26/4/10

Con. 2265-10.

Sem-VIII

Pharm. & Medi. Chem. - VI

(2 Hours)

MX-8492

2009-10

[Total Marks : 35

24/4/10

N.B. : (1) Question No. **1** is **compulsory**.
(2) Answer any **four** questions from the **remaining** six.

1. Answer the following questions. Draw structures wherever pertinent :— **7**
   (a) A non-selective CNS stimulant that is a re-uptake inhibitor.
   (b) An NSAID with a pyrrole nucleus.
   (c) A morphine derivative which has mixed agonist-antagonist activity.
   (d) An antagonist of the benzodiazepine receptor.
   (e) A carbamate derivative with pharmacological properties that resemble the benzodiazepines and the barbiturates.
   (f) A selective serotonin reuptake inhibitor.
   (g) A barbiturate with intermediate duration of action (3-6 hrs)

2. Draw the structure, give the generic name and state the therapeutic use of the following :— **7**
   (a) 2-Bromo-2-chloro-1,1,1-trifluoroethane
   (b) 7-Chloro-2 -(methylamino)-5-phenyl-3H-1,4-benzodiazepine-4-oxide monohydrochloride
   (c) 2-Ethyl-2-phenylglutarimide
   (d) 6-Methoxy-α-methyl-2-naphthaleneacetic acid
   (e) 4-(Dimethylamino)-3-methyl-1,2-diphenyl-2-butanol propionate
   (f) 5-[3-(Dimethylamino)propyl]-10,11-dihydro-5H-dibenz[b, f]azepine
   (g) 5-Allyl-5-(l-methylbutyl)-2-thiobarbiturate

OR

2. Draw the structure, write the chemical name and state the therapeutic use of the following :— **7**
   (a) Triflupromazine
   (b) Piroxicam
   (c) Haloperidol
   (d) Fentanyl
   (e) Trazodone
   (f) Pargyline
   (g) Trimethadione

3. (a) The following statements relate to the SAR of phenothiazines. State whether they are **true** or **false**. Correct those which are false (any **four**) :— **4**
   (i) Substitution at positions 1 and 2 on the phenothiazine ring improve activity.
   (ii) A 4-atom chain connecting N^{10} to the side chain amino group is best for activity.
   (iii) Branching of side chain with large groups (*e.g.* phenyl) decreases activity.
   (iv) A methyl at the β-position in the side chain creates a chiral centre and both stereoisomers are equally active.
   (v) Of the amino groups in the side chain the'dimethylamino and the diethylamino are equally active.

   (b) Draw the structures of the three methylxanthines- caffeine, theophylline and theobromine. Which is the receptor responsible for their CNS stimulant action ? **3**

4. Write a synthetic scheme involving at least 3 steps for the following :— 4
   (a) Carbamazepine or meperidine or doxepine 3
   (b) Diclofenac or fluoxetine or prioxicam.

5. (a) Classify the different epilepsies. Name the different classes of drugs used to treat the epilepsies. 3
   (b) State whether the barbiturates are acids, bases or neutral. Give an approximate value of their pk_a. 3
   How does substitution at the C5 position alter the pk_a.
   (c) Give one example of an atypical antipsychotic agent. What is its unique feature ? 1

6. (a) Give the names and structures of any two narcotic antagonists. What are they used for ? 2
   (b) What are the unique features of the opiod receptor model as outline by Portoghese ? 4

OR

   (b) Mention the features ofthe $GABA_A$ receptor. 4
   (c) Draw the structure of tranylcypromine. Mention any pertinent stereochemical features of this molecule. 1

7. (a) Name and draw the structure of the metabolite(s) of the following drugs or drug class. Also mention if any of the metabolites are active. 4
      (i) Enflurane
      (ii) The barbiturates
      (iii) Carbamazepine
      (iv) Chloral hydrate
   (b) What is common to the following compounds-Mefenamic acid, indomethacin and ibuprofen ? 3
   What is the relationship between stereochemistry and activity for ibuprofen ?

———V———