Student Name: _____
Student #:_____

BPS 2110
Midterm #1
October 20, 2016

Cellular phones, unauthorized electronic devices or course notes (unless an open-book exam) are not allowed during this exam. Phones and devices must be turned off and put away in your bag. Do not keep them in your possession, such as in your pockets. If caught with such a device or document, the following may occur: you will be asked to leave immediately the exam and academic fraud allegations will be filed which may result in you obtaining a 0 (zero) for the exam.

By signing below, you acknowledge that you have ensured that you are complying with the above statement.

Student Name: Midterm #1 Answer Key

Signature:_____

Instructions: Please write your answers to **all** questions directly on the exam. Should you require additional space, you may use the back of the pages but be sure to indicate that additional information is on the back page. There are 11 pages to this exam and the last page is left blank. There are a total of 75 marks. **Time allotted: 75 mins.**

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1. What is the definition of "Biopharmaceutics"? **(1 marks)**

(1) study of the properties of therapeutic substances & their dosages/bioactivity relationship

2. Provide a definition of "Pharmaceutical sciences". **(1 marks)**

(1) A group of interdisciplinary areas of study involved with the design + synthesis, mode of action, delivery + use of drugs

3. Over the past 100 years the average life expectancy has increased dramatically. Approximately how much has it increased? The increase is largely due to a higher standard of living. List 5 factors that have directly contributed to a higher standard of living in North America and Europe. **(6 marks)**

(1) - by 50+ years

(0 x 5)
1. better food, safe water, pasteurized milk etc.
2. workplace infrastructure (education)
3. Vaccines (prevention)
4. Drugs/Pharmaceuticals (cures)
5. Better diagnostics

4. There are two "types" of companies that make up the pharmaceutical industry. What are these two types? **(4 marks)**

(2) 1. Brand name manufacturers

(2) 2. Generic manufacturers

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5. High throughput screens (HTS) are important for drug discovery. What is the oldest approach to HTS and list the pros and cons associated with this particular technique. **(5 marks)**

(1) Scintillation proximity assay (SPA)

any 2 (2) Pros:
(1) easy to automate
(2) +30K compounds/day
(3) No significant volume of aqueous waste.

any 2 (2) Cons:
(1) Radioactive (safety issues)
(2) long "read" times.
(3) Susceptible to quench artifacts.

6. List the 3 phases of clinical trials? How many individuals (test subjects) are typically associated with each phase? **(6 marks)**

(1) Phase I - 20 healthy (1) volunteers (1)

(2) Phase II - 50 persons (1) (highly controlled group) (1)

(3) Phase III - [large diverse (1) groups of people (+100's → +1000's)] (1)

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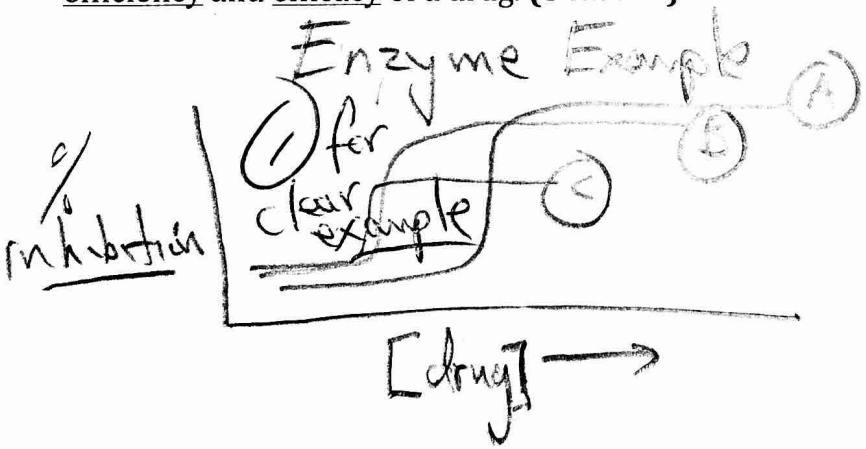
7. What specifically does each phase of clinical trials seek to address with respect to drug development? What is the typical length of each phase? **(6 marks)**

Phase I - safety only (toxicity) (1)
- (1) ~2 months

Phase II - efficacy (1) + efficiency (1)
- (1) 3 months → 1 year

Phase III - efficacy (1) + safety (1)
- (1) 3 → 8 years

8. Using an appropriate example, clearly explain what is meant by the terms efficiency and efficacy of a drug. **(5 marks)**



(B) = more efficacious than (A)

(A) + (B) = more efficient than (C)

(2) Efficiency is to maximize the "effect".

(2) Efficiacy is the "power" to produce the result.

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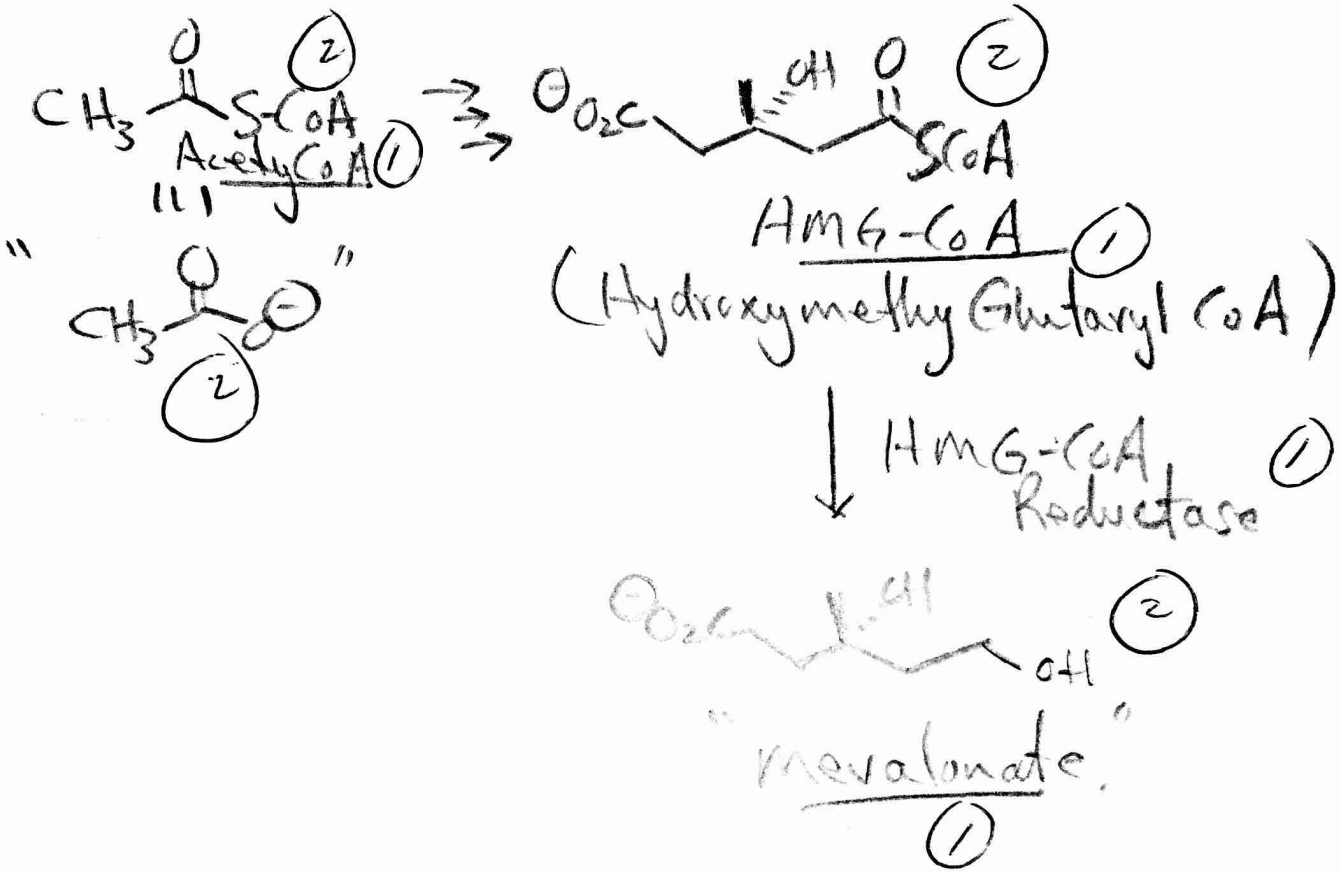
9. Mevalonate is a key intermediate in the biosynthesis of cholesterol. Starting from Acetyl-CoA (no need to draw out the "CoA" part) describe using structures how mevalonate is biosynthesized. Name each of the key intermediates. What is the name of the key enzyme in this process? **(12 marks)**



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10. Over 60% of all drugs currently on the market fall into one of four biological mechanisms. List each of these mechanisms and also provide the % of drug sales (2005) for each mechanism. **(8 marks)**

1. Enzyme Inhibitors - 38% (1)
2. Receptor Antagonist - 24% (1)
3. Receptor Agonist - 12% (1)
4. Ion channel modulator - 8% (1)

11. Lipitor is an example of a "block buster" drug. Which pharmaceutical company developed Lipitor? **(2 marks)**

(2) Pfizer (spelled correctly!)

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12. List the PROs/CONs of "combinatorial libraries" used in drug development? **(4 marks)**

Pros: - generate many compounds in one flask (1)
- very fast (1)

Cons: - No "real" diversity? (1)
- separation can be a problem (1)

13. What is the definition of "therapeutic index"? **(2 marks)**

Therapeutic Index (TI) = Toxic dosage for 50% of patients (1) / Therapeutic dosage for 50% of patients (1)

14. What is the definition of ethnopharmacology"? **(1 mark)**

(1) The study + use of plant derived materials in traditional societies for the purposes of maintaining + improving health.

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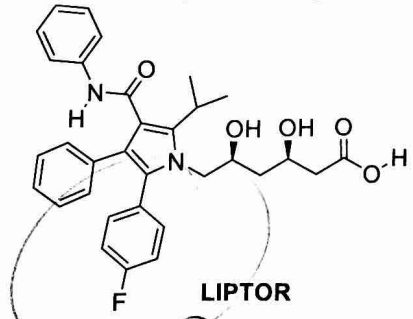
15. Vioxx and Celebrex are examples of two drugs that effectively reduce inflammation. What enzyme do these drugs inhibit? **(2 marks)**

(2) Cyclo oxygenase-2 (COX-2)

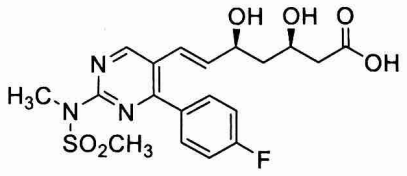
↑ full name !!

if NOT fullname then (1)

16. The structures of the important statins Lipitor and Crestor are shown below. Each has a fluorine substituent on one of its aromatic rings. Give <u>two</u> potentially important functions of a fluorine substituent on an aromatic ring in these molecules and in drugs in general. **(2 marks)**



LIPTOR



CRESTOR

(1) Affects metabolism.

(2) Increased lipophilicity (1)

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17. Explain briefly why drugs such as ASA, and other non-steroidal anti-inflammatory drugs (NSAIDs) often result in stomach lesions with prolonged usage. **(2 marks)**

(2) - because NSAIDs are NOT very selective for COX + also inhibit COX-1

18. List each of the three substances that are utilized to biosynthesize Acetyl-CoA. **(3 marks)**

(1) 1) ATP

(1) 2) Cysteine

(1) 3) Panthenoate

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19. There are 3 conventional strategies that are utilized to identify a "lead" structure in the drug development process. List each of these. **(3 marks)**

(1) (1) Random Screening

(1) (2) Accidental discovery

(1) (3) "Rational" Design