

## SCHOOL OF PHARMACY AND HEALTH SCIENCES

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| COURSE:       | PHM4405: Classification, activities & assay of chemotherapeutic agents |
| CREDIT UNIT:  | 4.5                                                                    |
| Prerequisite: | MIC2367, PHM3405, PAT3371                                              |

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### A. COURSE OVERVIEW DESCRIPTION

The course details the pharmacologic and chemical classification, activities and analytical methods of chemotherapeutic agents, especially antimicrobial activities. The course will illustrate the fundamental aspects of the functional group properties and their contribution to the witnessed antimicrobial actions. The course entails aspects of chemical and bioactivity evaluation techniques against the identified functional groups at the molecular level. The course will contribute significantly to the professional Pharmacy curriculum.

#### Link to University Mission and Program Learning Outcomes:

1. **High order thinking:** The ability to collect, analyze and evaluate information and formulate conclusions. Students develop and demonstrate the ability to think critically, analytically and creatively.
2. **Literacy:** Competence in oral, written, quantitative, and technological skills. Students develop and demonstrate competency in oral and written communication as well as demonstrate scientific, quantitative and technological literacy.
3. **Global understanding and multicultural perspective:** Awareness, knowledge and appreciation of both the diversity and commodity of cultures. Students acquire these perspectives through formal study of languages, history, literature and the arts and through working, studying and living cooperatively in a radically, ethnically, and culturally diverse environment. Further, students acquire an understanding of economic, historical, political, geographic and environmental relationships on a global basis.
4. **Preparedness for career:** Mastery of a field of knowledge and its multi-cultural and multinational application. Such mastery is accomplished through both formal study and various experienced forms of learning such as internships and field experiences.
5. **Community service and development:** A sense of being part of a community and a desire to be of service to it. Students are given opportunities to participate in community service, citizenship, or social action projects or activities.
6. **Leadership and ethics:** As part of their growth and development, students formulate and articulate the ethical standards that will guide their professional and personal lives.

### B. PROGRAM LEARNING OUTCOMES

Upon completion of their training, the graduates should be able to:

1. Produce pharmaceutical products utilizing appropriate procedures and standards.
2. Manage a supply chain for pharmaceutical materials and products
3. Apply regulatory and ethical instruments that govern the practice of pharmacy.
4. Design therapeutic management regimens for patients
5. Disseminate knowledge on health-related issues.
6. Participate in health research.

### C. COURSE LEARNING OUTCOMES

Upon completion of the course, the student should be able to:

1. Chemically and pharmacological classification of chemotherapeutic agents.
2. Relate the structure and physical properties of these drugs to their pharmacological activities.
3. Describe the modes of action and the associated chemical mechanisms and events that result in biological activity.
4. Apply the knowledge of concepts such as drug metabolism, bioavailability, and pharmacokinetics of these drugs; and the role of medicinal chemistry in improving these parameters.
5. Apply principles of functional group substitution to enhance the biological activity of these therapeutic agents.
6. Carry out pharmaceutical analysis of chemotherapeutic drugs.

#### D. COURSE CONTENT

**Note:** Introduction, definition, chemical classification, nomenclature, structure, synthesis, and mode of action, SAR including physicochemical and stereo-chemical aspects, metabolism, therapeutic uses and adverse effects of the drugs from each category shall be studied for the following units.

| WEEK | TOPIC                                                                                                                                                                         | ACTIVITY & LEARNING OUTCOME(S)                                          | DURATION (HOURS) |
|------|-------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|-------------------------------------------------------------------------|------------------|
| 1    | Introduction to chemotherapeutic agents: Definitions, Classification.<br>Beta-Lactam antibiotics: Chemistry, Mechanism of antibacterial action.                               | Lectures, Discussions<br>Outcomes 1, 2, 3& 4                            | 3                |
| 2    | Penicillins: Chemistry, Stability; Structure-activity relationships (SAR); Semi-synthetic penicillins: Design approaches, analytical methods.                                 | Lectures, Discussions, Laboratory Experiments<br>Outcomes 4, 5, & 6     | 3                |
| 3    | Cephalosporins: Chemistry; Stability; Structure-activity relationships (SAR); Semi-synthetic penicillins: Design approaches, analytical methods.<br><br><b>ASSIGNMENT ONE</b> | Lectures, Discussions, Laboratory experiments<br>Outcomes 1, 2, 3, 4, 5 | 3                |
| 4    | Macrocyclic antibiotics: mechanism of antibacterial action, SAR, and analytical methods.<br><br>Tetracyclines: Mechanism of antibacterial action, SAR, and analytical methods | Lectures, Discussions, Laboratory experiments<br>Outcomes 2, 3, 5 & 6   | 3                |
| 5    | Quinolone antibiotics: mechanism of antibacterial action, SAR, and analytical methods.<br><br>Chloramphenicol<br>QUIZ ONE (SIT-IN)                                            | Lectures, Discussions<br>Outcomes 2, 3, 5 & 6                           | 3                |
| 6    | Antibacterial sulfonamides.                                                                                                                                                   | Lectures, Discussions,                                                  | 3                |

|           |                                                                                                                                                                                                                                   |                                                                           |   |
|-----------|-----------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------------|---------------------------------------------------------------------------|---|
|           | Aminoglycosides, glycopeptides,                                                                                                                                                                                                   | Laboratory experiments<br>Outcomes 2, 3, 5, 6                             |   |
| <b>7</b>  | <b>MIDTERM EXAMS</b>                                                                                                                                                                                                              |                                                                           |   |
| <b>8</b>  | Antiviral; Mechanisms of action; Nucleoside-based antiretrovirals; Analytical methods.<br>Other antiviral drugs: Anti-Herpes, Anti-Hepatitis, Anti-influenza viral drugs                                                          | Lectures, Discussions,<br>Laboratory experiments<br>Outcomes 2, 3, 5 & 6  | 3 |
| <b>9</b>  | Antiprotozoal agents: Major antimalarial drugs, Quinolines, Artemisinin; Mechanism of action, stability, analytical methods.<br>Other antiprotozoal agents: 5-Nitroimidazoles; Mechanism of action, stability, analytical methods | Lectures, Discussions,<br>Laboratory experiments<br>Outcomes 2, 3, 5, & 6 | 3 |
|           | <b>ASSIGNMENT TWO</b>                                                                                                                                                                                                             |                                                                           |   |
| <b>10</b> | Antifungal agents: Classification; Major antifungal agents & sites of action. Azoles, Allylamines & Polyenes antifungals: Chemistry, Mechanism of antifungal action, SAR, stability, analytical methods                           | Lectures, Discussions,<br>Laboratory experiments<br>Outcomes 2, 3 & 6     | 3 |
|           | <b>QUIZ TWO (SIT IN)</b>                                                                                                                                                                                                          |                                                                           |   |
| <b>11</b> | Anthelmintic drugs: Classification, Mechanism of action, analytical methods                                                                                                                                                       | Lectures, Discussions<br>Outcomes 2, 3 & 6                                | 3 |
| <b>12</b> | Anti-TB & Antileprotics, analytical methods<br><b>TERM PAPER PRESENTATIONS</b>                                                                                                                                                    |                                                                           |   |
| <b>13</b> | <b>ENDTERM EXAMS</b>                                                                                                                                                                                                              |                                                                           |   |
| <b>14</b> | <b>ORAL EXAMS</b>                                                                                                                                                                                                                 |                                                                           |   |

#### E. Teaching APPROACHES

Lectures, MS PowerPoint presentations, and class discussions will take a participatory approach. Assignment criteria: Students will be given several individual or group research assignments on topics relevant to the course. These could include discovery learning, problem-based learning, experimental learning, group-based learning, independent studies, and e-learning.

Laboratory practical sessions: Three hours per week. Experiments to be conducted will be communicated one week prior to the practical session.

#### F. KEY INSTITUTIONAL AND ACADEMIC POLICIES

Seven absences from class will result in an automatic grade F.

All references used to do assignments should be cited correctly.

Assignments should be done and submitted on the due dates.

No make-ups are given for tests, assignments and exams.

#### G. COURSE ASSESSMENT

| Distribution of marks                                     | Percent (%) |
|-----------------------------------------------------------|-------------|
| Attendance & Participation                                | 10          |
| Continuous Assessment Tests /Quizzes (at least 2, sit in) | 10          |
| Group or Individual Assignment                            | 10          |
| Laboratory experiments                                    | 10          |
| Practical Exams                                           | 10          |

|               |                   |
|---------------|-------------------|
| Oral exams    | 5                 |
| Mid-term Exam | 20                |
| Final Exam    | 25                |
| Total         | <b><u>100</u></b> |

| Marks    | Grade |
|----------|-------|
| 90 – 100 | A     |
| 87 - 89  | A-    |
| 84 - 86  | B+    |
| 80 - 83  | B     |
| 77 - 79  | B-    |
| 74 - 76  | C+    |
| 70 - 73  | C     |
| 67 - 69  | C-    |
| 64 - 66  | D+    |
| 62 - 63  | D     |
| 60 - 61  | D-    |
| 00 - 59  | F     |

## H. COURSE TEXTS

### Core Reading Materials for the Course

1. Beale Jr., J. M., Block, J., Eds. (2010). Wilson and Gisvold's Textbook of Organic Medicinal and Pharmaceutical Chemistry. 12<sup>th</sup> North American Edition. Lippincott Williams & Wilkins, Baltimore, MA.
2. Lemke, T.L. and Williams, D.A., Roche, V.F., Zito, W.S. Foye's Principles of Medicinal Chemistry, 6th. ed. Lippincott Williams & Wilkins, 2008.
3. Patrick, G.L. (2017). An Introduction to Medicinal Chemistry. 6<sup>th</sup> Edition. Oxford University Press, USA.

### Recommended Reference Materials

1. Donald J. Abraham (Ed.). Burgers's Medicinal Chemistry and Drug Discovery, 7th edn. vol 1-6, Wiley-Interscience (USA). 2010. **ISBN-13: 978-0470278154.**
2. Finch, R.G., Greenwood, D., Ragnar Norrby, S. and Whitley, R.J. Antibiotics and Chemotherapy, 8th ed. New York: Churchill Livingstone. 2003. **ISBN-13: 978-0443071294.**