

## Chemistry 744 Medicinal Chemistry II–Spring 2019: Syllabus

### General:

Instructor: Dr. Alexander Arnold  
Office Room: 372c Chemistry Building  
Office Hours: By appointment via email  
Email address: [arnold2@uwm.edu](mailto:arnold2@uwm.edu)  
Telephone: 414 229 2612  
Lecture: TR: 3:30-4:45 pm, room: CHM 195  
Official start date: 1/22/2019

### Description:

This course provides an understanding of current drug targets and the design and development of drug candidates to cure diseases based on the modulation of these targets. This course is the second part of the medicinal chemistry class (I+II) and is focused on receptors and enzymes. In class we will discuss different groups of molecules, which have been developed to modulate the function of these proteins. Furthermore, we will discuss aspects of pharmacokinetics and pharmacodynamics.

### Course Load:

The student is required to attend class 744 scheduled for two times 75 minutes per week.

### Textbook:

#### Recommended Readings:

An introduction to medicinal chemistry: Graham Patrick, Oxford Press. , ISBN 0199234477  
Medicinal chemistry, an introduction: Gareth Thomas, Wiley, ISBN 0470025980  
The Organic chemistry of drug design and drug action, Silverman & Holladay, Academic press, ISBN 9780123820303  
Medical Chemistry, Stevens, Pearson, ISBN 0321710487  
Practice of medical chemistry: Camille George Wermuth, Academic Press. ISBN 0127444815  
Foye's Principles of medicinal chemistry: Lemke, Williams, Wolters Kluwer, ISBN 0781768799

### Presentations:

I will assign each student a drug molecule. The task for the student is to research this drug and present a summary describing the discovery, application, mode of action, disease area, and other important characteristics of this drug as a power point presentation (20-30 minutes). This is 50% of the grade.

Student	Drug	Drug Class	target	Disease
Moneer Arabiyat	Apalutamide	Chemotherapy	Androgen receptor	Prostate cancer
Nicolas Zahn	Baricitinib	JAK inhibitors	Janus Kinase	severe active rheumatoid arthritis
Rezanian Sepideh	Epidiolex	CNS drug	Cannabiod receptor	epilepsy
Catherine Gross	Tolvaptan	Aquaretic drug	vasopressin receptor 2 antagonist	polycystic kidney disease
Nicholas Lewandowski	prucalopride	serotonin receptor agonist	Hydroxytryptamine receptor	chronic idiopathic constipation
Nurul Setu	Sarecycline	Tetracyclic antibiotic	bacteria	severe acne vulgaris
Viren Shah	Tecovirimat	Antipoxviral drug	major envelope protein	Smallpox

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Katryna Williams	lorlatinib	Anti cancer	ALK/ROS1 tyrosine kinase	Lung cancer
Rahman Towheedur	Elagolix	antagonist	gonadotropin-releasing hormone (GnRH) receptor	management of moderate to severe pain associated with endometriosis
Dishary Sharmin	Certolizumab pegol	biologics	tumor necrosis factor (TNF)	plaque psoriasis
Ali Taufeeque	tafenoquine	prevention	Malaria parasite	Malaria

### Discuss the following points in your presentation:

1. History
2. Target
3. Disease
4. Mode of action
5. SAR, selectivity, affinity, efficacy
6. Metabolism and pharmacokinetics
7. Side-effects
8. Drug interactions
9. Alternative treatments

### Exam:

I will hand out an article from the Journal of Medicinal Chemistry two weeks before class. The students will have time to analyze the article and find additional information using the internet and library in order to fully understand the work presented. The exam will ask questions based on the article. (50% of the grade)

### Policies:

UWM: You must follow the policies and procedures outlined in the current Schedule of Classes.

See: <http://www.uwm.edu/Dept/SecU/SyllabusLink.pdf>

Department of Chemistry: You are expected to fully understand the policies posted on the bulletin boards across from CHM 195 and adjacent to CHM 164.

Drop, Section Change: Most changes can be made on PAWS. Make sure you check-out of laboratory to avoid having a "hold" placed on your records.

Incomplete: An incomplete can be given only to a student who has been doing satisfactory (C or better) work but who is unable to continue attending the course for a reason judged valid. The request for an Incomplete must be accompanied by documentation.

Academic Dishonesty: Cheating on an examination or other graded material will result in a grade of zero as a minimum consequence. Failure in the course and referral to the Dean may also occur. In short, academic dishonesty in any form will not be tolerated.

### Tentative Course Outline:

Below is an approximate outline. Changes can occur any time but will be noted in class.

Nr	Day	Reading
1	Tuesday, January 22, 2019	Introduction
2	Thursday, January 24, 2019	Protein: Introduction
3	Tuesday, January 29, 2019	Enzyme: structure and function
4	Thursday, January 31, 2019	Assays to determine modulation of enzyme function

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5	Tuesday, February 5, 2019	Enzyme: Irreversible Inhibitors (Antibiotics)
6	Thursday, February 7, 2019	Enzyme: Irreversible Inhibitors (Vigabatrin, Eflornithine)
7	Tuesday, February 12, 2019	Enzyme: Competitive Inhibitor (COX2, NSAID)
8	Thursday, February 14, 2019	Enzyme: Competitive Inhibitor (HIV protease)
9	Tuesday, February 19, 2019	Enzyme: Transition State Analog (statin)
10	Thursday, February 21, 2019	Enzyme: Transition State Analog (ACE)
11	Tuesday, February 26, 2019	Enzyme: allosteric inhibitors (kinases, Imatinib)
12	Thursday, February 28, 2019	Assays to determine modulation of receptor function
13	Tuesday, March 5, 2019	Receptor: Modulator (GPCR)
14	Thursday, March 7, 2019	Receptor: Nuclear Hormone Receptor
15	Tuesday, March 12, 2019	Receptor: Antagonist (calcium channel),
16	Thursday, March 14, 2019	Receptor: Modulator (GABA(a) receptor)
17	Tuesday, March 26, 2019	Receptor: Antagonist (alpha/beta blockers)
18	Thursday, March 28, 2019	A trip through the body
19	Tuesday, April 2, 2019	Pharmacokinetics
20	Thursday, April 4, 2019	Pharmacokinetics
21	Tuesday, April 9, 2019	Drug Metabolism
22	Thursday, April 11, 2019	Drug Metabolism
23	Tuesday, April 16, 2019	Drug Delivery and prodrugs
24	Thursday, April 18, 2019	Presentation: Moneer Arabiyat, Viren Shah
25	Tuesday, April 23, 2019	Presentation: Nicolas Zahn, Katryna Williams
26	Thursday, April 25, 2019	Presentation: Rezanian Sepideh, Dishary Sharmin
27	Tuesday, April 30, 2019	Presentation: Catherine Gross, Rahman Towheedur
28	Thursday, May 2, 2019	Presentation: Nicholas Lewandowski, Ali Taufeeque
29	Tuesday, May 7, 2019	Presentation: Nurul Setu, (taukir?)
30	Thursday, May 9, 2019	<b>Exam, Room CHEM195 3:30-open</b>

### Disclaimer:

Teaching policies and regulations for this course are not open for discussion or negotiation. This syllabus has been constructed to be as complete as possible but is by no means a binding document. I reserve the right to alter policies and regulations as needed.