Mini Symposium on
Chemical Biology and Molecular Therapeutics

PROGRAM

November 26, 2026

Genomics Research Center, Academia Sinica
Taipei, Taiwan

Mini Symposium on
Chemical Biology and Molecular Therapeutics

Thursday, November 26, 2026
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AGENDA

10:00-10:30	***Chemical Toolbox for Peptide and Protein Synthesis Using Hydrazide Chemistry*** Associate Professor Kohei Sato Graduate School of Integrated Science and Technology Shizuoka University, JAPAN
10:30-10:40	***Towards a Biosensor Based on Fluoroacetate Dehalogenase: A Semi-Synthetic Strategy for Enzyme Engineering*** MS Student Shogo Kurataka
10:40-11:10	***Novel Boron Delivery Strategies for BNCT: From Molecular Design to Therapeutic Applications*** Associate Professor Tomohiro Tanaka Graduate School of Medicine, Dentistry and Pharmaceutical Sciences Okayama University, JAPAN
11:10-11:50	***Chemical Backbone Engineering of Peptides and Proteins with Amide-to-Alkene Isosteres*** Professor Tetsuo Narumi Graduate School of Integrated Science and Technology Shizuoka University, JAPAN
11:50-12:00	***Amide-to-Alkene Substitution Reveals a Role for an Adjacent Amide in Peptide Backbone Reactivity*** Ph.D. Student Mio Takeda
12:00-12:10	***A Hybrid Strategy for Peptide Structure Control Using Chloroalkene Dipeptide Isosteres and α,α-Disubstituted Amino Acid*** Ph.D. Student Harui Miyata

A) PERSONAL AND PROFESSIONAL INFORMATION

PERSONAL INFORMATION

Family name, First name:	Sato, Kohei
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EDUCATION

2015	PhD in Pharmaceutical Sciences (Organic Chemistry), Tokushima University, Japan
2012	MSc in pharmaceutical sciences (Organic Chemistry), Tokushima University, Japan
2010	BSc in pharmaceutical sciences (Organic Chemistry), Tokushima University, Japan

CURRENT POSITION

2026	Associate Professor, Department of Engineering, Graduate School of Integrated Science and Technology, Shizuoka University, Japan (2026–present)

B) PUBLICATIONS

Dr. Sato has published a total of 60 peer-reviewed papers. He has been accumulating >1300 citations with an h-index of 21 (Google Scholar, 15 April 2026). His research spans organic synthesis, peptide chemistry, and protein chemistry. His publication record includes papers in internationally recognized journals in chemistry, including Angew. Chem. Int. Ed., Org. Lett., and Chem. Commun., among others. A complete and up-to-date list of publications is available via ORCID: https://orcid.org/0000-0001-6877-9223.

C) CONFERENCES AND SEMINARS

Dr. Sato has actively participated in domestic and international conferences, where his contributions have been highly evaluated. His presentation and conference awards include the Young Oral Presentation Award at the 49th Japanese Peptide Symposium (2012), a Poster Award at the 51st Japanese Peptide Symposium (2014), and the Outstanding Poster Award at the 18th Chinese International Peptide Symposium (2024).

D) RESEARCH SUMMARY

Dr. Kohei Sato leads a research program based on organic synthesis, peptide chemistry, and protein

chemistry, focusing on the development of synthetic methods for the total synthesis of proteins.

◆ Core Concept

“Building and expanding an integrated synthetic toolbox based on hydrazide chemistry to revolutionize the chemical synthesis of peptides and proteins, with the ultimate goal of creating proteins to understand life—and to go beyond nature.”

◆ Major Achievements

Pioneered novel applications of peptide hydrazides and established their utility in:

- **Direct Thioesterification:** Achieved the direct conversion of peptide hydrazides (including those containing thiazolidine) into thioesters simultaneously with solid-phase cleavage, a breakthrough that streamlines the synthesis of intermediates for linking multiple peptide chains.
- **Solubilization Strategies:** Improved the handling of poorly soluble peptides by utilizing hydrazides as handles for the late-stage introduction of highly water-soluble tags via reductive N-alkylation, successfully synthesizing difficult proteins like HIV-1 protease and ubiquitin dimers.
- **Side-Chain Protection:** Demonstrated that using hydrazides as a protecting group for aspartic acid side chains effectively suppresses aspartimide formation during peptide synthesis.
- **Enhancing Purification:** Developed a 2,4-dialkoxybenzyl-type linker that significantly improves the HPLC purification efficiency and recovery rate of peptide hydrazides.

◆ Recent Directions

- Total and semi synthesis of proteins
- Development of enzyme-based biosensors
- Research on peptide-based biosurfactant

◆ Impact

His integrated methodologies efficiently resolve existing challenges in peptide and protein chemical synthesis, greatly expanding the breadth of protein synthesis strategies. His outstanding achievements have been recognized with multiple awards, including the Chemical Society of Japan (CSJ) Tokai Branch Young Scholar Award (2021), the Society of Synthetic Organic Chemistry, Japan (SSOCJ) Tokai Branch Young Scholar Award (2023), the SSOCJ Ajinomoto Research Planning Award (2023), and the Japanese Peptide Society Young Scholar Award (2025).

Chemical Toolbox for Peptide and Protein Synthesis Using Hydrazide Chemistry



Kohei Sato

[1] *Department of Applied Chemistry and Biochemical Engineering, Faculty of Engineering, Shizuoka University, Hamamatsu, Japan*

[2] *Graduate School of Integrated Science and Technology, Shizuoka University, Hamamatsu, Japan*

Peptides and proteins are indispensable biopolymers that govern fundamental biological processes and serve as versatile platforms across chemical biology, pharmacology, and materials science. The capacity to prepare and chemically manipulate these macromolecules with atomic precision provides essential capabilities for deciphering complex biochemical mechanisms, installing homogeneous post-translational modifications, generating mirror-image or non-canonical biomolecules, and designing sophisticated molecular probes.

While modern advances in solid-phase peptide synthesis and ligation techniques have expanded access to complex targets, chemical synthesis continues to encounter substantial synthetic hurdles. Critical bottlenecks such as sequence-dependent side reactions and poor segment solubility during handling and HPLC purification frequently compromise overall efficiency and synthetic yield.

To overcome these longstanding limitations, we have established a versatile peptide hydrazide-based chemical strategy.[1–7] Utilizing peptide hydrazides as multifunctional latent thioester surrogates and operational handles, our approach effectively suppresses side reactions including aspartimide formation,[5] enhances segment solubility under aqueous ligation and handling conditions,[2,3] and streamlines purification workflows.[6] This methodology provides a practical and generalized platform that significantly accelerates the total chemical synthesis and functional engineering of challenging peptides and proteins.

References and Footnotes

(1) Sato, K.; Tanaka, S.; Yamamoto, K.; Tashiro, Y.; Narumi, T.; Mase, N. *Chem. Commun.* **2018**, *54*, 9127–9130.
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Towards a Biosensor Based on Fluoroacetate Dehalogenase: A Semi-Synthetic Strategy for Enzyme Engineering



Shogo Kurataka and Kohei Sato

Department of Engineering, Graduate School of Integrated Science and Technology, Shizuoka University, Japan

Given the increasing environmental pollution by organofluorine compounds, the development of detection technologies has become a priority. Conventional off-site analysis suffers from limitations, such as time lags and the difficulty of continuous monitoring. To address these issues, on-site analysis using a portable biosensor offers real-time capability and simplicity. A functional biosensor could be constructed by coupling a fluoride ion-selective electrode with fluoroacetate dehalogenase (FAcD);[1] however, practical applications demand higher activity and shorter reaction times.

To enhance the enzymatic activity, we designed the enzyme based on DFT calculations of FAcD reaction pathways.[2] In silico screening of active-site residues suggested that substituting Trp156 with halogenated tryptophan derivatives could lower the activation energy of the rate-limiting step. To introduce this noncanonical residue, we adopted a semi-synthetic approach based on expressed protein ligation. In this presentation, our progress toward developing the FAcD-based biosensor and the semi-synthesis of modified FAcD will be discussed.



References and Footnotes

(1) Miranda-Rojas, S.; Kästner, J.; Fernández, I.; Toro-Labbé, A.; Mendizábal, F. *ChemCatChem* **2018**, *10*, 1052–1063.

(2) Ratanasak, M.; Hori, Y.; Sato, K.; Rungrotmongkol, T.; Shigeta, Y. *J. Phys. Chem. B.* **2026**, *130*, 8805–8814.

A) PERSONAL AND PROFESSIONAL INFORMATION

PERSONAL INFORMATION

Family name, First name:	Tomohiro Tanaka
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EDUCATION

2011	Ph.D. in Medical Sciences, Tokyo Medical and Dental University, Japan
2008	M.Sc., Tokyo Medical and Dental University, Japan
2006	B.Pharm., Tokyo University of Pharmacy and Life Sciences, Japan

CURRENT POSITION

2026	Associate Professor, Faculty of Medicine, Dentistry and Pharmaceutical Sciences, Okayama University, Japan (2022–present)

B) PUBLICATIONS

Dr. Tanaka has established a strong track record of research productivity, with 34 peer-reviewed publications in international scientific journals, including 10 first-author or corresponding-author articles that highlight his direct contributions to research conception, execution, and scientific communication. His research encompasses a broad and interdisciplinary range of fields, including chemical biology, peptide chemistry, glycopeptide synthesis, medicinal chemistry, and boron neutron capture therapy (BNCT). By integrating expertise in synthetic chemistry, molecular design, and biomedical applications, he has developed a versatile research profile that bridges fundamental chemical sciences and translational biomedical research.

C) CONFERENCES AND SEMINARS

Dr. Tanaka has presented his research at both international and domestic scientific conferences, including the ACS National Meeting (2018) and IRNI/IPoPS (2021). These presentations reflect his experience in communicating research findings to the scientific community across a range of research settings.

D) RESEARCH SUMMARY

Dr. Tanaka's research lies at the intersection of chemical biology and medicinal chemistry, encompassing the design and synthesis of bioactive molecules, the development of advanced synthetic strategies for glycopeptides, antiviral drug discovery, and innovative therapeutic applications. In recent years, he has increasingly focused on the development of novel boron delivery systems for boron neutron capture therapy (BNCT), aiming to improve the delivery of boron-containing compounds to cancer cells and advance the development of more effective therapeutic strategies. His research integrates molecular design, synthetic chemistry, and biological evaluation, drawing on his interdisciplinary expertise to address challenges in drug delivery and explore new opportunities for biomedical applications.

- Core Concept

"Designing molecular systems for drug delivery through noncovalent interactions."

- Major Achievements

- ***Molecular Design for ^{10}B Agent Delivery***: Development and investigation of molecular platforms that exploit noncovalent interactions to facilitate the delivery of ^{10}B agent for BNCT.
- ***Bioactive Molecules and Medicinal Chemistry***: Design, synthesis, and biological evaluation of bioactive molecules such as CXCR4 antagonist and anti-SARS-CoV-2 agent.
- ***Glycopeptide Chemistry***: Development and application of synthetic approaches to glycopeptides and related biologically relevant molecules.
- ***^{11}B NMR/MRI Probes***: Development of novel boron-based molecular probes for ^{11}B NMR and MRI applications, enabling the investigation and visualization of boron-containing compounds in biological systems.

◆ Recent Directions

- Developing novel carrier systems to enhance the delivery of boron compounds to cancer cells.
- Designing molecular systems that exploit noncovalent interactions for efficient drug delivery.
- Development of next-generation peptide-based therapeutics

◆ Impact

Dr. Tanaka's research bridges synthetic chemistry and biomedical applications, leveraging interdisciplinary expertise to address challenges in molecular design, drug delivery, and therapeutic development. His recent focus on BNCT-oriented boron delivery systems represents an extension of this research toward innovative cancer treatment strategies, with the ultimate goal of improving the delivery and therapeutic potential of boron-containing agents.

Novel Boron Delivery Strategies for BNCT: From Molecular Design to Therapeutic Applications



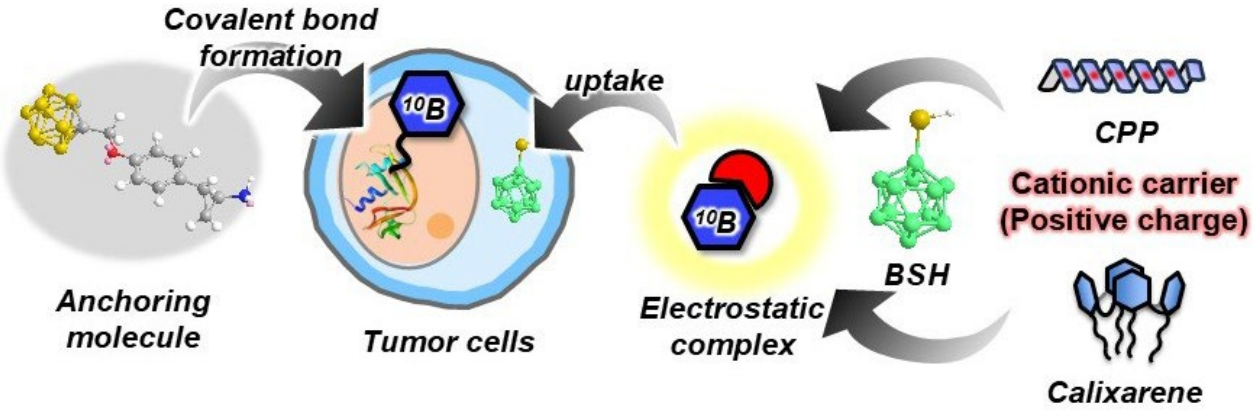
Tomohiro Tanaka

Faculty of Medicine, Dentistry and Pharmaceutical Sciences, Okayama University, Okayama, Japan

Boron Neutron Capture Therapy (BNCT) is a promising cancer treatment based on the nuclear reaction between ^{10}B and thermal neutrons. However, the limited membrane permeability and tumor selectivity of the conventional boron agent BSH remain major challenges.

In this study, we explored three molecular strategies for developing novel boron delivery systems and targeted boron-containing drugs. First, CADY-derived peptides, which interact with anionic biomolecules through electrostatic interactions, were investigated as carriers for intracellular BSH delivery. Second, amphiphilic calixarene derivatives capable of self-assembly and membrane interactions were explored as alternative BSH carriers. Third, we developed boron-containing PCPA derivatives targeting lysine-specific demethylase 1 (LSD1), aiming to combine covalent enzyme inhibition with boron delivery for potential BNCT applications.

The physicochemical properties, cellular uptake, cytotoxicity, and biological activities of these molecular systems were evaluated. Here, we present their molecular design, synthesis, characterization, and potential applications in boron delivery and cancer therapy.



A) PERSONAL AND PROFESSIONAL INFORMATION

PERSONAL INFORMATION

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Web site:	https://wwp.shizuoka.ac.jp/tenarumi/

EDUCATION

2009	PhD in Pharmaceutical Sciences (Organic Chemistry), Kyoto University, Japan
2004	MSc in applied chemistry, Waseda University, Japan
2002	BSc in applied chemistry, Waseda University, Japan

CURRENT POSITION

2026	Professor (Full Professor), Department of Chemical Bioengineering, Graduate School of Integrated Science and Technology, Shizuoka University, Japan (2025–present)

B) PUBLICATIONS

Prof. Narumi has published a total of 80 peer-reviewed papers (+5 book chapters) in international scientific journals, including 18 publications in the 2009–2025 period after completion of his PhD. He has been corresponding author on >25 publications, accumulating >2,000 citations with an h-index of 27 (Google Scholar, 9 April 2026). His research spans organic synthesis, peptide chemistry, peptidomimetics, ubiquitin chemistry, and chemical biology. His publication record includes papers in internationally recognized journals in chemistry and chemical biology, including J. Am. Chem. Soc., Angew. Chem. Int. Ed., J. Med. Chem., Org. Lett., Chem. Commun., among others. Prof. Narumi's contributions to peptide and peptidomimetic chemistry have been highlighted through collaborative publications with leading international groups. A complete and up-to-date list of publications is available via ORCID: https://orcid.org/0000-0003-2412-4035

C) CONFERENCES AND SEMINARS

Prof. Narumi has participated as coauthor in numerous national and international conferences, being the presenting author of 10+ invited international lectures, 30+ invited domestic lectures, and more than 60+ contributed oral presentations, in addition to multiple poster presentations. His conference activities during the 2020–2024 period include 5 invited international talks, 10+ invited domestic talks, and over 20 oral contributions, covering organic synthesis, peptide chemistry, peptidomimetics, ubiquitin chemistry, and chemical biology.

D) RESEARCH SUMMARY

Prof. Tetsuo Narumi leads a multidisciplinary research program at the interface of organic synthesis, peptide chemistry, and chemical biology, with a central focus on precision backbone engineering of peptides and proteins.

◆ Core Concept

“Peptide function can be fundamentally reprogrammed by replacing backbone amide bonds with chemically defined isosteres.”

◆ Major Achievements

Pioneered alkene-based peptide bond isosteres (fluoroalkene, chloroalkene)
Established their utility in:

- Peptidomimetic design
- Protein–protein interaction modulation
- Mid-sized drug discovery
- Revealed how backbone modification controls:
- Conformation (β-turn, γ-turn, etc.)
- Membrane permeability
- Enzymatic stability

◆ Recent Directions

- Chemical synthesis of ubiquitin chains and defined ubiquitinated proteins
- Mechanistic studies of post-translational modifications
- Development of next-generation peptide-based therapeutics
- AMED-supported research on antiviral agents targeting viral assembly

◆ Impact

His work provides a general platform for molecular design beyond natural peptides, bridging synthetic chemistry and biological function, and enabling new strategies for drug discovery.

Chemical Backbone Engineering of Peptides and Proteins with Amide-to-Alkene Isosteres



Tetsuo Narumi

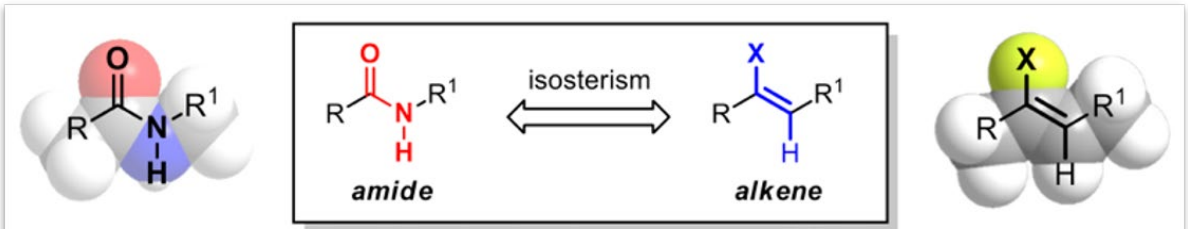
[1]*Department of Applied Chemistry and Biochemical Engineering, Faculty of Engineering, Shizuoka University, Hamamatsu, Japan*

[2]*Graduate School of Integrated Science and Technology, Shizuoka University, Hamamatsu, Japan*

[3]*Graduate School of Medical Photonics, Shizuoka University, Hamamatsu, Japan*

Amide bonds are ubiquitous in chemistry, occurring in peptides, proteins, and numerous pharmaceuticals. Their distinctive geometry, polarity, and hydrogen-bonding capabilities govern molecular conformation, recognition, and function. Dissecting and controlling these contributions would expand the possibilities of molecular design.

We engineer peptide and protein backbones by replacing selected amide bonds with alkene dipeptide isosteres (ADIs).[1] These substitutions retain key geometric features while altering electronic properties and molecular interactions. Varying the alkene substituent (H, F, Cl, or CH_3) has revealed distinct conformational preferences in β-turn peptides,[2] as well as in amyloidogenic peptides,[3] highlighting the roles of hyperconjugation and hydrogen bonding. ADI incorporation can also enhance membrane permeability,[4] offering opportunities for peptide drug discovery. Together, these findings establish ADIs not merely as amide bond mimics but as chemical tools for probing and controlling peptide backbone properties. This lecture will highlight our recent advances in the design, synthesis, and application of halogenated ADIs to engineer molecular structure, properties, and function.



References and Footnotes

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(2) (a) Kodama, Y.; Takeo, S.; Fujimoto, J.; Sato, K.; Mase, N.; Narumi, T. *J. Org. Chem.* **2022**, *87*, 2167–2177. (b) Takeo, S.; Fujimoto, J.; Sato, K.; Mase, N.; Narumi, T. *J. Org. Chem.* **2026**, *91*, 8588–8597.

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Amide-to-Alkene Substitution Reveals a Role for an Adjacent Amide in Peptide Backbone Reactivity

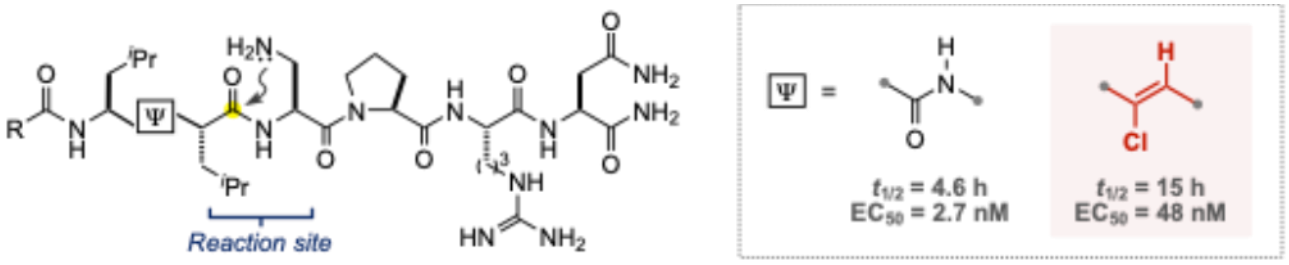


Mio Takeda and Tetsuo Narumi

Graduate School of Medical Photonics, Shizuoka University, Hamamatsu, Japan

Peptides are increasingly important in drug discovery, yet improving their chemical stability remains challenging. Conventional stabilization strategies often involve direct modification of labile amide bonds, including replacement with alkene dipeptide isosteres (ADIs).[1] However, substitution at a reaction site may compromise biological activity by disrupting molecular recognition. We therefore explored whether ADI substitution adjacent to the reaction site could suppress intramolecular acyl transfer by perturbing local hydrogen-bonding interactions.

Using CPN-116,[2] an NMUR2 agonist susceptible to intramolecular acyl transfer,[3] we replaced the Leu–Leu amide bond adjacent to the acyl transfer site with a chloroalkene dipeptide isostere (CADI). This substitution increased the half-life from 4.6 to 15 h while retaining nanomolar NMUR2 agonist activity. Mechanistic studies suggested that CADI substitution suppresses acyl transfer by disrupting hydrogen-bond interactions between phosphate anions and amide N–H adjacent to the reaction site. These findings establish a strategy for controlling peptide backbone reactivity by modulating intermolecular interactions without direct modification of the reaction site.



References and Footnotes

(1) For a related study, see: Narumi, T.; Toyama, D.; Fujimoto, J.; Kyan, R.; Sato, K.; Mori, K.; Pearson, J. T.; Mase, N.; Takayama, K. *Chem. Commun.* **2024**, *60*, 3563–3566.

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A Hybrid Strategy for Peptide Structure Control Using Chloroalkene Dipeptide Isosteres and α,α-Disubstituted Amino Acid

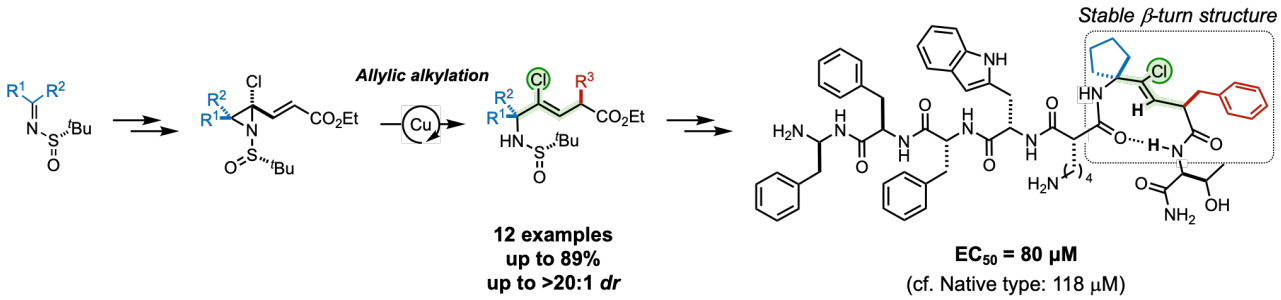


Harui Miyata and Tetsuo Narumi

Graduate School of Medical Photonics, Shizuoka University, Hamamatsu, Japan

Chloroalkene dipeptide isosteres (CADIs) have emerged as useful structural elements for constructing conformationally restricted peptides, including β-turn mimics[1] and cyclic peptide scaffolds. To further expand the structural diversity of CADIs, we focused on α,α-disubstituted amino acids (ααAAs), which are known to exert strong steric constraints through quaternary carbon centers.[2]

Here, we describe CADI-ααAA hybrid compounds that synergistically combine the conformational restriction features of both CADIs and ααAAs.[3] We established a diastereoselective synthetic route to these hybrid units through copper-catalyzed allylic alkylation of N-sulfinyl-protected aziridines. A CADI-ααAA hybrid unit was also incorporated into a somatostatin analogue previously designed using ααAA-mediated conformational restriction. Structural analysis revealed enhanced stabilization of the bioactive β-turn conformation, while biological evaluation showed increased antiproliferative activity relative to the parent peptide. These findings demonstrate that combining distinct conformational constraints within a peptide backbone provides a strategy for modulating peptide structure and biological activity. This presentation will highlight the synthesis and application of CADI–ααAA hybrid units as conformationally constrained peptidomimetics.



References and Footnotes

(1) Kodama, Y.; Takeo, S.; Fujimoto, J.; Sato, K.; Mase, N.; Narumi, T. *J. Org. Chem.* **2022**, *87*, 2167–2177.
(2) Tanaka, M. *Chem. Pharm. Bull*. **2007**, *55*, 349–358.
(3) Kodama, Y.; Imai, S.; Fujimoto, J.; Sato, K.; Mase, N.; Narumi, T. *Chem. Comnun.***2021**, *57*, 6915–6918.