

PHA5439 Principles of Medicinal Chemistry And Pharmacology I

Fall, 2020

3 Credit Hours – [A-E Grading]

The purpose of this course is to provide a mechanism for understanding and predicting the properties of drugs: absorption, distribution, interaction with receptors and enzymes, metabolism, and excretion. The mechanism involves identification of individual functional groups in drugs, prediction of the physicochemical/biochemical properties of those individual functional groups and prediction of how the collective individual functional groups can contribute to the properties of the drugs. As a pharmacist, these concepts are essential when developing a prioritized problem list and care plan for a patient. Future coursework will require application of concepts taught in this course as the student pharmacist learns to develop a prioritized problem list and care plan.

Teaching Partnership Leaders

Margaret O. James, Ph.D.

- Email: mojames@ufl.edu
- Office: MSB, P-629
- Phone: (352) 273-7707
- Office Hours: Please see the Canvas course site for posted office hours.

See Appendix A. for Course Directory of Faculty and Staff Contact Information.

Entrustable Professional Activities

This course will prepare you to perform the following activities which the public entrusts a Pharmacist to perform:

Patient Care Provider Domain

2. Analyze information to determine the effects of medication therapy, identify medication-related problems, and prioritize health-related needs.
- ST2.7. Evaluate an existing drug therapy regimen

Course-Level Objectives

Upon completion of this course, the student will be able to:

1. Develop and integrate knowledge about principles of medicinal chemistry and pharmacology.
2. Identify the unique role and challenges for natural products in drug discovery.
3. Recognize sources of drugs that increasingly impact healthcare.
4. Determine how to discover new therapeutic targets.
5. Predict the effects of functional groups in drugs on pKa, solubility, and interactions.
6. Predict interactions between functional groups in macromolecules and in ligands that are responsible for binding of ligands to receptors/enzymes based on biochemical/physicochemical principles.

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7. Predict the effect of binding to receptors on activity versus potency.
8. Predict the following based on analysis of functional groups: a) metabolism, b) drug interactions.
9. Predict drug-drug, drug-food, and related interactions based on alterations of drug metabolism.
10. Consider the role of genetics as a determinant of the rate of metabolism of drugs
11. Predict efflux transport for different classes of drugs.
12. Predict drug-drug, drug-food, and related interactions based on alterations of drug transport
13. Predict degree of ionization of acids and bases from the Henderson Hasselbalch equation.
14. Estimate the pH of solutions of weak acids and bases.
15. Explain how prodrugs and soft drugs result in drug action.
16. Apply the problem solving strategy learned in the Personal and Professional development course when solving problems related to medicinal chemistry and pharmacology.

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Course Pre-requisites

1. Admission to the Doctor of Pharmacy program.

Course Co-requisites

1. There are no co-requisites for this course.

Course Outline

See Appendix. Please routinely check your campus calendar and the Canvas course site for any messages about changes in the schedule including meeting dates/times, deadlines, and room changes.

Required Textbooks/Readings

1. Text 1: Roche VF, Zito, SW, Lemke TL, Williams DA. Foye's Principles of Medicinal Chemistry, Wolters Kluwer Health/Lippincott Williams & Wilkins, Philadelphia, PA, 8th Edition, 2020. ISBN-13:978-1-4963-8502-4
Not available in Access Pharmacy
2. Text 2: Brunton L. Goodman and Gilman's The Pharmacological Basis of Therapeutics, McGraw-Hill Professional, New York, NY, 13th Edition, 2017. ISBN-13: 978-1259584732; ISBN- 10: 1259584739
Available in Access Pharmacy
3. Use [UF VPN to access UF Libraries Resources](#) when off-campus. The UF HSC library staff can assist you with questions or issues related to accessing online library materials. For assistance contact your College of Pharmacy librarian or visit the [HSC Library Website](#) at this URL:
<http://www.library.health.ufl.edu/>

Suggested Textbooks/Readings

Suggested reading materials will be posted in the Canvas site.

Other Required Learning Resources

N/A

Materials & Supplies Fees

None

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Student Evaluation & Grading

Evaluation Methods and How Grades are calculated.

[The Canvas© gradebook will be set-up using the percentages below to compute the grade.]

Assessment Item	Grade Percentage
Individual Readiness Assurance Tests [4 @ 3.75% ea.]	15%
Team Readiness Assurance Tests [4 @ 3.75% ea.]	15%
Exam 1 (material from modules 1 to 3)	30%
Exam 2 [material from modules 4 to 6, 35%; material from modules 1 to 3, 5%]	40%
Total	100%

Table 1.1 Evaluation and Grading Above

Table 1.2 grading scale

Percentage	Letter Grade
92.50-100%	A
89.50-92.49%	A-
86.50-89.49%	B+
82.50-86.49%	B
79.50-82.49%	B-
76.50-79.49%	C+
72.50-76.49%	C
69.50-72.49%	C-
66.50-69.49%	D+
62.50-66.49%	D
59.50-62.49%	D-
<59.50	E

Rounding of grades:

Final grades in Canvas will be rounded to the 2nd decimal place. If the decimal is X.495 or higher, Canvas will round the grade to X.50. The above scale depicts this policy and grades are determined accordingly. Grade assignment is made using this policy and NO EXCEPTIONS will be made in situations where a student's grade is "close."

Educational Technology Use

The following technology below will be used during the course and the student must have the appropriate technology and software.

1. ExamSoft™ Testing Platform
2. Canvas™ Learning Management System

For technical support, navigate to [Educational Technology and IT Support Contact Information](#) at this URL: <http://curriculum.pharmacy.ufl.edu/current-students/technical-help/>

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Pharm.D. Course Policies

The Policies in the following link apply to this course. Review the General [Pharm.D. Course Policies](http://curriculum.pharmacy.ufl.edu/current-students/course-policies/) carefully, at this URL: <http://curriculum.pharmacy.ufl.edu/current-students/course-policies/>

Course Evaluation Process

Students are expected to provide professional and respectful feedback on the quality of instruction in this course by completing course evaluations online via GatorEvals. Guidance on how to give feedback in a professional and respectful manner is available at <https://gatorevals.aa.ufl.edu/students/>. Students will be notified when the evaluation period opens, and can complete evaluations through the email they receive from GatorEvals, in their Canvas course menu under GatorEvals, or via <https://ufl.bluera.com/ufl/>. Summaries of course evaluation results are available to students at <https://gatorevals.aa.ufl.edu/public-results/>.

Appendix A. Course Directory

Teaching Partnership Leader/Course Director:

Margaret O. James, Ph.D., D.Sc.

- Email: mojames@ufl.edu
- Office: MSB, P-629
- Phone: (352) 273-7707

Questions to Ask:

- Concerns about performance
- Guidance when there are performance problems (failing grades)
- General questions about content

Other Teaching Partnership Faculty Members:

Lina Cui, Ph.D.

Email: linacui@cop.ufl.edu

Office: MSB P5-31

Phone: (352)-273-7090

Yousong Ding, Ph.D.

Email: yding@cop.ufl.edu

Office: MSB P6-27

Phone: 352-273-7742

Robert Huigens, Ph.D.

Email: rwhuigens@ufl.edu

Office: MSB P5-33

Phone: 352-273-7718

Hendrik Luesch, Ph.D.

Email: luesch@cop.ufl.edu

Office: MSB P3-12

Phone: 352-273-7738

John Markowitz, Pharm.D.,

Email: jmarkowitz@cop.ufl.edu

Office: HSC PG-23

Phone: 352-273-6262

Guangrong Zheng, Ph.D.

Email: zhengg@cop.ufl.edu

Office: BG-022C

Phone: 352-294-8953

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Instructional Designer:

Name: Holly Fremen

- Email: holly.fremen@cop.ufl.edu
- Office: HPNP 4309
- Phone: 352-273-5558

Academic Coordinator Gainesville Campus:

Name: Nicole Marlowe

- Email: nicolemarlowe@cop.ufl.edu
- Office: HPNP 4312
- Phone: 352-273-6523

Absence/Tardy Email: absent1pd@cop.ufl.edu (Visit the course policy site for further instructions)

Educational Coordinators

Name: McKenzie Wallen

- Email: mwallen@cop.ufl.edu
- Office: Jacksonville Campus

Name: Iverta Allen

- Email: iallen1@cop.ufl.edu
- Office: Orlando Campus

Questions to Ask:

- Issues related to course policies (absences, make up exams, missed attendance)
- Absence/tardy requests (Only the Academic Coordinator handles absence requests)
- Questions about dates, deadlines, meeting place
- Availability of handouts and other course materials
- Assignment directions
- Questions about grade entries in gradebook (missing grades, incorrect grade)
- Assistance with ExamSoft® (Distance campus students may contact the Educational
- Coordinator for use of Exemplify and assistance during exams. The Academic Coordinator is the contact person for issues related to grading and posting of ExamSoft grades.

Course Outline

See Appendix. Please routinely check your campus calendar and the Canvas course site for any messages about changes in the schedule including meeting dates/times, deadlines, and room changes.

Dates of Study	Mod#	Activity	Unit Topic	hr.	Syllabus Learning Objectives	Responsible
09/21/20	00	Video talk	Course Introduction	0.2	1-16	Margaret O. James
09/21/20	00	Quiz Online Graded	Course Introduction Quiz			
	1	Module	Module 01: Relationships of Functional Groups to Pharmacological Activity – Part 01		1, 5-6, 13-14	Robert W Huigens III and Guangrong Zheng
09/22/20	1.1	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.1	1		Robert W Huigens III
09/23/20	1.2	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.2	1		Robert W Huigens III
09/23/20	1.3	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.3	1		Robert W Huigens III
09/28/20	1.4	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.4	1		Robert W Huigens III
09/28/20	1.5	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.5	1		Robert W Huigens III
09/29/20	1.6	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.6	1		Robert W Huigens III
09/29/20	1.7	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.7	1		Guangrong Zheng
09/30/20	1.8	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.8	1		Guangrong Zheng

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09/30/20	1.9	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.9	1		Guangrong Zheng
10/05/20		Quiz Self-Assessment	Module 01 - Self Assessments			Robert W Huigens III
10/13/20 8:30am- 10:25am	1	Active Learning Session--VC	Active Learning Session 01: Relationships of Functional Groups to Pharmacological Activity	2		Guangrong Zheng, Robert W Huigens III
10/13/20		Quiz In-class Graded	iRAT/tRAT 01			Robert W Huigens III and Guangrong
10/06/20	2	Module	Module 02: Relationships of Functional Groups to Pharmacological Activity – Part 02		1, 5-6, 16	Yousong Ding
10/06/20	2.1	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.1	1		Yousong Ding
10/07/20	2.2	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.2	1		Yousong Ding
10/07/20	2.3	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.3	1		Yousong Ding
10/012/20		Optional/Supplemental	Read: Chapter 2, pages 25-32 in Foye's Principles of Medicinal Chemistry (8th edition).	0.7		Yousong Ding
10/12/20		Optional/Supplemental	Read: (Supplementary) The Organic Chemistry of Medicinal Agents, Chapter 1 (1.1 to 1.3), Chapter 2, Chapter 3 and Chapter 4			Yousong Ding
10/12/20	2.4	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.4	1		Yousong Ding
10/13/20	2.5	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.5	1		Yousong Ding
10/13/20	2.6	Video Lecture	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 2.6	1		Yousong Ding
	3	Module	Module 03: How New Drugs are Developed: Natural Products and Drug Discovery		1-4	Hendrik Luesch

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10/14/20	3.1	Video Lecture	Watch: Drug Discovery and Natural Products – Lecture 3.1	1		Hendrik Luesch
10/19/20	3.2	Video Lecture	Watch: Natural Product-Based Drug Discovery Process and Structural Features – Lecture 3.2	1		Hendrik Luesch
10/19/20	3.3	Video Lecture	Watch: Emerging Sources in the 21st Century: Marine Natural Products – Lecture 3.3	1		Hendrik Luesch
10/20/20	3.4	Video Lecture	Watch: Drug Target Selection, Screening, and Optimization – Lecture 3.4	1		Hendrik Luesch
10/22/20 1:55pm- 3:50pm	1-3	Active Learning Session--VC	Active Learning Session 02: Drug Discovery and Natural Products; Relationships of Functional Groups to Pharmacological Activity,	2		Hendrik Luesch, Yousong Ding
10/22/20		Quiz In-class Graded	iRAT/tRAT 02			Yousong Ding and Hendrik Luesch
	4	Module	Module 04: Drug Biotransformation (also known as Drug Metabolism)		8-10, 12, 16	Margaret O James
10/26/20	4.1	Video Lecture	Watch: Drug Biotransformation– Lecture 4.1	1		Margaret O James
10/27/20	4.2	Video Lecture	Watch: Drug Biotransformation– Lecture 4.2	1		Margaret O James
10/28/20		Reading	Read: Foye's (text 1) Chapter 3, pg. 48-52	0.5		Margaret O James
11/02/20		Optional/Supplemental	Read: Foye's (text 1) Chapter 3, pages 55-128			Margaret O James
11/02/20		Optional/Supplemental	Read: Goodman and Gillman, Chapter 3			Margaret O James
11/03/20 2:00pm – 4:00pm	1-3	Exam	Exam 01 (Modules 01-03)	2		
11/04/20	4.3	Video Lecture	Watch: Drug Biotransformation – Lecture 4.3	1		Margaret O James
11/04/20	4.4	Video Lecture	Watch: Drug Biotransformation – Lecture 4.4	1		Margaret O James
11/09/20	4.5	Video Lecture	Watch: Drug Biotransformation – Lecture 4.5	1		Margaret O James

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10/09/20	4.6	Video Lecture	Watch: Drug Biotransformation – Lecture 4.6	1		Margaret O James
11/10/20	4.7	Video Lecture	Watch: Drug Biotransformation – Lecture 4.7	1		Margaret O James
11/10/20	4.8	Video Lecture	Watch: Drug Biotransformation – Lecture 4.8	1		Margaret O James
11/13/20 10:40am – 12:35pm	4	Active Learning Session--VC	Active Learning Session 03: Drug Biotransformation	2		John S Markowitz, Margaret O James
11/13/20		Quiz In-class Graded	iRAT/tRAT 03			Margaret O James
	5	Module	Module 05: Prodrugs and Soft Drugs (Examples that are sold)		15-16	Guangrong Zheng
11/16/20	5.1	Video Lecture	Watch: ProDrugs and Soft Drugs – Lecture 5.1	1		Guangrong Zheng
11/16/20	5.2	Video Lecture	Watch: ProDrugs and Soft Drugs – Lecture 5.2	1		Guangrong Zheng
11/17/20	5.3	Video Lecture	Watch: ProDrugs and Soft Drugs – Lecture 5.3	1		Guangrong Zheng
11/17/20		Reading	Read: Foye's (Text 1), Chapter 2, pg. 25	0.1		Guangrong Zheng
	6	Module	Module 06: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption		11	Lina Cui
11/18/20	6.1	Video Lecture	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption-Lecture 6.1	1		Lina Cui
11/18/20	6.2	Video Lecture	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption- Lecture 6.2	1		Lina Cui

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11/23/20	6.3	Video Lecture	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption- Lecture 6.3	1		Lina Cui
11/30/20		Reading	Read: Foye's (Text 1), Chapter 4, Membrane Drug Transporters, p131-150	2		Lina Cui
12/01/20 1:55pm – 3:50pm	5-6	Active Learning Session--VC	Active Learning Session 04: Absorption and Prodrugs	2		Guangrong Zheng, Lina Cui
12/01/20		Quiz In-class Graded	iRAT/tRAT 04			Guangrong Zheng, Lina Cui
12/07/20 2:00pm – 4:00pm	1-6	Exam	Exam 02 (Modules 1-6) Comprehensive	2		
			Total Hours	48.5		