# Unit title: Medicinal Chemistry

Unit code: **R/601/0416**

QCF level: **5**

Credit value: **15**

## Aim

This unit enables learners to gain an understanding of the factors relating to drug structure and design, pharmacokinetics and pharmacodynamics and biochemical responses of drug treatment.

## Unit abstract

This unit develops principles of medicinal and clinical chemistry associated with drug design and structure together with biochemical aspects of drug action. Structure-activity relationships and computer-aided drug design are considered as aspects contributing to drug discovery and design. The role of combinatorial chemistry in drug synthesis is also considered.

Effectiveness of drug structures is assessed in relation to the role of enzymes and receptors as drug targets and the mechanisms by which they bind drugs. The unit develops the principles of pharmacokinetics and pharmacodynamics as a method of rationalising the evaluation of drugs in terms of route administration, metabolism, excretion and biochemical response.

The unit concludes by exploring the effect of selected chemicals on the body thus enabling learners to apply the principles established in the earlier parts of the unit.

## Learning outcomes

**On successful completion of this unit a learner will:**

1 Understand the role of enzymes and receptors as drug targets

2 Understand the pharmacokinetic and pharmacodynamic behaviour of drugs

3 Understand the stages of drug discovery and design

4 Understand the role of biologically active molecules in biochemical systems.

 

# Unit content

1 **Understand the role of enzymes and receptors as drug targets**

*Drug targets*: enzymes; inhibitors (reversible, non-reversible, competitive, non-competitive); medicinal uses of enzyme inhibitors against micro-organisms, viruses and the body's own enzymes; receptors; classification of main receptor types; signal transduction systems

*Drug receptor binding interactions*: ionic bonding; hydrogen bonding; Van der Waals interactions; dipole-dipole interactions; covalent bonding; functional groups

*Enzyme inhibition*: competitive and non-competitive enzyme kinetics; Michaelis-Menton, Lineweaver-Burk plots

*Receptors*: receptor types; agonists; antagonists; tolerance and dependence; affinity; efficacy; potency

2 **Understand the pharmacokinetic and pharmacodynamic behaviour of drugs**

*Influence of route of administration on systemic toxicity*: pharmacokinetics and pharmacodynamics (absorption, distribution, metabolism, excretion, administration, dosing, drug interactions); relationships to toxicity tests; evaluation of the principles of pharmacological toxicity

*Drug metabolism*: metabolic sites; common pathways; factors affecting drug metabolism (dose level, routes of administration, sex related differences, age, disease, drug interactions, genetics)

*Methods of biological evaluation of drugs*: toxicity testing; evaluation of new drug substances; in vitro and in vivo evaluation of drugs, ligand binding; agonist and antagonist activity, tissue studies; formulation and chemical development; toxicity versus safety theoretical concepts

*Abnormal responses*: immune mechanisms; haptens; allergic reactions; activation and suppression of the immune and sensitising systems

3 **Understand the stages of drug discovery and design**

*Designing a new drug*: choice of disease, choosing a suitable drug target, finding a lead compound; screening natural products, development of existing drugs

*Structure-activity relationships*: identification of functional groups; potential binding sites; identification of pharmacophore; variation of substituents; quantitative structure-activity relationships (QSAR); partition coefficients, lipophilicity; computer-aided drug design

*Combinatorial chemistry*: basic concepts; advantages compared to traditional synthesis; design of syntheses; combinatorial libraries; outline of general techniques; solid support method, parallel synthesis, solution synthesis

 

4 **Understand the role of biologically active molecules in biochemical systems**

*Biologically active molecules*: development and action of the penicillins; penicillin antibiotic resistance; development and action of angiotensin converting enzyme (ACE) inhibitors; principles and examples of anticancer agents; antiviral drugs and acquired immune deficiency syndrome (AIDS) virus; cellular production and role of nitric oxide

*Clinical toxicology*: acute toxicity, chronic toxicity, teratogenic tests, reproduction tests, mutagenicity, chemical-induced illness

*Clinical toxicity*: risk assessment; hazard versus risk benefits

 

## Learning outcomes and assessment criteria

| **Learning outcomes**<br>On successful completion of this unit a learner will: | | **Assessment criteria for pass**<br>The learner can: | |
|---|---|---|---|
| LO1 | Understand the role of enzymes and receptors as drug targets | 1.1 | explain the role of enzymes and receptors as drug target sites |
| | | 1.2 | explain drug-receptor binding interactions |
| | | 1.3 | distinguish between competitive and non-competitive enzyme inhibition |
| | | 1.4 | explain the relationship between receptors and drug affinity, efficacy and potency |
| LO2 | Understand the pharmacokinetic and pharmacodynamic behaviour of drugs | 2.1 | discuss the influence of route of administration on systemic toxicity |
| | | 2.2 | review pathways of drug metabolism |
| | | 2.3 | explain methods of biological evaluation of drugs |
| | | 2.4 | explain abnormal responses to drugs |
| LO3 | Understand the stages of drug discovery and design | 3.1 | discuss the issues for consideration when designing a new drug |
| | | 3.2 | explain the concepts of structure-activity relationships with respect to drug design |
| | | 3.3 | explain the role of combinatorial chemistry in drug synthesis and development |
| LO4 | Understand the role of biologically active molecules in biochemical systems. | 4.1 | discuss the development and role of selected biologically active molecules |
| | | 4.2 | explain clinical toxicological terms citing suitable examples |
| | | 4.3 | explain the principles of clinical toxicity |

 

# Guidance

## Links

This unit has particular links with the unit in this qualification below:

- *Unit reference number F/601/0217: Biochemistry of Macromolecules and Metabolic Pathways.*

## Essential requirements

## Delivery

The unit should be delivered in a manner so that it emphasises the chemical principles involved in drug action and design. Wherever possible chemical structures or part structures in the form of functional groups, rather than descriptive terminology, must be used to illustrate concepts such as binding, drug metabolism and structure-activity relationships. Throughout the unit specific examples of drugs or case studies must be used to illustrate principles and conceptual aspects of the unit content.

## Assessment

Where possible, assessments must be based on the application of principles to specific examples of drugs. Learners must be encouraged to undertake literature searches in relation to the development, testing and action of named drugs. Structure-activity relationships and enzyme kinetics must be assessed in a quantitative as well as a qualitative manner.

## Resources

Learners will need access to library and information technology resources, tutorial and technical support, molecular models and laboratory facilities.

## Employer engagement and vocational contexts

Learners will benefit from visits to pharmaceutical laboratories to observe research and development procedures in operation.

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