# KRISHNA UNIVERSITY Course Structure and Syllabus for M. PHARMACY-Pharmaceutical Chemistry Course

1	Title of the Course	M.PHARMACY
2	Duration of the course	Two years (four semesters)
3	Eligibility criteria for	The candidate seeking admission in to M. Pharmacy course should
	admission	have passed B.Pharmacy degree of any recognised university.
4	In take	18 Seats
5	Mode of Admission	The admission will be through common entrance examination.
6	Objectives of the course	The objective is to train a candidate so as to ensure higher competence in both general and special area of interest and prepare him/her for a career in teaching, research and specialty practice. A candidate must achieve a high degree of professional proficiency in the subject matter and develop competence in research and its methodology as related to the field concerned.
7	Course Requirement	The course shall include Theory, Practicals, Tests, Seminars, Assignments and project work.
8	Course structure and Scheme of Examination	The course will be conducted on credit system and evaluation will be on seven point grading system.
9	Credit System	In this system credits will be allotted to each paper.  Each theory paper will be given credits on the basis of number of teaching hours shown against each paper in the following table. One hour of teaching of theory paper in a week will be given one credit.  Each practical will be given credits on the basis of number of practical hours shown against each practical in the following table.  Two hours of practical paper in a week will be given one credit.
10	Gradation System	The course will be evaluated and the students will be graded on ten point scale with seven letter grades i.e., <b>O</b> , <b>A</b> , <b>B</b> , <b>C</b> , <b>D</b> , <b>E</b> , <b>F</b> .
11	Number of working days	In each semester at least ninety working days (15 weeks of six working days) must be dedicated for theory classes, practical classes and seminars.
12	Attendance	The regulations regarding the attendance, condonation will be as per the general regulations adopted by the university.
13	Paper setting and Evaluation Procedures	The regulations regarding the paper setting and evaluation procedures will be as per the general regulations adopted by the university.

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15	Seminars and Assignments	a) The candidate should deliver two seminars in the First semester and One in the Second semester on the topics allotted. Each seminar shall be evaluated by three teachers of the concerned subject.
		b) At the end of the Second semester each candidate should face the comprehensive viva-voce examination evaluated by an external examiner along with two internal examiners.
		c) The candidate should do two assignments in First semester and one assignment in Second semesters on the topics allotted. Each of the assignment shall be evaluated by two teachers of the concerned subject and average of two shall be the marks secured by the candidate.
16	Submission of Dissertation	a) Every candidate shall submit five copies of the dissertation including synopsis at the end of 4 <sup>th</sup> semester.
		b) The dissertation submitted by the candidate shall be evaluated by an External Examiner and the vive-voce examination shall be conducted jointly by the Supervisor, who guided the work and the External Examiner.

# SEMESTER-I

Theory

S. No	Subject Code	Name of the Subject	Internal Marks	External Marks	Total Marks	No. of Hours/ week	No. of Credits / week
1.	MPPH 101	Chromatographic Methods of Analysis	30	70	100	6	6
2.	MPPH 102	Advanced Organic Chemistry-I	30	70	100	6	6
3.	MPPH 103	Intellectual Property Rights & Regulatory Guidelines	30	70	100	6	6
4.	MPPH 104	Pharmacological Screening Methods	30	70	100	6	6

# Practical

1.	MPPH 105	Chromatographic	30	70	100		
		Methods Of Analysis			100	6	6
2.	MPPH 106	Advanced Organic Chemistry-I	30	70	100	6	6
3.	MPPH 107	Seminar	50	-	50	3	3
4.	MPPH 108	Assignments	50	-	50	3	3
Tota	Total					42	42

# **SEMESTER-II**

Theory

S. No	Subject Code	Name of the Subject	Intern al Marks	Exter nal Marks	Total Marks	No. of Hours / week	Credits/
1.	MPPH 201	Advanced Organic Chemistry-II	30	70	100	6	6
2.	MPPH 202	Advanced Medicinal Chemistry	30	70	100	6	6
3.	MPPH 203	Chemistry of Natural Products	30	70	100	6	6
4.	MPPH 204	Spectral Analysis	30	70	100	6	6

# Practical

1.	MPPH 205	Advanced Medicinal Chemistry	30	70	100	6	6
2.	MPPH 206	Chemistry of Natural Products	30	70	100	6	6
3.	MPPH 207	Seminar	50	-	50	3	3
4.	MPPH 208	Assignments	50	-	50	3	3
Total						42	42

SEMESTER-III

S. No	Subject Code	Name of the Subject	Intern al Marks	Exter nal Marks	Total Marks	No. of Hours/ week	No. of Credits/ week
1.	MPPH 301	Dissertation Work					
		a)Seminar-I (literature survey-library)			50	36	36
		b) Seminar-II			50		
		Total	(			36	36

# **SEMESTER-IV**

**Project Work** 

S. No	Subject Code	Name of the Subject	Intern al Marks	Exter nal Marks	Total Marks	No. of Hours/ week	No. of Credits week
1.	MPPH 401	Evaluation of Dissertation Work		150	150	36	36
2.	MPPH 402	Viva-Voce	50		50		
		Total				36	36

# TOTAL NUMBER OF CREDITS AT THE END OF COURSE:----

S.No	SEMESTER	CREDITS
1	1 <sup>ST</sup> SEMESTER	42
2	2 <sup>ND</sup> SEMESTER	42
3	3 <sup>RD</sup> SEMESTER	36
4	4 <sup>TH</sup> SEMESTER	36
	TOTAL	156

# PROCEDURE TO EVALUATE INTERNAL ASSESSMENT

#### **THEORY**

Internal Assessment	15 Marks
Assignment	5 Marks
Seminars	5 Marks
Attendance	5 Marks
Total	30 Marks

# PRACTICAL (LAB)

Continuous Assessment at the end of each credit		Internal Assessment (consolidation of	Attend-	Tatal	
Performance	Viva	Record	credits, 2 Exams, mid & Final)	ance	Total
10 marks	3 marks	2 marks	10 marks	5 marks	30 marks

<sup>\*</sup> If a student is absent for any experiment, he has to complete it before coming to the next lab class to get the marks.

#### GRADATION SYSTEM:

Grade points are allotted based on percentage of marks as shown in the table

Range of Marks	Grade	<b>Grade Points</b>
>85%	0	10.0
75% - 85%	A	9.0
67% - 74%	В	8.0
58% - 66%	C	7.0
50% - 57%	D	6.0
40% - 49%	Е	5.0
< 39%	F	0.0
	>85% 75% - 85% 67% - 74% 58% - 66% 50% - 57% 40% - 49%	>85% O 75% - 85% A 67% - 74% B 58% - 66% C 50% - 57% D 40% - 49% E

# 1. Calculation of SGPA (Semester Grade point Average)

For example if a student gets the grades in one semester A,A,B,B,B,D in six subjects having credits 2(S1) 4(S2), 4(S3), 4(S4), 4(S5), 2(S6), respectively. The SGPA is calculated as follows:

<sup>\*</sup> Final External lab examiner may give any experiment, in form confined to the syllabus and need not be from the list of experiments.

SGPA=
$$9(A) \times 2 (S1) + 9 (A) \times 4 (S2) + 8(B) \times 4(S3) + 8(B) \times 4(S4) + 8(B) \times 4(S5) + 6(D) \times 2(S6)$$

$$= \frac{2(S1) + 4 (S2) + 4(S3) + 4(S4) + 4(S5) + 2(S6)}{162}$$

$$= \frac{162}{20}$$

$$= 8.10$$

A student securing 'F' grade there by securing 0.0 grade points has to appear and secure at least 'E' grade at the subsequent examination(s) in that subject.

If a student gets the grades in another semester D,A,B,C,A,E,A in seven subjects having credits 4(S1), 2(S2), 4(S3), 2(S4), 4(S5), 4(S6), 2(S7) respectively.

- 3.1) A candidate shall be declared to have passed in a paper if the candidate secures a minimum of 'E' grade in theory and a minimum of 'D' grade in practicals/ project/viva-voce/ industrial training. This includes sessionals wherever applicable. Further, a candidate has to secure a minimum of 5.0 SGPA for a pass in each semester in case of B.E./ B.Tech. /B.Arch. / B.Pharm. /5 year integrated courses and PG Diploma / Diploma/PG in Arts & Commerce Courses, whereas for PG in Engineering, Sciences, Pharmacy/PG. Diplomas in Sciences 5.5 SGPA is the minimum for a pass in each semester. Further, a candidate will be permitted to choose any paper(s) to appear for improvement in case the candidate fails to secure the minimum prescribed SGPA/ CGPA to enable the candidate to pass at the end of any semester examination.
- 3.2) Pass/fail shall not be indicated in the marks statement against each individual paper.
- 3.3) A candidate will be declared to have passed in a course if a candidate secures 5.0 CGPA for B.E./ B.Tech./ B.Arch./ B.Pharmacy and Diploma / PG Diplomas and PG in

Arts & Commerce, while for P.G. in Science, Engineering and Pharmacy and P.G. Diplomas in Sciences 5.5 CGPA has to be secured for a pass in a course.

3.4) Further, classification of successful candidates is based on CGPA as follows. Distinction – CGPA 8.0 or more
I Class – CGPA 6.5 or more but less than 8.0
II Class – CGPA 5.5 or more but less than 6.5
Pass – CGPA 5.0 or more but Less than 5.5

#### FIRST SEMESTER

#### MPPH 101: CHROMATOGRAPHIC METHODS OF ANALYSIS:

#### Unit -I

GC-MS: Principle, instrumentation, separators used, selected ion monitoring/mass fragmentography and applications

LCMS: Basic principle, instrumentation, ion formation and types, fragmentation processes and patterns, MS/MS detection, ionization sources, detectors employed and applications

# **Unit II**

HPLC and UPLC: Principle, instrumentation, structural types of column packings, optimization of column performance, separation columns, methods of chiral separations, derivatization, RP HPLC, its advantages in bio pharmaceutical analysis, detectors used in HPLC and applications. Principles of UPLC, modifications in UPLC compared to HPLC, advantages and applications.

#### Unit III

**HPTLC:** Basic principle, instrumentation, advantages when compared to TLC, method of development and applications in pharmaceutical and phytochemical analysis. **Electrophoresis:** Moving boundary electrophoresis, zone electrophoresis, continuous electrophoresis (preparative) and applications.

SCF and Permeation: Theory, instrumentation and specific applications.

#### **Unit IV**

Development of analytical method, optimization and validation using Paper and Thin layer chromatography, HPLC, LC-MS, GLC, GC-MS, HPTLC, Capillary electrophoresis for pharmaceutical dosage forms and bulk drugs.

# Unit V

**Sample Preparation-**Analysis of drugs from formulations and biological samples including, selection of biological sample, extraction of drugs by various methods such as Liquid Liquid Extraction (LLE), Solid Phase Extraction (SPE) and Membrane filtration.

#### Textbooks:

- 1. Instrumental methods off analysis by Willad et al, 7<sup>th</sup>Edition CBS publishers Chennai.
- 2. A Text Book of Pharmaceutical Analysis (Vol. 1 & 2) Roger E. Schirmer.

- 3. Practical Pharmaceutical Chemistry (Vol. 1 & 2) Beckette & Stenlake.
- 4. Pharmaceutical Analysis Modern Methods by J.W.Munson (Marcel Dekker).
- 5. Packing and stationary phases in chromatographic techniques by Unger KK.

#### MPPH 102: ADVANCED ORGANIC CHEMISTRY-I

Unit-I	Nucleophilic aliphatic substitution: S <sub>N</sub> 1 and S <sub>N</sub> 2 reactions; mechanism and
	kinetics; structure and reactivity; stereochemistry; S <sub>N</sub> 1 Vs S <sub>N</sub> 2; role of solvent;
	substitution Vs elimination; nucleophilic substitution – alkyl halides Vs alcohols;
	S <sub>N</sub> 1 and rearrangement; stability of carbonations
Unit-II	Electrophilic aromatic substitution: reactions; mechanism; proof for the
	mechanism; sulfonation-a reversible reaction; theory of reactivity; theory or
	orientation; orientation and synthesis.
	Elimination reactions: E1 and E2 mechanisms of alkyl halides and alcohols;
	evidence; E1 Vs E2; elimination Vs substitution; 1,1 and 1,2- elimination;
	E1CB; Saytzeff's rule; Hofmann rule/elimination; stereochemistry of E2
	reactions; elimination from alicyclic compounds.
Unit-III	Heterocylic chemistry: Structures of heterocylic compounds; aromatic and
	nonaromatic heterocycles; nomenclature; ring synthesis; reaction types most
	frequently used in heterocylic ring synthesis; typical reactant combinations;
	cylcization reactions; displacement at saturated carbon, intra molecular
	nucleophilic addition to carbonyl groups, intra molecular addition of
	nucleophiles to other double bonds, cyclization on to triple bonds, radical
	cyclization, carbine and nitrene cyclization; electrocylic processes in
	heterocyclic ring synthesis; cycloaddition reactions; 1,3-dipolar cyclo addition,
	Hetero-Diels-Alder reactions, (2+2) cyclo addition.
Unit-IV	Heterocylic chemistry: reactivity of aromatic heterocycles; electrophilic
	addition at nitrogen; electrophilic, nucleophilic and radical substitution at
	carbon; deprotonation of N-hydrogen; organometallic derivatives; palladium
	catalyzed reactions; oxidation and reduction of heterocyclic rings
Unit-V	Five-member ring compounds with one heteroatom: Pyrroles, Furans and
	Thiophenes; Aromaticity; two synthetic methods for each class; reactions;
	electrophillic substitution; reactions with acids, Carbenes, Nitrenes; Oxidizing
	and Reducing agents; Diels-Alder reaction; photochemical reactions; alkylation
	of pyroles; metalation of furans; reactions of thiophenes with nucleophiles

# **Books Recommended:**

- 1. Organic chemistry Morrison and Boyd (with study gudie), 11<sup>th</sup> edition
- 2. Reaction Mechanisms Peter Sykes
- 3. Heterocyclic Chemistry Joule, Mills and Smith
- 4. Heterocyclic Chemistry Thomas Gilchrist.
- 5. Heterocyclic Chemistry Raj K. Bansal
- 6. Text Book of organic chemistry Clayton, Greeves, Warren and Wothers.

# MPPH 103: INTELLECTUAL PROPERTY RIGHTS & REGULATORY GUIDELINES

#### Unit I

The Patents and Designs Act 1970. Patent discussion with emphases on: Patentable subject matter, Non patentable subject matter, Criteria for getting a patent, Types of patent and its usefulness. Filing procedure for patents, Patent co-operation Treaty. Trade related aspects of IPR.

#### **Unit II**

Preparation of documents for Investigational New Drug (IND) - Content and Format of INDs for Phase 1 study of drugs

Review Process General Consideration, content, format and approval of NDA & Abbreviated New Drug Application (ANDA).

Drug Master Files, Site Master Files, Out of specification.

# **Unit III**

#### **International Conference on Harmonization - Quality:**

Stability Testing of New Drug Substances and Products (Q1A (R2), Photostability Testing of New Drug Substances and Products (Q1B), Validation of Analytical Procedures: Methodology (Q2B), Evaluation of Stability Data (Q1E).

#### **Unit IV**

#### FDA guidelines on Biopharmaceutics:

Bioavailability and Bioequivalence Studies for Orally Administered Drug Products - General Considerations

Guidance for Industry - Bioanalytical Method Validation,

Guidance for Industry-Dissolution testing of immediate release Solid Oral Dosage forms Guidance for Industry-Extended Release Oral Dosage forms: Development, Evaluation and Applications of *In Vitro/In Vivo* Correlations

Waiver of In Vivo Bioavailability and bioequivalence Studies for immediate release solid oral dosage forms based on Biopharmaceutics Classification system

#### Unit V

#### **FDA Guidelines:**

Nonclinical Studies for the Safety Evaluation of Pharmaceutical Excipients Food-Effect Bioavailability and Fed Bioequivalence Studies

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SUPAC IR - Immediate release solid oral dosage forms: Scale up and approval changes: Chemistry Manufacturing and controls *In Vitro* dissolution testing, and *In Vivo* bioequivalence documentation.

#### Recommended Books and References:

- 1. http://www.patentoffice.nic.in/ipr/patent/patents.htm (Unit I)
- 2. Pharmaceutical Patent Law John R. Thomas (Unit I)
- 3. www.fda.gov (Unit III. IV and V)
- 4. Pharmaceutical dosage forms and drug delivery systems by Howard Ansel et al, International Student Edition (Unit II)
- 5. New Drug Approval Process The Global Challenge by Richard a Guarino (Unit II)
- 6. The CDER Hand Book (NDAs and ANDAs) (Unit II)

#### MPPH 104: PHARMACOLOGICAL SCREENING METHODS

Principles and techniques involved in the Pharmacological screening of:

- Unit-I Analgesic, anti-inflammatory, antipyretic and antiulcer drugs.
- Unit-II Antidiabetic, antiulcer and cardiotonic, antiarrhythmic and antihypertensive drugs. Hepatoprotective and immunomodulatory drugs
- Unit-III a) Screening for free radical scavenging and anti-oxidant activities.
  - b) Enzyme inhibition studies Inhibition of COX-1, COX-2 and 5-LOX
- Unit-IV a) Screening of cytotoxicity
  - b) Screening for antimicrobial activity
  - c) Acute toxicity studies
- Unit-V Statistical analysis of data, methods of precision, accuracy, fiducial limits, regression analysis, standard error, tests for significance-chisquare test, students T test, ANOVA. Important of tests of significance in pharmaceutical/biological experiments.

#### Books recommended:

- 1. Screening methods in pharmacology-Robert A. Turner.
- 2. Drug Evaluation-Vogel.
- 3. Evaluation of Drug Activities-Lawrence and Bachrach.
- 4. Methods in Pharmacology-Swarbrick.
- 5. Pharmacopoeias

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#### I - Semester - (Practicals)

# MPPH 105 - CHROMATOGRAPHIC METHODS OF ANALYSIS - PRACTICALS

#### **Practicals Based on Theory:**

- 1. Estimation of drugs official in IP by HPLC
- 2. Bio analytical method development for some drugs by HPLC
- 3. Estimation of amino acids by TLC

#### For example -

- 1. Assay of drugs in the sample using HPLC (minimum 4 experiments).
- 2. Assay of Paracetamol in the sample using HPTLC.
- 3. Estimation of amino acids by TLC.
- **4.** Construction of calibration curve for some drugs in rat and human plasma by HPLC (minimum 2 experiments).

#### MPPH 106 - ADVANCED ORGANIC CHEMISTRY - 1 - PRACTICALS

- 1. Basic Techniques:
- a) Calibration of thermometer and finding melting point, mixed melting point and boiling point.
- b) Purification and drying of organic solvents
- c) Crystallization
- d) Distillation, Fractional Distillation, Distillation under reduced pressure
- **2.** Separation and identification of organic compounds from binary mixtures: Solid-solid, solid-liquid and liquid-liquid at least one mixture of each category to be done.
- 3. Synthesis of some of the following heterocyclic compounds:
- a) Quinoline b) benzimidazole/derivative c) flavone/chromone d) indole/derivative e) phenothiazine f) oxazole/oxazolone g) benzoxazole h) 3,5 dimethylisoxazole
- 4. Some of the following reactions:
- 1. Beckmann rearrangement 2) Fries rearrangement 3) Acetylation, methylation
- 4) Metal/acid reductions 5) Oppenauer oxidation 6) Friedel-Craafts alkylation & Acylation 7) Nitration using different reagents

# SECOND SEMESTER MPPH 201 ADVANCED ORGANIC CHEMISTRY – II

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Unit-I	Strategies in organic synthesis: Introduction; target selection; disconnection
	approach; functional group intervoncersions; synthons; reagents; retrosyntheis,
	chemioselectivity, regioselectivity; linear synthesis and concergent sysnthesis.
	Strategies in organic synthesis: One group disconnections; two group
	disconnections; strategic bonds; disconnection of strategic bonds in
	carbocyclic and heterocyclic rings; biomimetic approach; retro mass spectral
	fragmentation-case studies of (+) Disparlure, retronecine and longifoline
Unit-II	Chiral drug synthesis: Introduction to chiral drugs; importance of
	stereochemistry in drug action; concepts of eutomer; distomer and eudesmic
	ratio, stereospecific and stereoselective synthesis; synthesis of chiral drugs like
	Ibuprofen, Propranolol, Ramipril, Levofloxacin
Unit-III	Modern synthetic methods:
	a)Green Synthesis: Introduction; Green reagents; green catalysts; ionic
	solvents; phase transfer catalysis in green synthesis; application of phase
	transfer catalysts in green synthesis of heterocyclic compounds: Williamson's
	synthesis, Wittig reaction.
	b) Microwave assisted synthesis: Introduction; microwave reactions in water
	(Hofmann elimination, hydrolysis and oxidation); microwave reactions in
100	organic solvents; solid state reactions; advantages of microwave technique.
Unit-IV	Six-membered heterocyclic ring compounds with one heteroatom:
Ome I v	Pyridines: nomenclature; physical and spectroscopic properties; tautomerism;
	synthetic methods; chemical reactions – with acids, electrophilic and
	nucleophilic substitution, Diels-Alder reactions, quaternization, reaction with
200	oxidizing and reducing agents; hetaryne formation; ring opening reactions;
	reactions with free radicals; photochemical reactions; the Claisen
	rearrangement; derivatives of pyridine-alkyl and aryl pryidinesl halopyridines,
	aminopyridines, pyridine N-oxide, hydroxypyridines, pyridine aldhydes and
** ** **	ketones.
Unit-V	Synthesis of Heterocyclic compounds: Two methods of synthesis of the
	following heterocylic compounds or their derivatives; a) Quinolines b)
	Isoquinolines c) Indoles d) Pyridazines e) Pyrimidines f) Pyrazines g) Thiazoles h) Thiazines h) Imidazoles i) Benzimidazoles j) Oxazoles

#### Books recommended:

- Organic synthesis-new techniques VK Ahluwalia & Renu Agarwal
- Top Drugs and Top Synthetic routes John Saunder
- Theory and Practice of Green Chemistry Paul T Anastas and John C. Warner.
- New Trends in Green Chemistry VK Ahluwalia & M Kidwai
- Chiro Technology Roger A. Sheldon
- Heterocylcic Chemistry Raj K Bansal
- Heterocyclic Chemistry Thomas L. Gilchrist
- Heterocyclic Chemistry JA Joule, K Mills & GF Smith
- Organic Chemistry of Synthetic drugs Lednicer.

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# MPPH 202 - ADVANCED MEDICINAL CHEMISTRY

Unit-I	Genesis of new drugs:
	i) A brief review of the following topics: sources of new drugs; leads from
	natural products; molecular modifications; random screening; high throughput
	screening; in silico screening; structural features and pharmacological activity;
	prodrugs; soft drugs; isosterism.
	ii) A brief account of drug discovery by recombinant DNA technology
	Drug Design:
	QSAR in drug design:
	a) Phisical properties related to potency.
	b) Calculation, measurements and significance of various parameter used in
	QSAR-(Lipophilicity, Steric, Electronic effects).
	c) Applications of Hansch Analysis
Unit-II	A brief account on 3D-QSAR approaches including CoMFA (Comparative
	Molecular Field Analysis)
	Computers in drug design: Introduction; computer graphics and molecular
	visualization; computational chemistry overview, force field methods;
	geometry optimization; conformational searching; molecular dynamics
	simulations; quantum mechanics; structure based drug design and
	Pharmacophore perception, predictive ADME.
Unit-III	Combinatorial Chemistry and Libraries: A brief account on concepts - Tea
	bag method, pin method, Heterocyclic libraries (Benzodiazepine etc.);
	High Throughput Screening (HTS), A brief account on concepts, G-coupled
	colourimetric and fluorimetric methods.
Unit IV	A study of:
	i) Anti-cancer agents ii) anti Viral agents iii) Immunosupressants and
	immunostimulatns iv) Synthesis of Chlorambucil, Methotrexate, Stauvudine
Unit V	Psychopharmacological agents: Biochemical basis of mental disorders;
	abnormal protein factors; endogenous amines and related substances; faulty
	energy metabolism; genetic disorders and nutritional disorders;
	Phenothiazines-chemistry; synthesis. Screening methods; pharmacological
	actions; SAR; mechanism of action; uses; toxicity; ring analogues of
	Phenothiazines; Fluorobutyrophenones; Development of atypical anti
	psychotics Clozepine, synthesis of Chlorpromazine, Prochlorperazine,

**NOTE:** "A study of" includes an account of their origin and development, classification, structures, mechanism of action, SAR, uses and toxicity.

#### **Books Recommended:**

- 1. Wilson and Gisvold's text book of pharmaceutical organic medicinal chemistry
- 2. Foye's principles of medicinal chemistry
- 3. Burger's medicinal chemistry and drug discovery
- 4. Organic chemistry of synthetic drugs Lednier

# MPPH 203: CHEMISTRY OF NATURAL PRODUCTS:

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Unit-I	Alkaloids:
	a) Alkaloids of opium: Structure of morphine; peripheral groups SAR; relative potencies; development of morphine analogues opioid receptors endorphins and enkephalins; antitussives; anti
	diarrhoeals; morphine antagonists;
	b) Alkaloids of ergot: historical background; classification
	structures; semi-synthetic derivatives; therapeutic uses; toxicity.
	c) Source, structure, mechanism of action, use and toxicity of
	quinine, quinidine, atropine, hyoscyamine, hyoscine, reserpine
	papaverine.
Unit-II	Anticancer agents of natural origin:
	a) Alkaloids of Vinca rosea: Vincristine & Vinblastine
	Structures and SAR; Semisynthetic derivatives; Mechanism of
	Action; Uses and Toxicity.
	<ul> <li>b) Sources and structures of Podophyllotoxin, Taxol an Camptothecin; Semisynthetic derivatives; mechanism of action uses and toxicity.</li> </ul>
	c) Anticancer antibiotics: Source; structures; description of the structural features; mechanism of action; SAR and uses of the
	following antibiotics; Dactinomycin; Daunorubicin
	Doxorubicin; their Daunomycinol; Adriamycinol; their semi
	synthetic derivatives- 4'-deoxy and 4' epidoxorubicins
	Noglamycin and Menogaril; Mithramycin; Mitomycins
	Streptozocin. d) Anticancer agents from marine organisms-Byostatin, Dlastati
	etc.
Unit-III	Steroids:
Omt-m	a) Nomenclature; stereochemistry; numbering; new insights of
	steroid receptors; chemical and physical properties of steroids
	changes to modify pharmacokinetic properties of steroids.
	b) Sources and structures of Colesterol, Egosterol, Sigmasterol and
	Dosgenin. History of development of steroid industry. Marker'
	synthesis.
	c) Steroidal anti-inflammatory agents; structures; SAR; uses and
	toxicity.
	Steroidal Hormonal Drugs:
	d) Steroidal Atifertility agents: Etrogens; Pegnane Pogestins
	development of 19-norandrostanes; structures; mechanism of
	actions; regimen; toxicity.
	e) Anabolic Steroids: rationale for development; structures; uses
	limitations.
	f) Steroids in the treatment of cancers; Etrogens; Atiestrogens
	Aromatase inhibitors; Progestins; Progestin antagonists
	Androgens and Anabolic steroids; antiandrogens; 5 α-reductas

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	inhibitors; Gonadotropin inhibitors, Glucocorticoids.
Unit-IV	Cephalosporins: Historical background; classification; structures; numbering the ring system; nomenclature; degradation; spectrum of activity; SAR; β-Lactamase resistance; Anti pseudomonal Cephalosporins; mechanism of action; uses; toxicity; development of new Cephalosporins-recent advances; Prodrugs in Cephalosporins; Pencillins Vs Cephalosporins-a comparative account of the structural features and biological activity; β-Lactamase inhibitors; mechanism of β-Lactamase inhibition; monobactams
Unit-V	Structure elucidation: of the following compounds by spectroscopic techniques like UV, IR, MS, NMR ( <sup>1</sup> H, <sup>13</sup> C), including 2D-NMR.  i) Carvone, citral; menthol ii) Luteolin; kaempferol iii) Luteolin-7-O-glucoside iv) Nicotine; Papaverine v) Estrone; Progesterone

Note: In teaching Unit-6 the exact shift values need not be given. It is sufficient if the student is taught how many peaks appear for the compound in the NMR and approximately, in which region, how the 2D-NMR spectra like DEPT look like; which protons interact to give the COSY; and how the long range spectra will help to confirm the structure.

#### **Books Recommended:**

- 1. Wilson and Gisvold's text book of pharmaceutical organic medicinal chemistry.
- 2. Foye's principles of medicinal chemistry.
- 3. Burger's medicinal chemistry and drug discovery.

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#### MPPH 204: SPECTRAL ANALYSIS

A brief account of the basic principles involved & instrumentation, and a detailed study of applications of the following spectroscopic techniques in the determination of structure of the following classes of compounds with the help of simple examples:

i) Alkanes ii) Cycloalkanes iii) Alkenes iv) Aldehydes and Ketones v) Alcohols vi) Carboxylic acids vii) Phenols viii) Amines ix) Simple Heterocyclic Compounds

Problems and their solution-simple problems dealing with structure determination to be worked out.

Unit-I	UV & IR spectroscopy
Unit-II	<sup>1</sup> H NMR (proton NMR)
Unit-III	<sup>13</sup> C NMR
Unit-IV	Mass spectrometry
Unit-V	A brief account of the two dimensional NMR techniques like DEPT, COSY,
	HMQC, HETCOR, HMBC, TOCSY

#### Note:

- 1. The aim of this course is to train the student in the spectroscopic identification of organic compounds. Therefore, the emphasis while teaching the subject should be on the applications of the techniques.
- 2. The theory behind 2D-NMR techniques shall not be taught
- 3. The use of 2D-NMR techniques to confirm the structural features/assignments of the compounds alone will be emphasized, preferably by giving simple examples.
- 4. Problems given in Morrison & Boyd and Silverstein & Basler to be worked out.

#### **Books Recommended:**

- 1. Organic chemistry Morrison & Boyd-11<sup>th</sup> edition along with the study guide.
- 2. Spectroscopic methods of identification of organic compounds Silverstein and Balaster
- 3. Organic spectroscopy-William kemp
- 4. Instrumental methods of analysis-John Dyer.
- 5. Structure elucidation by modern NMR, a work book-Duddeck, Detrich and Toth
- 6. Solving problems with NMR spectroscopy-Atta-Ur-Rahman and Muhammad Iqubal Choudhary.



# 2 Semester - (Practicals)

# MPPH 205 - ADVANCED MEDICINAL CHEMISTRY -PRACTICALS

- 1. Synthesis, purification and identification of some of the following drugs;
  - i) Anti-cancer agents ii) anti viral agents iii) Psychopharmacological agents etc
- 2. Synthesis using microwave oven: 3 experiments to be conducted
- 3. Screening for the following activities:
  - a) Analgesic activity b) Anti inflammatory activity c) Acute toxicity studies
- d) Antibacterial and antifungal activity e) Free radical scavenging and anti-oxidant activities

Spectral analysis

- a) Spectra to be recorded for some compounds and analyzed.
- b) Analysis of pre-recorded spectra

Impurity profiling for one or two samples

# MPPH 206: CHEMISTY OF NATURAL PRODUCTS - PRACTICALS

- 2. Isolation and purification of some of the following natural products.
  - a) Piperine from black pepper
  - b) Strychnine and Brucine from Strychnos Nuxvomica seeds
  - c) Caffeine from Tea Powder
  - d) Curcumin from Turmeric
  - e) Bixin from Bixa orellana seeds
  - f) Diosgenin from Diascoria tubers
  - g) Sennosides from Senna leaves
  - h) Embelin from Emblica ribes fruits
- 3. The use of column, flash and vacuum liquid chrmatographies for isolating some of the above mentioned phytoconstituents.
- 4. Identification of alkaloids in mixture by TLC.
- 5. Preparative TLC for separation and isolation
- 6. Identification of phytoconstituents like alkaloids, steroids, flavanoids etc in plant extracts by TLC.
- 7. Separation (of sugars/amino acids) by paper chromatography.
- 8. Separation of compounds by HPLC
- 9. Analysis of recorded spectra of some simple organic compounds.
- 10. Tests to detect alkaloids, steroids, flavanoids and their glycosides.

#### **Books Recommended:**

- 1. Natural products, a laboratory guide Rephael Ikan.
- 2. Laboratory hand book for the fraction of natural extracts Peter J. Houghton & Amala Raman.
- 3. An Atlas of TLC H. Wagner.