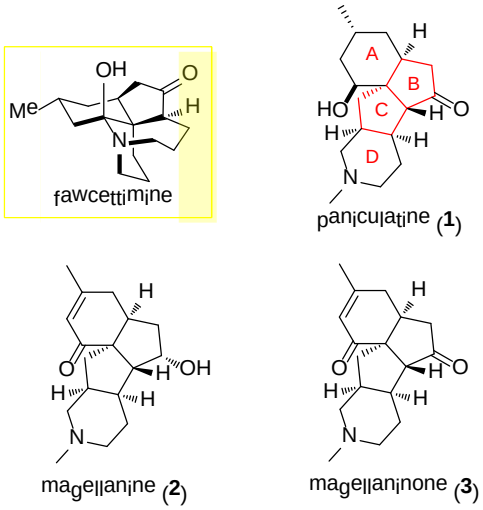
Total Synthesis of Tetracyclic Diquinane *Lycopodium* Alkaloids (+)-Paniculatine, (–)-Magellanine, (+)-Magellaninone

Yu-Rong Yang

State Key Laboratory of Phytochemistry and Plant Resources in West China, Kunming Institute of Botany, Chinese Academy of Sciences, Kunming, 650201, China

E-mail: yangyurong@mail.kib.ac.cn

In contrast to the well investigated fawcettimine-type,[1] tetracyclic diquinane *Lycopodium* alkaloids still represent a formidable synthetic challenge owing to the unusually compacted polycyclic framework possessing complex stereochemistry around a diquinane core (rings B, C). Unlike the previous ABC→ABCD linear construction of the tetracyclic core, in this work,[2] I will present an unconventional and convergent ABD→ABCD formation, which was guided by the logic of retrosynthetic analysis producing the greatest molecular simplification, and culminating in the collective total synthesis of five tetracyclic diquinane *Lycopodium* alkaloids.



References

1. (a) Yang, Y.-R.; Shen, L.; Wei, K.; Zhao, Q.-S. *J. Org. Chem.* **2010**, *75*, 1317. (b) Yang, Y.-R.; Lai, Z.-W.; Shen, L.; Huang, J.-Z.; Wu, X.-D.; Yin, J.-L.; Wei, K. *Org. Lett.* **2010**, *12*, 3430. (c) Yang, Y.-R.; Shen, L.; Huang, J.-Z.; Xu, T.; Wei, K. *J. Org. Chem.* **2011**, *76*, 3684. (d) Dong, L.-B.; Yang, Y.-R.; Zhao, Q.-S. *Org. Lett.* **2014**, *16*, 2700.
2. Jiang, S.-Z.; Lei, T.; Wei, K.; Yang, Y.-R. *Org. Lett.* **2014**, *16*, 5612.

CURRICULUM VITAE – YU-RONG YANG

PERSONAL

Date & Place of Birth	28 May, 1977, China
Work Address	Kunming Institute of Botany Lanhei Road 132, Kunming 650201, China
Telephone	+86-871-6522-3126
Fax	+86-871-6522-3179
E-mail:	yangyurong@mail.kib.ac.cn

EDUCATION

B.Sc.	Lanzhou University, 1996-2000
M. Sc. & Ph. D.	Lanzhou University, 2000-2005 (with Professor Weidong Li)
Postdoctoral Fellow	Harvard University, USA, 2005-2007 (with Professor Y. Kishi)

ACADEMIC CAREER

2008-present, State Key Laboratory of Phytochemistry and Plant Resources in West China, Kunming Institute of Botany, Chinese Academy of Sciences, PI

RESEARCH INTERESTS

Total synthesis of natural products.

Aryl Hydrazide as Surrogate of Aryl Hydrazine and Beyond

Cheon-Gyu Cho
Center for New Directions in Organic Synthesis, Department of Chemistry
Hanyang University, 222 Wangsimni-ro, Seongdong-gu, Seoul 04763, Korea
E-mail: ccho@hanyang.ac.kr

We have previously demonstrated that aryl hydrazides are effective surrogates of aryl hydrazines, undergoing various reactions including the Fischer indole synthesis to afford the corresponding indoles, when treated with enolizable aldehydes and ketones in the presence of an acid. Unlike aryl hydrazines, the aryl hydrazides are readily accessed from aryl halides via the Pd(0) or Cu(I)-catalyzed coupling reaction with *N*-Boc hydrazine.[2] Also reported was that *N*-Cbz-aryl hydrazide can proceed in a Fischer indolization reaction to give *N*-Cbz-indole without the elimination of *N*-Cbz group.

Prompted by our recent interest on the synthesis of 3,4-fused tricyclic indole alkaloids (Figure 1), we set out to study the intramolecular Fischer indolization reaction of the aryl hydrazide that are linked to carbonyl functions by various tether groups as a new synthetic means to the construction of tricyclic indole system. We found that aryl hydrazide with carbonyl function tethered at the C(4) position of the aromatic ring undergoes intramolecular Fischer indolization to afford novel indolophanes. In addition, strategic insertion of a double or triple bond in the tether allowed for an aromatic Claisen rearrangement to proceed in a tandem fashion, providing 3,4-fused tri- or tetracyclic system.

More recently, we have found that aryl hydrazide can be directly coupled to vinyl triflate to generate ene-hydrazide, the key intermediate in the Fischer indole synthesis. Heating in the presence of catalytic amount of an acid effected the [3,3]-sigmatropic rearrangement reaction *en route* to the indole product without scrambling of the regiochemistry. Also disclosed is that the aryl hydrazide with carbonyl function tethered at the meta-position can undergo the Fischer indolization reaction in an intramolecular manner to directly afford the corresponding 3,4-fused tricyclic indole product in excellent yield. Our recent discovery on the veneer Fischer indolization chemistry will be discussed.

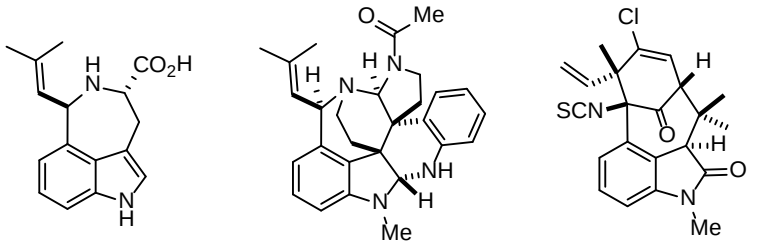


Figure 1. Selected examples of natural 3,4-fused tricyclic indole alkaloids.

References

1. Lim, B.-Y.; Jung, B.-E.; Cho, C.-G. *Org. Lett.* **2014**, *16*, 4492.
2. Park, J.; Kim, S.-Y.; Kim, J.-E.; Cho, C.-G. *Org. Lett.* **2014**, *16*, 178.
3. Park, I.-K.; Park, J.; Cho, C.-G. *Angew. Chem. Int. Ed.* **2012**, *51*, 2496.

CURRICULUM VITAE – CHEON-GYU CHO

PERSONAL

Date & Place of Birth	26 March, 1962, Korea
Work Address	Department of Chemistry Hanyang University 222 Wangsimni-ro, Seongdong-gu, Seoul 04763, Korea
Telephone	+82-2-2220-0936
Fax	+82-2-2220-4549
E-mail:	ccho@hanyang.ac.kr
Home Page:	http://bmsl.hanyang.ac.kr

EDUCATION

B.S.	Hanyang University, 1980-1985
M. S. & Ph. D.	Johns Hopkins Univ., 1989-1993 (with Prof. G. H. Posner)
Postdoctoral Fellow	M.I.T., USA, 1993-1995 (with Prof. P. T. Lansbury)

ACADEMIC CAREER

1995-1997, Instructor, Harvard Medical School, Boston, USA
1997-present, Assistant, Associate, and Full Professor, Hanyang University
2004-2005, Visiting Professor, Univ. of Pennsylvania, USA (with Prof. A. B. Smith)
2013-present, Associate Editor, *Bull. Korean Chem. Soc.*
2013-present, Editorial Advisory Board, *Org. Lett.*
2014-present, Director, Center for New Directions in Organic Chemistry (CNOS, SRC)

QUALIFICATIONS AND AWARDS

(1) 11th Chang-Sei Hee Scholar Award, 2008
(2) Sigma-Aldrich Award, 2014
(3) Organic Synthesis Lectureship Award, 2014
(4) ACP Lectureship Award, Taiwan (2014), Japan (2015), Malaysia (2015)

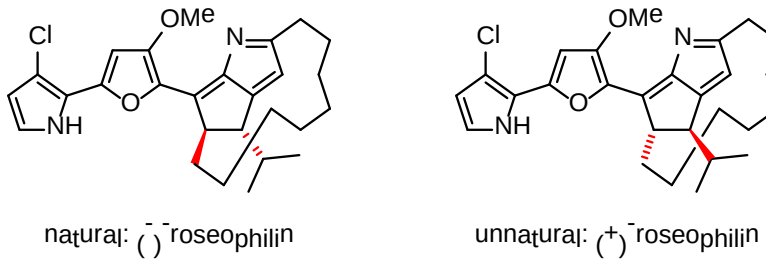
RESEARCH INTERESTS

Total Synthesis of Natural Product, Synthetic Methodology Development

Stereoselective Total Synthesis of (+)-Roseophilin and (-)-Roseophilin

Guangxin Liang
The State Key Laboratory and Institute of Elemento-organic Chemistry,
Nankai University, Tianjin, China
E-mail: lianggx@nankai.edu.cn

Roseophilin, a prodigiosin alkaloid isolated from the culture broth of Streptomyces griseoviridis by Hayakawa and Seto in 1992, is a novel antitumor antibiotic that possesses a topologically unique molecular architecture. It consists of a strained 13-membered macrocycle incorporated in an ansa-bridged azafulvene linked to a multi-substituted pyrrole-furan heterocyclic system. It shows potent anti-tumor activity in the sub-micromolar range. More interestingly, it was found that the unnatural enantiomer is approximately 2-10 folds more potent than the natural product itself. To further investigate this unusual observation, we have developed new chemistry to prepare both enantiomers of natural products in a highly selective fashion. Starting from inexpensive starting material, we were able to get easy access to 100 mg scale of either enantiomer of the natural product using straightforward chemical transformations under mild conditions in short steps.



natural: (-)-roseophilin unnatural: (+)-roseophilin

Figure 1. Molecular Structures of (+)-Roseophilin and (-)-Roseophilin

References

1. Hayakawa, Y.; Hawakami, K.; Seto, H. *Tetrahedron Lett*, **1992**, *33*, 2701.
2. Furstner, A.; Weintritt, H. *J. Am. Chem. Soc.* **1998**, *120*, 2817.
3. Boger, D. L.; Hong, J. *J. Am. Chem. Soc.* **2001,** *123*, 8515.
4. Trost, B. M.; Doherty, G. A. *J. Am. Chem. Soc.* **2000,** *122*, 3801.
5. Frederich, H. J.; Harran, G. P. *J. Am. Chem. Soc.* **2013,** *135*, 3788.

CURRICULUM VITAE – GUANGXIN LIANG

PERSONAL

Date & Place of Birth	14 December, 1974, Hebei, China
Work Address	the State Key Laboratory of Elemento-organic Chemistry Nankai University Tianjin 300071, China
Telephone	+8622-2350-0867
Fax	+8622-2350-0867
E-mail:	lianggx@nankai.edu.cn
Home Page:	http://skleoc.nankai.edu.cn/professors/lianggx/index.html

EDUCATION

B.Sc.	Nankai University, China, 1993-1997
M.Sc.	The Ohio-State University, USA, 2000-2002 (with Professor Todd Lowary)
Ph.D.	University of California, Berkeley, USA, 2002-2007 (with Professor Dirk Trauner)

EMPLOYMENT

Senior Scientist	Abbott Laboratories, Chicago, USA, 2007-2009

ACADEMIC CAREER

2009- present, Professor, Nankai University,

QUALIFICATIONS AND AWARDS

(1) Roche Graduate Fellowship (2005)
(2) BMS Graduate Fellowship(2006)
(3) Thieme SYNStar Award (2006)
(4) The Robert G. Stern Award (2008)
(5) Asian Core Program Lectureship Award (2012)

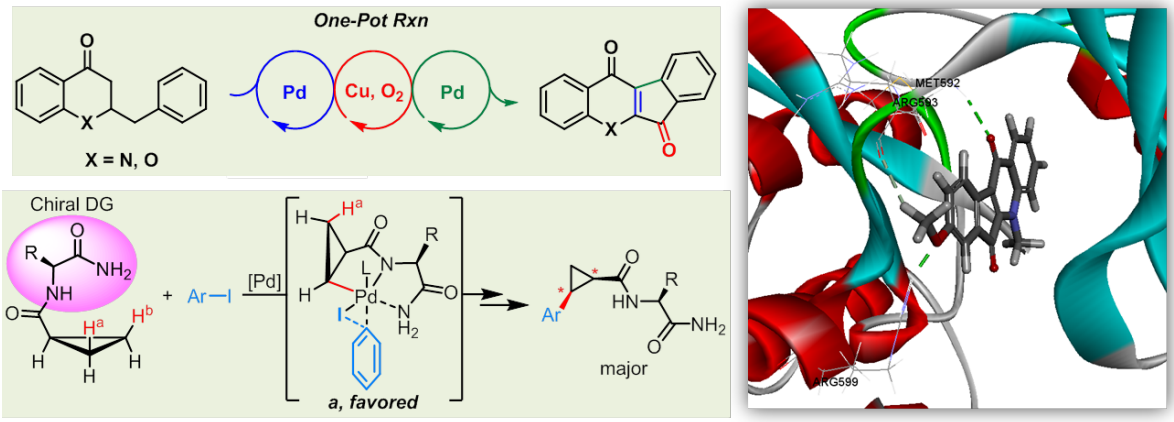
RESEARCH INTERESTS

Total synthesis of structurally intriguing natural products of significant biological and medicinal interests.

Investigation of Catalytic Approach for Successful Implementation of Fragment-Based Design

Sungwoo Hong
Center for Catalytic Hydrocarbon Functionalizations &
Department of Chemistry, Korea Advanced Institute of Science and Technology, Daejeon, Korea
E-mail: hongorg@kaist.ac.kr

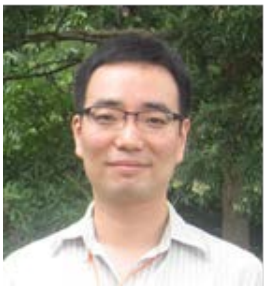
Transition-metal-catalyzed direct and regioselective C–H bond activation/functionalization is a highly efficient and straightforward tool that is useful in the field of organic synthesis and total synthesis. Driven by the need for a more efficient synthetic route to the kinase inhibitors, we have explored one-pot catalysis of tandem processes for generating molecular complexity from simple starting materials by employing a single catalyst in a single reaction vessel. Cyclopropane is also widely used as a conformational restricting framework in medicinal chemistry, and arylated cyclopropanes are a privileged class of structures found in many biologically active molecules. In this regards, transition-metal-catalyzed asymmetric C–H arylation of cyclopropanes has been the focus of great research interest. We hypothesized that an appropriate chiral bidentate directing group embedded in the substrate could induce high levels of stereocontrol during C–H functionalization via a steric repulsion. With efficient synthetic routes in hand, we have studied application of the structure-based design to identify potent kinase inhibitors and ultimately streamline late-stage drug modification. Ongoing results in this direction and future plan will be presented and discussed.



References

1. Lee, S.; Mah, S.; Hong, S. *Org. Lett.* **2015**, *17*, 3864.
2. Jeong, Y.; Moon, Y.; Hong, S. *Org. Lett.* **2015**, *17*, 3252.
3. Kim, J.; Sim, M.; Kim, N.; Hong, S. *Chem. Sci.* **2015**, *6*, 3611.
4. Kim, K.; Choe, H.; Jeong, Y.; Lee, J. H.; Hong, S. *Org. Lett.* **2015,** *17*, 2550.
5. Kim, Y.; Hong, S. *Chem. Commun.* **2015**, *51*, 11202.
6. Kang, D.; Hong, S. *Org. Lett.* **2015,** *17*, 1938.
7. Park, H.; Shin, Y.; Choe, H.; Hong, S. *J. Am. Chem. Soc.* **2015**, *137*, 337.

CURRICULUM VITAE – SUNGWOO HONG



PERSONAL

Date & Place of Birth	28 Feb, 1973, Korea
Work Address	Department of Chemistry IBS & KAIST 291 Daehak-ro, Daejeon 305-701, Korea
Telephone	+82-42-350-2811
Fax	+82-42-350-2810
E-mail:	hongorg@kaist.ac.kr
Home Page:	http://ddnpslab.kaist.ac.kr/

EDUCATION

B.Sc.	Seoul National University, 1992-1996
M.S	Seoul National University, 1996-1997 (with Professor Deukjoon Kim)
Ph. D.	Pennsylvania State University, USA, 1999-2004 (with Professor Steven Weinreb)
Postdoctoral Fellow	Harvard University, USA, 2004-2006 (with Professor E. J. Corey)

ACADEMIC CAREER

2006. 6-2008.12, GlaxoSmithKline (GSK)
2009-present, Assistant, and Associate Professor, KAIST

QUALIFICATIONS AND AWARDS

(1) 1st Young Organic Chemist Award, Div. of Organic Chemistry, KCS (2012)
(2) Thieme Chemistry Journal Award, Thieme Publishers (2012)
(3) Best Researcher Award, Department of Chemistry, KAIST (2012)

RESEARCH INTERESTS

Development of New Reaction & Synthesis, Advanced Medicinal Chemistry, Chemical Biology & Bioorganic Chemistry

Porous Catalysis Investigated by Solid-State NMR Spectroscopy

Wei Wang
State Key Laboratory of Applied Organic Chemistry, Lanzhou University
Lanzhou, Gansu 730000, P. R. China
E-mail: wang_wei@lzu.edu.cn

Due to the advantages of large surface areas, tunable pore sizes, and diverse structural topologies, porous materials have found various applications in the areas of catalysis, ion-exchange, adsorption/separation, and so on. Crystalline zeolites are typical inorganic porous materials, while periodic mesoporous organosilicas (PMOs) and metal organic frameworks (MOFs) belong to the porous organic/inorganic hybrids. Recent research has also been focused on the development of porous organic polymers, such as hyper-crosslinked polymers (HCPs), polymers of intrinsic microporosity (PIMs), conjugated microporous polymers (CMPs), and crystalline covalent organic frameworks (COFs) for various applications.[1]

We have long been interested in the construction, characterization, and catalytic applications of porous catalysts. Via solid-state NMR techniques, we were able to study, not only the nature of the active sites embedded into the porous framework, but also the host-guest chemistry and the catalytic mechanism in porous catalysis. This talk will present our recent process[2,3] on the mechanistic study of zeolite-catalyzed reactions by in situ[4] solid-state NMR spectroscopy. Meanwhile, the strategy for the mechanistic study on inorganic zeolite systems has been transferred to our recent investigation[5,6] on organic porous catalysts. The typical examples will be briefly described as well.

References

1. Ding, S. Y.; Wang, W. *Chem. Soc. Rev*. **2013**, *42*, 548.
2. Wu, J. F.; Yu, S. M.; Wang, W. D.; Fan, Y. X.; Bai, S.; Zhang, C. W.; Gao, Q.; Huang, J.; Wang, W. *J. Am. Chem. Soc.* **2013**, *135*, 13567.
3. Yu, S. M.; Wu, J. F.; Liu, C.; Liu, W.; Bai, S.; Huang, J.; Wang, W. *Angew. Chem. Int. Ed.*, **2015**, *in press*.
4. (a) Wang, W.; Hunger, M. *Acc. Chem. Res*. **2008**, *41*, 895.; (b) Jiang, Y.; Hunger, M.; Wang, W. *J. Am. Chem. Soc.* **2006**, *128*, 11679.; (c) Marthala, V. R. R.; Jiang, Y.; Huang, J. Wang, W.; Glaser R.; Hunger, M. *J. Am. Chem. Soc.* **2006**, *128*, 14812.; (d) Wang, W.; Buchholz, A.; Seiler, M.; Hunger, M. *J. Am. Chem. Soc.* **2003**, *125*, 15260.; (e) Wang, W.; Seiler, M.; Ivanova I. I; Sternberg, U.; Weitkamp, J.; Hunger, M. *J. Am. Chem. Soc.* **2002**, *124*, 7548.
5. Ding, S. Y.; Gao, J.; Wang, Q.; Zhang, Y.; Song, W. G.; Su, C. Y.; Wang, W. *J. Am. Chem. Soc.* **2011**, *133*, 19816.
6. An, W. K.; Han, M. Y.; Wang, C. A.; Yu, S. M.; Zhang, Y.; Bai, S.; Wang, W. *Chem. –Eur. J.* **2014**, *20*, 11019.

CURRICULUM VITAE – WEI WANG

PERSONAL

Date & Place of Birth	08 September, 1972, P. R. China
Work Address	Department of Chemistry Lanzhou University 222S Tianshui Rd., Lanzhou Gansu 730000, P. R. China
Telephone	+86-931-891-2282
Fax	+86-931-891-5557
E-mail:	wang_wei@lzu.edu.cn
Home Page:	http://sklaoc.lzu.edu.cn

EDUCATION

B. Sc.	Lanzhou University, 1988–1992
M. Sc. & Ph. D.	Lanzhou University, 1992–1998
Postdoctoral Fellow	University of Stuttgart, Germany, 2000–2001
Postdoctoral Fellow	University of Southern California, USA, 2001–2002

ACADEMIC CAREER

2002–2006, Scientific Researcher (Wissenschaftlicher Mitarbeiter), University of Stuttgart
2006–present, Professor, Lanzhou University, P. R. China
2011–present, State Key Laboratory of Applied Organic Chemistry, Deputy Director

QUALIFICATIONS AND AWARDS

(1) “Cheung-Kong Scholar” professorship, awarded by the Ministry of Education (2006)
(2) “National Hundred, Thousand, and Ten Thousand Talents” (2007)
(3) National Science Fund for Distinguished Young Scholars (2014)

RESEARCH INTERESTS

Homogeneous and Heterogeneous Catalysis; Porous Organic Materials; Solid-State NMR Spectroscopy.

Design and Synthesis of Chemical Tags for Improving Mass Spectrometric Analysis of Biomolecules

Bongjin Moon
Department of Chemistry, Sogang University
35 Baekbeom-ro, Mapo-gu, Seoul 04107, Korea
E-mail: bjmoon@sogang.ac.kr

Due to the fast advancement of ionization and detection technology in mass spectrometry, mass spectrometry has now become one of the most powerful tools that can unravel the complex sequence information of many biological macromolecules such as peptides, nucleic acids, and polysaccharides. However, direct mass analysis of the bio-macromolecules still does not provide enough sequence information because of the limited mass-fragmentation. If one can conjugate the bio-macromolecules of interest with a well-designed chemical tag that can promote their fragmentations in mass spectrometry, more useful and extended information about the sequence can be obtained.

Over the last decade, we have focused on developing such chemical tags for mass spectrometry and some of our endeavours in this field will be presented. One example is (2,2,6,6-tetramethylpiperidin-1-yl)oxy (TEMPO)-based free radical generating conjugation reagent (**1**) (Figure 1).[1] Employment of this new TEMPO-based free radical initiated peptide sequencing (FRIPS) reagent allowed us to increase the sequence coverage of peptides in combination with other collision-based tandem mass spectrometry.

Another subject that we are interested includes the development of mass tags that dissociate into ions under matrix-less conditions in LDI (laser desorption ionization) mass spectrometry (Figure 2). The mass tags were designed to efficiently provide cationic fragments under UV (350 nm) laser irradiation without any aid of matrix in a MALDI-MS setup. If these tags are conjugated to various antibodies that can selectively bind to the corresponding biomarkers, the presence of the multiple biomarkers could be detected in one-step MALDI experimental set-up without being disturbed by mass signals arising from other bio-macromolecules. We have found a couple of satisfactory tag systems that meet the requirements of the strategy and a story of the development will be presented.

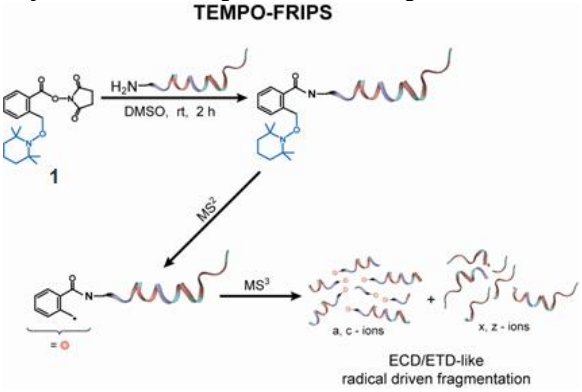


Figure 1. Schematic diagram for TEMPO-FRIPS

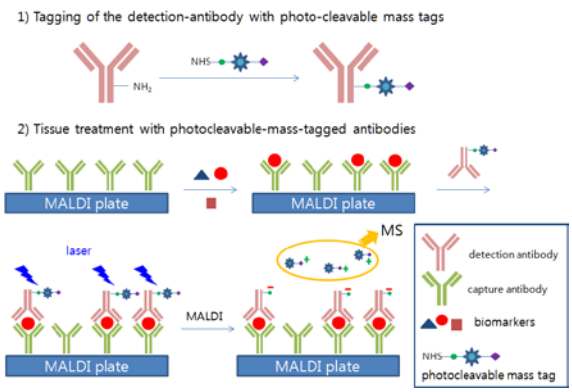


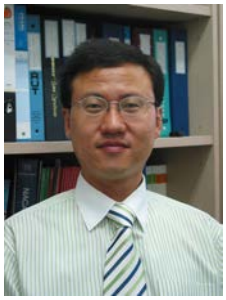
Figure 2. Illustration of mass tags for matrix-less LDI-MS

References

1 (a) Lee, M.; Kang, M.; Moon, B.; Oh, H. B. *Analyst* **2009**, *134*, 1706. (b) Lee, M.; Lee, Y.; Kang, M.; Park, H.; Seong, Y.; Sung, B. J.; Moon, B.; Oh, H. B. *J. Mass Spectrom.* **2011**, *46*, 830.

2. "A Photo-cleavable mass tag and use of the same" Korean/US Patent Filed. **2014**.

CURRICULUM VITAE – BONGJIN MOON



PERSONAL

Date & Place of Birth	17 August, 1968, Korea
Work Address	Department of Chemistry Sogang University 35 Baekbeom-ro, Seoul 04107 Korea
Telephone	+82-2-705-8447
Fax	+82-2-701-0967
E-mail:	bjmoon@sogang.ac.kr
Home Page:	http://orgpol.sogang.ac.kr/

EDUCATION

B.Sc.	Seoul National University, 1986-1990
M. Sc.	Seoul National University, 1990-1992 (w/ Prof. E. Lee)
Ph. D.	University of Minnesota, 1995-2001 (w/ Prof. T. R. Hoye)

ACADEMIC CAREER

2002. 3-present: Assistant, Associate, and Full Professor, Sogang Univertsity
2008-2009: Visiting Scholar, Materials Research Laboratory (MRL), University of California, Santa Barbara, USA
2001-2002: Postdoctoral Fellow University of California at Irvine, (w/ Prof. L. E. Overman)

RESEARCH INTERESTS

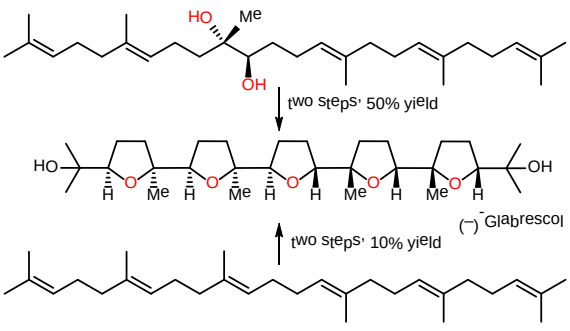
Development of photo- and electro-active organic materials, Design and synthesis of new functional polymers, Development of mass-tags for facile MS-analysis.

Intramolecular Polycyclization Reactions Using Epoxide as the Initiator

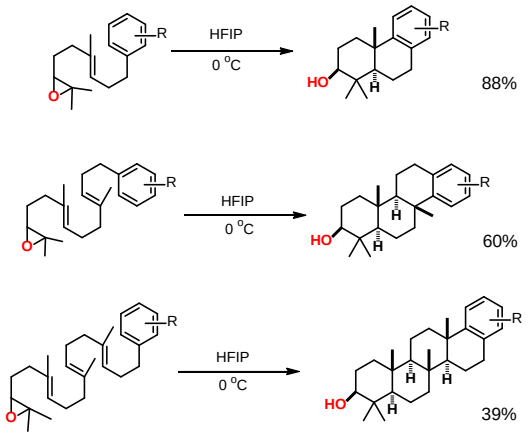
Jin Qu
Department of Chemistry, Nankai University
94 Weijin Road, Tianjin, China
E-mail: qujin@nankai.edu.cn

The squalene-derived (–)-glabrescol is the first reported penta-tetrahydrofuran polyether natural product with a novel C_2 symmetric structure. Its real structure was disclosed by Prof. Morimoto through the total synthesis in 2000 (10 steps, overall yield 3%).[1] Prof. Corey reported a biomimetic synthesis approach for (–)-glabrescol (6 steps, overall yield 5%) starting from squalene tetraol later in the same year.[2] We presented two much shorter total syntheses of (–)-glabrescol starting from 10(*S*),11(*R*)-dihydroxy-10, 11-dihydrosqualene (2 steps, total yield 50%) or squalene (2 steps, total yield 10%), respectively (Scheme 1).[3] The key feature of these syntheses is the base-promoted middle-to-terminal epoxide-opening cascade, which constructs the five tetrahydrofuran rings of glabrescol in one operation. These very short total syntheses are good examples in terms of atom efficiency, step efficiency, and redox efficiency.

The cationic polycyclization using epoxide as the initiating group continues to be of great synthetic interest for many years. Mimicking the transformation from 2,3-oxidosqualene to lanosterol, van Tamelen, Corey, and Loh have exploited the Lewis acids-catalyzed cyclization of epoxyolefins to polycyclicterpenoids.[4,5,6,7] We found that the mild acidic solvent 1,1,1,3,3,3-hexafluoro-2-propanol (HFIP) could promote the arene-terminated epoxy olefin cyclizations efficiently without any metal catalyst. The simple reaction procedure feathers high functional group tolerance, water and oxygen-tolerant and very short reaction time, giving tri- and tetracyclic, even pentacyclic products in high yields (Scheme 2).



Scheme 1



Scheme 2

References

1. Morimoto, Y.; Iwai, T.; Kinoshita, T. *J. Am. Chem. Soc.* **2000**, *122*, 7124.
2. Xiong, Z.; Corey, E. J. *J. Am. Chem. Soc.* **2000**, *122*, 9328.
3. Yang, P.; Li, P.-F.; Qu, J.; Tang L.-F. *Org. Lett.* **2012**, *14*, 3932.
4. Van Tamelen, E. E.; Willet, J.; Schwartz, M.; Nadeau, R. *J. Am. Chem. Soc.* **1966**, *88*, 5937.
5. Lin, S. -Z. and Corey, E. J. *J. Am. Chem. Soc.* **1996**, *118*, 8765.
6. Karavadhi, S. and Corey, E. J. *J. Am. Chem. Soc.* **2008**, *130*, 8865.
7. Zhao, J. -F.; Zhao, Y. -J.; Loh, T.-P. *Chem. Commun.* **2008**, 1353.

CURRICULUM VITAE – JIN QU

PERSONAL

Date & Place of Birth	9 December, 1969, China
Work Address	Department of Chemistry Nankai University 94 Weijin Road, Tianjin 300071, China
Telephone	+86-022-2350-5521
Fax	+82-022-2350-3627
E-mail:	qujin@nankai.edu.cn
Home Page:	

EDUCATION

B.Sc.	Lanzhou University, 1988-1992
M. Sc.	Lanzhou University, 1992-1995
Ph. D.	The University of Hong Kong, 1997-2001 (with Professor Dan Yang)
Postdoctoral Fellow	Stanford University, USA, 2001-2003 (with Professor E. T. Kool)

ACADEMIC CAREER

2003. 11-present, Full Professor, Nankai University

QUALIFICATIONS AND AWARDS

(1) "New Century Excellent Talents in University Award" from Chinese Ministry of Education (2009)
(2) Thieme Chemistry Journals Award (2014).

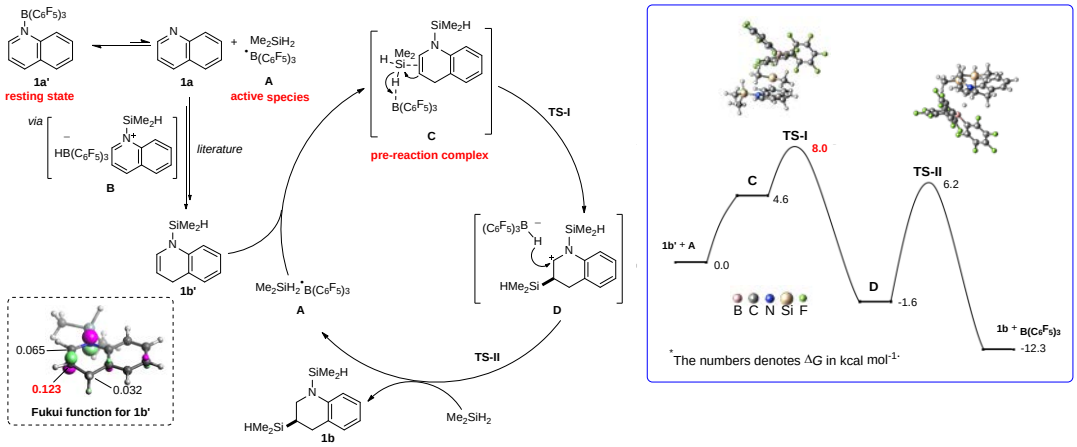
RESEARCH INTERESTS

Hot water-promoted organic reactions and its application in natural product total synthesis.
Cascade intramolecular polycyclization reactions using epoxide as the initiator

Boron-Catalyzed Silylative Reduction of *N*-Heterocycles and Conjugated Carbonyl Compounds

Sukbok Chang
Institute for Basic Science (IBS), Daejeon 305-701, Korea
Department of Chemistry, Korea Advanced Institute of Science & Technology (KAIST), Daejeon 305-701, South Korea
E-mail: sbchang@kaist.ac.kr

The reductive conversion of *N*-heteroaromatic compounds has been a vital transformation to synthesize heterocyclic compounds broadly found in alkaloids, pharmaceuticals, and agrochemicals. However, most of synthetic methods developed thus far suffer from poor selectivity, require harsh reaction conditions, or involve multi-steps. We recently developed a silylative dearomatization of *N*-heteroaromatics to furnish synthetically versatile saturated *N*-heterocycles bearing a sp^3 carbon-silicon bond exclusively *beta* to the nitrogen atom. Triarylborane is a highly efficient catalyst (up to 1,000 turnovers) and silanes serve both as silyl source and as a reducing reagent. The procedure is convenient to perform on large scale, and works over a wide range of *N*-heteroaromatic substrates with excellent stereoselectivity. Mechanistic studies revealed that the formation of a 1,4-addition adduct is turnover-limiting, while a C(sp^3)-Si bond-forming step from the 1,4-adduct is facile. The origin of the observed *beta* (C3)-selectivity was reasoned on the basis of density functional theory calculations. In addition, the $B(C_6F_5)_3$-catalyzed silylative reduction of conjugated nitriles was also developed to afford β-silyl amines. Based on this mechanistic understanding, a preparative route to enamines was also established using bulky silanes.



References

1. Gandhamsetty, N.; Joung, S.; Park, S.-W.; Park, S.; Chang, S. *J. Am. Chem. Soc*. **2014**, *136*, 16780.
2. Gandhamsetty, N.; Park, J.; Jeong, J.; Park, S.-W.; Park, S.; Chang, S. *Angew. Chem., Int. Ed*. **2015**, *54*, 6832.
3. Gandhamsetty, N.; Jeong, J.; Park, J.; Park, S.; Chang, S. *J. Org. Chem*. **2015**, *80*, 7281.
4. Kim, D. W.; Joung, S.; Kim, J. G.; Chang, S. *Angew. Chem. Int. Ed*.**2015**, *54*, 14805.
5. Gandhamsetty, N.; Park, S.; Chang, S. *J. Am. Chem. Soc*.**2015**, Article ASAP.
6. Kim, Y.; Chang, S. *Angew. Chem. Int. Ed*. **2015**, Early View

CURRICULUM VITAE – SUKBOK CHANG

PERSONAL

Date & Place of Birth	August, 1962, Korea
Work Address	Istitute for Basic Science Daejeon 305-701 Korea Departmet of of Chemistry Korea Advacned Institute of Sceiecne and Technology (KAIST) Daejeon 305-701, Korea
Telephone	+82-42-350-2841
Fax	+82-32-350-8180
E-mail:	sbchang@kaist.ac.kr
Home Page:	http://sbchang.kaist.ac.kr/

EDUCATION

B.Sc.	Korea University, 1985
M. Sc.	KAIST, 1987
Ph. D.	Harvard University, 1996 (Prof. Eric N. Jacobsen)
Postdoctoral Fellow	Caltech, USA, 1996-1998 (Prof. Robert H. Grubbs)

ACADEMIC CAREER

1998.3-2002.2 , Assistant Professor, Ewha Womans University
2002.3-present, Associate and Full Professor, KAIST
2012.12-present, Director, Institute for Basic Science (IBS)

QUALIFICATIONS AND AWARDS

(1) Korea Science Prize (2013)
(2) Korean Chemical Society Academic Award (2010)
(3) Associate Editor: *ACS Catalysis*
(4) A Member of Korean Academy of Science and Technology

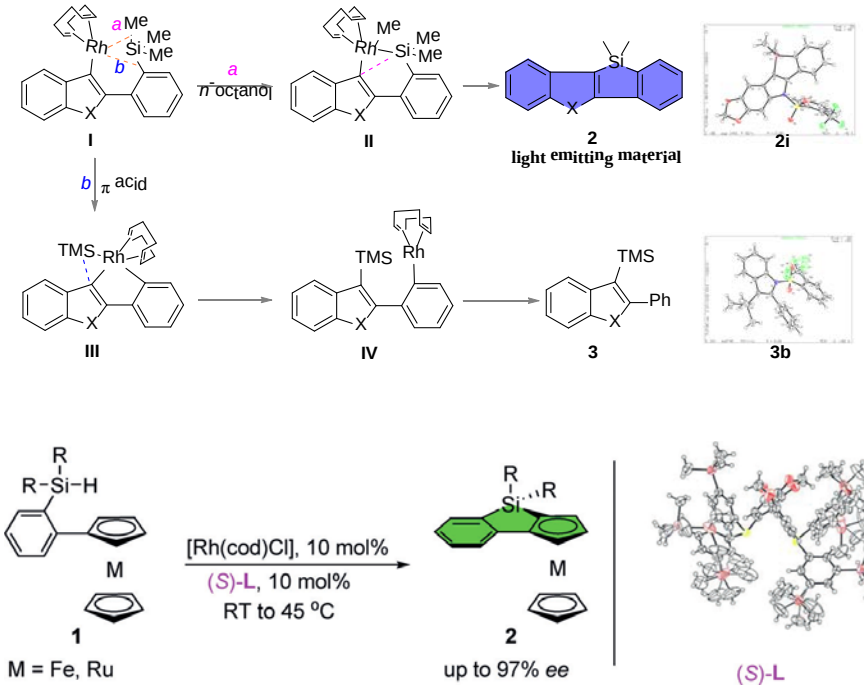
RESEARCH INTERESTS

Development of catalytic transformations and mechanistic studies

Rh-Catalyzed Syntheses of Novel Siloles

Wei He
School of Medicine, Tsinghua University
Renhuan Building 309, Tsinghua University, P.R. China
E-mail: whe@mail.tsinghua.edu.cn

Siloles have found wide applications in light-emitting materials, fluorescent probes, thin-film transistors and solar cells because of their unique optical and electronic properties. Along this direction, we had utilized Rh catalysis for the catalytic syntheses of conjugated siloles (top) and planar-chiral metallocene fused siloles (bottom). We will also discuss the unique reactivity of Rh that enables novel C-Si bond formation in a chemo- and enantio- selective fashion.



References

1. Zhang, Q.; An, K.; He, W. *Angew. Chem. Int. Ed*. **2014**, *53*, 5667.
2. Zhang, Q.; An, K.; He, W. *Angew. Chem. Int. Ed.* **2015**, *54*, 6918.

CURRICULUM VITAE – WEI HE

PERSONAL

Date & Place of Birth	30 October, 1975, China
Work Address	School of Medicine Tsinghua University Renhuan Building 309, Haidian, Beijing, 100084
Telephone	+86-10-6277-2491
Fax	+86-10-6277-2491

E-mail: whe@mail.tsinghua.edu.cn
Home Page: http://www.med.tsinghua.edu.cn/index.php/en/research/faculty/item/he-wei

EDUCATION

B.Sc.	University of Science & Technology of China, 1993-1998
M. Sc. & Ph. D.	University of Rochester, 2007 (with Professor Alison Frontier)

Research CAREER

2011. 1-present, Tenure track Associate Professor, Tsinghua University
2008.4-2010.12, Lexicon Pharmaceuticals, INC., Research Scientist
2007.4-2008.04, Makoto Life Science, INC., Research Scientist

RESEARCH INTERESTS

1. Silicon chemistry and chemical biology. We study the syntheses and properties of novel silicon-containing small molecules. We aim to apply them in probing important questions including drug target identification, lead compound screening and small molecule-protein interaction study.

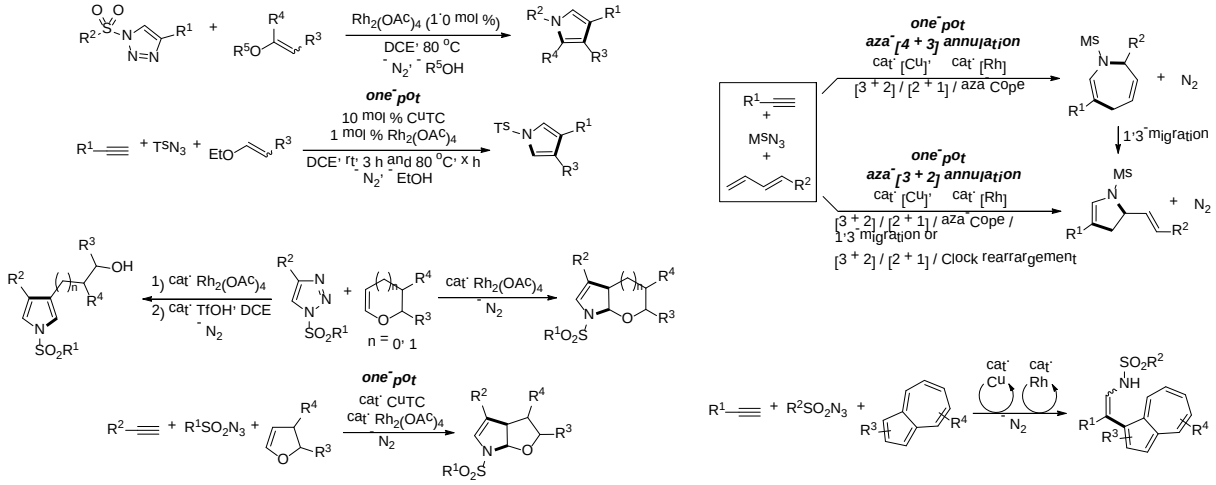
2. Nanoparticle catalysis in organic reactions. We have been focusing on discovering highly efficient and selective organic transformations by effectively controlling the activity of the metal nanocatalysts.

Rhodium-Catalyzed Transannulation and Aminoalkenylation Using *N*-Sulfonyl-1,2,3-triazoles

Phil Ho Lee
Department of Chemistry, Kangwon National University
Hyoja-2-dong 192-1, Chuncheon 200-701, Republic of Korea
E-mail: phlee@kangwon.ac.kr

Azaheterocycles are a highly important class of compounds due to their biological activities and pharmaceutical usabilities. In particular, dihydroazepines, dihydropyrroles, and pyrroles are constituents of a valuable privileged structure in organic chemistry. For this reason, the development of efficient synthetic methods of multi-substituted azaheterocyclic compounds from simple and easily accessible starting materials has been continuously required. Now, we report an efficient synthetic method of azaheterocycles such as pyrroles, tetrahydrofurano-dihydropyrroles, tetrahydropyranodihydropyrroles, dihydropyrroles, and dihydroazepines *via* Rh-catalyzed transannulation of *N*-sulfonyl-1,2,3-triazoles starting from terminal alkynes, sulfonyl azides, and 1,3-dienes in one-pot.

Also, the development of rhodium-catalyzed diastereoselective *N*-sulfonylamino-alkenylation of azulenes using *N*-sulfonyltriazoles is described. This procedure can be successfully applied to rhodium-catalyzed diastereoselective *N*-sulfonylaminoalkenylation of azulenes starting from terminal alkynes and *N*-sulfonylazides *via* a three-component semi-one pot process.



References

1. Kim, C.-E.; Park, S.; Eom, D.; Seo, B.; Lee, P. H. *Org. Lett.* **2014**, *16*, 1900.
2. Kim, S.; Mo, J.; Kim, J.; Ryu, T.; Lee, P. H. *Asian J. Org. Chem.* **2014**, *3*, 926.
3. Park, S.; Yong, W.-S.; Kim, S.; Lee, P. H. *Org. Lett.* **2014**, *16*, 4468.
4. Seo, B.; Jeon, W.; Kim, J.; Kim, S.; Lee, P. H. *J. Org. Chem.* **2015**, *80*, 722.
5. Ryu, T.; Baek, Y.; Lee, P. H. *J. Org. Chem.* **2015**, *80*, 2376.
6. Lee, E.; Ryu, T.; Shin, E.; Son, J.-Y.; Choi, W.; Lee, P. H. *Org. Lett.* **2015**, *17*, 2470.
7. Shin, S.; Park, Y.; Kim, C.-E.; Son, J.-Y.; Lee, P. H. *J. Org. Chem.* **2015**, *80*, 5859.
8. Kim, S.; Kim, J. E.; Lee, J.; Lee, P. H. *Adv. Synth. Catal*. **2015**, *357*, 3707.
9. Kim, C.-E.; Park, Y.; Park, S.; Lee, P. H. *Adv. Synth. Catal*. **2015**, *357*, 210.
10. Park, S.; Jeon, W. H.; Yong, W.-S.; Lee, P. H. *Org. Lett.* **2015**, *17*, 5060.
11. Seo. B.; Jeon, W. H.; Kim, C.-E.; Kim, S.; Kim, S. H.; Lee, P. H. *Adv. Synth. Catal*. **2016**, *358*, ASAP.

CURRICULUM VITAE – PHIL HO LEE

PERSONAL

Date & Place of Birth	29 August, 1961, Korea
Work Address	Department of Chemistry Kangwon National University Hyoja-2-dong 192-1, Chuncheon 200-701, Korea
Telephone	+82-33-250-8493
Fax	+82-33-259-5667
E-mail:	phlee@kangwon.ac.kr
Home Page:	http://indium.kangwon.ac.kr

EDUCATION

B.Sc.	Kangwon National University, 1980-1984
M. Sc. & Ph. D.	KAIST, 1984-1989 (with Professor Sunggak Kim)
Postdoctoral Fellow	Stanford University, USA, 1989-1991 (with Professor B. M. Trost)

ACADEMIC CAREER

1991.3-present, Assistant, Associate, and Full Professor, Kangwon National Univertsity
2006-2010, National Research Laboratory (NRL), Principal investigator
2011-present, National Creative Research Laboratory (NCRL), Director
2012.1-2012.12, Vice President, The Korean Society of Organic Synthesis
2013.1-2013.12, Vice President, Division of Organic Chemistry
The Korean Chemical Society

QUALIFICATIONS AND AWARDS

(1) Excellence in Research Award from Kangwon National Univertsity (2005, 2013)
(2) 48th Kangwon Province Culture and Scholar Award, 2006
(3) 9th Chang-Sei Hee Scholar Award, 2006
(4) Monthly Scientist Award, 2009
(5) A Member of Korean Academy of Science and Technology

RESEARCH INTERESTS

Cross-coupling reactions using organoindium reagents, C–H activation using phosphoryl groups, and Synthetic methodologies using carbenes

PIP Bidentate Auxiliary in C–H Functionalization: Synthetic Application and Mechanistic Studies

Bing-Feng Shi
Department of Chemistry, Zhejiang University
E-mail: bfshi@zju.edu.cn

Transition-metal-catalyzed direct functionalization of unactivated C–H bonds has emerged as an attractive alternative to traditional cross-coupling reactions due to the minimization of stoichiometric metallic waste and the avoidance of multi-step sequences to prepare the starting materials. Despite the significant advances in this area, the discovery of new mode of transformations and catalystic systems for C–H functionalization remains underdeveloped. Inspired by the application of bidentate auxiliaries in C–H activation,[1] we have developed a new bidentate directing group derived from 2-(pyridine-2-yl)isopropylamine (PIP-amine) (Figure 1A).[2] The PIP directing group has the following remarkable features: a) readily available via one-pot, large scale methylation of 2-cyanopyridine (Figure 1B);[3] b) adjustable reactivity through the tuning of steric hindrance, electronic property and bond angle; c) easily removed under mild conditions. PIP DG has been applied to functionalization of unactivated C(sp^3)–H bonds and cheap-metal-catalyzed C–H activation reactions (Figure 1C).[4]

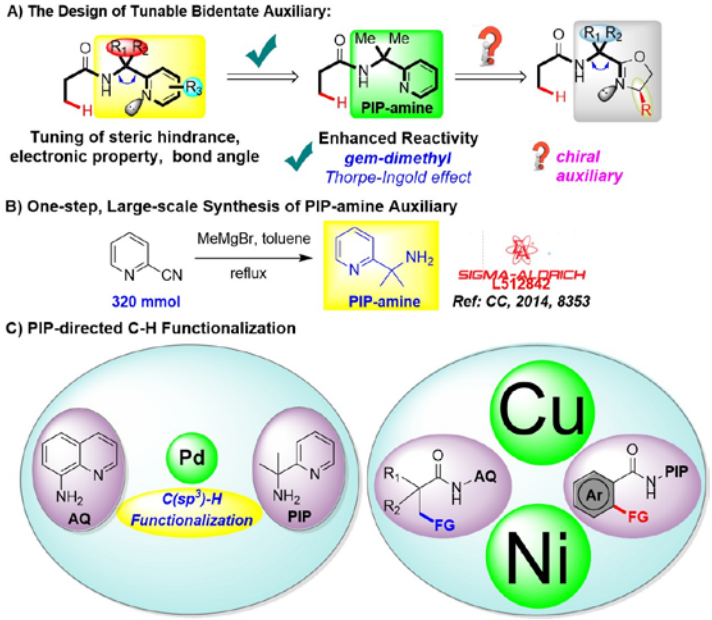


Figure 1. The Design, Synthesis and Application of PIP Auxiliary in C-H Activation

References

1. Daugulis, O.; Roane, J.; Tran, L. D. *Acc. Chem. Res.* **2015**, *48*, 1053.
2. Chen, F.-J.; Zhao, S.; Hu, F.; Chen, K.; Zhang, Q.; Zhang, S.-Q.; Shi, B.-F. *Chem. Sci.* **2013**, *4*, 4187.; (b) Zhang, Q.; Chen, K.; Rao, W.-H.; Shi, B.-F. *Angew. Chem. Int. Ed.* **2013**, *52*, 13588.
3. Zhang, Q.; Yin, X.-S.; Zhao, S.; Fang, S.-L.; Shi, B.-F. *Chem. Commun*. **2014**, 8353.
4. For selected examples, see: (a) Li, X.; Liu, Y.-H.; Gu, W.-J.; Shi, B.-F. *Org. Lett.* **2014**, *16*, 3904. (b) Chen, F.-J.; Liao, G.; Li, X.; Wu, J.; Shi, B.-F. *Org. Lett.* **2014**, *16*, 5644. (c) Liu, Y.-J.; Liu, Y.-H.; Yin, X.-S.; Gu, W.-J.; Shi, B.-F. *Chem. Eur. J.* **2015**, *21*, 205. (d) Yin, X.-S.; Li, Y.-C.; Yuan, J.; Gu, W.-J.; Shi, B.-F. *Org. Chem. Frontiers* **2015**, *2*, 119.

CURRICULUM VITAE – BING-FENG SHI

PERSONAL

Date & Place of Birth	8 July, 1978, China
Work Address	Department of Chemistry Zhejiang University 38 Zheda Rd., 310027 Hangzhou, China
E-mail:	bfshi@zju.edu.cn
Home Page:	http://mypage.zju.edu.cn/bfshi

EDUCATION

B.Sc.	Nankai University, 1997-2001
Ph. D.	Shanghai Institute of Organic Chemistry, CAS, 2001-2006 (with Professor Biao Yu)
Postdoctoral Fellow	University of California, San Diego, USA, 2006-2007 (with Professor Micheal VanNieuwenhze)
Postdoctoral Fellow	The Scripps Research Institute, USA, 2007-2010 (with Professor Jin-Quan Yu)

ACADEMIC CAREER

2010. 4-present, Professor & PI, Department of Chemistry, Zhejiang Universtiy

QUALIFICATIONS AND AWARDS

(1) Distinguished Lectureship Award from Chemical Society of Japan, 2015
(2) Thieme Chemistry Journal Award, 2015
(3) NSFC Outstanding Young Scholar Award, 2014
(4) Qianjiang Project Award, 2013
(5) Gordon Research Conference (GRC) Chair's Award, 2008

RESEARCH INTERESTS

Transition-metal catalyzed C–H activation and the application in total synthesis; carbohydrate and total synthesis of biologically important glycoconjugates

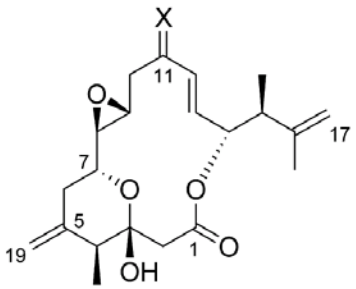
Convergent and Enantioselective Total Synthesis of (-)-Amphidinolide O and P

Duck-Hyung Lee
Department of Chemistry, Sogang University
Baekbeom-ro 35, Mapo-gu, Seoul 04107, Korea
E-mail: dhlee@sogang.ac.kr

Amphidinolide series have attracted much attention of the synthetic community because of their biogenetically unusual structural features and cytotoxic activities against various cancer cell lines.[1] For example, two novel macrolides such as mphidinolides O (**1**) and P (**2**) shows *in vitro* cytotoxicity against murine lymphoma L1210 and human epidermoid carcinoma KB cells (IC50 values: 1.7 and 3.6 mg/ml for **1** and 1.6 and 5.8 mg/ml for **2**).[2]

Amphidinolide O (**1**) and P (**2**) have 15-membered ring structures with one epoxide (C_8-C_9), one double bond (C_{12}-C_{13}), one exocyclic double bond at C_5 and one six-ring bridged hemiacetal group in common, and differ only at C_{11} position (carbonyl group for **1** vs. exo-methylene group for **2**).[2] So far, two research groups completed the total synthesis of **2**,[3] and total synthesis of **1** was not reported yet.

We describe herein the convergent approach for the first enantioselective synthesis of **1** as well as the structure confirmation of the absolute stereochemistry of **1**, and its conversion to natural (+)-**2** as well. The key reactions are enantioselecive Brown allylation, *anti*-aldol reaction, *syn*-aldol reaction, Grubbs olefin metathesis for the C_8-C_9 double bond formation, stereoselective epoxidation of the resulting C_8-C_9 double bond, and two Peterson olefination of β-hydroxysilane for the introduction of two exocyclic double bonds at C_5 and C_{11}.



X = O : (-)-Amphidinolide O
X = CH_2 : (-)-Amphidinolide P

Reference

1. a) Kobayashi, J.; Ishibashi, M. *Chem. Rev.* **1993**, *93*, 1753. (b) Chakraborty, T. K., Das, S. *Curr. Med. Chem.: Anti-Cancer Agents* **2001**, *1*, 131. (c) Kobayashi, J.; Tsuda, M. *Nat. Prod. Rep.* **2004**, *21*, 77. (d) Kobayashi, J.; Kubota, T. *J. Nat. Prod.* **2007**, *70*, 451. (e) Morris, J. C.; Phillips, A. J. *Nat. Prod. Rep.* **2009**, *26*, 245. (f) Fuerstner, A. *Isr. J. Chem.* **2011**, *51*, 329.
2. Ishibashi, M.; Takahashi, M.; Kobayashi, J. *J. Org. Chem.* **1995**, *60*, 6062.
3. a) Williams, D. R.; Myers, B. J.; Mi, L. *Org. Lett.* **2000**, *2*, 945. (b) Chakraborty, T. K.; Das, S. *Tetrahedron Lett.* **2001**, *42*, 3387. (c) Trost, B. M.; Papillon, J. P. N. *J. Am. Chem. Soc.* **2004**, *126*, 13618. (d) Trost, B. M.; Papillon, J. P. N.; Nussbaumer, T. *J. Am. Chem. Soc.* **2005**, *127*, 17921.

CURRICULUM VITAE – DUCK-HYUNG LEE

PERSONAL

Date & Place of Birth	31 October, 1961, Korea
Work Address	Department of Chemistry Sogang University Baekbeom-ro 35, Mapo-gu Seoul, Korea
Telephone	+82-2-705-8450
Fax	+82-504-020-8450
E-mail:	dhlee@sogang.ac.kr
Home Page:	http://orglab.sogang.ac.kr/

EDUCATION

B.Sc.	Seoul National University, 1980-1984
M. Sc. & Ph. D.	Seoul National University, 1984-1986 (Professor E. Lee)
Ph. D.	Harvard University, 1988-1994 (Professor E. J. Corey)
Postdoctoral Fellow	Harvard University, 1994 (Professor E. J. Corey)

ACADEMIC CAREER

1994.9-1998.8, Assistant Professor, Sogang National Univertsity
1998.9-2004.2, Associate Professor, Sogang National Univertsity
2004.3-present, Professor, Sogang National Univertsity
2003.2-2004.2, Visiting Scholar, PTC Therapeutics, Inc.

RESEARCH INTERESTS

Total Synthesis of Natural Products, Medicinal Chemistry

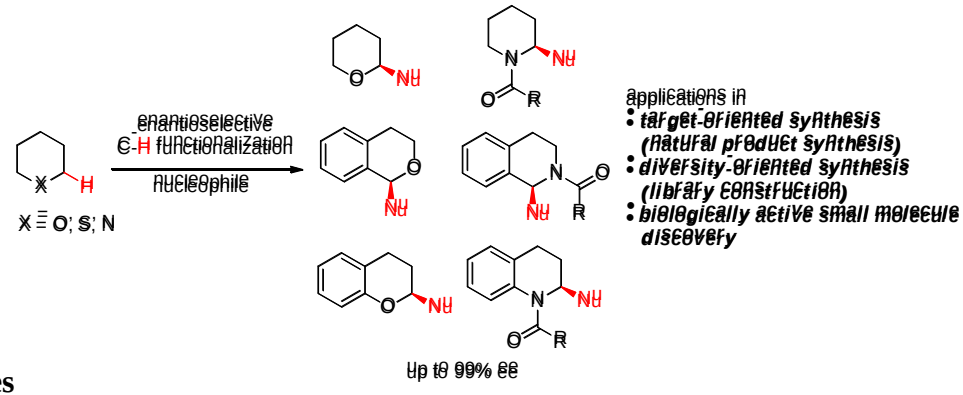
Catalytic Enantioselective Oxidative C–H Functionalization of Heterocycles

Lei Liu
School of Chemistry and Chemical Engineering, Shandong University
27 South Shanda Road, Jinan 250100, China
E-mail: leiliu@sdu.edu.cn

Enantiopure α-substituted heterocycles are among the most prevalent scaffolds comprising a wide assortment of biologically active natural products and pharmaceuticals. Enantioselective oxidative C–H functionalization of heterocycles presents an atom-economic protocol without prior installation of activating groups, and is therefore attractive. While impressive progress has recently been achieved in the area, the scope of the heterocycles is still limited to highly reactive heterocycles. For example, the scope of the nitrogen-containing component is merely limited to highly reactive *N*-aryl tetrahydroisoquinolines. The aryl moiety is not easy to be cleaved, limiting the synthetic utility of the strategy. With respect to the oxygen-containing one, the scope is mainly restricted to reactive 9H-xanthenes.

Therefore, during the past three years, we have focused the interest in the expanding the substrate scope of the catalytic enantioselective oxidative C–H functionalization strategy through developing novel oxidation systems and catalytic asymmetric reactions, its synthetic application for biological active natural product synthesis, and the discovery of biologically interesting agents. A variety of heterocycles proved to be functionalized to afford enantiopure α-substituted products through a "cation pool" strategy in excellent ee. The scope of heterocycles is broad. The scope with respect to heterocycles as well as nucleophiles is broad. Oxygen-containing cycles like tetrahydropyrans and benzopyrans, and nitrogen-containing ones like piperidines, tetrahydroisoquinolines, and tetrahydroquinolines are well tolerated. Carbonyl moieties, alkynes, and organoboranes served as coupling partners efficiently.

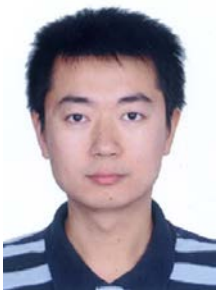
The excellent selectivity and functional group compatibility not only allow the methods to be applied in related biological active natural product synthesis, but also provide us a vehicle to discover several potent anticancer agents (IC_{50} < 1 μM) for subsequent medicinal chemistry study.



References

1. Liu, X.; Meng, Z.; Li, C.; Lou, H.; Liu, L. *Angew. Chem. Int. Ed.* **2015**, *54*, 6012.
2. Meng, Z.; Sun, S.; Yuan, H.; Lou, H.; Liu, L. *Angew. Chem. Int. Ed.* **2014**, *53*, 547.
3. Wan, M.; Meng, Z.; Lou, H.; Liu, L. *Angew. Chem. Int. Ed.* **2014**, *53*, 13845.
4. Xie, Z.; Liu, L.; Chen, W.; Zheng, H.; Xu, Q.; Yuan, H.; Lou, H. *Angew. Chem. Int. Ed.* **2014**, *53*, 3904.
5. Liu, X.; Sun, S.; Meng, Z.; Lou, H.; Liu, L. *Org. Lett.* **2015**, *17*, 2396.
6. Sun, S.; Li, C.; Floreancig, P. E.; Lou, H.; Liu, L. *Org. Lett.* **2015**, *17*, 1684.
7. Chen, W.; Xie, Z.; Zheng, H.; Lou, H.; Liu, L. *Org. Lett.* **2014**, *16*, 5988.
8. Sun, S.; Mao, Y.; Lou, H.; Liu, L. *Chem. Commun.* **2015**, *51*, 10691.

CURRICULUM VITAE – LEI LIU



PERSONAL

Date & Place of Birth	01 November, 1981, China
Work Address	School of Chemistry and Chemical Engineering Shandong University Jinan, Shandong 250100, China
Telephone	+86-531-88363010
E-mail:	leiliu@sdu.edu.cn
Home Page:	http://leiliugroup.com/

EDUCATION

B.Sc.	Lanzhou University, 1999-2003
M. Sc.	Lanzhou University, 2003-2006 (with Professor Rui Wang)
Ph. D.	University of Pittsburgh, 2006-2011 (with Prof Paul Floreancig)
Postdoctoral Fellow	Harvard University, 2011-2012 (with Professor Yoshito Kishi)

ACADEMIC CAREER

2012.06-present, Full Professor, Shandong University

QUALIFICATIONS AND AWARDS

(1) The Shandong Science Fund for Distinguished Young Scholars, 2014
(2) New Century Excellent Talents in University, Ministry of Education of China, 2013
(3) Qilu Young Scholar, Shandong University, 2012
(4) Chinese Government Award for Outstanding Self-Financed Students Abroad, 2010

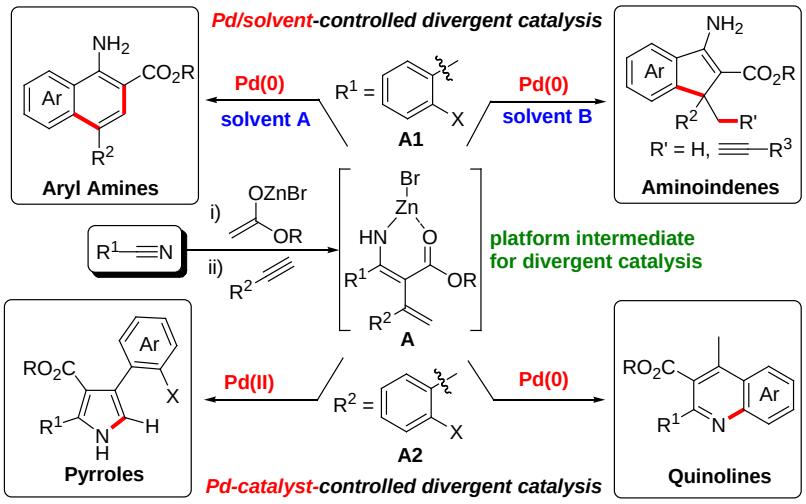
RESEARCH INTERESTS

Regio-, diastereo-, and enantioselective C–H functionalization; difunctionalization of simple olefins; natural product synthesis; medicinal chemistry

Tandem Divergent Catalysis using the Blaise Reaction Intermediate

Sang-gi Lee
Department of Chemistry and Nano Science, Ewha Womans University
Seoul 120-150, Republic of Korea
E-mail: sanggi@ewha.ac.kr

Tandem one-pot reactions have attracted significant attention because of their undeniable benefits such as atom economy as well as economies of time, labor, resource management, and waste generation. Indeed, the device and implementation of tandem reactions is a challenging facet and has become increasingly important in organic synthesis.[1] On the other hand, divergent catalytic reactions provide quick access to structurally diversified compounds from a common precursor via controlled reaction pathways, and are highly attractive tools in the discovery of drugs and functional materials.[2] A more promising yet challenging strategy that remains largely unexplored is tandem divergent catalysis, which combined the key advantages inherent to both tandem reaction and divergent catalysis to provide a rapid access to diversified structures from the same simple reagents while minimizing generation of waste. In the course of our studies on the tandem use of the Blaise reaction in catalysis,[3] we envisioned that the zinc bromide complex **A**, formed by the sequential reaction of nitriles with Reformatsky reagent and 1-alkynes, may serve as a viable intermediate for divergent catalysis involving C-C and C-N bond forming reactions. It has been found that the catalytic reaction pathways are precisely controlled by a simple change of the reaction solvents or palladium catalysts to quickly build four different aromatic scallfolds- arylamines, aminoindenes, pyrroles, and quinolines-starting from readily available nitriles.[4]



References

1. Review: Kim, J. H.; Ko, Y. O.; Bouffard, J. Lee, S.-g. *Chem. Soc. Rev.* **2015**, *44*, 2489.
2. Review: Duma, A. M.; Bode, J. W. *Angew. Chem. Int. Ed.* **2012**, *51*, 10954.
3. (a) Kim, J. H.; Lee, S.-g. *Org. Lett.* **2011**, *13*, 1350. (b) Chun, Y. S.; Kim, J. H.; Choi, S. Y.; Ko, Y. O.; Lee, S.-g. *Org. Lett.* **2012**, *14*, 6358. (c) Chun, Y. S.; Xuan, Z.; Kim, J. H.; Lee, S.-g. *Org. Lett.* **2013**, *15*, 3162. 4.
4. (a) Kim, J. H.; Bouffard, J. Lee, S.-g. *Angew. Chem. Int. Ed.* **2014**, *53*, 6435. (b) Kim, J. H.; Choi, S. Y.; Bouffard, J.; Lee, S.-g. *J. Org. Chem.* **2014**, *79*, 9253.

CURRICULUM VITAE – SANG-GI LEE

PERSONAL

Date & Place of Birth	03 October, 1959, Korea
Work Address	Department of Chemistry & Nano Science Ewha Womans University 120-750 Seoul, Korea
Telephone	+82-2-3277-4505
Fax	+82-2-3277-3419
E-mail:	sanggi@ewha.ac.kr
Home Page:	http://lsg3712.dothome.co.kr/

EDUCATION

B.Sc.	KyungPook National University, 1978-1982
M. Sc.	KAIST, 1983-1985 (with Prof. Dong Young Oh/In O Kim)
Ph.D	University of Missouri-Columbia, USA, 1990-1994 (with Prof. William H. Bunnelle)
Postdoctoral Fellow	MIT, USA, 1997-1998 (with Prof. John Essigmann)

CAREER

2006. 1-present, Professor, Ewha Womans Univertsity
1985-1990, Korean Institute of Science, Research Scientist
1994-2005, Korean Institute of Science, Senior & Principal Research Scientist
Head of Medicinal Research Center
2012-2014, Director, Seoul Branch of the Korea Basic Science of Institute
2010-2014, Director, Institute of Basic Science at Ewha Womans University
2010-present, Director, NanoBio Institute at Ewha Womans University
2006.1-2006.12, Secretary of Organic Division, The Korean Chemical Society
2007.1-2007.12, Vice President, the Korean Chemical Society
2009-2011, Vice President, the Korean Society of Organic Synthesis
2015.1-present, Executive Vice President, the Korean Chemical Society

AWARDS

(1) 8th Chang-Sei Hee Scholar Award, 2006
(2) Lectureship Award, Asian Core Organic Chemistry, 2012

RESEARCH INTERESTS

Development of new catalytic reactions
Catalyst immobilization
Ionic liquid and its applications