

Program

Thieme Organic Chemistry Symposia China Roadshow 2024



Sichuan University

09:00-17:30 / 08 November 2024

Chemistry Hall 321, Sichuan University (Wangjiang Campus)

四川大学望江校区化学馆 321 报告厅



Thieme



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Welcome to Sichuan University

Greetings! In this vibrant autumn, we would like to extend a warm welcome to all of you who have gathered at the Sichuan University campus. As a co-organizer of this conference, we feel honored to work with outstanding chemists and industry experts from home and abroad.

Sichuan University is a comprehensive university that was founded in 1896 and was formed by the merger of three national key universities, including the original Sichuan University, the original Chengdu University of Science and Technology, and the original West China School of Medicine. It is one of the oldest universities in Chinese history. Sichuan University has a comprehensive range of disciplines, with 37 academic colleges (departments) and overseas education college, etc.. Sichuan University commits itself to world-class teaching, learning and research, serving as an innovation engine that propels China to a new stage of development.

The College of Chemistry could be traced back to 1907 and is one of the oldest chemistry department in China. With a long history and profound background, the college has developed for over 110 years and has become an important chemical research and talent training base in China. The Chemical science of Sichuan University is ranked 6th globally in the Nature Index of Academic journals, 29th globally in the field of Chemistry on the Essential Science Indicators (ESI) database, entering the top 0.14‰ in the world, and 9th in the Best Chinese Subjects Rankings.

The College of Chemistry has been dedicated to constructing a high-level exchange platform, promoting international cooperation and university-industry cooperation to address global challenges. We believe that this conference will not only witness breakthroughs and innovative results in the field of organic synthetic chemistry, but also lay a solid foundation for future research and cooperation.

Finally, I'd like to thank all the experts and scholars for your presence and support, and wish this conference will be a great success! Thank you!

Bo Liu

College of Chemistry, Sichuan University



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Welcome - Willkommen - 欢迎

Dear Colleagues,

Thieme is delighted to be co-organizing this Organic Chemistry Symposium in collaboration with **Sichuan University**. We would like to give special thanks to our hosts **Professor Bo Liu** his colleagues for agreeing to co-host this symposium at Sichuan University. It is the first time for Thieme Chemistry to have this kind of symposia series in China where we are joined by leading scientists/ editors from internationally as well as locally.

The chemical sciences portfolio consists of 11 journals: *Synthesis*, *Synlett*, *SynOpen*, *Synfacts*, *Organic Materials*, *Planta Medica*, *Pharmacopsychiatry*, *Drug Research*, *Pharmaceutical Fronts*, *Chinese Medicine and Natural Products*, and the newly announced launch of open access journal, *Sustainability & Circularity Now*. More details can be read on our website. In addition, Thieme also publishes databases such as *Science of Synthesis*, *Roempp and Pharmaceutical Substances*. We are a family run publishing house, established in 1886, with headquarters based in Stuttgart, Beijing, New York, Rio de Janeiro, London, and Delhi. Our Editorial colleagues are proud to provide services to our authors, reviewers, readers, and editors from those offices.

We offer one of the fastest times from submission to first decision on manuscripts via our innovative peer review system called *Select Crowd Review*. This new method of peer review has proven to be fast, efficient, and fair. We would like to encourage postdocs and early career chemists to try this new method of peer review. We are also lucky to have one of the most high-profile networks of organic chemists in the world. We are very grateful to **Professor Liu-Zhu Gong, University of Science and Technology of China**, Hefei, for the introduction to his good friends at Sichuan University.

We are pleased to be joining colleagues from China to share our exciting ideas in research with this audience. Plus, we are delighted to have this opportunity to share our experiences of scientific publication, whether as authors or editors, so that we can understand more clearly how our journals can best serve the global scientific community. Our motto at Thieme is to support our community for “Better Health and Better Life”.

We would like to thank all speakers, organizers, editors, and committee members especially our Editorial Board and Advisory Board members. We hope that all presentations will stimulate exchange of ideas, experiences, and potentially foster future research collaborations.

Welcome to what promises to be an exciting meeting!

Veronika Spinka

Senior Vice President, Thieme Science



Kathleen Too

Senior Vice President, Thieme Chemistry
Managing Director, Thieme China



Stuart Beardsworth

Senior Publisher, Biosciences Journals



Yingxiao Cai

Publisher Acquisitions, Thieme Chemistry



Agenda

| Time | Speaker | Title of lecture |
|---------------|---|---|
| 09:00 – 09:15 | Prof. Kun Li , Sichuan University 李坤 教授 / 四川大学 | Welcome from the host and inaugural speech |
| 09:15 – 09:30 | Dr. Kathleen Too Senior Vice President, Thieme Chemistry Managing Director, Thieme China | Thieme Presentation, Introduction of new journals + Group Photo |
| 09:30 – 10:10 | Prof. Thierry Ollevier Université Laval, Canada | Design and Applications of Chiral Iron Complexes for Asymmetric Catalysis |
| 10:10 – 10:50 | Prof. Lei Liu , Shandong University 刘磊 教授 / 山东大学 | Biomimetic Catalytic Asymmetric Oxidation |
| 10:50 – 11:30 | Prof. Da-Gang Yu , Sichuan University 余达刚 教授 / 四川大学 | CO ₂ Utilization in Organic Synthesis |
| 11:30 – 13:30 | Lunch | |
| 13:30 – 14:10 | Prof. Yang Li , Xi'an Jiaotong University 李洋 教授 / 西安交通大学 | Selective Biomass Transformation via Visible-light Induced Radicals |
| 14:10 – 14:50 | Prof. Hai-Long Yan , Chongqing University 闫海龙 教授 / 重庆大学 | Vinylidene o-Quinone Methide (VQM) Chemistry |
| 14:50 – 15:10 | Coffee Break | |
| 15:10 – 15:50 | Prof. Tuo-Ping Luo , Peking University 罗佗平 教授 / 北京大学 | Organic Synthesis of Complex Natural Products to Facilitate Chemical Biology Research |
| 15:50 – 16:30 | Prof. Corinna Schindler University of British Columbia, Canada | Azetidines, Azetines, and Oxetanes: New Cycloadditions of Imines and Carbonyls |
| 16:30 – 16:50 | Panel Discussion: What do Editors look for when they assess a paper? | |
| 16:50 – 17:00 | Prof. Liu-Zhu Gong , University of Science and Technology of China 龚流柱 教授 / 中国科学技术大学 Dr. Kathleen Too Senior Vice President, Thieme Chemistry Managing Director, Thieme China | Closing Remarks |

Symposium Presidents



PROFESSOR

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Abstracts and Biographies



PROFESSOR

Thierry Ollevier



Département de Chimie, Université Laval, Canada
Editor-in-Chief, *SynOpen*

Thierry Ollevier was born in Brussels and obtained his B.Sc. (1991) and Ph.D. (1997) at the Université of Namur (Belgium), and was research associate at the Université catholique de Louvain (Belgium), under I. E. Markó (1997), NATO postdoctorate fellow at Stanford University under B. M. Trost (1998–2000), then postdoctorate fellow at the Université de Montréal under A. B. Charette (2000–2001). After an Assistant Professor appointment (2001) at Université Laval (Québec, Canada), he became Associate (2006) and is currently Full Professor. Current research in his group aims at designing novel catalysts, developing catalytic reactions and applying these methods to chemical synthesis. He is active in the areas of iron catalysis, ligand design, asymmetric catalysis, fluorine chemistry, diazo and diazirine chemistry, flow chemistry, and bismuth chemistry. He has published more than 85 papers and 35 encyclopedia articles and book chapters. He has served as an Associate Editor of *RSC Advances* from 2015 to 2022 and was admitted as a Fellow of the Royal Society of Chemistry (2016). After 5 years served as Advisory Board member of *SynOpen*, he has been appointed as Editor-in-Chief of *SynOpen* in 2023.

Design and Applications of Chiral Iron Complexes for Asymmetric Catalysis

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Various iron-derived Lewis acids have been developed as green catalysts in asymmetric synthesis. Chiral iron complexes have been employed in selected asymmetric C–C, C–N, and C–S bond-forming reactions, such as the Mukaiyama aldol, epoxide opening, thia-Michael and Diels–Alder reactions.^[1–6] As part of our ongoing interest in ligand design, we report the fine-tuning of alternate designer ligands toward higher chiral inductions. Iron coordination chemistry will be discussed in the context of the enantiocontrol of selected reactions. We developed an efficient chiral C₂-symmetric 2,2'-bipydiol ligand possessing an adamantyl or a CF₃ group in the α,α'-positions. A highly enantioselective method for the catalytic addition of thiols to α,β-unsaturated oxazolidinones was developed using Fe^{II} salts with the (S,S)-2,2'-bipyridine-α,α'-tBu-diol ligand (Bolm's ligand, up to 86% ee)^[5] and the analogue ligand possessing 3,3'-dimethyl substituents (up to 90% ee).^[2] The Fe^{III}-catalyzed asymmetric Diels–Alder reaction of various dienes with α,β-unsaturated oxazolidinones was performed using Bolm's ligand (up to 98% ee)^[3] and the adamantyl-variant (S,S)-2,2'-bipyridine-α,α'-1-Ad-diol (up to > 99.5% ee).^[1] Another new 2,2'-bipydiol ligand possessing CF₃ groups in the α,α'-positions was prepared and used in the asymmetric addition of Et₂Zn to aldehydes (up to 95% ee).^[4] Overall, the following asymmetric reactions will be presented: Fe^{II}-catalyzed thia-Michael (up to 90% ee),^[5] Fe^{II}-catalyzed Mukaiyama aldol (up to 98% ee),^[1,2] Fe^{III}-catalyzed Diels–Alder (up to > 99.5% ee),^[1,3] and Zn^{II}-mediated Et₂Zn addition to aldehydes (up to 95% ee).^[4]

Keywords: asymmetric catalysis, chiral ligand, enantioselectivity, iron catalysis

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PROFESSOR

Lei Liu



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Lei Liu is currently a professor at Shandong University. He completed his B.S. and M.Sc degree in Biochemistry from Lanzhou University with professor Rui Wang, and PhD. degree in Chemistry from University of Pittsburgh with Professor Paul E. Floreancig. Then, he joined the group of Professor Yoshito Kishi at Harvard University as a postdoctoral fellow. His research interests focus on developing new biomimetic asymmetric oxidation approaches for bioactive complex molecule construction and medicinal chemistry.



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Biomimetic Catalytic Asymmetric Oxidation

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Selective oxidation represents a fundamental transformation in synthetic chemistry. In particular, asymmetric oxidation is the most straightforward approach to create new chiral compounds with higher oxidation state.^[1] Significant progress has been achieved in asymmetric oxidation of reactive functional groups such as alkenes and sulfides, which is routinely used industrially. However, this important technique suffers from limited reaction and substrate categories. Inspired by bio-catalytic models and enzymatic structures, we aim at developing novel asymmetric oxidation transformations by investigating other diverse intact substrates. By utilizing newly designed biomimetic earth-abundant metal catalysts, a series of inert substrates,^[2] such as ethers, azides, aromatic systems, and C–H bonds, together with those typically deactivating metal catalysts like amines^[3] have been systematically explored.

Keywords: asymmetric oxidation, biomimetic catalysis, synthetic method, earth-abundant metal

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PROFESSOR

Da-Gang Yu



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Professor Da-Gang Yu graduated from Sichuan University with a bachelor degree in 2007 and graduated from Peking University with a doctor degree in 2012 (Mentor: Professor Zhang-Jie Shi). Later, he conducted postdoctoral research as a Humboldtian in Professor Frank Glorius' group at the University of Muenster, Germany. In 2015, he returned to the College of Chemistry of Sichuan University to carry out his independent work, and has been focusing on the CO₂ utilization in organic synthesis. He has published 130 papers, including 100 corresponding author papers published in Nature, Nat. Catal., Nat. Synth., Acc. Chem. Res., Chem, Angew. Chem. JACS, and other journals; He has hosted the National Science Fund for Distinguished Young Scholars, serves as Associate Editor of Chem. Synth. and won the Chinese Chemical Society Youth Award, China Catalysis Rookie Award, Chinese Chemical Society-Royal Society of Chemistry Young Chemist Award and Kyoto Rising-Star Lectureship Award.



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CO₂ Utilization in Organic Synthesis

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Carbon dioxide (CO₂) is an inexpensive, non-toxic, and renewable carbon-resource. Therefore, it is important to use CO₂ in organic synthesis. However, due to its thermodynamic stability and kinetic inertness, it is highly challenging to achieve efficient transformations of CO₂ under mild conditions.^[1] Our group has been focusing on organic synthesis with CO₂ since 2015 (Figure 1).^[2] In this talk I will introduce the direct use of CO₂ as the combination of CO and oxidant ("CO₂ = CO + [O]"), visible-light photoredox-catalyzed, electro-driven or transition-metal-catalyzed organic transformations of CO₂, providing aryl acetic acids, diacids, amino acids, chiral alcohols and other high value-added products under mild conditions. We hope these efforts will provide new methods for efficient organic synthesis with CO₂.

Keywords: Carbon dioxide, Photocatalysis, Electrochemistry, Transition metal catalysis

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Yang Li



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Prof. Dr. Yang Li, received her Ph.D. degree in 2006 in Lanzhou University with Prof. Xiaoping Cao. After working in WuXi PharmaTech Co., Ltd. for 3 years, since 2009, she started her postdoctoral research in Peking University with Prof. Zhang-Jie Shi, and in LIKAT in Germany with Prof. Matthias Beller. In 2024, Yang Li joined in Xi'an Jiaotong University and started her independent career. She acts as the member of the International Advisory Board of *ChemSusChem*, *Chem. Asian. J.*, the member of Editorial Board of *Chin. Chem. Lett.* and *Chin. J. Org. Chem.* She obtained the Thieme Chemistry Journals Award in 2020 and ACP Lectureship 2022.



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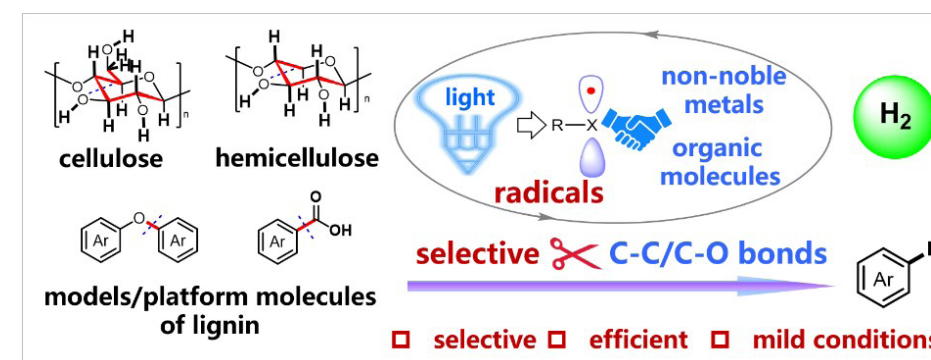


Selective Biomass Transformation via Visible-light Induced Radicals

Yang Li

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Non-food biomass comprises three main components of cellulose, hemicellulose, and lignin, as a biomass energy carrier. Theoretically, non-food biomass can be used for production of renewable energy and chemicals for the sustainable development. However, the efficient utilization encounters the extreme challenges of efficient depolymerization and chemical selective cleavage of a large number of inert chemical bonds. For sustainable development, we are interested in selective biomass to hydrogen and aryl compounds, via selective cleavage of C-C and C-O bonds, by cooperation of visible-light induced radicals with non-noble metal or organic molecules. Via selective cleavage of C-C bonds, an efficient hydrogen production as well as hydrogen storage via controllable oxidation of non-food biomass to formic acid was realized.^[1] Furthermore, via well-organized redox-neutral cleavage of C-C, O-H and C-H bonds, efficient water reforming of biomass to H₂ was achieved.^[2] Via selective cleavage of various C-O and C-C bonds, new selective synthetic methods for aryl compounds from the models or platform molecules of lignin were developed.^[3]



Keywords: radicals; selective cleavage of chemical bonds, visible-light photoredox catalysis; biomass

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PROFESSOR
Hai-Long Yan



Pharmaceutical Sciences
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Hailong Yan started his independent career as a full professor at Chongqing University in 2013, focusing on asymmetric organocatalysis by developing new methods and strategies. He has published over 40 research papers and received the 'National Natural Science Funds for Excellent Young Scholars'.



Vinylidene o-Quinone Methide (VQM) Chemistry

Hailong Yan

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Vinylidene o-quinone methide (VQM) is a highly reactive intermediate with multiple reaction sites. Yan's group has advanced VQM chemistry, achieving efficient asymmetric synthesis of functional materials with various chiral elements, including central, axial, and helical chirality^[1-3]. Their work in capturing and characterizing stable VQM intermediates provides direct evidence of VQM's existence and offers mechanistic insights into asymmetric organocatalytic reactions^[4].

Keywords: VQM chemistry, asymmetric synthesis, chirality, organocatalysis

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Tuo-Ping Luo



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Tuoping Luo embarked on his academic journey by pursuing a degree in chemistry at Peking University from 2001 to 2005. During his undergraduate years, he actively participated in research activities guided by Prof. Zhen Yang and Prof. Jiahua Chen. In 2011, he received his Ph.D. under the mentorship of Prof. Stuart L. Schreiber at Harvard University and Broad Institute. Subsequently, he conducted post-doctorate research under the supervision of Dr. John Yuan Wang in H3 Biomedicine Inc., a start-up company focusing on oncology drug development. In 2013, he returned to Peking University, where he established his independent group within College of Chemistry and Molecular Engineering and became a member in the Peking-Tsinghua Center for Life Sciences. His research group is dedicated to the investigation of biologically active small molecules, encompassing organic synthesis and functional studies, with a particular emphasis on complex natural products. He has been recognized by Thieme Chemistry Journal Award (2017), the 7th CSC-RSC Distinguished Young Investigator Award (2019), Sanofi-Cell Research Outstanding Paper Award (2020), Outstanding Teaching Award at Peking University (2023) and Asian Core Program Lectureship Award (2023).

Organic Synthesis of Complex Natural Products to Facilitate Chemical Biology Research

Tuoping Luo

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Small-molecule natural products offer advantageous starting points for developing tool compounds and probes to specifically modulate target proteins. Despite their limited abundance in nature and the challenges associated with isolation, purification, and modification, as well as the identification of mechanism-of-action, organic synthesis has proven to be a powerful technology for advancing chemical biology studies in this realm. In alignment with this research direction, our group has dedicated efforts to investigate unique natural products, including anti-inflammatory diterpenoids (vinigrol[1-2], kauranoids[3-4], forskolin[5], triptolide[6], etc.), agonists of opioid and neurotransmitter receptors (nAChRs, 5-HTs), and modulators of ion channels (voltage-gated sodium channels[7-10], TRPV1). Our endeavors have not only contributed to the development of efficient synthetic strategies, but also led to novel insights into organic transformations and new advancement of the synthetic methodology. More importantly, expediently accessing the underexplored chemical space would yield valuable tool and lead compounds for drug discovery. These efforts underscore the critical role of organic synthesis in leveraging the biological functions of natural products, serving as a crucial element in navigating untapped molecular diversities and facilitating chemical biology research.

Keywords: total synthesis, natural products, diterpenes, alkaloids, photochemistry

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Corinna was born and raised in Schwaebisch Hall, Germany. As an undergraduate at the Technical University of Munich, she worked in the area of organometallic chemistry. Upon completion of her Diploma Thesis at the Scripps Research Institute in La Jolla in the laboratory of K.C. Nicolaou, she joined the research group of Erick M. Carreira at the ETH Zurich in Switzerland for her graduate studies. During her time in the Carreira group Corinna worked on developing novel synthetic methodologies as well as successful synthetic strategies to access Banyaside A and Microcin SF608. For her postdoctoral studies, Corinna joined the laboratory of Eric N. Jacobsen at Harvard University as a Feodor Lynen Postdoctoral Fellow to work in the field of asymmetric catalysis.



Azetidines, Azetines, and Oxetanes: New Cycloadditions of Imines and Carbonyls

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Four-membered nitrogen heterocycles such as azetidines possess unique properties that make them desirable for drug discovery and synthesis applications. However, synthesis of these compounds is challenging, limiting their applicability. While oxetanes and cyclobutanes are commonly synthesized by highly atom-economical light-mediated [2+2] reactions, this powerful methodology remains limited for the synthesis of azetidines via the aza Paternò-Büchi reaction. Herein we report the development of visible-light mediated intermolecular aza Paternò-Büchi reactions, harnessing the triplet state of unique cyclic oximes, specifically 2-isoxazoline-3-carboxylates, as imine equivalents for the synthesis of unique azetidine and azetine products. Following energy transfer from an iridium photocatalyst, these cyclic oximes initiate [2+2] reactions with unactivated alkenes and alkynes, allowing access to a broad range of azetidines and azetines with excellent yield. This method is mild, operationally simple, and broadly applicable. Importantly, these products can be easily converted to free monocyclic azetidines, offering a new approach to these desirable targets.

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Prof. Debabrata Maiti received his PhD from Johns Hopkins University in 2008 under the supervision of Prof. Kenneth D. Karlin. After postdoctoral studies at MIT with Prof. Stephen L. Buchwald, he joined the Department of Chemistry at IIT Bombay in 2011. His research interests are focused on the development of new and sustainable synthetic and catalytic methodologies. Currently he is *Editor-in-Chief, Synlett*.

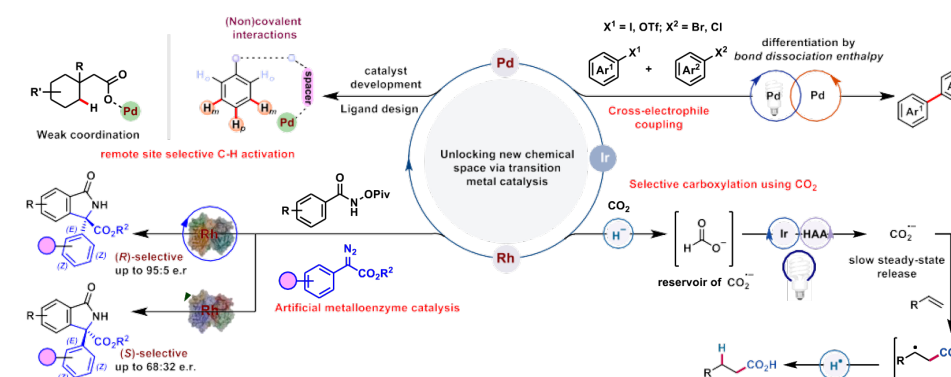
Unlocking new chemical space via selective catalysis

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The limitations of cross-coupling such as the availability of prefunctionalized coupling partners, instability, and synthesis expense remain, posing significant barriers to unlocking new chemical space for molecular complexity. To solve these underlying problems of cross-coupling we are mainly focused on the development of techniques for direct C–H functionalization and cross-electrophile coupling. Selectively targeting a remote C–H bond in a molecule remains more challenging due to the inaccessibility of these sites in formation of energetically favorable organometallic pre-transition states. We believe that the direct release of the reactive metal catalyst in close proximity to the targeted remote C–H bond could solve this problem. We devised covalently attached template-directed methods that require precise spatial positioning of the directing group in order to selectively activate remote C–H bonds. We recently demonstrated that various non-covalent interactions are also successful in recognizing the perfect orientation of catalyst and the substrate to achieve selective C–H bond activation. In this vein, we have developed a method for the activation of methylene C–H bond in presence of methyl C–H bonds to form unsaturated bicyclic lactones utilizing the weak coordinating nature carboxylic acid towards palladium. Cross-electrophile coupling (XEC) approach would be a powerful tool for the construction of (hetero)biaryl moiety because of the widespread availability and stability of (hetero)aryl electrophiles. We have demonstrated a ligand controlled visible light driven monometallic cross-electrophile coupling platform for the synthesis of unsymmetrical (hetero)biaryls directly from (hetero)aryl halides and pseudohalides. In addition, our lab is pursuing the development of a paradigm in which small molecules such CO₂, SO₂ etc. can be converted into a wide range of chemicals and materials using renewable visible light photocatalysis.



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