

# *Chemistry 250: Advances in Pharmaceutical Research: From Lead Discovery to Drug Manufacturing*

Spring 2011

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## **Teaching Assistants:**

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**Lectures:** 153 Noyes  
Tuesday, Wednesday, Thursday from 11:00 am–12:00 pm  
March 30–June 2, 2011

**Introduction:** Ch 250 is a lecture course that will be presented by leading scientists from eight/nine major pharmaceutical companies and will encompass the nature of pharmaceutical research directed toward the development of drug candidates. This lecture series will place an emphasis on the application of organic chemistry toward the development of pharmaceutical targets at both the medicinal chemistry and process chemistry level. This course will also provide insight into the interdisciplinary nature of pharmaceutical research, highlighting the need for expertise in organic chemistry, pharmacology, biochemistry, analytical chemistry, and computational methods. The function of this course is to provide students (undergraduate and graduate) as well as postdoctoral scholars with a more clearly defined picture as to the nature of pharmaceutical research, e.g. the nature of medicinal versus process research, the mechanism of identification of biological targets, the importance of structure activity relationship (SAR) and pharmacokinetic studies in the process of hit to lead development, as well as providing a comparison of company research cultures. The class will run for ten consecutive weeks. Each company presentation will involve 1-2 lectures.

## **Overall Course Grade:**

This is a pass-fail course. Your grade in Chemistry 250 will be based on your attendance. You must attend at least 80% of the lectures for a passing grade.

## **Appointments with Speakers:**

We hope that this class will engender strong ties between our expanding organic chemistry group at Caltech and the pharmaceutical industry. Many companies are taking this opportunity to bring both a process and medicinal chemistry representative to our campus. In each case, these representatives are closely involved in their own companies recruiting efforts. As such, these classes will provide company representatives an opportunity to get to know graduate students and postdoctoral scholars early in their

careers and in an informal atmosphere, outside of an interview type environment. In terms of both a scientific understanding and a career-based perspective, we hope that students will take the time to meet and discuss chemistry with as many company representatives as possible. In this context, appointments will be available for students to meet with these representatives in a group meeting or sub-group meeting format. Please contact the "student host" (see below) or TA's to schedule a meeting or appointment.

## *Detailed Lecture Schedule*

All lectures will be held in 153 Noyes at 11 AM unless otherwise noted.

### **Week 2: Johnson & Johnson Services, Inc.**

Tuesday, April 5<sup>th</sup> Michael H. Rabinowitz. "Inhibitors of HIF Prolyl Hydroxylases as Hypoxia Mimetics"  
Wednesday, April 6<sup>th</sup> Jennifer Venable. "Novel Modulators of the Human Histamine H4 Receptor"

### **Week 3: Boehringer Ingelheim Pharmaceuticals, Inc.**

Tuesday, April 12<sup>th</sup> Keith R. Fandrick. "Development of a Practical Scalable Synthesis of BI653048: Development of a General Catalytic Asymmetric Propargylation of Ketones"

### **Week 4: Merck & Co., Inc.**

Wednesday, April 20<sup>th</sup> John A. McCauley. "Discovery of Vaniprevir (MK-7009): A Macrocyclic Hepatitis C Virus NS3/4a Protease Inhibitor"  
Thursday, April 21<sup>st</sup> Cheng Y. Chen. "Chemical Development of Vaniprevir (MK-7009)"

### **Week 5: Abbott Laboratories**

Wednesday, April 27<sup>th</sup> Michael R. Michaelides. "The Road to ABT-869, an Orally Active Multi-targeted Receptor Tyrosine Kinase Inhibitor"  
Thursday, April 28<sup>th</sup> Michael J. Rozema. "Process Development of a VEGF Inhibitor for the Treatment of Cancer"

### **Week 6: Amgen, Inc.**

Tuesday, May 3<sup>rd</sup> Andrew S. Tasker. "Case Studies in Kinase Inhibition"  
Wednesday, May 4<sup>th</sup> Wenge Zhong. TBA

### **Week 7: Cytokinetics, Inc.**

Tuesday, May 10<sup>th</sup> Bradley Morgan. "Current and Potential Treatments of Systolic Heart Failure: the Discovery of *Omecamtiv Mecarbil* the First, Selective, Small Molecule Activator of Cardiac Myosin"

### **Week 8: Vertex Pharmaceuticals, Inc.**

Tuesday, May 17<sup>th</sup> Jeremy Green. "Protease Inhibitors and the Discovery of Telaprevir"  
Wednesday, May 19<sup>th</sup> Gerald J. Tanoury. TBA

### **Week 9: Genentech, Inc.**

Tuesday, May 24<sup>th</sup> Janet Gunzner and Timothy Heffron. "From Small Molecules to Armed Antibodies: Oncology Drug Discovery at Genentech"  
Thursday, May 26<sup>th</sup> David Askin. TBA

### **Week 10: TBD**



## Detailed Lecture Schedule

All lectures will be held in 153 Noyes unless otherwise noted.

	Sunday	Monday	Tuesday	Wednesday	Thursday	Friday	Saturday
	March 27	March 28	March 29	March 30 <b>Organizational Meeting</b>	March 31	April 1	April 2
	April 3	April 4	April 5 <b>Michael H. Rabinowitz</b> host: Russell Smith	April 6 <b>Jennifer Venable</b> host: Jane Ni	April 7	April 8	April 9
	April 10	April 11	April 12 <b>Keith R. Fandrick</b> host: Chris Gilmore	April 13	April 14	April 15	April 16
	April 17	April 18	April 19	April 20 <b>John A. McCauley</b> host: Jeff Holder	April 21 <b>Cheng Y. Chen</b> host: Jake Cha	April 22	April 23
	April 24	April 25	April 26	April 27 <b>Michael R. Michaelides</b> host: Alex Goldberg	April 28 <b>Michael J. Rozema</b> host: Jay Codelli	April 29	April 30
	May 1	May 2	May 3 <b>Andrew S. Tasker</b> host: Roger Nani	May 4 <b>Wenge Zhong</b> host: Nathan Bennett	May 5	May 6	May 7
	May 8	May 9	May 10 <b>Bradley Morgan</b> host: Allen Hong	May 11	May 12	May 13	May 14
	May 15	May 16	May 17 <b>Jeremy Green</b> host: Raul Navarro	May 18	May 19 <b>Gerald J. Tanoury</b> host: Jonny Gordon	May 20	May 21
	May 22	May 23	May 24 <b>Janet Gunzner/Timothy Heffron</b> host: John Yeoman	May 25	May 26 <b>David Askin</b> host: Boram Hong	May 27	May 28
	May 29	May 30	May 31	June 1	June 2	June 3	June 4