LESSON ASSIGNMENT

LESSON 9

Cholinergic Agents.

TEXT ASSIGNMENT

Paragraphs 9-1 through 9-6.

LESSON OBJECTIVES

9-1. Given a group of statements, select the statement that best describes the term cholinergic agent.

9-2. Given a group of chemical transmitters, select the name of the chemical transmitter that acts at both the preganglionic synapse and the effector organ in relation to the cholinergic nervous system.

9-3. Given the name of a part of the body and a group of effects, select the effect(s) produced on that part of the body by the cholinergic nervous system.

9-4. Given the name of one of the types of cholinergic agents and a group of statements, select the statement that best describes that type of agent.

9-5. From a group of statements, select the statement that best describes the difference between reversible cholinesterase inhibitors and irreversible cholinesterase inhibitors.

9-6. Given the trade and/or generic name of a cholinergic agent and a group of indications/uses cautions and warnings, side effects, or patient warning statements, select the indication/use, caution and warning, side effect, or patient warning statement that applies to that drug.

9-7. Given the trade or generic name of a cholinergic drug and a group of trade and/or generic names of drugs, select the trade or generic name of the given drug.

SUGGESTION

After studying the assignment, complete the exercises at the end of this lesson. These exercises will help you to achieve the lesson objectives.
LESSON 9
CHOLINERGIC AGENTS

9-1. INTRODUCTION

Cholinergic (parasympathomimetic) agents are drugs which when administered will mimic the action of acetylcholine or normal parasympathetic stimulation. As you will remember (lesson 6), the parasympathetic nervous system is responsible for bringing the body back to normal after the fight or flight response. The parasympathetic (cholinergic) nervous system is responsible for maintaining the daily functions performed within the body. This division of the autonomic nervous system serves to conserve energy.

9-2. REVIEW OF THE PHYSIOLOGY OF THE CHOLINERGIC (PARASYMPATHETIC) NERVOUS SYSTEM

The cholinergic (parasympathetic) nervous system is stimulated by the hypothalamus. This nervous system has long preganglionic fibers and short postganglionic fibers (see figure 9-1). The short postganglionic fibers are usually located within the effector organ.

Figure 9-1. The cholinergic (parasympathetic) nervous system.
9-3. CHEMICAL TRANSMISSION IN THE CHOLINERGIC (PARASYMPATHETIC) NERVOUS SYSTEM

The chemical transmitter acts at both the preganglionic synapse and at the effector organ is acetylcholine. Transmission of impulses is terminated by the destruction of acetylcholine by the enzyme acetylcholinesterase.

9-4. EFFECTS PRODUCED BY THE CHOLINERGIC NERVOUS SYSTEM

The general effects of parasympathetic stimulation are conservation and restoration of energy. The specific effects of the cholinergic nervous system are listed below:

a. **Eye (Pupil).** Contraction of the pupil (miosis) is produced by cholinergic stimulation.

b. **Heart.** A decrease in the heart rate and a slight increase in the contraction strength of the heart are cholinergic effects.

c. **Bronchi.** The bronchi are contracted by cholinergic stimulation.

d. **Blood Vessels.** The blood vessels of the skin and mucosa and skeletal muscles are dilated by stimulation by the cholinergic nervous system.

e. **Salivary Glands.** Cholinergic stimulation of the salivary glands leads to profuse, watery secretions.

f. **Stomach.** Cholinergic stimulation of the stomach leads to increased motility and tone and relaxed (usually) sphincters.

g. **Intestines.** Increased intestinal motility and tone and stimulated secretion of intestinal fluids are products of cholinergic stimulation.

h. **Urinary Bladder.** Contraction of the bladder wall and relaxation of the sphincter are products of cholinergic stimulation. The result is that urination is stimulated.

9-5. THERAPEUTIC USE OF CHOLINERGIC AGENTS

The cholinergic (parasympathomimetic) agents mimic the action of acetylcholine. These drugs represent a relatively small class of therapeutic agents with very specific clinical indications. For the most part, cholinergic agents are used in the treatment of glaucoma (see lesson 5) and in the treatment of certain urinary tract disorders (they help produce urination and the emptying of the bladder).
9-6. TYPES OF CHOLINERGIC AGENTS

a. Direct Acting Agents. Direct acting drugs have molecules that resemble acetylcholine molecules; thus, they have a direct action on the acetylcholine receptor sites of the postganglionic synapse. These drugs are usually specific in their site of action. An example of a direct acting agent is pilocarpine hydrochloride (Isopto-Carpine®).

(1) Pilocarpine hydrochloride (Isopto-Carpine®). Pilocarpine hydrochloride is a direct acting parasympathomimetic. It is used in the treatment of glaucoma. It causes the contraction of the iris sphincter muscle; this results in miosis (pupil constriction). Pilocarpine can produce the following side effects: muscle tremors, unusual increase in perspiration, unusual watering of the mouth, blurred vision, and eye pain. The patient instilling this medication into the eye should be informed that the drug could cause a change in his near or distant vision. Therefore, he should ensure that his vision is clear before he drives or does any jobs that require him to see well.

(2) Bethanecol chloride (Urecholine®). Bethanecol chloride is a direct acting parasympathomimetic. It is used in the treatment of non-obstructive urinary retention. Bethanecol can produce side effects such as shortness of breath, blurred vision, and dizziness. This drug should not be administered to patients who have bronchial asthma. Patients should be instructed to take the drug on an empty stomach (one or two hours before meals) in order to decrease the probability of having stomach upset.

b. Indirect Acting Agents. Indirect acting agents alter or inhibit the activity of acetylcholinesterase. Since the activity of acetylcholinesterase is inhibited or altered, the acetylcholine levels will increase causing cholinergic activity. The indirect acting agents form a complex with acetylcholinesterase. Based upon the type of complex they form, the agents are placed into two groups:

(1) Reversible cholinesterase inhibitors. These agents form a temporary complex with acetylcholinesterase.

(a) Neostigmine (Prostigmin®). Neostigmine is a reversible indirect acting acetylcholinesterase inhibitor. This drug is used in the treatment of myasthenia gravis, a condition characterized by muscle weakness and fatigue. The drug is also used to treat urinary bladder atony. Side effects associated with this agent are diarrhea, abdominal cramps, increased salivation, and increased bronchial secretions.
(b) Physostigmine (Eserine®). Physostigmine is a reversible indirect acting acetylcholinesterase inhibitor. It is used in the treatment of glaucoma. Side effects associated with the use of physostigmine include loss of bladder control, muscle weakness, unusual increase in perspiration, blurred vision or change in distant vision, and headache. The patient using this medication should be warned that it can cause a change in near or distant vision; therefore, the patient should ensure that his vision is clear before he drives or performs any job which requires that he see well.

(2) Irreversible cholinesterase inhibitors. These agents form a stable complex with acetylcholinesterase.

(a) Echothiophate iodide (Phospholine Iodide®). Echothiophate iodide is an irreversible indirect acting acetylcholinesterase inhibitor. It is used in the treatment of glaucoma. The side effects associated with echothiophate include loss of bladder control, muscle weakness, and shortness of breath. You should note that this medication is supplied as a dry powder with diluent. The diluent and the dry powder must be mixed just before you dispense it. The shelf life of the prepared solution can be extended by refrigeration. Since echothiophate may cause changes in the patient’s vision, the patient should be warned to insure his vision is clear before he drives or performs any job that requires him to have clear vision.

(b) Demecarium bromide (Humorsol®). Demecarium bromide is an irreversible, indirect acting acetylcholinesterase inhibitor. It is used in the treatment of glaucoma. Side effects that can occur while taking this medication include loss of bladder control, muscle weakness, and shortness of breath. Since this medication may cause changes in the patient’s vision, the patient should be warned to ensure his vision is clear before he drives or performs any job which requires him to have clear vision.

Continue with Exercises

Return to Table of Contents
EXERCISES, LESSON 9

INSTRUCTIONS: Answer the following exercises by marking the lettered response which best answers the question or best completes the incomplete statement.

After you have completed all the exercises, turn to “Solutions to Exercises” at the end of the lesson and check your answers. For each exercise answered incorrectly, reread the material referenced with the solution.

1. Which of the following statements best describes the term cholinergic agent?
   a. Drugs which when administered will mimic the action of epinephrine or normal parasympathetic stimulation.
   b. Drugs which when administered will mimic the action of acetylcholine or normal parasympathetic stimulation.
   c. Drugs that produce the same effects as the adrenergic blocking drug.
   d. Drugs that antagonize the effects of the adrenergic nervous system.

2. What is the effect of cholinergic stimulation upon the eye (pupil)?
   a. No effect.
   b. Mydriasis.
   c. Miosis.

3. What is the effect of cholinergic stimulation on the bronchi?
   a. No effect.
   b. Dilation.
   c. Contraction.
4. What is the effect of cholinergic stimulation on the urinary bladder?
   a. No effect.
   b. Urination is stimulated.
   c. Urination is suppressed.

5. Which of the following statements best describes direct acting cholinergic agents?
   a. These agents alter or inhibit the activity of acetylcholinesterase.
   b. These agents form a complex with acetylcholinesterase thus producing cholinergic activity.
   c. These agents reduce the activity of epinephrine in order to enhance the effects of cholinergic stimulation.
   d. These agents have molecules that resemble acetylcholine molecules and produce action on the acetylcholine receptor sites of the postganglionic synapse.

6. Pilocarpine hydrochloride is used in the treatment of:
   a. Nonobstructive urinary retention.
   b. Glaucoma.
   c. Myasthenia gravis.
   d. Obstructive urinary retention.

7. Neostigmine (Prostigmine®) is used in the treatment of:
   a. Nonobstructive urinary retention.
   b. Glaucoma.
   c. Myasthenia gravis.
   d. Obstructive urinary retention.
8. What side effect is associated with the use of physostigmine?
   a. Loss of bladder control.
   b. Unusual decrease in perspiration.
   c. Dryness of the mouth and other mucous membranes.
   d. All the above.

9. Match the trade or generic name in Column A with its appropriate trade or generic name in Column B.

<table>
<thead>
<tr>
<th>Column A</th>
<th>Column B</th>
</tr>
</thead>
<tbody>
<tr>
<td>________ Urecholine®</td>
<td>a. Physostigmine</td>
</tr>
<tr>
<td>________ Demecarium bromide</td>
<td>b. Echothiophate iodide</td>
</tr>
<tr>
<td>________ Phospholine iodide®</td>
<td>c. Bethanecol chloride</td>
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<tr>
<td>________ Eserine®</td>
<td>d. Floropryl®</td>
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<td></td>
<td>e. Humorsol®</td>
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<td></td>
<td>f. Pilocarpine hydrochloride</td>
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<td></td>
<td>g. Isopto-Carpine®</td>
</tr>
</tbody>
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Check Your Answers on Next Page
SOLUTIONS TO EXERCISES, LESSON 9

1. b (para 9-1)
2. c (para 9-4a)
3. c (para 9-4c)
4. b (para 9-4h)
5. d (para 9-6a)
6. b (para 9-6a(1))
7. c (para 9-6b(1)(a))
8. a (para 9-6b(1)(b))
9. c Urecholine®. (para 9-6a(2))
   e Demecarium bromide. (para 9-6b(2)(b))
   b Phospholine iodide®. (para 9-6b(2)(a))
   a Eserine®. (para 9-6b(1)(b))

Return to Table of Contents