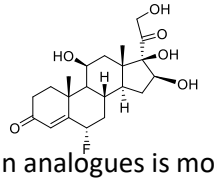
BIRLA INSTITUTE OF TECHNOLOGY AND SCIENCE, PILANI

First Semester 2016-2017 (Comprehensive Examination)

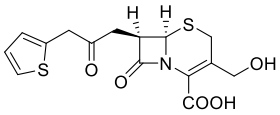
Course Number: **CHEM F335** Course Title: **Organic Chemistry and Drug Design (Open Book)** Max. Marks: 40 Time: 90 min Date: 14-12-2016

Q. 1 (a) The anti-inflammatory agent fluocinolone is too hydrophilic for topical application. Suggest a prodrug. **4**

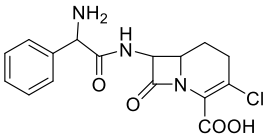


(b) Which of the following penicillin analogues is more stable and potent when orally given. **3**

Q 2 (a) Design two antibacterial cephalosporins which are likely to show better activity than the given below. **4**



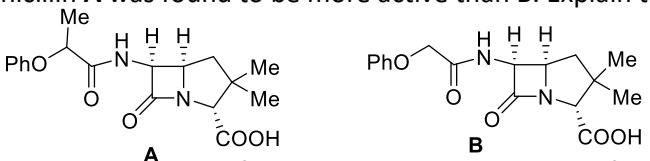
(b) Calculate log P value for the following drug. **2**



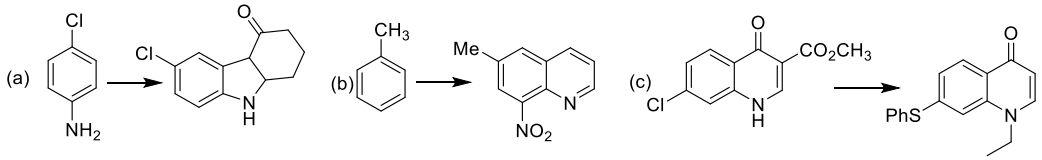
Q 3 The activity of penicillins against a strain of Staphylococcus aureus in mice gave the in vivo relationship

Log 1/C = -0.445 Π + 5.673 (n=20, s = 0.191, r = 0.909).

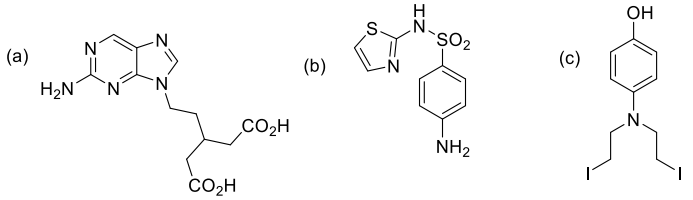
Based on above relationship predict the more active penicillin between **A** and **B** by providing justification. Actually penicillin **A** was found to be more active than B. Explain the anomaly **5**



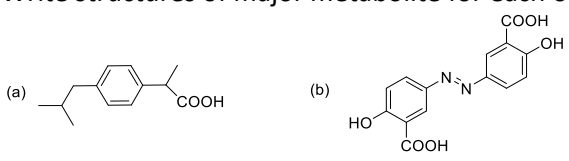
Q 4 Complete the following synthetic transformations used in synthesis of different drug molecules **12**



Q 5 Design prodrugs for each of the following drug molecules **6**



Q 6 Write structures of major metabolite for each of the followings. **4**



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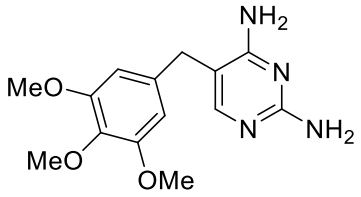
Course Number: **CHEM F335** Course Title: **Organic Chemistry and Drug Design (Close Book)** Max. Marks: 40 Time: 90 min Date: 14-12-2016

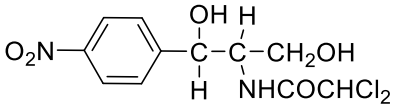
Q 1. Write the stereochemical structures of Oseltamivir and Tamiflu **4**

Q 2. Write all the synthetic steps involved in the preparation of following drug molecule.**10**

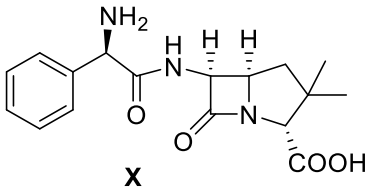
(a)



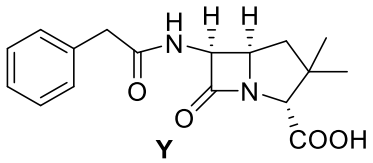
(b)



Q 3. Compare the potency and stability of Penicillin **X** with Penicillin **Y**, explain. With the structural modification of **X**, design three potential analogues which are likely to exhibit improved efficacy. **8**



X



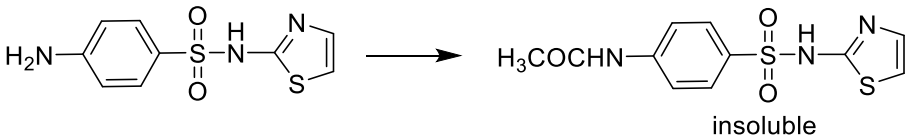
Y

Q 4. (a) Why trimethoprim is often given in conjunction with sulphamethoxazole in a preparation called cotrimoxazole. **5**

(b) Write three main reasons which are responsible for the acid sensitivity of Penicillin G.

6

Q 5. (a) The primary amino group of sulphonamides is acetylated in the body and the resulting amides have reduced solubility which can lead to toxic effects. How to overcome this problem of sulphathiazole. **5**



insoluble

(b) Write the structure of prodrug for Aciclovir