

Faculty members

Professor : Kenichi Kobayashi

Senior Assistant Professor : Yuichiro Hirayama

Assistant Professor : Momoko Suzuki

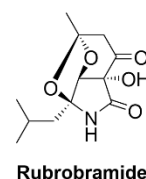
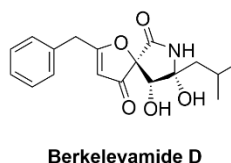
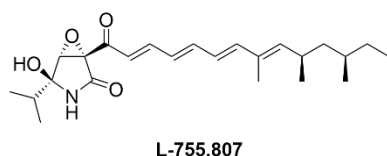
Research Interests

Our research fields include chemistry, biology, and pharmaceutical sciences, specifically:

- (a) total synthesis of biologically active molecules
- (b) bioorganic studies of biologically active natural products
- (c) isolation of biologically active natural products

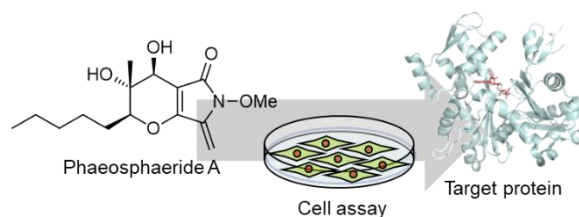
- (a) A large part of our research has focused on the total synthesis of biologically important natural products. To achieve this, we also strive to develop highly stereoselective reactions.

We have successfully developed a diastereoselective Darzens reaction, enabling the total synthesis of L-755,807 as a bradykinin-binding inhibitor, berkeleyamide D as a caspase-I and matrix metalloprotease III inhibitor, and rubrobramide, which exhibits antifungal, cytotoxic, and nematocidal activities.



- (b) We strive to evaluate anticancer activity of synthetic and naturally derived compounds, and to elucidate the target biomolecules of natural products with significant biological activities. This line of research is generally regarded as “chemical biology”, which encompasses the interdisciplinary area between chemistry and biology. In other words, our research aims to elucidate the biological phenomena using chemistry as a starting point.

One of our ongoing bioorganic projects focuses on phaeosphaerides, aiming to identify their target protein responsible for STAT3 inhibitory activity.



- (c) Discovering unknown natural products is critically important for the development of new medicines. In our laboratory, we culture fungi that produce diverse metabolites and explore novel bioactive compounds. Specifically, we use crude extracts of fungi collected from soils around the university to purify and isolate bioactive substances, guided by their growth-inhibitory effects on human cancer cell lines (HeLa, MDA-MB-231) and antimicrobial activity against various microorganisms (*E. coli*, *C. albicans*, *B. subtilis*, *S. aureus*, etc.). Through this approach, we aim to identify natural products that may serve as lead compounds for anticancer and antibacterial drug development.

Publications (2020–)

1. Biological Evaluation of Signal Transducer and Activator of Transcription 3 (STAT3) Targeting by Phaeosphaeride A and Its Analogs
Hirayama, Y.; Matsunaga, M.; Fukao, A.; Kobayashi, K. *Bioorg. Med. Chem. Lett.* **2024**, *114*, 130004.
2. Toward the stereochemical assignment of euvesperins A and B: Total synthesis of the possible structures of the natural products
Kobayashi, K.; Honma, Y.; Tanaka III, K.; Suzuki, M.; Takatori, K.; Kogen, H.
Org. Biomol. Chem. **2024**, *22*, 7307-7310.
3. Studies toward the Total Synthesis of Natalamycin A: Stereoselective Synthesis of the C9–C21 Segment
Suzuki, M.; Takatori, K.; Kobayashi, K.
Nat. Prod. Commun. **2024**, *19*, DOI: 10.1177/1934578X241250236
4. Synthesis and Biological Evaluation of Coumarin Derivatives as Selective CYP2A6 Inhibitors
Yamaguchi, Y.; Nishizono, N.; Kobayashi, D.; Yoshimura, T.; Wada, K.; Kobayashi, K.; Oda, K.
Bioorg. Med. Chem. Lett. **2023**, *86*, 129206.
5. Total Synthesis of Phaeosphaerides with STAT3 Inhibitory Activity.
Kobayashi, K.; Kogen, H.; Tamura, O.
J. Synth. Org. Chem. Jpn. **2022**, *80*, 755-765.
6. Enantioselective Total Synthesis of (+)-Rubrobramide, (+)-Talaramide A, and (–)-Berkeleyamide D by a Skeletal Diversification Strategy.
Tanaka III, K.; Kobayashi, K.; Kogen, H.
Chem. Commun. **2021**, *57*, 9780-9783.
7. Isolation of New Colibactin Metabolites from Wild-Type *Escherichia coli* and *In Situ* Trapping of a Mature Colibactin Derivative.
Zhou, T.; Hirayama, Y.; Tsunematsu, Y.; Suzuki, N.; Tanaka, S.; Uchiyama, N.; Goda, Y.; Yoshikawa, Y.; Iwashita, Y.; Sato, M.; Miyoshi, N.; Mutoh, M.; Ishikawa, H.; Sugimura, H.; Wakabayashi, K.; Watanabe, K.
J. Am. Chem. Soc. **2021**, *143*, 5526-5533.
8. Concise Synthesis of the Major Metabolite M8 from Ticagrelor and Simultaneous Determination of Ticagrelor and M8 by a Novel LC/MS Method.
Suzuki, M.; Ogawa, R.; Echizen, H.; Kogen, H.; Kobayashi, K.
J. Chem. Res. **2021**, DOI: 10.1177/1747519821991993
9. Highly Oxidized γ -Lactam-containing Natural Products: Total Synthesis and Biological Evaluation.
Tanaka III, K.; Kogen, H.; Kobayashi, K.
Heterocycles **2021**, *102*, 1235-1285.
10. Total Syntheses of Biologically Active Natural Products Directed toward Drug Discovery
Kogen, H.; Kobayashi, K. Nova Science Publishers, Inc., “Advances in Chemistry Research”, 2020/12, Chapter 3, 135-205.