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UNIVERSITY OF RUHUNA – FACULTY OF ALLIED HEALTH SCIENCES

DEPARTMENT OF PHARMACY

FOURTH BPHARM PART II EXAMINATION – JUNE/AUGUST 2020

PH 4213 ADVANCED MEDICINAL CHEMISTRY II (SEQ)

TIME: TWO HOURS

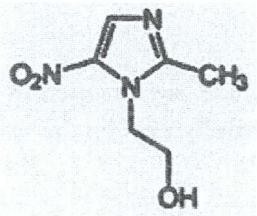
INSTRUCTIONS

- There are four (**04**) questions in SEQ paper.
- Answer all questions in the booklet provided.
- No paper should be removed from the examination hall.
- Do not use any correction fluid.
- Use illustrations where necessary.

1. Answer all parts.

1.1. Classify antiamoebic agents, giving **one** example drug for each class. (***05 marks***)

1.2. Structure of metronidazole is given below.



Metronidazole

1.2.1. Briefly explain the mechanism of action of metronidazole including the names of potential reactive intermediates. (***10 marks***)

1.2.2. Write down the synthetic pathway of metronidazole. (***15 marks***)

1.3. Quinoline derivatives of antimalarial drugs can be further subdivided based on the pharmacophore present in them.

1.3.1. List the subclasses of quinoline derivatives. (***05 marks***)

1.3.2. Write down **one** example antimalarial drug for each subclass listed in 1.3.1. (***05 marks***)

1.3.3. Draw the pharmacophore present in each subclass of drugs. (***15 marks***)

1.4. The final step of chloroquine synthesis is given below. Write down the synthetic scheme for compound A and compound B shown below. (***45 marks***)

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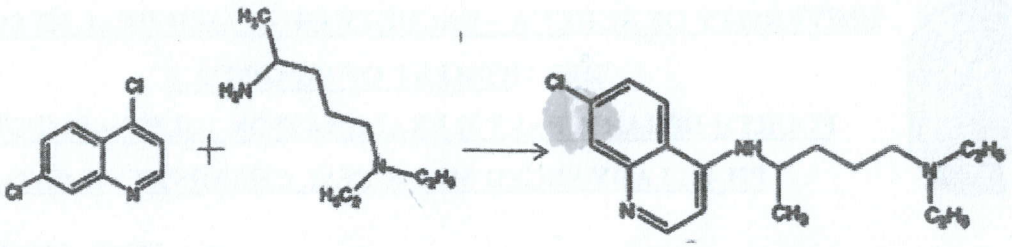


A B Chloroquine

2. Answer all parts.

2.1. Draw the general structure of H_2 receptor antagonists and briefly explain the important structural elements required for the antiulcer activity. (***20 marks***)

2.2. Name the heterocyclic ring systems present in each of the following drugs. (***10 marks***)

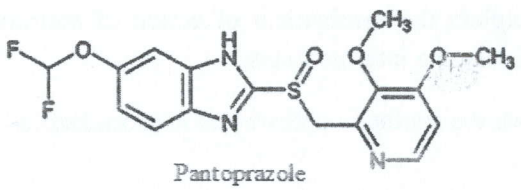
2.2.1. Cimetidine

2.2.2. Ranitidine

2.2.3. Famotidine

2.3. Omeprazole is a prodrug which is converted to its active form only at the site of action. Using chemical structures, briefly explain the mechanism of activation at the parietal cells. (***25 marks***)

2.4. Write down the synthetic scheme of pantoprazole in which the chemical structure is given below. (***45 marks***)



Pantoprazole

3. Answer all parts.

3.1. Draw the chemical structures of molecules given below. (***10 marks***)

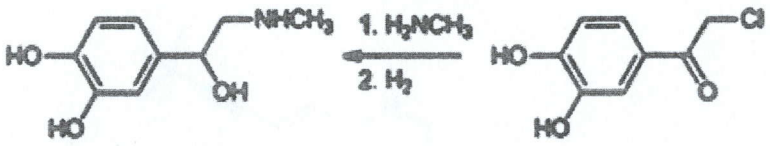
3.1.1. Norepinephrine

3.1.2. Epinephrine

3.1.3. Isoproterenol

3.2. Using the structures drawn in question 3.1, discuss briefly the important structure activity relationship parameters required for the optimal activity of isoproterenol. (***10 marks***)

3.3. The first step of isoproterenol synthesis is given below. Complete the synthetic pathway to isoproterenol. (*20 marks*)



3.4 Anti metabolites and alkylating agents are two classes of antineoplastic drugs.

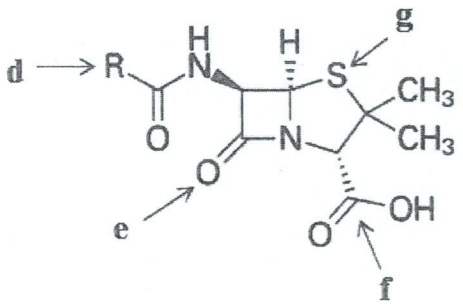
3.4.1. Name **two** drugs for each class of antineoplastic drugs given above. (*10 marks*)

3.4.2. Briefly discuss the mechanism of action of each class of drugs given above and comment on the differences in producing the chemotherapeutic effect. (*15 marks*)

3.4.3. Write down the complete synthetic scheme for **one** antimetabolite drug of your choice. (*35 marks*)

4. Answer all parts.

4.1. The chemical structure of drug group **C** is given below.



C

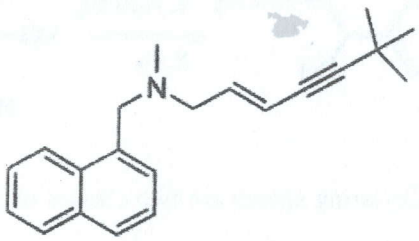
4.1.1. Identify the β-lactam ring and thiazolidine ring separately. (*05 marks*)

4.1.2. List the classes of drugs which share the above chemical structure. (*10 marks*)

4.1.3. State the important structure activity relationships required at positions (d – g) for the antibacterial activity of the above drug. (*15 marks*)

4.1.4. Draw the stepwise mechanism to show the instability of structure **C** to β-lactamase enzyme. (*25 marks*)

4.2. Chemical structure of the drug D is given below.



D

4.2.1. Identify the drug "**D**" and state its pharmacological activity. (***15 marks***)

4.2.2. Write down the synthetic scheme for the drug "**D**". (***30 marks***)

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