KENYATTA UNIVERSITY

UNIVERSITY EXAMINATIONS 2011/2012

FIRST SEMESTER EXAMINATION FOR THE DEGREE OF BACHELOR OF SCIENCE

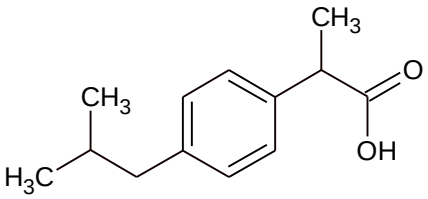
SCH 413: MEDICINAL CHEMISTRY I

DATE: Monday 5th December 2011 **TIME: 11.00 a.m- 1.00 p.m**

INSTRUCTIONS:

Answer ALL questions.

1. What are the different ways in which a drug could be named? Taking the following structures (**1**) as an example give the possible names for this drug. [9 Marks]



1

2. (a) Explain Structure-Activity Relationships for drugs by giving at least two suitable examples. [6 Marks]
   (b) Give two examples of bioisosteric analogues. [2 Marks]
   (c) Ionization has profound effect on pharmacokinetics and pharmacodynamics, explain with examples. [6 Marks]

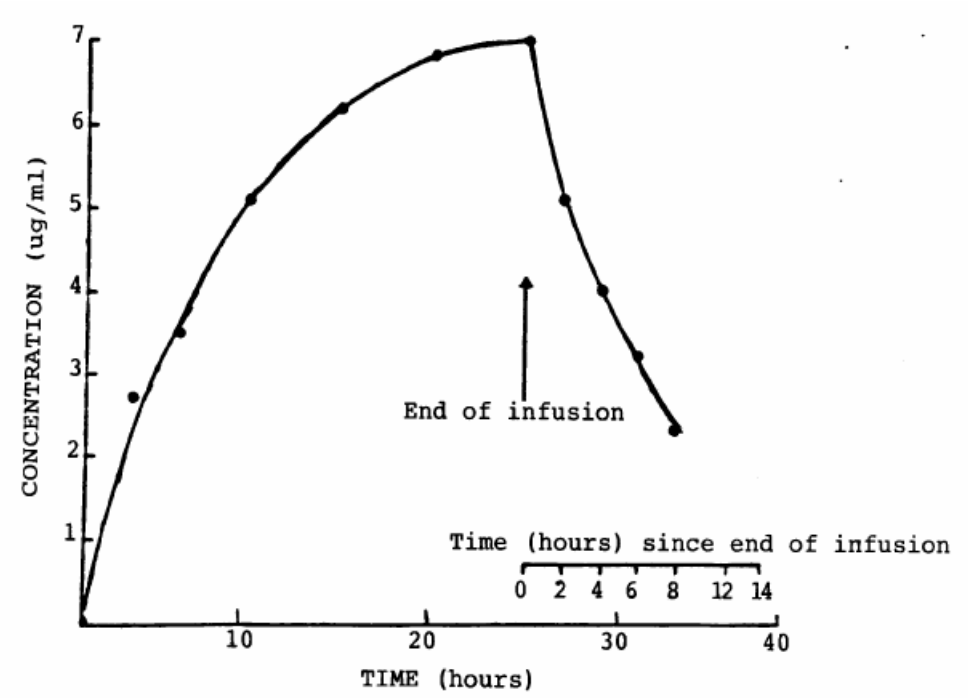
3. Describe the following:
   (a) Rational Drug Design with an appropriate example and the problems with this approach. [9 Marks]
   (b) Lead Modification. [3 Marks]
   (c) Identification of the active part of the lead. [3 Marks]

4. (a) A drug has the following properties:

Vd = 25 L/70 kg, ke = 0.231/hr and bioavailability = 0.8.

If a 70 kg patient took 50 mg of the drug every 8 hours for ten days, what would be the patient's approximate plasma drug concentration? [3 Marks]

(b) Procainamide was infused IV into a 60 kg patient for 25 hours at a rate of 2 mg/minute. The following plasma drug levels were recorded during and after the infusion:



Graph of procainamide concentration against time

(i) What was the approximate half-life of elimination of the drug in plasma once the infusion was stopped? [3 Marks]

(ii) What was the apparent volume of distribution of procainamide in this patient? [4 Marks]

5. (a) The body is a container in which a drug is distributed by blood (different flow to different organs), but the body is not homogeneous. Discuss. [4 Marks]

(b) Discuss protein binding with reference to drug distribution and elimination. [4 Marks]

6. (a) Name three important antimalarials derived from 8-amino-6-methoxy quinolone nucleus. Give their structures, chemical names and uses. Describe synthesis for **any one** of these drugs.

KmLHichLAf LdBahLaD#!5_%LlmCh10y**LLdbchLDcc_ LInqrciD)904$0#Lcha`bsid8067786 %LlOchLf0 _Mabkc]m!_LabLaf0_!%!0669 _ kLBTlahLfac1_LabLAf# LHichLad0`ChLaf!_% 5(b)Ldab** &)&LabLaf_ LlTbchLFcc0 _!5%# ! Ld`ch Alaccify celphonamides aacobdilg do the aide of action by giving an ehamplE frmm **Ld`ChLaf!_% 86&!** _each alass with_ITs namE, sdructupe, uses ald syndhesiS.my CID("67784`$)36%_! **LltrchLdcq0 !_%%#&_& Ltab[ LloaHLf0_ y`chLfcq _ #26$_**]Lab _"67_(4 _LdbchLad31505_! **chLtab LTab Lhi** `cid5 3_440 LdbcHLaf315051_Lrtlch! **LlTrchLdcc !15%3686(247586 LdBah_!505LlmchLD MarKcM**` __LilspcId))0_$ # ab [**_!'78$_ _L`aP ab _**