133 : 2ndHf11B.mk

final yr.

Con. 5611-11.

Medicinal Chemistry - III.

Sem - VII

DK-9807

11/11/11

(REVISED COURSE)

(2 Hours) **[Total Marks : 40**

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

1. (a) Write structure and major therapeutic use for the following :— 4
   (i) 7-Chloro–3-methyl–2H-1, 2, 4-benzothiadiazine–1, 1-dioxide
   (ii) [2-(hexahydro–1-(2H) azocinyl) ethyl] guanidine
   (iii) 2-(1-Naphthylmethyl–2-imidazoline
   (iv) 5-(2, 5-Dimethylphenoxy)–2, 2-dimethylpentanoic acid.
   (b) Write structures and major therapeutic use of the drugs with the following description :— 4
   (i) A succinic acid deriative which acts as a depolarizing neuromuscular blocker.
   (ii) An α_2 agonist which is a prodrug.
   (iii) A sodium channel blocker containing an unsubstituted carboxamide group in its structure.
   (iv) A bis quarternary ammonium salt used as nicotinic blocker.

2. Give specific reasons and support your answer with structure for the following :— 8
   (a) Statins are useful in treating hypercholesterolemia.
   (b) On replacement of ortho dichlorines in cloridine by methyl groups potency is retained but duration of action is shortened.
   (c) Sotacol acts a both as an antihypertensive and as an antiarrhythmic.
   (d) D (–) ephedrine is the most active form of the 4 isomers of ephedrine.

3. (a) Give the schematic synthesis of any **two** and specify reactant names and reaction conditions :— 6
   (i) Neostigmine (ii) Labetalol (iii) Valsartan.
   (b) Give the structure, chemical name, therapeutic use and structure of one major metabolite of JACRINE. 2

4. (a) Give the schematic metabolism of the following drugs and label the metabolites as active/inactive :— 6
   (i) Nifedipine (ii) Propranolol (iii) Procainamide.
   (b) Explain the following observations with respect to muscarinic agonists :— 2
   (i) When acetyl group of acetyl choline is converted to propionyl group, activity is reduced.
   (ii) Carbamate modifications of acetyl choline are orally active.

5. (a) Write a note on angiotens in II receptor blockers and discuss their toxicity profile in comparison to ACE inhibitors. 4
   (b) Classify synthetic muscarinic anticholinergics based on structural features and give one example of each class and briefly mention their uses. 4

6. (a) Write a note on calcium channel blockers with emphasis on structural classifications and activity profile. 4
   (b) Discuss β-adrenergic receptor antagonists in detail including their development and stereo-chemistry. 4

7. (a) Write notes on any **two** of the following :— 6
   (i) α-adrenergic receptor antagonists
   (ii) Development of captopril
   (iii) Nitrovasodilators.
   (b) State any two points of differences between the nicotinic and muscarinic receptors. Using the Newman projection formula, draw the structure of acetyl choline by which it binds to the muscarinic receptor. 2