1. Course: GMS6009-Principles of Drug Action and Therapeutics
   Spring 2020
   Updated 10-14-19

   Course Co-Coordinators:
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   And

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   Email: eesparol@ufl.edu

2. Office hours: Friday 4-6 PM, by appointment.

3. Course Objectives: a. To provide students with a basic knowledge of how therapeutics are discovered and optimized, b. to educate students on the mathematical models and quantitative analysis of ligand-receptor binding interactions and receptor-response coupling, c. to describe the biochemical and biological mechanisms of therapeutic action, and d. to explain how therapeutic agents are distributed within the body as a function of time and to outline the factors that control their half-life and access to their biologically relevant receptors.

4. Topical outline:

   **Section 1: Target Identification and Validation, Drug Discovery, and Drug Development**

<table>
<thead>
<tr>
<th>Lecture</th>
<th>Day</th>
<th>Date</th>
<th>Hrs</th>
<th>Topic</th>
<th>Lecturer</th>
<th>Time/Location</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>1</td>
<td>Tues</td>
<td>1/7</td>
<td>Intro/Perspective, Course Introduction</td>
<td>B. Law</td>
<td>1:30-2:30PM/R5-265</td>
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<td></td>
<td>2</td>
<td>Tues</td>
<td>1/7</td>
<td>Drug Discovery from Natural Products</td>
<td>Kem</td>
<td>2:30-3:30PM/R5-265</td>
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<td></td>
<td>3</td>
<td>Thur</td>
<td>1/9</td>
<td>Biosynthetic Approaches to Drug Production</td>
<td>Ding</td>
<td>1:30-2:30PM/R5-265</td>
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<tr>
<td></td>
<td>4</td>
<td>Thur</td>
<td>1/9</td>
<td>Antibiotics</td>
<td>Huijgens</td>
<td>2:30-3:30PM/R5-265</td>
</tr>
<tr>
<td></td>
<td>5</td>
<td>Tues</td>
<td>1/14</td>
<td>Binding Sites by Crystallography</td>
<td>McKenna</td>
<td>1:30-2:30PM/R5-265</td>
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<td></td>
<td>6</td>
<td>Tues</td>
<td>1/14</td>
<td>Rational Drug Design/Molecular Docking</td>
<td>McKenna</td>
<td>2:30-3:30PM/R5-265</td>
</tr>
<tr>
<td></td>
<td>7</td>
<td>Thur</td>
<td>1/16</td>
<td>Viruses as Therapeutics</td>
<td>A. Dinculescu</td>
<td>1:30-2:30PM/R5-265</td>
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   **Section 2: Analysis of Receptor Occupancy and Cellular Responses**

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<thead>
<tr>
<th>Lecture</th>
<th>Day</th>
<th>Date</th>
<th>Hrs</th>
<th>Topic</th>
<th>Lecturer</th>
<th>Time/Location</th>
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<tr>
<td></td>
<td>8</td>
<td>Thur</td>
<td>1/16</td>
<td>Intro to Dose-Response</td>
<td>B. Law</td>
<td>2:30-3:30PM/R5-265</td>
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<td></td>
<td>9</td>
<td>Tues</td>
<td>1/21</td>
<td>Receptor Measurement</td>
<td>Harrison</td>
<td>1:30-2:30PM/R5-265</td>
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<tr>
<td></td>
<td>10</td>
<td>Tues</td>
<td>1/21</td>
<td>Receptor Subtypes I</td>
<td>Harrison</td>
<td>2:30-3:30PM/R5-265</td>
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<td></td>
<td>11</td>
<td>Thur</td>
<td>1/23</td>
<td>Receptor Subtypes II</td>
<td>Harrison</td>
<td>1:30-2:30PM/R5-265</td>
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<td>12</td>
<td>Thur</td>
<td>1/23</td>
<td>Successful drugs and drug targets</td>
<td>B. Law</td>
<td>2:30-3:30PM/R5-265</td>
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<td></td>
<td>13</td>
<td>Tues</td>
<td>1/28</td>
<td>Review I</td>
<td>Faculty</td>
<td>1:30-3:30PM/R5-265</td>
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<tr>
<td></td>
<td>14</td>
<td>Thur</td>
<td>1/30</td>
<td>Exam I</td>
<td>Faculty</td>
<td>1:30-3:30PM/R5-265</td>
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<td></td>
<td>15</td>
<td>Thurs</td>
<td>2/4</td>
<td>Partial and Inverse Agonists</td>
<td>M. Law</td>
<td>1:30-2:30PM/R5-265</td>
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<tr>
<td></td>
<td>16</td>
<td>Thurs</td>
<td>2/4</td>
<td>Receptor Signaling Mechanisms</td>
<td>M. Law</td>
<td>2:30-3:30PM/R5-265</td>
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<tr>
<td></td>
<td>17</td>
<td>Thurs</td>
<td>2/6</td>
<td>Receptor Occupancy Theory</td>
<td>S. Jahn</td>
<td>1:30-2:30PM/R5-265</td>
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<td></td>
<td>18</td>
<td>Thurs</td>
<td>2/6</td>
<td>Drug Admin., Absorp., and Distrib.</td>
<td>Kem</td>
<td>2:30-3:30PM/R5-265</td>
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</tbody>
</table>
Section 3: Factors Controlling Drug Efficacy in Vivo

17 Tues 2/11 1 UF Drug Development Core Technology CTSI (Sharma) 1:30-2:30PM/R5-265
18 Tues 2/11 1 Binding Analysis by SPR and BLI Denslow 2:30-3:30PM/R5-265
19 Thur 2/13 1 Drug Elimination James 1:30-2:30PM/R5-265
20 Thur 2/13 1 Pharmacokinetics Kem 2:30-3:30PM/R5-265
21 Tues 2/18 1 Drug Resistance Mechanisms Rowe 1:30-2:30PM/R5-265
22 Tues 2/18 1 Individual Variation in Drug Response Rowe 2:30-3:30PM/R5-265

Thur 2/20 2 Review II Faculty 1:30-3:30PM/R5-265
Tues 2/25 2 Exam II Faculty 1:30-3:30PM/R5-265

Section 4: Mechanisms of Drug Action

23 Thur 2/27 1 Allosteric Modulators B. Law 1:30-2:30PM/R5-265
24 Thur 2/27 1 Protein Kinases as Drug Targets B. Law 2:30-3:30PM/R5-265
25 Tues 3/10 1 Signaling Diversity I Urs 1:30-2:30PM/R5-265
26 Tues 3/10 1 Signaling Diversity II Urs 2:30-3:30PM/R5-265
27 Thur 3/12 1 Basic Principles of Electrophysiology Papke 1:30-2:30PM/R5-265
28 Thur 3/12 1 Channel Types, Gating, and Kinetics Papke 2:30-3:30PM/R5-265
29 Tues 3/17 1 Modulators and Channel Blockers Papke 1:30-2:30PM/R5-265
30 Tues 3/17 1 Immunotherapy Guryanova 2:30-3:30PM/R5-265
31 Thur 3/19 1 Proteins and Peptides as Drugs Fletcher 1:30-2:30PM/R5-265
32 Thur 3/19 1 Pharmacology of DNA Damage Narayan 2:30-3:30PM/R5-265
33 Tues 3/24 1 Epigenetic gene regulation as a drug target Liao 1:30-2:30PM/R5-265
34 Tues 3/24 1 Pharmacogenetics Rowe 2:30-3:30PM/R5-265

Thur 3/26 2 Review III Faculty 1:30-3:30PM/R5-265
Tues 3/31 2 Exam III Faculty 1:30-3:30PM/R5-265

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46 hours total
34 hours of lectures
6 hours of review
6 hours of exams

5. Grading
The grade will be assigned based on numerical performance on three non-comprehensive examinations. Each exam will be 33% of the final grade. Students will be expected to answer all of the questions on each exam.

The following scale will be used:
A 93-100%
A- 90-92%
B+ 87-89%
B 84-86%
B- 80-83%
C+ 77-79%
C 74-76%
C- 70-73%
D+ 67-69%
D 64-66%
D- 60-63%
F < 60%

Information on current UF grading policies:
http://www.registrar.ufl.edu/catalog/policies/regulationgrades.html

6. Attendance: Attendance of lectures is not mandatory, but is however strongly encouraged.

7. Make-up exams: If necessary, make-up exams will be given at a time that is mutually convenient for the instructor and student(s).
8. Accommodations for students with disabilities:
Students requesting classroom accommodation must first register with the Dean of Students Office. The Dean of Students Office will provide documentation to the student who must then provide this documentation to the Instructor when requesting accommodation.

9. Required and recommended textbooks: Lecture materials will be provided in pdf format. There is no required textbook. Goodman and Gilman’s The Pharmacological Basis of Therapeutics and Katzung’s Basic and Clinical Pharmacology are suggested study aids and will placed on reserve in the Health Sciences Center Library.

10. Class Demeanor
Students are expected to arrive to class on time and behave in a manner that is respectful to the instructor and to fellow students. Please avoid the use of cell phones and restrict eating to outside of the classroom. Opinions held by other students should be respected in discussion, and conversations that do not contribute to the discussion should be held at minimum, if at all.

11. Course Evaluation
Students are expected to provide feedback on the quality of instruction in this course by completing online evaluations at https://evaluations.ufl.edu. Evaluations are typically open during the last two or three weeks of the semester, but students will be given specific times when they are open. Summary results of these assessments are available to students at https://evaluations.ufl.edu/results/.