CURRICULUM VITAE

Kenzo Yamatsugu

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Education/Career

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**
	in Graduate School of Pharmaceutical Sciences
	ERATO Kanai Life-Science Catalysis Project
	The University of Tokyo (Prof. Motomu Kanai)
2015-Present	**Assistant Professor**
	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	Gtant 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
2021-2023	Takeda Science Foundation

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) Adamson, C.; Kajino, H.; Kawashima, S. A.*; Yamatsugu, K.*; Kanai, M.*
"Live-Cell Protein Modification by Boronate-Assisted Hydroxamic Acid Catalysis"
J. Am. Chem. Soc. **2021**, DOI: 10.1021/jacs.1c07060.

2) 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.

3) 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.

4) 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.

5) Fujiyoshi, K.; Yamatsugu, K.; Kanai, M.
"POSOP"

Encyclopedia of Reagents for Organic Synthesis rn02368.

6) 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.

7) 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.

8) 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.

9) 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.

10) 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.

11) 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.

12) 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.

13) 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.

14) 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.

15) Yamatsugu, K.*; Kawashima, S. A.*; Kanai, M.*
"Leading approaches in synthetic epigenetics for novel therapeutic strategies"
Curr. Opin. Chem. Biol. **2018**, *46*, 10-17.

16) 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.

17) 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.

18) 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.

19) Yamatsugu, K.; Splain, R. A; Kiessling, L. L.*
"Fidelity and Promiscuity of a Mycobacterial Glycosyltransferase"
J. Am. Chem. Soc. **2016**, *138*, 29, 9205-9211.

20) 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.

21) 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.

22) 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.

23) 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.

24) Shibasaki, M.*; Kanai, M.; Yamatsugu, K.
"Recent Development in Synthetic Strategies for Oseltamivir Phosphate"
Israel J. Chem. **2011**, *51*, 3-4, 316-328.

25) 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.

26) 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.

27) 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.

28) 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.

29) 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.

30) 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.

31) 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.

32) 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.

33) 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.

34) 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.

35) 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.

36) 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.

37) Kuramochi, A.; Usuda, H.; Yamatsugu, K.; Kanai, M.*; Shibasaki, M.*
"Total synthesis of (+/−)-garsubellin A"
J. Am. Chem. Soc. **2005**, *127*, 41, 14200-14201.