

Jon C. Antilla

Professor of Chemistry and Chair of Chemistry and Physics
Louisiana State University
Shreveport
Tel: 813-600-0654
E-mail: jon.antilla@lsus.edu

Professional Appointments

Louisiana State University, Shreveport, Chair of Chemistry and Physics (2024-)
Lehigh University, Bethlehem, Visiting Professor of Chemistry (2023)
Zhejiang Sci-Tech University, China, Professor of Chemistry (2020-2023)
Tianjin University, China, Professor of Pharmaceutical Sciences (Jan 2016- Dec 2019)
The University of South Florida, Professor of Chemistry with tenure (2014-2016)
The University of South Florida, Associate Professor of Chemistry, with tenure (2010-2014)
The University of South Florida, Assistant Professor of Chemistry, (2005–2010)
Member, Drug Discovery Program, Moffitt Cancer Center, (2005-2016)
Member, The Center for Molecular Diversity in Drug Design, Discovery, and Delivery (2007-2016)
Member, Florida Center of Excellence for Biomolecular Identification and Targeted Therapeutics (2007-2014)
Visiting Professor, Qingdao Agricultural University, Qingdao, China (2015)
Visiting Professor, Jilin University, Changchun, China (2010)
Visiting Professor, University of Le Havre, Le Havre, France (July 2009)
The University of Mississippi, Assistant Professor of Chemistry. (2003–2005)

Education

University of Chicago (2000)
Ph.D. Advisor: Professor William D. Wulff.
Thesis: "Catalytic Asymmetric Aziridination"
Northern Michigan University, Marquette, MI. (1995)
B.S. Chemistry, *cum laude*.

Experience

Massachusetts Institute of Technology, Post-Doctoral Research. Advisor: Stephen L. Buchwald. (2000-2003)
-National Institutes of Health / National Cancer Institute post-doctoral training fellow.
-Research on the development of new copper-catalyzed coupling methods: The copper-catalyzed *N*-arylation of azoles. The copper-catalyzed coupling of amines with arylboronic acids.

University of Chicago, Ph.D. Research. (1995-2000)
-Completed methodological studies on the catalytic enantioselective synthesis of chiral aziridines with unprecedented stereoselectivities.

Awards

Friendship Award of Zhejiang Province, China (Highest provincial award for foreign experts 2022)
Zhejiang Foreign Talent (2022)
Tianjin Foreign Talent (2017)
National Foreign Talent of China – Full Time (2017-)
National Science Foundation CAREER Award (4/1/2009 – 3/31/2014).
Japanese Society for the Promotion of Sciences (JSPS) Fellowship for 2011.
Synlett and *Synthesis* “Thieme Journal Awardee” for 2009.
Outstanding Research Achievement Award from The University of South Florida for the Year 2008.
NIH National Cancer Institute post-doctoral fellow at MIT (2001-2003).

Service

NIH EBIT Panel (San Fran, 2010)
NIH SBCA Panel (Washington, D. C. 2011)
Zhejiang Foreign Talent (2022)
NSF CAREER Panel (Washington D. C., 2012)

Recent Scientific Publications and Presentations (2010-present, 17 additional papers before 2010) H-index = 38, Cited >6700

“Copper-Catalyzed Guanidinylation of Aryl Iodides: The Formation of *N,N'*-Disubstituted Guanidines,” Cortes-Salva, M.; Nguyen, L.; Cuevas, J.; Pennypacker, K.; Antilla, J. C., *Org. Lett.* **2010**, *12*, 1316.

“Chiral Phosphoric Acid-Catalyzed Addition of Dihydropyrans to *N*-Acyl Imines: Stereocontrolled Access to Enantioenriched Spirocyclic Oxazoletetrahydropyrans with Three Contiguous Stereocenters,” Li, G.; Kaplan, M. J.; Wojtas, L.; Antilla, J. C., *Org. Lett.* **2010**, *12*, 1960.

“Gram-Scale Preparation of VAPOL Hydrogenphosphate: A Structurally Distinct Chiral Brønsted Acid,” Desai, A. A.; Huang, L.; Wulff, W. D.; Rowland, G. B.; Antilla, J. C. *Synthesis* **2010**, *12*, 2106.

“Chiral Phosphoric Acid-Catalyzed Peroxidation of Imines,” Zheng, W.; Wojtas, L.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2010**, *49*, 6589. (Note: this was an Angewandte Chemie “Hot Paper”)

“Chiral Brønsted Acid-Catalyzed Allylboration of Aldehydes,” Jain, P.; Antilla, J. C., *J. Am. Chem. Soc.* **2010**, *132*, 11884.

“Chiral Brønsted Acid-Catalyzed Pinacol Rearrangement,” Liang, T.; Zhang, Z.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2010**, *49*, 9734.

“Ligand-Free Copper-Catalyzed Arylation of Amidines,” Cortes-Salva, M.; Garvin, C.; Antilla, J. C., *The Journal of Organic Chemistry* **2011**, *76*, 1456.

“Highly Enantioselective Catalytic Benzoyloxylation of 3-Aryloxindoles Using Chiral VAPOL Calcium Phosphate,” Zhang, Z.; Zheng, W.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2011**, *50*, 1135.

“Palladium-Catalyzed Tunable Functionalization of Allylic Imidates: Regioselective Aminoacetoxylation and Aziridination,” Cui, S.; Wojtas, L.; Antilla, J. C. *Angew. Chem. Int. Ed.* **2011**, *50*, 8927.

“Calcium Catalyzed Asymmetric Chlorination of Oxindoles,” Zheng, W.; Zhang, Z.; Kaplan, M. J.; Antilla, J. C., *The Journal of the American Chemical Society* **2011**, *133*, 3339.

“Chiral Magnesium BINOL Phosphate-Catalyzed Phosphination of Imines: Access to Enantioenriched α -Amino Phosphine Oxides,” Liang, Y.; Ingle, G.; Li, G.; Fronczek, F. R.; Antilla, J. C., *Organic Letters* **2011**, *13*, 2054.

“Catalytic Asymmetric Aza-Darzens Reaction with a Vaulted Biphenanthrol Magnesium Phosphate Salt,” Larson, S. E.; Li, G.; Rowland, G. B.; Junge, D.; Huang, R.; Woodcock, H. L.; Antilla, J. C., *Organic Letters* **2011**, *13*, 2188.

“Direct Synthesis of Chiral 1,2,3,4-Tetrahydropyrrolo[1,2-*a*]pyrazines via a Catalytic Asymmetric Intramolecular Aza-Friedel–Crafts Reaction,” He, Y.; Lin, M.; Li, Z.; Liang, X.; Li, G.; Antilla, J. C., *Org. Lett.* **2011**, *13*, 4490.

“Chiral Phosphoric Acid-Catalyzed Addition of Thiols to *N*-Acyl Imines: Access to Chiral *N,S*-Acetals,” Ingle, G. K.; Mormino, M. G.; Li, G.; Wojtas, L.; Antilla, J. C., *Org. Lett.* **2011**, *13*, 4822.

“Palladium-Catalyzed C4-Olefination of Oxazoles via C-H Bond Activation: Divergent Synthesis of Functionalized Amino Alcohol and Amino Acid Derivatives,” Cui, S.; Wojtas, L.; Antilla, J. C., *Org. Lett.* **2011**, *13*, 5040.

“Asymmetric Reduction of Ketones by Phosphoric Acid Derived Catalysts,” Zhang, Z.; Jain, P.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2011**, *50*, 10961.

“Brønsted Acid-Catalyzed Asymmetric Propargylation of Aldehydes,” Jain, P.; Wang, H.; Houk, K. N.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2012**, *51*, 1391.

“Enantioselective Construction of Pyrroloindolines Catalyzed by Chiral Phosphoric Acids: Total Synthesis of (-)-Debromoflustramine B,” Zhang, Z.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2012**, *51*, 11778.

“In vitro evaluation of guanidine analogues as sigma receptor ligands for potential anti-stroke therapeutics,” Behansky, A. A.; Cortes-Salva, M.; Seminerio, M. J.; Matsumoto, R. R.; Antilla, J. C.; Cuevas, J. *J. Pharmacol. Exp. Ther.* **2012**, *344*(1), 155.

“Origins of Stereoselectivities in Chiral Phosphoric Acid Catalyzed Allylboration and Propargylations of Aldehydes,” Wang, H.; Jain, P.; Antilla, J. C.; Houk, K. N. *The Journal of Organic Chemistry* **2013**, *78*, 1208.

“An Asymmetric Diels-Alder Reaction Catalyzed by Chiral Phosphate Magnesium Complexes: Highly Enantioselective Synthesis of Chiral Spirooxindoles,” Li, G.; Liang, T.; Wojtas, L.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2013**, *52*, 4628.

“In Vitro Evaluation of Guanidine Analogs as Sigma Receptor Ligands for Potential Anti-Stroke Therapeutics,” Behensky, A. A.; Cortes-Salva, M.; Seminerio, M. J.; Matsumoto, R. R.; Antilla, J. C.; Cuevas, J. *J. Pharm. Exp. Ther.* **2013**, *344*, 155.

“Two Homochiral Organocatalytic Metal Organic Materials with Nanoscopic Channels,” Zhang, Z.; Ji, Y. R.; Wojtas, L.; Gao, W.-Y.; Ma, S.; Zaworotko, M. J.; Antilla, J. C., *Chem. Commun.* **2013**, *49*, 7693.

“Novel Nicotinic Receptor Agonists Improve Gait and Balance in Olivocerebellar Ataxia,” Wecker, L.; Enberg, M.E.; Philpot, R. M.; Lambert, C. S.; Kang, C. W.; Antilla, J. C.; Bickford, P. C.; Hudson, C. E.; Zesiewicz, C. A. *Neuropharmacology* **2013**, *73*, 75.

“Asymmetric One-Pot Synthesis of 1,3-Oxazolidines and 1,3-Oxazinanes via Hemiaminal Intermediates,” Nimmagadda, S. K.; Zhang, Z.; Antilla, J. C., *Org. Lett.* **2014**, *16*, 4098.

“Chiral metal phosphate catalysis: highly asymmetric hetero-Diels-Alder reactions,” Liang, T.; Li, G.; Wojtas, L.; Antilla, J. C., *Chem. Commun.* **2014**, *50*, 14187.

“H8-BINOL chiral imidodiphosphoric acids catalyzed enantioselective synthesis of dihydroindolo-*l*-pyrrolo[1,2-*a*]quinoxalines,” Fan, Y.-S.; Jiang, Y.-J.; An, D.; Sha, D.; Antilla, J. C.; Zhang, S., *Org. Lett.* **2014**, *16*, 6112.

Publications since arrival to China:

“Aromatic Dearomatization Reactions” Book Edited by Shuli You. Chapter contribution: *Organocatalytic Asymmetric Dearomatization Reactions*. Wiley. **2016**, 175-205.

“Enantioselective Synthesis of Chiral Oxime Ethers: Desymmetrization and Dynamic Kinetic Resolution of Substituted Cyclohexanones,” Nimmagadda, S. K.; Mallojjala, S. C.; Wojtas, L.; Wheeler, S. E.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2017**, *56*, 2454.

“Chiral Phosphoric Acid-Catalyzed Asymmetric Synthesis of Hetero-triarylmethanes from Racemic Indolyl Alcohols,” Yu, C.; Na, F.; Fang, X.; Cao, Y.; Antilla, J. C., *Angew. Chem. Int. Ed.* **2018**, *76*, 11004.

“Catalytic One-Pot Double Asymmetric Cascade Reaction: Synthesis of Chlorinated Oxindoles and Geminal Diamines,” Fang, X.; Deng, Z.; Zheng, W.; Antilla, J. C., *ACS Catal.* **2019**, *9*, 1748.

“Catalytic Enantioselective Diels-Alder Reactions of Benzoquinones and Vinylindoles with Chiral Magnesium Phosphate Complexes,” Bai, Y.; Yuan, J.; Hu, X.; Antilla, J. C., *Org. Lett.* **2019**, *21*, 6112.

“Design and Synthesis of New Alkyl-Based Chiral Phosphoric Acid Catalysts,” Tang, X.; He, H.; Fang, X.; Chang, Z.; Antilla, J. C., *Chirality*. **2019**, *8*, 592.

“Imine Amidation Catalyzed by a Chiral VAPOL Calcium Phosphate,” Cao, R.; Antilla, J. C., *Org. Lett.* **2020**, *22* (15), 5958-5962.

- “Catalytic Asymmetric 1,4-Reduction of α -Branched 2-Vinyl-azaarenes by a Chiral SPINOL-Derived Borophosphate,” Cao, Y.; Zhang, S.; Antilla, J. C., *Acs Catalysis* **2020**, *10* (19), 10914-10919.
- “Bi(cyclopentyl)diol-Derived Boronates in Highly Enantioselective Chiral Phosphoric Acid-Catalyzed Allylation, Propargylation, and Crotylation of Aldehydes,” Yuan, J.; Jain, P.; Antilla, J. C., *J. Org. Chem.* **2020**, *85* (20), 12988-13003.
- “Catalytic Asymmetric Transfer Hydrogenation of trans-Chalcone Derivatives Using BINOL-derived Borophosphates,” Na, F.; Lopez, S. S.; Beauseigneur, A.; Hernandez, L. W.; Sun, Z.; Antilla, J. C., *Org. Lett.* **2020**, *22* (15), 5953-5957.
- “Chiral Calcium Phosphate Catalyzed Enantioselective Amination of 3-Aryl-2-benzofuranones,” Liu, R.; Krishnamurthy, S.; Wu, Z.; Tummalapalli, K. S. S.; Antilla, J. C., *Org. Lett.* **2020**, *22* (20), 8101-8105.
- “Acylation of 2-benzylpyridine N-oxides and subsequent in situ 3,3 -sigmatropic rearrangement reaction,” Jing, H.-q.; Li, H.-l.; Antilla, J. C., *Tetrahedron Letters* **2020**, *61* (42).
- “Catalytic Asymmetric C-7 Friedel-Crafts Alkylation/N-Hemiactalization of 4-Aminoindoles,” He, H.; Cao Y.; Xu, J.; Antilla J. C., *Org. Lett.* **2021** DOI: 10.1021/acs.orglett.1c00699
- “Asymmetric Reduction of α -Trifluoromethylated Imines with Catecholborane Catalyzed by BINOL-derived Borophosphates,” He, H.; Tang, X.; Cao, Y.; Antilla J. C., *J. Org. Chem.* **2021**, *86*, 4336–4345.
- “Chiral Phosphoric Acid-Catalyzed Enantio- and Diastereoselective Allylboration of Aldehydes with β,γ -Substituted Allylboronates,” Yuan, J.; Jain, P.; Antilla J. C., *J. Org. Chem.* **2022**, *87*, 8256–8266.
- “Chiral Calcium Phosphate-Catalyzed Enantioselective Amination of 3-Aryl-2-oxindoles with Dibenzyl Azodicarboxylate,” Wu, Z.; Krishnamurthy, S.; Tummalapalli, K. S. S.; Antilla J. C., *J. Org. Chem.* **2022**, *87*, 8203–8212.
- “Enantioselective Amination of β -Keto Esters Catalyzed by Chiral Calcium Phosphates,” Wu, Z.; Krishnamurthy, S.; Tummalapalli, K. S. S.; Xu, J.; Yue, C.; Antilla J. C., *Chemistry, A European Journal* **2022**, DOI: 10.1002/chem.20220907.
- “Asymmetric Reductive Amination with Pinacolborane Catalyzed by Chiral SPINOL Borophosphates,” Wu, Z.; He, H.; Chen, M.; Zhu, L.; Zheng, W.; Cao, Y.; Antilla, J. C., *Org. Lett.* **2022**, *24*, 9436-9431.
- “Enantioselective Mukaiyama–Michael Reaction of β,γ -Unsaturated α -Keto Esters with Silyl Ketene Acetals Catalyzed by a Chiral Magnesium Phosphate,” He, H.; Shen, X.; Ding, X.; Antilla, J. C., *Org. Lett.* **2023**, *25*, 782-787.
- “Asymmetric Rubottom-Type Oxidation Catalyzed by Chiral Calcium Phosphates,” He, H.; Tummalapalli, K. S. S.; Zhu, L.; Chen, M.; Krishnamurthy, S.; Antilla J. C., *Chemistry, A European Journal* **2023**, e202203720.
- “Catalytic Asymmetric Desymmetrization of Cyclic 1,3-Diketones Using Chiral Boro-phosphates,” Chen, M.; Zhu, L.; Zheng, W.; Fu, Y.; Zhang, J.; He, H.; Antilla, J. C., *Org. Lett.* **2024**, *26*, 3951-3956.

Presentations (partial list)

2016

Nankai University, Tianjin, China (Spring 2016)
Institute for Radiation Medicine, Tianjin, China (Spring 2016)
WuXi AppTech Company, Tianjin, China (Spring 2016)
Chuo University, Tokyo, Japan (Summer 2016) 2 seminars
Tokyo University, Tokyo, Japan (Summer 2016)
Tokyo Institute of Technology, Tokyo, Japan (Summer 2016)
Waseda University, Tokyo, Japan (Summer 2016)
Meiji University, Tokyo, Japan (Summer 2016)

Gakushuin University, Tokyo, Japan (Summer 2016)
Chiba University, Chiba, Japan (Summer 2016)
Keio University, Yokohama, Japan (Summer 2016)
Catalysis and Fine Chemicals 2016, Taipei, Taiwan (Fall 2016)

2017-2024

University of Southern Mississippi, USA (Fall 2017)
11th Symposium on Organocatalysis, Gakushuin University, Japan (Fall 2018)
Shizuoka University, Japan (Fall 2018)
Osaka University, Graduate School of Science, Japan (Fall 2018)
Tianjin University, School of Pharmaceutical Sciences, Tianjin, China (Spring 2019)
Chirality (31st International Symposium on Chirality), Symposium Seminar, Bordeaux, France (Summer 2019)
Experts Forum, Shijiazhuang, China (Fall 2019)
Nankai University, School of Pharmaceutical Sciences, Tianjin, China (Fall 2019)
Taizhou University, China (Fall 2021)
University of Alabama at Huntsville (Fall 2023)
United States Coast Guard Academy, New London, CT (Spring 2024)
Louisiana State University Shreveport, Shreveport, LA (Spring 2024)

External Funding (In USA, past main support)

1. “Chiral Phosphoric Acid-Catalyzed Reaction Methodology and Synthetic Applications”
Agency: NSF 4/1/09-3/31/14 \$550,000
Type: CAREER Award, single investigator
2. “The development of New Stereoselective Organocatalytic Processes”
Agency: NIH 9/1/08-8/31/13 \$1,318,849
Type: R01, single investigator
3. “Synthesis and Screening of Sigma Ligands for Stroke Treatment at Delayed Time Points”
Agency: James and Ester King Biomedical Research 7/1/09-6/30/11 \$999,360
Type: Florida Department of Health State Grant (Co-PI on this Team Science Grant)

External Funding (In China, past support – no longer working in China)

1. “Chiral Phosphoric Acid-Catalyzed Reaction Methodology and Medicinal Applications”
Agency: Tianjin University Start-Up 1/1/16-12/31/22 ~5 million RMB
2. “Chiral Phosphoric Acid-Catalyzed Reaction Methodology and Medicinal Applications”
Agency: National Foreign Experts Program – Full Time Scholar 6/1/16-1/1/20 5 million RMB
3. “Chiral Phosphoric Acid-Catalyzed Reaction Methodology and Medicinal Applications”
Agency: Zhejiang Sci-Tech University 1/1/20-12/31/23 ~3 million RMB
4. “Chiral Phosphoric Acid-Catalyzed Reaction Methodology”
Agency: Zhejiang Foreign Experts Association 10/1/21-12/31/23 3 million RMB

Personnel

Current lab members in China:

He Hualing, Assistant Professor and Lecturer
(2021-current)
Yue Caizhen, Assistant Professor and Lecturer
(2021-2023)

Chen Minglei, Zhu Linfei, Zheng Weitao (M.S. Students
2021-current), Shen Xi Zhe, Liu Shengui, Ding Xinying
(M.S. Students 2022-current)

Past lab members in China (Tianjin University):

Yue Caizhen, PhD (2019)
Xiao Xue, M.S. (2019)
Xiantao Fang, M.S. (2019)
Yujia Bai, M.S. (2019)
K.S.Satyanarayana Tummalapalli, Postdoc (2017-2019)
Suvratha Krishnamurthy, Postdoc (2017-2019)
Xiao Ding, MS (2018), **PhD at Wuhan University**

Past lab members in China (Tianjin University):

Yuan Jinping, PhD (2022)
Wu Zhenwei, PhD (2022)
Yuan Jinping, PhD (2022)
Wu Zhenwei, PhD (2022)
He Hualing, PhD (2021)
Li Hong Liang, Postdoc (2018-2021)
Yang Fan, M.S. (2021)
Sun Zhouxin, M.S. (2021)
Zhang Shou Qi, M.S. (2021)
Cao Yang, PhD (2020)
Na Fei, M.S. (2020)
Ruihan Liu, M.S. (2020)
Jing Huaqing, M.S. (2020)

Former Visiting Professors:

Zonggang Mu (**Professor of Chemistry, Jinan University, China**)
Denise M. Junge (**Associate Professor, Keene State College**)
Suoqin Zhang (**Professor of Chemistry, Jilin University, China**)

Past lab members in USA:

Yi Si M.S. (2009) **Education Instructor in China**
Gerald Rowland, PhD (2008), (**Instructor at University of Mississippi**)
Emily Rowland, PhD (2008), (**Instructor at University of Mississippi**)
Guilong Li, former postdoc (2006-2009), (**Former Associate Professor, Sun Yat Sen University, in China – now employed in USA**)
Ying Dou, former postdoc (2009-2010), (**Shanghai pharmaceutical**)
Chang Won Kang, former postdoc (2009-2010), (**Postdoc, Moffitt Cancer Center, Tampa, FL**)
Zuhui Zhang (former postdoc 2010-2014), (**Research Associate in pharmaceutical company**)
Shawn Larson, PhD (2013), **Scientist at the EPA in Texas**
Michelle Cortes-Salva, PhD (2012), **Scientist at NIH**
Matt Kaplan M.S. (2009), **Associate in Pharmaceutical Industry**

Additional Former Postdocs:

Haile Zhang, former postdoc (2003-2004)
Yuxue Liang, former postdoc (2005-2007), (**Scientist at National Institutes of Standards and Technology**)

Contact Information of References:

William D. Wulff, Michigan State University
Ph: 517-353-0503
E-mail: wulff@chemistry.msu.edu

Stephen L. Buchwald, MIT
Ph: 617-253-1885
E-mail: sbuchwal@mit.edu

Jay Siegel, ETH Zurich and Alexander Humboldt Fellow
Ph: +49 (0) 761 / 203-97396
E-mail: siegeljsx@gmail.com