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UNIVERSITY OF RUHUNA – FACULTY OF ALLIED HEALTH SCIENCES
DEPARTMENT OF PHARMACY
SECOND BPHARM PART II EXAMINATION - JUNE/JULY 2023
PH 2244 MEDICINAL CHEMISTRY AND PHARMACOGNOSY IA – SEQ

TIME: THREE HOURS

INSTRUCTIONS

- There are six questions in **part A, B and C** of this question paper.
- Answer all questions.
- No paper should be removed from the examination hall.
- Do not use any correction fluid.
- Use illustrations where necessary.

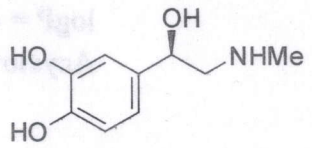
PART A

01.

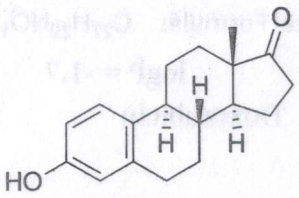
1.1

1.1.1 A drug is found to have a logP = -1.0. Calculate the mass of the drug that would be dissolved in octanol if 2.0 g of the drug was shaken with 100 mL of octanol and 100 mL of water. (***15 marks***)

1.1.2 Chemical structures of two hormones adrenaline and estrone are shown below. Explain why adrenaline, a relatively small hormone (logP = -1.37) is unable to permeate through cell membranes whereas large steroid molecule, estrone (logP = 1.88) is able to readily diffuse through cell membrane. (***20 marks***)

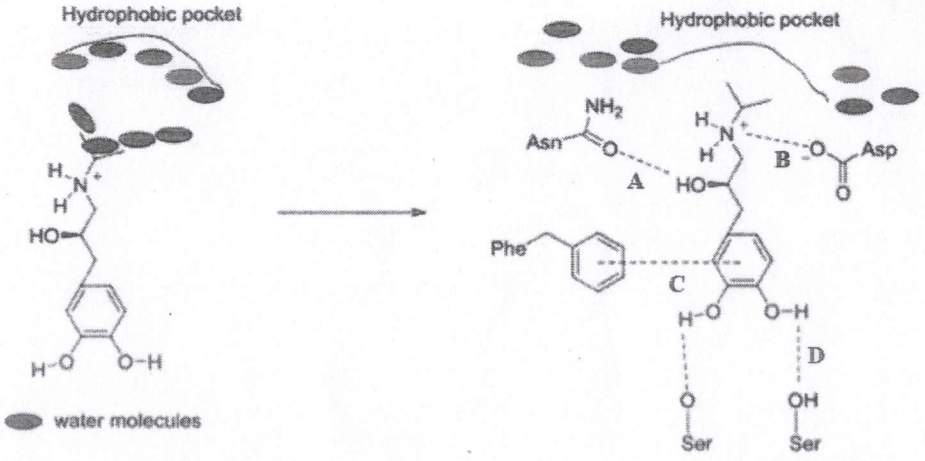


Adrenaline



Estrone

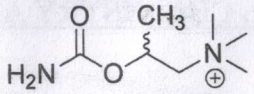
1.2 The following figure shows the interaction of cardiac stimulant isoprenaline with the β-adrenergic receptor binding site. Identify and label all the interactions **A, B, C and D** between isoprenaline and the receptor. (***15 marks***)



Before binding

After binding

1.3 Bethanechol (β-methyl carbocholine) which is more stable and more selective on muscarinic receptor, is used to stimulate gastrointestinal tract and urinary bladder after surgery. Explain why it is not inactivated by hydrolysis in the presence of enzyme cholinesterase. ***(10 marks)***

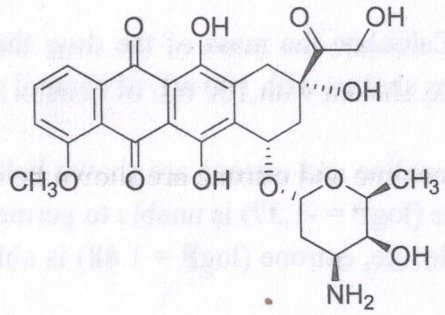


Bethanechol

1.4

1.4.1 What is the Lipinski's rule of five? Briefly explain. ***(10 marks)***

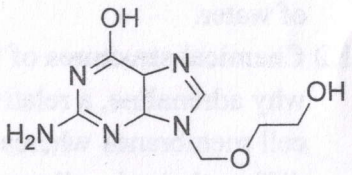
1.4.2 Structures of the anticancer drug doxorubicin and the antiviral drug acyclovir are shown below. Assess these two molecules using Lipinski's rule of five. Do you expect them to be orally active drugs based on your assessment? ***(20 marks)***



Chemical Formula: $C_{27}H_{29}NO_{11}$

logP = -1.7

Doxorubicin



Chemical Formula: $C_8H_{13}N_5O_3$

logP = -1.0

Acyclovir

1.5 Briefly introduce the following terms pertaining to drug discovery. ***(10 marks)***

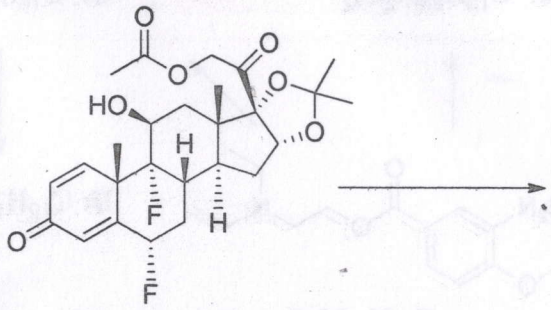
1.5.1 High through put screening

1.5.2 Combinatorial Chemistry

02.

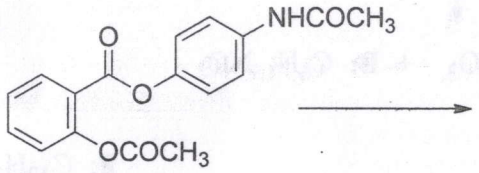
2.1 Propose structures for the phase I active metabolites and by-products (if any) of each of the following prodrugs. ***(30 marks)***

2.1.1



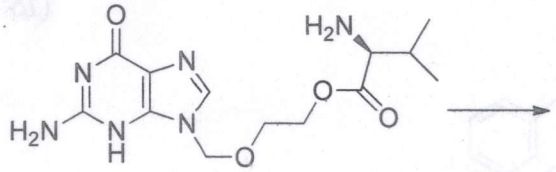
Fluocinocide

2.1.2



Benorylate

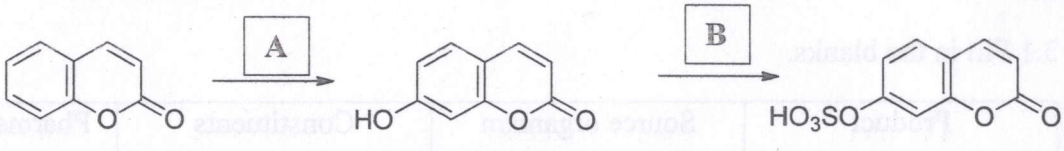
2.1.3



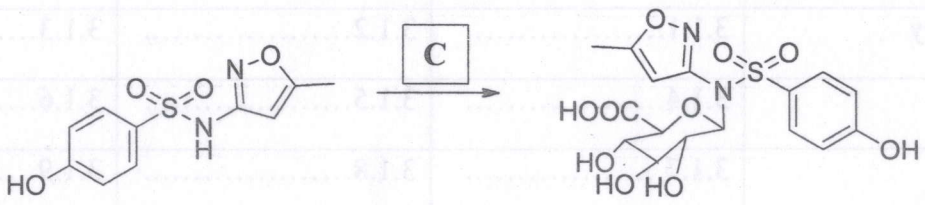
Valacyclovir

2.2 For the following reactions, determine whether the reaction would occur during phase I or phase II of drug metabolism. ***(15 marks)***

2.2.1

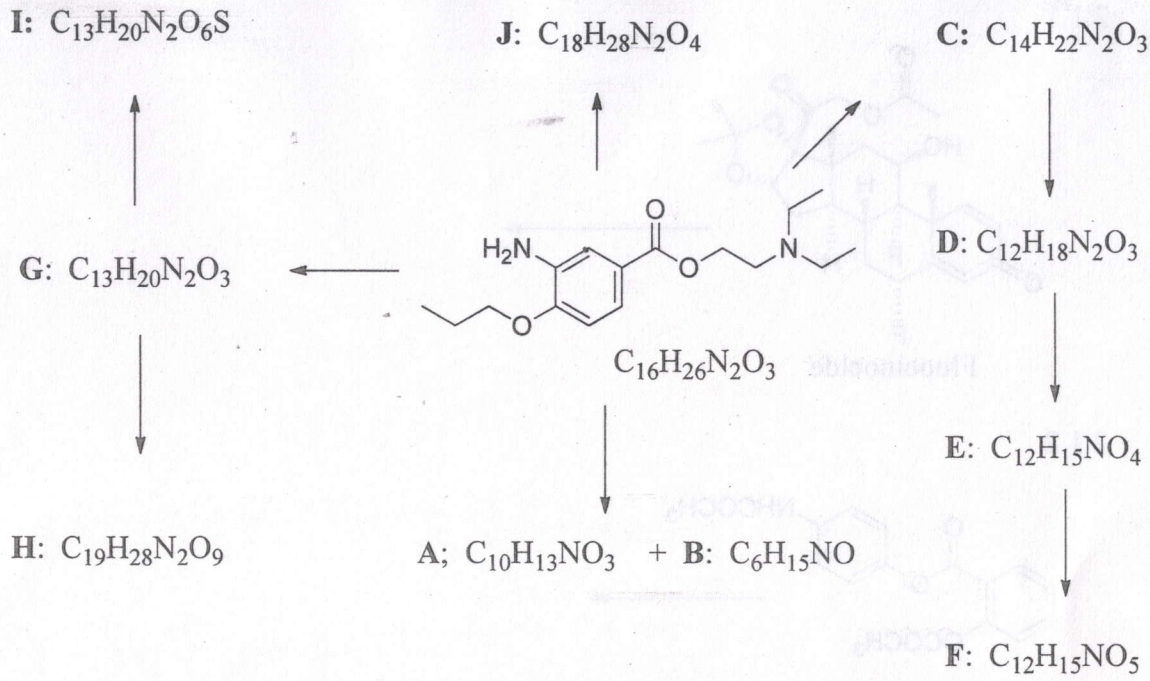


2.2.2



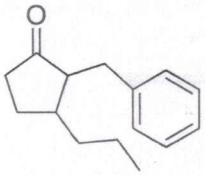
2.3 Proparacaine is a topical anesthetic drug of the amino ester group used as a local or spinal anesthetic. The following figure shows reaction pathways for possible phase I or phase II reactions in drug metabolism. Draw possible structures for the different metabolites (**A - J**).

(40 marks)



2.4 Carry out a retrosynthetic analysis of the following target molecule and propose a synthetic route. You do not have to consider stereochemistry. Gilman reagent could be used in the synthesis of the target.

(15 marks)



PART B

03.

3.1 Fill in the blanks.

(48 marks)

Product	Source organism	Constituents	Pharmaceutical uses
Bee honey	3.1.1.....	3.1.2.....	3.1.3.....
Lanolin	3.1.4.....	3.1.5.....	3.1.6.....
Gelatin	3.1.7.....	3.1.8.....	3.1.9.....
Spermaceti	3.1.10.....	3.1.11.....	3.1.12.....

3.2 Give three examples of natural fibers and mention the source organism of each. (***18 marks***)

3.3 Write a short note on pharmaceutically important synthetic fibers. (***24 marks***)

3.4 Name the two types of sutures. Give one example for each. (***10 marks***)

04.

4.1 Define the term adulteration. (***10 marks***)

4.2 Briefly describe the types of intentional herbal drug adulterants giving examples. (***40 marks***)

4.3 Give four methods that can be used to detect adulteration in crude drugs. (***10 marks***)

4.4 Briefly describe the different classification systems of crude drugs. Give one advantage and one disadvantage of each classification system. (***40 marks***)

PART C

05.

5.1 Briefly describe the given floral formula. (***20 marks***)

$$\% \, ♂ \, K_{(5)} \, C_{1+2+(2)} \, A_{(9)+1} \underline{G_1}$$

5.2 Fill in the blanks using the given table. (***14 marks***)

Categories						
A	**B**	**C**	**D**	**E**	**F**	**G**
Raceme	Epigynous	Lanceolate	Truncate	Petiolate	Pod	Berry
Capitulum	Perigynous	Reniform	Emarginate	Peltate	Capsule	Hesperidium
Corymb	Hypogynous	Cordate	Acute	Sessile	Silique	Pepo

5.2.1 The category containing **fleshy fruit** is .....
5.2.2 The category containing **leaf shapes** is .....
5.2.3 The category containing **ovary positions** is .....
5.2.4 The category containing **modification of leaf petioles** is .....
5.2.5 The category containing **dehiscent dry fruits** is .....
5.2.6 The category containing **weak stems** is .....
5.2.7 The category containing **inflorescence types** is .....

5.3 Draw diagrams to show the following inflorescence types. (*15 marks*)

5.3.1 Spike

5.3.2 Raceme

5.3.3 Panicle

5.4 Draw diagrams to show the following leaf base types. (*15 marks*)

5.4.1 Sagittate

5.4.2 Hastate

5.4.3 Truncate

5.5 Distinguish between

5.5.1 Dehiscent dry fruit and indehiscent dry fruit (*10 marks*)

5.5.2 Alternate phyllotaxy and opposite phyllotaxy (*10 marks*)

5.5.3 Bulb and come modified stems (*10 marks*)

5.6 Name three types of stomata in plants. (*06 marks*)

06.

6.1 Sate two unique characteristics of each families given below. (*30 marks*)

6.1.1 Combretaceae

6.1.2 Cucurbitaceae

6.1.3 Malvaceae

6.2 Give five different methods that can be used in drug evaluation. (*20 marks*)

6.3 Sequentially, describe important steps for preparation of plant sample for an herbarium specimen. (*50 marks*)

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