

周大紓紀念研討會 Ta-shue Chou Lectureship Award Symposium

# 2025 **March 6**

# Innovative Strategies for Precision Chemical Syntheses









- 14:00 Opening Remarks
- 14:10 **Hsuan-Hung Liao** (National Sun Yat-sen University) Contra-Thermodynamic Positional Isomerization: From Enoates to Alkenyl a-Stereogenic Esters

Chair: Jiun-Jie Shie

14:40 **Takehisa Maekawa** (Academia Sinica) Synthesis and Direct Functionalization of Polycyclic Aromatic Hydrocarbons

Chair: Hung-Ju Yen

15:10 **Chien-Tien Chen** (National Tsing Hua University) Vanadyl Species-Catalyzed Radical Type 1,2-Difunctionalization of Olefins

Chair: Tiow-Gan Ong

15:40 Group Photo & Coffee Break

# 16:00 Award Ceremony Memory of Professor Ta-shue Chou Award Presentation

# 16:30 **Richmond Sarpong** (University of California, Berkeley) Break-it-to-Make-it Strategies for Cher

Break-it-to-Make-it Strategies for Chemical Synthesis Inspired by Complex Natural Products

Chair: Rong-Jie Chein

17:30 Closing Remarks

Contact: Ms. Cheng sfcgate@gate.sinica.edu.tw 02-5572-8656





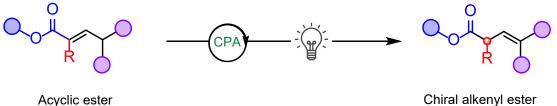


# **Contra-Thermodynamic Positional Isomerization: From Enoates to** Alkenyl α-Stereogenic Esters

#### Hsuan-Hung Liao

Department of Chemistry, National Sun Yat-sen University, Kaohsiung 80424, Taiwan

Carbonyl compounds bearing  $\alpha$ -stereogenic centers are ubiquitous motifs found in bioactive natural products and pharmaceutical drugs, which attracts chemists' interest to devote in the synthetic field.[1] Among the most utilized methods to build this valuable motif is through asymmetric alkylation of enolates which often employs chiral auxiliaries or chiral catalysts.[2] Despite its notoriety, this route suffers from common disadvantages like the formation of undesirable side products. Consequently, Norrish Type II Rearrangement has been developed as an alternative route toward constructing quaternary centers. Although Norrish Type II Rearrangement has long been established, the successful case of asymmetric Norrish Type II rearrangement is still limited. Moreover, a step- and atom-economy reaction known as photodeconjugation which involved Norrish Type II rearrangement has been developed. Photodeconjugation reaction mechanistically proceeds through E/Z isomerization, followed by 1,5-HAT and keto-enol tautomerization to afford the target motif utilizing enones as substrates. In this study, the chiral phosphoric acid-catalyzed asymmetric Norrish type II rearrangement of acyclic  $\alpha$ ,  $\beta$ -unsaturated ester under UV light irradiation has been developed. The reaction afforded various acyclic enoates with excellent enantioselectivities and moderate to good yields.



Chiral alkenyl ester

#### References

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- 2 Ricard R, Sauvage P, Wan CSK, Weedon AC, Wong DF. J. Org. Chem. 1986, 51, 62–67.

### Hsuan-Hung Liao

Associate Professor Department of Chemistry National Sun Yat-sen University Kaohsiung 80424, Taiwan E-mail: hsuan-hung.liao@mail.nsysu.edu.tw



#### Education

Ph.D. (2012-2016): RWTH Aachen University, Germany.M. Sci. (2008-2010): National Tsing Hua University, Taiwan.B. Sci. (2004-2008): National Tsing Hua University, Taiwan.

#### **Academic Career**

2024-present: Chair of International Ph.D. Program for Science, National Sun Yat-sen University 2023- present: Jointly appointed associate professor, Kaohsiung Medical University, Taiwan 2023- present: Associate professor in Chemistry, National Sun Yat-sen University, Taiwan 2019- 2022: Assistant professor in Chemistry, National Sun Yat-sen University, Taiwan 2017-2019: Marie Skłodowska-Curie individual fellow, University of Bristol, UK. 2016-2017: Postdoctoral researcher, RWTH Aachen University, Germany.

#### Awards

- 2025 Outstanding Young Chemist Award, Chinese Chemical Society Located in Taipei
- 2024 Asian Core Program Lectureship Award, Singapore
- **2024** Emerging Young Scholar for the NSTC 2030 Cross-Generation Young Scholars Program
- 2024 Ministry of Education Yushan Young Scholar
- 2023 Asian Core Program Lectureship Award, Korea and Thailand
- **2023** Outstanding Award for the Young Scholar Innovative and Development in the Taiwan Comprehensive University System
- 2023 Thieme Chemistry Journals Award

### **Representative Publications**

- 1 Chan C-L, Lee S-C, Lin P-S, Tapales R V P P, Li J-S, Lai C-A, Lee J-T, Li C-H, Liao H-H, *Org. Lett.* **2024**, DOI: 10.1021/acs.orglett.4c00677.
- 2 Hsu C-M, Lin H-B, Hou X-Z, Tapales R V P P, Shih C-K, Miñoza S, Tsai Y-S, Tsai Z-N, Chan C-L, Liao, H-H, *J. Am. Chem. Soc.* **2023**, *145*, 34, 19049–19059.
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- 5 Lee S-C, Li L-Y, Tsai Z-N, Lee Y-H, Tsao Y-T, Huang P-G, Cheng C-K, Lin H-B, Chen T-W, Yang C-H, Chiu C-C, Liao H-H, *Org. Lett.* **2022**, *24*, 1, 85-89.

### **Research Interests**

Organic synthesis methodologies, asymmetric catalysis and applications, organic photochemical reactions, total synthesis of natural products.

# Synthesis and Direct Functionalization of Polycyclic Aromatic Hydrocarbons

#### Takehisa Maekawa

Institute of Chemistry, Academia Sinica, Taiwan

Nanographenes and polycyclic aromatic hydrocarbons (PAHs) exhibit many intriguing physical properties and have potential applications across a range of scientific fields, including electronics, catalysis and biomedicine. Since their intrinsic properties are strictly defined by their shapes, sizes, and edge structures, the chemical synthesis of nanographenes and PAHs with atomic precision has attracted significant attention from the synthetic community over the past two decades. In addition to the progress in synthesizing these fused aromatic hydrocarbons, their functionalized analogs are also attracting increasing interest for exploring new properties and potential applications. Our group has focused on catalyst-based synthetic chemistry enabling rapid construction and functionalization of PAHs and nanographenes. In this talk, the recent progress in our research for the synthesis and functionalization of PAHs, nanographenes, and carbon nanobelts will be described.



References

- 1 Maekawa T, Itami K, Chem. Sci., 2025, Advance Article. DOI: 10.1039/d4sc07995g
- 2 Okumura T, Imoto D, Arach Y, Yagi A, Maekawa T, Itami K, *to be submitted*.

# Takehisa Maekawa

Research Scientist (Co-PI with Prof. Itami) Institute of Chemistry Academia Sinica Taipei 11529, Taiwan E-mail: tmaekawa@gate.sinica.edu.tw



#### Education

Ph.D. (2015): Department of Chemistry, Graduate School of Science, Nagoya UniversityM. Sci. (2012): Department of Chemistry, Graduate School of Science, Nagoya UniversityB. Sci. (2010): Department of Chemistry, School of Science, Nagoya University

#### Academic Carrier

2020-current: Research Scientist, Institute of Chemistry, Academia Sinica (Co-PI with Prof. Itami)
2020-2023: Designated Assistant professor, WPI-ITbM, Nagoya University (with Prof. Itami)
2018-2020: Designated Assistant professor, School of Science, Nagoya University (with Prof. Itami)
2017-2018: Postdoctoral Research Fellow, Nagoya University (with Prof. Itami)

2015-2017: Researcher, Spiber Inc., Yamagata, Japan

### **Representative Publications**

- 1 Maekawa T, Itami K, Chem. Sci., 2025, Advance Article. DOI: 10.1039/d4sc07995g
- 2 Ishibashi H, Rondelli M, Shudo H, Maekawa T, Ito H, Mizukami K, Kimizuka N, Yagi A, Itami K, *Angew. Chem., Int. Ed.* **2023**, *62*, e202310613.
- 3 Itami K, Maekawa T, Nano Lett. **2020**, 20, 4718.
- 4 Li Y, Kono H, Maekawa T, Segawa Y, Yagi A, Itami K, *Accounts of Materials Research* **2021**, *2*, 681.
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### **Research Interests**

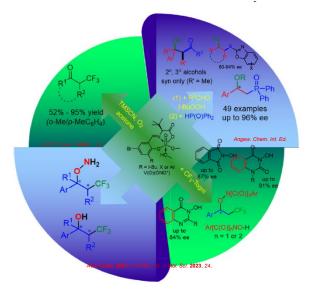
- Creation of novel carbon-based materials (molecular nanocarbons)
- Development of molecular editing techniques for molecular nanocarbons
- Development of applications of molecular nanocarbons

# Vanadyl Species-Catalyzed Radical Type 1,2-Difunctionalization of Olefins

#### Chien-Tien Chen

Department of Chemistry, National Tsing Hua University, Taiwan

Directly introducing both CF<sub>3</sub>/P(O)Ph<sub>2</sub>/SAr and hydroxyl/aminohydroxyl synthon groups across alkenes with high enantioselectivities by redox-active, chiral VO catalysts in alcohol solvents at room temperature has been developed. Unprecedented, four-component couplings (two molecules of olefin, CF<sub>3</sub> radical, and NOPI (*N*-oxyphthalimide)) can thus be achieved.<sup>1</sup> DFT calculations by Prof. Seiji Mori's group confirmed unusual hydrogen bonding and non-classical weak interactions, including VO and electron-rich fluorine atoms, pi/pi-interactions between phenyl groups. It was found that the C3-substituent on the salicylidene ligand controls the enantioselectivity fashion. The current VO species-mediated catalysis significantly opens a new entry for applying olefins (asymmetric) cross-coupling applications to olefins with unique niches over copper and iron catalysis because of their conceptually different operating mechanisms. Several 2-and 1-substituted, 3-hydroxy-1,3-quinazolin(di)ones were also utilized as radical trapping agents in asymmetric 1,2-oxytrifluoromethylation of styrenes catalyzed by chiral vanadyl methoxide complexes.<sup>2</sup> Further asymmetric 1,2-oxyphosphinoylation and 1,2oxysulfenylation of styrenes as well as the corresponding intramolecular variants have been successfully established with enantioselectivities of up to 96% ee.<sup>3</sup>



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- 3 (a) Chuang CW, Huang GR, Hung SF, Hsu CW, Liu YH, Hwang CH, Chen CT, Angew. Chem. Int. Ed. 2023, e202300654. (b) Liu YH, Tsui HY, Chien PH, Chen CT, ACS Catal. 2024, 14, 10549.

# **Chien-Tien Chen**

Professor Department of Chemistry National Tsing Hua University Hsinchu 30013, Taiwan E-mail: ctchen@mx.nthu.edu.tw



#### Education

Ph.D. (1994): University of Illinois at Urbana-ChampaignM. Sci. (1988): National Tsing Hua UniversityB. Sci. (1986): National Tsing Hua University

#### Academic Carrier

02/2010-current: Full/Distinguished Professor, National Tsing Hua University 08/2000-01/2010: Full Professor, National Taiwan Normal University 08/1995-07/2000: Associate Professor, National Taiwan Normal University

#### Awards

2015 Industry-Academic Cooperation Excellence Award, National Tsing Hua University

- 2010 Outstanding scholar award, Foundation for Advancement of Outstanding Scholarship
- 2006 Outstanding Research Award, National Science Council of Taiwan
- 2007 Chung-Shan Academic Research Award, Taiwan

#### **Representative Publications**

- 1 Liu YH, Tsui HY, Chien PH, Chen CT, ACS Catal. 2024, 14, 10549–10560.
- 2 Chuang CW, Huang GR, Hung SF, Hsu CW, Liu YH, Hwang CH, Chen CT, Angew. Chem. Int. Ed. **2023**, e202300654.
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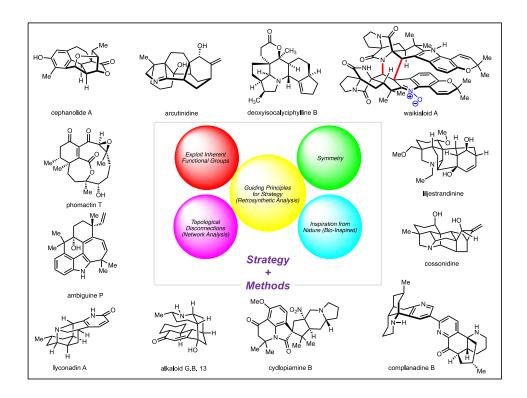
**Research Interests**: Asymmetric Catalysis, Radical type Cross coupling, Organic Optoelectronic Materials, Synergistic Directed Self-Assembly, Organometallic Catalysis.

# Break-it-to-Make-it Strategies for Chemical Synthesis Inspired by Complex Natural Products

#### **Richmond Sarpong**

Department of Chemistry, University of California, Berkeley, USA

Natural products continue to inspire and serve as the basis of new medicines. They also provide intricate problems that expose limitations in the strategies and methods employed in chemical synthesis. Several strategies and methods that have been developed in our laboratory and applied to the syntheses of architecturally complex natural products will be discussed. In particular, new ways to employ the cleavage of core bonds such as C–C and C–N bonds (i.e., break-it-to-make-it strategies) to achieve skeletal editing will be presented.



- 1 Marth CJ, Gallego GM, Lee JC, Lebold TP, Kulyk S, Kou KGM, Qin J, Lilien R, Sarpong R, *Nature* **2015**, *528*, 493.
- 2 Mercado-Marin EV, Garcia-Reynaga P, Romminger S, Pimenta EF, Romney DK, Lodewyk MW, Williams DE, Andersen RJ, Miller SJ, Tantillo DJ, Berlinck RGS, Sarpong R, *Nature* 2014, *509*, 318.
- 3 Roque JB, Kuroda Y, Göttemann LT, Sarpong R, Science, **2018**, 361, 171.
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- 5 Jurczyk J, Lux MC, Adpressa D, Kim SF, Lam Y, Yeung CS, Sarpong R, *Science* **2021**, *373*, 1004.

# **Richmond Sarpong**

Professor Department of Chemistry University of California, Berkeley Berkeley, CA 94720, USA Email: rsarpong@berkeley.edu



**Richmond Sarpong** is a Professor of Chemistry at the University of California Berkeley where he and his group specialize in synthetic organic chemistry. Richmond became interested in chemistry after seeing, firsthand, the effectiveness of the drug ivermectin in combating river blindness during his childhood in Ghana, West Africa. Richmond described his influences and inspirations in a TEDxBerkeley talk in 2015 (Face of Disease in Sub-Saharan Africa – https://www.youtube.com/watch?v=nIsY87-zkXA). Richmond completed his undergraduate studies at Macalester College in St. Paul, MN and his graduate work was carried out with Prof. Martin Semmelhack at Princeton. He conducted postdoctoral studies at Caltech with Prof. Brian Stoltz. At Berkeley (since 2004), Richmond's laboratory focuses on the synthesis of bioactive complex organic molecules.

#### Education

Undergraduate Education:

Macalester College, St. Paul, MN.

Bachelor of Arts Degree (Chemistry Major), May 1995 (Advisor Prof. Rebecca C. Hoye) Graduate Education:

Princeton University, Princeton, NJ

Master of Science Degree (Organic Chemistry), May 1997

Ph. D. in Organic Chemistry, May 2001 (Advisor Prof. Martin F. Semmelhack) Postdoctoral Institution:

California Institute of Technology, Pasadena, CA

UNCF•Pfizer Postdoctoral Fellow in Organic Synthesis, 2001–2004 (Advisor Prof. Brian M. Stoltz)

#### **Professional Experience**

Executive Associate Dean, College of Chemistry (July 2018–Present) Full Professor, Department of Chemistry (July 2014–Present) Vice-Chair for Synthetic Chemistry, Department of Chemistry (July 2015–June 2018) Associate Professor, Department of Chemistry (July 2010–June 2014) Assistant Professor, Department of Chemistry (July 2004–2010)

#### **Honors and Awards**

Inhoffen Medal from the Helmholtz Center for Infection Research (HZI) (2025) Ta-Shue Chou Lectureship Award, Institute of Chemistry, Academica Sinica, Taiwan (2025) UC Berkeley Department of Chemistry Teaching Award (2024) Alexander von Humboldt Research Award (2022) ACS Award for Creative Work in Synthetic Organic Chemistry (2022) ACS–DOC Edward Leete Award (2021) Elected Member of the American Academy of Arts and Sciences (2020)

Elected Fellow of the American Chemical Society (2019) ISHC Alan R. Katritzky Award (2019) Mukaiyama Award of the Synthetic Society of Organic Chemistry Japan (2019) John Simon Guggenheim Fellow (2017) Noyce Prize for Excellence in Undergraduate Teaching (2016) Schülich Visiting Professor (Technion, Israel) (2015–2016) Royal Society of Chemistry Synthetic Organic Chemistry Award (2015) ACS Arthur C. Cope Scholar (2015) Fuson Lecturer, University of Illinois Urbana Champaign (2014) Japan Society for the Promotion of Science Fellowship (2013) Paul Dowd Lecturer, University of Pittsburgh (2013) Honorary Lifetime Membership of the Israel Chemical Society (2012) Society of Synthetic Organic Chemistry Japan Lectureship Award (2011) Ginsberg Lecturer (Technion, Israel) (2011) Roche Excellence in Organic Chemistry Award (2010) UC Berkeley Department of Chemistry Teaching Award (2009) Camille Dreyfus Teacher-Scholar Award (2009) Alfred P. Sloan Foundation Fellow (2009) American Cancer Society Research Scholar (2009-2012) Eli Lilly Grantee Award (2009-2010) National Academies of Science Kavli Fellow (2008) University of California Hellman Faculty Award (2008-2009) AstraZeneca Excellence in Chemistry Award (2008) Dupont Young Professor Award (2008-2010) Johnson and Johnson Focused Giving Award (2008-2010) GlaxoSmithKline Scholar Award (2008) Amgen Young Investigator Award (2007) National Science Foundation CAREER Award (2007-2011) Abbott Young Investigator Award (2007-2008) Eli Lilly Young Investigator Grantee (2004)

### **Professional Service**

Board of Directors of Organic Syntheses (2022–2027) Editorial Advisory Board for Accounts of Chemical Research (2015–Present) Editorial Advisory Board for Synthesis and SynLett (2014–2018) Editorial Advisory Board for the Journal of the American Chemical Society (2013–2018) Editorial Advisory Board for Chemical Science (2011–Present) Editorial Advisory Board for Organic and Biomolecular Chemistry (2011–2017) National Academy of Sciences Board on Chemical Sciences and Technology (2018–2021) ACS Division of Organic Chemistry Executive Committee (2013–2016) NIH SBCA Study Section, Permanent Member (2012–2017) Chair, Gordon Research Conference on Heterocyclic Compounds (2011) University of California Cancer Research Coordinating Committee (2010–2013) NIH SBCB Study Section, Ad Hoc Member (2008) NSF-CAREER Study Section Panel Member (2008) NIH-CREST Study Section (2005) Grant reviewer for NIH, NSF, ACS Petroleum Research Fund (2004–Present)

#### **Professional Activities**

Member, American Chemical Society Member, International Society of Heterocyclic Chemistry Member, Israel Chemical Society

#### **Other Activities**

Consultant, Genentech Consultant, Merck Research Laboratories Consultant, Janssen Pharmaceuticals Consultant, Corteva AgriScience Consultant, Neuron23

#### Publications 2022-2024

- Wright, B. A.; Okada, T.; Regni, A.; Luchini, G.; Sowndarya, S. V. S.; Chaisan, N.; Köbl, S.; Kim, S. F.; Paton, R. S.; Sarpong, R. Molecular Complexity-Inspired Synthetic Strategies Toward Calyciphylline A-type Daphniphyllum Alkaloids Himalensine A and Daphenylline. <u>J. Am. Chem. Soc. 2024</u>, 146, <u>33130–33148</u>.
- 2 Bartholomew, L. B.; Karas, L. J.; Eason, R. M.; Yeung, C. S.; Sigman, M. S.; Sarpong, R. Cheminformatic Analysis of Core-Atom Transformations in Pharmaceutically Relevant Heteroaromatics. *ChemRXiv*, **2024**, 10.26434/chemrxiv-2024-6j5md.
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- 10 Ham, J. S.; Son, M.; Na, C. G.; Park, B.; Baik, M.; Sarpong, R. Construction of Seven-Membered Oxacycles using a Rh(I)-Catalyzed Cascade C–C Formation/Cleavage of Cyclobutenol Derivatives. <u>J.</u> <u>Org. Chem. 2024</u>, 89, 7, 4647–4656.
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- 12 Sennari, G.; Yamagishi, H.; Sarpong, R. Remote C–H Amination and Alkylation of Camphor at C8 through Hydrogen Atom Abstraction. *J. Am. Chem. Soc.* **2024**, *146*, 10.1021/jacs.4c01351.
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- 23 Bakanas, I.; Lusi, R. F.; Wiesler, S.; Hayward-Cooke, J.; Sarpong, R. Strategic Application of C–H Oxidation in Natural Product Total Synthesis. *Nat. Rev. Chem.* **2023**, **7**, 783–799.
- 24 Göttemann, L.; Wiesler, S.; Sarpong, R. Oxidative Cleavage of Ketoximes to Ketones using Photoexcited Nitroarenes. *Chem. Sci.*, **2023**, *15*, 213–219.
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