



Heterocycles: Synthetic Targets, Cellular Probes and Inhibitors

June 18 - 23, 2017

Chair

Daniel Romo

Vice Chair

Julia M. Clay

Salve Regina University

100 Ochre Point Avenue

Newport, RI, US

Conference Description

The art of chemical synthesis is driven to a great extent by synthetic chemists seeking to find more efficient routes to heterocyclic compounds; molecules at the core of pharmaceutical agents, bioactive natural products, and materials. Heterocyclic chemistry is an enduring field of organic synthesis that continues to provide amazing innovations in chemical synthesis and profoundly impact our society from novel materials (e.g. organic light emitting diodes) to pharmaceutical agents for treatment of human disease and addictions to altering our eating habits.

The 2017 Heterocyclic Compounds GRC will provide an engaging forum for the presentation of cutting-edge chemical synthesis as pertains to heterocycles including novel methods for their synthesis, applications in basic cell biology along with human and animal health. Highlighted topics include organocatalysis, metal-mediated heterocycle synthesis and functionalization, heterocycles as probes for chemical biology, natural product synthesis and food additives. The conference will include a fantastic schedule of domestic and international speakers bolstered by multiple poster sessions detailing the state of the art of heterocyclic chemistry. Set in the beautiful Salve Regina University site close to Newport, RI and with the cliff seawalk just a short walk away, this conference promises to be a memorable one from the standpoint of chemistry, networking, and venue. Please join us for this idea stimulating chemical conference set in a fantastic location where the frontiers of heterocycle synthesis and their use will be discussed.

Conference Program

Sunday

2:00 pm - 9:00 pm	Arrival and Check-in
6:00 pm - 7:00 pm	Dinner
7:30 pm - 7:40 pm	Introductory Comments by GRC Site Staff / Welcome from the GRC Chair
7:40 pm - 9:30 pm	New Methods for the Synthesis of Heterocyclic Compounds Discussion Leader: John Wood (Baylor University, USA)
7:40 pm - 7:50 pm	Opening Remarks
7:50 pm - 8:05 pm	Timothy Newhouse (Yale University, USA) "Total Synthesis of Neurologically Active Natural Products"
8:05 pm - 8:10 pm	Discussion
8:10 pm - 8:35 pm	Aaron Aponick (University of Florida, USA) "StackPhos: Using Chiral Heterocycles as Ligands to Make Chiral Amines"
8:35 pm - 8:45 pm	Discussion
8:45 pm - 9:20 pm	Gregory Fu (California Institute of Technology, USA) "Metal-Catalyzed Cross-Couplings of Alkyl Electrophiles"
9:20 pm - 9:30 pm	Discussion

Monday

7:30 am - 8:30 am	Breakfast
9:00 am - 12:30 pm	Catalysis Towards Heterocyclic Systems Discussion Leader: Eric Ferreira (University of Georgia, USA)
9:00 am - 9:20 am	Andy Mitchell (Illinois State University, USA) "Oxidopyrylium-Alkene [5+2] Cycloadditions: A Superb Platform for Training Next Generation Scientists"

9:20 am - 9:30 am	Discussion
9:30 am - 9:55 am	Junichiro Yamaguchi (Waseda University, Japan) "Decarbonylative Transformation of Aromatic Esters and Multi-Arylation of Arenes"
9:55 am - 10:05 am	Discussion
10:05 am - 10:35 am	Coffee Break
10:35 am - 11:05 am	Suzanne Blum (University of California, Irvine, USA) "Boron-Heteroatom Addition Reactions"
11:05 am - 11:15 am	Discussion
11:15 am - 11:50 am	Abigail Doyle (Princeton University, USA) "Predictive Reaction Modeling Using Machine Learning"
11:50 am - 12:00 pm	Discussion
12:00 pm - 12:30 pm	Poster Previews
12:30 pm - 1:30 pm	Lunch
1:30 pm - 4:00 pm	Free Time
3:00 pm - 4:00 pm	Power Hour <i>The GRC Power Hour is an optional informal gathering open to all meeting participants. It is designed to help address the challenges women face in science and support the professional growth of women in our communities by providing an open forum for discussion and mentoring.</i> Organizers: Abigail Doyle (Princeton University, USA) and Jennifer Roizen (Duke University, USA)
4:00 pm - 6:00 pm	Poster Session
6:00 pm - 7:00 pm	Dinner
7:30 pm - 9:30 pm	Synthesis of Heterocyclic Natural Products Discussion Leader: Jennifer Roizen (Duke University, USA)
7:30 pm - 7:55 pm	Corinna Schindler (University of Michigan, USA) "Iron(III)-Catalyzed Carbonyl-Olefin Metathesis"

7:55 pm - 8:05 pm	Discussion
8:05 pm - 8:35 pm	Scott Rychnovsky (University of California, Irvine, USA) "Recent Studies in Alkaloid Synthesis"
8:35 pm - 8:45 pm	Discussion
8:45 pm - 9:20 pm	Richmond Sarpong (University of California, Berkeley, USA) "Chemical Synthesis of Complex Molecules Featuring Heterocycles"
9:20 pm - 9:30 pm	Discussion
Tuesday	
7:30 am - 8:30 am	Breakfast
8:30 am - 9:00 am	Group Photo
9:00 am - 12:30 pm	Synthetic Strategies, Heterocyclic Tools, and Isolation of Heterocyclic Natural Products Discussion Leader: Lourdes Rueda (GlaxoSmithKline, USA)
9:00 am - 9:30 am	Mingji Dai (Purdue University, USA) "Polycyclic Diterpene Synthesis via Tandem Gold Catalysis"
9:30 am - 9:40 am	Discussion
9:40 am - 10:10 am	David Sarlah (University of Illinois at Urbana-Champaign, USA) "Dearomative Functionalization with Arenophiles"
10:10 am - 10:20 am	Discussion
10:20 am - 10:50 am	Coffee Break
10:50 am - 11:05 am	Michael Hilinski (University of Virginia, USA) "Organocatalytic Approaches to C-H Functionalization"
11:05 am - 11:10 am	Discussion
11:10 am - 11:35 am	Bobby O'Brien (Impossible Foods, Inc., USA) "Discovery and Synthesis of Dipeptoid-Triazine Ligands for Protein Affinity Purification"
11:35 am - 11:45 am	Discussion

11:45 am - 12:20 pm	Tadeusz Molinski (University of California, San Diego, USA) "Marine Natural Products. Chemically Diverse Alkaloids from the Ocean"
12:20 pm - 12:30 pm	Discussion
12:30 pm - 1:30 pm	Lunch
1:30 pm - 4:00 pm	Free Time
4:00 pm - 6:00 pm	Poster Session
6:00 pm - 7:00 pm	Dinner
7:30 pm - 9:30 pm	Optimization of Routes to Biologically Active Heterocycles Discussion Leader: Ryan Gianatassio (Biogen, USA)
7:30 pm - 7:50 pm	Haiming Zhang (Genentech, Inc., USA) "Process Research and Development of the Commercial Synthesis of BTK Inhibitor GDC-0853"
7:50 pm - 8:00 pm	Discussion
8:00 pm - 8:20 pm	Jaemoon Lee (Eisai, Inc., USA) "Chemistry Innovation – The Driver for Process Research and Development"
8:20 pm - 8:30 pm	Discussion
8:30 pm - 8:50 pm	Kaid Harper (AbbVie, USA) "Flow Chemistry Solutions to Challenging Synthesis Problems"
8:50 pm - 9:00 pm	Discussion
9:00 pm - 9:20 pm	Jack Brown (Boehringer-Ingelheim, USA) "Challenges in the Synthesis and Scale-Up of Phosphorous and Sulfur Containing Heterocycles"
9:20 pm - 9:30 pm	Discussion

Wednesday

7:30 am - 8:30 am	Breakfast
9:00 am - 12:30 pm	<p>Design and Synthesis of Biologically Active Heterocyclic Compounds</p> <p>Discussion Leader: Cynthia Shafer (Novartis Institutes for Biomedical Research, USA)</p>
9:00 am - 9:25 am	<p>Larry Burgess (Array BioPharma, USA)</p> <p>"Development of a Large Scale Process for a p38 Kinase Inhibitor"</p>
9:25 am - 9:35 am	Discussion
9:35 am - 10:00 am	<p>Joseph Warmus (Pfizer, USA)</p> <p>"Functionalizing Heterocycles: Synthesis of β-Heteroaryl-α-Methyl-β-Amino Acids"</p>
10:00 am - 10:10 am	Discussion
10:10 am - 10:40 am	Coffee Break
10:40 am - 11:10 am	<p>Christa Chrovian (Janssen R&D, USA)</p> <p>"Building Fused Triazoles by Dipolar Cycloaddition Reactions Enables the Synthesis and Scaling of Novel P2X7 Antagonists"</p>
11:10 am - 11:20 am	Discussion
11:20 am - 11:50 am	<p>Thomas McGuire (AstraZeneca, United Kingdom)</p> <p>"Chiral Cyclic Sulfamidates and Their Utility in AZ Oncology Drug Discovery Projects"</p>
11:50 am - 12:00 pm	Discussion
12:00 pm - 12:30 pm	Poster Previews
12:30 pm - 1:30 pm	Lunch
1:30 pm - 4:00 pm	Free Time
4:00 pm - 6:00 pm	Poster Session
6:00 pm - 7:00 pm	Dinner

7:00 pm - 7:30 pm	Business Meeting <i>Nominations for the Next Vice Chair; Fill in Conference Evaluation Forms; Discuss Future Site and Scheduling Preferences; Election of the Next Vice Chair</i>
7:30 pm - 9:30 pm	New Strategies to Construct Heterocyclic Compounds Discussion Leader: Angela Puchlopek-Dermenci (Pfizer, Inc., USA)
7:30 pm - 7:55 pm	Kami Hull (University of Illinois at Urbana-Champaign, USA) "Transition Metal-Catalyzed Amination Reactions"
7:55 pm - 8:05 pm	Discussion
8:05 pm - 8:35 pm	Stefan France (Georgia Institute of Technology, USA) "Formation of Ring-Fused Heterocycles via Strategic Ring-Opening Cyclizations"
8:35 pm - 8:45 pm	Discussion
8:45 pm - 9:20 pm	Steven Weinreb (Pennsylvania State University, USA) "Studies on Synthesis of Indole Alkaloids"
9:20 pm - 9:30 pm	Discussion
Thursday	
7:30 am - 8:30 am	Breakfast
9:00 am - 12:30 pm	Heterocycles as Probes for Studying Biology and Human Disease Discussion Leader: Joseph Topczewski (University of Minnesota, USA)
9:00 am - 9:25 am	Martin Schnermann (National Cancer Institute, NIH, USA) "Near-IR Uncaging Chemistry: Discovery and Applications"
9:25 am - 9:35 am	Discussion
9:35 am - 10:00 am	Matthew Maddess (Merck & Co., Inc., USA) "Synthesis Inspires Design: The Importance of Synthetic Innovations in Drug Discovery and Development"
10:00 am - 10:10 am	Discussion

10:10 am - 10:40 am	Coffee Break
10:40 am - 10:55 am	Sarah Wengryniuk (Temple University, USA) "Synthesis of Diverse Medium-Sized Oxygen Heterocycles via Oxidative Rearrangement of Simple Alcohols"
10:55 am - 11:00 am	Discussion
11:00 am - 11:35 am	Mark Rizzacasa (University of Melbourne, Australia) "Silvestrol: The Good, the Bad and the Ugly"
11:35 am - 11:45 am	Discussion
11:45 am - 12:20 pm	Stephan Sieber (Technical University of Munich, Germany) "Heterocyclic Tool Compounds for Manipulating Biological Processes"
12:20 pm - 12:30 pm	Discussion
12:30 pm - 1:30 pm	Lunch
1:30 pm - 4:00 pm	Free Time
4:00 pm - 6:00 pm	Poster Session
6:00 pm - 7:00 pm	Dinner
7:30 pm - 9:30 pm	Keynote Session: An Outlook on Heterocyclic Chemistry Discussion Leader: Gary Sulikowski (Vanderbilt University, USA)
7:30 pm - 7:45 pm	Andrew McNally (Colorado State University, USA) "Selective Functionalization of Pyridines and Diazines via Heterocyclic Phosphonium Salts"
7:45 pm - 7:50 pm	Discussion
7:50 pm - 8:25 pm	Nicholas Meanwell (Bristol-Myers Squibb, USA) "Properties and Applications of Heterocycles in Medicinal Chemistry"
8:25 pm - 8:35 pm	Discussion

8:35 pm - 9:10 pm	Eric Jacobsen (Harvard University, USA) "Catalyst-Controlled Glycosylation Reactions"
9:10 pm - 9:20 pm	Discussion
9:20 pm - 9:30 pm	Closing Remarks
Friday	
7:30 am - 8:30 am	Breakfast
9:00 am	Departure

Contributors

 Gordon Research Conferences	 Carl Storm Underrepresented Minority Fellowship	 Predominantly Undergraduate Institution Fund (PUI)
		
		
		
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The conference also gratefully acknowledges a contribution from George Sheppard.