AS PER PCI REGULATIONS
SECOND YEAR B. PHARM. | SEMESTER-III

PRACTICAL BOOK OF

PHYSICAL PHARMACEUTICS-I

Prof. TANVIR Y. SHAIKH
Dr. SIRAJ N. SHAIKH
Prof. Md. RAGEEB Md. USMAN
BASAWARAJ BENDEGUMBLE

NIRALI PRAKASHAN
ADVANCEMENT OF KNOWLEDGE

A Practical Book of

PHYSICAL PHARMACEUTICS - I

As Per PCI Regulations

SECOND YEAR B. PHARM.

Semester III

Prof. Tanvir Y. Shaikh
M. Pharm.
Assistant Professor
Department of Pharmaceutics
Smt. S. S. Patil College of Pharmacy,
Chopda, Maharashtra, India

Prof. Md. Rageeb Md. Usman
M. Pharm., FAPP, FICPHS, FSRHCP, FRSH, FSPER
Assistant Professor
Department of Pharmacognosy
Smt. S. S. Patil College of Pharmacy,
Chopda, Maharashtra and Joint Secretaries
SPER Central Branch and President
IPA/APP/RSH/SRHCP
Maharashtra State Branch

Dr. Siraj N. Shaikh
Associated Professor
Department of Pharmaceutics
Jamia & Ali Alana College of Pharmacy,
Akkalkuwa, Nandurbar, Maharashtra, India

Basawaraj Bendegumble
M. Pharm.
Assistant Professor
H.K.E.S.'s Matoshree Taradevi Rampure
Institute of Pharmaceutical Sciences
Kalaburagi / Gulbarga - Karnataka.

Price ₹ 55.00

NIRALI PRAKASHAN
ADVANCEMENT OF KNOWLEDGE

N4352

Physical Pharmaceutics - I (Practical Book) **ISBN 978-93-87686-86-1**

First Edition : June 2018

Published By :
NIRALI PRAKASHAN
Abhyudaya Pragati, 1312, Shivaji Nagar,
Off J.M. Road, PUNE – 411005
Tel - (020) 25512336/37/39, Fax - (020) 25511379
Email : niralipune@pragationline.com

Polyplate

Printed By :
YOGIRAJ PRINTERS AND BINDERS
Works: Sr. No. 10\1,Ghule Industrial Estate,
Nanded Village Road,
TAL-HAVELI, DIT-PUNE 411041.
Mobile - 9850046517, 9404225254

➢ DISTRIBUTION CENTRES

PUNE

Nirali Prakashan : 119, Budhwar Peth, Jogeshwari Mandir Lane, Pune 411002, Maharashtra
Tel : (020) 2445 2044, 66022708
Email : bookorder@pragationline.com, niralilocal@pragationline.com

Nirali Prakashan : S. No. 28/27, Dhyari, Near Pari Company, Pune 411041
Tel : (020) 24690204 Fax : (020) 24690316
Email : dhyari@pragationline.com, bookorder@pragationline.com

MUMBAI

Nirali Prakashan : 385, S.V.P. Road, Rasdhara Co-op. Hsg. Society Ltd.,
Girgaum, Mumbai 400004, Maharashtra
Tel : (022) 2385 6339 / 2386 9976, Fax : (022) 2386 9976
Email : niralimumbai@pragationline.com

➢ DISTRIBUTION BRANCHES

JALGAON

Nirali Prakashan : 34, V. V. Golani Market, Navi Peth, Jalgaon 425001,
Maharashtra, Tel : (0257) 222 0395, Mob : 94234 91860
Email : niralijalgoan@pragationline.com

KOLHAPUR

Nirali Prakashan : New Mahadvar Road, Kedar Plaza, 1st Floor Opp. IDBI Bank
Kolhapur 416 012, Maharashtra. Mob : 9850046155
Email : niralikolhapur@pragationline.com

NAGPUR

Pratibha Book Distributors : Above Maratha Mandir, Shop No. 3, First Floor,
Rani Jhanshi Square, Sitabuldi, Nagpur 440012, Maharashtra
Tel : (0712) 254 7129

DELHI

Nirali Prakashan : 4593/15, Basement, Agarwal Lane, Ansari Road, Daryaganj
Near Times of India Building, New Delhi 110002 Mob : 08505972553
Email : niralidelhi@pragationline.com

BANGALURU

Nirali Prakashan : Maitri Ground Floor, Jaya Apartments, No. 99, 6th Cross, 6th Main,
Malleswaram, Bangaluru 560 003, Karnataka
Mob : +91 9449043034
Email: niralibangalore@pragationline.com

Acknowledgement

We are grateful to the almighty, the most beneficent and merciful, the owing on the gift of forbearance, self control and patience that carried us through our endeavor and finally made this book a grand success.

The satisfactions, which accomplish a successful completion of any task, are incomplete without the mentioning of the names of those people who make it possible.

We are pleased to express our deep sense of gratitude towards our parents for their creative suggestions, unfailing advice, and constant encouragement to transform teaching in the form of a user friendly book for the students.

We shall remain thankful to Management, all teaching and non-teaching staff members of Smt. S. S. Patil College of Pharmacy, Chopda and Jamia and Ali Alana College of Pharmacy for encouraging us to write this book.

We also wish thanks to our colleagues for helpful comments and suggestions.

We hope this book will leave the desired impression and look forward to receive the comments from the readers.

We are thankful to publisher and extend our thanks to supportive friends, and colleagues for bringing out nicely printed book.

Authors

Preface

Physical pharmaceutics is a pharmaceutical material science that is concerned with the physical and chemical principles of materials that go into the formulation of dosage forms.

This book mainly aims in guiding the professor and students regarding the fundamental principles of physical pharmaceutics. This book also helps the students in overcoming the obstacles faced by them in practical aspects of physical pharmaceutics.

Upon the completion of the course students shall be able to;

1. Understand various physicochemical properties of drug molecules in designing the dosage forms.
2. Know the principles of chemical kinetics and to use them for stability testing and determination of expiry date of formulations.
3. Demonstrate use of physicochemical properties in the formulation development and evaluation of dosage forms.

The major objective in writing this practical book is to present the information in a lucid condensed manner to fulfill the requirements of students as per PCI Regulations.

Book is designed according to the curriculum of undergraduate courses in pharmacy by Pharmacy Council of India New Syllabus useful All over India.

We sincerely hope that the practical contents of this book will help the students.

Authors

Syllabus

BP306P. PHYSICAL PHARMACEUTICS – I (Practical)

1. Determination of the solubility of drug at room temperature.
2. Determination of pK_a value by Half Neutralization/Henderson Hassel Balch equation.
3. Determination of Partition coefficient of benzoic acid in benzene and water.
4. Determination of Partition coefficient of iodine in CCl_4 and water.
5. Determination of % composition of NaCl in a solution using phenol-water system by CST method.
6. Determination of surface tension of given liquids by drop count and drop weight method.
7. Determination of HLB number of a surfactant by saponification method.
8. Determination of Freundlich and Langmuir constants using activated charcoal.
9. Determination of critical micellar concentration of surfactants.
10. Determination of stability constant and donor-acceptor ratio of PABA-Caffeine complex by solubility method.
11. Determination of stability constant and donor-acceptor ratio of Cupric-Glycine complex by pH titration method.

***

Contents

***

SCHEMES FOR INTERNAL AND END EXAMINATIONS

Course Code	Name of the Course	Internal Assessment				End Semester Exams		Total Marks
		Continuous Mode	Sessional Exams		Total	Marks	Duration	
			Marks	Duration				
BP306P	Physical Pharmaceutics - I (Practical)	5	10	4 Hrs	15	35	4 Hrs	50

SCHEMES FOR CONTINUOUS MODE

Title	Mark
Attendance	2
Based on Practical Records, Regular viva voce, etc.	3
Total	**5**

GUIDELINES FOR ATTENDANCE MARKS

Percentage of Attendance	Practical
95 – 100	2
90 – 94	1.5
85 – 89	1
80 – 84	0.5
Less than 80	0

QUESTION PAPER PATTERN FOR SESSIONAL EXAMINATIONS

Title	Marks
Synopsis	10
Experiments	25
Viva voce	05
Total	40

Note: Sessional exam shall be conducted for 40 marks and shall be computed for 10 marks.

QUESTION PAPER PATTERN FOR END EXAMINATIONS

Title	Marks
Synopsis	05
Experiments	25
Viva voce	05
Total	35

GRADE POINT EQUIVALENT TO % OF MARKS & PERFORMANCES

Percentage of Marks Obtained	Letter Grade	Grade Point	Performance
90.00 – 100	O	10	Outstanding
80.00 – 89.99	A	9	Excellent
70.00 – 79.99	B	8	Good
60.00 – 69.99	C	7	Fair
50.00 – 59.99	D	6	Average
Less than 50	F	0	Fail
Absent	AB	0	Fail

DECLARATION OF CLASS

Class	CGPA
First Class with Distinction	7.50 and above
First Class	6.00 to 7.49
Second Class	5.00 to 5.99

***

Introduction to Physical Pharmaceutics

Physical pharmacy is the process of applying physics and chemistry to the study of pharmaceutics. Physical pharmacy introduces the physico-chemical principles of drugs and formulations and their importance in designing efficient dosage forms. Physicochemical principles of pharmacy comprise the study of drug formulations and their design, manufacture and delivery to the body.

Physical pharmacy is the study of the physical and chemical properties of drugs and their dosage forms. It provides the physicochemical basis for rational formulation, manufacturing, compounding, drug delivery, product selection, and product usage. Therefore, it is knowledge indispensable for the ability of the pharmacist to comprehensively understand and explain how drugs work, in a manner and to an extent that is unparalleled by any other healthcare practitioner. Physical Pharmacy is the research area of pharmacy that applies theoretic principles and practical research methods of science, to the research on pharmaceutical phenomena and to the practice of pharmacy.

Physical pharmacy supports pharmacists to predict the compatibility, manufacture, function, stability and biological action of drug products. Physical pharmacy is a branch of pharmaceutics to change the drugs from one state to other state, changes the action physically with the applications of the theories.

Physical pharmacy is a fundamental course that leads to proper understanding of subsequent courses in Pharmaceutics and pharmaceutical technology. Physical pharmacy integrates knowledge of mathematics, physics and chemistry and applies them to the pharmaceutical dosage form development.

Physical Pharmacy includes the principles of physical pharmacy and their application in drug formulation and administration. Physical Pharmacy aids the pharmacist and the pharmaceutical scientist in their attempt to predict the solubility, stability, compatibility and biological action of drug products.

Objectives of Physical Pharmacy:

- Physical Pharmacy is the link between basic and fundamental sciences.
- With the study of physical pharmacy the students will be able to utilize its principle in the development of pharmaceutical doses form.
- The study of physical pharmacy provides the knowledge of physico-chemical principles.
- Determination of the solubility of drug at room temperature helps the student.
    - to understand the concept of solubility and miscibility.
    - to identify the descriptive terms for solubility, their meaning, and their percent value.
    - to recognize factors that affect solubility.
    - to calculate the solubility of poorly soluble strong electrolytes by using K_{sp} values.

- Determination of pKa value by Half Neutralization / Handerson Haselbalch equation helps the student
  - to plot the titration curve for the given buffer system.
  - to estimate the pKa for the different ionic species.
  - to derive an equation for the buffering capacity in terms of Ka and $[H^+]$.
- Determination of Partition coefficient of benzoic acid in benzene and water.
- Determination of Partition coefficient of Iodine in CCl_4 and water.
  - Knowledge of partition is important to the pharmacist because the principle is involved in several areas of current pharmaceutical interest. These include preservation of oil-water systems, drug action at non-specific sites, and the absorption and distribution of drugs throughout the body.
  - The student is able to determine the partition coefficient by shake flask method.
- Determination of % composition of NaCl in solution using phenol-water system by CST method.
  - The student will learn about the CST of phenol-water system.
  - CST of phenol-NaCl system.
  - Effect of NaCl on CST.
- Determination of surface tension of given liquid by drop count and drop weight method.
  - Objective is to get the student to determine the surface tension of liquids using different methods, to understand the fundamental principles involved in it.
  - Use of stalagmometer.
  - Learning the drop weight and drop count method to determine surface tension.
- Determination of critical micellar concentration of surfactant.
  - The main objective of this lab work is to determine the critical micelle concentration of an anionic surfactant, sodium dodecyl sulfate (SDS), with technique of surface tension measurements using the drop count method.
- Determination of stability constants and donor-acceptor ratio of PABA-Caffeine complex by solubility method.
  - Calculation of stability constants of complexes solubility technique.
- Determination of stability constants and donor-acceptor ratio of Cupric-Glycine complex by pH titration method.
  - Calculation of stability constants of complexes by potentiometric technique.

***

Experiment No. 01
Determination of Solubility of Drug at Room Temperature

Aim: To determine the solubility of drug at room temperature.

Requirements:

Apparatus:

Analytical balance, Beaker, Thermostat, Stirrer, UV-visible spectrophotometer, Pipette.

Chemicals:

Paracetamol, Distilled water.

Principle:

The solubility and dissolution properties of drugs perform a valuable role in the process of formulation development.

Definition of Solubility:

Solubility is defined in quantitative terms as the concentration of solute in saturated solution at a certain temperature. Qualitatively, it is defined as the spontaneous interaction of two or more substances to form a homogeneous molecular dispersion.

Saturated Solution of Drug:

It means one in which drug (solute) is in equilibrium with solid phase.

An Unsaturated Solution of Drug:

It means one containing dissolved drug (solute) in concentration below the saturated solution at specific temperature.

Supersaturated Solution of Drug:

It means one that containing more dissolved drug (solute) than necessary for preparation of the saturated solution at specific temperature.

Table 1.1: Descriptive terms of solubility

Descriptive terms	Parts of solvent required for 1 part of solute
Very soluble	Less than 1
Freely soluble	1 to 10
Soluble	10 to 30
Sparingly soluble	30 to 100
Slightly soluble	100 to 1000
Very slightly soluble	1000 to 10000
Practically insoluble or insoluble	More than 10000

Factors Affecting Solubility:

1. Particle size.
2. Temperature.
3. Pressure.
4. Nature of the solute and solvent.
5. Molecular size.
6. Polarity.
7. Polymorphs.

Importance of Solubility Determination:

Drug absorption requires that molecules be in solution at the absorption site. Dissolution of solid dosage forms in gastro intestinal fluids is a prerequisite to the delivery of a drug to the systemic circulation following oral administration. The improvement in oral bioavailability be able to attain by decrease the hepatic first pass metabolism by increasing solubility. Solubility determination is important in formulation of dosage forms also prediction of stability, dose of drug.

Techniques of Solubility Improvement:

As solubility and permeability are the deciding factors for the *in-vivo* absorption of the drug, these can be altered or modified by enhancement techniques like:

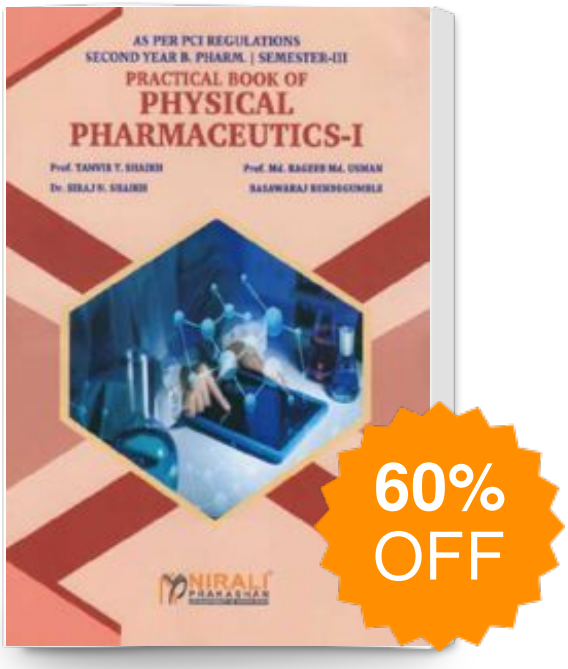
(A) Physical Modifications

(1) Particle size reduction
   (a) Micronization
   (b) Nanosuspension
   (c) Sonocrystallisation
   (d) Supercritical fluid process
   (e) Spray drying
(2) Modification of the crystal habit
(3) Drug dispersion in carriers
(4) Complexation
   (a) Staching complexes
   (b) Inclusion complexes
(5) Solubilization by surfactants
   (a) Microemulsions
   (b) Self microemulsifying drug delivery systems
(6) Novel drug-drug solid dispersion

(B) Chemical Modifications

(1) pH adjustment
(2) Salt formation

Physical Pharmaceutics - I (Practical Book)



Publisher : Nirali Prakashan ISBN : 9789387686861

Author : Prof. Tanvir Y. Shaikh, Prof. Md. Rageeb Md. Usman, Dr. Siraj N. Shaikh, Basawaraj Bendegumble