

## *CURRICULUM VITAE*

### **Kenzo Yamatsugu**

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### **Education/Career**

<b>2005</b>	<b>B.Sc</b> in Department of Pharmaceutical Sciences, The University of Tokyo (Prof. Masakatsu Shibasaki)
<b>2007</b>	<b>M.Sc</b> in Graduate School of Pharmaceutical Sciences The University of Tokyo (Prof. Masakatsu Shibasaki)
<b>2010</b>	<b>Ph.D (Pharmaceutical Sciences)</b> in Graduate School of Pharmaceutical Sciences The University of Tokyo (Prof. Masakatsu Shibasaki)
<b>2010-2011</b>	<b>Postdoctoral Fellow</b> in Institute of Microbial Chemistry, Tokyo (Prof. Masakatsu Shibasaki)
<b>2011-2012</b>	<b>Postdoctoral Fellow</b> in Department of Chemistry University of Wisconsin-Madison (Prof. Laura Kiessling)
<b>2012-2018</b>	<b>ERATO Project Group Leader</b> in Graduate School of Pharmaceutical Sciences ERATO Kanai Life-Science Catalysis Project The University of Tokyo (Prof. Motomu Kanai)
<b>2015-Present</b>	<b>Assistant Professor</b> in Graduate School of Pharmaceutical Sciences The University of Tokyo (Prof. Motomu Kanai)

### **Fellowships & Grants**

2007-2010	Research Fellow of the Japan Society for the Promotion of Sciences (DC1)
2011-2012	JSPS Postdoctoral Fellowships for Research Abroad
2014-2016	Grant in-Aid for Scientific Research C from JSPS

2017-2018	Grant in-Aid for Young Scientists B from JSPS
2018-2019	Grant in-Aid for Scientific Research on Innovative Areas “Chemistry for Multimolecular Crowding Biosystems”
2019-2022	Grant in-Aid for Scientific Research B from JSPS
2019-2022	Fund for the Promotion of Joint International Research B from JSPS
2020-2022	SUNBOR GRANT
2021-2023	Grant in-Aid for Exploratory Research from JSPS

### **Awards**

Tetrahedron Letters Most Cited Paper 2006-2009 Award

Poster Award @ The 12th Annual Meeting of Japanese Society for Chemical Biology (2017)

2021 Chemical Society of Japan Lecture Award for Young Chemists

### **Publication List**

- 1) Fujiyoshi, K.; Kawashima, S. A.; Yamatsugu, K.\*; Kanai, M.\*  
 “A Single-Step Asymmetric Phosphodiester Synthesis from Alcohols with Phosphoenolpyruvate Phosphodiester”  
*Synlett* **2021**, 32, 1135-1140.
- 2) Takahashi, K.; Sugiyama, A.; Ohkubo, K.; Tatsumi, T.; Kodama, T.; Yamatsugu, K.\*; Kanai, M.\*  
 “Axially-substituted silicon phthalocyanine payloads for antibody-drug conjugates”  
*Synlett* **2021**, 32, 1098-1103.
- 3) Fujiwara, Y.; Yamanashi, Y.; Fujimura, A.; Sato, Y.; Kujirai, T.; Kurumizaka, H.; Kimura, H.; Yamatsugu, K.\*; Kawashima, S. A.\*; Kanai, M.\*  
 “Live-Cell Epigenome Manipulation by Synthetic Histone Acetylation Catalyst System”  
*Proc. Natl. Acad. Sci. USA* **2021**, 118, 4, e2019554118.
- 4) Fujiyoshi, K.; Yamatsugu, K.; Kanai, M.  
 “POSOP”  
*Encyclopedia of Reagents for Organic Synthesis* rn02368.
- 5) Kajino, H.; Nagatani, T.; Oi, M.; Kujirai, T.; Kurumizaka, H.; Nishiyama, A.; Nakanishi, M.; Yamatsugu, K.\*; Kawashima, S. A.\*; Kanai, M.\*  
 “Synthetic hyperacetylation of nucleosomal histones”

*RSC Chem. Biol.* **2020**, *1*, 2, 56-59.

- 6) Ohkawachi, K.; Kobayashi, D.; Morimoto, K.; Shigenaga, A.; Denda, M.; Yamatsugu, K.; Kanai, M.; Otaka, A.\*  
“Sulfanylmethyldimethylaminopyridine as a Useful Thiol Additive for Ligation Chemistry in Peptide/Protein Synthesis”  
*Org. Lett.* **2020**, *22*, 14, 5289-5293.
- 7) Mizumoto, S.; Xi, S.; Fujiwara, Y.; Kawashima, S. A.; Yamatsugu, K.\*; Kanai, M.\*  
“Hydroxamic Acid-Piperidine Conjugate is an Activated Catalyst for Lysine Acetylation under Physiological Conditions”  
*Chem. Asian J.* **2020**, *15*, 6, 833-839.
- 8) Domon, K.; Puripat, M.; Fujiyoshi, K.; Hatanaka, M.; Kawashima, S. A.; Yamatsugu, K.\*; Kanai, M.\*  
“Catalytic Chemoselective *O*-Phosphorylation of Alcohols”  
*ACS Cent. Sci.* **2020**, *6*, 2, 283-292.
- 9) Ito, K.; Tatsumi, T.; Takahashi, K.; Shimizu, Y.; Yamatsugu, K.; Kanai, M.\*  
“A Stable and Cleavable *O*-Linked Spacer for Drug Delivery Systems”  
*Chem. Pharm. Bull.* **2020**, *68*, 3, 212-215.
- 10) Sugiyama, A.; Kawamura, T.; Tanaka, T.; Doi, H.; Yamashita, T.; Shinoda, K.; Fujitani, H.; Yamatsugu, K.; Shimizu, Y.; Tatsumi, T.; Takahashi, K.; Kanai, M.; Mizohata, E.; Kawato, T.; Doi, T.; Inoue, T.; Kodama, T.\*  
“Cupid and Psyche system for the diagnosis and treatment of advanced cancer”  
*Proc. Jpn. Acad. Ser. B* **2019**, *95*, 10, 602-611.
- 11) Hamajima, W.; Fujimura, A.; Fujiwara, Y.; Yamatsugu, K.\*; Kawashima, S. A.\*; Kanai, M.\*  
“Site-selective synthetic acylation of a target protein in living cells promoted by a chemical catalyst/donor system”  
*ACS Chem. Biol.* **2019**, *14*, 6, 1102-1109.
- 12) Yamatsugu, K.\*  
“How My Experiences in Asymmetric Catalysis and Glycobiology Led to My Current Research in Synthetic Post-translational Modifications by Chemical Catalysts”

*Yakugaku Zasshi* **2019**, *139*, 2, 187-198.

- 13) Yamatsugu, K.\*; Furuta, M.; Xi, S.; Amamoto, Y.; Liu, J.; Kawashima, S. A.; Kanai, M.\*  
“Kinetic analyses and structure-activity relationship studies of synthetic lysine acetylation catalysts”  
*Bioorg. Med. Chem.* **2018**, *26*, 19, 5359-5367.
- 14) Yamatsugu, K.\*; Kawashima, S. A.\*; Kanai, M.\*  
“Leading approaches in synthetic epigenetics for novel therapeutic strategies”  
*Curr. Opin. Chem. Biol.* **2018**, *46*, 10-17.
- 15) Tanabe, K.; Liu, J.; Kato, D.; Kurumizaka, H.; Yamatsugu, K.; Kanai, M.\*; Kawashima, S. A.\*  
“LC-MS/MS-based quantitative study of the acyl group- and site-selectivity of human sirtuins to acylated nucleosomes”  
*Sci. Rep.* **2018**, *8*, 2656.
- 16) Ishiguro, T.; Amamoto, Y.; Tanabe, K.; Liu, J.; Kajino, H.; Fujimura, A.; Aoi, Y.; Osakabe, A.; Horikoshi, N.; Kurumizaka, H.; Yamatsugu, K.; Kawashima, S. A.\*; Kanai, M.\*  
“Synthetic Chromatin Acylation by an Artificial Catalyst System”  
*Chem* **2017**, *2*, 6, 840-859.
- 17) Amamoto, Y.; Aoi, Y.; Nagashima, N.; Suto, H.; Yoshidome, D.; Arimura, Y.; Osakabe, A.; Kato, D.; Kurumizaka, H.; Kawashima, S. A.; Yamatsugu, K.\*; Kanai, M.\*  
“Synthetic Posttranslational Modifications: Chemical Catalyst-Driven Regioselective Histone Acylation of Native Chromatin”  
*J. Am. Chem. Soc.* **2017**, *139*, 22, 7568-7576.
- 18) Yamatsugu, K.; Splain, R. A.; Kiessling, L. L.\*  
“Fidelity and Promiscuity of a Mycobacterial Glycosyltransferase”  
*J. Am. Chem. Soc.* **2016**, *138*, 29, 9205-9211.
- 19) Takemoto, A.\*; Kawashima, S. A.; Li, J.-J.; Jeffery, L.; Yamatsugu, K.; Elemento, O.; Nurse, P.  
“Nuclear envelope expansion is crucial for proper chromosomal segregation during a closed mitosis”  
*J. Cell Sci.* **2016**, *129*, 1250-1259.

- 20) Kimura, Y.; Saito, N.; Hanada, K.; Liu, J.; Okabe, T.; Kawashima, S. A.\*; Yamatsugu, K.\*; Kanai, M.\*  
“Supramolecular Ligands for Histone Tails by Employing a Multivalent Display of Trisulfonated Calix[4]arenes”  
*ChemBioChem* **2015**, *16*, 18, 2599-2604.
- 21) Alagiri, K.; Furutachi, M.; Yamatsugu, K.; Kumagai, N.; Watanabe, T.\*; Shibasaki, M.\*  
“Two Approaches toward the Formal Total Synthesis of Oseltamivir Phosphate (Tamiflu): Catalytic Enantioselective Three-Component Reaction Strategy and L-Glutamic Acid Strategy”  
*J. Org. Chem.* **2013**, *78*, 8, 4019-4026.
- 22) Komatsu, H.; Shindo, Y.; Kawashima, S. A.; Yamatsugu, K.; Oka K.; Kanai, M.\*  
“Intracellular activation of acetyl-CoA by an artificial reaction promoter and its fluorescent detection”  
*Chem. Commun.* **2013**, *49*, 28, 2876-2878.
- 23) Shibasaki, M.\*; Kanai, M.; Yamatsugu, K.  
“Recent Development in Synthetic Strategies for Oseltamivir Phosphate”  
*Israel J. Chem.* **2011**, *51*, 3-4, 316-328.
- 24) Kimura, Y.; Yamatsugu, K.; Kanai, M.\*; Echigo, N.; Kuzuhara, T.; Shibasaki, M.\*  
“Design and Synthesis of Resin-Conjugated Tamiflu Analogs for Affinity Chromatography”  
*Bull. Korean. Chem. Soc.* **2010**, *31*, 3, 588-594.
- 25) Yamatsugu, K.; Kanai, M.\*; Shibasaki, M.\*  
“An alternative synthesis of Tamiflu: a synthetic challenge and the identification of a ruthenium-catalyzed dihydroxylation route”  
*Tetrahedron* **2009**, *65*, 31, 6017-6024.
- 26) Tomita, D.; Yamatsugu, K.; Kanai, M.\*; Shibasaki, M.\*  
“Enantioselective synthesis of SM-130686 based on the development of asymmetric Cu(I)F catalysis to access 2-oxindoles containing a tetrasubstituted carbon”  
*J. Am. Chem. Soc.* **2009**, *131*, 20, 6946-6948.
- 27) Kimura, Y.; Yamatsugu, K.; Kanai, M.\*; Echigo, N.; Kuzuhara, T.; Shibasaki, M.\*  
“Design and synthesis of immobilized Tamiflu analog on resin for affinity chromatography”

*Tetrahedron Lett.* **2009**, *50*, 26, 3205-3208.

- 28) Yamatsugu, K.; Yin, L.; Kamijo, S.; Kimura, Y.; Kanai, M.\*; Shibasaki, M.\*  
“A synthesis of Tamiflu based on a barium-catalyzed Diels-Alder-type reaction”  
*Angew. Chem. Int. Ed.* **2009**, *48*, 6, 1070-1076.
- 29) Ose, A.; Ito, M.; Kusuhara, H.; Yamatsugu, K.; Kanai, M.; Shibasaki, M.; Hosokawa, M.; Schuetz, J. D.; Sugiyama, Y.\*  
“Limited brain distribution of Ro 64-0802, a pharmacologically active form of oseltamivir, by active efflux across the blood–brain barrier mediated by organic anion transporter 3 (Oat3/*Slc22a8*) and multidrug resistance-associated protein 4 (Mrp4/*Abcc4*)”  
*Drug. Metab. Dispos.* **2009**, *37*, 2, 315-321.
- 30) Usami, A.; Sasaki, T.; Satoh, N.; Akiba, T.; Yokosima, S.; Fukuyama, T.; Yamatsugu, K., Kanai, M.; Shibasaki, M.; Matsuki, N.; Ikegaya, Y.\*  
“Oseltamivir enhances hippocampal network synchronization”  
*J. Pharmacol. Sci.* **2008**, *106*, 4, 659-662.
- 31) Ose, A.; Kusuhara, H.; Yamatsugu, K.; Kanai, M.; Shibasaki, M.; Fujita, T.; Yamamoto, A.; Sugiyama, Y.\*  
“P-glycoprotein restricts the penetration of oseltamivir across the blood-brain barrier”  
*Drug. Metab. Dispos.* **2008**, *36*, 2, 427-434.
- 32) Ishii, K.; Hamamoto, H.; Sasaki, T.; Ikegaya, Y.; Yamatsugu, K.; Kanai, M.; Shibasaki, M.; Sekimizu, K.\*  
“Pharmacologic action of oseltamivir on the nervous system”  
*Drug Discoveries & Therapeutics* **2008**, *2*, 1, 24-34.
- 33) Morita, M.; Sone, T.; Yamatsugu, K.; Sohtome, Y.; Matsunaga, S.; Kanai, M.\*; Yasuyosi, W.; Shibasaki, M.\*  
“A method for the synthesis of an oseltamivir PET tracer”  
*Bioorg. Med. Chem. Lett.* **2008**, *18*, 2, 600-602.
- 34) Yamatsugu, K.; Kamijo, S.; Suto, Y.; Kanai, M.\*; Shibasaki, M.\*  
“A concise synthesis of Tamiflu: third generation route via the Diels-Alder reaction and the Curtius rearrangement”

*Tetrahedron Lett.* **2007**, *48*, 8, 1403-1406.

35) Yamatsugu, K.; Motoki, R.; Kanai, M.\*; Shibasaki, M.\*

“Identification of potent, selective protein kinase C inhibitors based on a phorbol skeleton”

*Chem. Asian. J.* **2006**, *1*, 3, 314-321.

36) Kuramochi, A.; Usuda, H.; Yamatsugu, K.; Kanai, M.\*; Shibasaki, M.\*

“Total synthesis of (+/-)-garsubellin A”

*J. Am. Chem. Soc.* **2005**, *127*, 41, 14200-14201.