LESSON ASSIGNMENT

LESSON 10  Central Nervous System (CNS) Stimulants.


LESSON OBJECTIVES  After completing this lesson, you should be able to:

10-1. Given several categories, select the category(ies) of central nervous system (CNS) stimulants.

10-2. Given a group of possible effects, select the pharmacological effect associated with xanthine derivatives.

10-3. Given the trade name of a CNS stimulant and a group of generic names, match the trade name with its generic name.

10-4. Given the trade or generic name of a CNS stimulant and a group of possible clinical uses, side effects, or cautions and warnings, select the clinical use, side effect, or caution and warning associated with that agent.

SUGGESTION  After completing the assignment, complete the exercises at the end of this lesson. These exercises will help you to achieve the lesson objectives.
LESSON 10

CENTRAL NERVOUS SYSTEM (CNS) STIMULANTS

Section I. BACKGROUND

10-1. INTRODUCTION TO THE CENTRAL NERVOUS SYSTEM

a. Many people are familiar with the class of drugs known as CNS stimulants. Unfortunately, most people are aware of these agents because of the abuse/misuse associated with these drugs. The central nervous system stimulants do have a variety of medically approved uses. This subcourse lesson will focus on those uses.

b. This lesson will introduce you to the topic of CNS stimulants, how they act, their approved uses, and drugs representative of the drug class. Much has been written on CNS stimulants. If you wish to learn more about these agents, you should obtain an appropriate reference (see the lesson on reference selection, lesson 1 of this subcourse).

10-2. OTHER DRUGS WHICH ACT UPON THE CENTRAL NERVOUS SYSTEM

This lesson will focus on drugs that stimulate the central nervous system. There are, as you know, many classes of drugs that have other effects on the central nervous system. These drug classes include sedative and hypnotic agents (lesson 7), antianxiety agents (lesson 9), and anti-psychotic agents (lesson 9), centrally acting skeletal muscle relaxants (SC MED8O5), and anticonvulsants (lesson 8). You should be familiar with the types of responses produced by these agents because, as you know, patients take a variety of medications. Some combinations of medications may not be desirable.

10-3. CLASSIFICATION OF CENTRAL NERVOUS SYSTEM (STIMULANTS)

a. Central nervous system stimulants excite the nerve cells of the central nervous system. These agents are classified according to their main site of action and their primary pharmacological effects. Following are the three categories of agents:

(1) Cerebral of psychomotor agents.

(2) Analactics (brain stem stimulants).

(3) Convulsants (spinal cord stimulants).

b. As you might anticipate, when increasingly larger doses of a drug are administered to the patient, the effects produced by the drug cause stimulation of more than one area.
c. Some central nervous system stimulants produce high levels of stimulation at other sites in the body (for example, the heart). In some cases, the usefulness of several CNS agents is limited because of the stimulation they produce in body organs.

Section II. CEREBRAL OR PSYCHOMOTOR AGENTS

10-4. INTRODUCTION

A variety of agents are classified as cerebral or psychomotor central nervous system agents. These drugs have one characteristic in common: they primarily stimulate the cerebral cortex of the brain.

10-5. CLASSES OF CEREBRAL OR PSYCHOMOTOR CENTRAL NERVOUS SYSTEM STIMULANTS

a. The Xanthine Derivatives. The xanthine derivatives have several pharmacological effects. One, they directly relax the smooth muscle of the bronchi and pulmonary blood vessels. By such dilation of the bronchi, more oxygen can be drawn into the lungs. Two, they stimulate the central nervous system and produce diuresis (they increase the production of urine) by direct action on the kidney. There are several examples of xanthine derivatives:

   (1) Caffeine. Caffeine is found in coffee, tea, and in kola nuts (used to make some soft drinks). Caffeine is a stimulant that has been long used as a morning "picker-upper" for workers and students. Caffeine is found in some headache remedies products promoted to prevent drowsiness, and in some products designed to suppress appetite (in these preparations caffeine acts to stimulate the person). Although caffeine does have some desirable qualities (that is, small doses of the drug can promote better performance on tasks like typing and thinking), it is possible for a person to develop a psychological dependence on the drug. Withdrawal of the drug results in some persons' having mild withdrawal symptoms (for example, headaches).

   (2) Aminophylline (Theophylline ethylenediamine). This drug is used in the treatment of bronchial asthma. It is given intravenously to provide rapid relief of pulmonary edema and dyspnea seen in the acute congestive heart failure patient because it increases cardiac output, slightly increases venous pressure, and relaxes the bronchial muscle. Side effects associated with the oral administration of this agent include nausea, vomiting, and nervousness. The patient should be informed to take this medication with food. The medication is supplied in 100 and 200-milligram tablets, 250 and 500-milligram suppositories, and in injectable form (25 milligrams per milliliter in a 10-milliliter ampule).
(3) **Theophylline (Theo-dur®, Elixophyllin®)**. This xanthine derivative is used for the symptomatic relief of asthma because of its bronchial dilation effect. Theolair is but only one of many anhydrous theophylline products in use today. The side effects usually associated with the use of the drug are nausea, vomiting, and nervousness. The patient should be told to take theophylline with food. The drug is usually administered in a dosage of 3 to 5 milligrams per kilogram of body weight. It is supplied in various dosage forms (elixir, tablets, capsules, and sprinkles).

b. **The Amphetamines.** Many health care professionals are concerned about the abuse/misuse of the amphetamines. These Schedule II medications certainly have been abused in the past. Today, physicians and pharmacists cooperate to ensure these drugs are wisely used for medically acceptable purposes. Amphetamines act pharmacologically to produce two primary effects. One, they increase an individual's state of alertness. Two, they elevate a person's mood. Now, several agents will be discussed. The particular use(s) for each agent will be presented.

(1) **Methylphenidate (Ritalin®).** Methylphenidate (Ritalin®) is used to treat attention deficit/hyperactivity disorder (ADHD), formerly known as minimal brain dysfunction, and narcolepsy. Observed abnormalities in ADHD include impulsiveness, short attention span, purposeless hyperactivity, emotional overreactivity, coordination and learning deficits, distractibility, and deficits in the perception of space, form, movement, and time. Since the first clinical sign seen with ADHD is purposeless hyperactivity, the terms hyperkinetic and hyperkinesia are sometimes used in place of attention deficit hyperactivity disorder (ADHD). Narcolepsy can be defined as an inability to stay awake. The most common side effect associated with this agent is nervousness. Methylphenidate is a Schedule II drug (Note R). The usual dosage of methylphenidate is 20 to 30 milligrams daily in divided doses. It is supplied in the form of 5 milligram, 10 milligram, and 20-milligram tablets.

(2) **Dextroamphetamine sulfate (Dexedrine®).** Dextroamphetamine was once prescribed as an anorectic (an appetite depressant) for many years. Recently, it has been found that dextroamphetamine's inhibitory effect on the appetite lasts only for four or five weeks. This finding, coupled with its increased abuse, has drastically reduced the quantity of the prescriptions for this drug. This agent is not used in the military for the inhibition of appetite. It is used only for the treatment of attention deficity hyperactivity disorder (ADHD) and narcolepsy. Most military and civilian physicians believe that exercise and the restriction of food (caloric) intake is the method of choice for weight reduction. The most common side effects associated with dextroamphetamine are nervousness and headaches. This drug has a very high abuse potential. It is controlled as a Schedule II (Note R) item. Dextroamphetamine is supplied in 5-milligram tablets, 10- and 15-milligram capsules.

(3) **Methamphetamine hydrochloride (Desoxyn®).** This drug is similar to dextroamphetamine in terms of its ability to suppress the appetite. However, its abuse potential is such that it is rarely used any longer for this purpose.
c. **Other Agents.** Many other drugs produce pharmacological effects similar to those produced by the amphetamines. These are most often used for their ability to suppress the patient's appetite. Sometimes you will find these medications combined with other drugs (for example, a sedative or an antianxiety agent) in order to counteract the stimulation they produce.

(1) **Pemoline (Cylert®).** This drug is used in the treatment of ADHD. It is usually prescribed in a graduated dose, beginning with a 37.5-milligram daily dose. It is then gradually increased at 1-week intervals of 18.75 milligrams until a desired clinical response is observed. The most common side effect seen with this agent is insomnia. Pemoline appears to have a lower abuse potential than methylphenidate; pemoline is classified as a Note Q drug. The drug is supplied in the form of 18.75, 37.5, and 75 milligram tablets.

(2) **Diethylpropion hydrochloride (Tenuate®).** Diethylpropion hydrochloride is used as an appetite suppressant. It is less effective in this use than the amphetamines. It produces such side effects as dryness of the mouth, nausea, and headaches. It is available in both 25-milligram tablets and 75-milligram (timed-release) tablets. Diethylpropion is a Note Q drug.

(3) **Phendimetrazine tartrate (Prelu-2®).** This drug is used as an appetite suppressant. Long-term use of the drug, especially in large doses may, produce psychic dependence. It produces such side effects as nervousness, excitement, euphoria, and dryness of the mouth. It is supplied in 35-milligram tablets and capsules and 105 milligram (timed-release) capsules. Phendimetrazine is a Note Q drug.

**Section III. ANALEPTIC AGENTS (BRAIN STEM STIMULANTS)**

10-6. INTRODUCTION

a. Analeptic agents are drugs that produce two primary effects. One, they stimulate the nerve cells of the body's respiratory center when it has been depressed by some condition (for example, illness or drugs). Two, they stimulate nerve cell centers responsible for keeping a person conscious.

b. Analeptic agents are not commonly used today because of the stimulation they produce in doses sufficient to produce their analeptic effect. These agents can produce such undesirable effects as convulsions, respiratory problems, or vomiting.
10-7. EXAMPLE OF AN ANALEPTIC AGENT

Doxapram (Dopram®) is an analeptic agent used for postanesthetic arousal and drug-induced central nervous system depression. It has the ability to arouse the patient after surgery without reducing the analgesia produced by opiates (for example, morphine). Thus, it is used to hasten recovery time. The faster the patient becomes aware of his or her surroundings, the faster nursing personnel are relieved of intensive care responsibilities. Doxapram is also used to stimulate respiration and hasten arousal in patients who have mild to moderate respiratory and central nervous system depression because of overdose. The most common side effects associated with this drug are headaches, nausea, and vomiting. The usual dose of the drug is 0.5 to 2.0 milligrams per kilogram of body weight. It is supplied as an injectable containing 20 milligrams per milliliter of solution.

Section IV. CONVULSANTS (SPINAL CORD STIMULANTS)

10-8. INTRODUCTION

Some chemical substances can so stimulate the motor areas of the central nervous system that a person's muscles begin to powerfully convulse (begin uncontrollable violent contractions). Some natural and manmade chemicals are capable of producing such reactions. For example, tetanospasmin, a chemical produced by the bacteria Clostridium tetani, is such a natural agent. Strychnine, a poison, once was used as a respiratory stimulant; however, its medicinal use has been stopped because of its toxicity.

10-9. THERAPEUTIC USE OF CONVULSANTS

Drugs in this classification have little clinical usefulness. Some drugs in this class have been used in the treatment of some types of psychotic agents.

Continue with Exercises

Return to Table of Contents
EXERCISES, LESSON 10

INSTRUCTIONS: Answer the following exercises by marking the lettered response that best answers the exercise, by completing the incomplete statement, or by writing the answer in the space provided at the end of the exercise. After you have completed all of 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. Select the category(ies) of central nervous system stimulants.
   a. Cerebral agents.
   b. Convulsants.
   c. Analeptics.
   d. All the above.

2. Select the pharmacological effect(s) associated with xanthine derivatives.
   a. Bronchoconstriction.
   b. Smooth muscle relaxation.
   c. Enuresis.
   d. All the above.

SPECIAL INSTRUCTIONS FOR EXERCISES 3 THROUGH 9. Match the generic names below with their corresponding trade names.

3. Diethylpropion hydrochloride   a. Dopram(®)
4. Methyl phenidate     b. Aminophylline(®)
5. Theophylline ethylenediamine c. Ritalin(®)
6. Theophylline              d. Desoxyn(®)
7. Methamphetamine hydrochloride  e. Elixophylline(®)
8. Doxapram                     f. Cylert(®)
9. Pemoline                     g. Tenuate(®)
10. What is the clinical use of diethylpropion hydrochloride?
    a. Used in the treatment of attention deficit hyperactivity disorder (ADHD).
    b. Used to suppress a patient's appetite.
    c. Used to treat a patient's anxiety.
    d. Used to stimulate a patient's respiratory system.

11. Long-term use of phenmetrazine hydrochloride (Preludin®) may produce:
    a. Nausea or vomiting.
    b. Decreased metabolic rate.
    c. Psychic dependence.
    d. Insomnia.

12. What is the clinical use of dextroamphetamine sulfate (Dexedrine®)?
    a. To suppress a patient's appetite.
    b. To increase a patient's ability to concentrate.
    c. To treat narcolepsy.
    d. To stimulate respiration.

13. When taking Aminophylline orally, the patient should be cautioned:
    a. Not to take the medication with alcohol.
    b. Take the medication with food.
    c. Discontinue the medication immediately if any slight nervousness is detected.
    d. Not to drive while taking the medication.

Check Your Answers on Next Page
SOLUTIONS TO EXERCISES, LESSON 10

1. d (para 10-3a)
2. b (para 10-5a)
3. g (para 10-5c(2))
4. c (para 10-5b(1))
5. b (para 10-5a(2))
6. e (para 10-5a(3))
7. d (para 10-5b(3))
8. a (para 10-5c(2))
9. f (para 10-5c(1))
10. b (para 10-5c(2))
11. c (para 10-5c(3))
12. c (para 10-5a(2))
13. b (para 10-5a(2))