

**Jon C. Antilla**  
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University of South Florida  
Department of Chemistry  
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## Professional Appointments

*The University of South Florida, Associate Professor of Chemistry, (2010-Present)*  
*The University of South Florida, Assistant Professor of Chemistry, (2005–2010)*  
*Member, Drug Discovery Program, Moffitt Cancer Center, (2005-Present)*  
*Member, The Center for Molecular Diversity in Drug Design, Discovery, and Delivery (2007-Present)*  
*Member, Florida Center of Excellence for Biomolecular Identification and Targeted Therapeutics (2007-Present)*  
*Visiting Professor, Jilin University, Changchun, China (2010-Present)*  
*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)*

- NIH National Cancer Institute post-doctoral 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)*

- Thesis research included catalytic asymmetric synthetic methodology and its application.
- Completed methodological studies on the catalytic enantioselective synthesis of chiral aziridines with unprecedented stereoselectivities.

## Awards

Japanese Society for the Promotion of Sciences (JSPS) Fellowship for 2011.  
Outstanding Research Achievement Award from The University of South Florida for the Year 2008.  
NSF CAREER Award (4/1/2009 – 3/31/2014).  
*Synlett* and *Synthesis* "Thieme Journal Awardee" for 2009.  
NIH National Cancer Institute post-doctoral fellow at MIT (2001-2003).  
Received the Lucian F. Hunt award for excellence in chemistry for undergraduates.

## Affiliations

Member, The American Chemical Society (1993-present).  
Nominated to Sigma Xi as an undergraduate (1995-present).

## Scientific Publications, Patents, and Presentations

### Publications during graduate and postdoctoral studies from 1995-2003:

"Catalytic Asymmetric Aziridination with a Chiral VAPOL-Boron Lewis Acid," Antilla, J. C.; Wulff, W. D., *J. Am. Chem. Soc.* **1999**, *121*, 5099-5100.

"Catalytic Asymmetric Aziridination with Aryl Borate Catalysts Derived from VAPOL and VANOL Ligands," Antilla, J. C.; Wulff, W. D., *Angew. Chem. Int. Ed.*, **2000**, *39*, 4518-4521.

"Copper-Catalyzed Coupling of Arylboronic Acids and Amines," Antilla, J. C.; Buchwald, S. L. *Org. Lett.*, **2001**, *3*, 2077-2079.

"A General and Efficient Copper Catalyst for the Amidation of Aryl Halides and the *N*-Arylation of Nitrogen Heterocycles," Klapars, A.; Antilla, J. C.; Huang, X.; Buchwald, S. L. *J. Am. Chem. Soc.* **2001**, *123*, 7727-7729.

"Copper-Catalyzed *N*-Arylation of Indoles," Antilla, J. C.; Klapars, A.; Buchwald, S. L. *J. Am. Chem. Soc.* **2002**, *124*, 11684-11688.

### Publications from 2004-present:

"Copper-Diamine-Catalyzed *N*-Arylation of Pyrroles, Pyrazoles, Indazoles, Imidazoles, and Triazoles," Antilla, J. C.; Baskin, J.; Barder, T.; Buchwald, S. L., *J. Org. Chem.* **2004**, *69*, 5578.

"Brønsted Acid-Catalyzed Imine Amidation," Rowland, G. B.; Zhang, H.; Rowland, E. B.; Chennamadhavuni, S.; Wang Y.; Antilla, J. C., *J. Am. Chem. Soc.* **2005**, *127*, 15696.

"Stereoselective Aza-Diels-Alder Reactions," Rowland, G. B.; Rowland, G. B.; Zhang Q.; Antilla, J. C., *Current Organic Chemistry*, **2006**, *10*, 981.

"A Vaulted Biaryl Phosphoric Acid-Catalyzed Reduction of  $\alpha$ -Imino Esters: The Highly Enantioselective Preparation of  $\alpha$ -Amino Esters," Li, G.; Liang, Y.; Antilla, J. C., *J. Am. Chem. Soc.* **2007**, *129*, 5830.

"Regulation of Orthogonal Functions in a Dual Catalyst System. Subservient Role of a Non-chiral Lewis Acid in an Asymmetric Catalytic Heteroatom Diels-Alder Reaction," Newman, C. A.; Antilla, J. C.; Chen, P.; Wulff, W. D., *J. Am. Chem. Soc.* **2007**, *129*, 7216.

"The Highly Enantioselective Addition of Indoles to *N*-Acyl Imines with Use of a Chiral Phosphoric Acid Catalyst," Rowland, G. B.; Rowland, E. B.; Liang, Y.; Perman, J. A.; Antilla, J. C., *Org. Lett.* **2007**, *9*, 2609.

"Organocatalytic Enantioselective Friedel-Crafts Reaction of Pyrrole Derivatives with Imines," Li, G.; Rowland, G. B.; Rowland, E. B.; Antilla, J. C., *Org. Lett.* **2007**, *9*, 4065.

"VAPOL phosphoric acid catalysis: the highly enantioselective addition of imides to imines," Liang, Y.; Rowland, E. B.; Rowland, G. B.; Perman, J. A.; Antilla, J. C., *Chem. Commun.* **2007**, *43*, 4477.

"Brønsted Acid-Catalyzed Desymmetrization of *meso*-Aziridines," Rowland, E. B.; Rowland, G. B.; Rivera-Otero, E.; Antilla, J. C., *J. Am. Chem. Soc.* **2007**, *129*, 12084.

"Catalytic Asymmetric Addition of Alcohols to Imines: Enantioselective Preparation of Chiral *N,O*-Aminals," Li, G.; Fronczek, F. R.; Antilla, J. C., *J. Am. Chem. Soc.* **2008**, *130*, 12216.

"Highly Enantioselective Hydrogenation of Enamides Catalyzed by Chiral Phosphoric Acids," Li, G.; Antilla, J. C., *Org. Lett.* **2009**, *11*, 1075.

"Chiral Phosphoric Acid-Catalyzed Desymmetrization of *meso*-Aziridines with Functionalized Mercaptans," Larson, S.; Baso, J.; Li, G.; Antilla, J. C., *Org. Lett.* **2009**, *11*, 5186.

"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  $\alpha$ -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 Allylborations 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.

“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.

#### **Patents During doctoral and postdoctoral studies:**

Process for the Catalytic Asymmetric Synthesis of Chiral Aziridines, Wulff, W. D.; Antilla, J. C., United States Patent, 10 July 2001. Patent No.: 6,258,960.

Copper-Catalyzed Formation of Carbon-Heteroatom and Carbon-Carbon Bonds, Buchwald, S. L.; Klapars, A.; Antilla, J. C.; Job, G. E.; Wolter, M.; Kwong, F. Y.; Nordmann, G.; Hennessy, E. J., United States Patent, 6 July 2004. Patent No.: 6,759,554.

Copper-Catalyzed Formation of Carbon-Heteroatom and Carbon-Carbon Bonds, Buchwald, S. L.; Klapars, A.; Antilla, J. C.; Job, G. E.; Wolter, M.; Kwong, F. Y.; Nordmann, G.; Hennessy, E. J., United States Patent, 15 March 2005. Patent No.: 6,867,298.

Process for Producing Optically Active  $\beta$ -Amino Esters Using Metal-Chiral Ligand Catalytic Compounds, Wulff, W. D.; Antilla, J. C.; Yu, S., Provisional Patent Application # 104147.

#### **Patents During independent investigations:**

Enantio-Selective Brønsted Acid-Catalyzed Ring-Opening of Aziridines, Antilla, J. C.; Rowland, E. B.; Rowland G. B., 29 June 2007. Patent Application, USF Ref. No. 07A029PR.

N,N'-di-p-bromophenyl Guanidine Treatment for Stroke at Delayed Timepoints, Pennypacker, K.; Cuevas, J.; Antilla, J. C.; Cortes-Salva, M., 12 Nov 2008. Patent Application, USF Ref. No. 08A048PR.

Brønsted Acid-Catalyzed Asymmetric Allylation of Aldehydes, Antilla, J. C.; Jain, P., 13 May 2010. Patent Application, USF Ref. No. 10A057.

#### **Presentations**

##### **1999-2007**

217th American Chemical Society National Meeting. (Spring 1999)

Michigan Technological University. (January 2002)

NIH National Cancer Institute seminar series, Massachusetts Institute of Technology. (Spring 2002)

University of North Alabama. (Spring 2004)

229<sup>th</sup> American Chemical Society National Meeting (Spring 2005).

Invited poster at the Organic Reactions and Processes Gordon Conference. (Summer 2005)

The University of South Florida. (Fall 2005)

The University of Memphis. (Fall 2005)

The Moffitt Cancer Center, Tampa, FL. (Spring 2006)

Invited poster at the Organic Reactions and Processes Gordon Conference. (Summer 2006)

The University of Tampa, Tampa, FL. The seminar was also for the Tampa Bay Section of the ACS. (Spring 2007)

The University of Miami, Miami, FL. (Fall 2007)

West Virginia University, Morgantown, WVA. (Fall 2007)

The University of Pittsburgh, Pittsburgh, PE. (Fall 2007)

##### **2008**

Syracuse University, Syracuse, NY. (Fall 2008)

Vanderbilt University, Nashville, TN. (Fall 2008)  
Emory University, Atlanta, GA. (Fall 2008)  
The University of Delaware, Newark, DE. (Fall 2008)  
Michigan State University, East Lansing, MI. (Fall 2008)  
Florida State University, Tallahassee, FL. (Fall 2008)  
University of Florida, Gainesville, FL. (Fall 2008)

### **2009**

The Ohio State University, Columbus, OH. (Spring 2009)  
University of California at Irvine, Irvine, CA. (Spring 2009)  
University of California at Los Angeles, Los Angeles, CA. (Spring 2009)  
University of California at Santa Barbara, Santa Barbara, CA. (Spring 2009)  
Invited Seminar at FAME, Orlando, FL. (Summer 2009)  
Michigan State University, East Lansing, MI. (Summer 2009)  
University Le Havre, Le Havre, France as part of a Visiting Professorship at Le Havre. (Summer 2009)  
University of Caen, Caen, France as part of a Visiting Professorship at Le Havre. (Summer 2009)  
University of Rouen, Rouen, France as part of a Visiting Professorship at Le Havre. (Summer 2009)  
The Gordon Conference on Organic Reactions and Processes. (Summer 2009)  
Eli Lilly, Indianapolis, IN. (Summer 2009)

### **2010**

Invited oral presentation at the 239<sup>th</sup> American Chemical Society National Meeting (Spring 2010).  
Imperial College, London, England. (Spring 2010)  
Southampton University Summer School, Southampton, England. (Spring 2010)  
ESPCI, Paris, France. (Spring 2010)  
Temple University, Philadelphia, PA. (Summer 2010)  
Peking University, Beijing, China (Summer 2010)  
Shanghai Institute of Organic Chemistry (Summer 2010)  
Shanghai Jiao Tong University (Summer 2010)  
Pacifichem, Honolulu, Hawaii. (Winter 2010)

### **2011**

GaxoSmithKline (GSK), King of Prussia, Pennsylvania. (Spring 2011)  
The Philadelphia Organic Chemistry Club, Philadelphia, Pennsylvania. (Spring 2011)  
Dartmouth College, Hanover, New Hampshire. (Spring 2011)  
Mississippi State University, Starkville, Mississippi. (Spring 2011)  
Michigan Technological University, Houghton, Michigan. (Summer 2011)  
14<sup>th</sup> Asian Chemical Congress in Bangkok, Thailand. (Fall 2011)  
Tokyo University of Agriculture and Technology (Fall 2011)  
Gakushuin University – Two seminars (Fall 2011)  
Keio University (Fall 2011)  
Chuo University (Fall 2011)  
Rikkyo University (Fall 2011)  
Waseda University (Fall 2011)  
Kyoto University – Seminars in three departments (Fall 2011)  
Tohoku University (Fall 2011)  
Nagoya Institute of Technology (Fall 2011)  
Nagoya University (Fall 2011)  
Shizuoka University (Fall 2011)  
University of Tokyo (Fall 2011)  
Tokyo Institute of Technology (Fall 2011)  
Tokyo University of Science (Fall 2011)

### **2012**

Lehigh University, Pennsylvania (Spring 2012)  
Clemson University, South Carolina (Spring 2012)  
BIT's 3<sup>rd</sup> Annual World Congress of Asymmetric Synthesis, Beijing, China (Summer 2012)  
3<sup>rd</sup> International Symposium on Synthesis and Drug Development, Changzhou, China (Summer 2012)  
Institute of Chemistry, Beijing, China (Summer 2012)  
Jilin University, Changchun, China (Summer 2012)

Hong Kong University of Science and Technology (Summer 2012)  
Hong Kong Polytechnic University (Summer 2012)  
Zhejiang University, Hangzhou, China (Fall 2012)  
Chiral China, Lanzhou, China (Fall 2012)  
University of Texas Southwest Medical Center, Dallas, Tx (Fall 2012)  
Japan-USA Organocatalytic Symposium, Honolulu, Hawaii (Fall 2012)

## External Funding (Active, Ongoing 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

## External Funding (Previous Support)

1. "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)
2. "Brønsted Acid-Catalyzed Asymmetric Additions to Imines"  
Agency: Petroleum Research Fund 1/1/07-8/31/09 \$40,000  
Type: Type G Starter Grant, single investigator

## Personnel

### *Current postdoctoral fellows:*

Guilong Li (Assistant Research Professor)  
Zuhui Zhang (postdoctoral)

### *Current graduate students:*

Michelle Cortes-Salva (Just graduated with PhD)  
Gajendra Ingle (Just graduated with PhD)  
Shawn Larson (Just graduated with PhD)  
Tao Liang (4th year graduate student)  
Matt Kaplan (4th year graduate student)  
Pankaj Jain (4th year graduate student)  
Young Ran Ji (4th year graduate student)  
Yi Si (2nd year graduate student)  
Sri Nimmagadda (2nd year graduate student)  
Susana Lopez (2nd year graduate student)

### *Former members:*

Haile Zhang, former postdoc (2003-2004)  
Yuxue Liang, former postdoc (2005-2007), (**Scientist at National Institutes of Standards and Technology**)  
Gerald Rowland, PhD grad in 2008, (**Assistant Professor, Mississippi State University**)  
Emily Rowland, PhD grad in 2008, (**Instructor at Mississippi State University**)  
Guilong Li, former postdoc (2006-2009), (**Associate Professor, Sun Yat Sen University, in China**)  
Ying Dou, former postdoc (2009-2010), (Shanghai pharmaceutical)

Chang Won Kang, former postdoc (2009-2010),  
(Postdoc, Moffitt Cancer Center, Tampa, FL)  
Wenhua Zheng, former postdoc (2009-2011), (**Associate Professor, Nanjing University, in China**)  
Sunliang Cui, former postdoc (2010-2011), (**Associate Professor, Zhejiang University, Pharmacy Department, in China**)

### *Visiting Professors:*

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