

Publication List

Original Paper

- 1) Jizhi Ni, Youhei Sohma,* Motomu Kanai,* Scandium(III) triflate-promoted serine/threonine-selective peptide bond cleavage. **Chem. Commun.** in press (2017). DOI: 10.1039/c6cc10300f
- 2) Yohei Seki, Takashi Ishiyama, Daisuke Sasaki, Junpei Abe, Youhei Sohma, Kounosuke Oisaki, Motomu Kanai, Transition metal-free tryptophan-selective bioconjugation of proteins, **J. Am. Chem. Soc.** 138, 10798–10801 (2016).
- 3) Atsuhiko Taniguchi, Yusuke Shimizu, Kounosuke Oisaki, Youhei Sohma,* Motomu Kanai,* Switchable photooxygenation catalysts that sense higher-order amyloid structures. **Nature Chem.** 8, 974–982 (2016).
- 4) Ryuto Kino, Takushi Araya, Tadamasu Arai, Youhei Sohma,* Motomu Kanai,* Covalent modifier-type aggregation inhibitor of amyloid- β based on a cyclo-KLVFF motif. **Bioorg. Med. Chem. Lett.** 25, 2972–2975 (2015).
- 5) Kiyomichi Shinoda, Youhei Sohma,* Motomu Kanai,* Synthesis of chemically-tethered amyloid- β segment trimer possessing amyloidogenic properties. **Bioorg. Med. Chem. Lett.** 25, 2976–2979 (2015).
- 6) Tadamasu Arai, Daisuke Sasaki, Takushi Araya, Takeshi Sato, Youhei Sohma,* Motomu Kanai,* A cyclic KLVFF-derived peptide aggregation inhibitor induces the formation of less toxic off-pathway amyloid- β oligomers. **ChemBioChem** 15, 2577–2583 (2014).
- 7) Tadamasu Arai, Takushi Araya, Daisuke Sasaki, Atsuhiko Taniguchi, Takeshi Sato, Youhei Sohma,* Motomu Kanai,* Rational design and identification of non-peptidic aggregation inhibitor of amyloid- β based on a pharmacophore motif obtained from *cyclo*[-Lys-Leu-Val-Phe-Phe-]. **Angew. Chem. Int. Ed.** 53, 8236–8239 (2014). *Hot Paper*
- 8) Yohei Seki, Kana Tanabe, Daisuke Sasaki, Youhei Sohma, Kounosuke Oisaki, Motomu Kanai, Serine-selective aerobic cleavage of peptides and a protein using a water-soluble copper–organoradical conjugate. **Angew. Chem. Int. Ed.** 53, 6501–6505 (2014).
- 9) Atsuhiko Taniguchi, Daisuke Sasaki, Azusa Shiohara, Takeshi Iwatsubo, Taisuke Tomita, Youhei Sohma,* Motomu Kanai,* Attenuation of the aggregation and neurotoxicity of amyloid- β peptides by catalytic photooxygenation, **Angew. Chem. Int. Ed.** 53, 1382–1385 (2014). *Hot Paper*
- 10) Kana Tanabe, Atsuhiko Taniguchi, Takuya Matsumoto, Kounosuke Oisaki, Youhei Sohma,* Motomu Kanai,* Asparagine-selective cleavage of peptide bonds through hypervalent iodine-mediated Hofmann rearrangement in neutral aqueous solution. **Chem. Sci.** 5, 2747–2753 (2014).
- 11) Hiroyuki Kawashima, Tomomi Kuruma, Masayuki Yamashita, Youhei Sohma,* Kenichi Akaji,* Synthesis of an *O*-acyl isopeptide by using native chemical ligation. **J. Peptide Sci.** 20, 361–365 (2014).
- 12) Taku Yoshiya, Takahiro Maruno, Tsuyoshi Uemura, Shigeru Kubo, Yoshiaki Kiso, Youhei Sohma, Kumiko Yoshizawa-Kumagaye, Yuji Kobayashi, Yuji Nishiuchi, Non-pretreated *O*-acyl isopeptide of amyloid β peptide 1-42 is monomeric with a random coil structure but starts to aggregate in a concentration-dependent manner. **Bioorg. Med. Chem. Lett.** 24, 3861–3864 (2014).
- 13) Taku Yoshiya, Takahiro Maruno, Tsuyoshi Uemura, Shigeru Kubo, Yoshiaki Kiso, Youhei Sohma, Kumiko Yoshizawa-Kumagaye, Yuji Kobayashi, Yuji Nishiuchi, *O*-Acyl isopeptide of A β 1-42: Boc SPPS with the aid of isodipeptide unit and its concentration-dependent aggregative state. **J. Peptide Sci.** 20, 669–674 (2014).

- 14) Hiroyuki Kawashima, Youhei Sohma,* Tomoya Nakanishi, Hitomi Kitamura, Hidehito Mukai, Masayuki Yamashita, Kenichi Akaji, Yoshiaki Kiso,* A new class of aggregation inhibitor of amyloid- β peptide based on an O-acyl isopeptide. **Bioorg. Med. Chem.** 21, 6323–6327 (2013).
- 15) Youhei Sohma,* Moe Yamasaki, Hiroyuki Kawashima, Atsuhiko Taniguchi, Masayuki Yamashita, Kenichi Akaji, Hidehito Mukai, Yoshiaki Kiso,* Comparative properties of A β 1–42, A β 11–42, and [Pyr¹¹]A β 11–42 generated from O-acyl isopeptides. **Bioorg. Med. Chem. Lett.** 23, 1326–1329 (2013).
- 16) Youhei Sohma,* Hitomi Kitamura, Hiroyuki Kawashima, Hironobu Hojo, Masayuki Yamashita, Kenichi Akaji, Yoshiaki Kiso,* Synthesis of an O-acyl isopeptide by using native chemical ligation to efficiently construct a hydrophobic polypeptide. **Tetrahedron Lett.** 52, 7146–7148 (2011).
- 17) Youhei Sohma,* Hui Wang, Atsuhiko Taniguchi, Yuta Hirayama, Taeko Kakizawa, Moe Yamasaki, Hidehito Mukai, Yoshiaki Kiso,* Self-assembly pathways of E22delta-type amyloid β peptide mutants generated from non-aggregative O-acyl isopeptide precursors. **Bioorg. Med. Chem.** 19, 3787–3792 (2011).
- 18) Taku Yoshiya, Ayano Higa, Naoko Abe, Fukue Fukao, Tomomi Kuruma, Yuki Toda, Youhei Sohma,* Yoshiaki Kiso,* “Click peptide” concept: O-acyl isopeptide of islet amyloid polypeptide as a non-aggregative precursor molecule. **ChemBioChem** 12, 1216–1222 (2011).
- 19) Youhei Sohma,* Yuta Hirayama, Atsuhiko Taniguchi, Hidehito Mukai, Yoshiaki Kiso,* ‘Click peptide’ using production of monomer A β from the O-acyl isopeptide: application to assay system of aggregation inhibitors and cellular cytotoxicity. **Bioorg. Med. Chem.** 19, 1729–1733 (2011).
- 20) Hironobu Hojo, Hidekazu Katayama, Chiharu Tano, Yuko Nakahara, Azusa Yoneshige, Junko Matsuda, Youhei Sohma, Yoshiaki Kiso, Yoshiaki Nakahara, Synthesis of the sphingolipid activator protein, saposin C, using an azido-protected O-acyl isopeptide as an aggregation-disrupting element. **Tetrahedron Lett.** 52, 635–639 (2011).
- 21) Taku Yoshiya, Yuka Hasegawa, Wakana Kawamura, Hiroyuki Kawashima, Youhei Sohma, Tooru Kimura, Yoshiaki Kiso, S-Acyl isopeptide method: use of allyl-type protective group for improved preparation of thioester-containing S-acyl isopeptides by Fmoc-based SPPS. **Biopolymers** 96, 228–239 (2011).
- 22) Harichandra D. Tagad, Yoshio Hamada, Jeffrey-Tri Nguyen, Koushi Hidaka, Takashi Hamada, Youhei Sohma, Tooru Kimura, Yoshiaki Kiso, Structure-guided design and synthesis of P₁’ position 1-phenylcycloalkylamine-derived pentapeptidic BACE1 inhibitors. **Bioorg. Med. Chem.** 19, 5238–5246 (2011).
- 23) Youhei Sohma,* Qing-xin Hua, Jonathan Whittaker, Michael A. Weiss, Stephen B. H. Kent,* Design and folding of [Glu^{A4}(O β Thr^{B30})]insulin (‘ester insulin’), a minimal proinsulin surrogate that can be chemically converted into human insulin. **Angew. Chem. Int. Ed.** 49, 5489–5493 (2010).
VIP, Cover picture
- 24) Youhei Sohma, Qing-xin Hua, Ming Liu, Nelson B. Phillips, Shi-Quan Hu, Jonathan Whittaker, Linda J. Whittaker, Aubree Ng, Charles T. Roberts, Jr., Peter Arvan, Stephen B. H. Kent, Michael A. Weiss, Contribution of residue B5 to the folding and function of insulin and IGF-I: constraints and fine-tuning in the evolution of a protein family. **J. Biol. Chem.** 285, 5040–5055 (2010).
- 25) Harichandra D. Tagad, Yoshio Hamada, Jeffrey-Tri Nguyen, Takashi Hamada, Hamdy Abdel-Rahman, Abdellah Yamani, Ayaka Nagamine, Hayato Ikari, Naoto Igawa, Koushi Hidaka, Youhei Sohma, Tooru Kimura, Yoshiaki Kiso, Design of pentapeptidic BACE1 inhibitors with carboxylic acid bioisosteres at P₁’ and P₄ positions. **Bioorg. Med. Chem.** 18, 3175–3186

(2010).

- 26) Taku Yoshiya, Hiroyuki Kawashima, Yuka Hasegawa, Kazuhiro Okamoto, Tooru Kimura, Youhei Sohma, Yoshiaki Kiso, Epimerization-free synthesis of cyclic peptide by use of the O-acyl isopeptide method. **J. Peptide Sci.** 16, 437–442 (2010).
- 27) Youhei Sohma, Stephen B. H. Kent, Biomimetic synthesis of lispro insulin via a chemically synthesized 'mini-proinsulin' prepared by oxime-forming ligation. **J. Am. Chem. Soc.** 131, 16313–16318 (2009).
- 28) Atsuhiko Taniguchi, Youhei Sohma, Yuta Hirayama, Hidehito Mukai, Tooru Kimura, Yoshio Hayashi, Katsumi Matsuzaki, Yoshiaki Kiso, "Click peptide": pH-triggered in situ production and aggregation of monomer A β 1–42. **ChemBioChem** 10, 710–715 (2009). (#equal contribution)
Highlighted in Angew. Chem. Int. Ed.
- 29) Taku Yoshiya, Hiroyuki Kawashima, Youhei Sohma, Tooru Kimura and Yoshiaki Kiso, O-Acyl isopeptide method: efficient synthesis of isopeptide segment and application to racemization-free segment condensation. **Org. Biomol. Chem.** 7, 2894–2904 (2009). *Cover picture*
- 30) Youhei Sohma, Brad L. Pentelute, Jonathan Whittaker, Qin-xin Hua, Linda J. Whittaker, Michael A. Weiss, Stephen B. H. Kent, Comparative properties of insulin-like growth factor 1 (IGF-1) and [Gly7D-Ala]IGF-1 prepared by total chemical synthesis. **Angew. Chem. Int. Ed.** 47, 1102–1106 (2008).
- 31) Katsumi Matsuzaki, Takuma Okada, Miho Tsukuda, Keisuke Ikeda, Youhei Sohma, Yousuke Chiyomori, Atsuhiko Taniguchi, Setsuko Nakamura, Nui Ito, Yoshio Hayashi, Yoshiaki Kiso, Design, synthesis, and biophysical properties of a helical A β 1–42 analog: inhibition of fibrillogenesis and cytotoxicity, **Biochem. Biophys. Res. Commun.** 371, 777–780 (2008).
- 32) Atsuhiko Taniguchi, Mariusz Skwarczynski, Youhei Sohma, Takuma Okada, Keisuke Ikeda, Halan Prakash, Hidehito Mukai, Yoshio Hayashi, Tooru Kimura, Shun Hirota, Katsumi Matsuzaki, Yoshiaki Kiso, Water-soluble "click peptide" using O-acyl isopeptide method: controlled production of Alzheimer's amyloid β peptide from photo-triggered precursor peptide, **ChemBioChem** 9, 3055–3065 (2008).
- 33) Taku Yoshiya, Atsuhiko Taniguchi, Youhei Sohma, Fukue Fukao, Setsuko Nakamura, Naoko Abe, Nui Ito, Mariusz Skwarczynski, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, "O-Acyl isopeptide method" for peptide synthesis: synthesis of forty kinds of "O-acyl isodipeptide unit" Boc-Ser/Thr(Fmoc-Xaa)-OH, **Org. Biomol. Chem.** 5, 1720–1730 (2007).
- 34) Atsuhiko Taniguchi, Taku Yoshiya, Naoko Abe, Fukue Fukao, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, "O-Acyl isopeptide method" for peptide synthesis: solvent effects in the synthesis of A β 1–42 isopeptide using "O-acyl isodipeptide unit". **J. Peptide Sci.** 13, 868–874 (2007).
- 35) Taku Yoshiya, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, "O-Acyl Isopeptide Method": racemization-free segment condensation in solid phase peptide synthesis, **Tetrahedron Lett.** 47, 7905–7909 (2006).
- 36) Youhei Sohma, Atsuhiko Taniguchi, Mariusz Skwarczynski, Taku Yoshiya, Fukue Fukao, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, "O-Acyl isopeptide method" for the efficient synthesis of difficult sequence-containing peptides: use of "O-acyl isodipeptide unit". **Tetrahedron Lett.** 47, 3013–3017 (2006).
- 37) Atsuhiko Taniguchi, Youhei Sohma, Maiko Kimura, Takuma Okada, Keisuke Ikeda, Yoshio Hayashi, Tooru Kimura, Shun Hirota, Katsumi Matsuzaki, Yoshiaki Kiso, "Click Peptide" based on the "O-acyl isopeptide method": control of A β 1–42 production from a photo-triggered A β 1–42

- analogue. *J. Am. Chem. Soc.* 128, 696–697 (2006).
- 38) Mariusz Skwarczynski, Youhei Sohma, Mayo Noguchi, Yoshio Hayashi, Tooru Kimura, Yoshiaki Kiso, O–N Intramolecular alkoxy carbonyl migration of typical protective groups in hydroxyamino acids. *J. Org. Chem.* 71, 2542–2545 (2006).
- 39) Mariusz Skwarczynski, Mayo Noguchi, Shun Hirota, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, Development of first photoresponsible prodrug of paclitaxel. *Bioorg. Med. Chem. Lett.* 16, 4492–4496 (2006).
- 40) Youhei Sohma, Yousuke Chiyomori, Maiko Kimura, Fukue Fukao, Atsuhiko Taniguchi, Yoshio Hayashi, Tooru Kimura, Yoshiaki Kiso, “O-Acyl isopeptide method” for the efficient preparation of amyloid β peptide (A β) 1–42 mutants. *Bioorg. Med. Chem.* 13, 6167–6174 (2005).
- 41) Youhei Sohma, Yoshio Hayashi, Maiko Kimura, Yousuke Chiyomori, Atsuhiko Taniguchi, Masato Sasaki, Tooru Kimura, Yoshiaki Kiso, “O-Acyl isopeptide method” for the synthesis of difficult sequence-containing peptides: application to the synthesis of Alzheimer’s disease-related amyloid β peptide (A β) 1–42. *J. Peptide Sci.* 11, 441–451 (2005).
- 42) Mariusz Skwarczynski, Youhei Sohma, Mayo Noguchi, Maiko Kimura, Yoshio Hayashi, Yoshio Hamada, Tooru Kimura, Yoshiaki Kiso, No auxiliary, no byproduct strategy for water-soluble prodrugs of taxoids: scope and limitation of O–N intramolecular acyl and acyloxy migration reaction. *J. Med. Chem.* 48, 2655–2666 (2005).
- 43) Youhei Sohma, Masato Sasaki, Yoshio Hayashi, Tooru Kimura, Yoshiaki Kiso, Design and synthesis of a novel water-soluble A β 1–42 isopeptide: an efficient strategy for the preparation of Alzheimer’s disease-related peptide, A β 1–42, via O–N intramolecular acyl migration reaction. *Tetrahedron Lett.* 45, 5965–5968 (2004).
- 44) Youhei Sohma, Masato Sasaki, Yoshio Hayashi, Tooru Kimura, Yoshiaki Kiso, Novel and efficient synthesis of difficult sequence-containing peptides through O–N intramolecular acyl migration reaction of O-acyl isopeptides. *Chem. Commun.* 124–125 (2004).
- 45) Youhei Sohma, Yoshio Hayashi, Tomoko Ito, Hikaru Matsumoto, Tooru Kimura, Yoshiaki Kiso, Development of water-soluble prodrugs of the HIV-1 protease inhibitor KNI-727: importance of the conversion time for higher gastrointestinal absorption of prodrugs based on spontaneous chemical cleavage. *J. Med. Chem.* 46, 4124–4135 (2003).
- 46) Mariusz Skwarczynski, Youhei Sohma, Maiko Kimura, Yoshio Hayashi, Tooru Kimura, Yoshiaki Kiso, O–N Intramolecular acyl migration strategy in water-soluble prodrugs of taxoids. *Bioorg. Med. Chem. Lett.* 13, 4441–4444 (2003).
- 47) Yoshio Hayashi, Mariusz Skwarczynski, Yoshio Hamada, Youhei Sohma, Tooru Kimura, Yoshiaki Kiso, A novel approach of water-soluble paclitaxel prodrug with no auxiliary and no byproduct: design and synthesis of isotaxel. *J. Med. Chem.* 46, 3782–3784 (2003).
- 48) Yoshio Hamada, Jun Ohtake, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, New water-soluble prodrugs of HIV protease inhibitors based on O–N intramolecular acyl migration. *Bioorg. Med. Chem.* 10, 4155–4167 (2002).
- 49) Hikaru Matsumoto, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, Controlled drug release: new water-soluble prodrugs of an HIV protease inhibitor. *Bioorg. Med. Chem. Lett.* 11, 605–609 (2001).

Review paper

- 1) Youhei Sohma,* Medicinal Chemistry Focusing on Aggregation of Amyloid- β . *Chem. Pharm. Bull.* 64, 1–7 (2016).

- 2) Youhei Sohma,* Yoshiaki Kiso,* Synthesis of O-acyl isopeptides. **Chem. Rec.** 13, 218–223 (2013).
- 3) Stephen Kent, Youhei Sohma, Suhuai Liu, Duhee Bang, Brad Pentelute and Kalyaneswar Mandal, Through the looking glass – a new world of proteins enabled by chemical synthesis. **J. Peptide Sci.** 18, 428–436 (2012).
- 4) Youhei Sohma, Taku Yoshiya, Atsuhiko Taniguchi, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, Development of O-acyl isopeptide method. **Biopolymers** 88, 253–262 (2007).
- 5) Youhei Sohma, Yoshiaki Kiso, “Click peptide”: chemical biology-oriented synthesis of Alzheimer’s disease-related amyloid β peptide (A β) analogues based on the “O-acyl isopeptide method”. **ChemBioChem** 7, 1549–1557 (2006).
- 6) Youhei Sohma, Atsuhiko Taniguchi, Taku Yoshiya, Yousuke Chiyomori, Fukue Fukao, Setsuko Nakamura, Mariusz Skwarczynski, Takuma Okada, Keisuke Ikeda, Yoshio Hayashi, Tooru Kimura, Shun Hirota, Katsumi Matsuzaki, Yoshiaki Kiso, “Click peptide”: a novel “O-acyl isopeptide method” for peptide synthesis and chemical biology-oriented synthesis of amyloid β peptide analogues. **J. Peptide Sci.** 12, 823–828 (2006).
- 7) Mariusz Skwarczynski, Mayo Noguchi, Youhei Sohma, Tooru Kimura, Yoshio Hayashi, Yoshiaki Kiso, Application of intramolecular carbonate-carbamate migration. **Chemistry Today**, 24, 30–32 (2006).
- 8) Youhei Sohma, Yoshio Hayashi, Mariusz Skwarczynski, Yoshio Hamada, Masato Sasaki, Tooru Kimura, Yoshiaki Kiso, O–N Intramolecular acyl migration reaction in the development of prodrugs and the synthesis of difficult sequence-containing bioactive peptides. **Biopolymers (Peptide Science)**, 76, 344–356 (2004).

Book

- 1) Youhei Sohma, Stephen B.H. Kent: Medicinal chemistry applied to the protein molecule - total protein synthesis by native chemical ligation of synthetic peptides. **Idenshiigaku MOOK21**, 83–87 (2012).
- 2) Yoshiaki Kiso, Atsuhiko Taniguchi, Youhei Sohma: Click Peptides: Design and applications. **Wiley Encyclopedia of Chemical Biology** (ed. Tadhg P. Begley) Vol. 1, 379–383 (2009).

Patent

- 1) Motomu Kanai, Youhei Sohma, Atsuhiko Taniguchi, Yusuke Shimizu, Preparation of 2-(1,2,3,4-tetrahydroquinolin-6-yl)benzothiazole compound, and medicine containing the same. WO/2016/010092
- 2) Motomu Kanai, Youhei Sohma, Kana Tanabe, System for sequential controlled release of functional molecule. WO/2015/137064
- 3) Motomu Kanai, Youhei Sohma, Tadamasa Arai, Takushi Araya, Preparation of amide compounds and their salts, β -amyloid aggregation inhibitors, and preventive and therapeutic method of Alzheimer disease. WO/2015/087865
- 4) Motomu Kanai, Youhei Sohma, Atsuhiko Taniguchi, Daisuke Sasaki, A β peptide oxidant, and use thereof. WO/2014/200091
- 5) Motomu Kanai, Youhei Sohma, Tadamasa Arai, Daisuke Sasaki, Yuki Kobayashi, Cyclic peptide and pharmaceutical product containing same for inhibition of amyloid- β aggregation. WO/2014/103481
- 6) Youhei Sohma, Stephen B. H. Kent, Ester insulin. WO/2011/031662