

List of Publications

October 7, 2021

Selected Original Papers

- 1) Construction of Tricyclic Nitrogen Heterocycles by Gold(I)-Catalyzed Cascade Cyclization of Allenynes and Its Application to Polycyclic π -Electron Systems, Komatsu, H.; Ikeuchi, T.; Tsuno, H.; Arichi, N.; Yasui, K.; Oishi, S.; Inuki, S.; Fukazawa, A.*; Ohno, H.* *Angew. Chem. Int. Ed.*, in press.
- 2) Access to Indole-Fused Benzannulated Medium-Sized Rings through Gold(I)-Catalyzed Cascade Cyclization of Azido-Alkynes, Greiner, L. C.; Inuki, S.; Arichi, N.; Oishi, S.; Suzuki, R.; Iwai, T.; Sawamura, M.; Hashmi, A. S. K.; Ohno, H.* *Chem. Eur. J.* **2021**, *27*, 12992–12997.
- 3) The Effects of 5-OP-RU Stereochemistry on Its Stability and MAIT-MR1 Axis, Matsuoka, T.; Motozono, C.; Hattori, A.; Kakeya, H.; Yamasaki, S.; Oishi, S.; Ohno H.*; Inuki, S.* *ChemBioChem* **2021**, *22*, 672–678.
- 4) Identification of a Novel Indoleamine 2,3-Dioxygenase Inhibitor Bearing an Eight-Membered Ring Fused Indole Scaffold and Its Structure Activity Relationship, Yamaguchi, A.; Inuki, S.*; Ohta, K.; Oishi, S.; Asai, A.; Ohno, H.* *Heterocycles* **2021**, *103*, 331–335 (Special issue).
- 5) Total Synthesis of Zephycarinatines via Photocatalytic Reductive Radical *ipso*-Cyclization, Takeuchi, H.; Inuki, S.*; Nakagawa, K.; Kawabe, T.; Ichimura, A.; Oishi, S.; Ohno, H.* *Angew. Chem.* **2020**, *132*, 21396–21401; *Angew. Chem., Int. Ed.* **2020**, *59*, 21210–21215.
- 6) Total Synthesis of (+)-Polyoxamic Acid via Visible-Light-Mediated Photocatalytic β -Scission and 1,5-Hydrogen Atom Transfer of Glucose Derivative, Matsuoka, T.; Inuki, S.*; Miyagawa, T.; Oishi, S.; Ohno, H.* *J. Org. Chem.* **2020**, *85*, 8271–8278.
- 7) Total Synthesis of Dictyodendrins A–F by the Gold-Catalyzed Cascade Cyclization of Conjugated Diyne with Pyrrole, Matsuoka, J.; Inuki, S.; Matsuda, Y.; Miyamoto, Y.; Otani, M.; Oka, M.; Oishi, S.; Ohno, H.* *Chem. Eur. J.* **2020**, *26*, 11150–11157.
- 8) Gold(I)-Catalyzed Cascade Cyclization of Anilines with Diynes: Controllable Formation of Eight-Membered Ring-Fused Indoles and Propellane-Type Indolines, Yamaguchi, A.; Inuki, S.*; Tokimizu, Y.; Oishi, S.; Ohno, H.* *J. Org. Chem.* **2020**, *85*, 2543–2559.
- 9) Construction of the Pyrrolo[2,3-*d*]carbazole Core of Spiroindoline Alkaloids by Gold-Catalyzed Cascade Cyclization of Ynamide, Matsuoka, J.; Kumagai, H.; Inuki, S.; Oishi, S.; Ohno, H.* *J. Org. Chem.* **2019**, *84*, 9358–9363.
- 10) Construction of Quaternary Carbon Stereocenter of α -Tertiary Amine through Remote C-H Functionalization of Tris Derivatives: Enantioselective Total Synthesis of Myriocin, Miyagawa, T.; Inuki, S.*; Oishi, S.; Ohno, H.* *Org. Lett.* **2019**, *21*, 5485–5490.
- 11) Gold(I)-Catalyzed Cascade Cyclization Reactions of Allenynes for the Synthesis of Fused Cyclopropanes and Acenaphthenes. Ikeuchi, T.; Inuki, S.; Oishi, S.; Ohno, H.* *Angew. Chem.* **2019**, *131*, 7874–7878; *Angew. Chem., Int. Ed.* **2019**, *58*, 7792–7796.
- 12) Direct Synthesis of Aryl-Annulated [*c*]Carbazoles by Gold(I)-Catalysed Cascade Reaction of Azide-Diynes and Arenes. Kawada, Y.; Ohmura, S.; Kobayashi, M.; Nojo, W.; Kondo, M.; Matsuda, Y.; Matsuoka, J.; Inuki, S.; Oishi, S.; Wang, C.; Saito, T.; Uchiyama, M.*; Suzuki, T.*; Ohno, H.* *Chem. Sci.* **2018**, *9*, 8416–8425.
- 13) Gold(I)-Catalyzed Oxidative Cascade Cyclization of 1,4-Diyn-3-ones for the Construction of Tropone-Fused Furan Scaffolds. Hamada, N.; Yamaguchi, A.; Inuki, S.; Oishi, S.; Ohno, H.* *Org. Lett.* **2018**, *20*, 4401–4405.

- 14) Introduction of a Polar Functional Group to the Lipid Tail of 4-*epi*-Jaspine B Affects Sphingosine Kinase Isoform Selectivity. Inuki, S.*; Miyagawa, T.; Oishi, S.; Ohno, H.* *Chem. Pharm. Bull.* **2018**, *66*, 866–872.
- 15) Synthesis of Jaspine B Regioisomers through Palladium-Catalyzed Stereoselective Tetrahydrofuran Formation: Insight into the Ligand Recognition of Sphingosine Kinases. Miyagawa, T.; Inuki, S.; Honda, M.; Nakamura, S.; Nakanishi, I.; Fujii, N.; Oishi, S.; Ohno, H.* *Tetrahedron* **2018**, *74*, 1802–1809.
- 16) Gold-Catalyzed Cascade Reaction of Skipped Diynes for the Construction of a Cyclohepta[*b*]pyrrole Scaffold, Hamada, N.; Yoshida, Y.; Oishi, S.; Ohno, H.* *Org. Lett.* **2017**, *19*, 3875–3878.
- 17) Total Synthesis of Dictyodendrins by the Gold-Catalyzed Cascade Cyclization of Conjugated Diynes with Pyrroles. Matsuoka, J.; Matsuda, Y.; Kawada, Y.; Oishi, S.; Ohno, H.* *Angew. Chem.* **2017**, *129*, 7552–7556; *Angew. Chem., Int. Ed.* **2017**, *56*, 7444–7448.
- 18) Identification of Selective Inhibitors of Sphingosine Kinases 1 and 2 through a Structure–Activity Relationship Study of 4-*epi*-Jaspine B. Ohno, H.*; Honda, M.; Hamada, N.; Miyagaki, J.; Iwata, A.; Otsuki, K.; Maruyama, T.; Nakamura, S.; Nakanishi, I.; Inuki, S.; Fujii, N.; Oishi, S. *Bioorg. Med. Chem.* **2017**, *25*, 3046–3052.
- 19) Total Synthesis of (+)-Conolidine by the Gold(I)-Catalyzed Cascade Cyclization of a Conjugated Enyne. Naoe, S.; Yoshida, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2016**, *81*, 5690–5698.
- 20) Novel 3,4,7-Substituted Benzofuran Derivatives Having Binding Affinity to κ-Opioid Receptor. Nishiyama, D.; Sakai, Y.; Sekiguchi, H.; Chiba, H.; Misu, R.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Pharm. Bull.* **2016**, *64*, 996–1003.
- 21) Formal Total Synthesis of (\pm)-Strictamine Based on a Gold-Catalyzed Cyclization. Nishiyama, D.; Ohara, A.; Chiba, H.; Kumagai, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2016**, *18*, 1670–1673.
- 22) Structure–Activity Relationship Study of 4-(Thiazol-5-yl)benzoic Acid Derivatives as Potent Protein Kinase CK2 Inhibitors. Ohno, H.*; Minamiguchi, D.; Nakamura, S.; Shu, K.; Okazaki, S.; Honda, M.; Misu, R.; Moriwaki, H.; Nakanishi, S.; Oishi, S.; Kinoshita, T.; Nakanishi, I.; Fujii, N.* *Bioorg. Med. Chem.* **2016**, *24*, 1136–1141.
- 23) Synthesis of Fused Carbazoles by Gold-Catalyzed Tricyclization of Conjugated Diynes via Rearrangement of an *N*-Propargyl Group. Taguchi, M.; Tokimizu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2015**, *17*, 6250–6253.
- 24) Convenient Synthesis of Spiroindole Derivatives via Palladium-Catalyzed Cyclization of Propargyl Chlorides. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. *Tetrahedron* **2015**, *71*, 6580–6585.
- 25) Gold-Catalyzed Cascade Cyclization of 2-Alkynyl-*N*-Propargylanilines via the Rearrangement of a Propargyl Group. Tokimizu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Angew. Chem.* **2015**, *127*, 7973–7977; *Angew. Chem., Int. Ed.* **2015**, *54*, 7862–7866.
- 26) Direct Construction of Fused Indoles by Gold-Catalyzed Cascade Cyclization of Conjugated Diynes. Naoe, S.; Saito, T.; Uchiyama, M.*; Oishi, S.; Fujii, N.; Ohno, H.* *Org. Lett.* **2015**, *17*, 1774–1777.
- 27) Dual Gold Catalysis: A Novel Synthesis of Bicyclic and Tricyclic Pyrroles from *N*-Propargyl Ynamides. Tokimizu, Y.; Wieteck, M.; Rudolph, M.; Oishi, S.; Fujii, N.; Hashmi, A. S. K.*; Ohno, H.* *Org. Lett.* **2015**, *17*, 604–607.

- 28) Formal [4 + 2] Reaction between 1,3-Diynes and Pyrroles: Gold(I)-Catalyzed Indole Synthesis via Double Hydroarylation. Matsuda, Y.; Naoe, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Eur. J.* **2015**, *21*, 1463–1467.
- 29) Dual Gold Catalysis: Synthesis of Polycyclic Compounds via C-H Insertion of Gold Vinylidenes. Wieteck, M.; Tokimizu, Y.; Rudolph, M.; Rominger, F.; Ohno, H.*; Fujii, N.*; Hashmi, A. S. K.* *Chem. Eur. J.* **2014**, *20*, 16331–16336.
- 30) Gold-Catalyzed Cascade Cyclization of (Azido)ynamides: an Efficient Strategy for the Construction of Indoloquinolines. Tokimizu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2014**, *16*, 3138–3141.
- 31) Wurster's Blue-type Cation Radicals Framed in a 5,10-Dihydrobenzo[*a*]indolo[2,3-*c*]carbazole (BIC) Skeleton: Dual Electrochromism with Drastic Changes in UV-Vis-NIR and Fluorescence. Suzuki, T.*; Sakano, Y.; Tokimizu, Y.; Miura, Y.; Katoono, R.; Fujiwara, K.; Yoshioka, N.; Fujii, N.; Ohno, H.* *Chem. Asian J.* **2014**, *9*, 1841–1846.
- 32) Synthesis of Fused Tetracyclic Spiroindoles via Palladium-Catalysed Cascade Cyclisation. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Commun.* **2014**, *50*, 298–300.
- 33) 5,10-Dihydrobenzo[*a*]indolo[2,3-*c*]carbazole: A Highly Fluorescent Disk-shaped Electron Donor Exhibiting Dual UV-vis-NIR and Fluorescence Spectral Changes upon Electrolysis. Suzuki, T.*; Tokimizu, Y.; Sakano, Y.; Katoono, R.; Fujiwara, K.; Naoe, S.; Fujii, N.; Ohno, H.* *Chem. Lett.* **2013**, *42*, 1001–1003.
- 34) Palladium-Catalyzed Medium-Ring Formation for Construction of the Core Structure of *Laurencia* Oxacycles: Synthetic Study of Laurendecumallene B. Yoshimitsu, Y.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2013**, *15*, 3046–3049.
- 35) Synthesis of Pachastrissamine (Jaspine B) and Its Derivatives by the Late-Stage Introduction of the C-2 Alkyl Side-Chain Using Cross Metathesis. Yoshimitsu, Y.; Miyagaki, J.; Oishi, S.; Fujii, N.*; Ohno, H.* *Tetrahedron* **2013**, *69*, 4221–4220.
- 36) Convergent Synthesis of (–)-Quinocarcin Based on the Combination of Sonogashira Coupling and Gold(I)-Catalyzed 6-*endo*-dig Hydroamination, Chiba, H.; Sakai, Y.; Ohara, A.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Eur. J.* **2013**, *19*, 8875–8883.
- 37) Diversity-Oriented Synthesis of Pyrazolo[4,3-*b*]indoles by Gold-Catalysed Three-Component Annulation: Application to the Development of a New Class of CK2 Inhibitors. Hou, Z.; Oishi, S.; Suzuki, Y.; Kure, T.; Nakanishi, I.; Hirasawa, A.; Tsujimoto, G.; Ohno, H.*; Fujii, N.* *Org. Biomol. Chem.* **2013**, *11*, 3288–3296.
- 38) Lewis-Acid-Mediated Ring-Exchange Reaction of Dihydrobenzofurans and Its Application to the Formal Total Synthesis of (–)-Quinocarcinamide, Chiba, H.; Sakai, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Tetrahedron Lett.* **2012**, *53*, 6273–6276.
- 39) Total Synthesis of (–)-Quinocarcin via Au(I)-Catalyzed Regioselective Hydroamination, Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *Angew. Chem.* **2012**, *124*, 9303–9306; *Angew. Chem., Int. Ed.* **2012**, *51*, 9169–9172 (**Hot Paper**).
- 40) Gold(I)-Catalyzed Regioselective Inter/Intramolecular Addition Cascade of Di- and Triynes for Direct Construction of Substituted Naphthalenes. Naoe, S.; Suzuki, Y.; Hirano, K.; Inaba, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2012**, *77*, 4907–4916 (**Featured Article**).
- 41) Double C-H Functionalization in Sequential Order: Direct Synthesis of Polycyclic Compounds by a Palladium-Catalyzed C-H Alkenylation–Arylation Cascade. Ohno, H.*; Iuchi, M.; Kojima, N.; Yoshimitsu, T.; Fujii, N.; Tanaka, T.* *Chem. Eur. J.* **2012**, *18*, 5352–5360.

- 42) Efficient Synthesis of Aminomethylated Azaindoles and Corresponding Pyrrole-Fused Derivatives by Copper-Catalyzed Domino Multicomponent Coupling and Cyclization. Hou, Z.; Suzuki, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Tetrahedron* **2012**, *68*, 1695–1703.
- 43) Gold-Catalyzed Three-Component Annulation: Efficient Synthesis of Highly Functionalized Dihydropyrazoles from Alkynes, Hydrazines, and Aldehydes or Ketones. Suzuki, Y.; Naoe, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2012**, *14*, 326–329.
- 44) Gold(I)-Catalyzed Polycyclizations of Poly(enyne)anilines Based on Hydroamination and Consecutive Hydroarylation Cascade. Hirano, K.; Inaba, Y.; Takasu, K.; Oishi, S.; Takemoto, Y.; Fujii, N.; Ohno, H.* *J. Org. Chem.* **2011**, *76*, 9068–9080.
- 45) Palladium-Catalyzed Construction of Polycyclic Heterocycles by an Alkyne Insertion and Direct Arylation Cascade. Ohno, H.*; Yamamoto, M.; Iuchi, M.; Fujii, N.; Tanaka, T.* *Synthesis* **2011**, 2567–2578 (**Invited for Special Topic Issue**).
- 46) Formal Total Synthesis of (+)-Lysergic Acid via Zinc(II)-Mediated Regioselective Ring-Opening Reduction of 2-Alkynyl-3-indolyloxirane. Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2011**, *76*, 5506–5512.
- 47) Direct Synthesis of Highly Fused Perimidines by Copper(I)-Catalyzed Hydroamination of 2-Ethynylbenzaldehydes. Tokimizu, Y.; Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *Tetrahedron* **2011**, *67*, 5168–5175.
- 48) Enantioselective Total Synthesis of (+)-Lysergic Acid, (+)-Lysergol, and (+)-Isolysergol by Palladium-Catalyzed Domino Cyclization of Allenes Bearing Amino and Bromoindolyl Groups. Inuki, S.; Iwata, A.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2011**, *76*, 2072–2084.
- 49) Direct Synthesis of Fused-Indoles by Gold-Catalyzed Cascade Cyclization of Diynes. Hirano, K.; Inaba, Y.; Takahashi, N.; Shimano, M.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2011**, *76*, 1212–1227 (**Featured Article**).
- 50) Direct Synthesis of Quinazolines through Copper-Catalyzed Reaction of Aniline-Derived Benzamidines. Ohta, Y.; Tokimizu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2010**, *12*, 3963–3965.
- 51) Stereoselective Divergent Synthesis of Four Diastereomers of Pachastrissamine (Jaspine B). Yoshimitsu, Y.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2010**, *75*, 3843–3846.
- 52) Ring-Construction/Stereoselective Functionalization Cascade: Total Synthesis of Pachastrissamine (Jaspine B) through Palladium-Catalyzed Bis-cyclization of Propargyl Chlorides and Carbonates. Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2010**, *75*, 3831–3842.
- 53) Construction of Linked Nitrogen Heterocycles by Palladium(0)-Catalyzed Intramolecular Domino Cyclization of 2-Alkynylaziridines Bearing a 2-Aminoethyl Group via Ring Expansion with Isocyanate. Okano, A.; Oishi, S.; Tanaka, T.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2010**, *75*, 3396–3400.
- 54) Synthesis of Fused and Linked Bicyclic Nitrogen Heterocycles by Palladium-Catalyzed Domino Cyclization of Propargyl Bromides. Okano, A.; Tsukamoto, K.; Kosaka, S.; Maeda, H.; Oishi, S.; Tanaka, T.*; Fujii, N.*; Ohno, H.* *Chem. Eur. J.* **2010**, *16*, 8410–8418 (**Very Important Paper**).
- 55) Gold-Catalyzed Intramolecular Alkyne Cycloisomerization Cascade: Direct Synthesis of Aryl-Annulated[*a*]carbazoles from Aniline-Substituted Diethynylarennes. Hirano, K.; Inaba, Y.; Watanabe, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *Adv. Synth. Catal.* **2010**, *352*, 368–372.

- 56) Efficient Synthesis of Pyrimido[1,2-*c*][1,3]benzothiazin-6-imines and Related Tricyclic Heterocycles by S_NAr-Type C-S, C-N, or C-O Bond Formation with Heterocumulenes. Mizuhara, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2010**, *75*, 265–268.
- 57) Ring-Construction/Stereoselective Functionalization Cascade: Total Synthesis of Pachastrissamine (Jaspine B) through Palladium-Catalyzed Bis-cyclization of Bromoallenes. Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2009**, *11*, 4478–4481.
- 58) Construction of Nitrogen Heterocycles Bearing an Aminomethyl Group by Copper-Catalyzed Domino Three-Component Coupling–Cyclization. Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2009**, *74*, 7052–7058.
- 59) Rapid Access to 3-(Aminomethyl)isoquinoline-Fused Polycyclic Compounds by Copper-Catalyzed Four-Component Coupling, Cascade Cyclization and Oxidation. Ohta, Y.; Kubota, Y.; Watabe, T.; Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2009**, *74*, 6299–6302.
- 60) Palladium-Catalyzed Direct Synthesis of Carbazoles via One-Pot *N*-Arylation and Oxidative Biaryl Coupling: Synthesis and Mechanistic Study. Watanabe, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2009**, *74*, 4720–4726.
- 61) Efficient Synthesis of Aminomethylated Pyrroloindoles and Dipyrrrolopyridines via Controlled Copper-Catalyzed Domino Multi-Component Coupling and Bis-cyclization. Suzuki, Y.; Ohta, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *J. Org. Chem.* **2009**, *74*, 4246–4251.
- 62) Cu(II)-Mediated Oxidative Intermolecular *ortho* C-H Functionalisation Using Tetrahydropyrimidine as the Directing Group. Mizuhara, T.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Commun.* **2009**, 3413–3415.
- 63) Facile Synthesis of 1,2,3,4-Tetrahydro-β-carbolines by One-Pot Domino Three-Component Indole Formation and Nucleophilic Cyclization. Ohta, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2009**, *11*, 1979–1982.
- 64) Total Synthesis of (\pm)-Lysergic Acid, Lysergol, and Isolysergol by Palladium-Catalyzed Domino Cyclization of Amino Allenes Bearing a Bromoindolyl Group. Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2008**, *10*, 5239–5242.
- 65) Concise Synthesis of Indole-Fused 1,4-Diazepines through Copper(I)-Catalyzed Domino Three-Component Coupling–Cyclization–*N*-Arylation under Microwave Irradiation. Ohta, Y.; Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2008**, *10*, 3535–3538.
- 66) Palladium-Catalysed Biscyclisation of Allenic Bromoalkenes through Zipper-Mode Cascade. Okano, A.; Mizutani, T.; Oishi, S.; Tanaka, T.; Ohno, H.*; Fujii, N.* *Chem. Commun.* **2008**, 3534–3536.
- 67) Palladium-Catalyzed sp³ C–H Activation of Simple Alkyl Groups: Direct Preparation of Indoline Derivatives from *N*-Alkyl-2-bromoanilines. Watanabe, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2008**, *10*, 1759–1762.
- 68) Structure-Activity Relationship of Pyrazine-Based CK2 Inhibitors: Synthesis and Evaluation of 2,6-Disubstituted Pyrazines and 4,6-Disubstituted Pyrimidines. Suzuki, Y.; Cluzeau, J.; Hara, T.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.; Ohno, H.*; Fujii, N.* *Arch. Pharm.* **2008**, *341*, 554–561.
- 69) Direct Construction of Bicyclic Heterocycles by Palladium-Catalyzed Tandem Cyclization of Propargyl Bromides. Ohno, H.*; Okano, A.; Kosaka, S.; Tsukamoto, K.; Ohata, M.; Ishihara, K.; Maeda, H.; Tanaka, T.; Fujii, N.* *Org. Lett.* **2008**, *10*, 1171–1174.

- 70) Facile Synthesis of 3-(Aminomethyl)isoquinoline by Copper-Catalysed Domino Four-Component Coupling and Cyclisation. Ohta, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Commun.* **2008**, 835–837.
- 71) Gold-Catalyzed Hydroarylation of Allenes: A Highly Regioselective Carbon–Carbon Bond Formation Producing Six-Membered Rings. Watanabe, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2007**, 9, 4821–4824.
- 72) Zipper-Mode Double C–H Activation: Palladium-Catalyzed Direct Construction of Highly-Fused Heterocyclic Systems. Ohno, H.*; Iuchi, M.; Fujii, N.; Tanaka, T.* *Org. Lett.* **2007**, 9, 4813–4815.
- 73) One-Pot Synthesis of Carbazoles by Palladium-Catalyzed *N*-Arylation and Oxidative Coupling. Watanabe, T.; Ueda, S.; Inuki, S.; Oishi, S.; Fujii, N.*; Ohno, H.* *Chem. Commun.* **2007**, 4516–4518.
- 74) Heck-Type Cyclization of Oxime Ethers: Stereoselective Carbon–Carbon Bond Formation with Aryl Halides to Produce Heterocyclic Oximes. Ohno, H.*; Aso, A.; Kadoh, Y.; Fujii, N.; Tanaka, T.* *Angew. Chem., Int. Ed.* **2007**, 46, 6325–6328; *Angew. Chem.* **2007**, 119, 6441–6444.
- 75) A Highly Regio- and Stereoselective Formation of Bicyclo[4.2.0]oct-5-ene Derivatives through Thermal Intramolecular [2 + 2] Cycloaddition of Allenes. Ohno, H.*; Mizutani, T.; Kadoh, Y.; Aso, A.; Miyamura, K.; Fujii, N.; Tanaka, T.* *J. Org. Chem.* **2007**, 72, 4378–4389.
- 76) Direct Synthesis of 2-(Aminomethyl)indoles through Copper(I)-Catalyzed Domino Three-Component Coupling and Cyclization Reactions. Ohno, H.*; Ohta, Y.; Oishi, S.; Fujii, N.* *Angew. Chem., Int. Ed.* **2007**, 46, 2295–2298; *Angew. Chem.* **2007**, 119, 2345–2348.
- 77) Bromoallenes as Allyl Dication Equivalents in the Presence or Absence of Palladium(0): Direct Construction of Bicyclic Sulfamides Containing Five- to Eight-Membered Ring by Tandem Cyclization of Bromoallenes. Hamaguchi, H.; Kosaka, S.; Ohno, H.*; Fujii, N.; Tanaka, T.* *Chem. Eur. J.* **2007**, 13, 1692–1708.
- 78) Potassium Carbonate-Promoted Stereospecific 5-*Endo-Trig* Cyclization of Unactivated Allenes in the Absence of Any Transition Metals. Ohno, H.*; Kadoh, Y.; Fujii, N.; Tanaka, T.* *Org. Lett.* **2006**, 8, 947–950.
- 79) Thermal Intramolecular [2 + 2] Cycloaddition of Allenenes and Allenynes: Diastereoselective Access to Bicyclic Nitrogen Heterocycles. Ohno, H.*; Mizutani, T.; Kadoh, Y.; Miyamura, K.; Tanaka, T.* *Angew. Chem., Int. Ed.* **2005**, 44, 5113–5115; *Angew. Chem.* **2005**, 117, 5243–5245.
- 80) Palladium-Catalyzed Tandem Cyclization of Bromoenynes through Aromatic C–H Bond Functionalization. Ohno, H.*; Yamamoto, M.; Iuchi, M.; Tanaka, T.* *Angew. Chem., Int. Ed.* **2005**, 44, 5103–5106; *Angew. Chem.* **2005**, 117, 5233–5236.
- 81) Palladium(0)-Catalyzed Tandem Cyclization of Allenenes: Direct Construction of Tricyclic Heterocycles through Aromatic C–H Activation. Ohno, H.*; Miyamura, K.; Mizutani, T.; Kadoh, Y.; Takeoka, Y.; Hamaguchi, H.; Tanaka, T.* *Chem. Eur. J.* **2005**, 11, 3728–3741.
- 82) Bromoallenes as Allyl Dication Equivalents in the Absence of Palladium(0): Synthesis of Bicyclic Sulfamides by Tandem Cyclization of Bromoallenes. Hamaguchi, H.; Kosaka, S.; Ohno, H.*; Tanaka, T.* *Angew. Chem., Int. Ed.* **2005**, 44, 1513–1517; *Angew. Chem.* **2005**, 117, 1537–1541.
- 83) The First Samarium(II)-Mediated Aryl Radical Cyclisation onto an Aromatic Ring. Ohno, H.; Iwasaki, H.; Eguchi, T.; Tanaka, T.* *Chem. Commun.* **2004**, 2228–2229.

- 84) Palladium(0)-Catalyzed Synthesis of Medium-Sized Heterocycles by Using Bromoallenes as an Allyl Dication Equivalent. Ohno, H.*; Hamaguchi, H.; Ohata, M.; Kosaka, S.; Tanaka, T.* *J. Am. Chem. Soc.* **2004**, *126*, 8744–8754.
- 85) Palladium(0)-Catalyzed Stereoselective Cyclization of Allenenes: Divergent Synthesis of Pyrrolidines and 3-Azabicyclo[3.1.0]hexanes from Single Allenenes. Ohno, H.*; Takeoka, Y.; Kadoh, Y.; Miyamura, K.; Tanaka, T.* *J. Org. Chem.* **2004**, *69*, 4541–4544.
- 86) Stereodivergent Synthesis of Chiral 2-Alkenylaziridines: Palladium(0)-Catalyzed 2,3-*cis*-Selective Aziridination and Base-Mediated 2,3-*trans*-Selective Aziridination. Ohno, H.; Takemoto, Y.; Fujii, N.; Tanaka, T.*; Ibuka, T. *Chem. Pharm. Bull.* **2004**, *52*, 111–119.
- 87) Asymmetric Construction of Quaternary Carbon Centers by Allyltitanium-Mediated Stereospecific Ring-Opening Reaction of 2,3-Epoxy Alcohols. Ohno, H.; Hiramatsu, K.; Tanaka, T.* *Tetrahedron Lett.* **2004**, *45*, 75–78.
- 88) Novel Synthesis of 3-Azabicyclo[3.1.0]hexanes by Unusual Palladium(0)-Catalyzed Cyclopropanation of Allenenes. Ohno, H.*; Takeoka, Y.; Miyamura, K.; Kadoh, Y.; Tanaka, T.* *Org. Lett.* **2003**, *5*, 4763–4766.
- 89) Novel Synthesis of Azocene, Azepine, Oxocene, and Oxepine Derivatives by Palladium-Catalyzed Medium-Ring Fomation from Bromoallenes. Ohno, H.; Hamaguchi, H.; Ohata, M.; Kosaka, S.; Tanaka, T.* *Heterocycles* **2003**, *61*, 65–68.
- 90) Samarium(II)-Promoted Radical Spirocyclization onto an Aromatic Ring. Ohno, H.; Okumura, M.; Maeda, S.; Iwasaki, H.; Wakayama, R.; Tanaka, T.* *J. Org. Chem.* **2003**, *68*, 7722–7732.
- 91) Radical Cyclization by Ipso Substitution of the Methoxy Group: Considerable Effect of HMPA on Samarium-Mediated Cyclization. Ohno, H.; Wakayama, R.; Maeda, S.; Iwasaki, H.; Okumura, M.; Iwata, C.; Mikamiyama, H.; Tanaka, T.* *J. Org. Chem.* **2003**, *68*, 5909–5919.
- 92) Palladium(0)-Catalyzed Tandem Cyclization of Allenenes. Ohno, H.; Miyamura, K.; Takeoka, Y.; Tanaka, T.* *Angew. Chem., Int. Ed.* **2003**, *42*, 2647–2650; *Angew. Chem.* **2003**, *115*, 2751–2754.
- 93) Bromoallenes as Synthetic Equivalents of Allyl Dications: Synthesis of Medium-Sized Nitrogen Heterocycles through Cyclization of Bromoallenes in the Presence of a Palladium(0) Catalyst and an Alcohol. Ohno, H.; Hamaguchi, H.; Ohata, M.; Tanaka, T.* *Angew. Chem., Int. Ed.* **2003**, *42*, 1749–1753; *Angew. Chem.* **2003**, *115*, 1791–1795 (**Hot Paper**).
- 94) A Highly *cis*-Selective Synthesis of 2-Ethynylaziridines by Intramolecular Amination of Chiral Bromoallenes: Improvement of Stereoselectivity Based on the Computational Investigation. Ohno, H.; Ando, K.*; Hamaguchi, H.; Takeoka, Y.; Tanaka, T.* *J. Am. Chem. Soc.* **2002**, *124*, 15255–15266.
- 95) Synthesis of Allenes from Allylic Alcohol Derivatives Bearing a Bromine Atom Using a Palladium(0)/Diethylzinc System. Ohno, H.; Miyamura, K.; Tanaka, T.*; Oishi, S.; Toda, A.; Takemoto, Y.; Fujii, N.; Ibuka, T. *J. Org. Chem.* **2002**, *67*, 1359–1367.
- 96) The First Samarium(II)-Mediated Stereoselective Spirocyclization onto an Aromatic Ring. Ohno, H.; Maeda, S.; Okumura, M.; Wakayama, R.; Tanaka, T.* *Chem. Commun.* **2002**, 316–317.
- 97) Stereoselective Synthesis of 2-Alkenylaziridines and 2-Alkenylazetidines by Palladium-Catalyzed Intramolecular Amination of α - and β -Amino Allenes. Ohno, H.; Anzai, M.; Toda, A.; Ohishi, S.; Fujii, N.; Tanaka, T.*; Takemoto, Y.; Ibuka, T. *J. Org. Chem.* **2001**, *66*, 4904–4914.

- 98) Stereoselective Synthesis of Chiral 2,3-*cis*-2-Ethynylaziridines by Base-Mediated Intramolecular Amination of Bromoallenes. Ohno, H.; Hamaguchi, H.; Tanaka, T.* *Org. Lett.* **2001**, *3*, 2269–2271.
- 99) 2-Ethynylaziridines as Chiral Carbon Nucleophiles: Stereoselective Synthesis of 1,3-Amino Alcohols with Three Stereocenters via Allenylindium Reagents Bearing a Protected Amino Group. Ohno, H.; Hamaguchi, H.; Tanaka, T.* *J. Org. Chem.* **2001**, *66*, 1867–1875.
- 100) Umpolung of Chiral 2-Ethynylaziridines: Indium(I)-Mediated Stereoselective Synthesis of Nonracemic 1,3-Amino Alcohols Bearing Three Chiral Centers, Catalyzed by Palladium(0). Ohno, H.; Hamaguchi, H.; Tanaka, T.* *Org. Lett.* **2000**, *2*, 2161–2163.
- 101) Novel Synthesis of Chiral Terminal Allenes via Palladium(0)-Catalyzed Reduction of Mesylates of 2-Bromoalk-2-en-1-ols Bearing a Protected Amino Group, Using Diethylzinc. Ohno, H.; Toda, A.; Oishi, S.; Tanaka, T.*; Takemoto, Y.; Fujii, N.; Ibuka, T. *Tetrahedron Lett.* **2000**, *41*, 5131–5134.
- 102) Stereoselective Synthesis of Chiral Amino Allenes by Organocopper-Mediated *anti* S_N2'-Substitution Reaction of Chiral Ethynylaziridines. Ohno, H.*; Toda, A.; Fujii, N.; Takemoto, Y.; Tanaka, T.; Ibuka, T. *Tetrahedron* **2000**, *56*, 2811–2820.
- 103) Convenient Syntheses of Chiral 3-Substituted 2-Ethynylaziridines. Ohno, H.; Toda, A.; Takemoto, Y.; Fujii, N.; Ibuka, T.* *J. Chem. Soc., Perkin Trans. I* **1999**, 2949–2962.
- 104) Palladium-Catalyzed Regio- and Stereoselective Synthesis of *N*-Protected 2,4-Dialkylated Azacyclobutanes from Amino Allenes. Anzai, M.; Toda, A.; Ohno, H.; Takemoto, Y.; Fujii, N.; Ibuka, T.* *Tetrahedron Lett.* **1999**, *40*, 7393–7397.
- 105) Selective Synthesis of *cis*-2-Vinyl-3-alkylaziridines and 3-Pyrrolines from Common Intermediates (Z)-4-*N*-Arylsulfonylaminoalk-2-en-1-ols. Ishii, K.; Ohno, H.; Takemoto, Y.; Osawa, E.; Yamaoka, Y.; Fujii, N.; Ibuka, T.* *J. Chem. Soc., Perkin Trans. I* **1999**, 2155–2163.
- 106) First Palladium-Catalyzed Aziridination Reaction of Amino Allenes. Ohno, H.; Toda, A.; Miwa, Y.; Taga, T.; Osawa, E.; Yamaoka, Y.; Fujii, N.; Ibuka, T.* *J. Org. Chem.* **1999**, *64*, 2992–2993.
- 107) Selective Synthesis of Nonracemic 3-Pyrrolines and 2,3-*cis*-2-Vinylaziridines from (Z)-Amino Allylic Alcohols: A New Synthetic Route to Chiral 3,4-Dehydropoline. Ishii, K.; Ohno, H.; Takemoto, Y.; Ibuka, T.* *Synlett* **1999**, 228–230.
- 108) Sterically Congested Chiral Activated Aziridines: Synthesis of Both 2,3-*Cis*- and 2,3-*Trans*-2-Alkenyl-3-alkylaziridines from Common Intermediates. Ohno, H.; Toda, A.; Fujii, N.; Miwa, Y.; Taga, T.; Yamaoka, Y.; Osawa, E.; Ibuka, T.* *Tetrahedron Lett.* **1999**, *40*, 1331–1334.
- 109) Synthesis of Chiral Amino Allenes *via* an Organocyanocuprate-Mediated Ring-Opening Reaction of Enantiopure Ethynylaziridines. Ohno, H.; Toda, A.; Miwa, Y.; Taga, T.; Fujii, N.; Ibuka, T.* *Tetrahedron Lett.* **1999**, *40*, 349–352.
- 110) A Convenient Synthesis of Activated Enantiomerically Pure 2-Ethynylaziridines. Ohno, H.; Toda, A.; Fujii, N.; Ibuka, T.* *Tetrahedron: Asymmetry* **1998**, *9*, 3929–3933.
- 111) A 2,3-*cis*-Selective Synthesis of Aziridines Bearing a Vinyl Group from Allyl Methyl Carbonates and Allyl Mesylates. Ohno, H.; Ishii, K.; Honda, A.; Tamamura, H.; Fujii, N.; Takemoto, Y.; Ibuka, T.* *J. Chem. Soc., Perkin Trans. I* **1998**, 3703–3716.
- 112) Rhodium(I)- or Iridium(I)-Mediated Equilibrated Reactions of Activated 2,3-*cis*- and *trans*-3-Alkyl-2-vinylaziridines. Honda, A.; Ohno, H.; Mimura, N.; Ibuka, T.* *Synlett* **1998**, 969–970.

- 113) Palladium-Catalyzed Reductive Ring Opening with Formic Acid of Aziridines Bearing an α,β -Unsaturated Ester Group. Ohno, H.; Mimura, N.; Otaka, A.; Tamamura, H.; Fujii, N.; Ibuka, T.*; Shimizu, I.; Satake, A.; Yamamoto, Y. *Tetrahedron* **1997**, *53*, 12933–12946.
- 114) Palladium(0)-Catalyzed Isomerization Reactions of Aziridines Bearing an α,β -Unsaturated Ester Group: A Thermodynamic Preference for Chiral Alkyl (*2E*)-4,5-*cis*-4,5-Epimino-*N*-(alkyl or arylsulfonyl) 2-Enoates over the Other Three Stereoisomers. Ibuka, T.*; Mimura, N.; Ohno, H.; Nakai, K.; Akaji, M.; Habashita, H.; Tamamura, H.; Miwa, Y.; Taga, T.; Fujii, N.; Yamamoto, Y. *J. Org. Chem.* **1997**, *62*, 2982–2991.

Other Original Papers

- 115) Maternal Gut Microbiota in Pregnancy Influences Offspring Metabolic Phenotype in Mice, Kimura, I.*; Miyamoto, J.; Ohue-Kitano, R.; Watanabe, K.; Yamada, T.; Onuki, M.; Aoki, R.; Isobe, Y.; Kashihara, D.; Inoue, D.; Inaba, A.; Takamura, Y.; Taira, S.; Kumaki, S.; Watanabe, M.; Ito, M.; Nakagawa, F.; Irie, J.; Kakuta, H.; Shinohara, M.; Iwatsuki, K.; Tsujimoto, G.; Ohno, H.; Arita, M.; Itoh, H.; Hase, K. *Science* **2020**, *367*, eaaw8429.
- 116) Novel anti-Flavivirus Drugs Targeting the Nucleolar Distribution of Core Protein, Tokunaga, M.; Miyamoto, Y.; Suzuki, T.; Otani, M.; Inuki, S.; Esaki, T.; Nagao, C.; Mizuguchi, K.; Ohno, H.; Yoneda, Y.; Okamoto, T.*; Oka, M.*; Matsuura, Y. *Virology* **541**, 41–51.
- 117) Scaffold Hopping of Fused Piperidine-Type NK3 Receptor Antagonists to Reduce Environmental Impact, Yamamoto, K.; Inuki, S.; Ohno, H.; Oishi, S.* *Bioorg. Med. Chem.* **2019**, *27*, 2019–2026.
- 118) Development of Mirror-Image Screening Systems for XIAP BIR3 Domain Inhibitors, Shu, K.; Iwamoto, N.; Honda, K.; Kondoh, Y.; Hirano, H.; Osada, H.; Ohno, H.; Fujii, N.; Oishi, S.* *Bioconjug. Chem.* **2019**, *30*, 1395–1404.
- 119) Synthesis of Triazolo- and Oxadiazolopiperazines by Gold(I)-Catalyzed Domino Cyclization: Application to the Design of a Mitogen Activated Protein (MAP) Kinase Inhibitor, Yamamoto, K.; Yoshikawa, Y.; Ohue, M.; Inuki, S.; Ohno, H.; Oishi, S.* *Org. Lett.* **2019**, *21*, 373–377.
- 120) Effect of Cationic Lipid in Cationic Liposomes on siRNA Delivery into the Lung by Intravenous Injection of Cationic Lipoplex, Hattori, Y.*; Nakamura, M.; Takeuchi, N.; Tamaki, K.; Shimizu, S.; Yoshiike, Y.; Taguchi, M.; Ohno, H.; Ozaki, K.; Onishi, H. *J. Drug Target.* **2019**, *27*, 217–227.
- 121) Effect of Cationic Lipid Type in Cationic Liposomes for siRNA Delivery into the Liver by Sequential Injection of Chondroitin Sulfate and Cationic Lipoplex, Hattori, Y.*; Takeuchi, N.; Nakamura, M.; Yoshiike, Y.; Taguchi, M.; Ohno, H.; Ozaki, K.; Onishi, H. *J. Drug Deliv. Sci. Tec.* **2018**, *48*, 235–344.
- 122) SAR Study on Odoamide: Insights into the Bioactivities of Aurilide-Family Hybrid Peptide-Polyketides, Kaneda, M.; Kawaguchi, S.; Fujii, N.; Ohno, H.; Oishi, S.* *ACS Med. Chem. Lett.* **2018**, *9*, 365–369.
- 123) Head-to-Tail Macrocyclization of Cysteine-Free Peptides Using an *o*-Aminoanilide Linker, Ohara, T.; Kaneda, M.; Saito, T.; Fujii, N.; Ohno, H. Oishi, S.* *Bioorg. Med. Chem. Lett.* **2018**, *28*, 1283–1286.
- 124) Structure-Activity Relationship Study of Cyclic Pentapeptide Ligands for Atypical Chemokine Receptor 3 (ACKR3), Sekiguchi, H.; Kuroyanagi, T.; Rhainds, D.; Kobayashi, K.; Kobayashi, Y.; Ohno, H.; Heveker, N.; Akaji, K.; Fujii, N.*; Oishi, S.* *J. Med. Chem.* **2018**, *61*, 3745–3751.
- 125) Use of a Compact Tripodaltris(bipyridine) Ligand to Stabilize a Single Metal-Centered Chirality: Stereoselective Coordination of Iron(II) and Ruthenium(II) on a Semi-Rigid Hexapeptide Macrocycle, Kobayashi, Y.; Hoshino, M.; Kameda, T.; Kobayashi, K.; Akaji, K.; Inuki, S.; Ohno, H.; Oishi, S.* *Inorg. Chem.* **2018**, *57*, 5475–5485.
- 126) Total Synthesis and Stereochemical Revision of Stereocalpin A: Mirror-Image Approach for Facile Stereochemical Assignments of Peptide-Polypeptide Macrocycle, Kaneda, M.; Inuki, S.; Ohno, H.; Oishi, S.* *J. Org. Chem.* **2018**, *83*, 3047–3060.

- 127) Total Synthesis and Stereochemical Revision of Stereocalpin A: Mirror-image Approach for Facile Stereochemical Assignments of Peptide-Polypeptide Macrocycle, Kaneda, M.; Inuki, S.; Ohno, H.; Oishi, S.* *J. Org. Chem.* **2018**, *83*, 3047–3060.
- 128) Fe(II)-Complexation of Tripodalhexapeptide Ligands with Three Bidentate Triazolylpyridines: Induction of Metal-Centred Chirality by Peptide Macrocyclization, Kobayashi, Y.; Kameda, T.; Hoshino, M.; Fujii, N.; Ohno, H.; Oishi, S.* *Dalton Trans.* **2017**, *46*, 13673–13676.
- 129) Synthesis of the Src SH2 Domain and Its Application in Bioassays for Mirror-Image Screening, Shu, K.; Noguchi, T.; Honda, K.; Kondoh, Y.; Osada, H.; Ohno, H.; Fujii, N.; Oishi, S.* *RSC Adv.* **2017**, *7*, 38725–38732.
- 130) Evaluation of Small Interfering RNA Delivery into Cells by Reverse Transfection in Suspension with Cationic Liposome, Y. Hattori,* Y. Yoshiike, M. Honda, H. Ohno, H. Onishi, *Pharmacol. Pharm.* **2017**, *8*, 129–139.
- 131) Investigation of the Inhibitory Mechanism of Apomorphine against MDM2–p53 Interaction, Ishiba, H.; Noguchi, T.; Shu, K.; Ohno, H.; Honda, K.; Kondoh, Y.; Osada, H.; Fujii, N.; Oishi, S. *Bioorg. Med. Chem.* **2017**, *27*, 2571–2574.
- 132) Synthesis of Grb2 SH2 Domain Proteins for Mirror-Image Screening Systems, Noguchi, T.; Ishiba, H.; Honda, K.; Kondoh, Y.; Osada, H.; Ohno, H.; Fujii, N.; Oishi, S. *Bioconjug. Chem.* **2017**, *28*, 609–619.
- 133) Small Interfering RNA Delivery into the Liver by Cationic Cholesterol Derivative-Based Liposomes, Y. Hattori*, Y. Machida, M. Honda, H. Ohno, N. Fujii, H. Onishi, *J. Liposome Res.*, **2016**, Jul 21, 1–10, *Epub ahead of print*.
- 134) Total Synthesis of Odoamide, a Novel Cyclic Depsipeptide from an Okinawan Marine Cyanobacterium, Kaneda, M.; Sueyoshi, K.; Teruya, T.; Ohno, H.; Fujii, N.; Oishi, S.* *Org. Biomol. Chem.* **2016**, *14*, 9093–9104 (2016).
- 135) Development of Novel NK3 Receptor Antagonists with Reduced Environmental Impact. Yamamoto, K.; Okazaki, S.; Ohno, H.; Matsuda, F.; Ohkura, S.; Maeda, K.; Fujii, N.; Oishi, S.* *Bioorg. Med. Chem.* **2016**, *24*, 3494–3500.
- 136) Screening of a Virtual Mirror-Image Library of Natural Products, Noguchi, T.; Oishi, S.*; Honda, K.; Kondoh, Y.; Saito, T.; Ohno, H.; Osada, H.; Fujii, N.* *Chem. Commun.*, **2016**, *52*, 7653–7656.
- 137) Redox-Induced Conformational Changes in 1,3-Propylene- and *m*-Xylylenebis[5-(10-butyl-5,10-dihydrobenzo[*a*]indolo[2,3-*c*]carbazole]]: Twin-BIC Donors That Form Sandwich-Like Dimeric Cations Exhibiting NIR Absorption, Suzuki, T.*; Nojo, W.; Sakano, Y.; Katoono, R.; Ishigaki, Y.; Ohno, H.; Fujiwara, K. *Chem. Lett.* **2016**, *45*, 720–722.
- 138) Gold-Catalyzed Three-Component Spirocyclization: a One-Pot Approach to Functionalized Pyrazolidines, Wagner, B.; Hiller, W.; Ohno, H.; Krause, N.* *Org. Biomol. Chem.* **2016**, *14*, 1579–1583.
- 139) Development of Novel CXC Chemokine Receptor 7 (CXCR7) Ligands: Selectivity Switch from CXCR4 Antagonists with a Cyclic Pentapeptide Scaffold, Oishi, S.*; Kuroyanagi, T.; Kubo, T.; Montpas, N.; Yoshikawa, Y.; Misu, R.; Kobayashi, Y.; Ohno, H.; Heveker, N.; Furuya, T.; Fujii, N.* *J. Med. Chem.* **2015**, *58*, 5218–5225.
- 140) The Effects of a Selective CK2 Inhibitor on anti-Glomerular Basement Membrane Glomerulonephritis in Rats, Shi, J.; Liu, N.; Xiao, Y.; Takei, Y.; Yasue, M.; Suzuki, Y.; Hou, Z.; Ohno, H.; Tsujimoto, G.; Hirasawa, A.* *Biol. Pharm. Bull.* **2015**, *38*, 1345–1351.

- 141) Investigations of Possible Prodrug Structures for 2-(2-Mercaptophenyl)tetrahydropyrimidines: Reductive Conversion from anti-HIV Agents with Pyrimidobenzothiazine and Isothiazolopyrimidine Scaffolds, Okazaki, S.; Oishi, S.*; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Matsuoka, M.; Fujii, N.* *Org. Biomol. Chem.* **2015**, *13*, 4706–4713.
- 142) Identification of anti-HIV Agents with a Novel Benzo[4,5]isothiazolo[2,3-*a*]pyrimidine Scaffold, Okazaki, S.; Mizuhara, T.; Shimura, K.; Murayama, H.; Ohno, H.; Oishi, S.*; Matsuoka, M.; Fujii, N.* *Bioorg. Med. Chem.* **2015**, *23*, 1447–1452.
- 143) Synthesis and Biological Evaluation of the [D-MeAla¹¹]-Epimer of Coibamide, Nabika, A. R.; Suyama, T. L.; Hau, A. M.; Misu, R.; Ohno, H.; Ishmael, J. E.; McPhail, K. L.; Oishi, S.*; Fujii, N.* *Bioorg. Med. Chem. Lett.* **2015**, *25*, 302–306.
- 144) siRNA Delivery into Tumor Cells by Cationic Cholesterol Derivative-Based Nanoparticles and Liposomes. Hattori, Y.*; Hara, E.; Shingu, Y.; Minamiguchi, D.; Nakamura, A.; Arai, S.; Ohno, H.; Kawano, K.; Fujii, N.; Yonemochi, E. *Biol. Pharm. Bull.* **2015**, *38*, 30–38.
- 145) Structure-Activity Relationship Study on Senktide for Development of Novel Potent Neurokinin-3 Receptor Selective Agonists, R. Misu, K. Yamamoto, A. Yamada, T. Noguchi, H. Ohno, T. Yamamura, H. Okamura, F. Matsuda, S. Ohkura, S. Oishi,* N. Fujii,* *Med. Chem. Commun.* **2015**, *6*, 467–476.
- 146) Development of Novel Neurokinin 3 Receptor (NK3R) Selective Agonists with Resistance to Proteolytic Degradation. Misu, R.; Oishi, S.*; Yamada, A.; Yamamura, T.; Matsuda, F.; Yamamoto, K.; Noguchi, T.; Ohno, H.; Hirasawa, A.; Okamura, H.; Ohkura, S.; Fujii, N.* *J. Med. Chem.* **2014**, *57*, 8646–8651.
- 147) Synthesis of IB-01212 by Multiple N-Methylations of Peptide Bonds. Nabika, R.; Oishi, S.*; Misu, R.; Ohno, H.; Fujii, N.* *Bioorg. Med. Chem.* **2014**, *22*, 6156–6162.
- 148) Design and Synthesis of Fluorescent Probes for GPR54. Kaneda, M.; Misu, R.; Ohno, H.; Hirasawa, A.; Ieda, N.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.; Oishi, S.*; Fujii, N.* *Bioorg. Med. Chem.* **2014**, *22*, 3325–3330 (2014). DOI: 10.1016/j.bmc.2014.04.052
- 149) Optimization of Diaryl Amine Derivatives as Kinesin Spindle Protein Inhibitors. Takeuchi, T.; Oishi, S.*; Kaneda, M.; Misu, R.; Ohno, H.; Sawada, J.; Asai, A.; Nakamura, S.; Nakanishi, I.; Fujii, N.* *Bioorg. Med. Chem.* **2014**, *22*, 3171–3179.
- 150) Kinesin Spindle Protein Inhibitors with Diaryl Amine Scaffolds: Crystal Packing Analysis for Improved Aqueous Solubility, Takeuchi, T.; Oishi, S.*; Kaneda, M.; Ohno, H.; Nakamura, S.; Nakanishi, I.; Yamane, M.; Sawada, J.; Asai, A.; Fujii, N.* *ACS Med. Chem. Lett.* **2014**, *5*, 566–571.
- 151) The Role of Acetylides in Dual Gold Catalysis: A Mechanistic Investigation of the Selectivity Difference in the Naphthalene Synthesis from Diynes, Graf, K.; Hindenberg, P. D.; Tokimizu, Y.; Naoe, S.; Rudolph, M.; Rominger, F.; Ohno, H.; Hashmi, A. S. K.* *ChemCatChem* **2014**, *6*, 199–204.
- 152) Structure-Activity Relationship Study of Phenylpyrazole Derivatives as a Novel Class of anti-HIV Agents, Mizuhara, T.; Kato, T.; Hirai, A.; Kurihara, H.; Shimada, Y.; Taniguchi, M.; Maeta, H.; Togami, H.; Shimura, K.; Matsuoka, M.; Okazaki, S.; Takeuchi, T.; Ohno, H.; Oishi, S.; Fujii, N.* *Bioorg. Med. Chem. Lett.* **2013**, *23*, 4557–4561.
- 153) Affinity-Based Screening of MDM2/MDMX-p53 Interaction Inhibitors by Small-Molecule Microarray Technology: Identification of Novel Peptidomimetic Inhibitors. Noguchi, T.; Oishi, S.*; Kubo, T.; Kaneda, M.; Ohno, H.; Kondoh, Y.; Saito, T.; Osada, H.; Fujii, N.* *Bioorg. Med. Chem. Lett.* **2013**, *23*, 3802–3805.

- 154) Characterization of the Receptor Binding Residues of Kisspeptins by Positional Scanning Using Peptide Photoaffinity Probes. Misu, R.; Oishi, S.*; Setsuda, S.; Noguchi, T.; Ohno, H.; Evans, B.; Novenot, J.-M.; Peiper, S. C.; Fujii, N.* *Bioorg. Med. Chem. Lett.* **2013**, *23*, 2628–2631.
- 155) Structure-activity Relationship Study of Tachykinin Peptides for Development of Novel Neurokinin-3 Receptor Selective Agonists. Misu, R.; Noguchi, T.; Ohno, H.; Oishi, S.*; Fujii, N.* *Bioorg. Med. Chem.* **2013**, *21*, 2413–2417.
- 156) Design and Synthesis of Biotin- or Alkyne-Conjugated Photoaffinity Probes for Studying the Target Molecules of PD 404182. Mizuhara, T.; Oishi, S.*; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.* *Bioorg. Med. Chem.* **2013**, *21*, 2079–2087.
- 157) Enhanced Plasmid DNA Transfer into Tumor Cells by Nanoparticle Composed of Cholestryl Triamine and Diamine. Hattori, Y.*; Nakamura, T.; Ohno, H.; Fujii, N.; Maitani, Y. *Bio. Pharm. Bull.* **2013**, *36*, 856–860.
- 158) siRNA Delivery for Tumor by Lipid-Based Nanoparticles Composed of Hydroxyethylated Cholestryl Triamine. Hattori, Y.*; Nakamura, T.; Ohno, H.; Fujii, N.; Maitani, Y. *Int. J. Pharm.* **2013**, *443*, 221–229.
- 159) Structure-Activity Relationship Study of Pyrimido[1,2-*c*][1,3]benzothiazin-6-imine Derivatives for Potent anti-HIV Agents. Mizuhara, T.; Oishi, S.*; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.* *Bioorg. Med. Chem.* **2012**, *20*, 6434–6441.
- 160) Concise Synthesis and anti-HIV Activity of Pyrimido[1,2-*c*][1,3]benzothiazin-6-imines and Related Tricyclic heterocycles, Mizuhara, T.; Oishi, S.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.* *Org. Biomol. Chem.* **2012**, *10*, 6792–6802.
- 161) Design and Synthesis of Novel Class of CK2 Inhibitors: Application of Copper- and Gold-Catalysed Cascade Reactions for Fused Nitrogen Heterocycles, Suzuki, Y.; Oishi, S.*; Takei, Y.; Yasue, M.; Misu, R.; Naoe, S.; Hou, Z.; Kure, T.; Nakanishi, I.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Fujii, N.* *Org. Biomol. Chem.* **2012**, *10*, 4907–4915.
- 162) Paradoxical Downregulation of CXCR4 Induced by Polypeptidomimetic II-derived Antagonists, Masuda, R.; Oishi, S.*; Tanahara, N.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Yano, Y.; Matsuzaki, K.; Navenot, J.-M.; Peiper, S.; Fujii, N.* *Bioconjugate Chem.* **2012**, *23*, 1251–1265.
- 163) Structure-based Design of Novel Potent CK2 Inhibitors with Phenyl-azole Scaffolds. Hou, Z.; Nakanishi, I.*; Kinoshita, T.; Yasue, M.; Suzuki, Y.; Kanemitsu, M.; Kure, T.; Ohno, H.; Murata, K.; Kitaura, K.; Hirasawa, A.; Tsujimoto, G.; Oishi, S.*; Fujii, N. *J. Med. Chem.* **2012**, *55*, 2899–2903.
- 164) Structure-Activity Relationship Study of a CXCR4 Antagonist FC131 Using a Series of Alkene-Type Dipeptide Isosteres, Kobayashi, K.; Oishi,* S.; Hayashi, R.; Tomita, K.; Kubo, T.; Tanahara, N.; Ohno, H.; Yoshikawa, Y.; Furuya, T.; Hoshino, M.; Fujii, N.* *J. Med. Chem.*, **2012**, *55*, 2746–2757 (2012).
- 165) Development and Application of Fluorescent SDF-1 Derivatives, Masuda, R.; Oishi, S.; Tanahara, N.; Ohno, H.; Hirasawa, A.; Tsujimoto, G.; Kodama, E.; Matsuoka, M.; Fujii, N. *Future Med. Chem.* **2012**, *4*, 837–844.
- 166) Synthesis and Application of an *N*^δ-Acetyl-*N*^δ-hydroxyornithine Analogue: Identification of the Novel Metal Complexes of Deferriferrichrysin, Kobayashi, K.; Oishi,* S.; Kobayashi, Y.; Ohno, H.; Tsutsumi, H.; Hatad, Y.; Fujii, N.* *Bioorg. Med. Chem.* **2012**, *20*, 2651–2655.
- 167) A Detailed Thermodynamic Profile of Cyclopentyl and Isopropyl Derivatives Binding to CK2 Kinase. Kinoshita, T.; Sekiguchi, Y.; Fukada, H.; Nakaniwa, T.; Tada, T.; Nakamura, S.;

- Kitaura, K.; Ohno, H.; Suzuki, Y.; Hirasawa, A.; Nakanishi, I.; Tsujimoto, G. *Mol. Cell. Biochem.* **2011**, *356*, 97–105.
- 168) Pachastrissamine (Jaspine B) and Its Stereoisomers Inhibit Sphingosine Kinases and Atypical Protein Kinase C. Yoshimitsu, Y.; Oishi, S.*; Miyagaki, J.; Inuki, S.; Ohno, H.; Fujii, N.* *Bioorg. Med. Chem.* **2011**, *19*, 5402–5408.
- 169) Structure–Activity Relationships of Carboiline and Carbazole Derivatives as a Novel Class of ATPcompetitive Kinesin Spindle Protein Inhibitors. Takeuchi, T.; Oishi, S.*; Watanabe, T.; Ohno, H.; Sawada, J.; Matsuno, K.; Asai, A.; Asada, N.; Kitaura, K.; Fujii, N.* *J. Med. Chem.* **2011**, *54*, 4839–4846.
- 170) Concise Site-Specific DTPA Labeling of Peptides: Application to Peptide Probes for the Chemokine Receptor CXCR4. Masuda, R.; Oishi, S.*; Ohno, H.; Kimura, H.; Saji, H.; Fujii, N.* *Bioorg. Med. Chem.* **2011**, *19*, 3216–3220.
- 171) Potent CXCR4 Antagonists Containing Amidine-type Peptide Bond Isosteres. Inokuchi, E.; Oishi, S.*; Kubo, T.; Ohno, H.; Shimura, K.; Matsuoka, M.; Fujii, N.* *ACS Med. Chem. Lett.* **2011**, *2*, 477–480.
- 172) Design and Synthesis of Amidine-type Peptide Bond Isostere: Application of Nitrile Oxide Derivatives as Active Ester Equivalents to Peptide and Peptidomimetics Synthesis. Inokuchi, E.; Yamada, A.; Hozumi, K.; Tomita, K.; Oishi, S.; Ohno, H.; Nomizu, M.; Fujii, N.* *Org. Biomol. Chem.* **2011**, *9*, 3421–3427.
- 173) The Novel Samarium(II)-Mediated Tandem Spirocyclization onto an Aromatic Ring. Iwasaki, H.; Tsutsui, N.; Eguchi, T.; Ohno, H.; Yamashita, M.*; Tanaka, T. *Tetrahedron Lett.* **2011**, *52*, 1770–1772.
- 174) Activation of Neuropeptide FF Receptors by Kisspeptin Receptor Ligands. Oishi, S.*; Misu, R.; Tomita, K.; Setsuda, S.; Masuda, R.; Ohno, H.; Naniwa, Y.; Ieda, N.; Inoue, N.; Ohkura, S.; Uenoyama, Y.; Tsukamura, H.; Maeda, K.; Hirasawa, A.; Tsujimoto, G.; Fujii, N.* *ACS Med. Chem. Lett.* **2011**, *2*, 53–57.
- 175) Affinity Selection and Sequence-Activity Relationships of HIV-1 Membrane Fusion Inhibitors Directed at the Drugresistant Variants. Oishi, S.*; Watanabe, K.; Ito, S.; Tanaka, M.; Nishikawa, H.; Ohno, H.; Shimane, K.; Izumi, K.; Sakagami, Y.; Kodama, E. N.; Matsuoka, M.; Asai, A.; Fujii, N.* *Med. Chem. Commun.* **2010**, *1*, 276–281.
- 176) Kinesin Spindle Protein (KSP) Inhibitors with 2,3-Fused Indole Scaffolds. Oishi, S.*; Watanabe, T.; Sawada, J.; Asai, A.; Ohno, H.; Fujii, N.* *J. Med. Chem.* **2010**, *53*, 5054–5058.
- 177) Synthesis and Biological Evaluation of Selective CXCR4 Antagonists Containing Alkene Dipeptide Isosteres. Narumi, T.; Hayashi, R.; Tomita, K.; Kobayashi, K.; Tanahara, N.; Ohno, H.; Naito, T.; Kodama, E.; Matsuoka, M.; Oishi, S.*; Fujii, N.* *Org. Biomol. Chem.* **2010**, *8*, 616–621.
- 178) Bioorganic Synthesis of a Recombinant HIV-1 Fusion Inhibitor, SC35EK, with an N-Terminal Pyroglutamate Capping Group. Kajiwara, K.; Watanabe, K.; Tokiwa, R.; Kurose, T.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.*; Fujii, N.* *Bioorg. Med. Chem.* **2009**, *17*, 7964–7970.
- 179) Bioorganic Synthesis of End-capped Anti-HIV Peptides by Simultaneous Cyanocysteine-Mediated Cleavages of Recombinant Proteins. Tanaka, M.; Kajiwara, K.; Tokiwa, R.; Watanabe, K.; Ohno, H.; Tsutsumi, H.; Hata, Y.; Izumi, K.; Kodama, E.; Matsuoka, M.; Oishi, S.*; Fujii, N.* *Bioorg. Med. Chem.* **2009**, *17*, 7487–7492.
- 180) X-ray Crystallographic Study of an HIV-1 Fusion Inhibitor with the gp41 S138A Substitution. Watabe, T.; Terakawa, Y.; Watanabe, K.; Ohno, H.; Nakano, H.; Nakatsu, T.; Kato, H.; Izumi,

- K.; Kodama, E.; Matsuoka, M.; Kitaura, K.; Oishi, S.*; Fujii, N.* *J. Mol. Biol.* **2009**, *392*, 657–665.
- 181) Design and Synthesis of Membrane Fusion Inhibitors against the Feline Immunodeficiency Virus. Oishi, S.*; Kodera, Y.; Nishikawa, H.; Kamitani, H.; Watabe, T.; Ohno, H.; Tochikura, T.; Shimane, K.; Kodama, E.; Matsuoka, M.; Mizukoshi, F.; Tsujimoto, H.; Fujii, N.* *Bioorg. Med. Chem.* **2009**, *17*, 4916–4920.
- 182) Peptide Bond Mimicry by (*E*)-Alkene and (*Z*)-Fluoroalkene Peptide Isosteres: Synthesis and Bioevaluation of α -Helical anti-HIV Peptide Analogues. Oishi, S.*; Kamitani, H.; Kodera, Y.; Watanabe, K.; Kobayashi, K.; Narumi, T.; Tomita, K.; Ohno, H.; Naito, T.; Kodama, E.; Matsuoka, M.; Fujii, N.* *Org. Biomol. Chem.* **2009**, *7*, 2872–2877.
- 183) Amino Acid-Based Synthesis of Trifluoromethylalkene Dipeptide Isosteres by Alcohol-Assisted Nucleophilic Trifluoromethylation and Organozinc-Copper-Mediated S_N2' Alkylation. Kobayashi, K.; Narumi, T.; Oishi, S.; Ohno, H.; Fujii, N.* *J. Org. Chem.* **2009**, *74*, 4626–4629.
- 184) Structure of Human Protein Kinase CK2 α 2 with a Potent Indazole-Derivative Inhibitor. Nakaniwa, T.; Kinoshita, T.*; Sekiguchi, Y.; Tada, T.; Nakanishi, I.; Kitaura, K.; Suzuki, Y.; Ohno, H.; Hirasawa, A.; Tsujimoto, G. *Acta Cryst.* **2009**, *F65*, 75–79.
- 185) Structure-Activity Relationship Study on Polyglutamine Binding Peptide QBP1. Tomita, K.; Popiel, H. A.; Nagai, Y.*; Toda, T.; Yoshimitsu, Y.; Ohno, H.; Oishi, S.*; Fujii, N. *Bioorg. Med. Chem.* **2009**, *17*, 1259–1263.
- 186) Development of Novel G-Protein-Coupled Receptor 54 Agonists with Resistance to Degradation by Matrix Metalloproteinase. Tomita, K.; Oishi, S.*; Ohno, H.; Peiper, S. C.; Fujii, N.* *J. Med. Chem.* **2008**, *51*, 7645–7649.
- 187) Identification of Minimal Sequence for HIV-1 Fusion Inhibitors. Nishikawa, H.; Oishi, S.; Fujita, M.; Watanabe, K.; Tokiwa, R.; Ohno, H.; Kodama, E.; Izumi, K.; Kajiwara, K.; Naitoh, T.; Matsuoka, M.; Otaka, A.; Fujii, N.* *Bioorg. Med. Chem.* **2008**, *16*, 9184–9187.
- 188) Samarium(II)-Mediated Spirocyclization by Intramolecular Aryl Radical Addition onto an Aromatic Ring. Iwasaki, H.; Eguchi, T.; Tsutsui, N.; Ohno, H.; Tanaka T.* *J. Org. Chem.* **2008**, *73*, 7145–7152.
- 189) Identification of Novel Non-Peptide CXCR4 Antagonists by Ligand-Based Design Approach. Ueda, S.; Kato, M.; Inuki, S.; Ohno, H.; Evans, B.; Wang, Z.; Peiper, S. C.; Izumi, K.; Kodama, E.; Matsuoka, M.; Nagasawa, H.; Oishi, S.*; Fujii N.* *Bioorg. Med. Chem. Lett.* **2008**, *18*, 4124–4129.
- 190) Structure-Activity Relationship Study and NMR Analysis of Fluorobenzoyl Pentapeptide GPR54 Agonists. Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.* *Biopolymers* **2008**, *90*, 503–511.
- 191) Efficient Synthesis of Trifluoromethyl and Related Trisubstituted Alkene Dipeptide Isosteres by Palladium-Catalyzed Carbonylation of Amino Acid Derived Allylic Carbonates. Inokuchi, E.; Narumi, T.; Niida, A.; Kobayashi, K.; Tomita, K.; Oishi, S.; Ohno, H.; Fujii, N.* *J. Org. Chem.* **2008**, *73*, 3942–3945.
- 192) Diastereoselective Synthesis of Highly Functionalized Fluoroalkene Dipeptide Isosteres and Its Application to Fmoc-Based Solid Phase Synthesis of a Cyclic Pentapeptide Mimetic. Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N.* *Tetrahedron* **2008**, *64*, 4332–4346.
- 193) Synthesis and Application of Fluorescein- and Biotin-Labeled Molecular Probes for the Chemokine Receptor CXCR4. Oishi, S.*; Masuda, R.; Evans, B.; Ueda, S.; Goto, Y.; Ohno,

- H.; Hirasawa, A.; Tsujimoto, G.; Wang, Z.; Peiper, S. C.; Naito, T.; Kodama, E.; Matsuoka, M.; Fujii, N.* *ChemBioChem*, **2008**, *9*, 1154–1158.
- 194) Design of a Novel HIV-1 Fusion Inhibitor That Displays a Minimal Interface for Binding Affinity. Oishi, S.*; Ito, S.; Nishikawa, H.; Watanabe, K.; Tanaka, M.; Ohno, H.; Izumi, K.; Sakagami, Y.; Kodama, E.; Matsuoka, M.; Fujii, N.* *J. Med. Chem.* **2008**, *51*, 388–391.
- 195) Facile Synthesis of Fluoroalkenes by Palladium-Catalyzed Reductive Defluorination of Allylic *gem*-Difluorides. Narumi, T.; Tomita, K.; Inokuchi, E.; Kobayashi, K.; Oishi, S.; Ohno, H.; Fujii, N.* *Org. Lett.* **2007**, *9*, 3465–3468.
- 196) SAR and QSAR Studies on the *N*-Terminally Acylated Pentapeptide Agonists for GPR54. Tomita, K.; Oishi, S.; Cluzeau, J.; Ohno, H.; Navenot, J.-M.; Wang, Z.; Peiper, S. C.; Akamatsu, M.; Fujii, N.* *J. Med. Chem.* **2007**, *50*, 3222–3228.
- 197) Design and Synthesis of all Diastereomers of Cyclic Pseudo-Dipeptides as Mimics of Cyclic CXCR4 Pentapeptide Antagonists. Cluzeau, J.; Oishi, S.; Ohno, H.; Wang, Z.; Evans, B.; Peiper, S. C.; Fujii, N.* *Org. Biomol. Chem.* **2007**, *5*, 1915–1923.
- 198) A Novel Oxazolidine Linker for the Synthesis of Peptide Aldehydes. Tanaka, M.; Oishi, S.; Ohno, H.; Fujii, N.* *Int. J. Pep. Res. Ther.* **2007**, *13*, 271–279.
- 199) Structure-Activity Relationships of Cyclic Peptide-Based Chemokine Receptor CXCR4 Antagonists: Disclosing the Importance of Side-Chain and Backbone Functionalities. Ueda, S.; Oishi, S.; Wang, Z.; Araki, T.; Tamamura, H.; Cluzeau, J.; Ohno, H.; Kusano, S.; Nakashima, H.; Trent, J. O.; Peiper, S. C.; Fujii, N.* *J. Med. Chem.* **2007**, *50*, 192–198.
- 200) Fmoc-Based Solid-Phase Synthesis of GPR54-Agonistic Pentapeptide Derivatives Containing Alkene- and Fluoroalkene-Dipeptide Isosteres. Tomita, K.; Narumi, T.; Niida, A.; Oishi, S.; Ohno, H.; Fujii, N.* *Biopolymers* **2007**, *88*, 272–278.
- 201) A Novel One-Pot Reaction Involving Organocopper-Mediated Reduction/transmetalation/asymmetric Alkylation, Leading to the Diastereoselective Synthesis of Functionalized (*Z*)-Fluoroalkene Dipeptide Isosteres. Narumi, T.; Niida, A.; Tomita, K.; Oishi, S.; Otaka, A.; Ohno, H.; Fujii, N.* *Chem. Commun.* **2006**, 4720–4722.
- 202) Structure–Activity Relationship Study on Amall Peptidic GPR54 Agonists. Tomita, K.; Niida, A.; Oishi, S.; Ohno, H.; Cluzeau, J.; Navenot, J.-M.; Wang, Z.; Peiper, S. C.; Fujii, N.* *Bioorg. Med. Chem.* **2006**, *14*, 7595–7603.
- 203) Construction of Tricyclic Enone, a Common Precursor for Aphidicolane and Stemodane B/C/D-Ring System. Tanaka, T.*; Yamamoto, S.; Hiramatsu, K.; Murakami, K.; Yoshino, H.; Patra, D.; Iwata, C.; Ohno, H. *Chem. Pharm. Bull.* **2006**, *54*, 1138–1143.
- 204) Synthesis of (*Z*)-Alkene and (*E*)-Fluoroalkene-Containing Diketopiperazine Mimetics Utilizing Organocopper-Mediated Reduction-Alkylation and Diastereoselectivity Examination Using DFT Calculations. Niida, A.; Mizumoto, M.; Narumi, T.; Inokuchi, E.; Oishi, S.; Ohno, H.; Otaka, A.; Kitaura, K.; Fujii, N.* *J. Org. Chem.* **2006**, *71*, 4118–4129.
- 205) Stereoselective Synthesis of 3,6-Disubstituted-3,6-dihydropyridin-2-ones as Potential Diketopiperazine Mimetics Using Organocopper-Mediated *anti-SN2'* Reactions and Their Use in the Preparation of Low-Molecule CXCR4 Antagonists. Niida, A.; Tanigaki, H.; Inokuchi, E.; Sasaki, Y.; Oishi, S.; Ohno, H.; Tamamura, H.; Wang, Z.; Peiper, S. C.; Kitaura, K.; Otaka, A.*; Fujii, N.* *J. Org. Chem.* **2006**, *71*, 3942–3951.
- 206) Chemo- and Stereoselectivity in Titanium-Mediated Regioselective Ring-Opening Reaction of Epoxides at the More Substituted Carbon. Tanaka, T.*; Hiramatsu, K.; Kobayashi, Y.; Ohno, H. *Tetrahedron* **2005**, *61*, 6726–6742.

- 207) Evaluation of Scopadulciol-Related Molecules for Their Stimulatory Effect on the Cytotoxicity of Acyclovir and Ganciclovir against Herpes Simplex Virus Type 1 Thymidine Kinase Gene-Transfected HeLa Cells. Hayashi, K.; Rahman, S. M. A.; Ohno, H.; Tanaka, T.; Toyooka, N.; Nemoto, H.; Hayashi, T.* *Chem. Pharm. Bull.* **2004**, 52, 1015–1017.
- 208) Asymmetric Synthesis of $\beta^{2,3}$ -Amino Acids by InI-Pd(0)-Promoted Metalation and Addition of Chiral 2-Vinylaziridines. Anzai, M.; Yanada, R.; Fujii, N.; Ohno, H.; Ibuka, T.; Takemoto, Y.* *Tetrahedron* **2002**, 58, 5231–5239.
- 209) Improved Method of an Unusual Conversion of Aliphatic Amines into Alcohols. Rahman, S. M. A.; Ohno, H.; Tanaka, T.* *Tetrahedron Lett.* **2001**, 42, 8007–8010.
- 210) Total Synthesis of (\pm)-Stemodinone via an Efficient Ring-Exchange Strategy. Tanaka, T.*; Murakami, K.; Kanda, A.; Patra, D.; Yamamoto, S.; Satoh, N.; Kim, S.-W.; Rahman, S. M. A.; Ohno, H.; Iwata, C. *J. Org. Chem.* **2001**, 66, 7107–7112.
- 211) The First Total Synthesis of (\pm)-Scopadulin. Rahman, S. M. A.; Ohno, H.; Murata, T.; Yoshino, H.; Satoh, N.; Murakami, K.; Patra, D.; Iwata, C.; Maezaki, N.; Tanaka, T.* *J. Org. Chem.* **2001**, 66, 4831–4840.
- 212) Stereoselective Synthesis of Nonracemic 1,3-Amino Alcohols from Chiral 2-Vinylaziridines by InI-Pd(0)-Promoted Metalation. Takemoto, Y.*; Anzai, M.; Yanada, R.; Fujii, N.; Ohno, H.; Ibuka, T. *Tetrahedron Lett.* **2001**, 42, 1725–1728.
- 213) The First Total Synthesis of (\pm)-Scopadulin, an Antiviral Aphidicolane Diterpene. Rahman, S. M. A.; Ohno, H.; Murata, T.; Yoshino, H.; Satoh, N.; Murakami, K.; Patra, D.; Iwata, C.; Maezaki, N.; Tanaka, T.* *Org. Lett.* **2001**, 3, 619–621.
- 214) A Model Study for the Total Synthesis of (\pm)-Scopadulin: Stereoselective Construction of the A/B Ring System with Desired Functionalities. Rahman, S. M. A.; Ohno, H.; Yoshino, Y.; Satoh, N.; Tsukaguchi, M.; Murakami, K.; Iwata, C.; Maezaki, N.; Tanaka, T.* *Tetrahedron* **2001**, 57, 127–134.
- 215) Efficient One-Step Conversion of Primary Aliphatic Amines into Primary Alcohols: Application to a Model Study for the Total Synthesis of (\pm)-Scopadulin. Rahman, S. M. A.; Ohno, H.; Maezaki, N.; Iwata, C.; Tanaka, T.* *Org. Lett.* **2000**, 2, 2893–2895.
- 216) Z-Selective Horner-Wadsworth-Emmons Reaction of Ethyl (Diarylphosphono)acetates Using Sodium Iodide and DBU. Ando, K.*; Oishi, T.; Hirama, M.; Ohno, H.; Ibuka, T. *J. Org. Chem.* **2000**, 65, 4745–4749.
- 217) Unusual Radical *ipso*-Substitution Reaction of an Aromatic Methoxy Group Induced by Tris(trimethylsilyl)silane-AIBN or SmI₂. Tanaka, T.*; Wakayama, R.; Maeda, S.; Mikamiyama, H.; Maezaki, N.; Ohno, H. *Chem. Commun.* **2000**, 1287–1288.
- 218) Regiospecific Ring-Opening Reactions of β -Aziridinyl α,β -Enoates with Acids: Application to the Stereoselective Synthesis of a Couple of Diastereoisomeric (*E*)-Alkene Dipeptide Isosteres from a Single β -Aziridinyl α,β -Enoate and to the Convenient Preparation of Amino Alcohols Bearing α,β -Unsaturated Ester Groups. Tamamura, H.*; Yamashita, M.; Nakajima, Y.; Sakano, K.; Otaka, A.; Ohno, H.; Ibuka, T.; Fujii, N.* *J. Chem. Soc., Perkin Trans. 1* **1999**, 2983–2996.
- 219) Reactions of *N*-Arylsulfonyl-2,3-*cis* and *N*-Arylsulfonyl-2,3-*trans*-3-alkyl-2-vinylaziridines with Organocopper Reagents: Importance of 2,3-*cis*-Stereochemistry in Controlling Regio- and Stereoselectivity. Toda, A.; Aoyama, H.; Mimura, N.; Ohno, H.; Fujii, N.; Ibuka, T.* *J. Org. Chem.* **1998**, 63, 7053–7061.
- 220) Regiospecific Ring-Opening Reactions of Aziridines Bearing an α,β -Unsaturated Ester Group with Trifluoroacetic Acid or Methanesulfonic Acid: Application to the Stereoselective

- Synthesis of (*E*)-Alkene Dipeptide Isosteres. Tamamura, H.*; Yamashita, M.; Muramatsu, H.; Ohno, H.; Ibuka, T.; Otaka, A.; Fujii, N. *Chem. Commun.* **1997**, 23, 2327–2328.
- 221) Regio- and Stereoselectivity in Reactions of 2,3-*cis*- and 2,3-*trans*-3-Alkyl-2-Vinylaziridines with Organocopper Reagents: Importance of 2,3-*cis*-Stereochemistry in Controlling Selectivity. Aoyama, H.; Mimura, N.; Ohno, H.; Ishii, K.; Toda, A.; Tamamura, H.; Otaka, A.; Fujii, N.; Ibuka, T.* *Tetrahedron Lett.* **1997**, 38, 7383–7386.
- 222) A Thermodynamic Preference of Chiral *N*-Methanesulfonyl and *N*-Arenesulfonyl 2,3-*cis*-3-Alkyl-2-Vinylaziridines over Their 2,3-*trans*-Isomers: Useful Palladium(0)-Catalyzed Equilibration Reactions for the Synthesis of (*E*)-Alkene Dipeptide Isosteres. Ibuka, T.*; Mimura, N.; Aoyama, H.; Akaji, M.; Ohno, H.; Miwa, Y.; Taga, T.; Nakai, K.; Tamamura, H.; Fujii, N.; Yamamoto, Y. *J. Org. Chem.* **1997**, 62, 999–1015.

Reviews and Books

- 1) Natural Product Synthesis via Palladium-Catalyzed C–H Bond Activation, Arichi, N.; Ohno, H. In *Handbook of CH-Functionalization*, Ed. by D. Maiti, Wiley-VCH, Weinheim, in press.
- 2) Azido-Alkynes in Gold(I)-Catalyzed Indole Syntheses, Greiner, L. C.; Matsuoka, J.; Inuki, S.; Ohno, H. *Chem. Rec.*, in press.
- 3) Total Syntheses of Myriocin, Mycestericins and Sphingofungin E: Sphingosine Analogues Containing a β , β' -Dihydroxy α -Amino Acid Framework, Inuki, S.; Ohno, H. *Chem. Lett.* **2021**, *50*, 1313–1324. DOI: 10.1246/cl.210133.
- 4) Nonbiomimetic Total Synthesis of Indole Alkaloids Using Alkyne-Based Strategies, Ohno, H.; Inuki, S. *Org. Biomol. Chem.* **2021**, *16*, 3551–3568. DOI: 10.1039/D0OB02577A.
- 5) Recent Progress in Palladium-Catalyzed Cascade Cyclizations for Natural Product Synthesis, Ohno, H.*; Inuki, S. *Synthesis* (Short Review) **2018**, *50*, 700–710.
- 6) Synthesis and Applications of Vinylaziridines and Ethynylaziridines, Ohno, H.* *Chem. Rev.* **2014**, *114*, 7784–7814.
- 7) The Synthesis of Alkaloids Using a Transition-Metal-Catalyzed Intramolecular Amination Reaction, Ohno, H.*; Chiba, H.; Inuki, S.; Oishi, S.; Fujii, N.* *Synlett* (Account) **2014**, *25*, 179–192.
- 8) Gold-Catalyzed Cascade Reactions of Alkynes for Construction of Polycyclic Compounds. Ohno, H.* *Isr. J. Chem.* **2013**, *53*, 869–882.
- 9) Intramolecular C–X Bond Formation between C=X or X–H and Alkynes, Ohno, H.* In *Transition-Metal-Mediated Aromatic Ring Construction*; Tanaka, K., Ed.; Wiley-VCH: Weinheim, **2013**, pp 485–536.
- 10) Recent Advances in the Construction of Polycyclic Compounds via Palladium-Catalyzed Atom-Economical Cascade Reactions. Ohno, H.* *Asian J. Org. Chem.* **2013**, *2*, 18–28.
- 11) Synthesis of Highly Functionalized Alkene Dipeptide Isosteres and Its Application to the Structure-Activity Relationship Study on Bioactive Peptides. Oishi, S.*; Narumi, T.; Ohno, H.; Otaka, A.; Fujii, N.* *J. Synth. Org. Chem. Jpn.* **2008**, *66*, 846–857.
- 12) Synthesis by Substitution. Ohno, H.*; Tomioka, K. In *Science of Synthesis: Houben-Weyl Methods of Molecular Transformations*; Krause, N., Ed.; Cumulenes and Allenes; Georg Thieme: Stuttgart, **2007**; Thieme, Vol. 44, Chapter 44.2.1, pp 17–119.
- 13) Development of Useful Reactions Based on the Novel Reactivities of Allenic Compounds and Their Application to Tandem Cyclizations. Ohno, H.* *Yakugaku Zasshi* **2005**, *125*, 899–925.
- 14) Development of Useful Reactions Involving Tandem Cyclizations Based on the Novel Reactivities of Allenic Compounds. Ohno, H.* *Chem. Pharm. Bull.* **2005**, *53*, 1211–1226.
- 15) Vinylaziridines in Organic Synthesis. Ohno, H.* In *Aziridines and Epoxides in Organic Synthesis*; Yudin, A., Eds.; Wiley-VCH: Weinheim, **2005**, pp 37–71.
- 16) Enantioselective Synthesis of Allenes. Ohno, H.; Nagaoka, Y.; Tomioka, K.* In *Modern Allene Chemistry*; Hashmi, A. S. K.; Krause, N., Eds.; Wiley-VCH: Weinheim, **2004**, pp 141–181.
- 17) Development of Aziridination and Azetization Reactions of Amino Allenes Using a Palladium Catalyst. Ohno, H.* *Yakugaku Zasshi* **2001**, *121*, 733–741.