

**PHARMACEUTICS I**

*CLASS:* PHR 356C—Pharmaceutics I

*SECTION:* Unique No. 59955 T/Th 8–9:30 a.m.

*ROOM:* PHR 3.106

*INSTRUCTORS:* Drs. James W. McGinity and Robert O. Williams III

*TEXTS:* PHARMACEUTICAL DOSAGE FORMS AND DRUG DELIVERY SYSTEMS  
7th Edition—Ansel, Popovich, and Allen  
THE THEORY AND PRACTICE OF INDUSTRIAL PHARMACY  
3rd Edition—Lachman et al. (on reserve in Science Library)

*OFFICE HOURS:* By appointment: Dr. McGinity - PHR 3.206D  
Dr. Williams - PHR 4.214

*EXAMS:* Exams 1, 2, and 3 20%  
Final 40%

*GRADING:* The average of 4 exams determines your grade: A = 90 and above  
B = 82–89.9  
C = 72–81.9  
D = 65–71.9

*LAB COURSE:* PHR 156P - Pharmaceutics Laboratory

*ROOM:* PHR 3.108 MWF 3:00–6:00 p.m.  
T/Th 3:00–6:00 p.m.

*CALCULATIONS:* PHR 2.110 M 2:00–3:00 p.m.

*TEXT:* PHARMACEUTICAL CALCULATIONS  
11th edition – Ansel & Stoklosa

*EXAMS:* Mid term (Week 7) practical exam and final (Week 15).  
3 one-hour quizzes for calculations.

*PREPARATIONS:* 10 points per lab prep. 23 preps total.

*GRADING:* 10 pts. per prescription 230 pts. A = 95 and above  
Practical lab exam (mid term) 60 pts B = 91–94.9  
Practical lab exam (Final) 60 pts C = 85–90.9  
3 calculations quizzes 150 pts D = 80–84.9  
TOTAL 500 pts

You must pass Calculations to obtain a pass in the course. A pass is set at 105 points out of 150 points. Furthermore, you must obtain at least 30 points per quiz, otherwise an incomplete will be recorded as your grade. Also, you must score 120 or more points in Calculations to receive a grade of A or B in PHR 156P.

# Course Objectives

## PHR 356C - Pharmaceutics I

- To know the functionality as well as the physical and chemical properties of drugs and pharmaceutical excipients in pharmaceutical dosage forms.
- To learn the art, science, and the correct procedures in order to extemporaneously compound a prescription product and then put this knowledge into practice in the laboratory class, PHR 156C.
- To understand the principles and factors controlling drug stability and bioavailability.
- From the composition list of a product and other technical information from the manufacturer, the student will be able to comprehend the mechanism of drug release and whether a tablet dosage form is a rapid release or modified release product.
- To have a complete understanding of all stages of drug product development from conception through the phases of preclinical testing and clinical testing of the drug product.
- The student will also be familiar with patents and regulatory issues of drug development, as well as the documentation, i.e. IND, NDA and ANDA's, that must be submitted to the FDA for approval of prescription drug product, before such product can be dispensed by the pharmacist.
- The student will also learn the generic and brand names as well as the therapeutic use and manufacturer of the 200 most prescribed pharmaceutical products. This list can be accessed on the Web at:  
[www.rxlist.com/top200.htm](http://www.rxlist.com/top200.htm)
- The student will learn the principles of physical pharmacy, powder technology and polymer science, pertaining to the design and development of conventional and novel drug delivery systems.
- To understand the principles of formulation technology, processing and manufacturing of pharmaceutical products on both a small and large scale.
- To be able to review the list of ingredients in a commercial pharmaceutical product and understand the functionality of each ingredient and be able to compound a similar product when a patient is allergic to one of the inert ingredients in the commercial product.

### COURSE OUTLINE

### PHARMACEUTICS I - PHR 356C

### SPRING 2003

**Text: Pharmaceutical Dosage Forms and Drug Delivery Systems  
7<sup>th</sup> Edition—Ansel, Popovich and Allen**

INTRODUCTION Chapters 1-4 Dr. McGinity

- multidisciplinary development of a drug candidate
- routes of drug administration
- the variety of dosage forms
- therapeutic considerations in dosage form design
- biopharmaceutic considerations
  - bioavailability and bioequivalence
- current cGMP and cGCP
- patents

POWDERS AND GRANULES Chapter 6 Dr. McGinity

- advantages - disadvantages
- particle size reduction
- comminution, mixing of powders
- packaging
  - bulk, divided powders
- official powders and granules
- effervescent products

CAPSULES Chapter 7 Dr. McGinity

- advantages - disadvantages
- materials
  - gelatin, plasticizers, colorants, preservatives
- hard gelatin capsules
  - sizes and shapes
  - sealing and self-locking closures
- soft gelatin capsules
- preparation of capsules
- formulation
- filling operation
  - solid, semisolid, and liquid formulations
- special capsules
- packaging and storage

SOLUTION THEORY Chapter 12 Dr. Williams

- definition of a solution, solvent, solute, mole fraction, solubility and dissolution rate
- dissolution - energetics
- hydrogen bonding and solvation
- dielectric constant
- cosolvents

Factors Influencing Solubility

- salt forms of drugs and pH
- intermolecular hydrogen binding
- crystal lattice energy
- ionic strength
- polymorphism

## PHARMACEUTICAL LIQUIDS

Chapter 12

Dr. Williams

- alcohols in water
- volatile oils in water
- water USP - definition of 5 grades
- aromatic water
- syrups, their preparation and use
- miscellaneous liquid preparations
- isotonic solutions
- nonaqueous solutions
- alcohols and ethers
- spirits and elixirs
- tinctures and fluid extracts
- the extraction process
- examples of the above

## DISPERSE OF POLYPHASIC SYSTEMS Chapter 13

Dr. Williams

- definitions
- types of polyphasic systems: colloids, suspensions, emulsions and creams

### Colloids

- distinction between a colloid and a true solution
- types of colloidal systems
- lyophilic and lyophobic colloids
- association colloids
- preparation of colloids
- properties of colloids
- the Zeta potential and colloidal stability
- protective colloids
- how charge arises on colloids
- examples

### Gels

- definitions, how formed
- syneresis and thixotropy
- types of gels - inorganic and organic
- examples

### Suspensions

- definition and properties

- the need for suspensions
- sedimentation - causes and remedies
- suspending agents
- methods of stabilizing suspensions
- colloid theory in relation to suspensions
- crystal growth and caking
- examples of suspensions in dosage forms

## SURFACE TENSION AND SURFACTANTS

Chapter 13

Dr. Williams

- definition of surface and interfacial tension
- how surface tension arises
- modification of surface tension by surfactants
- micelle formation
- solubilization, detergents and emulsification
- classification of surfactants
- Hydrophilic - Lipophilic Balance (H.L.B.)
- uses of surfactants
- examples

## SUPPOSITORIES AND COLONIC DRUG DELIVERY SYSTEMS

Chapter 11

Dr. Williams

- description and use
- types of suppositories
- advantages and disadvantages
- local vs. systemic function
- manufacture
- ingredients
- polymorphism of cocoa butter
- lipoidal bases and water soluble bases

## EMULSIONS AND EMULSION TECHNOLOGY

Chapter 13

Dr. Williams

- definition of terms
- internal and external emulsions
- preparation of emulsions
- emulsifying agents
- HLB and emulsification
- preservation of emulsions
- examples

## TOPICAL DOSAGE FORMS

Chapter 9

Dr. Williams

- introduction to skin structure and function
- the skin as a barrier to drug passage
- ways of enhancing skin penetration by drugs
- the aims of topical therapy
- percutaneous absorption of drugs
- classification of topical formulations
- typical components of topicals
- formulation and manufacture

### Ointments

- classification
- preparation by fusion and direct incorporation
- hydrous and anhydrous absorption bases
- water washable bases
- examples

### Creams

- types of creams
- water washable and vanishing
- emulsifiers in creams
- preparation of creams
- preservatives
- examples

### Miscellaneous Topicals

- pastes
- gels
- lotions

## PULMONARY AND NASAL DRUG DELIVERY SYSTEMS

Chapter 13

Dr. Williams

- inhalation therapy
- factors influencing deposition
- drug targeting

## SPRING BREAK

## METERED-DOSE INHALER TECHNOLOGY

Chapter 13

Dr. Williams

- definition
- aerosol technology
- devices
- principles of aerosol generation
- examples

## DRY POWDER INHALER TECHNOLOGY

- definition
- classification of devices
- examples

## OPHTHALMIC DRUG DELIVERY SYSTEMS

Chapter 16

Dr. Williams

- ophthalmic therapy
- sterility and preservation of ophthalmics
- physiology of the eye
- design of ophthalmic agents
- isotonicity
- drug absorption across the cornea
- examples of preparations
- contact lens products

## TABLETS

Chapter 7

Dr. McGinity

- types and classes of tablets
- advantages - disadvantages
- drug release from tablets in gastrointestinal tract
- properties of good tablets
- tablet excipients
  - fillers, binders, disintegrants, glidants, lubricants, etc.
- manufacturing
  - single-rotary punch tablet press
  - wet granulation
  - direct compression
  - recompression
- evaluation of tablets
  - dissolution and disintegration testing
  - hardness, friability
  - weight and drug content uniformity
- special tablets
  - layered tablets
  - buccal and sublingual tablets
  - lozenges
  - chewable tablets
  - effervescent tablets

## PHARMACEUTICAL COMPOUNDING

Chapter 5

Dr. McGinity

- methodology
- order of mixing
- properties of additives
- suspensions, gels, liquids, topicals, suppositories

## CONTROLLED DRUG DELIVERY SYSTEMS

Chapter 8

Dr. McGinity

- terminology
- advantages and disadvantages
- biological factors
  - half-life, absorption, metabolism, margin of safety
- physiochemical factors
  - dose, pka, solubility, partition coefficient, stability
- reservoir-and matrix systems
  - release mechanism
- biodegradable drug delivery systems
  - biodegradability, biocompatibility

- biodegradable polymers
- implants
- swelling controlled drug delivery systems
- osmotically controlled systems - OROS system
- ion exchange systems
- bioadhesive drug delivery systems
- pulsatile drug delivery systems
- transdermal drug delivery systems
- nasal drug delivery systems
  - physiology
- ocular drug delivery systems
  - physiology
  - importance of residence time
  - bioadhesive microparticles
  - inserts
- intravaginal and intrauterine
- targeted drug delivery systems
  - biophysical aspects
  - passive and active targeting
  - liposomes
  - nanoparticles
  - prodrugs
  - cellular drug carriers
  - storage and sterility
  - toxicity

## PARENTERALS

Chapters 14, 15

Dr. McGinity

- definition of sterility
- methods of sterilization
- classification of parenterals
- USP classifications of injections
- aseptic technique
- small and large volume parenteral formulations
- biotechnology products

## PREFORMULATION

Chapters 2, 3

Dr. McGinity

- timing and goals of preformulation
- organoleptic properties
- purity
- characterization of particle size, shape and surface area
- solubility, partition coefficient
- dissolution of drug substance
- crystallinity, polymorphism
- stability
  - in solid state, in solution
  - testing
- compatibility tests

## COATING OF SOLID DOSAGE FORMS

Chapter 8

Dr. McGinity

- rationale
- equipment

- pan coating
- fluidized bed coating
- sugar coating
- film coating
- polymer properties and technology
- aqueous film coating
- organic film coating
- colloidal polymer dispersions
- enteric polymers
- compression coated tablets
- coating of small particles and beads

## RADIOPHARMACEUTICALS

Chapter 14

Dr. McGinity

- definitions
- physical half-life
- radioisotopes
- application
- diagnostic and therapeutic
- production using cyclotron and a nuclear reactor
- corporation, vehicle and biodistribution moiety
- example