

Fall 9-1-2000

PHAR 421.01: Medicinal Chemistry I

David S. Freeman

University of Montana - Missoula

Charles M. Thompson

The University Of Montana

Let us know how access to this document benefits you.

Follow this and additional works at: <https://scholarworks.umt.edu/syllabi>

Recommended Citation

Freeman, David S. and Thompson, Charles M., "PHAR 421.01: Medicinal Chemistry I" (2000). *Syllabi*. 5290.
<https://scholarworks.umt.edu/syllabi/5290>

This Syllabus is brought to you for free and open access by the Course Syllabi at ScholarWorks at University of Montana. It has been accepted for inclusion in Syllabi by an authorized administrator of ScholarWorks at University of Montana. For more information, please contact scholarworks@mso.umt.edu.

Reading
In Text

- B. Processes Affecting Drug Action at the Active Site
- 31-33 1. Structural families of receptors
 - 39-40 2. Binding events initiating the pharmacological response
 - a. Occupancy and conformational changes of receptor
 - b. Agonist vs. antagonist events
 - 34-37 3. Events propagating and amplifying pharmacological response
 - 4. Events terminating the pharmacological response

III. Processes and Overview of Drugs Affecting Cholinergic Receptors

- A. Biochemical Events at the Cholinergic Synapse
- 115-119 1. Synthesis and metabolism of acetylcholine
 - 2. Muscarinic and nicotinic receptors
 - 3. Processes following receptor activation
- B. Overview on Cholinergic Drugs

IV. Muscarinic Receptor Agonists

- 141-143 A. Chemistry of Acetylcholine
- 1. Important functional groups
 - 2. Conformations of acetylcholine
- B. SAR and Chemistry of Selected Agonists
- * METHACHOLINE CARBACHOL BETHANECHOL PILOCARPINE CEVIMELINE *

V. Cholinergic Antagonists

- 148-150 A. Muscarinic Blocking Agents
- 1. Natural product and model agent - atropine
 - 2. SAR and chemistry of selected antimuscarinic agents
 - a. Tertiary amines
 - * ATROPINE SCOPOLAMINE HOMATROPINE
 - * DICYCLOMINE CYCLOPENTOLATE *
 - b. Quaternary amines
 - * GLYCOPYRROLATE METHANTHELIN *
 - * PROPANTHELIN IPRATROPIUM *

VI. Anticholinesterase Agents

- 161-164 A. Mechanism of Acetylcholine Hydrolysis
- 1. Individual steps involved in hydrolysis
 - 2. Rates of reaction steps
- 162-165 B. Mechanisms of Cholinesterase Inhibition
- 1. Competitive binding at active site
 - 2. Covalent binding at active site
- 165-167 C. SAR and Chemistry of Cholinesterase Inhibitors
- 1. Natural product and model agent * PHYSOSTIGMINE *
 - 2. Competitive inhibitors * EDROPHONIUM *
 - 3. Carbamates - "reversible" inhibitors
 - * NEOSTIGMINE PYRIDOSTIGMINE *
 - 4. Organophosphates - "irreversible" inhibitors
 - * ECHOTHIOPHATE ISOFLUROPHATE PARATHION MALATHION *
- 170-171 D. Reactivation of Inhibited Cholinesterase * PRALIDOXIME *

VII. Agents Acting At Nicotinic Receptors

- 177-178 A. Properties of the Nicotinic Cholinergic Receptor
- 178-182 B. Neuromuscular blocking agents
- 1. Natural product and model agent * TUBOCURARINE *
 - 2. Competitive agents * MIVACURIUM ATRACURIUM PANCURONIUM *
 - 3. Depolarizing agents * DECAMETHONIUM SUCCINYLCHOLINE *
- 193-195 C. Ganglionic blocking agents
- * HEXAMETHONIUM TRIMETHAPHAN MECAMYLAMINE *

VIII. Processes and Overview of Drugs Affecting Adrenergic Receptors

- 118-123
123-124
124-127
127-130
- A. Biochemical Events at the Adrenergic Synapse
 1. Synthesis and storage of norepinephrine
 2. Termination and metabolism of catecholamines
 3. Alpha and beta receptors and subtypes
 4. Processes following receptor activation
 - B. Overview on Adrenergic Drugs

IX. Adrenergic Receptor Agonists

- 200-203
203-204
- A. Chemistry of Norepinephrine and Epinephrine
 1. Oxidative and acid/base properties
 2. Stereochemistry
 - B. SAR and Chemistry of Selected Agonists
 1. Differentiating alpha and beta activity
 2. Decreasing metabolism
 3. Peripheral vs. CNS effects
 4. Direct and indirect effects
 - * DOPAMINE ISOPROTERENOL TERBUTALINE METAPROTERENOL *
 - * ALBUTEROL SALMETEROL EPHEDRINE PHENYLPROPANOLAMINE *
 - * RITODRINE CLONIDINE AMPHETAMINE TETRAHYDROZOLINE *
 - * METHYLPHENIDATE DOBUTAMINE METHOXAMINE PHENYLEPHRINE
 - * PHENTERMINE FENFLURAMINE METHYLPHENIDATE PEMOLINE COCAINE *
 5. Physiological and biochemical mechanisms

X. Adrenergic Receptor Antagonists

- 225-227
227-228
228-229
229-230
232-233
237
238-239
- A. Alpha Blocking Agents
 1. Chemistry of haloalkylamines * PHENOXYBENZAMINE *
 2. Chemistry of imidazolines * PHENTOLAMINE TOLAZOLINE *
 3. Selective blockers * PRAZOSIN TERAZOSIN DOXAZOSIN *
 - B. Beta Blocking Agents
 1. Nonselective blockers
 - * PROPRANOLOL NADOLOL TIMOLOL PINDOLOL CARTEOLOL *
 2. Selective blockers * METOPROLOL ATENOLOL ACEBUTOLOL ESMOLOL *
 3. Blockers with intrinsic sympathomimetic activity (ISA blockers)
 4. Combined alpha and beta blocker * LABETALOL *

XI. Antihistamines and Other Agents

- 581-586
586-592
901-906
907-909
667-669
- A. Biochemistry of Histamine Synthesis, Metabolism, and Receptors
 - B. H₁ receptor antagonists
 - * DIPHENHYDRAMINE CHLORPHENIRAMINE CYCLIZINE PYRILAMINE *
 - * PROMETHAZINE TERFENADINE LORATADINE ASTEMIZOLE FEXOFENADINE *
 - C. H₂ receptor blocking agents
 - * CIMETIDINE RANITIDINE FAMOTIDINE NIZATIDINE *
 - D. Inhibitors of H⁺/K⁺ ATPase
 - * OMEPRAZOLE LANSOPRAZOLE RABEPRAZOLE PANTOPRAZOLE *
 - E. Inhibitors of histamine release
 - * CROMOLYN SODIUM NEDOCROMIL SODIUM *

XII. Local and General Anesthetics

- 331-332
332-333
333-336
337-338
- A. SAR and Chemistry of Local Anesthetic Agents
 1. Natural product and model compound * COCAINE *
 2. Synthetic esters and amides
 - * PROCAINE LIDOCAINE TETRACAINE ETIDOCAINE *
 - * BENZOCAINE PRAMOXINE *
 - B. Nonspecific and Specific Effects on Neural Membranes
 - C. Factors Affecting Activity of Agents
 - D. Adverse effects and metabolism
 - E. Structure and Chemical Properties of General Anesthetic Agents
 - * DIETHYL ETHER NITROUS OXIDE HALOTHANE ISOFLURANE *

Reading
In Text

XIII. Sedative/Hypnotic Agents

- 362-364
364-367
- A. Benzodiazepines
1. Structure, Chemical Properties, and SAR
 2. Biochemical effects
- * DIAZEPAM CHLORDIAZEPOXIDE FLURAZEPAM OXAZEPAM *
* TRIAZOLAM MIDAZOLAM LORAZEPAM ZOLPIDEM *
- 373-377
- B. Barbiturates
1. Chemical properties and SAR of agents
 2. Biochemical effects
- * PHENOBARBITAL PENTOBARBITAL SECOBARBITAL *
* BUTABARBITAL THIOPENTAL *
- 381
- C. Non-barbiturates * CHLORAL HYDRATE *

XIV. Opioid Analgesic Agents

- 521-527
- A. Biochemistry of Endorphins, Enkephalins, and Their Receptors
- B. Natural Product and Model Agent * MORPHINE *
- 527-530
- 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 *

XV. Antineoplastic Agents

- 1233-1238
- A. Chemistry and Mechanisms of Action for Alkylating and Cross-linking Agents
- * MECHLORETHAMINE CHLORAMBUCIL CYCLOPHOSPHAMIDE IFOSFAMIDE *
* BUSULFAN CARMUSTINE LOMUSTINE DACARBAZINE PROCARBAZINE *
* CISPLATIN CARBOPLATIN *
- 1269-1272
- B. Mechanisms of Action for Antimetabolite Agents
- 1243-1247 * METHOTREXATE LEUCOVORIN FLUOROURACIL FLOXURIDINE *
1252-1257 * CYTARABINE GEMCITABINE CLADRIBINE PENTOSTATIN MERCAPTOPYRIMIDINE *
- C. Mechanisms of Action for Natural Products and Miscellaneous Agents
- 1258-1262 * VINCRISTINE VINBLASTINE PACLITAXEL ETOPOSIDE *
1268, 1271 * ASPARAGINASE HYDROXYUREA *
- D. Mechanisms of Action for Antibiotic Type Agents
- 1263-1267 * DAUNORUBICIN DOXORUBICIN IDARUBICIN BLEOMYCIN *
1268 * MITOXANTRONE MITOMYCIN DACTINOMYCIN *