

Pharmaceutical Organic Chemistry

Theory and Practical

has been compiled to completely cover the PCI syllabus, implemented in the subject of pharmaceutical chemistry for semesters II, III and IV (BP202T, BP208P, BP301T, BP305P and BP401T) of BPharm course. The book consists of two volumes covering the syllabus included in Semesters II, III and IV.

Volume 2 of this book consists of topics, covering the syllabus prescribed in BP301T and BP401T for Semesters III and IV respectively. All the topics are covered in five units in an easy understandable language in each subject. At the end of each unit, questions are given for practice as MCQs, short and long questions, usually asked in end terminal examination. Volume 2 also includes practical exercises included in BP305P for Semester III. This inclusion will be quite helpful to the students as well as teachers associated with BPharm course.

Anees Ahmad Siddiqui PhD is former Professor and Head, Department of Pharmaceutical Chemistry, School of Pharmaceutical Education and Research (SPER), Jamia Hamdard, New Delhi. He has more than 40 years of experience in teaching and research at undergraduate and postgraduate levels. He has attended several national and international conferences in India and abroad. He has to his credit several research publications and life-membership of many national pharmaceutical associations. He has guided a number of MPharm and PhD students and is the author of several books in the field of pharmaceutical sciences. He has authored the following books in the field of pharmacy: *Experimental Pharmaceutical Chemistry*, *Pharmaceutical Analysis (Vols I and II)*, *Practical Pharmaceutical Chemistry*, *Selected Experiments of Pharmaceutical Chemistry Lab Manual*, *A Precise Chemistry of Natural Products and Heterocyclic Compounds*, *Introduction to Organic Mass Spectrometry*, *A Textbook of Hospital and Clinical Pharmacy*, *GPAT-2012*, *Natural Products Chemistry Practical Manual*, *Organic Medicinal Chemistry Practical Manual* and *Computer Aided Drug Design*.



Seemi Siddiqui PhD is an educationist in the field of pharmacy, has taught in colleges affiliated to UP Technological University and BTE-Delhi, and served as Vice Principal, International Institute of Pharmaceutical Sciences, Sonapat (Haryana), affiliated to Pt BD Sharma University of Health Sciences, Rohtak. She is currently associated with a medical app company for designing the online lecture series. She received her MPharm and PhD from Barkatullah University (Bhopal) and Banasthali Vidyapith (Rajasthan) respectively. She has several research papers to her credit and authored several books in the field of pharmacy.



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4819/XI, Prahlad Street, 24 Ansari Road, Daryaganj, New Delhi 110 002, India
E-mail: delhi@cbspd.com, cbspubs@airtelmail.in; Website: www.cbspd.com
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Volume
2

Volume
2

Pharmaceutical Organic Chemistry
Siddiqui



Volume
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Pharmaceutical Organic Chemistry

Theory and Practical

BP301T, BP305P and BP401T

As per the latest syllabus prescribed by Pharmacy Council of India



Anees Ahmad Siddiqui | Seemi Siddiqui



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Volume 2

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Theory and Practical

Courses: BP301T, BP305P and BP401T

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Anees Ahmad Siddiqui

MPharm PhD

Ex-Professor and Head

Department of Pharmaceutical Chemistry

School of Pharmaceutical Education and Research (SPER)

Jamia Hamdard, New Delhi

Seemi Siddiqui

MPharm PhD

Ex-Vice Principal

International Institute of Pharmaceutical Sciences

Sonepat (Haryana)

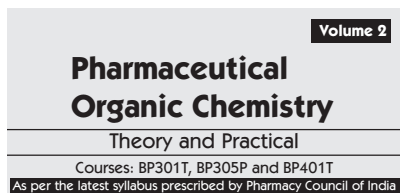


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4819/XI Prahlad Street, 24 Ansari Road, Daryaganj, New Delhi 110 002, India

Ph: 011-23289259, 23266861, 23266867 Fax: 011-23243014

Website: www.cbspd.com

e-mail: delhi@cbspd.com; cbspubs@airtelmail.in

Corporate Office: 204 FIE, Industrial Area, Patparganj, Delhi 110 092

Ph: 011-4934 4934

Fax: 011-4934 4935

e-mail: publishing@cbspd.com; publicity@cbspd.com

Branches

- **Bengaluru:** Seema House 2975, 17th Cross, K.R. Road, Banasankari 2nd Stage, Bengaluru 560 070, Karnataka, India
Ph: +91-80-26771678/79 Fax: +91-80-26771680 e-mail: bangalore@cbspd.com
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- **Kochi:** 42/1325, 1326, Power House Road, Opp KSEB Power House, Ernakulam 682 018, Kochi, Kerala, India
Ph: +91-484-4059061-65 Fax: +91-484-4059065 e-mail: kochi@cbspd.com
- **Kolkata:** 147, Hind Ceramics Compound, 1st Floor, Nilgunj Road, Belghoria, Kolkata 700 056, West Bengal, India
Ph: +91-9096713055, 7798394118, 9836841399 e-mail: kolkata@cbspd.com
- **Lucknow:** Basement, Khushnuma Complex, 7-Meerabai Marg (Behind Jawahar Bhawan), Lucknow-226001 (UP)
Ph: +91-522-4000032 e-mail: tiwari.lucknow@cbspd.com
- **Mumbai:** PWD Shed, Gala No. 25/26, Ramchandra Bhatt Marg, Next to JJ Hospital Gate No. 2, Opp. Union Bank of India, Noorbaug, Mumbai-400009, Maharashtra, India
Ph: +91-22-24902340/41 Fax: +91-22-24902342 e-mail: mumbai@cbspd.com

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| • Patna 0-9334159340 | • Pune 0-9623451994 | • Uttarakhand 0-9716462459 |

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PREFACE

We had two main reasons for compiling the book on pharmaceutical organic chemistry as per the new PCI syllabus, implemented recently by Pharmacy Council of India for BPharm course. First, all the textbooks on pharmaceutical organic chemistry available for Semesters II to IV (BP202T, BP301T and BP401T) are not specific; these are general chemistry books consisting of bulk. The pharmacy students as well as the teachers have to make efforts to find out the topics which are relevant to the areas of their interest.

The second reason is Covid-19. The lockdown period during Covid-19 was a very difficult period for us. Hence, we thought to utilize this period to help the student community. We are already committed to help the pharmacy students in getting access to quality learning material through our various books published under CBS Publishers & Distributors Pvt Ltd.

This book *Pharmaceutical Organic Chemistry* is divided into two volumes: Volume 1 covers Semester II subjects (BP202T and BP208P) and Volume 2 covers Semesters III and IV subjects (BP301T, BP305P and BP401T), respectively. Each volume consists of five units (I to V) as per new PCI syllabus. Each chapter in various units is written in an easily understandable and simple language. The text is supported by relevant figures and reactions. At the end of each unit, questions are included: These are in the form of MCQs, short questions and long questions as per the guidance of PCI to the examiners. The practical exercises included in BP208P and BP305P are a part of relevant volume of this book to help the students as well as the teachers.

The first author is thankful to his wife, Rafatunisa Khanam, for encouraging as well as tolerating him during the lockdown period, as he was very much physically present in the house but not interactive most of the time.

Although, the textbook has undergone a rigorous review process, even then if the readers have any correction to suggest, please send me at my mail address (anees_56@yahoo.co.in).

Last, we are thankful to the opportunity presented by the unique publishing strategy of Mr SK Jain and Mr Varun Jain, CBS Publishers & Distributors Pvt Ltd.

Anees Ahmad Siddiqui
Seemi Siddiqui

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SYLLABUS

Course: BP301T: PHARMACEUTICAL ORGANIC CHEMISTRY-II (Theory)

45 Hours

Scope: This subject deals with general methods of preparation and reactions of some organic compounds. Reactivity of organic compounds is also studied here. The syllabus emphasizes on mechanisms and orientation of reactions. Chemistry of fats and oils is also included in the syllabus.

Objectives: Upon completion of the course, the student shall be able to:

1. write the structure, name and the type of isomerism of the organic compound
2. write the reaction, name the reaction and orientation of reactions
3. account for reactivity/stability of compounds
4. prepare organic compounds

Course Content

General methods of preparation and reactions of compounds superscripted with asterisk (*) to be explained.

To emphasize on definition, types, classification, principles/mechanisms, applications, examples and differences.

UNIT-I

10 Hours

• Benzene and its derivatives

- a. Analytical, synthetic and other evidences in the derivation of structure of benzene, orbital picture, resonance in benzene, aromatic characters, Huckel's rule
- b. Reactions of benzene—nitration, sulphonation, halogenation reactivity, Friedel-Crafts alkylation—reactivity, limitations, Friedel-Crafts acylation.
- c. Substituents, effect of substituents on reactivity and orientation of mono substituted benzene compounds towards electrophilic substitution reaction
- d. Structure and uses of DDT, saccharin, BHC and chloramine

UNIT-II

10 Hours

• **Phenols***: Acidity of phenols, effect of substituents on acidity, qualitative tests, structure and uses of phenol, cresols, resorcinol, naphthols.

• **Aromatic Amines***: Basicity of amines, effect of substituents on basicity, and synthetic uses of aryl diazonium salts.

• **Aromatic Acids***: Acidity, effect of substituents on acidity and important reactions of benzoic acid.

UNIT-III

10 Hours

• Fats and Oils

- a. Fatty acids—reactions.
- b. Hydrolysis, hydrogenation, saponification and rancidity of oils, drying oils.
- c. Analytical constants—acid value, saponification value, ester value, iodine value, acetyl value, Reichert Meissl (RM) value—significance and principle involved in their determination.

UNIT-IV**08 Hours****• Polynuclear hydrocarbons:**

- a. Synthesis, reactions.
- b. Structure and medicinal uses of naphthalene, phenanthrene, anthracene, diphenylmethane, triphenylmethane and their derivatives.

UNIT-V**07 Hours****• Cyclo alkanes***

Stabilities—Baeyer's strain theory, limitation of Baeyer's strain theory, Coulson and Moffitt's modification, Sachse Mohr's theory (Theory of strainless rings), reactions of cyclopropane and cyclobutane only.

Course: BP305P: PHARMACEUTICAL ORGANIC CHEMISTRY-II (Practical)**4 Hrs/week**

- I. Experiments involving laboratory techniques
 - Recrystallization
 - Steam distillation
- II. Determination of following oil values (including standardization of reagents)
 - Acid value
 - Saponification value
 - Iodine value
- III. Preparation of compounds
 - Benzanilide/phenyl benzoate/acetanilide from aniline/phenol/aniline by acylation reaction.
 - 2,4,6-Tribromo aniline/*para*-bromo acetanilide from aniline
 - Acetanilide by halogenation (bromination) reaction.
 - 5-Nitro salicylic acid/*meta*-dinitro benzene from salicylic acid/nitro benzene by nitration reaction.
 - Benzoic acid from benzyl chloride by oxidation reaction.
 - Benzoic acid/salicylic acid from alkyl benzoate/alkyl salicylate by hydrolysis reaction.
 - 1-Phenyl azo-2-naphthol from aniline by diazotization and coupling reactions.
 - Benzil from benzoin by oxidation reaction.
 - Dibenzal acetone from benzaldehyde by Claisen-Schmidt reaction
 - Cinnamic acid from benzaldehyde by Perkin reaction
 - *p*-Iodo benzoic acid from *p*-amino benzoic acid

Course: BP401T: PHARMACEUTICAL ORGANIC CHEMISTRY-III (Theory)**45 Hours**

Scope: This subject imparts knowledge on stereochemical aspects of organic compounds and organic reactions, important named reactions, chemistry of important heterocyclic compounds. It also emphasizes on medicinal and other uses of organic compounds.

Objectives: At the end of the course, the student shall be able to:

1. understand the methods of preparation and properties of organic compounds.
2. explain the stereochemical aspects of organic compounds and stereochemical reactions.
3. know the medicinal uses and other applications of organic compounds.

Course Content

Note: To emphasize on definition, types, mechanisms, examples, uses/applications.

UNIT-I

• Stereoisomerism

10 Hours

Optical isomerism

Optical activity, enantiomerism, diastereoisomerism, meso compounds

Elements of symmetry, chiral and achiral molecules

DL system of nomenclature of optical isomers, sequence rules, RS system of nomenclature of optical isomers

Reactions of chiral molecules

Racemic modification and resolution of racemic mixture

Asymmetric synthesis: Partial and absolute

UNIT-II

• Geometrical isomerism

10 Hours

Nomenclature of geometrical isomers (cis, trans, EZ, syn, anti systems)

Methods of determination of configuration of geometrical isomers.

Conformational isomerism in ethane, n-butane and cyclohexane.

Stereoisomerism in biphenyl compounds (atropisomerism) and conditions for optical activity.

Stereospecific and stereoselective reactions.

UNIT-III

• Heterocyclic compounds:

10 Hours

Nomenclature and classification

Synthesis, reactions and medicinal uses of following compounds/derivatives: Pyrrole, furan, and thiophene

Relative aromaticity and reactivity of pyrrole, furan and thiophene

UNIT-IV

8 Hours

Synthesis, reactions and medicinal uses of following compounds/derivatives

a. Pyrazole, imidazole, oxazole and thiazole

b. Pyridine, quinoline, isoquinoline, acridine and indole. Basicity of pyridine.

Synthesis and medicinal uses of pyrimidine, purine, azepines and their derivatives.

UNIT-V

• Reactions of synthetic importance

7 Hours

Metal hydride reduction (NaBH_4 and LiAlH_4), Clemmensen reduction, Birch reduction, Wolff-Kishner reduction.

Oppenauer-oxidation and Dakin reaction.

Beckmanns rearrangement and Schmidt rearrangement.

Claisen-Schmidt condensation.