PHA5439 Principles of Medicinal Chemistry and Pharmacology I

Fall, 2023

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

Lina Cui, Ph.D.

- Email: linacui@ufl.edu
- Office: MSB, P5-31

Phone: (352) 273-7090

- Office Hours: See 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.

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.

Course Pre-requisites

1. Admission to the Doctor of Pharmacy program.

Course Co-requisites

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

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

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 [5 @ 3% ea.]	15%
Team Readiness Assurance Tests [5 @ 2% ea.]	10%
Exam 1 (material from modules 1 to 2)	28%
Exam 2 [material from modules 3 to 4, 23%; material from modules 1 to 2, 2%]	25%
Exam 3 [material from modules 5 to 6, 18%; material from modules 1 to 4, 4%]	22%
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/

Artificial Intelligence Use

The use of artificial intelligence (AI) text generators such as ChatGPT on assignments, projects, quizzes, and exams is prohibited in this course. Use of AI text generators is considered evidence of academic dishonesty. If a student is uncertain about the use of AI technology, it is the student's responsibility to ask the instructor prior to beginning the assignment or assessment.

Pharm.D. Course Policies

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

Attendance Policy

Attendance is mandatory for active learning sessions such as team-based learning sessions, case discussions, laboratory sessions, and other activities that the instructor designates as required. This course has 5 required sessions. A student who misses greater than 2 sessions for this course (greater than 25% of the required active learning sessions) will receive an incomplete in the course and will retake the course during the next offering, resulting in delayed graduation.

Late Assignment Policy

N/A

Makeup Assignment Policy

Make-up assignments for iRATs and exams will be provided for any excused absences. Students shall be permitted a reasonable amount of time to make-up any excused absence(s). Due to the block curriculum model, **students must complete the make-up assignment by the deadline set by the course director.** The time period for this make-up will be consistent with the UF attendance policies. If a student misses multiple class sessions and make-up by the end of the course becomes difficult, the student and Teaching Partnership Leader/Course Director will meet with the Assistant Dean of Student Affairs to develop options such as a makeup/remediation plan or withdrawal from the course.

Accessibility and Belonging Statement

The University of Florida College of Pharmacy strives to stimulate a culture that promotes diversity and inclusion within an exceptional community of students, faculty, and staff. It is our intent that students from all diverse backgrounds and perspectives be well served by this course, that students' learning needs be addressed both in and out of class, and that the diversity that students bring to this class be viewed as a resource, strength, and benefit.

We intend to present materials and activities that are respectful of diversity: gender, sexuality, disability, age, socioeconomic status, ethnicity, race, and culture. Your suggestions are encouraged and appreciated. Please let us know ways to improve the course's effectiveness for you personally or for other students or student groups.

If any of our class meetings conflict with any of your religious events, an excused absence will be provided when requested using the standard UF COP process as detailed in the UF COP Course policies. If you feel that you have experienced or witnessed any bias/treatment that falls short of these expectations, you may submit a report through the UF COP *Student Mistreatment Report*.

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:

Lina Cui, Ph.D.

- Email: linacui@ufl.edu
- Office: MSB, P5-31
- Phone: (352) 273-7090

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

Mohamed Osman Radwan, Ph.D.
Email: mohamedradwan@kumamoto-u.ac.jp

Chengguo Xing, Ph.D.

Email: chengguoxing@cop.ufl.edu
Office: MSB P6-04
Phone: 352-294-8511

Guangrong Zheng, Ph.D.
Email: zhengg@cop.ufl.edu
Office: BG-022C
Phone: 352-294-8953

Instructional Designer:

Name: Kimberly L. Heal

- Email: kheal@ufl.edu
- Office: HPNP 4309
- Phone: 352-273-3708

Academic Coordinator Gainesville Campus:

Name: Ashley C. Williams

- Email: acwilliams@ufl.edu
- Office: HPNP 4309
- Phone: 352-273-9951

Absence/Tardy Email: (*Visit the course policy site for further instructions)*

Educational Coordinators

Name: Katie Orben

- Email: korben06@ufl.edu
- Office: Jacksonville Campus
- Phone: 904-244-9590

Name: Jessica Linares

- Email: jnoriegalinares@ufl.edu
- Office: Orlando Campus
- Phone: 407-313-4087

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 Examplify and assistance during exams. The Academic Coordinator is the contact person for issues related to grading and posting of ExamSoft grades.

Appendix B: Course Outline:

Date / Time [Recommended for Independent Study]	Mod#	Activity	Activity Title	Objectives	Contact Time (hr)	Responsible
09/22/23	00	Lecture Video	Intro Video	1-16	0.2	Lina Cui
09/22/23	00	Quiz (Online)	Course Introduction Quiz			Lina Cui
	1	Module	Module 1: Relationships of Functional Groups to Pharmacological Activity – Part 1	1, 5-6, 13-15		Robert W Huigens III
09/22/23	1.1	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.1		1	Robert W Huigens III
09/22/23	1.2	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.2		1	Robert W Huigens III
09/25/23	1.3	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.3		1	Robert W Huigens III
09/25/23	1.4	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.4		1	Robert W Huigens III
09/26/23	1.5	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.5		1	Robert W Huigens III
09/26/23	1.6	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.6		1	Robert W Huigens III
09/27/23	1.7	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.7		1	Guangrong Zheng
09/27/23	1.8	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.8		1	Guangrong Zheng

09/28/23	1.9	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity – Lecture 1.9		1	Guangrong Zheng
09/28/23		Quiz (Self-Assessment)	Module 1 - Self Assessments			Guangrong Zheng, Robert W Huigens III
09/29/23 10:00am-11:50am	1	Quiz (iRAT/tRAT)	iRAT/tRAT 1			**Guangrong Zheng, Robert W Huigens III**
09/29/23 10:00am-11:50am	**1**	**Active Learning Session**	**Active Learning Session 1: Relationships of Functional Groups to Pharmacological Activity**		**2**	**Guangrong Zheng, Robert W Huigens III**
	2	Module	Module 2: Relationships of Functional Groups to Pharmacological Activity –Part 2	1, 5-6, 17		Yousong Ding
10/09/23	2.1	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.1		1	Yousong Ding
10/10/23	2.2	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.2		1	Yousong Ding
10/11/23	2.3	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.3		1	Yousong Ding
		Optional/ Supplemental	Read: Chapter 2, pages 25-32 in Foye's Principles of Medicinal Chemistry (8th edition).			Yousong Ding
		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
10/12/23	2.4	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.4		1	Yousong Ding
10/13/23	2.5	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.5		1	Yousong Ding

10/16/23	2.6	Lecture Video	Watch: Relationships of Functional Groups to Pharmacological Activity –Lecture 2.6		1	Yousong Ding
10/17/23 8:00am-9:50am	**2**	**Active Learning Session**	**Active Learning Session 2: Drug Discovery and Natural Products; Relationships of Functional Groups to Pharmacological Activity, Part 2**		**2**	**Yousong Ding**
10/17/23 8:00am-9:50am	2	Quiz (iRAT/tRAT)	iRAT/tRAT 2			Yousong Ding
10/24/23 10:00am-12:00pm	**1-2**	**Exam**	**Exam 1 (Modules 1-2)**		**2**	**Lina Cui**
	3	Module	Module 3: How New Drugs are Developed: Natural Products and Drug Discovery	1-4		Hendrik Luesch
10/30/23	3.1	Lecture Video	Watch: Drug Discovery and Natural Products		1	Hendrik Luesch
10/31/23	3.2	Lecture Video	Watch: Natural Product-Based Drug Discovery Process and Structural Features		1	Hendrik Luesch
10/31/23		Exam Review	Exam Review			
11/01/23	3.3	Lecture Video	Watch: Emerging Sources in the 21st Century: Marine Natural Products		1	Hendrik Luesch
11/02/23	3.4	Lecture Video	Watch: Drug Target Selection, Screening, and Optimization		1	Hendrik Luesch
		Optional/ Supplemental	Foye's Principles of Medicinal Chemistry, Wolters Kluwer Health/Lippincott Williams & Wilkins, Philadelphia, PA, 7th Edition, 2013. Chapter 1: Drug Discovery from Natural Products			Hendrik Luesch
11/03/23 10:00am-11:50am	**3**	**Active Learning Session**	**Active Learning Session 3: Natural**		**2**	**Hendrik Luesch**

			Products and Drug Discovery			
11/03/23 10:00am-11:50am	3	Quiz (iRAT/tRAT)	iRAT/tRAT 3			Hendrik Luesch
	4	Module	Module 4: Drug Biotransformation (also known as Drug Metabolism)	8-10, 12		Chengguo Xing, Lina Cui
11/06/23	4.1	Lecture Video	Watch: Drug Biotransformation– Lecture 4.1		1	Lina Cui
11/07/23	4.2	Lecture Video	Watch: Drug Biotransformation– Lecture 4.2		1	Lina Cui
11/07/23		Reading	Read: Foye's (text 1) Chapter 3, pg. 48-52		0.5	Lina Cui
		Optional/ Supplemental	Read: Foye's (text 1) Chapter 3, pages 55-128			Lina Cui
		Optional/ Supplemental	Read: Goodman and Gillman, Chapters 6 and 7			Lina Cui
11/08/23	4.3	Lecture Video	Watch: Drug Biotransformation – Lecture 4.3		1	Lina Cui
11/09/23	4.4	Lecture Video	Watch: Drug Biotransformation – Lecture 4.4		1	Lina Cui
11/09/23	4.5	Lecture Video	Watch: Drug Biotransformation – Lecture 4.5		1	Chengguo Xing
11/13/23	4.6	Lecture Video	Watch: Drug Biotransformation – Lecture 4.6		1	Chengguo Xing
11/14/23	4.7	Lecture Video	Watch: Drug Biotransformation – Lecture 4.7		1	Chengguo Xing
11/15/23	4.8	Lecture Video	Watch: Drug Biotransformation – Lecture 4.8		1	Chengguo Xing
11/21/23 8:00-9:50am	4	Quiz (iRAT/tRAT)	iRAT/tRAT 4			Chengguo Xing, Lina Cui
11/21/23 8:00-9:50am	**4**	**Active Learning Session**	**Active Learning Session 4: Drug Biotransformation**		**2**	**Chengguo Xing, John S Markowitz, Lina Cui**

11/29/23 2:00-4:00pm	**3-4**	**Exam**	**Exam 2 (Modules 3-4)**		**2**	**Lina Cui**
	5	Module	Module 5: Prodrugs and Soft Drugs (Examples that are sold)	16		Guangrong Zheng
11/30/23	5.1	Lecture Video	Watch: ProDrugs and Soft Drugs – Lecture 5.1		1	Guangrong Zheng
11/30/23	5.2	Lecture Video	Watch: ProDrugs and Soft Drugs – Lecture 5.2		1	Guangrong Zheng
12/01/23	5.3	Lecture Video	Watch: ProDrugs and Soft Drugs – Lecture 5.3		1	Guangrong Zheng
12/01/23		Reading	Read: Foye's (Text 1), Chapter 2, pg. 25		0.1	Guangrong Zheng
	6	Module	Module 6: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption	11		Lina Cui
12/01/23	6.1	Lecture Video	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption-Lecture 6.1		1	Lina Cui
12/04/23	6.2	Lecture Video	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption- Lecture 6.2		1	Lina Cui
12/04/23	6.3	Lecture Video	Watch: Physicochemical and Biopharmaceutical Properties of Drug Substances: Drug Absorption- Lecture 6.3		1	Lina Cui
12/04/23		Reading	Read: Foye's (Text 1), Chapter 4, Membrane Drug Transporters, p131-150		2	Lina Cui
12/05/23		Exam Review				

12/05/23 10:00am-11:50am		Quiz (iRAT/tRAT)	iRAT/tRAT 5			Guangrong Zheng, Lina Cui
12/05/23 10:00am-11:50am	**5-6**	**Active Learning Session**	**Active Learning Session 5: ProDrugs and Drug Absorption**		**2**	**Guangrong Zheng, Lina Cui**
		Course Evaluation	**Course Evaluation**			
12/12/23 2:00pm-4:00pm	1-6	Exam	Exam 3 (Modules 1-6) Comprehensive			Lina Cui
			Total Hours		**49.8**	