CURRICULUM VITAE

Kenzo Yamatsugu

Assistant Professor

Graduate School of Pharmaceutical Sciences

The University of Tokyo

Tel: +81-03-5841-1807 (ext. 21807)

Fax: +81-03-5684-5206

Email: yamatsugu@mol.f.u-tokyo.ac.jp

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
2021-2022	Kowa Life Science Foundation
2022-2025	Grant in-Aid for Transformative Research Areas (B) https://neo-ptms.com/

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

2022 Pharmaceutical Society of Japan, Division of Organic Chemistry Prize

2022 Chemist Award BCA 2022

Publication List

1) Kaneko, Y.; Yamatsugu, K.; Yamashita, T.; Takahashi, K.; Tanaka, T.; Aki, S.; Tatsumi, T.; Kawamura, T.; Miura, M.; Ishii, M.; Ohkubo, K.; Osawa, T.; Kodama, T.; Ishikawa, S.; Tsukagoshi, M.; Chansler, M.; Sugiyama, A.*; Kanai, M.*; Katoh, H.*
"Pathological complete remission of relapsed tumor by photo-activating antibody–mimetic drug conjugate treatment"
Cancer Sci. **2022**, DOI: 10.1111/cas.15565

2) Habazaki, M.; Mizumoto, S.; Kajino, H.; Kujirai, T.; Kurumizaka, H.; Kawashima, S. A.*; Yamatsugu, K.*; Kanai, M.*
"A chemical catalyst enabling histone acylation with endogenous Acyl-CoA"
ChemRxiv DOI: 10.26434/chemrxiv-2022-zxn90

3) Fujimura, A.; Ishida, H.; Nozaki, T.; Azumaya, Y.; Ishiguro, T.; Kujirai, T.; Kurumizaka, H.; Kono, H.; Yamatsugu, K.*; Kawashima, S. A.*; Kanai, M.*
"Chemical catalyst/protein hybrid as artificial histone-modifying enzyme for epigenome manipulation"

ChemRxiv DOI: 10.26434/chemrxiv-2022-xt610

4) Yamatsugu, K.; Katoh, H.; Yamashita, T.; Takahashi, K.; Sho, A.; Tatsumi, T.; Kaneko, Y.; Kawamura, T.; Miura, M.; Ishii, M.; Ohkubo, K.; Osawa, T.; Kodama, T.; Ishikawa, S.; Kanai, M.; Sugiyama, A.*
"Antibody mimetic drug conjugate manufactured by high-yield Escherichia coli expression and non-covalent binding system"
Protein Expr. Purif. **2022**, *192*, 106043.

5) 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**, *143*, 14976-14980.

6) 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.

7) 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.

8) 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.

9) Fujiyoshi, K.; Yamatsugu, K.; Kanai, M.
"POSOP"
Encyclopedia of Reagents for Organic Synthesis rn02368.

10) 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.

11) 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.

12) 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.

13) 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.

14) 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.

15) 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.

16) 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.

17) 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.

18) 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.

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

20) 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.

21) 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.

22) 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.

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

24) 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.

25) 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.

26) 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.

27) 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.

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

29) 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.

30) 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.

31) 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.

32) 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.

33) 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.

34) 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.

35) 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.

36) 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.

37) 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.

38) 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.

39) 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.

40) 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.

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

Others in Japanese

1) 山次健三、川島茂裕、金井求
"化学触媒によるエピゲノム操作研究"
Chemical Biology, **2022**, *13*, 6-10.

2) 山次健三、金井求
"細胞内アセチル CoA を活性化して可視化する蛍光検出プローブ"
和光純薬時報, **2022**, *90*, 11-12.

3) 山次健三
"化学触媒による合成的エピゲノム操作研究–生細胞内ヒストンアシル化によるエピゲノムへの介入–"
化学と工業, **2021**, *74*, 948-949.

4) 山次健三、金井求
"化学触媒による合成的エピジェネティクスー生体内化学秩序への人為的で動的な介入"
化学, **2021**, *76*, 44-48.

5) 山次健三
"化学の力でタンパク質を自在に変換　ラジカル反応による翻訳後修飾導入タンパク質の調製"
化学, **2017**, *72*, 61-62.

6) 山次健三
"低原子価鉄触媒の合理的設計に向けた一歩"
ファルマシア, **2011**, *47*, 338-339.

7) 柴崎正勝、金井求、山次健三
“タミフル実用的合成への道–切れのある合成を目指して–”
現代化学, **2009**, 1 月号.