

Spring 1-2003

PHAR 422.01: Medicinal Chemistry II

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EXAMS AND GRADING:

- First Exam: Friday, February 28, 1 p.m. - 50 points
 Second Exam: Friday, March 21, 1 p.m. - 70 points
 Third Exam: Monday, April 28, 1 p.m. - 80 points
 Final Exam: - 100 points
 10 Point Quizzes: Best 5 or 6 out of 6 scores - 50 or 60 points
 Total Points: 350 to 360 A = 90-100% B = 80-89% C = 70-79% D = 65-69%
- * All EXAMS are comprehensive
 - * All exams and quizzes must be taken at scheduled times
 - * Instructor must be informed BEFORE missing a scheduled exam period and MUST be based on GOOD REASONS
 - * Missed exam periods must be made up within 2 days
 - * No make up quizzes

STUDENT PERFORMANCE OBJECTIVES:

1. Know the chemical structures of important neurotransmitters or hormones and the biochemical pathways for their syntheses and metabolism
2. Know the major biochemical events triggered by the activation of receptors important for drug action
3. Given the chemical structure of a drug, know its pharmacologic or therapeutic class
4. Given the chemical structure of a drug, know important chemical features (acid/base or lipophilic properties, chemical groups affecting absorption, distribution, or metabolism, chemical groups affecting potency or receptor interaction, chemical groups affecting storage or formulation) that contribute to the drug's pharmacological activity
5. Given the chemical structure of a drug, know important chemical changes that will predictably alter the pharmacological properties (potency, duration of action, etc.) of the drug
6. Given the chemical structure of a drug, know the important biological receptors it interacts with and the biochemical events produced by these interactions
7. Given the common or generic name of a drug, know its pharmacologic or therapeutic class, some of its important chemical properties (structural skeleton or chemical class, acid/base, etc.), the receptors it interacts with and the biochemical events produced by these interactions

TEXTBOOK: Goodman & Gilman's "The Pharmacological Basis of Therapeutics", Tenth Edition

Reading In Text

I. Antihyperlipidemic Agents

- 972-977 A. Review of the Biochemical Processing of Lipids
 1. Storage of cholesterol and triglycerides
 2. Lipid transport and blood lipoproteins
 3. Abnormal Lipid Metabolism and pathophysiology
 B. Chemistry and Biochemical Mechanisms of Agents
 984-987 1. Agents inhibiting cholesterol synthesis (HMG CoA Reductase Inhibitors)
 * **LOVASTATIN PRAVASTATIN SIMVASTATIN FLUVASTATIN ***
 * **ATORVASTATIN CERIVASTATIN ***
 989-990 2. Bile acid binding resins ***CHOLESTYRAMINE COLESTIPOL COLESEVELAM***
 991-994 3. Agents altering blood lipoprotein patterns
 * **NICOTINIC ACID CLOFIBRATE GEMFIBROZIL FENOFIBRATE ***
 995 4. Prospectus - New agents in development

II. Antihypertensive Drugs

- A. Overview of the Biochemical and Physiological Factors Responsible for Hypertension
- B. Chemistry and Biochemical Mechanisms of Agents

- 883-885 1. Alteration of the sympathetic nervous system
- 877-881 2. Alteration of the central nervous system
 - ***METHYLDOPA CLONIDINE GUANABENZ GUANFACINE**
- GUANADREL***
- 885-889 3. Vasodilators * **HYDRALAZINE MINOXIDIL NITROPRUSSIDE ***
- 893, 810-813 4. Alteration of renin-angiotensin system
 - a. Angiotensin converting enzyme inhibitors (ACE inhibitors)
 - * **CAPTOPRIL ENALAPRIL LISINOPRIL FOSINOPRIL BENAZEPRIL ***
 - * **MOEXIPRIL PERINDOPRIL QUINAPRIL RAMIPRIL TRANDOLAPRIL ***
 - b. Angiotensin receptor antagonists
 - * **LOSARTAN CANDESARTAN IRBESARTAN VALSARTAN ***
 - * **TELMISARTAN EPROSARTAN ***
- 817-819
- 820-824
- 829-833
- 833-834 5. Prospectus - New agents in development

III. Anticoagulant, Thrombolytic, and Antiplatelet Agents

- 1519-1521 A. Biochemical Processes of the Blood Clotting Systems
- 1530-1531 B. Prothrombin time and clotting tests
- C. Chemistry and Biochemical Effects of Agents
 - 1. Calcium chelators * **EDTA CITRATE ***
 - 1521-1522 2. Heparin and its derivatives
 - * **HEPARIN ARDEPARIN DANAPAROID DALTEPARIN ***
 - * **ENOXAPARIN TINZAPARIN FONDAPARINUX ***
 - a. Antagonist * **PROTAMINE SULFATE ***
 - 1526-1528 3. Oral Anticoagulants
 - a. Natural product and model agent
 - * **BISHYDROXYCOUMARIN ***
 - b. Synthetic agents * **WARFARIN ***
 - 1531-1533 4. Thrombolytic agents * **STREPTOKINASE t-PA UROKINASE ***
 - 1534-1536 5. Antiplatelet/Antithrombotic agents
 - * **ASPIRIN TICLOPIDINE CLOPIDOGREL EPTIFIBATIDE ***
 - * **DIPYRIDAMOLE TIROFIBAN ABCIXIMAB ***
 - 6. Inhibitors of fibrinolysis
 - * **AMINOCAPROIC ACID APROTININ TRANEXAMIC ACID ***

IV. Agents Useful for Treating Heart Failure

- A. Cardiac Glycosides
 - 933-935 1. Cardiac ion channels and mechanism of action of Glycosides
 - 916-918 2. Definitions, Sources, and Chemistry
 - * **DIGOXIN DIGITOXIN OUABAIN ***
- 927 B. Phosphodiesterase Inhibitors * **AMRINONE MILRINONE ***
- 928-929 C. Prospectus - New treatments for heart failure

V. Antianginal Agents

- 843-848 A. Organic Nitrates - Chemistry and Mechanisms
 - * **NITROGLYCERIN ISOSORBIDE DINITRATE ISOSORBIDE MONONITRATE ***
- 853-858 B. Calcium Channel Blockers - Mechanisms
 - 1. * **VERAPAMIL DILTIAZEM MIBEFRADIL ***
 - 2. Dihydropyridines: * **NIFEDIPINE NIMODIPINE NISOLDIPINE ***
 - * **AMLODIPINE NICARDIPINE FELODIPINE ISRADIPINE ***

Reading
In Text

VI. Diuretic Agents

- 757-763 A. Overview of the Biochemical Functioning of the Nephron
763-766 B. Chemistry and Mechanisms of Carbonic Anhydrase Inhibitors * **ACETAZOLAMIDE** *
767-768 C. Chemistry and Mechanisms of Osmotic Diuretics * **MANNITOL** *
769-772 D. Chemistry and Mechanisms of "Loop" or High-Ceiling Diuretics
* **FUROSEMIDE BUMETANIDE TORSEMIDE ETHACRYNIC ACID** *
773-776 E. SAR and Chemistry of Thiazides
* **HYDROCHLOROTHIAZIDE CHLOROTHIAZIDE METHYCLOTHIAZIDE**
QUINETHAZONE*
777-781 F. Potassium-sparing diuretics * **SPIRONOLACTONE TRIAMTERENE AMILORIDE** *
784 G. Prospectus - New diuretics in development

VIII. Analgesic-Antipyretic-Antiinflammatory and Antigout Agents

- 670-679 A. Chemistry, Biochemistry, and Overview of Eicosanoids
B. Nonsteroidal Antiinflammatory Drugs (NSAIDs)
687-692 1. Mechanisms of action
692-694 2. Adverse effects
696-699 3. Salicylates * **ACETYLSALICYLIC ACID DIFLUNISAL** *
* **OLSALAZINE SULFASALAZINE** *
703-704 4. Para-aminophenols * **ACETAMINOPHEN** *
705-709 5. Acetic acid derivatives * **INDOMETHACIN SULINDAC** *
* **TOLMETIN KETOROLAC DICLOFENAC ETODOLAC** *
710-713 6. Propionic acid derivatives * **IBUPROFEN NAPROXEN** *
* **FENOPROFEN KETOPROFEN OXAPROZIN** *
713-714 7. * **PIROXICAM MELOXICAM NABUMETONE** *
714-715 8. Selective COX-2 Inhibitors * **CELECOXIB ROFECOXIB VALDECOXIB** *
740 C. Inhibitors of Leukotriene Biosynthesis and Receptor Antagonists
* **ZILEUTON ZAFIRLUKAST MONTELUKAST** *
716-717 D. Gold compounds * **AUROTHIOGLUCOSE AURANOFIN** *
* **GOLD SODIUM THIOMALATE** *
718 E. Disease Modifying Antirheumatoid Drugs (DMARDs)
* **METHOTREXATE LEFLUNOMIDE ETANERCEPT INFlixIMAB** *
* **SULFASALAZINE HYDROXYCHLORAQUINE PENICILLAMINE**
AZATHIOPRINE*
F. Antigout agents
719-720 1. Decreased granulocyte activity * **COLCHICINE** *
721-722 2. Inhibit uric acid synthesis * **ALLOPURINOL** *
722-724 3. Uricosuric Agents * **PROBENECID PHENYLBUTAZONE SULFINPYRAZONE** *
726-727 G. Prospectus - New antiinflammatory treatments

IX. Opioid Analgesic Agents

- 569-574 A. Biochemistry of Endorphins, Enkephalins, and Their Receptors
578-579 B. Natural Product and Model Agent * **MORPHINE** *
587-590 C. SAR, Stereochemistry, and Chemical Properties
1. Chemical features of morphine
2. N-Substituents producing agonist, partial agonist, or antagonist effects
3. Synthetic agents
* **HEROIN HYDROMORPHONE CODEINE MEPERIDINE LEVORPHANOL** *
* **BUTORPHANOL METHADONE FENTANYL PENTAZOCINE ETORPHINE** *
* **NALOXONE NALTREXONE DEXTROMETHORPHAN** *

X. Methylxanthines

- 743-746 A. Chemistry and Biochemical Mechanisms