MEDICINAL CHEMISTRY II
EXAM #4

May 4, 2009

Name ____________________________ Med. Chem Number ________

SECTION A. Answer each question in this section by writing the letter corresponding to the best answer on the scantron sheet (2 points each; 70 points total)

1. The drug illustrated below:

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is usually administered by injection</td>
<td>Can be formulated as a gum for use in weight reduction regimens</td>
<td>Is used topically to treat sunburn pain and other minor skin irritations</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

Answer ________

2. The drug illustrated below is:

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is used to help prevent gastric ulcers in at-risk patients</td>
<td>Can be used to induce labor in pregnant women</td>
<td>Is an analog of PGE₁</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

Answer ________

3. The drug illustrated below:

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is hydrocodone hydrochloride</td>
<td>Is an antagonist at μ-receptors</td>
<td>Is hydromorphone hydrochloride</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

Answer ________
4. The drug illustrated below:

I  Is a prodrug that is converted into its active form by metabolic reduction of the sulfonamide
II Is used to treat arthritis
III Is a long acting NSAID

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

Answer  

5. The compound illustrated below:

I  Is bupivacaine hydrochloride
II Undergoes metabolism to a compound that is likely to cause methemoglobinemia
III Is ropivacaine hydrochloride

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

Answer  

6. The drug illustrated below:

I  Is used to treat pain
II Has low addiction potential
III Is a partial agonist at μ- and κ-receptors

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

Answer  

7. The drug illustrated below:

I  Is not likely to be highly protein bound
II Is an (R)-enantiomer
III Can be used to treat anklyosing spondylitis and arthritis

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

Answer  
8. **The drug illustrated below:**

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is ketoprofen</td>
<td>Inhibits the synthesis of prostaglandins and leukotrienes</td>
<td>Is ibuprofen</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

9. **The drug illustrated below:**

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Can undergo metabolism by reduction of the ketone</td>
<td>Can be used to reverse respiratory depression after an overdose of opioids</td>
<td>Can precipitate withdrawal symptoms in patients addicted to opioids</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

10. **The compound illustrated below:**

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is used as a competitive inhibitor of xanthine oxidase</td>
<td>Is highly water soluble</td>
<td>Is a catabolic product of purine degradation in the body</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

11. **The drug illustrated below:**

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Undergoes metabolic hydrolysis faster than the analogous compound that lacks the chlorine on the aromatic ring</td>
<td>Is an injectable local anesthetic</td>
<td>Undergoes metabolic hydrolysis slower than the analogous compound that lacks the chlorine on the aromatic ring</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**
12. **The drug illustrated below:**

![Drug Structure](image1)

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is an acetate ester</td>
<td>Is a weak analgesic</td>
<td>Is often given together with aspirin or acetaminophen to increase its analgesic potency</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**

13. **The drug illustrated below:**

![Drug Structure](image2)

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is an irreversible inhibitor of COX in platelets</td>
<td>Is a member of the arylacetic acid class of NSAIDS</td>
<td>Undergoes metabolism by reduction of the carboxylic acid group to an alcohol</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**

14. **The drug illustrated below:**

![Drug Structure](image3)

<table>
<thead>
<tr>
<th></th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Is sulfinpyrazone</td>
<td>Is a potent uricosuric agent</td>
<td>Is celecoxib</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**
15. The drug illustrated below:

I Is often used as a general anesthetic and for treating pain during surgery
II Undergoes metabolism by ester hydrolysis to a compound that is used to treat diarrhea
III Is administered together with atropine to reduce abuse potential

a) I only
b) III only
c) I and II only
d) II and III only
e) I, II, and III

Answer _______

16. The drug illustrated below:

I Is used to treat chronic gout
II Decreases the renal reabsorption of uric acid and thereby reduces the level of uric acid in blood
III Inhibits the synthesis of uric acid

a) I only
b) III only
c) I and II only
d) II and III only
e) I, II, and III

Answer _______

17. The drug illustrated below:

I Contains exactly two chiral centers
II Has a benzoate ester that is exo-
III Is a topical local anesthetic that is used on mucous membranes

a) I only
b) III only
c) I and II only
d) II and III only
e) I, II, and III

Answer _______
18. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image" alt="Drug structure" /></td>
<td>Is a μ-antagonist</td>
<td>Has 9α,13α,14α-stereochemistry</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

19. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image" alt="Drug structure" /></td>
<td>Contains a guanidine functional group</td>
<td>Is used to treat severe pain in cancer patients</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

20. **The compound illustrated below:**

<table>
<thead>
<tr>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image" alt="Compound structure" /></td>
<td>Is released in platelets upon activation of diacylglycerol lipase</td>
<td>Is a substrate for cyclooxygenase</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**

21. **The compound illustrated below:**

<table>
<thead>
<tr>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image" alt="Compound structure" /></td>
<td>Is used orally to treat pain</td>
<td>Is a weak antagonist at μ- and δ-receptors and an agonist at κ-receptors</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III  

**Answer**
22. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>Structure</th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image1.png" alt="Structure" /></td>
<td>Is an irreversible inhibitor of COX in human platelets</td>
<td>Is used to treat pain and inflammation</td>
<td>Produces fewer instances of GI upset than aspirin</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**

---

23. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>Structure</th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image2.png" alt="Structure" /></td>
<td>Undergoes very rapid enzymatic hydrolysis by amidase</td>
<td>Is metabolized to a compound that is likely to cause methemoglobinemia</td>
<td>Is an injectable local anesthetic</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**

---

24. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>Structure</th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image3.png" alt="Structure" /></td>
<td>Is metabolized to 5-aminosalicylic acid in the colon</td>
<td>Is an (E)-azo compound</td>
<td>Is a substrate for bacterial nitroreductase</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**

---

25. **The drug illustrated below:**

<table>
<thead>
<tr>
<th>Structure</th>
<th>I</th>
<th>II</th>
<th>III</th>
</tr>
</thead>
<tbody>
<tr>
<td><img src="image4.png" alt="Structure" /></td>
<td>Undergoes metabolism to an iminoquinone that can react with cellular macromolecules and cause liver toxicity</td>
<td>Is often used to reduce fever in young children with flu-like symptoms</td>
<td>Is used primarily for its anti-inflammatory activity</td>
</tr>
</tbody>
</table>

a) I only  
b) III only  
c) I and II only  
d) II and III only  
e) I, II, and III

**Answer**
### 26. The drug illustrated below:

<p>| | |</p>
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>I</td>
<td>Is a salicylate NSAID</td>
</tr>
<tr>
<td>II</td>
<td>Is used to reduce inflammation in the eyes after cataract surgery</td>
</tr>
<tr>
<td>III</td>
<td>Is an inhibitor of COX</td>
</tr>
</tbody>
</table>

- a) I only
- b) III only
- c) I and II only
- d) II and III only
- e) I, II, and III

**Answer**

### 27. The drug illustrated below:

<p>| | |</p>
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>I</td>
<td>Is an arylacetic acid NSAID</td>
</tr>
<tr>
<td>II</td>
<td>Can cause peptic ulcers and bleeding upon prolonged use</td>
</tr>
<tr>
<td>III</td>
<td>Is a potent analgesic</td>
</tr>
</tbody>
</table>

- a) I only
- b) III only
- c) I and II only
- d) II and III only
- e) I, II, and III

**Answer**

### 28. The compound illustrated below:

<p>| | |</p>
<table>
<thead>
<tr>
<th></th>
<th></th>
</tr>
</thead>
<tbody>
<tr>
<td>I</td>
<td>Is a prodrug that is converted into its active form by metabolic oxidation of the sulfoxide</td>
</tr>
<tr>
<td>II</td>
<td>Undergoes extensive metabolic hydroxylation on the aromatic rings</td>
</tr>
<tr>
<td>III</td>
<td>Is used to treat arthritis and other inflammatory conditions</td>
</tr>
</tbody>
</table>

- a) I only
- b) III only
- c) I and II only
- d) II and III only
- e) I, II, and III

**Answer**
29. **The drug illustrated below:**

I  Is a potent μ-agonist
II  Is used mainly to treat diarrhea
III  Is shown as a tromethamine salt

a)  I only  
b)  III only  
c)  I and II only  
d)  II and III only  
e)  I, II, and III

Answer

30. **The drug illustrated below:**

I  Is used OTC to treat cough and mild pain
II  Is highly lipophilic and readily crosses the blood brain barrier
III  Is a drug of abuse with no accepted medical use in the United States

a)  I only  
b)  III only  
c)  I and II only  
d)  II and III only  
e)  I, II, and III

Answer

31. **The drug illustrated below:**

I  Undergoes slow metabolism to 2-butoxy-4-quinolinecarboxylic acid
II  Is used as a local anesthetic primarily in dentistry
III  Has an extremely short duration of action

a)  I only  
b)  III only  
c)  I and II only  
d)  II and III only  
e)  I, II, and III

Answer
32. **The drug illustrated below:**

   ![Chemical Structure 1]

   I  Was introduced to the United States by Benjamin Franklin
   II  Binds to tubulin and inhibits the migration of leukocytes into inflamed joints
   III  Is used to treat chronic gout

   a) I only  
   b) III only  
   c) I and II only  
   d) II and III only  
   e) I, II, and III

   **Answer**

33. **The drug illustrated below:**

   ![Chemical Structure 2]

   I  Has a relatively short duration of action
   II  Is used as an analgesic during childbirth
   III  Is an antagonist at μ-receptors and an agonist at δ-receptors

   a) I only  
   b) III only  
   c) I and II only  
   d) II and III only  
   e) I, II, and III

   **Answer**

34. **The drug illustrated below:**

   ![Chemical Structure 3]

   I  Is metabolized in the colon to salicylic acid
   II  Is often used to reduce fever
   III  Is used to treat pain and inflammation

   a) I only  
   b) III only  
   c) I and II only  
   d) II and III only  
   e) I, II, and III

   **Answer**

35. **The drug illustrated below:**

   ![Chemical Structure 4]

   I  Is oxycodone hydrochloride
   II  Can be used orally to treat pain
   III  Is hydrocodone hydrochloride

   a) I only  
   b) III only  
   c) I and II only  
   d) II and III only  
   e) I, II, and III

   **Answer**
SECTION B. Phase I drug metabolism. Write the letter corresponding to the best answer on the scantron sheet (2 points each; 10 points total).

36. For the drug inside the shadow box which phase I metabolic pathway(s) are most likely to occur:

[Diagram of drug metabolites labeled A, B, and C]

a) A only  
b) C only  
c) A and B only  
d) B and C only  
e) A, B, and C

Answer: [Choose the correct answer]

37. For the drug inside the shadow box which phase I metabolic pathway(s) are most likely to occur:

[Diagram of drug metabolites labeled A, B, and C]

a) A only  
b) C only  
c) A and B only  
d) B and C only  
e) A, B, and C

Answer: [Choose the correct answer]
38. For the drug inside the shadow box which phase I metabolic pathway(s) are most likely to occur:

\[ \text{Answer} \]

39. For the drug inside the shadow box which phase I metabolic pathway(s) are most likely to occur:

\[ \text{Answer} \]
40. For the drug inside the shadow box which phase I metabolic pathway(s) are most likely to occur:

a) A only
b) C only
c) A and B only
d) B and C only
e) A, B, and C

Answer
Section C. For each of the following systematic names, draw the correct chemical structure, including stereochemistry wherever indicated OR IMPLIED. Partial credit will be given but you will lose points for incorrect chemical symbols, hydrogens missing from heteroatoms, hydrogens missing from carbons labeled C, and for having too many bonds to an atom. (20 Points).

1. Morphinan-6-one, 4,5-epoxy-3,14-dihydroxy-17-(3-methyl-2-butenyl)-, hydrochloride, (5α)-

2. 4-[2-(Diethylamino)ethoxy]-N,4-diphenyl-1-piperidineethanamine

3. 2H-1,2-Benzothiazine-3-carboxamide, 4-hydroxy-2-methyl-N-(2-thiazolyl)-, 1,1-dioxide

4. 2-(4-Morpholino)ethyl 2-[[3-(trifluoromethyl)phenyl]amino]pyridine-3-carboxylate