

研究期間全年度 研究業績

大野浩章 (京都大学大学院薬学研究科、A02 班 公募班員)

1 . 発表論文等 (査読付き論文, 著書, 総説等の発表状況)

- (1) Synthesis and Applications of Vinylaziridines and Ethynylaziridines
Ohno, H.* *Chem. Rev. ASAP (Invited Review)*. (10.1021/cr400543u)
- (2) 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.
(10.1039/c3cc46511j)
- (3) The Synthesis of Alkaloids Using a Transition-Metal-Catalyzed Intramolecular Amination Reaction
Ohno, H.*; Chiba, H.; Inuki, S.; Oishi, S.; Fujii, N.* *Synlett* **2014**, *25*, 179–192 (**Invited Account**). (10.1055/s-0033-1340165)
- (4) 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. (10.1246/cl.130388)
- (5) 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.
(10.1021/ol401231y)
- (6) Gold-Catalyzed Cascade Reactions of Alkynes for Construction of Polycyclic Compounds
Ohno, H.* *Isr. J. Chem.* **2013**, *53*, 869–882 (**Invited Review**). DOI: 10.1002/ijch.201300054
- (7) 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. (10.1016/j.tet.2013.03.091)
- (8) 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. (10.1002/chem.201300687)

- (9) 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. (10.1039/c3ob40223a)
- (10) Intramolecular C–X Bond Formation between C=X or X–H and Alkynes (**Invited Review**)
Ohno, H.* In *Transition-Metal-Mediated Aromatic Ring Construction*; Tanaka, K., Ed.; Wiley-VCH: Weinheim, 2013; Chapter 19, pp 485–536. (ISBN: 978-1-118-14892-1)
- (11) 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 (**Invited Review**). (10.1002/ajoc.201200128)
- (12) 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. (10.1016/j.tetlet.2012.09.030)
- (13) Total Synthesis of (–)-Quinocarcin via Au(I)-Catalyzed Regioselective Hydroamination
Chiba, H.; Oishi, S.; Fujii, N.*; Ohno, H.* *Angew. Chem. Int. Ed.* **2012**, *51*, 9169–9172 (**Hot Paper**). (10.1002/anie.201205106)
- (14) 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**). (10.1021/jo300771f)
- (15) 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. (10.1039/c2ob25298h)
- (16) 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. (10.1002/chem.201103819)

- (17) 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. (10.1021/jm2015167)
- (18) 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. (10.1016/j.tet.2011.12.059)
- (19) 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. (10.1021/ol203072u)
- (20) 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. (10.1021/jo2018119)
- (21) 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 Paper**). (10.1055/s-0030-1260098)
- (22) 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. (10.1021/jo2008324)
- (23) 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. (10.1016/j.tet.2011.05.051)
- (24) 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. (10.1021/jo102388e)

- (25) 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**). (10.1021/jo102507c)
- (26) Direct Synthesis of Quinazolines through Copper-Catalyzed Domino C–H Alkynylation and Cyclization of Aniline-Derived Benzamidines
Ohta, Y.; Tokimizu, Y.; Oishi, S.; Fujii, N.*; Ohno, H.* *Org. Lett.* **2010**, *12*, 3963–3965. (10.1021/ol1016756)
- (27) 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. (10.1021/jo1005284)
- (28) 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. (10.1021/jo100544v)
- (29) 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. (10.1021/jo100462w)
- (30) 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**). (10.1002/chem.201000653)
- (31) Gold-Catalyzed Intramolecular Alkyne Cycloisomerization Cascade: Direct Synthesis of Aryl-Annulated[*a*]carbazoles from Aniline-Substituted Diethynylarenes
Hirano, K.; Inaba, Y.; Watanabe, T.; Oishi, S.; Fujii, N.*; Ohno, H.* *Adv. Synth. Catal.* **2010**, *352*, 368–372. (10.1002/adsc.200900880)
- (32) 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. (10.1021/jo902327n)

2. 学会発表等（国内外の招待講演および国際会議での発表状況）

- (1) 金触媒を用いた骨格構築反応の開発と生物活性化合物合成への応用
大野浩章, 第 18 回鴨叡会・生命分子化学科セミナー, 京都府立大学大学院生命環境科学研究科, 京都, 2013.12.17 (招待講演).
- (2) 金触媒を用いた骨格構築反応の開発と生物活性化合物合成への応用
大野浩章, 創薬基盤化学研究若手セミナー第 8 回特別講演会, 立命館大学薬学部, 草津, 2013.12.9 (招待講演).
- (3) Synthesis of the Fused Tetracyclic Spiroindoles via Palladium-Catalyzed Cascade Reaction
Iwata, A.; Oishi, S.; Fujii, N.; Ohno, H. 246th ACS National Meeting & Exposition, Indianapolis, USA, 2013.9.8-12 (ポスター発表).
- (4) 付加反応を用いて中心骨格を構築する天然物合成
大野浩章, 日本薬学会東北支部・第 12 回化学系薬学若手研究者セミナー, 東北大学薬学研究科, 仙台, 2013.8.24 (招待講演).
- (5) 高い原子効率を有する遷移金属触媒反応を用いた天然物の合成研究
大野浩章, 新学術領域研究「天然物ケミカルバイオロジー：分子標的と活性制御」地区ミニシンポジウム, 理研, 和光, 2013.3.16 (招待講演).
- (6) Gold-Catalyzed Reactions for Construction of Heterocycles
Ohno, H. Lecture at the Dortmund University of Technology, Germany, 2013.3.6 (招待講演).
- (7) Gold-Catalyzed Reactions for Construction of Heterocycles
Ohno, H. Lecture at the Heidelberg University (Organisch-Chemisches Institut, Ruprecht-Karls-Universität Heidelberg), Germany, 2013.3.3 (招待講演).
- (8) Total Synthesis of Quinocarcin via Gold-Catalyzed Hydroamination
Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H. IKCOC-12, Kyoto, 2012.11.12-16 (ポスター発表).
- (9) Enantioselective Total Syntheses of (+)-Lysergic Acid, (+)-Lysergol and (+)-Isolysergol
Iwata, A.; Inuki, S.; Oishi, S.; Fujii, N.; Ohno, H. IKCOC-12, Kyoto, 2012.11.12-16 (ポスター発表).
- (10) 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. IKCOC-12, Kyoto, 2012.11.12-16 (ポスター発表).

- (11) Synthetic Study of Quinocarcin
Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H. 243rd ACS National Meeting & Exposition, San Diego, USA, 2012.3.25-29 (ポスター発表).
- (12) Direct Synthesis of Quinazolines through Copper-Catalyzed Reactions of Aniline-Derived Benzamidines
Tokimizu, Y.; Ohta, Y.; Oishi, S.; Fujii, N.; Ohno, H. AIMECS11, Tokyo, 2011.11.29-12.2 (ポスター発表).
- (13) Efficient Synthesis of Pyrimido[1,2-*c*]benzothiazin-6-imine and Related Tricyclic Heterocycles by Cu(II)-mediated C–H Functionalization and Aromatic Nucleophilic Substitution
Mizuhara, T.; Oishi, S.; Fujii, N.; Ohno, H. AIMECS11, Tokyo, 2011.11.29-12.2 (ポスター発表).
- (14) Synthetic Study of Quinocarcin
Chiba, H.; Oishi, S.; Fujii, N.; Ohno, H. AIMECS11, Tokyo, 2011.11.29-12.2 (ポスター発表).
- (15) Efficient Synthesis of Highly Functionalized Dihydropyrazoles via Gold-Catalyzed Three-Component Annulation
Suzuki, Y.; Oishi, S.; Fujii, N.; Ohno, H. AIMECS11, Tokyo, 2011.11.29-12.2 (ポスター発表).
- (16) Total Synthesis of (+)-Lysergic Acid by Palladium-Catalyzed Domino Cyclization of Amino Allenes Bearing a Bromoindolyl Group
Ohno, H.; Inuki, S.; Iwata, A.; Oishi, S.; Fujii, N. AIMECS11, Tokyo, 2011.11.29-12.2 (ポスター発表).
- (17) Gold-Catalyzed Three-Component Annulation: an Efficient Synthesis of 1,2,3,5-Tetra-substituted Dihydropyrazoles from Alkynes, Aldehydes, and Hydrazines
Suzuki, Y.; Oishi, S.; Fujii, N.; Ohno, H. 242nd ACS National Meeting & Exposition, Denver, USA, 2011.8.28-9.2 (ポスター発表).
- (18) 触媒的連続結合形成反応による縮環型複素環骨格の一式構築と天然物合成への応用
大野浩章, 6th Organic Syntheses Lectureship, 東京大学大学院薬学研究科, 東京, 2011.2.22 (招待講演).
- (19) 触媒的連続結合形成反応による複素環骨格構築法の開発研究
大野浩章, 京都大学グローバル COE 有機若手ワークショップ, 京都大学院理学研究科セミナーハウス, 京都, 2010.9.13-14 (招待講演).

(20) Ring-Construction/Stereoselective Functionalization Cascade: Total Synthesis of Pachastrissamine (Jaspine B) through Palladium-Catalyzed Bis-cyclization of Bromoallenes and Propargyl Carbonates

Inuki, S.; Yoshimitsu, Y.; Oishi, S.; Fujii, N.; Ohno, H. The 5th Seoul-Kyoto-Osaka Joint Symposium on Pharmaceutical Sciences for Young Scientists, Osaka University, Osaka, Japan, 2010.5.20-21 (口頭発表).

3 . 特許

(1) キナーゼ阻害剤

国立大学法人京都大学, 学校法人近畿大学, 公立大学法人大阪府立大学, 辻本豪三, 平澤明, 村田克美, 藤井信孝, 大野浩章, 大石真也, 鈴木大和, 侯増燁, 仲西功, 木下誉富

特願 2011 - 48325, 2011.3.4

4 . 学会・シンポジウム等の開催状況

(1) 第 8 回次世代を担う有機化学シンポジウム, 日本薬学会長井記念ホール, 2010.5.13-14 (大野浩章, 実行委員長).

5 . 受賞等

(1) 大野浩章 (研究代表者)

Banyu Chemist Award (BCA) 2013、万有生命科学振興国際交流財団、2013.10.8

(2) 水原 司 (2013 年 3 月博士後期課程修了)

Springer Theses Award、ドイツ Springer 社、2013.9.7

(3) 千葉浩亮 (2013 年 3 月博士後期課程修了)

Reaxys PhD Prize 2013 Finalist、Reaxys、2013.6.4

(4) 千葉浩亮 (D3)

武田科学振興財団生命科学シンポジウム Excellent Poster Award、武田科学振興財団、2012.12.7

(5) 千葉浩亮 (D3)

第 38 回反応と合成の進歩シンポジウム優秀発表賞、日本薬学会化学系薬学部会、2012.11.6

(6) 岩田 顕 (M2)

第 37 回反応と合成の進歩シンポジウム優秀発表賞、日本薬学会化学系薬学部会、2011.11.8

(7) 鈴木大和 (D3)

第 37 回反応と合成の進歩シンポジウム優秀発表賞、日本薬学会化学系薬学部会、
2011.11.8

(8) 井貫晋輔 (2011 年 3 月博士後期課程修了)

Springer Theses Award、ドイツ Springer 社、2011.6.20

(9) 太田悠介 (2010 年 3 月博士課程修了)

Springer Theses Award、ドイツ Springer 社、2010.4.7

6 . 新聞報道等

該当事項はありません。

7 . 国民との科学・技術対話

該当事項はありません。

8 . 領域内の共同研究の準備・実施状況とその成果

(1) 共同研究先：北海道大学，鈴木研究室 (A03 班，計画班員)

派遣人員 (受入)：坂野優斗 (博士 1 年)

派遣期間 (派遣)：2013.12.11-2013.12.20

共同研究内容：強発光性ジヒドロベンズインドロカルバゾールの合成

共同研究成果：論文作成中、学会発表

(2) 共同研究先：京都大学，高須研究室 (A02 班，公募班員)

派遣人員 (派遣)：稲葉祐介 (修士 2 年)

派遣期間 (派遣)：2010.11.24-2010.11.25

共同研究内容：高度縮環型カルバゾールの結晶構造解析

成果：論文発表、学会発表

(3) 共同研究先：京都大学，高須研究室 (A02 班，公募班員)

派遣人員 (受入)：進藤直哉 (博士 3 年)

派遣期間 (受入)：2011.1.11-2011.1.13

共同研究内容：動的不斉をもつ不飽和カルボニル型化合物の円二色性スペクトル

成果：論文発表、学会発表