# GUJARAT TECHNOLOGICAL UNIVERSITY BACHELOR OF PHARMACY SEMESTER: VIII

### Subject Name: Pharmaceutical Chemistry-X (Medicinal Chemistry) Subject Code: 280003

## [THEORY]

| Sr.<br>No. |                      | Course Content  | Total<br>Hrs |
|------------|----------------------|---|--------------|
|            | effe<br>proc<br>be c | oduction, history, classification, nomenclature, mechanism of action, adverse<br>cts, therapeutic uses, structure activity relationship (SAR) and synthetic<br>cedures of selected drugs and recent developments of following categories to<br>covered. |              |
| 1.         | Dru                  | gs acting on Cardiovascular System:   |              |
|            | a.                   | Cardiotonic Agents  | 04           |
|            |                      | <ul><li>SAR: Cardiac glycosides</li><li>Synthesis: Dobutamine</li></ul>   |              |
|            | b.                   | Antihypertensive Agents   | 08           |
|            |                      | <ul> <li>SAR: ACE Inhibitors, Dihydropyridnes</li> <li>Synthesis: Nifedipine, Amlodipine, Atenolol, Metoprolol, Carvediol, Captopril, Hydralazine.</li> </ul>   |              |
|            | C.                   | Antiarrhythmic Agents   | 04           |
|            |                      | Synthesis: Lignocaine, Flecainide.  |              |
|            | d.                   | Antianginal Agents  | 02           |
|            |                      | Synthesis: Glyceryl trinitrate, Isosorbide dinitrate  |              |
|            | e.                   | Antihyperlipidemic agents:  | 03           |
|            |                      | <ul><li>SAR: HMG CoA Reductase inhibitors</li><li>Synthesis of Clofibrate</li></ul>   |              |
|            | f.                   | Coagulants and Anticoagulants   | 02           |
|            |                      | Synthesis of warfarin   |              |
|            | g.                   | Antiplatelet Agents   | 01           |
|            | h.                   | Thrombolytic Agents   | 01           |
|            | i.                   | Plasma expanders  | 01           |

| 2. | Diuretics:                   |  |    |  |
|----|------------------------------|--|----|--|
|    | •                            | <ul> <li>SAR: Thiazide diuretics, 5-Sulfamoyl benzoic acid derivatives.</li> <li>Synthesis: Hydrochlorthiazide, Acetazolamide, Furosemide,</li> <li>Dihydroflumethiazide, Ethacrinic acid</li> </ul> | 04 |  |
| 3. | Ant                          | obesity Drugs  | 01 |  |
| 4. | Drug Design and Development: |  |    |  |
|    | a.                           | QSAR<br>(i) Hansch Linear Free Energy Relationship (LFER) model<br>(ii) Free Wilson Mathematical Model   | 04 |  |
|    | b.                           | De novo Drug Design (i) Molecular modeling (ii) Computer Aided Drug Design   | 04 |  |
|    | с.                           | Methods of Lead Discovery     Optimization of Lead   | 03 |  |
|    | d.                           | Brief introduction to Combinatorial Chemistry and Parallel Synthesis   | 03 |  |

## [PRACTICALS]

| А. | Synthesis and purification of following organic compounds:   |
|----|--|
|    | <ol> <li>Anthranilic acid from Phthalic anhydride</li> <li>Dihydroxytriptycene from Anthracene and p-Benzoquinone</li> <li>Fluorescein from Resorcinol and Phthalic anhydride and Purification by Column<br/>Chromatography.</li> <li>3-Phenylpropionic acid from Diethyl malonate</li> <li>Microwave assisted synthesis of any Three Compounds.</li> <li>Sulphanilamide from Acetanilide</li> <li>Hippuric acid from Glycine</li> </ol> |
| В. | Reaction monitoring and characterization of synthesized compounds with the help of   |
|    | TLC, UV and IR spectroscopy.   |
| C. | Demonstration of QSAR Models (Any Three Exercise):   |
|    | 1. Literature survey of any QSAR Model and calculation of various physicochemical parameters   |
|    | 2. Perform multiple regression analysis in MS Excel.   |
|    | 3. Generation of Best Equation.  |

### **Text Books:**

- 1. J. N. Delagado and W. A. R. Remers, 11<sup>th</sup> ed, Wilson and Giswolds Textbook of organic medicinal and pharmaceutical chemistry, J. Lippincott Co. Philadelphia.
- 2. W. C. Foye, Principles of medicinal chemistry, Lea and Febiger, Philadelphia.

### **Reference Books:**

- 1. H. E. Wolff, edn, Burgers Medicinal chemistry, John Wiley and sons, New York Oxford University Press, Oxfords.
- 2. Daniel Lednicer, Strategies for organic drug synthesis and design, John Wiley and Sons USA
- 3. G. L. Patrick. An Introduction to Medicinal Chemistry, 4<sup>th</sup> Edition, Oxford University Press.
- 4. Vogel's Text books practical organic chemistry, ELBS/Longman, London.
- 5. Arthur Vogel, Elementary Practical Organic Chemistry, Part-I and II, Second edition, CBS Publisher.