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UNIVERSITY OF RUHUNA – FACULTY OF MEDICINE

ALLIED HEALTH SCIENCES DEGREE PROGRAMME

SECOND BPHARM PART II EXAMINATION – JUNE 2013

PH 2244: MEDICINAL CHEMISTRY & PHARMACOGNOSY IA

9.00 a.m. – 12.00 noon

PART- A

01. Answer all parts.

1.1

1.1.1 What are the important forces (bonds) that govern the solublization process of drugs?

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(05 marks)

1.1.2

1.1.2.1 Solubility of a drug is considered as one of the most important physicochemical properties.

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1.1.2.2 State two other pharmacologically influential physicochemical properties of a drug.

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(05 X 2 marks)

1.1.3 Define the terms log P and clog P and state the importance of log P in drug design.

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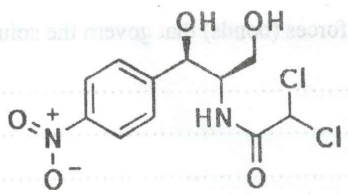
(10 marks)

1.1.4 The following drug chloramphenicol has both lipophilic and hydrophilic sites. Indicate these sites in its structure.



(15 marks)

1.2

1.2.1 Describe how Lipinski's *Rule of five* is useful in drug design

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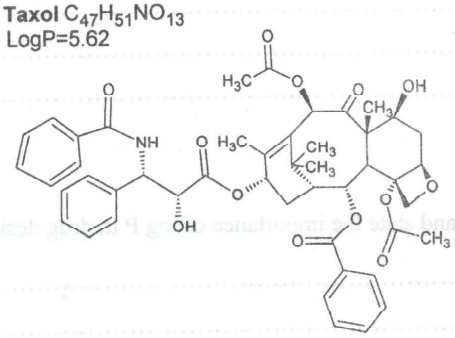
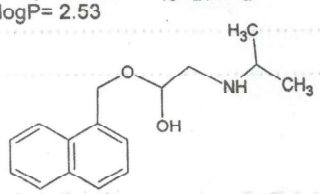
(05 marks)

1.2.2 Giving reasons state whether the following drugs are considered as satisfactory drug candidates in terms of potential bio-availability.

Taxol $C_{47}H_{51}NO_{13}$
LogP=5.62



Propranalolol $C_{16}H_{21}NO_2$
logP= 2.53



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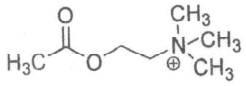
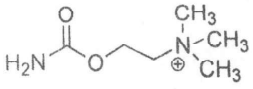
(20 marks)

1.2.3 Carbachol has similar biological activity to acetylcholine but has a longer half-life. Explain.



Acetylcholine



Carbachol

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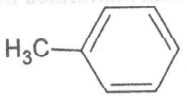
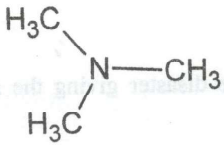
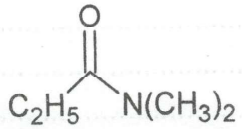
(15 marks)

1.3 Write down the structures of the products formed in the metabolism of the following compounds indicating the appropriate enzymes involved in each reaction. Classify each reaction into appropriate phase in the metabolism (whether Phase I or Phase II).

1.3.1 

1.3.2 CH_3CH_2OH →

1.3.3 

1.3.4 

(20 marks)

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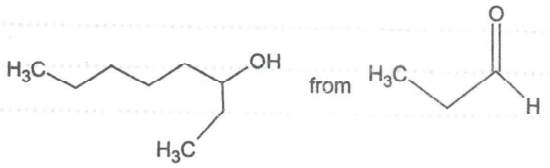
02. Answer all parts

2.1

2.1.1 Use retrosynthetic analysis to design a synthesis for the following molecule using the starting material provided and any other necessary conditions.



(15 marks)

2.1.2 State two advantages of combinatorial synthesis over traditional synthesis.

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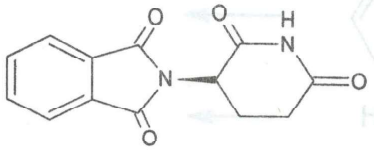
(10 marks)

2.2 Thalidomide has the properties that made it useful as a sedative and an anti- nausea drug. However, when the pure (R)- enantiomer was given as a drug to treat the morning sickness in pregnant women, thousands of children were born worldwide with malformed limbs.



(R)- Thalidomide

Explain briefly, the role of stereochemistry in the above disaster giving the structure of the undesired enantiomer.

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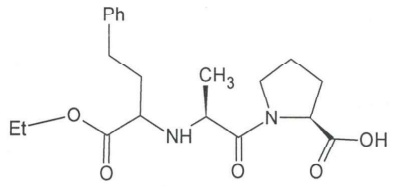
(15 marks)

2.3

2.3.1 Define a "prodrug". Enalapril is the ester- prodrug of the ACE- inhibitor Enalaprilat. Write down the chemical structures of the drug and the by-product(s) it would liberate on activation.



Enalapril

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(15 marks)

2.3.2 How does a '*Serendipitous drug* 'differ from a '*Me too drug'*. Name one example for each type.

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(10 marks)

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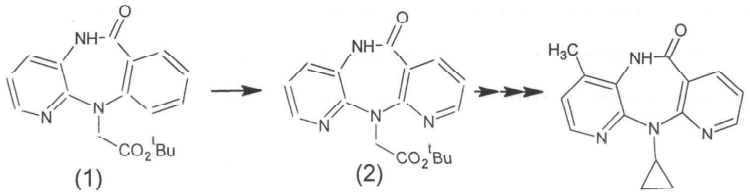
2.3.3 In the development of the antifungal agent, Nevirapine, structure (2) was found to bind more strongly to the target enzyme than the lead compound (1). Explain.



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(15 marks)

2.3.4 The QSAR equation relating the general anaesthetic activity of several ethers to their log *P* values is defined by the following equation.

$$\log\left(\frac{1}{C}\right) = -0.22(\log P)^2 + 1.04 \log P + 2.16$$

2.3.4.1 Draw a rough sketch of the graph which will fit the above equation.

2.3.4.2 Indicate the usefulness of this QSAR study.

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(20 marks)

Index No:.....

PART- B

03.

3.1 Define the term "Pharmacognosy".

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(10 marks)

3.2 What are the historical eras of pharmacognosy and state the events that are significant in the latest historical era of pharmacognosy.

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(50 marks)

3.3 List the eight branches of Ayurveda system of medicine.

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(40 marks)

Index No:.....

04.

4.1 Complete the following table.

Product	Animal source	Uses
.....	*Apis mellifera*	.....
Cantharides	.....	.....
.....	.....	Emollient base for creams and oinments
Spermaceti	.....	.....
.....	*Lucifer lacca*	.....

(50 marks)

4.2 What do you mean by the *herbal drug adulteration*?

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(10 marks)

4.3 Briefly discuss the types of intentional herbal drug adulteration?

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(40 marks)

Index No:.....

05.

5.1

5.1.1 What is a crude drug?

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5.1.2 Give five examples for crude drugs.

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5.1.3 Explain "vegetable drugs".

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(15 marks)

5.2

5.2.1 What is the level of social acceptance of crude drug in Sri Lanka and developed countries?

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5.2.2 What are the main problems encountered in popularizing crude drugs?

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5.2.3 List the main features which should be included in a monograph of a crude drug derived from a plant.

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5.2.4 Briefly explain the importance of ethnopharmacology in drug discovery.

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5.2.5 List the classes of secondary metabolites to which the active compounds of crude drugs belong.

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(40 marks)

Index No:.....

5.3

5.3.1 Explain the term "neutraceuticals."

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5.3.2 List the main steps followed in the manufacturing process of a plant based crude drug.

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5.3.3 What are the disadvantages encountered when wild plants are selected in the production of crude drugs?

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5.3.4 Explain briefly four (04) methods of cultivation of plants for the production of crude drugs.

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5.3.5 Define the term "allelopathy".

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(25 marks)

5.4

5.4.1 Explain briefly the methods of drying of crude drugs.

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5.4.2 List five (05) extraction methods used in the crude drug industry

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5.4.3Mention the problems encountered when water is used as the extracting solvent in crude drug research.

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5.4.4 Volatile oils are main constituents in many crude drugs, what is the most suitable extraction method for extraction of volatile oil from a plant source.

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(20 marks)

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PART- C

6.

6.1 Distinguish between

6.1.1 an even pinnate leaf and an odd pinnate leaf

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6.1.2 a racemose inflorescence and a cymose inflorescence

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6.1.3 a berry fruit and a legume fruit

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6.1.4 an underground stem and a root

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6.1.5 a superior ovary and an inferior ovary

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(25 marks)

6.2 Name **<u>two</u>** characteristics each, used to identify following plant families.

6.2.1 Cucurbitaceae

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6.2.2 Fabaceae

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6.2.3 Araceae

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6.2.4 Amaranthaceae

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6.2.5 Malvaceae

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(25 marks)

13

125

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6.3 List **five** most important information given in a herbarium label.

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(25 marks)

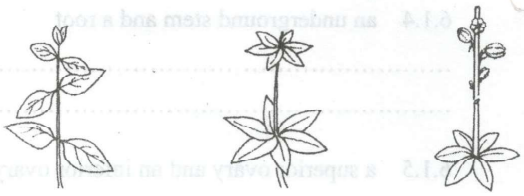
6.4 The illustrations given bellow show inflorescence types, leaf arrangements, leaf types and fruit types.

6.4.1 Identify A, B and C leaf arrangements

A.....

B.....

C.....



A B C

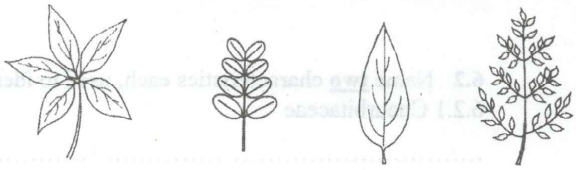
6.4.2 Identify A,B,C and D leaf types

A .....

B .....

C .....

D .....



A B C D

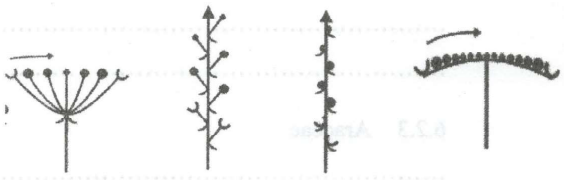
6.4.3 Identify A,B,C and D inflorescence types

A. .....

B. .....

C.....

D. .....



A B C D

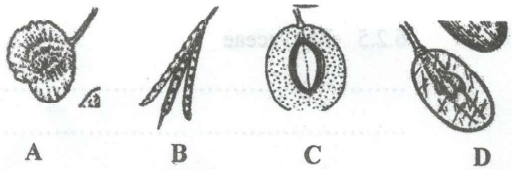
6.4.4 Identify A,B,C and D fruit types

A. .....

B. .....

C.....

D .....



A B C D

(25 marks)