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BMED 422.01: Medicinal Chemistry II

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INSTRUCTOR: David Freeman, Office – SB 308, Phone: 243-4772, E-mail: david.freeman@umontana.edu
Office Hours: Weekdays, NOON - 1:00 p.m. and Friday, 1:10 - 4:00 p.m.

EXAMS AND GRADING:

First Exam:	Friday, February 22	- 60 points
Second Exam:	Friday, March 21	- 80 points
Third Exam:	Friday, April 18	- 80 points
Final Exam:	Monday, May 5	- 100 points
10 Point Quizzes:	Best 5 or 6 out of 6 scores	- 50 or 60 points

Total Points: 370 or 380 A>92% A->90% B+>88% B>82% B->80% C+>78% C>72% C->70% D>65%

1. All EXAMS are comprehensive
2. All exams and quizzes must be taken at scheduled times
3. Instructor must be informed BEFORE missing a scheduled exam period for GOOD REASONS
4. Missed exams must be made up within 2 days
5. Corrections to exams or quizzes must be requested within 7 days after returning
6. Mistakes in marking scantrons will NOT be corrected

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", 11th Edition

Reading

In Text

I. Antihyperlipidemic Agents

- | | |
|---------|---|
| 933-940 | 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 |
| 948-952 | 1. Statins - Agents inhibiting cholesterol synthesis (HMG CoA Reductase Inhibitors) |
| | LOVASTATIN PRAVASTATIN SIMVASTATIN FLUVASTATIN |
| | ATORVASTATIN ROSUVASTATIN |
| 953-955 | 2. Bile acid binding resins |
| | CHOLESTYRAMINE COLESTIPOL COLESEVELAM |
| 955-958 | 3. Agents altering blood lipoprotein patterns |
| | NICOTINIC ACID CLOFIBRATE GEMFIBROZIL FENOFIBRATE |
| 959 | 4. Cholesterol absorption inhibition |
| | EZETIMIBE |
| 960 | 5. New agents in development |

Reading
In Text

II. Antihypertensive Drugs

- A. Overview of the Biochemical and Physiological Factors Responsible for Hypertension
- B. Chemistry and Biochemical Mechanisms of Agents
 - 850-852, 276 1. Alpha and Beta adrenergic blockers
 - 855-856 2. Altering function of adrenergic neurons **GUANADREL**
 - 852-855, 168 3. Altering sympathetic output from the central nervous system
METHYLDOPA CLONIDINE GUANABENZ GUANFACINE
 - 858-860 4. Altering function of renin-angiotensin system
 - 790-792 a. Angiotensin converting enzyme inhibitors (ACE inhibitors)
 - 800-804 **CAPTOPRIL ENALAPRIL LISINOPRIL FOSINOPRIL BENAZEPRIL**
MOEXIPRIL PERINDOPRIL QUINAPRIL RAMIPRIL TRANDOLAPRIL
 - 796-798 b. Angiotensin receptor antagonists
 - 810-814 **LOSARTAN CANDESARTAN IRBESARTAN VALSARTAN**
TELMISARTAN EPROSARTAN OLMESARTAN
 - c. Renin inhibitor **ALISKIREN**
 - 860-864 5. Vasodilators **HYDRALAZINE MINOXIDIL NITROPRUSSIDE**

III. Anticoagulant, Thrombolytic, and Antiplatelet Agents

- 1467-1470 A. Biochemical Processes of the Blood Clotting Systems
- 1470, 1479 B. Prothrombin time and clotting tests
- C. Chemistry and Biochemical Effects of Agents
 - 1470-1474 1. Calcium chelators **EDTA CITRATE**
 - 2. Heparin and its derivatives
HEPARIN DALTEPARIN
ENOXAPARIN TINZAPARIN FONDAPARINUX
 - a. Antagonist **PROTAMINE SULFATE**
 - 1475 3. Direct Thrombin Inhibitors and other parenteral anticoagulants
LEPIRUDIN BIVALIRUDIN ARGATROBAN
DANAPAROID DROTRECOGIN ALPHA
 - 1475-1479 4. Oral Anticoagulants
 - a. Natural product and model agent
BISHYDROXYCOUMARIN
 - b. Synthetic agents
WARFARIN
 - 1480-1481 5. Fibrinolytic agents
STREPTOKINASE t-PA UROKINASE
 - 1481-1484 6. Antiplatelet/Antithrombotic agents
ASPIRIN TICLOPIDINE CLOPIDOGREL EPTIFIBATIDE
DIPYRIDAMOLE TIROFIBAN ABCIXIMAB
 - 7. Inhibitors of fibrinolysis
AMINOCAPROIC ACID APROTININ TRANEXAMIC ACID

IV. Agents Useful for Treating Heart Failure

- 886-887 A. Cardiac Glycosides
 - 1. Chemistry of cardiac glycosides
 - 2. Cardiac ion channels and mechanism of action of Glycosides **DIGOXIN**
- 890 B. Phosphodiesterase Inhibitors **INAMRINONE MILRINONE**
- 885-886 C. New vasodilators **NESIRITIDE**

V. Antianginal Agents

- 824-829 A. Organic Nitrates - Chemistry and Mechanisms
NITROGLYCERIN ISOSORBIDE DINITRATE ISOSORBIDE MONONITRATE
- 832-836 B. Calcium Channel Blockers - Mechanisms
1. **VERAPAMIL DILTIAZEM BEPRIDIL**
 2. Dihydropyridines
NIFEDIPINE NIMODIPINE NISOLDIPINE
AMLODIPINE NICARDIPINE FELODIPINE ISRADIPINE

VI. Diuretic Agents

- 740-742 A. Overview of the Biochemical Mechanisms of Transmembrane Transport in the Nephron
- 743-746 B. Chemistry and Mechanisms of Carbonic Anhydrase Inhibitors
ACETAZOLAMIDE DICHLORPHENAMIDE METHAZOLAMIDE
- 747-748 C. Chemistry and Mechanisms of Osmotic Diuretics **MANNITOL**
- 749-753 D. Chemistry and Mechanisms of "Loop" or High-Ceiling Diuretics
FUROSEMIDE BUMETANIDE TORSEMIDE ETHACRYNIC ACID
- 753-756 E. SAR and Chemistry of Thiazides
HYDROCHLOROTHIAZIDE CHLOROTHIAZIDE METHYCLOTHIAZIDE
QUINETHAZONE METOLAZONE CHLORTHALIDONE INDAPAMIDE
- 757-762 F. Potassium-sparing diuretics
TRIAMTERENE AMILORIDE SPIRONOLACTONE EPLERENONE

VII. Antihistamines and Other Agents

- 629-636 A. Biochemistry of Histamine Synthesis, Metabolism, and Receptors
- 636-640 B. H₁ Receptor Antagonists
DIPHENHYDRAMINE CHLORPHENIRAMINE CYCLIZINE
TRIPLENNAMINE PYRILAMINE PROMETHAZINE DOXEPIN
LORATADINE FEXOFENADINE CETIRIZINE
- 725-727 C. Inhibitors of histamine release
OMALIZUMAB CROMOLYN SODIUM NEDOCROMIL SODIUM

VIII. Agents Affecting Gastric Secretion

- 967-969 A. Biochemical Overview of Gastric Secretion
- 969-970 B. Proton Pump Inhibitors - Inhibitors of H⁺/K⁺ ATPase
OMEPRAZOLE ESOMEPRAZOLE
LANSOPRAZOLE RABEPRAZOLE PANTOPRAZOLE
- 971-972 C. H₂-Receptor Antagonists
CIMETIDINE RANITIDINE FAMOTIDINE NIZATIDINE

Reading
In Text

IX. Analgesic-Antipyretic-Anti-inflammatory Agents

- 653-665 A. Chemistry, Biochemistry, and Overview of Eicosanoids
B. Nonsteroidal Antiinflammatory Drugs (NSAIDs)
- 671-681 1. Mechanisms of action
683-686 2. Adverse effects
687-690 3. Salicylates **ACETYLSALICYLIC ACID DIFLUNISAL
OLSALAZINE SULFASALAZINE**
- 693-694 4. Para-aminophenols **ACETAMINOPHEN**
695-698 5. Acetic acid derivatives **INDOMETHACIN SULINDAC
TOLMETIN KETOROLAC DICLOFENAC ETODOLAC**
- 699-700 6. Propionic acid derivatives **IBUPROFEN NAPROXEN
FENOPROFEN KETOPROFEN OXAPROZIN FLURBIPROFEN**
- 700-701 7. Enolic Acids (Oxicams) and Other Agents
MECLOFENAMATE PIROXICAM MELOXICAM NABUMETONE
- 702-705 8. Selective COX-2 Inhibitors
CELECOXIB ROFECOXIB VALDECOXIB
- 973 9. Prostaglandin Agonist **MISOPROSTOL**
722-724 C. Inhibitors of Leukotriene Biosynthesis and Receptor Antagonists
ZILEUTON ZAFIRLUKAST MONTELUKAST

X. Disease Modifying Antirheumatoid Drugs (DMARDs)

- 706 A. Gold compounds
**AUROTHIOGLUCOSE AURANOFIN
GOLD SODIUM THIOMALATE**
- 706 B. Other DMARDs
**METHOTREXATE LEFLUNOMIDE ETANERCEPT
INFLIXIMAB ADALIMUMAB SULFASALAZINE
HYDROXYCHLORAQUINE PENICILLAMINE AZATHIOPRINE**

XI. Antigout agents

- 706-708 A. Agents that decrease granulocyte activity **COLCHICINE**
708-709 B. Agents that inhibit uric acid synthesis **ALLOPURINOL**
710-711 C. Uricosuric Agents **PROBENECID PHENYLBUTAZONE SULFINPYRAZONE**
710 D. Agents oxidizing uric acid **RASBURICASE**

XII. Opioid Analgesic Agents

- 547-552 A. Biochemistry of Endorphins, Enkephalins, and Their Receptors
555-556
563-565 B. Natural Product and Model Agent **MORPHINE**
565-567 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 CODEINE HYDROMORPHONE OXYMORPHONE OXYCODONE
LEVORPHANOL TRAMADOL PENTAZOCINE NALBUPHINE
MEPERIDINE FENTANYL SUFENTANIL REMIFENTANIL METHADONE
NALOXONE NALTREXONE BUPRENORPHINE
BUTORPHANOL DEXTROMETHORPHAN**
- 568-573
574-578

XIII. Methylxanthines

- 727-729 A. Chemistry and Biochemical Mechanisms
B. Pharmacology of Methylxanthines **THEOPHYLLINE CAFFEINE THEOBROMINE**