

CURRICULUM VITAE

Kenzo Yamatsugu

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Education/Career

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

Fellowships & Grants

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| 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”
2019-2023	Grant in-Aid for Scientific Research B from JSPS

Awards

Tetrahedron Letters Most Cited Paper 2006-2009 Award

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

Publication List

- 1) 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. **2019**, in press.
- 2) 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*, in press.
- 3) 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*, 187.
- 4) 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*, 5359.
- 5) Yamatsugu, K.*; Kawashima, S. A.*; Kanai, M.*
 “Leading approaches in synthetic epigenetics for novel therapeutic strategies”
Curr. Opin. Chem. Biol. **2018**, *46*, 10.
- 6) 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.

- 7) 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*, 840.
- 8) 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*, 7568.
- 9) Yamatsugu, K.; Splain, R. A.; Kiessling, L. L.*
“Fidelity and Promiscuity of a Mycobacterial Glycosyltransferase”
J. Am. Chem. Soc. **2016**, *138*, 9205.
- 10) 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.
- 11) 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*, 2599.
- 12) 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*, 4019.
- 13) 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*, 2876.
- 14) Shibasaki, M.*; Kanai, M.; Yamatsugu, K.
“Recent Development in Synthetic Strategies for Oseltamivir Phosphate”
Israel J. Chem. **2011**, *51*, 316.
- 15) 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*, 588.
- 16) 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*, 6017.
- 17) 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*, 6946.
- 18) 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*, 3205.
- 19) 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*, 1070.
- 20) Ose, A.; Ito, M.; Kusuhaara, 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*, 315.
- 21) 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*, 659.
- 22) 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*, 427.
- 23) 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*, 24.
- 24) 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*, 600.
- 25) 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*, 1403.
- 26) 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*, 314.
- 27) Kuramochi, A.; Usuda, H.; Yamatsugu, K.; Kanai, M.*; Shibasaki, M.*
“Total synthesis of (+/-)-garsubellin A”
J. Am. Chem. Soc. **2005**, *127*, 14200.