Wenjun Tang, Ph.D.

Research Professor
State Key Laboratory of Bi-organic & Natural Product Chemistry
Shanghai Institute of Organic Chemistry
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RESEARCH INTERESTS

- Design and development of novel, efficient, and practical chiral catalytic reactions
- Total synthesis of complex and biologically active natural products
- Development of efficient, economical, and green chemical processes for pharmaceutically important molecules

EDUCATION

2003-2005	Postdoctoral research, Organic Chemistry, The Scripps Research Institute Advisor: Professor K. C. Nicolaou
1998-2003	Ph. D., Organic and Organometallic Chemistry, The Pennsylvania State University Thesis title: "Development of Efficient Chiral Ligands for Asymmetric Catalysis" Advisor: Professor Xumu Zhang
1995-1998	M.S., Organic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences Thesis title: "Synthetic Studies of Benzolactam V8 Derivatives" Advisor: Professor Dawei Ma
1991-1995	B. Eng., Pharmaceutical Engineering, East China University of Sciences and Technology Thesis title: "Synthetic Studies of Enofloxacin and Vitamin E Succinate" Advisor: Professor Guohou Cheng

WORK EXPERIENCE

2011.7-present Research Professor, State Key Laboratory of Bioorganic and Natural Products Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, P. R. China

Lead a group of students, postdocs, and lab assistants in various research areas; Develop several novel catalytic reactions for efficient syntheses of natural products and small molecule drugs; Specialized in asymmetric cross-coupling reactions, asymmetric hydrogenation, asymmetric boration, and asymmetric cylization; Specialized in developing highly efficient catalytic reactions (high TONs)

2009-2011 Principal Scientist, Department of Chemical Development, Boehringer Ingelheim Pharmaceuticals, Inc.
2005-2009 Senior Scientist, Department of Chemical Development, Boehringer Ingelheim Pharmaceuticals, Inc.

Made numerous contributions on many key BI projects as a lead process chemist; designed and developed practical and economical synthetic processes; produced and delivered various drug substances in multi kilo-grams

2003-2005 Postdoctoral Research Associate, Department of Chemistry, The Scripps Research Institute

- Accomplished the structural determination and first total synthesis of a complex marine toxin --- azaspiracid (Highlight in C&ENews, **2004**)

- Developed a novel, catalytic asymmetric three-component reaction for the synthesis of chiral 2,3-disubstituted cycloalkanone
- Furnished a key intermediate with correct stereochemistry for the synthesis of a complex metabolite-Vannusal

1998-2003 Research Assistant, Department of Chemistry, The Pennsylvania State University

- Developed several structurally novel, efficient, and practical chiral phosphorous and nitrogen ligands for asymmetric hydrogenation such as TangPhos (Highlight in C&ENews, **2002**), BINAPINE (Highlight in C&EN news, **2003**), *o*-Ph-HexaMeO-BIPHEP, *o*-BINAPO, phospholane oxazoline, and NOBIN-based ligands
- Investigated extensively various metal-catalyzed asymmetric reactions, particularly asymmetric hydrogenation, asymmetric cyclopropanation, asymmetric Michael addition, and asymmetric Heck reaction.
- Established several excellent hydrogenation and cyclopropanation catalysts for efficient syntheses of important chiral intermediates (α-amino acids, β-amino acids, chiral amines)
- Developed a novel synthesis of an antidepressant drug, sertraline via asymmetric hydrogenation by using a new designed catalyst

1995-1998 Research Assistant, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences

- Accomplished the synthesis of a series of Benzolactam V8 derivatives in seeking novel isozyme-selective Protein Kinase C regulators.

1994-1995 Research Assistant, Department of Pharmaceutical Engineering, East China University of Sciences and Technology

- Improved a synthetic step for the synthesis of Enofloxacin. Conducted the synthetic study of Vitamin E succinate
-
- Gained strong training in chemical engineering and pharmaceutical engineering. Familiarized the manufacturing process of drugs.

AWARDS

1. Shanghai "Pujiang Talents" Program, 2013
2. National " Thousand Plan" Youth program, 2012
3. Thieme Chemistry Journal Award, 2012
4. Excellence in Action Award, 2010, Boehringer Ingelheim Pharmaceuticals, Inc.
5. President's Award, 2009, Boehringer Ingelheim Pharmaceuticals, Inc.
6. Individual Excellence Award, 2009, Boehringer Ingelheim Pharmaceuticals, Inc.
7. Team Spirit Award (twice), 2008, Boehringer Ingelheim Pharmaceuticals, Inc.
8. Golden Achievement Award, 2007, Boehringer Ingelheim Pharmaceuticals, Inc.
9. Golden Achievement Award, 2006, Boehringer Ingelheim Pharmaceuticals, Inc.
10. Golden Achievement Award, 2005, Boehringer Ingelheim Pharmaceuticals, Inc.

11. Dalalian Fellowship, 2002, The Pennsylvania State University

12. Dalalian Fellowship, 2001, The Pennsylvania State Univeristy

13. Dalalian Graduate Research Award, 2000, The Pennsylvania State University

PROFESSIONAL AFFILIATIONS American Chemical Society, Chinese Chemical Society

JOURNAL PUBLICATIONS

1 "Sterically Demanding Aryl-Alkyl Suzuki-Miyaura Coupling" Chengxi Li, Guolan Xiao, Qing Zhao, Huimin Liu, Tao Wang, **Wenjun Tang*** *Org. Chem. Front.* **2014**, *1*, 225-229 (highlighted as *OCF* Cover Paper)

2 "Efficient Syntheses of Korupensamine A, B and Michellamine B by Asymmetric Suzuki-Miyaura Coupling Reactions" Guangqing Xu, Wenzhen Fu, Guodu Liu, Chris H. Senanayake, **Wenjun Tang*** *J. Am. Chem. Soc.* **2014**, *136*, 570−573 (highlighted as *JACS* Cover Paper, by Synfacts)

3 "Practical Syntheses of *N*-Acetyl (E)-β-Arylenamides" Zhihua Cai, Guodu Liu, Guangjun Jiao, Chris H. Senanayake, **Wenjun Tang*** *Synthesis* **2013**, *45*, 3355-3360.

4 "Search for Ideal P-Chiral Phosphorus Ligands for Practical Asymmetric Hydrogenation and Asymmetric Suzuki-Miyaura Coupling" Guodu Liu, Guangqing Xu, Renshi Luo, **Wenjun Tang*** *Synlett*, **2013,** *24*, 2465–247. (Synpacts, invited review)

5 "Asymmetric Ring-Opening of Oxabenzonorbornadiene with Amines Promoted by a Chiral Iridium-monophosphine catalyst" Renshi Luo, Jianhua Liao, Ling Xie, **Wenjun Tang,*** Albert S. C. Chan *Chem. Commun.* **2013**, *49*, 9959-9961.

6 "A Chiral Ruthenium –Monophosphine Catalyst for Asymmetric Addition of Arylboronic Acids to Aryl Aldehydes" Ke Li, Naifu Hu, Renshi Luo, Weicheng Yuan, **Wenjun Tang*** *J. Org. Chem.* **2013**, *78*, 6350-6355.

7 "Enantioselective Rhodium-Catalyzed Addition of Arylboronic Acids to Trifluoromethyl Ketones" Renshi Luo, Ke Li, Yuling Hu, **Wenjun Tang*** *Adv. Syn. Cat.* **2013**, *355*, 1297-1302 (Highlighted by Synfacts)

8 "Design of Phosphorus Ligands with Deep Chiral Pockets: Practical Synthesis fo Chiral β-Arylamines by Asymmetric Hydrogenation" Guodu Liu, Xiangqian Liu, Zhihua Cai, Guangjun Jiao, Guangqing Xu, **Wenjun Tang*** *Angew. Chem., Int. Ed.* **2013**, *52*, 4235-4238 (Highlighted in *Chin. J. Org. Chem.; Chemistry Portal Highlights*)

9 "An Efficient Method for Sterically Demanding Suzuki-Miyaura Coupling Reactions" Qing Zhao, Chengxi Li, Chris H. Senanayake, **Wenjun Tang*** *Chem. Eur. J.*, **2013**, *19*, 2261

10 "The P-Chiral Phosphane Ligand (MeO-BIBOP) for Efficient and Practical Large-Scale Rh-Catalyzed Asymmetric Hydrogenation of N-Acetyl Enamides with High TONs" Wenjie Li,* Sonia Rodriguez, Adil Duran, Xiufeng Sun, **Wenjun Tang,*** Ajith Premasiri, Jun Wang, Kanwar Sidhu, Nitinchandra D. Patel, Jolaine Savoie, Bo Qu, Heewon Lee, Nizar Haddad, Jon C. Lorenz, Larry Nummy, Azad Hossain, Nathan Yee, Bruce Lu, Chris H. Senanayake *Org. Process Res. Dev.* **2013**, *12*, 1061-1065.

11 "Synthesis of a Sodium-Hydrogen Exchange Type 1 (NHE-1) Inhibitor; an Efficient Cu-catalyzed Conjugated Addition of a Grignard Reagent to an Acetyl Pyridinium Salt." **Wenjun Tang**, Nitinchandra Patel, Xudong Wei,* Denis Byrne, Ashish Chitroda, Bikshandarkoil Narayanan, Alexander Sienkiewicz, Laurence J. Nummy, Max Sarvestani, Shengli Ma, Nelu Grinberg, Heewon Lee, Soojin Kim, Zhibin Li, Earl Spinelli, Bing-Shiou Yang, Nathan Yee, and Chris H. Senanayake, *Org. Process Res. Dev.* **2013**, *17*, 382.

12 "Efficient Chiral Monophosphorus Ligands for Asymmetric Suzuki–Miyaura Coupling Reactions" **Wenjun Tang**,* Nitinchandra D. Patel, Guangqing Xu, Xiaobing Xu, Jolaine Savoie, Shengli Ma, Ming-Hong Hao, Santosh Keshipeddy, Andrew G. Capacci, Xudong Wei, Yongda Zhang, Joe J. Gao, Wenjie Li, Sonia Rodriguez, Bruce Z. Lu, Nathan K. Yee, and Chris H. Senanayake *Org. Lett.* **2012**, *14* , 2258–2261

13 "A Practical Asymmetric Synthesis of Isopropyl (1*R*,2*S*)-Dehydrocoronamate" **Wenjun Tang**,* Xudong Wei, Nathan K. Yee, Nitinchandra Patel, Heewon Lee, and Chris H. Senanayake, *Org. Proc. Res. Dev.* **2011**, *15*, 1207-1211

14 "A Mild Palladium-Catalyzed Suzuki Coupling Reaction of Quinoline Carboxylates with Boronic Acid" Wenjie Li,* Joe J. Gao, Yongda Zhang, **Wenjun Tang**, Heewon Lee, Keith R. Fandrick, Bruce Lu, and Chris H Senanayake *Adv. Syn. Cat.* **2011**, *353*, 1671-1675**.**

15 "A Facile Synthesis of *N*-Acetyl Enamides by Reductive Acetylation of Oximes Mediated with Ferrous Acetate: Synthesis of *N*-(1-(4-Bromophenyl)vinyl)acetamide" **Wenjun Tang,*** Nitinchandra D. Patel, Xudong Wei, Nathan K. Yee, and Chris H. Senanayake *Org. Syn.* **2013**, *90*, 62-73.

16 **"** Efficient Monophosphorus Ligands for Palladium-Catalyzed Miyaura Borylation" **Wenjun Tang**,* Santosh Keshipeddy,Yongda Zhang, Xudong Wei, Jolaine Savoie, Nitinchandra D. Patel, Nathan K. Yee, and Chris H. Senanayake *Org. Lett.* **2011**, *13*, 1366-1369.

17 "Dihydrobenzooxaphosphole-Based Monophosphorus Ligands for Palladium-Catalyzed Amination Reactions" Sonia Rodriguez,* Bo Qu, Nizar Haddad, Diana Reeves, **Wenjun Tang**,* Dhileepkumar Krishnamurthy and Chris H. Senanayake *Adv. Asy. Cat.* **2011**, *353*, 533-537

18 "A General and Special Catalyst for Suzuki-Miyaura Coupling Processes" **Wenjun Tang**,* Andrew G. Capacci, Xudong Wei, Wenjie Li, Andre White, Nitinchandra D. Patel, Jolaine Savoie, Joe J. Gao, Sonia Rodriguez, Bo Qu, Nizar Haddad, Bruce Z. Lu, Dhileepkumar Krishnamurthy, Nathan K. Yee and Chris H. Senanayake, *Angew. Chem., Int. Ed.* **2010**, *49*, 5879-5883. *Highlighted as "Synfact of the month" by Synfacts in Nov. 2010.*

19 "Copper Catalyzed Asymmetric Propargylation of Aldehydes" Daniel R. Fandrick, Keith R. Fandrick, Jonathan T. Reeves, Zhulin Tan, **Wenjun Tang**, Andrew G. Capacci, Sonia Rodriguez, Jinhua J. Song, Heewon Lee, Nathan K. Yee and Chris H. Senanayake, *J. Am. Chem. Soc.* **2010**, *132*, 7600-7601.

20 "Novel and Efficient Chiral Bisphosphorus Ligands for Rhodium-Catalyzed Asymmetric Hydrogenation" **Wenjun Tang,*** Andrew G. Capacci, Andre White, Shengli Ma, Sonia Rodriguez, Bo Qu, Jolaine Savoie, Nitinchandra Patel, Xudong Wei, Nizar Haddad, Nelu Grinberg, Nathan K. Yee, Dhileep Krishnamurthy, and Chris H. Senanayake, *Org. Lett.* **2010**, *12*, 1104-1107. *Highlighted by Synfacts*.

21 "Novel, Tunable, and Efficient Chiral Bisdihydrobenzooxaphosphole Ligands for Asymmetric Hydrogenation" **Wenjun Tang**,* Bo Qu, Andrew Capacci, Sonia Rodriguez, Xudong Wei, Nizar Haddad, Bikashandarkoil Narayanan, Shengli Ma, Nelu Grinberg, Nathan K. Yee, and Chris H. Senanayake, *Org. Lett.* **2010**, *12*, 176-179

22 "Chromatographic and Spectroscopic Studies on the Chiral Recognition of Sulfated beta-Cyclodextrin as Chiral Mobile Phase Additive." Shengli Ma, Sherry Shen, Nizar Haddad, **Wenjun Tang**, Jing Wang, Heewon Lee, Nathan Yee, Chris Senanayake, Nelu Grinberg, *J. Chromatography A*, **2009**, *1216*, 1232-1240

23 "A Facile and Practical Synthesis of *N*-Acetyl Enamides" **Wenjun Tang**,* Andrew Capacci, Max Sarvestani, Xudong Wei, Nathan K. Yee, and Chris H. Senanayake, *J. Org. Chem.* **2009**, *74*, 9528-9530

24 "Formation of 2-Trifluoromethylphenyl Grignard Reagent via Magnesium-Halogen exchange: Process Safety Evaluation and Concentration Effect" **Wenjun Tang**,* Max Sarvestani,* Xudong Wei, Nitinchandra Patel, Bikshandarkoil Narayanan, Laurence J. Nummy, Denis Byrne, Heewon Lee, Nathan Yee, and Chris H. Senanayake, *Org. Proc. Res. Dev.* **2009**, *13*, 1426-1430.

25 "Development of a Preparative-Scale Asymmetric Synthesis of (R)-p-Tolyl Methyl Sulfoxide for Use in a One-Pot Synthesis of a Drug Intermediate Containing a Trifluoromethyl-Substituted Alcohol Functionality," Zhengxu Han, Jinhua J. Song, Nathan K. Yee, Yibo Xu, **Wenjun Tang**, Jonathan T. Reeves, Zhulin Tan, Xiao-Jun Wang, Bruce Lu, Dhileepkumar Krishnamurthy, Chris H. Senanayake, *Org. Proc. Res. Dev.* **2007**, *11*, 605-608.

26 "Structure toxicity relationships of synthetic azaspiracid-1 and analogs in mice," Emiko Ito, Michael O. Frederick, Theocharis V. Koftis, **Wenjun Tang**, Goran Petrovic, Taotao Ling, and K. C. Nicolaou, *Harmful Algae* **2006**, 5, 586-591.

27 "Total synthesis and structural elucidation of azaspiracid-1. Final assignment and total synthesis of the correct structure of azaspiracid-1," K. C. Nicolaou, Theocharis V. Koftis, Stepan Vyskocil, Goran Petrovic, **Wenjun Tang**, Michael O. Frederick, David Y.-K. Chen, Yiwei Li, Taotao Ling, and Yoichi M. A. Yamada, *J. Am. Chem. Soc.* **2006**, *128*, 2859-2872.

28 "Total synthesis and structural elucidation of azaspiracid-1. Synthesis-based analysis of originally proposed structures and indication of their non-identiy to the natural product," K. C. Nicolaou, David Y.-K. Chen, Yiwei Li, Noriaki Uesaka, Goran petriovic, Theocharis V. Koftis, Federico Bernal, Michael O. Frederick, Mugesh Govindasamy, Taotao Ling, Petri M, Pihko, **Wenjun Tang**, and Stepan Vyskocil, *J. Am. Chem. Soc.* **2006**, *128*, 2258-2267.

29 "A catalytic asymmetric three-component 1,4-addition/aldol reaction: Enantioselective synthesis of the spirocyclic system of vannusal A," K. C. Nicolaou, **Wenjun Tang**, Philippe Dagneau, and Raffaella Faraoni, *Angew. Chem., Int. Ed*. **2005**, *44*, 3874-3879.

30 "Structural revision and total synthesis of Azaspiracid-1, part 2: Definition of the ABCD domain and total synthesis," K. C. Nicolaou, Theocharis V. Koftis, Stepan Vyskocil, Goran Petrovic, Taotao Ling, Yoichi M. A. Yamada, **Wenjun Tang**, and Michael O. Frederick, *Angew. Chem., Int. Ed*. **2004**, *43*, 4312-4318.

31 "Structural revision and total synthesis of Azaspircad-1, part 1: Intelligence Gathering and tentative proposal," K. C. Nicolaou, Stepan Vyscocil, Theocharis V. Koftis, Yoichi M. A. Yamada, Taotao Ling, David Y.-K. Chen, **Wenjun Tang**, Goran Petrovic, Michael O. Frederick, Yiwei Li, and Masayuki Sataki, *Angew. Chem., Int. Ed*. **2004**, *43*, 4318-4324.

32 "Synthesis of a new class of conformationally rigid phosphino-oxazolines: Highly enantioselective ligands for Ir-catalyzed asymmetric hydrogenation," Duan Liu, **Wenjun Tang**, and Xumu Zhang, *Org. Lett*. **2004**, *6*, 513-516.

33 "Enantioselective hydrogenation of tetrasubstituted olefines of cyclic β-(acylamino) acrylates" **Wenjun Tang**, Shulin Wu, and Xumu Zhang, *J. Am. Chem. Soc.* **2003**, *125*, 9570-9571.

34 "A P-chiral-bisphosphepine ligand for practical synthesis of β-aryl β-amino acids via asymmetric hydrogenation," **Wenjun Tang**, Weimin Wang, Yongxiang Chi, and Xumu Zhang, *Angew. Chem., Int. Ed.* **2003**, *42*, 3509-3511.

35 "New chiral phosphorous ligands for enantioselective hydrogenation," **Wenjun Tang**, and Xumu Zhang, *Chem. Rev.* **2003**, *103*, 3029-3069.

36 "Asymmetric hydrogenation of itaconic acid and enol acetate derivatives with the Rh-TangPhos catalyst," **Wenjun Tang**, Duan Liu, and Xumu Zhang, *Org. Lett.* **2003**, *5*, 205-207.

37 "A new class of phospholane-oxazoline ligands for Ir-catalyzed asymmetric hydrogenation," **Wenjun Tang**, Weimin Wang, and Xumu Zhang, *Angew. Chem., Int. Ed*. **2003**, *42*, 943-946.

38 "Aromatic nucleophilic substitution or CuI-catalyzed coupling route to Martinellic Acid," Dawei Ma, Chengfeng Xia, Jiqing Jiang, Jianhua Zhang, and **Wenjun Tang**, *J. Org. Chem.* **2003**, *68*, 442-451.

39 "Highly enantioselective hydrogenation of enol acetates catalyzed by Ru-TunaPhos complexes," Shulin Wu, Weimin Wang, **Wenjun Tang**, Min Lin, and Xumu Zhang, *Org. Lett.* **2002**, *4*, 4495-4497.

40 "Highly efficient synthesis of chiral beta-amino acid derivatives via asymmetric hydrogenation," **Wenjun Tang,** and Xumu Zhang, *Org. Lett.* **2002**, *4*, 4159-4161.

41 "A practical synthesis of 2-amino-2'-hydroxy-1,1'-binaphthyl (NOBIN)," Karsten Korber, **Wenjun Tang**, Xinquan Hu, and Xumu Zhang, *Tetrahedron Lett*. **2002**, *43*, 7163-7165.

42 "An ortho-substituted BIPHEP ligand and its applications in Rh-catalyzed hydrogenation of cyclic enamides," **Wenjun Tang**, Yongxiang Chi, and Xumu Zhang, *Org. Lett.* **2002**, *4*, 1695-1698.

43 "A chiral 1,2-bisphospholane ligand with a novel structural motif: Applications in highly enantioselective Rh-catalyzed hydrogenations," **Wenjun Tang**, and Xumu Zhang, *Angew. Chem., Int. Ed*. **2002**, *41*, 1612-1614.

44 "Highly effective chiral ortho-substituted BINAPO ligands (o-BINAPO): Applications in Ru-catalyzed asymmetric hydrogenations of beta-aryl-substituted beta-(acylamino)acrylates and beta-keto esters," Yong-Gui Zhou, **Wenjun Tang**, Wenbo Wang, Wenge Li, and Xumu Zhang, *J. Am. Chem. Soc.* **2002**, *124*, 4952-4953.

45 **"**A new chiral ruthenium complex for catalytic asymmetric cyclopropanation," **Wenjun Tang**, Xinquan Hu, and Xumu Zhang, *Tetrahedron Lett.* **2002**, *43*, 3075-3078.

46 "General and stereospecific route to 9-substituted, 8,9-disubstituted, and 9,10-disubstituted analogues of benzolactam-V8," Dawei Ma, **Wenjun Tang**, Alan P. Kozikowski, Nancy E. Lewin, and Peter M. Blumberg, *J. Org. Chem*. **1999**, *64*, 6366-6373.

47 "Stereospecific synthesis of 9-substituted benzolactam-V8 from L-tyrosine via orientation transfer of aromatic nitration," Dawei Ma, and **Wenjun Tang**, *Tetrahedron Lett.* **1998**, *39*, 7369-7372.

BOOK CHAPTER

1. "The other bisphosphine ligands for enantioselective alkene hydrogenation," Yongxiang Chi, **Wenjun Tang**, and Xumu Zhang, In Book "Hangbook of Homogeneous Hydrogenation", pa 853-882, Editor(s): De Vries, Johannes G.; Elsevier, Cornelis J., Wiley-VCH, Weinheim, Germany, **2007**.

2. "Rhodium-catalyzed asymmetric hydrogenation," Yongxiang Chi, **Wenjun Tang**, and Xumu Zhang, In Book "*Modern Rhodium-Catalyzed Organic Reactions*", pa 1-31, Editor: P. Andrew Evans, Wiley-VCH, Weinheim, Germany, **2005**.

DISSERTATION

1. "Development of efficient chiral ligands for asymmetric catalysis," **Wenjun Tang**, The Pennsylvania State University, **2003**.

2. "Synthetic studies of benzolactam V8 derivatives," **Wenjun Tang**, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, **1998**.

PATENTS

1. "An expedite method for the synthesis of 3,4-disubstituted-2,3-dihydro[d][1,3]oxophosphole" **Wenjun Tang**, Wenzhen Fu, Zhongguofamingzhuanli, in application

2. "P-chiral Phosphorus ligands, their metal complexes, and applications" **Wenjun Tang**, Guodu Liu, 201310020371.1

3. "Method for stereoselective synthesis of 1,4-protected 9-hydroxy-5-oxo-1,4-diaza-spiro[5,5]undecanes" Juergen Schnaubelt, **Wenjun Tang**, WO2013117568

4. "Monophosphorus Ligands and Their Use in Cross-Coupling Reactions" Nizar Haddad, Bo Qu, Chris H. Senanayake, **Wenjun Tang**, Xudong Wei, Nathan K. Yee, PCT Int. Appl. (2011), WO 2011126917 (major contributor)

5. "Novel Chiral Phosphorus Ligands" Bo Qu, Chris H. Senanayake, **Wenjun Tang**, Xudong Wei, Nathan K. Yee, PCT Int. Appl. (2011), 53pp. WO 2011056737 (major contributor)

6. "A Process for the Preparation of Quinoline Derivatives for Use as HIC Intergrase Inhibitors" Wenjie Li, Philomen De Croos, Keith R. Fandrick, Joe Ju Gao, Nizar Haddad, Zhi-Hui Lu, Bo Qu, Sonia Rodriguez, Chris H. Senanayake, Yongda Zhang, **Wenjun Tang**, PCT Int. Appl. (2012), WO 2012138670.

7. "Process for preparation of alkoxybromochloroarylquinolines from alkoxydichloroquinolines" Nitinchandra D. Patel, Chris H. Senanayake, **Wenjun Tang**, Xudong Wei, Nathan K. Yee, PCT Int. Appl. (2010), 29pp. WO 2010129451

8. "Process for preparation of (S)-3-[4-(benzyloxy)-3,5-dimethylphenyl]-2-[4-(2-oxo-4,5-dihydro-1H-benzo[d][1,3]diazepin-3(2H)-yl)piperidine-1-carbonyloxy]propanoic aci and related compounds." Nizar Haddad, Dhileepkumar Krishnamurthy, Diana C. Reeves, Chris H. Senanayake, **Wenjun Tang**, Nathan K. Yee, PCT Int. Appl. (2010), 24pp. WO 2010048138

9. "Synthesis of 3-aminotetrahydrofuran-3-carboxylic acid derivatives for use as medicaments," Han, Zhengxu; Gerlach, Kai; Krishnamurthy, Dhileepkumar; Matthes, Burkhard; Nar, Herbert; Priepke, Henning; Schuler-Metz, Annette; Senanayake, Chris H.; Sieger, Peter; **Tang, Wenjun**; Wienen, Wolfgang; Xu, Yibo; Yee, Nathan K. PCT Int. Appl. (2008), 178pp. WO 2008080891

10 " Processes for the preparation of glucopyranosyl-substituted benzyl or benzene derivatives," Matthias Eckhardt, Frank Himmelsbach, Xiao-Jun Wang, Xiufeng Sun, Li Zhang, **Wenjun Tang**, Dhileepkumar Krishanmurthy, Chris H. Senanayake, Zhengxu Han, PCT Int. Appl. (2006), 88pp. WO 2006120208

11. "Preparation of chiral cyclic amino acids and derivatives," Xumu Zhang and **Wenjun Tang**, US Pat. Appl. Publ. (2004), US 2004242889.

12. "P-Chiral phospholanes and phosphocyclic compounds and their use in asymmetric catalytic reactions" Xumu Zhang and **Wenjun Tang**, US Pat. Appl. Publ. (2004), US 2004229846.

13. "P-Chiral phospholanes and phosphocyclic compounds and their use in asymmetric catalytic reactions" Xumu Zhang and **Wenjun Tang**, PCT Int. Appl. (2003) WO 2003042135.

PRESENTATIONS (not updated)

1 "Process Research and Technology" **Wenjun Tang**, Suzhou Norvartis, May, **2012**

2 "Process Research and Technology" Wenjun Tang, Chinese Pharmaceutical and Chemical Technology Association, Suzhou, April, **2012**

3 "Process Research and Technology" **Wenjun Tang**, Lonza, Guangzhou, March, **2012**

4 "Development of Novel Phosphorus Ligands for Efficient Metal-Catalyzed Reactions and Processes" **Wenjun Tang**, BIT's 2nd World Annual Congress of Catalytic Asymmetric Synthesis, Beijing, Aug 9-11, **2011**

5 "Development of Novel Phosphorus Ligands for Efficient Metal-Catalyzed Reactions and Processes" **Wenjun Tang**, Modern Synthetic Methods & Chiral Europe, Edinburgh, May 23-25, **2011**

6 "Development of Novel Chiral Phosphorus Ligands for BI Drug Candidates" Wenjun Tang, Boehringer Ingelheim Drug Substances Development Meeting, Biberach, Germany, **2011**.

7 "Recent Development in Catalysis at BI" Wenjun Tang, NERM 2010, Potsdam, NY, Jun 2-5, **2010**.

8 "Formation of 2-Trifluoromethylphenyl Grignard Reagent via Magnesium-Halogen exchange: Process Safety Evaluation and Concentration Effect" **Wenjun Tang**, Gordon Research Conferences, Salve Regina University, Newport, RI, July 12-17, **2009**.

9 "Total synthesis of Azaspiracid; Development of efficient chiral ligands for asymmetric catalysis," **Wenjun Tang**, East China University of Sciences and Technology, December, **2007**

10 "Asymmetric hydrogenation of functional olefins and ketones with TunePhos-Ru catalyst," Xumu Zhang, Shulin Wu, **Wenjun Tang**, Aiwen Lei, and Minsheng He, 228th ACS National Meeting, Philadelphia, PA, August 22-26, **2004**.

11 "Synthesis of chiral binaphthyl phospholane and phosphepine ligands and their applications in highly enantioselective hydrogenation of beta-amino acid derivatives," Xumu Zhang, **Wenjun Tang**, and Weimin Wang, 228th ACS National Meeting, Philadelphia, PA, August 22-26, **2004**.

12 "Asymmetric hydrogenation of olefins catalyzed by Ir-complexes with new phosphine oxazoline ligands," Duan Liu, Wenjun Tang, and Xumu Zhang, 226th ACS National Meeting, New York, NY, September 7-11, **2003**.

13 "A new efficient bisdinaphthophosphepine ligand for asymmetric hydrogenation," **Wenjun Tang**, and Xumu Zhang, 225th ACS National Meeting, New Orleans, LA, March 23-27, **2003**.

14 "Development of a new, highly efficient 1, 2-bisphospholane ligand for asymmetric hydrogenation," Xumu Zhang and **Wenjun Tang**, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

15 "An ortho-substituted BIPHEP ligand and its applications in Rh-catalyzed hydrogenation of cyclic enamides," Xumu Zhang, **Wenjun Tang**, and Yongxiang Chi, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

16 "Applications of a new chiral 1,2-diphospholane ligand in Rh-catalyzed hydrogenation," Xumu Zhang, and **Wenjun Tang**, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

17 "A family of novel chiral N, P-ligands in iridium-catalyzed asymmetric hydrogenation," Xumu Zhang, **Wenjun Tang,** and Weimin Wang, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

18 "Applications of chiral ortho-substituted BINAPO ligands in asymmetric hydrogenation," Xumu Zhang, Yong-Gui Zhou, and **Wenjun Tang**, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

19 "Synthesis of chiral bisphosphines with tunable bite angles (TunaPhos) and their applications in asymmetric hydrogenation," Xumu Zhang, Shulin Wu, Weimin Wang, Min Lin, **Wenjun Tang**, Zhaoguo Zhang, Hu Qian, and Jim Longmire, 224th ACS National Meeting, Boston, MA, August 18-22, **2002**.

20 "New ligands derived from NOBIN and their applications for metal-catalyzed reactions," Xumu Zhang, **Wenjun Tang**, Karsten Korber, and Xinquan Hu, 220th ACS National Meeting, Washington, D.C., August 20-24, **2000**.

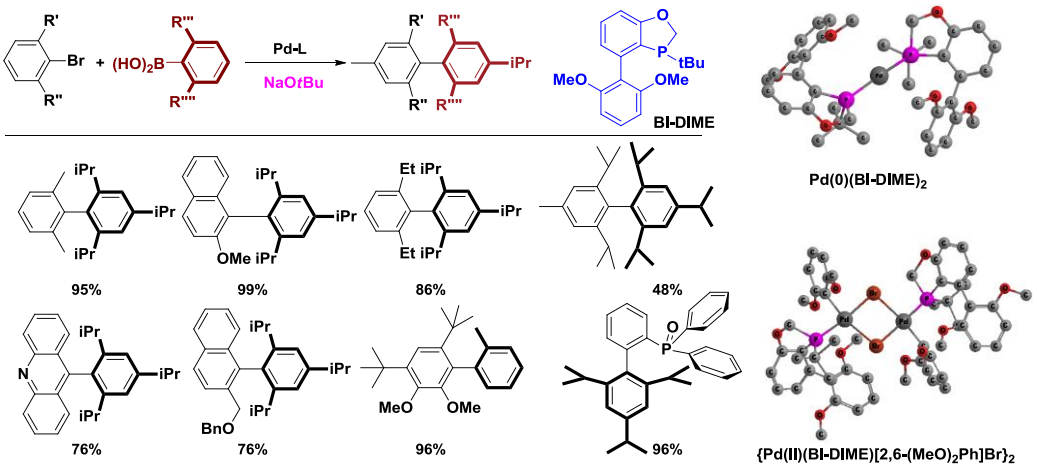
Major Achievements (Work at Shanghai Institute of Organic Chemistry)

1. Efficient Syntheses of chiral biaryl natural products by asymmetric Suzuki Miyaura Coupling

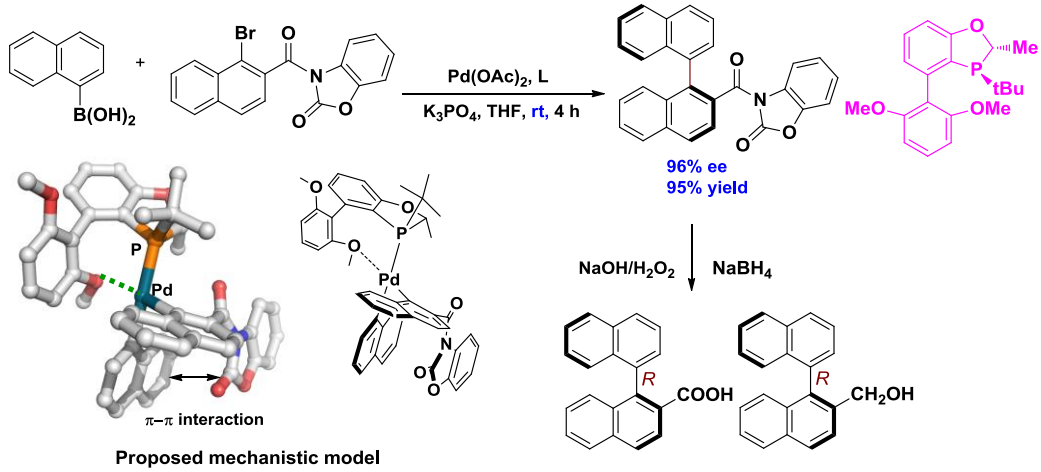
There exist numerous chiral biaryl natural products in nature with interesting biological functions. For example, both Korupensamine A and its atropisomer Korupensamine B, isolated from Cameroon Liana *Ancistrocladus korupensis*, provi de strong antimalarial activities. Their heterodimer Michellamine B is a strong *anti*-HIV-1 and *anti*-HIV-2 agent, once use d for clinical trial. Construction of chiral biaryl natural products by efficient asymmetric Suzuki-Miyaura coupling reactio ns under mild reactive conditions is among most attractive, yet remains one of most challenging areas in organic synthesis.

The development of a highly reactive, stereoselective, and practical methodology of asymmetric Suzuki-Miyaura coup ling has thus become a major research goal in Professor Wenjun Tang' research group at State Key Laboratory of Bioorga nic & Natural Products Chemistry of Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences. A novel sol ution to this problem was proposed by them with the development of a catalyst composed of a chiral bulky monophosphor us ligand as well as the utilization of a 2nd interaction between two coupling partners（*Synlett*, **2013**, *24*, 2465）. Toward th is end, the coupling catalyst must be efficient for sterically demanding Suzuki-Miyaura coupling. The group have thus des igned and developed a series of rigid and sterically bulky monophosphorus ligands for extremely hindered aryl-aryl and ar

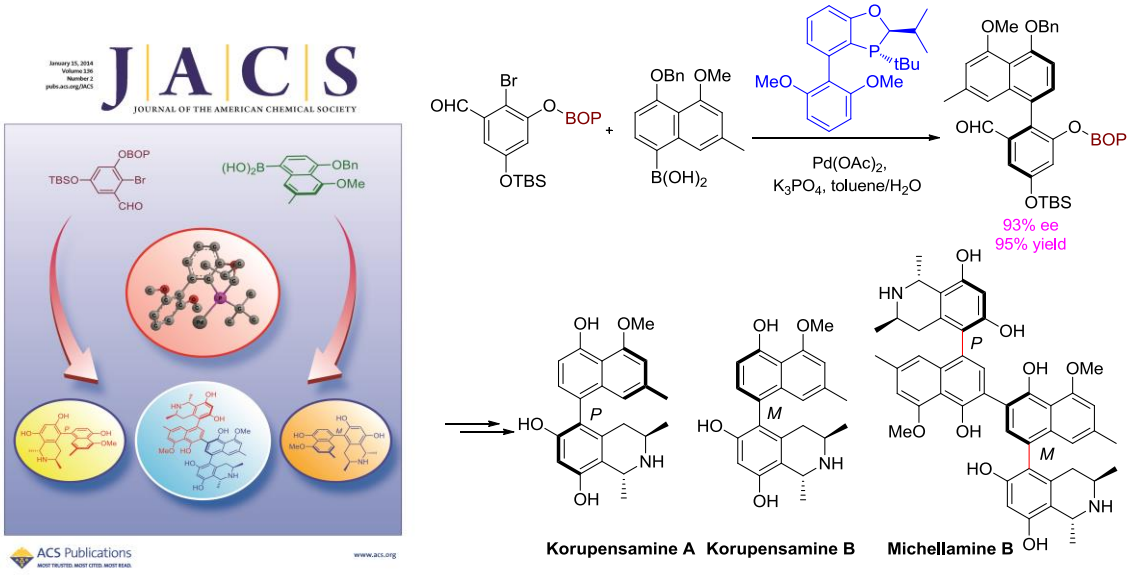
yl-alkyl Suzuki-Miyaura couplings, which have significantly expanded the synthetic utilities of cross-coupling reactions (*Chem. Eur. J.* **2013,** *19*, 2261; *Angew. Chem., Int. Ed.* **2010**, *49*, 5879**;** *Org. Chem. Front.* **2014**, *1*, 225).



Meanwhile, a practical and highly stereoselective asymmetric Suzuki-Miyaura coupling methodolgy for functionalized biaryls was developed with a P-chiral monophosphorus ligand developed in their group, and the influence of **π** − **π** 2nd interaction between two coupling partners on enantioselectivity was explored and studied (*Org. Lett.* 2012**,** *14* **,** 2258).



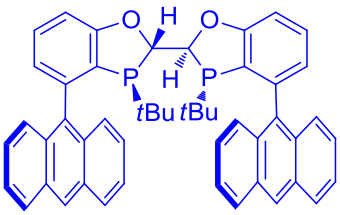
Recently, a more practical and efficient asymmetric Suzuki-Miyaura coupling was developed with excellent enantioselectivities and functional group compatibility, taking advantage of a polar—**π** 2nd interaction between coupling partners (*J. Am. Chem. Soc.* 2014**,** *136* , 570–573). The methodology has been successfully applied for the first time in natural product syntheses and allowed the syntheses of both korupensamine A, B, and Michellamine B through catalytic asymmetric Suzuki-Miyaura coupling. This strategy should greatly facilitate the concise syntheses of a wide range of chiral biaryl natural products. The project is financially supported by the "Thousand Plan" Youth program, the National Natural Science Foundations of China, Science and Technology Commission of Shanghai Municipality and Chinese Academy of Sciences.



2. Efficient synthesis of chiral β-arylamines by asymmetric hydrogenation

Chiral β-arylamines exist in numerous biologically interesting natural products and therapeutic agents. For examples, such moieties commonly exist in a series of naphthylisoquinoline alkaloids such as Michellamine B and Korupensamine A. They also serve as pivital structural units for many active pharmaceutical ingredients such as MDA, tamsulosin, selegiline, arformoterol, rotigotine, and silodosin. Development of efficient asymmetric synthetic methods of chiral β-arylamines has thus become a subject of significant interests. One of the most attractive methods is asymmetric hydrogenation of readily ac cessible (*E*)-β-arylenamides, which has proven to be difficult with currently known catalysts.

A novel C_2-symmetric bisphosphorus ligand-WingPhos *(Angew. Chem. Int. Ed*. 2013, 52, 4235-4238) with a deep chi ral pocket has been recently developed from the research group of Professor Wenjun Tang in State Key Laboratory of Bioo rganic & Natural Products Chemistry of Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences. Its rhodiu m complex has shown high efficiency (up to 99% ee, up to 10,000 *s/c* ratio)in asymmetric hydrogenation of (*E*)-β-aryl-*N*-a cetyl enamides, cyclic β-arylenamides, and heterocyclic β-arylenamides. A series of important chiral intermediates such as chiral β-arylisopropylamines, 2-aminotetralines, and 3-aminochromans can thus be efficiently synthesized. The methodolo gy is potentially practical for the syntheses of several key chiral intermediates of therapeutic agents and natural products. T he project is financially supported by the “Thousand Plan” Youth program, the National Natural Science Foundations of C hina, and Chinese Academy of Sciences.



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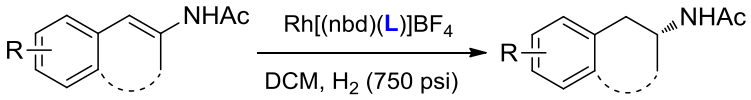
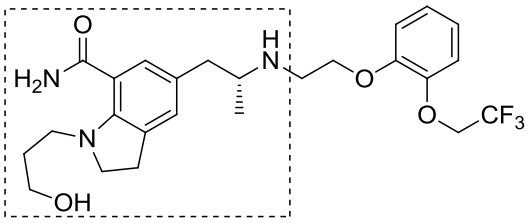
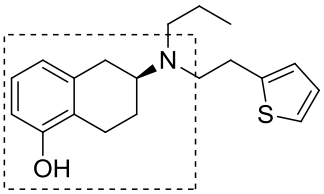


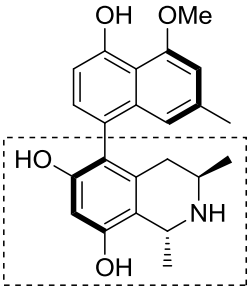
up to 99% ee
up to 10,000 TON



Silodosin



Rotigotine



Korupensamine A