

Curriculum Vitae

JOSÉ S. MADALENGOITIA

Associate Professor
Department of Chemistry
University of Vermont
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Education

B.S.-Chemistry 1987 James Madison University
Ph.D.-Chemistry 1993 University of Virginia

Professional Experience

Associate Professor, Department of Chemistry, University of Vermont, 2001-present.

Assistant Professor, Department of Chemistry, University of Vermont, 1995-2001.

Postdoctoral Research Associate, University of California, Irvine, 1993-1995.

Graduate and Postdoctoral Advisors

Ph.D. Advisor - Timothy L. Macdonald
Postdoctoral Advisor - Larry E. Overman

Publications

R. Aranha, A. M. Bowser, J. S. Madalengoitia “Structure-Reactivity Relationships of Zwitterionic 1,3-diaza-Claisen Rearrangements” Submitted.

S. Flemer, J. S. Madalengoitia “A Comprehensive and Facile Entry into Substituted Amidines via the Condensation of N-Pmc-Substituted Thioamides with Amines Using Mukaiyama Reagent as a Thiophile” Accepted *Synthesis*.

R. M. Aranha, A. M. Bowser, J. S. Madalengoitia “Facile 1,3-diaza-Claisen Rearrangements of Tertiary Allylic Amines Bearing an Electron Deficient Alkene” *Org. Lett.* **2009**, *11*, 575-578.

S. Flemer, A. Wurthmann, A. Mamai, J. S. Madalengoitia “Strategies for the Solid-Phase Diversification of Poly-L-Proline Type II Peptide Mimic Scaffoldstdoctouype II pPss151g1AM1k1s9earc

S. Flemer, J. S. Madalengoitia “Synthetic Routes into N-Pmc-N', N''-Disubstituted Guanidine Systems via Guanylation of Amines with N-Pmc-N'-alkyl Substituted Thioureas: Scope and Limitations of the Reaction” *Synthesis* **2007**, *13*, 81.

R. Ganorkar, A. Natarajan, A. Mamai, J. S. Madalengoitia “Synthesis of Conformationally Constrained Lysine Analogs”

R. Zhang and J. S. Madalengoitia "Design, Synthesis and Evaluation of Poly-L-Proline Type II Peptide Mimics Based on the 3-Aza-bicyclo[3.1.0]hexane System" *J. Org. Chem.* **1999**, *64*, 330.

R. Zhang, A. Mamai and J. S. Madalengoitia "Cyclopropanation Reactions of Pyroglutamic Acid-Derived Synthons with Alkylidene Transfer Reagents" *J. Org. Chem.* **1999**, *64*, 547.

R. Zhang, F. E. Brownell and J. S. Madalengoitia "Stereoselective Alkylations of a Bicyclic Lactam Derived from Pyroglutamic Acid" *Tetrahedron Lett.* **1999**, *40*, 2707.

R. Zhang, F. E. Brownell and J. S. Madalengoitia "A(1,3) Like Strain as a Key Conformational Control Element in the Design of Poly-L-Proline Type II Peptide Mimics" *J. Am. Chem. Soc.* **1998**, *120*, 3894.

R. Zhang and J. S. Madalengoitia "Conformational Stability of Proline Oligomers" *Tetrahedron Lett.* **1996**, *37*, 6235.

J. S. Madalengoitia, J. J. Tepe, K. Werbovetz, E. K. Lehnert, and T. L. Macdonald "Structure Activity Relationships of Substituted Azatoxin Analogs" *Bioorg. Med. Chem.* **1997**, *5*, 1807.

J. J. Tepe, J. S. Madalengoitia, K. M. Slunt, K. Werbovetz, P. G. Spoor and T. L. Macdonald "Inhibition of DNA Topoisomerase II by Azaelliptitoxins Functionalized in the Variable Substituent Domain" *J. Med. Chem.* **1996**, *39*, 2188.

F. Leteurtre, D. Sackett, J. S. Madalengoitia, G. Kohlhagen, T. L. Macdonald, E. Hamel, K. Paull and Y. Pommier "Azatoxin Derivatives with Potent and Selective Action on Topoisomerase II" *Biochem. Pharm.* **1995**, *49*, 1290.

E. K. Lehnert, K. Miller, J. S. Madalengoitia, T. J. Guzi and T. L. Macdonald "Inhibition of DNA Topoisomerase II by 1,2,3-Tetrahydro- β -carboline" *Biorg. Med. Chem. Lett.* **1994**, *4*, 2421.

J. S. Madalengoitia, and T. L. Macdonald "Synthesis of Tetrahydrofurocarbazolones by Intramolecular Diels-Alder Reactions" *Tetrahedron Lett.* **1993**, *43*, 6237.

F. Leteurtre, J. S. Madalengoitia, A. Orr, T. J. Guzi, E. K. Lehnert, T. L. Macdonald and Y. Pommier "Rational Design and Molecular Effects of a New Topoisomerase II Inhibitor, Azatoxin" *Cancer Research* **1992**, *52*, 4478.

D. M. Downey and J. S. Madalengoitia "Ion D4237. erase II

R. M. Aranha, Y. Yang; A. M Bowser, J. S. Madalengoitia "Design and Development of 1,3-diaza-Claisen Rearrangements" poster presented at the Gordon Research Conference: Heterocycles, June 20-24, 2010, Salve Regina University, Newport, Rhode Island.

S. Flemer, J. S. Madalengoitia "On-Resin Synthesis of Novel Arginine-Containing Peptide Systems Bearing Substituted Amidine Headgroups" poster presentation, Bioorganic Gordon Conference, Proctor Academy, Andover, NH, June 14-19, 2009.

R. M. Aranha, A. M Bowser, J S. Madalengoitia "Design and Development of 1,3-diaza-Claisen Rearrangements" poster presentation, ACS National Meeting, March 22-26, 2009, Salt Lake City, UT.

R. M. Aranha, J. S. Madalengoitia "Electronic Effects on the 1,3-Diaza-Claisen Rearrangement" Poster presentation, 2008 Northeast Regional Meeting, June 29-July 2, 2008, Burlington, VT.

S. Flemer and J. S. Madalengoitia "EDCI-Mediated Guanylation Methodology Between N-Pmc-N'-Alkyl Thioureas and Amines: Applications Toward in-situ SPPS Arginine Headgroup Diversification in Poly-L-Proline Peptide Mimic Libraries" oral presentation, ACS National Meeting, August 19-23, 2007, Boston, MA.

C. K. Nickl, K. E. Laskovski, P. Ruth, W. Tegge, J. E. Brayden, J. S. Madalengoitia, S. Raidas, W. R. Dostmann "Probing vasomotor mechanisms by acute inhibition of PKG in vivo" 2006 FASEB Summer Research Conferences, Smooth Muscle, July 29-August 3, 2006, Snowmass Village, Colorado.

R. Ganorkar, S. Raidas, A. Natarajan, W. R. Dostmann, and J. S, Madalengoitia "Design and Synthesis of PPII Mimics as Substrate Probes of the Active Site Occupancy Requirements of cGMP-Dependent Protein Kinase" presented at the ACS National Meeting, September 10-14, 2006, San Francisco.

A. M. Bowser, J. S. Madalengoitia "Design and Development of 1,3-diaza-Claisen Rearrangements" poster presented at the Gordon Research Conference: Heterocycles, July 2-7, 2006, Salve Regina University, Newport, Rhode Island.

A. M. Bowser, J. S. Madalengoitia "Synthesis of Highly Substituted Ureas, Thioureas and Guanidines Through 1,3-diaza-Claisen Rearrangements" presented at the Northeastern Regional Meeting, July 14, 2005, Sacred Heart University, Fairfield, CT.

A. Wurthmann, S. Flemer, J. S. Madalengoitia "Strategies Toward the Facile Synthesis of PPII Libraries" presented at the Northeastern Regional Meeting, July 14, 2005, Sacred Heart University, Fairfield, CT.

R. Ganorkar, J. S. Madalengoitia "Stereoselective Synthesis of Proline Templated Lysine" presented at the Northeastern Regional Meeting, July 14, 2005, Sacred Heart University, Fairfield, CT

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A. Natarajan, J. S. Madalengoitia “Combinatorial Approach to the Synthesis of Modified Peptides as Ligands for Redox Active Metals and Their Evaluation as Catalyst Systems” presented at the Solid Phase Synthesis and Combinatorial Chemical Libraries Sixth International Symposium September 4, 1999, York, England.

R. Zhang, J. S. Madalengoitia “Cyclopropanation Reactions of Pyroglutamic Acid-Derived Synthons with Alkylidene Transfer Reagents” poster presented at the American Chemical Society Annual Meeting August, 1998, Boston, MA.

Invited Seminars

University of California, Irvine, CA, May 31, 2000

University of California Riverside, CA, June 2, 2000

California Institute of Technology, Pasadena, CA, June 5, 2000

Michigan State University, Lansing, MI, September 6, 2000

Wayne State University, Detroit, MI September 7, 2000

The University of Virginia, Charlottesville, VA, November 6, 2006

Amherst College, Amherst, MA, January 2, 2007

University of Rochester, Rochester, NY, April 25, 2008

Invited Lectures

Overman Symposium in honor of Professor Larry Overman's 60th Birthday. Irvine, CA, March 28, 2003.

Keynote speaker at the Chemical Biology Symposium of the American Chemical Society Northeast Regional Meeting. Saratoga Springs, NY, June 18, 2003.

Grants Awarded

National Science Foundation "Novel Peptide Mimics as Probes of the Active Site Occupancy Requirements of Protein Kinases" \$379,000 awarded for 7/1/04 - 6/30/07.

Lake Champlain Cancer Research Organization Pilot Project Award "Synthesis of Novel Cell Penetrating Agents" \$10,000 awarded for 1/9/02 – 30/8/03.

DOE EPSCoR Structural Biology Initiative "Crystallographic Screening and Structural Characterization of Exogenous Small Molecule Binding" with Mark Rould and Cathy Trybus. Susan Wallace, PI. \$30,000 awarded for 8/03 – 7/04.

Synexis Chemistry and Automation "Library Template Consultancy" \$11,677 awarded for 11/01 - 12/02.

DOE EPSCoR Structural Biology Initiative "Crystallization of PKG with High Affinity Ligands" with Wolfgang Dostmann and Mark Rould. Susan Wallace, PI. \$30,000 awarded for 6/01 – 5/02.

National Institutes of Health "Design and Synthesis of Poly-L-Proline Type II Peptide Mimics", \$531,930 awarded for 4/98 - 3/03.

National Science Foundation "Molecular Recognition by Protein Kinases" \$200,000 awarded for 6/98 - 5/01.

American Cancer Society-Vermont Division "Inhibition of Cell Cycle Control Regulatory Kinases by Peptide Mimics", \$5,000 awarded for 1996-97.

American Chemical Society Petroleum Research Fund Type G "Molecular Diversity and Catalysis: The Synthesis of Modified Peptides as Ligands for Redox Active Metals", \$20,000 awarded for 1996-98.

Vermont Cancer Center Pilot Project Award “Inhibition of Collagenases by PII Peptide Mimics”, \$10,000 awarded for 1996-97.

Vermont EPSCoR “Inhibition of ErbB2 Mediated Signal Transduction by Novel Peptide Mimics” \$80,000 awarded for 1996-1998.

Collaborators

Wolfgang Dostmann, Associate Professor, Department of Pharmacology, University of Vermont

Mark Rould, Research Assistant Professor, Department of Physiology, University of Vermont

Robert Hondal, Assistant Professor, Department of Biochemistry, University of Vermont

Susan Bane, Associate Professor, Department of Chemistry, Binghamton University

Chris Holmes, Assistant Professor, Department of Medicine, University of Vermont

Courses Taught

Chem 32, Introductory Chemistry

Chem 42, Introductory Organic Chemistry

Chem 141, Organic Chemistry

Chem 142, Organic Chemistry

Wurthmann (Ph.D. September 2006, currently lecturer, University of Vermont), Amy Bowser (Ph.D. March 2007, currently Lecturer at Williams College), Racher Aranha Potter (Ph.D. August 2009, currently postdoc Johns Hopkins University), Nan Wang (M.S. August 2007, Currently at Carnegie-Mellon), Norman Hughes (M.S. March 2002, currently at Eli Lilly), Delmy Diaz (M.S. August 2002, currently Professor in Honduras), Tammie White (M.S. to be completed, currently at Abbott Pharmaceuticals)

Former Postdoc

Dr. Ahmed Mamai (currently at Synexis Chemistry and Automation)

Service

Department:

Graduate Admissions Committee (1996-2000)

Curriculum Committee (1996-present)

Seminar and Humphrey Symposium Committee (1999-present (Chair))

Organic Search Committee (1998, 2000, 2001 (chair), 2002 (Chair), 2003 (Chair), 2004 (Chair), 2005 (Chair), 2006 (chair))

Chemistry Chair Search Committee (2001)

Chemistry Chair Search Committee (2008)

College:

College Honors Committee (2002-2003)

Biology Department Chair Search Committee (2005)

Faculty Standards Committee (2008-2009)

University:

Executive Committee of the Graduate College (2001-2004)

Professional:

Ad hoc member NIH Bioorganic and Natural Products Study Section; June 20-21, 2002.

Scientist Reviewer DOD Prostate Cancer Research Program; July 8-10, 2002.

Reviewer DOD Breast Cancer Concept Awards: April 4, 2003.

Scientist Reviewer DOD Prostate Cancer Research Program; June 8-10, 2003.

Reviewer DOD Breast Cancer Concept Awards: March 12, 2004.

Scientist Reviewer DOD Prostate Cancer Research Program; April 21-23, 2004.

Reviewer DOD Breast Cancer Concept Awards: April 4, 2005.

Scientist Reviewer DOD Prostate Cancer Research Program; April 6-8, 2005.

Scientist Reviewer, DOD Prostate Cancer Research Program: September 7-9, 2008.
Review Panel Member, NSF Chemistry Research Instrumentation and Facilities
Instrument Acquisition Program, October 28-29, 2008.
Reviewer DOD Breast Cancer Concept Awards: December, 2009
Reviewer NIH Fellowship Study Section, March 5, 2009
Reviewer DOD Breast Cancer Concept Awards January 2010

Patent:

Yves Pommier, Timothy L. Macdonald and Jose S. Madalengoitia "Topoisomerase
Inhibitors and Therapeutic Uses Therefor", filed Oct 23, 1992 application serial number
07/868,408.