National Institute of Diabetes and Digestive and Kidney Diseases (NIDDK) Workshop

Chemical Approaches to Nuclear Receptors and Metabolism

April 16 - 17, 2009
Marriott Bethesda
Bethesda, MD

Organizers: Kip Guy, David Moore, Timothy Willson, Ronald Margolis

Day 1 – Thursday, April 16, 2009

7:00 a.m. – 8:00 a.m. ***Registration and Continental Breakfast***

8:00 a.m. – 8:10 a.m. ***Welcome and Workshop Goals***
Ronald Margolis, NIDDK

8:10 a.m. – 8:50 a.m. ***Evolution of Receptor-ligand Interactions***
Joe Thornton, University of Oregon

8:50 a.m. – 10:20 a.m. **Session 1:** ***Binding at the Ligand Pocket (I)***

8:50 a.m. – 9:20 a.m. ***Estrogen Receptor: Ligand Regulation of Diverse Functions and* In Vivo *Imaging***
John Katzenellenbogen
University of Illinois

9:20 a.m. – 9:50 a.m. ***Chemical Rescue of Nuclear Receptor Mutations***
John Koh
University of Delaware

9:50 a.m. – 10:20 a.m. ***Design and Characterization of Selective Ligands for Thyroid Hormone Receptors***
Thomas Scanlan
Oregon Health & Science University

10:20 a.m. – 10:50 a.m. **BREAK**

10:50 a.m. – 12:20 p.m. **Session 2:** ***Binding at the Ligand Pocket (II)***

10:50 a.m. – 11:20 a.m. ***Structural Basis of Binding and Selectivity of Nuclear Receptor Modulators***
Ruben Abagyan
The Scripps Research Institute

	11:20 a.m. – 11:50 a.m.	***Cholesterol and Circadian Gating Control the Timing of* Drosophila *Metamorphosis via the Nuclear Receptors E75 and DHR3*** Henry Krause University of Toronto
	11:50 a.m. – 12:20 p.m.	***Structural Organization of the Intact PPARγ-RXRα Nuclear Receptor Complex on DNA*** Fraydoon Rastinejad University of Virginia Health Sciences System
12:20 p.m. – 1:30 p.m.	**LUNCH BREAK (on your own)**	
1:30 p.m. – 3:00 p.m.	**Session 3**: ***Novel Technologies To Catalyze Progress***	
	1:30 p.m. – 2:00 p.m.	**Glucocorticoid Signaling Defines a Novel Commitment State During Adipogenesis *In Vitro*** Keith Yamamoto University of California at San Francisco
	2:00 p.m. – 2:30 p.m.	***A Nuclear Receptor Library for Selectivity Profiling Within the MLPCN Network*** Patrick Griffin The Scripps Research Institute
	2:30 p.m. – 3:00 p.m.	***Small Molecule Transcriptional Switches*** Anna Mapp University of Michigan
3:00 p.m. – 3:30 p.m.	**BREAK**	
3:30 p.m. – 5:00 p.m.	**Session 4: *Post-transcriptional Modification***	
	3:30 p.m. – 4:00 p.m.	***Post-translational Modifications of Coactivators in Physiology and Disease*** Bert O'Malley Baylor College of Medicine
	4:00 p.m. – 4:30 p.m.	***SUMOylation of Nuclear Receptors—A Potential Path to Regulate Those Obstinate Orphan Receptors*** Holly Ingraham University of California at San Francisco
	4:30 p.m. – 5:00 p.m.	***Functional Significance of Nuclear Receptor Acetylation*** Richard Pestell Thomas Jefferson University

	5:00 p.m. – 5:45 p.m.	**Late-breaking Stories**
	5:00 p.m. – 5:15 p.m.	***High-content Imaging Methodologies to Quantify Nuclear Hormone Receptor Translocation and Co-activator Recruitment*** Paul Johnston University of Pittsburgh
	5:15 p.m. – 5:30 p.m.	***Synthetic Retinoid Agonists Induce Nuclear Receptor SHP Expression and SHP Translocation to Mitochondria to Activate Apoptosis and Inhibit Tumor Growth*** Li Wang University of Utah School of Medicine
	5:30 p.m. – 5:45 p.m.	***Amplification of Thyroid Hormone Signaling by Deiodinases is Critical during Adipogenesis*** Antonio Bianco University of Miami School of Medicine
5:45 p.m. – 7:00 p.m.	**POSTER SESSION**	
7:00 p.m.	**DINNER (on your own)**	

Day 2 – Friday, April 17, 2009

7:00 a.m. – 8:00 a.m.	***Registration and Continental Breakfast***	
8:00 a.m. – 8:40 a.m.	***Systems Medicine – Methods for Mechanisms and Markers in Insulin Resistance*** Shankar Subramaniam, University of California at San Diego	
8:40 a.m. – 10:10 a.m.	**Session 5:** ***Metabolic Receptors and Xenobiotics***	
	8:40 a.m. – 9:10 a.m.	***Regulating the Human PXR Regulator in Liver and Intestinal Cells*** Erin Schuetz St. Jude Children's Research Hospital
	9:10 a.m. – 9:40 a.m.	***Endocrine Disruption and PPAR Activity: A Link to Obesity?*** Béatrice Desvergne University of Lausanne, Switzerland
	9:40 a.m. – 10:10 a.m.	***Antidiabetic Effects of Phospholipid LRH-1 Ligands*** David Moore Baylor College of Medicine
10:10 a.m. – 10:30 a.m.	**BREAK**	

10:30 a.m. – 12:00 p.m. **Session 6:** ***Coregulators: Structure/Function and Role as Potential Target(s)***

10:30 a.m. – 11:00 a.m. ***Chemical Biology of the PGC-1 Coactivators***
Bruce Spiegelman
Dana-Farber Cancer Institute and
Harvard Medical School

11:00 a.m. – 11:30 a.m. ***Differential Presentation of Protein Interaction Surfaces on the Androgen Receptor Defines the Pharmacological Actions of Bound Ligands***
Donald McDonnell
Duke University Medical Center

11:30 a.m. – 12:00 pm. ***Chemical Biology of Mitochondria***
Vamsi Mootha
Harvard Medical School and
Massachusetts General Hospital

12:00 p.m. – 1:00 p.m. **Session 7:** ***Novel Approaches to the Clinic***

12:00 p.m. – 12:30 p.m. ***Modulation of the Thyroid Hormone Receptor to Attack Atherosclerosis, Obesity and Diabetes***
John Baxter
The Methodist Hospital Research Institute

12:30 p.m. – 1:00 p.m. ***Open Access Chemical Probes for Regulation of Gene Transcription***
Timothy Willson
GlaxoSmithKline

1:00 p.m. – 1:10 p.m. ***Meeting Summary and Future Directions***
R. Kip Guy, St. Jude Children's Research Hospital

1:10 p.m. **Adjournment**