Korean Chemical Society
Division of Organic Chemistry

제 42 회 유기화학분과회 심포지엄 및 정기총회

- 일시: 2023 년 2 월 16 일 (목) – 17 일 (금)
- 장소: 한국화학연구원
- 주관: 대한화학회 유기화학 분과회
- 공식후원업체: ㈜세진씨아이

제 42 회 유기화학분과회 심포지엄 및 정기총회

[2 월 16 일 (목) 프로그램]

10:20-10:30 **인사말** (윤주영 대한화학회 유기화학분과회 회장, 이화여대)

10:30-10:35 **환영사** (이미혜 KRICT 원장)

Session I <좌장: 김동수 (KRICT)>

10:35-11:00 이준호 (KRICT 친환경신물질연구센터)
Using Intramolecular Diels-Alder Reaction as a Great Tool for Constructing Core Skeleton of Natural Products

11:00-11:25 심수용 (KRICT 감염병치료제연구센터)
Asymmetric Synthesis of Various-Sized Cyclic Compounds with Chiral Lewis Acid Catalyst

11:25-11:50 이석우 (충남대 약학대학)
Hybrid System of Metal/Brønsted Acid for the Synthesis of Pyridinium Salts

11:50-12:15 김주현 (경상대 화학과)
Synthetic Strategies to Overcome the Limitation of Directing Group (DG)-Assisted Catalytic C–H Bond Activation

12:15-13:30 점심/Lunch Break

Session II <좌장: 이윤미 (연세대)>

13:30-14:05 **유기화학학술상 수상 및 강연**
이혁 (KRICT 감염병치료제연구센터)
Iron-related Anti-cancer Molecules

14:05-14:30 김영미 (경희대 화학과)
Tuning Photophysical Properties of Organic Fluorophores for Their Biological Applications

14:30-14:55 황길태 (경북대 화학과)
The Gröbke–Blackburn–Bienaymé Reaction for DNA-Encoded Library Technology

15:00-15:20 휴식/Break 및 사진촬영

Session III <좌장: 배한용 (성균관대)>

15:20-15:45 공진택 (순천대 화학교육과)
Novel Peptide Foldamer Design for Synthesis of Functional Foldecture based on PXRD Analysis

15:45-16:10 이영주 (부산대 화학과)
Modulating RNAs with Chemical Tools at the intersection of Chemistry, Biology, and Medicinal Chemistry

16:10-16:35 김병문 (서울대 화학부)
Selective Bioconjugation of SuFEx Click Chemistry and Applications Toward Novel Catalysts and Materials

총회 <진행: 홍승우 총무부회장 (KAIST)>

16:35-17:20 **2022 년도 경과보고, 공로패 및 감사패 증정, 신임 분과회장 선출**

[2 월 17 일 (금) 프로그램]

09:00-11:00 유기분과 발전방안 논의

11:00-11:10 폐회식

Session I

[10:35~12:15]

좌장: 김동수 (KRICT)

이 준 호 (Joon Ho Lee)

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Education

Ph.D. (2020) Department of Chemistry, Hanyang University (Prof. Cheon-gyu Cho)
B.S. (20015) Department of Chemistry, Hanyang University

Position

2014-present Senior Researcher, Eco-Friendly New Materials Research Center, Korea Research Institute of Chemical Technology (KRICT)
2020-2021 Post-doc., Infectious Diseases Therapeutic Research Center, Korea Research Institute of Chemical Technology (KRICT) (Dr. Hyuk Lee)

Representative Publications

1. Hyung-Joon Kang. † Joon-Ho Lee, † Dong-Hyun Kim, and Cheon-Gyu Cho*, Imidazole-Selective Al kyne Hydroamination under Physiological Conditions, *Org. Lett*. **2020**, *19*, 7588.
2. Joon-Ho Lee and Cheon-Gyu Cho*, H-bonding Mediated Asymmetric Intramolecular Diels-Alder R eaction in the Formal Synthesis of (+)-Aplykurodinone-1. *Org. Lett*. **2018**, *22*, 7312.
3. Joo-Young Kim, Chang-Heon Shul, Joon-Ho Lee and Cheon-Gyu Cho*, Directed Fischer Indolizati on as an Approach to the Total Syntheses of (+)-Aspidospermidine and (-)-Tabersonine. *Org. Lett*. **2 017**, *19*, 6168.
4. Joon-Ho Lee and Cheon-Gyu Cho*, Total Synthesis of (-)-Neocosmosin A via Intramolecular Diels-Alder Reaction of 2-Pyrone. *Org. Lett*. **2016**, *18*, 5126.

Using Intramolecular Diels-Alder Reaction as a Great Tool for Constructing Core Skeleton of Natural Products

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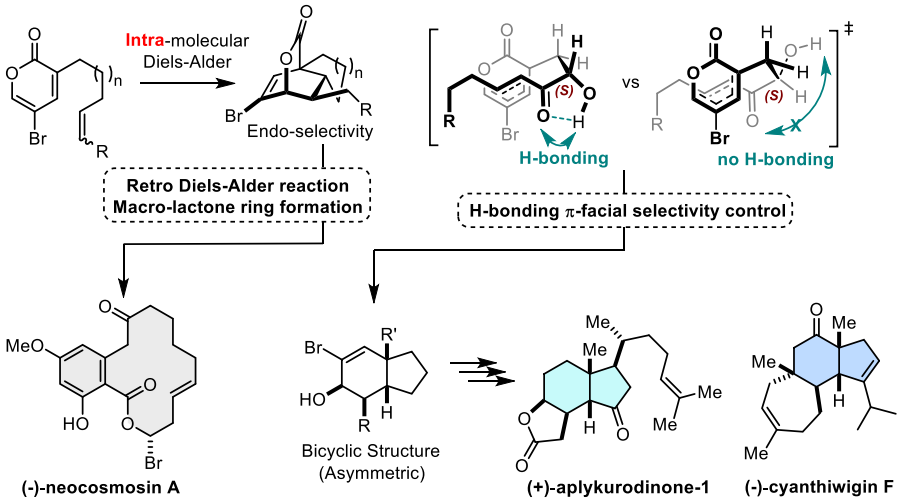
Diels-Alder reaction, which is one of the most efficient ways to form carbon-carbon bonds in organic chemistry, is a very useful reaction for synthesizing various and complex organic molecules.[1] Among diverse Diels-Alder reactions, the intramolecular Diels-Alder reaction has recently been used to develop enantiomeric pure natural products, by adjusting the π-facial selectivity of the transition state during the Diels-Alder reaction.[2]

As part of our group's research to create a core structure of natural products using 3,5-dibromo-2-pyrone, we conducted formation of macro-lactone structure using intramolecular Diels-Alder reaction.[3] In addition, we discovered that π-facial selectivity can be controlled by intramolecular H-bonding.[4] And we studied that this reaction could be an important tool for synthesizing natural products. Research on these two topics will be presented.



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2. Ming Xiang, Yiwei Wu, Jason P. Burke, and Jason J. Chruma* *J. Org. Chem.* **2016**, *81*, 8508.
3. Joon-Ho Lee and Cheon-Gyu Cho*. Total Synthesis of (-)-Neocosmosin A via Intramolecular Diels-Alder Reaction of 2-Pyrone. *Org. Lett*. **2016**, *18*, 5126.
4. Joon-Ho Lee and Cheon-Gyu Cho*. H-bonding Mediated Asymmetric Intramolecular Diels-Alder Reaction in the Formal Synthesis of (+)-Aplykurodinone-1. *Org. Lett*. **2018**, *22*, 7312.

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Education

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B.S. (2013) Department of Chemistry, Sungkyunkwan University

Position

2021-present Senior Research Scientist, Korea Research Institute of Chemical Technology
2019-2021 Post-doc., Department of Chemistry, The Scripps Research Institute
(Prof. Jin-Quan Yu)
2018-2019 Post-doc., Institute of Basic Science, Sungkyunkwan University
(Prof. Do Hyun Ryu)

Representative Publications

1. Nam, D. G.; Shim, S. Y.; Jeong, H.-M.; Ryu, D. H.* "Catalytic Asymmetric Darzen-Type Epoxidation of Diazoesters: Highly Enantioselective Synthesis of Trisubstituted Epoxides" *Angew. Chem. Int. Ed.* **2021**, *60*, 22236. (co-first author)

2. Shim, S. Y.; Ryu, D. H.* "Enantioselective Carbonyl 1,2- or 1,4-Addition Reactions of Nucleophilic Silyl and Diazo Compounds Catalyzed by the Chiral Oxazaborolidinium Ion" *Acc. Chem. Res*. **2019**, *52*, 2349.

3. Shim, S. Y.; Choi, Y.; Ryu, D. H.*, "Asymmetric Synthesis of Cyclobutanone via Lewis Acid Catalyzed Tandem Cyclopropanation/Semipinacol Rearrangement", *J. Am. Chem. Soc.* **2018***, 140,* 11184.

4. Shim, S. Y.; Cho, S. M.; Venkateswarlu, A.; Ryu, D. H.*, "Catalytic Enantioselective Synthesis of 2,5-Dihydrooxepines", *Angew. Chem. Int. Ed.* **2017**, *56*, 8663.

5. Shim, S. Y.; Kim, J. Y.; Nam M.; Hwang, G.-S.; Ryu, D. H.*, "Enantioselective Cyclopropanation with *α*-Alkyl-*α*-diazoesters Catalyzed by Chiral Oxazaborolidinium Ion: Total Synthesis of (+)-Hamavellone B", *Org. Lett.* **2016**, *18*, 160.

Asymmetric Synthesis of Various-Sized Cyclic Compounds with Chiral Lewis Acid Catalyst

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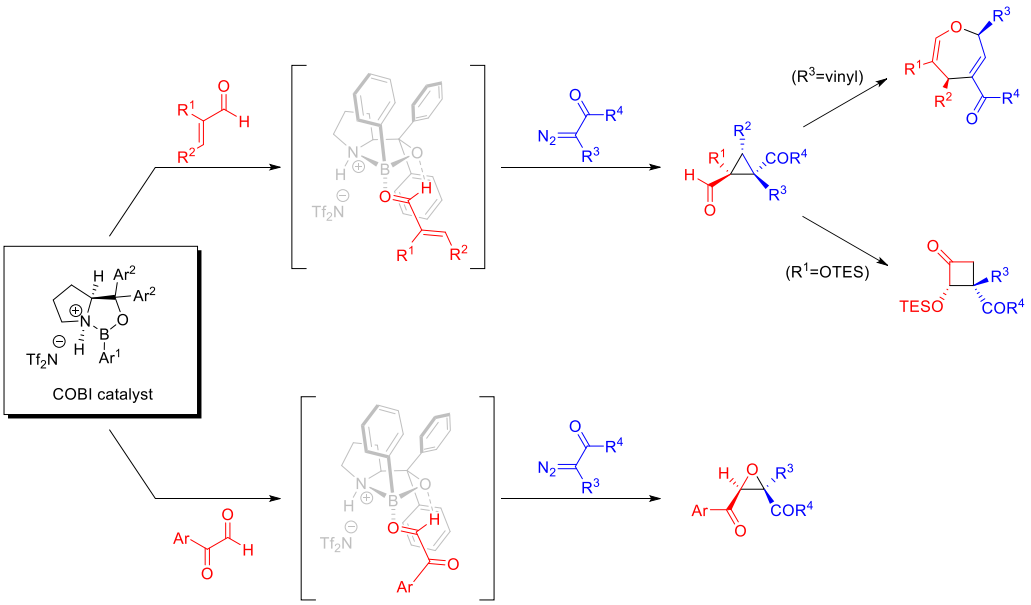
A chiral Lewis acid catalyst is one of the most powerful and efficient catalysts for asymmetric catalysis. Among the various Lewis acid catalysts, the chiral oxazaborolidinium ion (COBI) has been applied to various catalytic asymmetric reactions. Cyclic compounds, including aromatic and non-aromatic ring systems, exist in nearly all natural products, therapeutic candidates and medicinal drugs. Consequently, the construction of various types of cyclic compounds has been a central theme in organic synthesis, and has drawn great attention over several decades. In this presentation, I will present recent development of synthetic methodologies for asymmetric preparation of three, four and seven-membered cyclic compounds with COBI catalysis.



References

1. Nam, D. G.; Shim, S. Y.; Jeong, H.-M.; Ryu, D. H.* *Angew. Chem. Int. Ed*. **2021**, *60*, 22236.
2. Shim, S. Y.; Ryu, D. H.* *Acc. Chem. Res*. **2019**, *52*, 2349.
3. Shim, S. Y.; Choi, Y.; Ryu, D. H.* *J. Am. Chem. Soc.* **2018**, *140,* 11184.
4. Shim, S. Y.; Cho, S. M.; Venkateswarlu, A.; Ryu, D. H.* *Angew. Chem. Int. Ed.* **2017**, *56*, 8663.
5. Shim, S. Y.; Kim, J. Y.; Nam M.; Hwang, G.-S.; Ryu, D. H.* *Org. Lett.* **2016**, *18*, 160.

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Education

Ph.D. (2016)	Seoul National University (Prof. Sanghee Kim)
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Position

2022-present	Assistant Professor, College of Pharmacy, Chungnam National University
2021-2022	Research Professor, College of Pharmacy, Seoul National University (Prof. Sanghee Kim)
2017-2020	Research Associate, Department of Chemistry, University of Pennsylvania (Prof. Jeffrey D. Winkler)
2016-2017	Research Associate, College of Pharmacy, Seoul National University (Prof. Sanghee Kim)

Representative Publications

1. Jeon, H.; Choi, S. W.; Park, S.; Lee, S.; Kim, S. "Synthesis of Bridged Oxabicycles via Cascade Reactions involving *O*-Acyloxocarbenium Ion Intermediates" *Org. Lett.* **2021**, *23*, 8312.
2. Park, S.; Lee, S.; Kim, J. H.; Choi, W. J.; Kim, S. "Memory of Chirality in the Asymmetric Synthesis of Piperidines with Vicinal Stereocenters by Intramolecular Sn2' Reaction" *Chem. Asian. J.* **2021**, *16*, 3097.
3. Higgins, T. F.; Lee, S.; Winkler, J. D. "Synthesis of and Metal Complexation with a Chiral Cyclam" *J. Org. Chem.* **2021**, *86*, 5417.
4. Lee, S.; Lee, Y. M.; Lee, H.-J.; Lee, H.; Shin, D.; Kim, S. "Membrane Fusion through the Generation of Triazole Ceramide via Click Chemistry at the Membrane Surface" *Asian J. Org. Chem.* **2019**, *8*, 1713.
5. Lee, S.; Bae, M.; In, J.; Kim, J. H.; Kim, S. "Asymmetric Total Synthesis of Lepadiformine C Using Memory of Chirality in an Intramolecular Ester Enolate Michael Addition" *Org. Lett.* **2017**, *19*, 254.

Hybrid System of Metal/Brønsted Acid for the Synthesis of Pyridinium Salts

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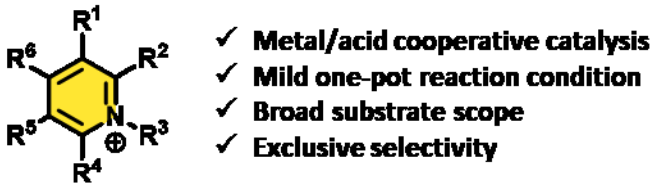
N-substituted pyridinium salts are privileged scaffolds that have significant importance in many fields of science. In organic chemistry, novel synthetic applications of pyridinium salts have continued to be discovered. Besides, they have recently been used as redox-active, single-electron, functional group transfer reagents. Despite the abundance of research, direct synthetic methods for functionalized pyridinium compounds are still rare. We envisioned that the reaction would give a functionalized pyridinium via a metal/Brønsted acid synergistically acting catalyst system. The reaction features mild conditions, broad substrate scope and high yield. Meanwhile, DFT calculations and experiments have been carried out to understand the mechanism. Additionally, this mechanism provided perspectives for potential applications to synthesis of heterocyclic analogues. Detailed work will be discussed in 2023 symposium.



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2. Rössler, S. L.; Jelier, B. J.; Magnier, E.; Dagousset, G.; Carreira, E. M.; Togni, A. *Angew. Chem., Int. Ed.* **2020**, *59*, 9264.
3. Becica, J.; Dobereiner, G. E. *Org. Biomol. Chem.* **2019**, *17*, 2055.

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M.S. (2009) Department of Chemistry and Nano Science, Ewha Womans University (Prof. Sang-gi Lee)
B.S. (2007) Department of Chemistry, Ewha Womans University

Position

2016-present Assistant/Associate Professor, Department of Chemistry, Gyeongsang National University
2014-2016 Post-doc., Department of Chemistry, University of Münster (Prof. Frank Glorius)
2013-2014 Post-doc., Collaboration Professor, Ewha Womans University (Prof. Sang-gi Lee)

Representative Publications

1. Cho, H.-J.; Kim, Y. L.; Kim, J. H.* "Rh(II)-Catalyzed C–N Bond Formation Using Enynones and N–H Imines: An Approach to Diarylmethylamines" *J. Org. Chem.* **2022**, *ASAP*.
2. Huang, L.-Z.; Xuan, Z.; Park, J.-U.; Kim, J. H.* "Dual Rh(II)/Pd(0) Relay Catalysis Involving Sigmatropic Rearrangement Using N-Sulfonyl Triazoles and 2-Hydroxymethylallyl Carbonates" *Org. Lett.* **2022**, *24*, 6951.
3. Kim, Y. L.; Park, S.-a.; Choi, S.-M.; Park, J.-U.; Kim, J. H.* "Co^{III}-Catalyzed C–H Alkenylation and Allylation with Cyclopropenes via Sequential C–H/C–C Bond Activation" *Org. Lett.* **2021**, *23*, 6674.
4. Park, S.-a.; Park, J.-U.; Kim, Y. L.; Kim, J. H.* "Transition Metal-Free, Methoxide-Catalyzed Synthesis of Pyridoindolones" *J. Org. Chem.* **2021**, *86*, 17050.
5. Park, J.-U.; Ahn, H.-I.; Cho, H.-J.; Kim, J. H.* "Asymmetric Synthesis of N-Fused 1,3-Oxazolidines via Pd-Catalyzed Decarboxylative (3+2) Cycloaddition" *Adv. Synth. Catal.* **2020**, *361*, 1836.
6. Choi, S. Y.; Kim, H. D.; Park, J.-U.; Park, S.-a; Kim, J. H.* "Cp*Co(III)-Catalyzed γ-Selective C–H Allylation/Hydroamination Cascade for the Synthesis of Dihydroisoquinolines" *Org. Lett.* **2019**, *21*, 10038.

Synthetic Strategies to Overcome the Limitation of Directing Group (DG)-Assisted Catalytic C–H Bond Activation

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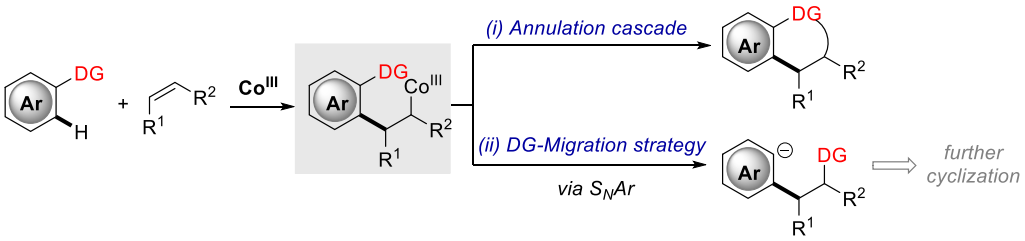
Transition-metal-catalyzed direct C–H bond activation has become an important synthetic strategy in terms of high step- and atom-economy. In general, directing groups (DGs) have been utilized in transition metal catalyzed direct C–H functionalizations to enhance reactivity and solve regioselectivity issues in compounds containing multiple C–H bonds. However, they always bring chemical traces in desired products, which limit the structural diversity.

In this talk, I will present our efforts to develop cobalt-catalyzed direct C–H functionalizations using multitasking DGs to address that limitation. Two synthetic strategies have been devised: (*i*) Incorporation of DGs into the polycyclic products via Cp*Co(III)/Ag(I)-catalyzed C–H allylation/hydroamination cascade,[1] and (*ii*) direct C–H functionalization followed by DGs-migration via intramolecular S_NAr.[2]



References

1. Choi, S. Y.; Kim, H. D.; Park, J.-U.; Park, S.-a; Kim, J. H.* *Org. Lett.* **2019**, *21,* 10038.
2. (a) Kim, Y. L.; Park, S.-a.; Choi, S.-M.; Park, J.-U.; Kim, J. H.* *Org. Lett.* **2021**, *23,* 6674. (b) Park, S.-a.; Park, J.-U.; Kim, Y. L.; Kim, J. H.* *J. Org. Chem.* **2021**, *86*, 17050.

Session II

[13:30~14:55]

좌장: 이윤미 (연세대)

제 11 회 유기화학 학술상 수상자

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Education

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B.Sc. (1994)	Department of Chemistry, Yonsei University

Position

2004 – present	Senior/Principal researcher, Korea Research Institute of Chemical Technology
2019 – 2022	Board of Director, Institut Pasteur Korea
2015 – 2021	Adjunct Professor, Department of New Drug Discovery and Development, Chungnam National University
2011 – 2017	Professor, University of Science and Technology
2003 – 2004	Post-Doc., Cornell Univ., Department of Chemistry
2001 – 2002	Post-Doc., UC Berkeley, Department of Chemistry

Representative Publications

1. Seonyoung Kim, Keon Ha Hwang, Hyeong Gyu Park, Jaesung Kwak*, Hyuk Lee*, Hyunwoo Kim* "Radical Hydrodifluoromethylation of Unsaturated C-C Bonds via an Electroreductively Triggered Two-pronged Approach" *Comm. Chem.* **2022,** *5*, 96.
2. Jaehee Kim, Areum Park, Jieon Hwang, Xianghua Zhao, Jaesung Kwak, Hyun Woo Kim, Minhee Ku, Jaemoon Yang, Tae Il Kim, Kyu-Sung Jeong, Uyeong Choi, Hyuk Lee*, Sang Joon Shin* "KS10076, a chelator for redox-active metal ions, induces ROS-mediated STAT3 degradation in autophagic cell death and eliminates ALDH1+ stem cells" *Cell Rep* **2022**, *40*, 11077.
3. Kyoung Jin Choi, Joon Ho Lee, Sung Bum Park, Yoon-Ju Na, Won Hoon Jung, Hyuk Lee*, Ki Young Kim* "Development of in vitro three-dimensional drug screening system for obesity-related metabolic syndrome" *J. Pharmacol. Sci.* **2022**, *148*, 377.
4. Jieon Hwang, Areum Park, Chinwoo Kim, Danbi Yu, Hyungju Byun, Minhee Ku, Jaemoon Yang, Tae Il Kim, Kyu-Sung Jeong, Ki Young Kim, Hyuk Lee*, Sang Joon Shin* "Suppression of DYRK1A/B Drives Endoplasmic Reticulum Stress-mediated Autophagic Cell Death Through Metabolic Reprogramming in Colorectal Cancer Cells" *Anticancer Res.* **2022**, *42*, 589.
5. Areum Park, Jieon Hwang, Joo-Youn Lee, Eun Ji Heo, Yoon-Ju Na, Sein Kang, Kyu-Sung Jeong, Ki Young Kim*, Sang Joon Shin*, Hyuk Lee* "Synthesis of novel 1H-Pyrazolo[3,4-b]pyridine derivatives as DYRK 1A/1B inhibitors" *Bioorg. Med. Chem. Lett.* **2021**, *47*, 3947.

Iron-related Anti-cancer Molecules

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Redox-active metal ions are pivotal for rapid metabolism, proliferation, and aggression across cancer types, and this presents metal chelation as an attractive cancer cell-targeting strategy. A metal-bound KS10076 complex with redox potential for generating hydrogen peroxide and superoxide anions induces intracellular reactive oxygen species (ROS). The elevation of ROS by KS10076 promotes the destabilization of signal transducer and activator of transcription, removes aldehyde dehydrogenase isoform 1-positive cancer stem cells, and subsequently induces autophagic cell death. In addition, combined treatment with KS10076 and radiation caused ER stress, leading to hyperactivation of apoptosis and autophagy. These findings demonstrate that using a KS10076 combinatorial strategy may help overcome radioresistance in TNBC cells.

Dysregulation of iron metabolism is implicated in malignant transformation, cancer progression, and therapeutic resistance. Iron regulatory protein 2 (IRP2) preferentially regulates iron metabolism and promotes tumor growth in colorectal cancer (CRC). Using fragment molecular orbital calculations and docking studies, we discovered two first-in-class IRP2 inhibitors. These inhibitors suppressed IRP2 expression and the corresponding occupancy of iron-responsive elements of ferritin H and transferrin receptor 1, resulting in iron deprivation, and efficiently controlled CRC growth both *in vitro* and *in vivo*.

References

1. Jaehee Kim, Areum Park, Jieon Hwang, Xianghua Zhao, Jaesung Kwak, Hyun Woo Kim, Minhee Ku, Jaemoon Yang, Tae Il Kim, Kyu-Sung Jeong, Uyeong Choi, Hyuk Lee*, Sang Joon Shin* "KS10076, a chelator for redox-active metal ions, induces ROS-mediated STAT3 degradation in autophagic cell death and eliminates ALDH1+ stem cells" *Cell Rep* **2022**, *40*, 11077.

2. Danbi Yu, Chinwoo Kim, Isom Jin, Jungyoun Kim, Areum Park, Jieon Hwang, Hyungju Byun, Uyeong Choi, Jaesung Kwak, Hyuk Lee*, Sang Joon Shin*, "KS10076, a metal chelator, enhances radiation sensitivity via the ROS-mediated ER stress pathway" *submitted.*

3. Jieon Hwang, Areum Park, Chinwoo Kim, Chang Gon Kim, Jaesung Kwak, Byung ll Kim, Hyunjin Shin, Minhee Ku, Jaemoon Yang, Ayoung Baek, Jiwon Choi, Hocheol Lim, Kyoung Tai No, Xianghua Zhao, U Yeong Choi, Tae Il Kim, Kyu-Sung Jeong, Hyuk Lee*, and Sang Joon Shin* "Disruption of IRP2-dependent reprogramming of Iron metabolism" *submitted.*

김 영 미 (Youngmi Kim)

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Education

Ph.D. (2005)	Department of Chemistry, MIT (Prof. Timothy M. Swager)
M.S. (1994)	Department of Chemistry, POSTECH (Prof. Dong-Han Kim)
B.S. (1992)	Department of Chemical Education, Kyungpook National University

Position

2016-present	Associate Professor/Professor, Department of Chemistry, Kyung Hee University
2008-2016	Assistant/Associate Professor, Department of Chemistry, Dankook University
2005-2008	Post-doc., Center for Molecular Imaging Research, Massachusetts General Hospital, Harvard Medical School (Director Ralph Weissleder)
1994-2000	Researcher/Senior Researcher, Korea Atomic Energy Research Institute

Representative Publications

1. Pandith, A.; Luo, Y.; Jang, Y.; Bae, J.*; Kim, Y.* "Self-Assembled Peptidyl Aggregates for the Fluo rogenic Recognition of Mitochondrial DNA G-Quadruplexes" *Angew. Chem. Int. Ed.* DOI: 10.1002/ anie.202215049
2. Jeon, S.; Kim, T.-I.; Jin, H.; Lee, U.; Bae, J.; Bouffard, J.*; Kim, Y.* "Amine-Reactive Activated Es ters of *meso*-CarboxyBODIPY: Fluorogenic Assays and Labeling of Amines, Amino Acids, and Prot eins" *J. Am. Chem. Soc.* **2020**, *142*, 9231.
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Tuning Photophysical Properties of Organic Fluorophores for Their Biological Applications

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Over the past two decades, fluorescence-based probes have been widely studied and used as powerful tools in biological and medical fields owing to their several advantages, including non-invasiveness, simple and rapid implementation, and high sensitivity. They allow the detection and visualization of various biomolecular interactions and pathological processes in living systems, quantitative assays of biomarkers responsible for diseases, and treatment *in vivo* using target-specific fluorescence probes. In this talk, I will present a systematic study on photophysical studies of organic fluorophores in particular by manipulating electronic and steric effects of the substituents, to elucidate the factors that govern the optical properties in solution and the solid states, and the formation of emissive aggregates in this family of fluorophores. Based on our findings of optical properties of organic fluorophores, the design of fluorescent "turn-on" probes and their biological sensory applications will be presented (Figure 1).[1-3]

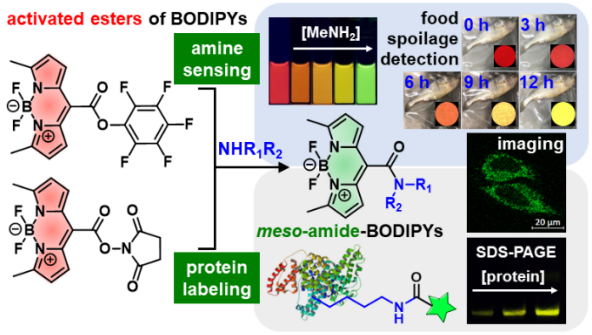

Figure 1. Examples of sensory applications of *meso*-substituted BODIPY dyes.

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2002-2004	Post-doc., Department of Chemistry, POSTECH (Prof. Byeang Hyean Kim)

Representative Publications

1. Song, M.; Hwang, G. T. “DNA-Encoded Library Screening as Core Platform Technology in Drug Discovery: Its Synthetic Method Development and Applications in DEL Synthesis” *J. Med. Chem*. **2020**, *63*, 6578.
2. Lee, S. Y.; Hong, S. W.; Yeo, H.; Hwang, G. T. “The Linkers in Fluorene-Labeled 2′-Deoxyuridines Affect Fluorescence Discriminating Phenomena upon Duplex Formation” *RSC Adv*. **2020**, *10*, 18853.
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The Gröbke−Blackburn−Bienaymé Reaction for DNA-Encoded Library Technology

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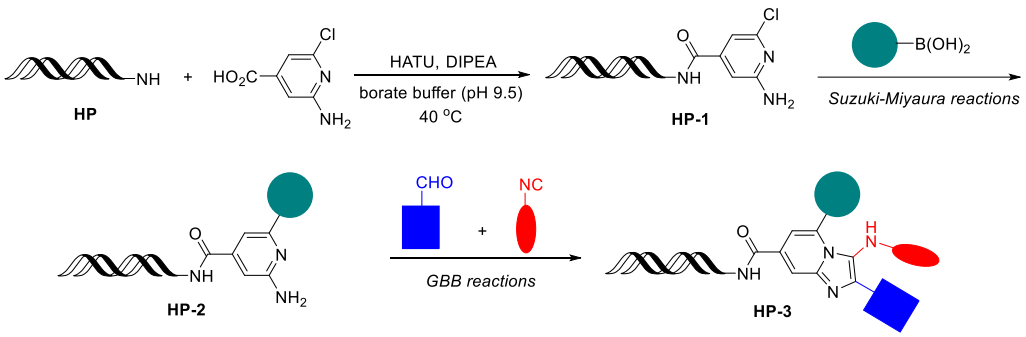
DNA-encoded library technologies (DELT) in which a DNA tag is used to encode the identity of a compound are increasingly being used to find qualified hit compounds for target proteins.[1] These DELs offer a number of technical advantages compared to traditional HTS methods. These advantages include cost-effectiveness, productivity, and efficiency of the discovery process. However, one of the generally accepted limitations of DELT is the restricted range of chemical reactions and conditions that can be used in DELT synthesis as the synthetic process must be compatible with DNA. Continuing development of DNA-compatible reactions is required to construct high-quality DELs accessible to a wide variety of drug-like molecules. Among these, multi-component reactions are challenging in terms of expanding the chemical space of DEL by increasing the number of building blocks participating in one DEL cycle.

In this presentation, I will present our investigation of the Gröbke−Blackburn−Bienaymé (GBB) reaction as a multi-component reaction and the Suzuki-Miyaura reaction applicable to DELT.



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2. Manuscript in preparation.

Session III

[15:20~16:35]

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2017.08–2020.02	Post-doc, Natural Science Institute, KAIST

Representative Publications

1. Jeong, S.[†]; Zhang, L.[†]; Kim, J.; Gong, J.; Choi, J.; Ok, K. M.; Lee, Y.; Kwon, S.[*]; Lee, H.-S.[*] "Conformational Adaptation of *β*-Peptide Foldamers for the Formation of Metal-Peptide Frameworks" *Angew. Chem. Int. Ed.* **2022**, *61*, e202108364. ([†] equally contributed)
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Novel Peptide Foldamer Design for Synthesis of Functional Foldecture based on PXRD Analysis

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Peptide foldamers create foldectures with unique three-dimensional morphologies in an aqueous surfactant solution, and foldecture has noticeably high crystallinity. Thus, identifying molecular packing structure is essential for comprehending and analyzing the distinctive properties of foldecture. In this context, the structure determination methodology for foldectures via powder X-ray diffraction (PXRD) experiment is established. Nowadays, it is possible to solve the molecular-packing structure solely from a one-dimensional PXRD pattern with appropriate restraints, including intramolecular hydrogen bonds, which were set up to retain the rigid secondary structure of the foldamers during the structural analysis. On the other hand, the preferred orientation approximation related to the morphology of the foldecture allows the unveiling of the relationship between the foldecture morphology's symmetry and the crystallographic symmetry operations. In addition, these results are expected to be the preliminary research for understanding the self-assembly mechanism and establishing novel peptide foldamer design principles for synthesizing functional foldecture.

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Representative Publications

1. Lee, Y. ; Liu X. ; Tong, Y. ; Suresh, B.M.; Benhanou, R. I.; Child-Disney, J.; Sievers, S.; Grefe, M.; Crynen, G.; Meter, M. V.; Costales, M. G.; Abegg, D.; Haniff, H. S.; Wegner, T.; Paulisch, T. O.; Adibekian, A.; Lekah, E.; Glorius, F.; Waldmann, H.; Disney, M. D; "Biologically inactive RNA binding small molecules are rendered bioactive when converted into degraders" *Nature*, under minor revision
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Modulating RNAs with Chemical Tools at the intersection of Chemistry, Biology, and Medicinal Chemistry

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Aberrantly regulated RNAs are linked to various diseases such as cancer, fibrosis, and auto-immune disease. Therefore, modulating RNAs has gotten great attention in the drug discovery field.[1] To modulate RNAs with chemical tools, I investigated Ribonuclease Targeting Chimeras (RiboTAC) strategy with small-molecule RNA binders. Overlay of the substrate specificity for RNase L with the binding landscape of small molecules revealed many favorable candidate binders that are inactive but could be potently bioactive when converted into a degrader. In this talk, I will provide proof-of-concept by the design of a degrader of the precursor to disease-associated microRNA-155 (pre-miR-155)[2], microRNA-17 (pre-miR-17)[3] and c-Myc mRNA[2], each in multiple cell lines. These studies demonstrate that small-molecule RNA-targeted degradation can be leveraged to convert avid, yet inactive, binding interactions into potent and specific modulators of RNA function.

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1990-1995	Merck Research Laboratories, Merck & Co. Inc. West Point, PA
1988-1990	Postdoctoral Fellow, M.I.T. (Prof. K. B. Sharpless' Laboratory)

Representative Publications

1. Choi, Y.; Park, J. S.; Kim, J.; Min, K.; Mahasenan, K.; Kim, C.; Yoon, H.-J.; Ryu, S.; Mobashery, S.; Kim, B. M.; Lee, H. H. "Structure-based inhibitor design for reshaping bacterial morphology" *Commun. Biol.* **2022***, 5,* 395.
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Selective Bioconjugation of SuFEx Click Chemistry and Applications Toward Novel Catalysts and Materials

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We have achieved highly chemoselective tyrosine bioconjugation through the 'sulfate click chemistry' pioneered by K. B. Sharpless.[1] This work was based upon our earlier clarification of the desilylation mechanism of aryl silyl ether mediated by DBU.[1] This new protocol allowed us to efficiently conjugate a well-known fluorophore onto a polypeptide and bioorthogonally functionalize a surface tyrosine of erythropoietin exclusively, which is a clinically utilized protein for patients with anemia.[2] Also a new approach to prepare polymer-bound MacMillan organocatalysts for homogeneous asymmetric catalysis was possible through the SuFEx reaction and the catalysts recovery after the reactions were effortlessly accomplished.[3] Further applications of the SuFEx chemistry was achieved through the formation of chitosan-PEG polymers for the purpose of supramolecular hydrogels for protein delivery[4] and enhancing osteogenesis of dental pulp stem cells.[5]

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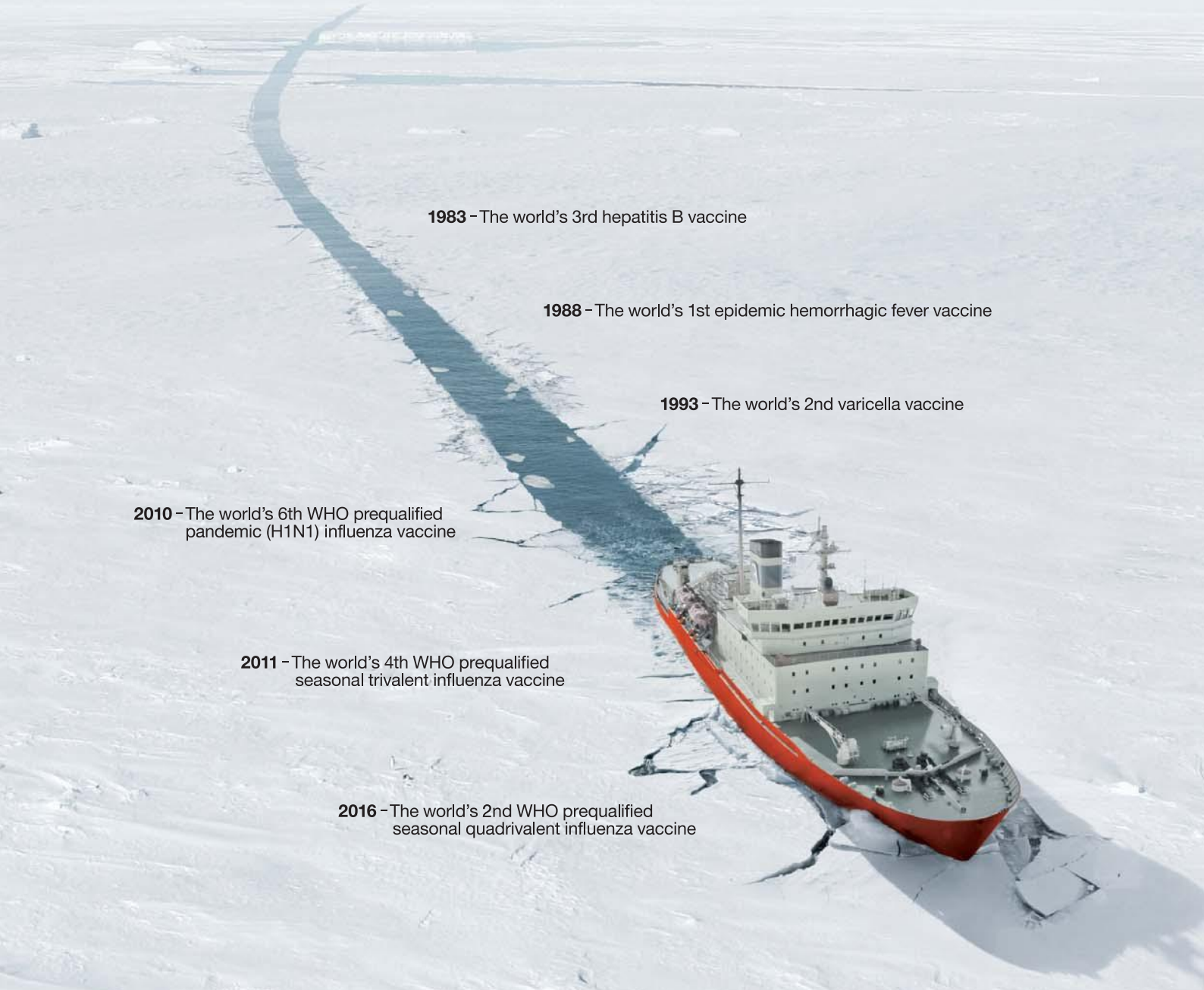

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2011 - The world's 4th WHO prequalified seasonal trivalent influenza vaccine

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