

Naohiko Yoshikai

Professor

Graduate School of Pharmaceutical Sciences, Tohoku University

6-3 Aoba, Aramaki, Aoba-ku, Sendai 980-8578, Japan

Phone/Fax: +81-22-795-6812/+81-22-795-6811

E-mail: naohiko.yoshikai.c5@tohoku.ac.jp

URL: <http://www.pharm.tohoku.ac.jp/~sekkei/>

Education

2005 Ph.D. (Chemistry)

Department of Chemistry, The University of Tokyo (Advisor: Prof. Eiichi Nakamura)

2002 M.Sc. (Chemistry)

Department of Chemistry, The University of Tokyo

2000 B.Sc. (Chemistry)

Department of Chemistry, The University of Tokyo

Professional Experience

2021.4–Present **Professor**

Graduate School of Pharmaceutical Sciences, Tohoku University

2016.9–2021.3 **Associate Professor (tenured)**

Division of Chemistry and Biological Chemistry, School of Physical and Mathematical Sciences, Nanyang Technological University

2009.7–2016.8 **Assistant Professor**

Division of Chemistry and Biological Chemistry, School of Physical and Mathematical Sciences, Nanyang Technological University

2009.7–2014.6 **Research Fellow**

Singapore National Research Foundation (NRF)

2005.2–2009.6 **Assistant Professor**

Department of Chemistry, The University of Tokyo

2002.4–2005.2 **JSPS Young Research Fellow**

Research Interests

Novel organic reaction chemistry toward efficient synthesis and new chemical spaces

Awards

2022 Mukaiyama Award

2020 Tokyo Chemical Industry (TCI)–Singapore National Institute of Chemistry (SNIC) Industry Award in Synthetic Chemistry

2015 Asian Core Program Lectureship (from Thailand)

2014 Chemical Society of Japan Award for Young Chemists

2014 Asian Core Program Lectureship (from China and Korea)

2011 Asian Core Program Lectureship (from Japan)

2011 Thieme Chemistry Journal Award

2007 Inoue Research Award for Young Scientists

Publications

Journal Publications and Preprints

162. Iwata, M.; Takami, Y.; Asanuma, H.; Hosono, K.; Ohno, H.; Yoshikai, N.; Kanemoto, K. A versatile entry to unnatural, disulfide-linked amino acids and peptides through the disulfuration of azlactones. *Chem. Sci.* **2025**, 10.1039/D4SC07187E.
161. Tsukiji, K.; Matsumoto, A.; Kanemoto, K.; Yoshikai, N. Stereoselective Hydroxyallylation of Cyclopropenes with Cyclopropanols via NHC Catalysis of Transient Organozinc Species. *Angew. Chem. Int. Ed.* **2024**, 63, e202412456.
160. Kikuchi, J.; Nagata, T.; Ito, S.; Yoshikai, N. Three-component Friedel–Crafts-type difunctionalization of ynamides with (hetero)arenes and iodine(III) electrophile. *Org. Chem. Front.* **2024**, 11, 3072-3079.
159. Kanemoto, K.; Yoshimura, K.; Ono, K.; Ding, W.; Ito, S.; Yoshikai, N. Amino- and Alkoxybenziodoxoles: Facile Preparation and Use as Arynophiles. *Chem. Eur. J.* **2024**, 30, e202400894.
158. Kikuchi, J.; Nakajima, R.; Yoshikai, N. Three-component N-alkenylation of azoles with alkynes and iodine(III) electrophile: synthesis of multisubstituted N-vinylazoles. *Beilstein J. Org. Chem.* **2024**, 20, 891-897.
157. Han, H.; Goh, G. W. Z.; Li, Y.; Yoshikai, N.; Ito, S. 1,3-Dipolar Cycloaddition of Polycyclic Aromatic Azomethine Ylides and Alkynylbenziodoxoles for Synthesis of Functional Dibenzoullazines. *Chin. J. Chem.* **2024**, 42, 1079-1083.
156. Arakawa, C.; Kanemoto, K.; Nakai, K.; Wang, C.; Morohashi, S.; Kwon, E.; Ito, S.; Yoshikai, N. Carboiodination of Arynes: Organoiodine(III) Compounds as Nucleophilic Organometalloids. *J. Am. Chem. Soc.* **2024**, 146, 3910-3919.
155. Sasaki, S.; Kikuchi, J.; Ito, S.; Yoshikai, N. Stereoselective Approach to Multisubstituted Enolates from Unactivated Alkynes: Oxyalkylenation of Alkynyl Ketone Enolates with Aldehydes. *J. Org. Chem.* **2023**, 88, 14096-14104.
154. Tsukiji, K.; Hayakawa, T.; Kanemoto, K.; Yoshikai, N. Zinc-Mediated Diastereoselective Annulation of Cyclopropanols with Alkylidenemalononitriles via Enolized Homoenolate. *Asian J. Org. Chem.* **2023**, e202300114 [Prof. Keiji Maruoka's 70th birthday collection].
153. Saito, Y.; Kikuchi, J.; Wang, C.; Yoshikai, N. Site-Selective C–H Alkenylation of N-Heteroarenes by Ligand-Directed Co/Al and Co/Mg Cooperative Catalysis. *Angew. Chem. Int. Ed.* **2023**, 62, e202301006.
152. Yoshikai, N. Exploring New Reactions and Syntheses of Trivalent Iodine Compounds. *J. Synth. Org. Chem. Jpn.* **2022**, 80, 1011-1018.
151. Kikuchi, J.; Maesaki, K.; Sasaki, S.; Wang, W.; Ito, S.; Yoshikai, N. Stereoselective Synthesis of β -Alkoxy- β -amido Vinylbenziodoxoles via Iodo(III)etherification of Ynamides. *Org. Lett.* **2022**, 24, 6914-6918.
150. Tsukiji, K.; Sekiguchi, Y.; Kanemoto, K.; Yoshikai, N. Diastereoselective Conversion of Cyclopropanols to Cyclopentane-1,3-diols via Aldol Dimerization of Zinc Homoenolates. *Chem. Lett.* **2022**, 51, 1012-1014.
149. Kikuchi, J.; Yoshikai, N. Cobalt Selectively Annulates. *Nat. Synth.* **2022**, 1, 674-675 (News & Views).
148. Wang, C.-S.; Yu, Y.; Sunada, Y.; Wang, C.; Yoshikai, N. Cobalt-Catalyzed Carbo- and Hydrocyanation of Alkynes via C–CN Bond Activation. *ACS Catal.* **2022**, 12, 4054-4066.
147. Sekiguchi, Y.; Yoshikai, N. Zinc-Mediated Hydroxyallylation of Aldehydes with Cyclopropanols: Direct Access to *anti*-*sec*,*tert*-Diols via Enolized Homoenolate. *Org.*

- Lett.* **2022**, 24, 960-965 (Preprint: Sekiguchi, Y.; Yoshikai, N. *ChemRxiv* **2021**, DOI: DOI 10.26434/chemrxiv-2021-swc95).
146. Chai, J.; Ding, W.; Wang, C.; Ito, S.; Wu, J.; Yoshikai, N. Ritter-Type Iodo(III)amidation of Unactivated Alkynes for the Stereoselective Synthesis of Multisubstituted Enamides. *Chem. Sci.* **2021**, 12, 15128-15133 (Preprint: Chai, J.; Ding, W.; Ito, S.; Wu, J.; Yoshikai, N. *ChemRxiv* **2021**, DOI: 10.33774/chemrxiv-2021-c2ftp.).
145. Sekiguchi, Y.; Yoshikai, N. Zinc-Catalyzed β -Functionalization of Cyclopropanols via Enolized Homoenolate. *J. Am. Chem. Soc.* **2021**, 143, 18400-18405 (Preprint: Sekiguchi, Y.; Yoshikai, N. *ChemRxiv* **2021**, DOI: 10.33774/chemrxiv-2021-4jls).p
144. Sekiguchi, Y.; Lee, Y. Y.; Yoshikai, N. Nickel-Catalyzed Ring-Opening Allylation of Cyclopropanols via Homoenolate. *Org. Lett.* **2021**, 23, 5993-5997.
143. Sekiguchi, Y.; Yoshikai, N. Enantioselective Conjugate Addition of Catalytically Generated Zinc Homoenolate. *J. Am. Chem. Soc.* **2021**, 143, 4775-4781 (Preprint: Sekiguchi, Y.; Yoshikai, N. *ChemRxiv* **2021**, DOI: 10.26434/chemrxiv.13607438.v1).
142. Wang, C.-S.; Sun, Q.; Garcia, F.; Wang, C.; Yoshikai, N. Robust Cobalt Catalyst for Nitrile/Alkyne [2+2+2] Cycloaddition: Synthesis of Polyarylpyridines and Their Mechanochemical Cyclodehydrogenation to Nitrogen-Containing Polyaromatics. *Angew. Chem. Int. Ed.* **2021**, 60, 9627-9634 (Preprint: Wang, C.-S.; Sun, Q.; Garcia, F.; Wang, C.; Yoshikai, N. *ChemRxiv* **2021**, DOI: 10.26434/chemrxiv.13465697.v1)
141. Laskar, R. A.; Ding, W.; Yoshikai, N. Iodo(III)-Meyer-Schuster Rearrangement of Propargylic Alcohols Promoted by Benziodoxole Triflate. *Org. Lett.* **2021**, 23, 1113-1117.
140. Sekiguchi, Y.; Yoshikai, N. Metal-Catalyzed Transformations of Cyclopropanols via Homoenolates. *Bull. Chem. Soc. Jpn.* **2021**, 1, 265-280.
139. Xu, W.; Wu, M.; Yoshikai, N. Iron-Catalyzed Remote C-H Alkylation of 8-Amidoquinolines with Cycloalkanes. *Synthesis* **2020**, 53, 3144-3150.
138. Chai, J.; Ding, W.; Wu, J.; Yoshikai, N. Fluorobenziodoxole-BF₃ Reagent for Iodo(III)etherification of Alkynes in Ethereal Solvent. *Chem. Asian J.* **2020**, 15, 2166-2169.
137. Ding, W.; Wang, C.; Tan, J. R.; Ho, C. C.; León, F.; García, F.; Yoshikai, N. Site-selective aromatic C-H λ^3 -iodination with cyclic iodine(III) electrophile in solution and solid phases. *Chem. Sci.* **2020**, 11, 7356-7361.
136. Yang, J.; Mori, Y.; Yamanaka, M.; Yoshikai, N. Cobalt-Catalyzed Intramolecular Hydroacylation Involving Cyclopropane Cleavage. *Chem. Eur. J.* **2020**, 26, 8302-8307.
135. Ding, W.; Chai, J.; Wang, C.; Wu, J.; Yoshikai, N. Stereoselective Access to Highly Substituted Vinyl Ethers via *trans*-Difunctionalization of Alkynes with Alcohols and Iodine(III) Electrophile. *J. Am. Chem. Soc.* **2020**, 142, 8619-8624 (Preprint: Ding, W.; Chai, J.; Wu, J.; Yoshikai, N. *ChemRxiv* **2020**, DOI: 10.26434/chemrxiv.11770092.v1.)
134. Wang, C.-S.; Di Monaco, S.; Thai, A. N.; Rahman, M. S.; Pang, B. P.; Wang, C.; Yoshikai, N. Cobalt/Lewis Acid Catalysis for Hydrocarbofunctionalization of Alkynes via Cooperative C–H Activation. *J. Am. Chem. Soc.* **2020**, 142, 12878-12889 (Preprint: *ChemRxiv* **2020**, DOI: 10.26434/chemrxiv.11760519.v1.)
133. Rahman, M. S.; Yoshikai, N. Synthesis of Triphenylene-Fused Phosphole Oxides via C–H Functionalizations. *Beilstein J. Org. Chem.* **2020**, 16, 524-529.
132. Laskar, R. A.; Yoshikai, N. Cobalt-Catalyzed, N–H Imine-Directed Arene C–H Benzylation with Benzyl Phosphates. *J. Org. Chem.* **2019**, 84, 13172-13178.
131. Ding, W.; Ho, Y. K. T.; Okuda, Y.; Wijaya, C. K.; Tan, Z. H.; Yoshikai, N.

- Cobalt-Catalyzed Hydroacylative Dimerization of Allenes Leading to Skipped Dienes. *Org. Lett.* **2019**, 21, 6173-6178.
130. Sun, Q.; Yoshikai, N. Cobalt-Catalyzed Tandem Radical Cyclization/C–C Coupling Initiated by Directed C–H Activation. *Org. Lett.* **2019**, 21, 5238-5242.
129. Rahman, M. S.; Yoshikai, N. Synthesis and Properties of Phospha[5]helicenes Bearing Inner-Rim Phosphorus Center. *Org. Lett.* **2019**, 21, 5238-5242.
128. Yang, J.; Sekiguchi, Y.; Yoshikai, N. Cobalt-Catalyzed Enantioselective and Chemodivergent Addition of Cyclopropanols to Oxabicyclic Alkenes. *ACS Catal.* **2019**, 9, 5638-5644.
127. Wu, J.; Deng, X.; Yoshikai, N. Stereocontrolled Synthesis of Halovinylbenziodoxoles via Hydro- and Iodochlorination of Ethynylbenziodoxoles. *Chem. Eur. J.* **2019**, 25, 7839-7842.
126. Xu, W.; Yoshikai, N. Iron-Catalyzed *ortho* C–H Arylation and Methylation of Pivalophenone N–H Imines. *ChemSusChem* **2019**, 12, 3049-3053.
125. Yan, J.; Rahman, M. S.; Yoshikai, N. Pd-Catalyzed Annulation of 1-Halo-8-arylnaphthalenes and Alkynes Leading to Heptagon-Embedded Aromatic Systems, *Chem. Eur. J.* **2019**, 25, 9395-9399.
124. Yang, J.; Sun, Q.; Yoshikai, N. Cobalt-Catalyzed Regio- and Diastereoselective Formal [3+2] Cycloaddition between Cyclopropanols and Allenes. *ACS Catal.* **2019**, 9, 1973-1978.
123. Ding, W.; Yoshikai, N. Cobalt-Catalyzed Intermolecular [2+2] Cycloaddition between Alkynes and Allenes. *Angew. Chem. Int. Ed.* **2019**, 58, 2500-2504.
122. Ding, W.; Ho, C. C.; Yoshikai, N. Photosensitized, Energy-Transfer-Mediated Cyclization of 2-(1-Arylvinyl)benzaldehydes to Anthracen-9-(10*H*)-ones. *Org. Lett.* **2019**, 21, 1202-1206.
121. Yoshikai, N. Recent Advances in Enantioselective C–C Bond Formation via Organocobalt Species. *Synthesis* **2019**, 51, 135-145.
120. Yang, J.; Shen, Y.; Lim, Y. J.; Yoshikai, N. Divergent ring-opening coupling between cyclopropanols and alkynes under cobalt catalysis. *Chem. Sci.* **2018**, 9, 6928-6934.
119. Sun, Q.; Yoshikai, N. Cobalt-catalyzed directed *ortho*-methylation of arenes with methyl tosylate. *Org. Chem. Front.* **2018**, 7, 2214-2218.
118. Wu, C.; Yoshikai, N. Cobalt-Catalyzed Intramolecular Reactions between a Vinylcyclopropane and an Alkyne: Switchable [5+2] Cycloaddition and Homo-Ene Pathways. *Angew. Chem. Int. Ed.* **2018**, 57, 6558-6562.
117. Xu, W.; Pek, J. H.; Yoshikai, N. Iron-Catalyzed Directed C–H Silylation of Pivalophenone N–H Imines. *Asian J. Org. Chem.* **2018**, 14, 1351-1354.
116. Xu, W.; Yoshikai, N. Cobalt-Catalyzed Directed C–H Alkenylation of Pivalophenone N–H Imine with Alkenyl Phosphates. *Beilstein J. Org. Chem.* **2018**, 14, 709-715.
115. Sun, Q.; Yoshikai, N. Cobalt-Catalyzed C(sp²)–H/C(sp³)–H Coupling via Directed C–H Activation and 1,5-Hydrogen Atom Transfer. *Org. Chem. Front.* **2018**, 5, 582-585.
114. Tan, W. W.; Wu, B.; Wei, Y.; Yoshikai, N. Copper and Secondary Amine-Catalyzed Pyridine Synthesis from O-Acetyl Oximes and α,β-Unsaturated Aldehydes. *Org. Synth.* **2018**, 95, 1-14.
113. Xu, W.; Yoshikai, N. Cobalt-Catalyzed, N–H Imine-Directed Hydroarylation of Styrenes. *Org. Lett.* **2018**, 20, 1392-1395.
112. Lee, P.-S.; Xu, W.; Yoshikai, N. Directed C–H Alkenylation of Aryl Imines with Alkenyl Phosphates Promoted by a Cobalt–N-Heterocyclic Carbene Catalyst. *Adv. Synth. Catal.* **2017**, 359, 4340-4347 (Very Important Paper).

111. Yan, J.; Yoshikai, N. Phenanthrene Synthesis via Chromium-Catalyzed Annulation of 2-Biaryl Grignard Reagents and Alkynes. *Org. Lett.* **2017**, *19*, 6630-6633.
110. Wu, B.; Wu, J.; Yoshikai, N. Benziodoxole Triflate as a Versatile Reagent for Iodo(III)cyclization of Alkynes. *Chem. Asian J.* **2017**, *12*, 3123-3127.
109. Yoshikai, N. Iron-Catalyzed C–C Bond Formation via Chelation-Assisted C–H Activation. *Isr. J. Chem.* **2017**, *57*, 1117-1130.
108. Yan, J.; Yoshikai, N. Chromium-Catalyzed Migratory Arylmagnesiation of Unactivated Alkynes. *Org. Chem. Front.* **2017**, *4*, 1972-1975.
107. Xu, W.; Yoshikai, N. Pivalophenone Imine as a Benzonitrile Surrogate for Directed C–H Bond Functionalization. *Chem. Sci.* **2017**, *8*, 5299-5304.
106. Yoshikai, N.; Santra, M.; Wu, B. Synthesis of Donor-Acceptor-Type Benzo[*b*]phosphole and Naphtho[2,3-*b*]phosphole Oxides and Their Solvatochromic Properties. *Organometallics* **2017**, *20*, 1392-1395.
105. Tan, W. W.; Ong, Y. J.; Yoshikai, N. Synthesis of Highly Substituted Pyridines through Copper-Catalyzed Condensation of Oximes and α,β-Unsaturated Imines. *Angew. Chem. Int. Ed.* **2017**, *56*, 8240-8244.
104. Wu, B.; Melvina; Wu, X.; Yeow, E. K. L.; Yoshikai, N. Versatile Telluracyclic Synthesis via the Sequential Electrophilic Telluration of C(sp²)–Zn and C(sp²)–H Bonds. *Chem. Sci.* **2017**, *8*, 4527-4532.
103. Yang, J.; Rérat, A.; Lim, Y. J.; Gosmini, C.; Yoshikai, N. Cobalt-Catalyzed Enantio- and Diastereoselective Hydroacylation of Trisubstituted Alkenes. *Angew. Chem. Int. Ed.* **2017**, *56*, 2449-2453.
102. Wu, J.; Xu, K.; Hirao, H.; Yoshikai, N. Pd-Catalyzed, Ligand-Enabled Stereoselective 1,2-Iodine(III) Shift/1,1-Carboxyalkynylation of Alkynylbenziodoxoles. *Chem. Eur. J.* **2017**, *23*, 1521-1525.
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100. Xu, W.; Pek, J. H.; Yoshikai, N. Cobalt-Catalyzed, Imine-Directed Olefin Hydroarylation under Grignard-Free Conditions. *Adv. Synth. Catal.* **2016**, *358*, 2564-2568
99. Wu, J.; Deng, X.; Hirao, H.; Yoshikai, N. Pd-Catalyzed Conversion of Alkynyl-λ³-iodanes to Alkenyl-λ³-iodanes via Stereoselective 1,2-Iodine(III) Shift/1,1-Hydrocarboxylation. *J. Am. Chem. Soc.* **2016**, *138*, 9105-9108.
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93. Wu, B.; Chopra, R.; Yoshikai, N. One-Pot Benzo[*b*]phosphole Synthesis through Sequential Alkyne Arylmagnesiation, Electrophilic Trapping, and Intramolecular

- Phospha-Friedel-Crafts Cyclization. *Org. Lett.* **2015**, 17, 5666-5669.
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85. Lee, P.-S.; Yoshikai, N. Cobalt-Catalyzed Enantioselective Directed C–H Alkylation of Indoles with Styrenes. *Org. Lett.* **2015**, 17, 22-25.
84. Yamakawa, T.; Seto, Y. W.; Yoshikai, N. Cobalt-Catalyzed Directed Alkylation of Olefinic C–H Bond with Primary and Secondary Alkyl Chlorides. *Synlett* **2015**, 26, 340-344.
83. Xu, W.; Yoshikai, N. Highly Linear-Selective Cobalt-Catalyzed Addition of Aryl Imines to Styrenes: Reversing Intrinsic Regioselectivity by Ligand Elaboration. *Angew. Chem. Int. Ed.* **2014**, 53, 14166-14170.
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75. Wu, B.; Santra, M.; Yoshikai, N. A Highly Modular One-Pot Multicomponent Approach to Functionalized Benzo[*b*]phosphole Derivative, *Angew. Chem. Int. Ed.*

- 2014**, 53, 7543-7546. [Highlighted in *Synfacts* **2014**, 10, 928]
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