



UNIVERSITY OF RUHUNA – FACULTY OF MEDICINE

ALLIED HEALTH SCIENCES DEGREE PROGRAMME

SECOND BPHARM PART II EXAMINATION – JUNE 2016

PH 2244: MEDICINAL CHEMISTRY & PHARMACOGNOSY IA (SEQ)

TIME: THREE HOURS

INSTRUCTIONS

- Answer **all** questions.
- Do not use any correction fluid.
- Answer questions in the given answer book.
- Marks will be deducted for illegible hand writing.

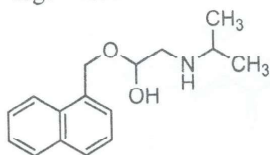
01. Answer **all** parts.

1.1

1.1.1. Lipinski's *Rule of five* is useful in drug design. Explain. (05 marks)

1.1.2. Giving reasons state whether the following drug is considered as satisfactory drug candidate in terms of potential bio-availability and state whether it can be administered orally.

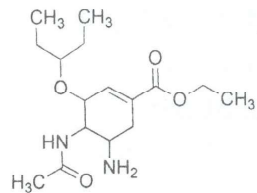
Propranalolol $C_{18}H_{21}NO_2$
 $\log P = 2.53$



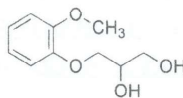
(10 marks)

1.1.3. Using the table given below predict the water solubility of the following drugs (A), (B) and (C). State how the water insoluble drugs are formulated. (15 marks)

Functional Group		Molecule with one functional group solubilizes	Molecule with multiple functional groups solubilize
Alcohol	R-OH	5 or 6 carbons	3 or 4 carbons
Ether	R-O-R	4 or 5 carbons	2 carbons
Ketone	R-C(=O)-R	5 or 6 carbons	2 carbons
Ester	R-C(=O)-OR	6 carbons	3 carbons
Amine	R-NH ₂	6 or 7 carbons	3 carbons
Amide	R-C(=O)-NH ₂	6 carbons	2 or 3 carbons



(A) Tamiflu
(Anti-viral)



(B) Guaifenesin
(Expectorant)



(C) Amantidine
(Antiparkinson drug)

1.2

1.2.1. Explain the term “bioisostere” briefly.

(05 marks)

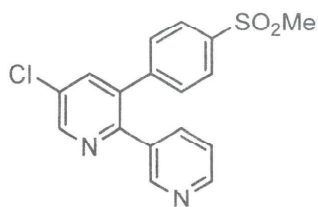
1.2.2. Although 5-fluorouracil (5-Fu) and uracil are bioisosteres, 5-Fu is used as an anti- cancer drug. Explain.

(15 marks)

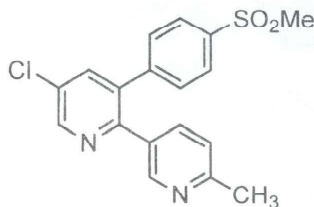
1.3

1.3.1. (A) is an anti-arthritis drug which is quickly excreted in the body compared to that of (B). Explain.

(08 marks)



(A)



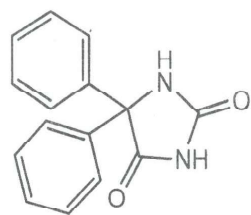
(B)

1.3.2. The following anticonvulsant drug phenytoin used in the treatment of epilepsy, is virtually insoluble in water. Metabolism by cytochrome P450 isoenzymes (CYPs) followed by uridine diphosphate-glucuronosyltransferase (UGT) enzymes produces a metabolite (B) that is highly water soluble.

(10 marks)

(i) Draw the structures of the intermediate (A) and the final metabolite (B).

(ii) State the phases of metabolic reactions that are involved in the formation of (A) and (B).

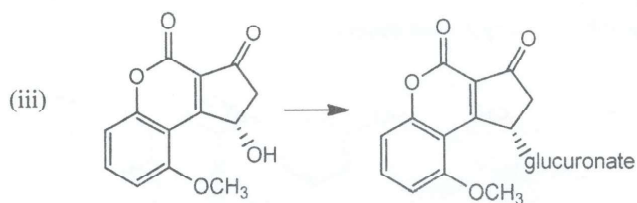
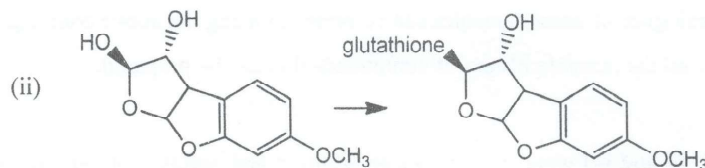
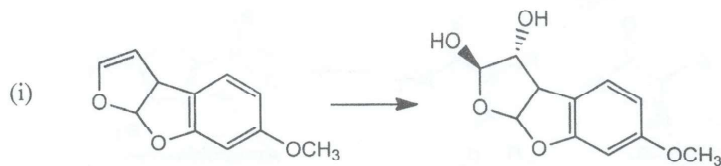


Phenytoin

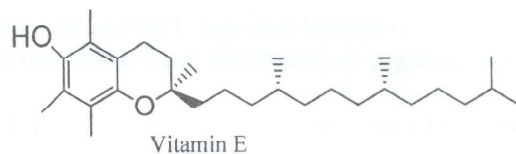
→ Intermediate (A) + B

1.3.3. Name the enzymes involved in the following metabolic transformations and state which phase of metabolic reactions is involved in the reaction (i).

(12 marks)



1.4. Vitamin E is soluble in fat. It is found in many foods including cereals, meat, eggs, fruits, vegetables. (20 marks)



1.4.1. Determine how many possible stereoisomers vitamin E has by placing a star (*) to each chiral centre.

1.4.2. How does vitamin E derived from soybeans differ from its synthetic analogue?

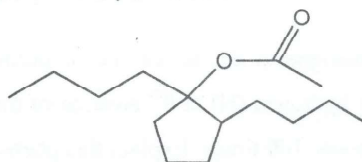
1.4.3. What additional experiment would clarify the difference between soybean vitamin E and synthetic vitamin E?

1.4.4. What do you advise people about taking the synthetic versus plant derived vitamin E?

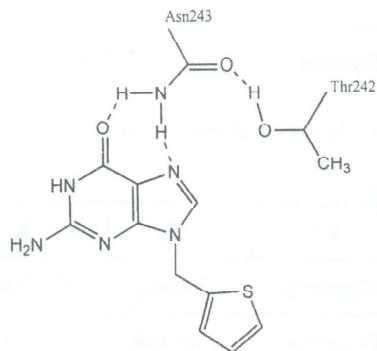
02.

2.1.

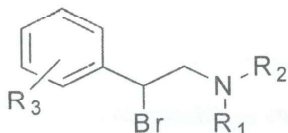
2.1.1. Carry out retrosynthetic analysis to design a synthesis for the following molecule using cyclopentanone and any other necessary conditions. (20 marks)



2.1.2. Following combinatorial synthesis of Aminothiazole library from ketones ($A_1 - A_4$) and thioureas ($B_1 - B_5$) including fanetizole [$R_1 = \text{Ph}(\text{CH}_2)_3$; $R_2 = \text{H}$; $R_3 = \text{Ph}$; $R_4 = \text{H}$] a known anti-inflammatory agent is given by the following reaction.



2.4.2. Following is the general structure of β -Bromo- β -aryl-ethylamines which shows anti-adrenergic activity. (15 marks)



- State the physicochemical properties of this compound which would affect its biological activity.
- Write down the simplified Hansch equation which could be related to the biological activity of this compound.
- State the nature of substituents which could be introduced to improve its biological activity and give an example for each.

03. Write short notes on the following.

(20 x5 marks)

- Drugs of animal origin.
- Classification of surgical fibres.
- What is a herb and herbal food.
- Identification test for cotton and silk fibers.
- Definition of Pharmacognosy

04.

- Highlight the important microscopical characters of
 - Senna* leaf powder. (20 marks)
 - Datura* leaf powder. (20 marks)
- State the parts of the plant which are used in medicine given below. (20 marks)
 - Senna*
 - Ephidra*
 - Rauwolfia*
 - Anithum sowa*
- Explain briefly common adulterants found in marketed samples of bee honey. (20 marks)
- List ten (10) important crude drugs of family umbeliferae. (20 marks)

05.

5.1. Briefly describe the given floral formula.

(24 marks)

$$\downarrow \text{ } \overline{\text{K}}_{(5)} \text{C}_{(5)} \text{A}_{(4)+1}, \overline{\text{G}}_{(5)}$$

5.2. Fill in the blanks using the given table.

(30 marks)

A	B	C	D	E	F
Sessile	Dicussate	Climbing	Trailing	Rhizome	Unipinnate
Sheath	Whorled	Epiphytic	Prostrate	Come	Bipinnate
Winged	Spiral	Pneumtophores	Runner	Bulb	Tripinnate

- (i) The category containing **Phyllotaxy** is
- (ii) The category containing **roots modifications** is
- (iii) The category containing **modification of petiole** is
- (iv) The category containing **compound leaves** is
- (v) The category containing **weak stems** is
- (vi) The category containing **underground stems** is

5.3. Draw diagrams to show the following leaf types.

(10 marks)

5.3.1. Even-pinnate compound

5.3.2. Odd-pinnate compound

5.4. Draw diagrams to show the following ovary positions.

(20 marks)

(i). Hypogynous

(ii). Epigynous

5.5. Give five simple fruit types with example for each.

(16 marks)

Type of fruit

Example

06.

6.1. Distinguish between

6.1.1. simple leaf and compound leaf

(10 marks)

6.1.2. stamen and pistil

(10 marks)

6.1.3. parietal and axile placentation

(10 marks)

6.2. List two common characteristics of families; cucurbitaceae, malvacea and amaranthaceae.

(18 marks)

Family

Common characteristics

6.3. List sub families in family fabaceae.

(12 marks)

6.4. Briefly explain the economical importance of medicinal plants in family fabaceae.

(20 marks)

6.5. Briefly describe the difference between underground stem and root.

(20 marks)