

# CHARLES UNIVERSITY IN PRAGUE

Department of Organic Chemistry



# **New Synthetic Methods**

A Guide to the Course

# Course Guide

#### NEW SYNTHETIC METHODS

## A Guide to the Course

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## **Outline of the Course**

This course is subdivided into two parts "New Synthetic Methods" and their applications in "Medicinal Chemistry".

#### New Synthetic Methods (12 lectures)

This course aims to add to your range and understanding of important chemical reactions used in modern synthetic chemistry, with an emphasis on how they can control the stereochemistry, regioselectivity and chemoselectivity. The course begins by looking at pericyclic reactions and the organic chemistry of some p-block elements and then moves on to the use of organometallics in synthesis.

**Topics** Pericyclic reactions and the Woodward–Hoffmann rules: why are pericyclic reactions selective?

The use of p-block elements in organic synthesis

Organometallics in synthesis. Reactivity and selectivity of organometallic reagents and how this is related to structure and mechanism. The chemistry of various transition metals will be discussed.

Topics will include, Ru, Mo, and Ti alkylidene carbene compounds for metathesis; Pd in organic synthesis, the Heck, Suzuki, Stille and Sonogashira cross-coupling reactions and the formation of carbon-heteroatom bonds mediated by Pd; other transition metals.

#### Recommended books

*Organic Chemistry*, Clayden, Greeves, Warren and Wothers, OUP, 2001, Chapter 48. *Pericyclic Reactions*, Fleming, I., Oxford Chemistry Primer 67, OUP, 1998. *Frontier orbitals and organic chemical reactions*. Fleming, I, Wiley, 1976. *Principles and applications of organotransition metal chemistry* (2nd ed), Collman, J.P., Hegedus, L.S., Mill Valley, 1987.

#### **New Synthetic Methods in Medicinal Chemistry (12 lectures)**

The pharmaceutical industry is one of the major employers of organic chemistry graduates in discovery, development and production. This course gives an overview of the type of reactions often used in medicinal and process chemistry and some case histories of modern drugs. It will apply all previous organic chemistry courses to this very important topic, whilst introducing a wide range of new reactions, mainly (though not exclusively) concerning heterocycle formation. It is an organic chemistry course with a strong focus on reaction mechanisms and no prior knowledge of biochemistry is required.

**Topics** The background and history of modern medicinal chemistry will be given as a context for the course. The drug discovery process will also be discussed: how do drugs go from the chemist's bench to being multi-million dollar earners?

Modern heterocyclic chemistry: most drugs contain heterocyclic rings and the structure, synthesis and reactions of both aromatic and non-aromatic heterocycles will be described, within the context of drugs for the treatment of many disease areas e.g. ulcers, bacterial and viral infections, influenza and malaria.

#### Recommended Books

Organic Chemistry, Clayden, Greeves, Warren and Wothers, OUP, 2001, Chapter 43 and 44. Aromatic Heterocyclic Chemistry, Davies, D.T., Oxford Chemistry Primers, OUP, 1991. Top Drugs, Top Synthetic Routes, Saunders, J. Oxford Chemistry Primers, OUP, 2000. The Chemistry of Heterocycles: Structure, Reactions, Synthesis and Applications, Eicher, T. and Hauptmann, S., Wiley-VCH, 2003.

Heterocyclic Chemistry at a Glance, Joule, J.A. and Mills, K., Blackwell Publishing, 2007.

## **Supervision and Homework**

Each lecture course is accompanied by a problems sheet from which your supervisor will ask you to complete a number of questions. You may also be set additional questions, perhaps from past examination papers. For each supervision you should expect to prepare some written work, to hand it in for marking in advance of the supervision and to receive it back, marked and with written comments from your supervisor, within a reasonable time (usually by the end of the week).

### Examination

The examination consists of a one three-hour written paper that contains (up to) seven questions; candidates must answer five questions, which will carry equal weight.

You will be provided with a Data Book which contains a simple Periodic Table, values of physical constants, certain mathematical formulae and selected character tables. You will be provided with a copy of the Data Book when you appear for the examination. You are permitted to bring unassembled molecular models into the examination. No other reference material is permitted during the examination.

There are three possible dates for the examination on offer, which are as follows: 16.05.2016 (Mon), 18.05.2016 (Wed), 20.05.2016 (Fri) from 09:00 - 12:10.

Students may choose to abstain from one examination up to 24 h before the set date, and only on account of a certified medical emergency or other grievous circumstances. If all three dates are missed the course is considered as failed without further ado.

The following class boundaries will be used for all the examination:

- candidates who achieve a percentage mark of 80.0-100.0 are awarded a 1st class

- candidates who achieve a percentage mark of 60.0-79.9 are awarded a 2nd class
- candidates who achieve a percentage mark of 50.0-59.9 are awarded a 3rd class
- candidates who achieve a percentage mark of 0.0-49.9 fail the exam.

### New Synthetic Methods - Teaching schedule 2015/16

All lectures will be held 10:00 to 12:00 in seminar room 138, Department of Organic Chemistry

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	Summer Term				Summer Term				Summer Term					
1	15-Feb	Мо	NSM1		7	28-Mar	Мо	NSM3		13	09-May	Мо		
	16-Feb	Tu				29-Mar	Tu				10-May	Tu		
	17-Feb	We				30-Mar	We				11-May	We		
	18-Feb	Th				31-Mar	Th				12-May	Th		
	19-Feb	Fr				01-Apr	Fr				13-May	Fr		
	20-Feb	Sa				02-Apr	Sa				14-May	Sa		
	21-Feb	Su				03-Apr	Su				15-May	Su	End of ST	
2	22-Feb	Мо	NSM1		8	04-Apr	Мо	NSM3		14	16-May	Мо	Exam 1/3	
	23-Feb	Tu				05-Apr	Tu				17-May	Tu		
	24-Feb	We				06-Apr	We				18-May	We	Exam 2/3	
	25-Feb	Th				07-Apr	Th				19-May	Th		
	26-Feb	Fr				08-Apr	Fr				20-May	Fr	Exam 3/3	
	27-Feb	Sa				09-Apr	Sa				21-May	Sa		
	28-Feb	Su				10-Apr	Su				22-May	Su		
3	29-Feb	Мо	NSM1		9	11-Apr	Мо	NSM3						
	01-Mar	Tu				12-Apr	Tu							
	02-Mar	We				13-Apr	We		Note:					
	03-Mar	Th				14-Apr	Th		There <b>are three possible dates</b>					
	04-Mar	Fr				15-Apr	Fr		for the examination on offer					
	05-Mar	Sa				16-Apr	Sa		towards the end of the term.					
	06-Mar	Su				17-Apr	Su		Students may chose to <b>abstain</b>					
4	07-Mar	Мо	NSM2		10	18-Apr	Мо	NSM4	from any one examination up					
	08-Mar	Tu				19-Apr	Tu		and only on account of a					
	09-Mar	We				20-Apr	We		certified medical emergency or					
	10-Mar	Th				21-Apr	Th		other grievous circumstances.					
	11-Mar	Fr				22-Apr	Fr		If all three dates are missed					
	12-Mar	Sa				23-Apr	Sa			t	he course is co	onside	red as	
	13-Mar	Su				24-Apr	Su		failed without further ado.					
5	14-Mar	Мо	NSM2		11	25-Apr	Мо	NSM4						
	15-Mar	Tu				26-Apr	Tu							
	16-Mar	We				27-Apr	We							
	17-Mar	Th				28-Apr	Th							
	18-Mar	Fr				29-Apr	Fr							
	19-Mar	Sa				30-Apr	Sa							
	20-Mar	Su				01-May	Su							
6	21-Mar	Мо	NSM2		12	02-May	Мо	NSM4						
	22-Mar	Tu				03-May	Tu							
	23-Mar	We				04-May	We							
	24-Mar	Th				05-May	Th							
	25-Mar	Fr				06-May	Fr							
	26-Mar	Sa				07-May	Sa							
	27-Mar	Su				08-May	Su							