

## Supplementary Subject

### Problems on Pharmaceutical Chemistry

1) Define the following pharmacological terms.

- agonist
- antagonist
- competitive enzyme inhibition
- non-competitive (allosteric) enzyme inhibition

4 x 2 = [8]

2) Why is the hydrophobicity of a drug molecule an important consideration? How is it measured?

[3]

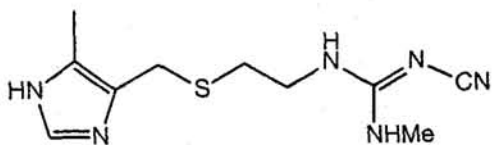
3) Summarise the types of interactions that may contribute to the binding of a drug at either a receptor binding site, or an enzyme active site.

[6]

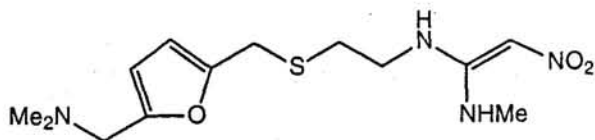
4) Explain the mode of action of the anti-ulcer H-2 antagonists Cimetidine (Tagamet) and Ranitidine (Zantac).

[8]

Cimetidine



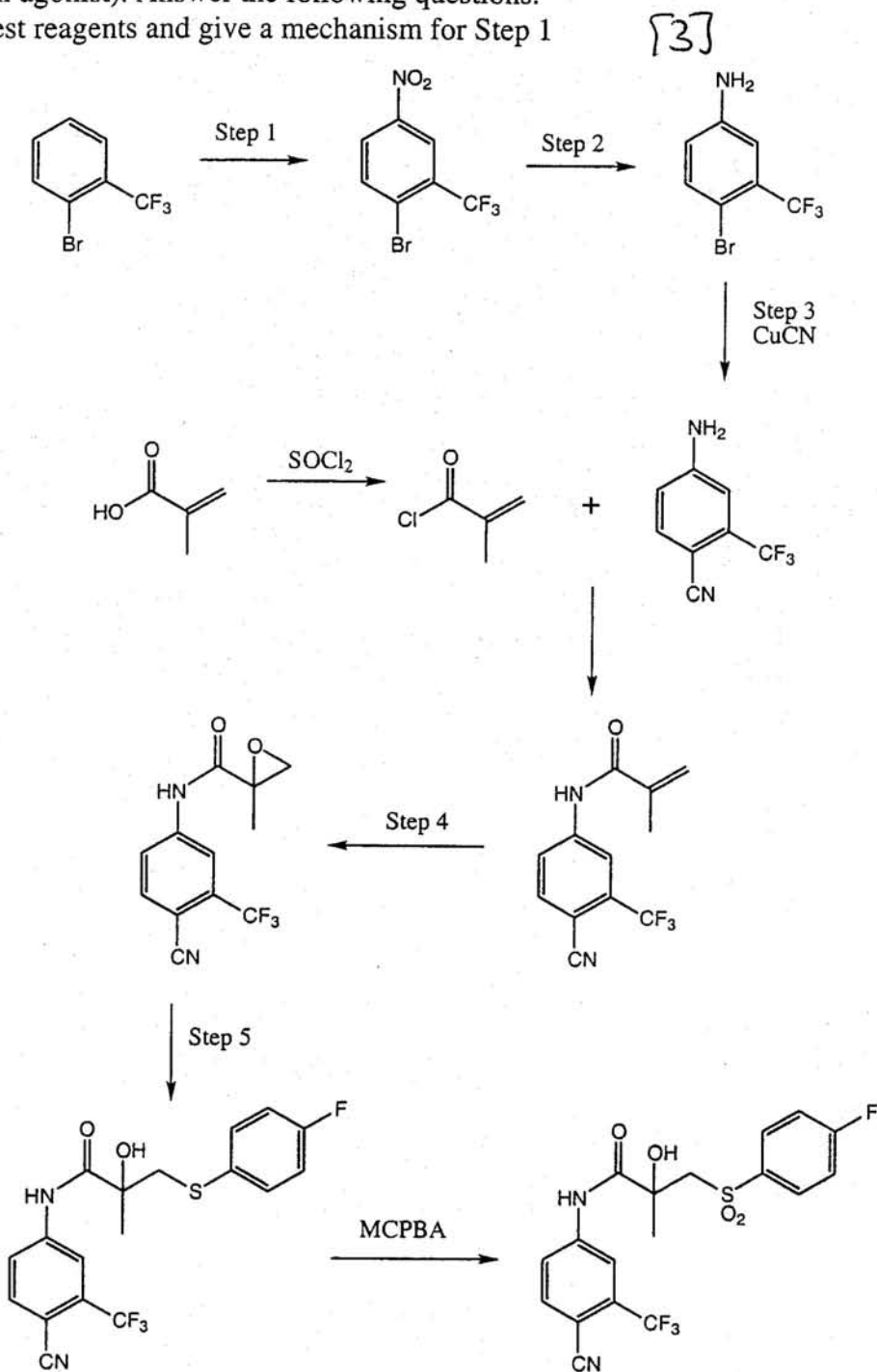
Ranitidine



TURN OVER

5) The following reaction sequence details the commercial synthesis of the AstraZeneca drug Casodex (Bicalutamide) used for the treatment of prostate cancer (acting as an androgen agonist). Answer the following questions.

a) Suggest reagents and give a mechanism for Step 1



b) Suggest reagents for Step 2

c) Explain Step 3

d) Suggest a reagent and give a mechanism for Step 4

e) Suggest a reagent and give a mechanism for Step 5

[2]

[3]

[3]

[3]