MODULE

PHARMACOLOGY OF SLEEP
PHARMACOLOGY OF SLEEP

OBJECTIVES:
At the end of this module, the student must be able to:

• Identify the 2 major categories of drugs used in sleep therapy
• Demonstrate knowledge of the most common actions, indications and adverse effects of each major type of drugs
• Recognize the different subcategories of sedatives and stimulants
PHARMACOLOGY OF SLEEP

To easily understand the drugs used to treat sleep disorders just remember that there are:

2 Major Categories of Drugs
• Sedatives which induce sleep
• Stimulants which inhibit sleep or promote wakefulness
LESSON 1: SEDATIVES

DEFINITIONS

Sedatives are also called depressants, downers, or tranquilizers.
These are drugs that calm a patient down, easing agitation and permitting sleep.
They generally work by modulating signals within the central nervous system.
They are compounds that cause physiological and mental slowing of the body.
Sleep-promoting drugs (hypnotics) and some anti-anxiety (anxiolytic) drugs produce effects and disorders similar to those of sedatives.
LESSON 1: SEDATIVES

ACTIONS

• These drugs work in the brain by increasing the amount of the neurotransmitter gamma-aminobutyric acid (GABA).

• Neurotransmitters help to regulate the speed at which nerve impulses travel.

• When the amount of GABA increases, the speed of nerve transmissions decreases.

• Thus these drugs depress the nervous system and cause reduced pain, sleepiness, reduced anxiety, and muscle relaxation.
LESSON 1: SEDATIVES

INDICATIONS

• used in conjunction with surgery
• treat pain, anxiety, panic attacks, insomnia, and in some cases, convulsions
LESSON 1: SEDATIVES

ADVERSE EFFECTS

• Users addiction by increasing their prescribed dose without medical advice
• Rapid development of tolerance for the drugs
• Sedative intoxication - can appear very similar to alcohol intoxication in its symptoms
• Psychosocial symptoms such as:
  - hostility or aggression
  - swings in mood
  - poor judgment
  - inability to function in social settings or at work
  - or inappropriate sexual behavior
LESSON 1: SEDATIVES

ADVERSE EFFECTS (cont’d)

• Physical withdrawal symptoms when discontinued
• Physical symptoms include:
  - slurred speech
  - lack of coordination
  - inattention
  - impaired memory or "blackouts"
  - extreme sluggishness, stupor, or coma
• Overdoses can be fatal
LESSON 1: SEDATIVES

SUBCATEGORIES OF SEDATIVES FOR SLEEP DISORDERS

• BENZODIAZEPINES
• BARBITURATES
• HYPNOTICS
• ANTIHISTAMINES
• ANTIDEPRESSANTS
LESSON 1: SEDATIVES

BENZODIAZEPINES

The most widely prescribed and best-studied sedatives belong to a group called benzodiazepines e.g.

- alprazolam (Xanax)
- clonazepam (Klonopin)
- diazepam (Valium)
- lorazepam, (Ativan)
- temazepam (Restoril)
LESSON 1: SEDATIVES

BARBITURATES

Other drugs that act in a similar manner include the barbiturates* 

e.g.
- amobarbital (Amytal)
- aprobarbital (Alurate)
- butabarbital (Butisol)
- phenobarbital, (Nebutal)
- secobarbital, (Seconal)
LESSON 1: SEDATIVES

HYPNOTICS

e.g.
- ethchlorvynol (Placidyl)
- glutethimide (Doriden)
- meprobamate (Miltown, Equanil, Equagesic, Deprol)
- zolpidem (Ambien)

have similar actions
LESSON 1: SEDATIVES

ANTI-HISTAMINE

e.g.

• Doxylamine Succinate (Unisom-2)
• Diphenhydramine Hydrochloride (Benadryl)
LESSON 1: SEDATIVES

ANTI-DEPRESSANTS

e.g.
Selective serotonin reuptake inhibitors (SSRI’s)
- fluoxetine (Prozac)
- paroxetine (Paxil)
- escitalopram (Lexapro, Esipram)
- citalopram (Celexa)
- sertraline (Zoloft).
LESSON 1: SEDATIVES

On this lesson you have learned the definitions, actions, indications and adverse effects of sedatives. You have also learned the different subcategories of sedatives used in sleep therapy such as the benzodiazepines, barbiturates, hypnotics, anti-histamines and anti-depressants. Different types of each subcategories with brand names are also identified. Next lesson is the other major category of drugs which are the STIMULANTS.
LESSON 2: STIMULANTS

DEFINITIONS

Stimulants are also called excitants or uppers. This is a name given to several groups of drugs that tend to increase alertness and physical activity. These are drugs that temporarily quicken some vital processes. An agent, especially a chemical agent such as caffeine, that temporarily arouses or accelerates physiological or organic activity.
LESSON 2: STIMULANTS

DEFINITIONS (cont’d)

They counteract fatigue in situations where sleep is not practical (e.g. while operating vehicles)
They counteract abnormal states that diminish alertness consciousness (such as in narcolepsy)
They are sometimes abused to boost endurance and productivity as well as to suppress appetite
LESSON 2: STIMULANTS

ACTIONS

Stimulants increase the amount of norepinephrine and dopamine in the brain, which increases blood pressure and heart rate, constricts blood vessels, increases blood glucose, and increases breathing.
LESSON 2: STIMULANTS

INDICATIONS

• narcolepsy
• weight loss
• depression
• people diagnosed with attentional disruptions (especially ADHD).
• fatigue
LESSON 2: STIMULANTS

ADVERSE EFFECTS

• addictive
• Taking high doses of some stimulants repeatedly over a short time can lead to feelings of hostility or paranoia
• taking high doses of a stimulant may result in dangerously high body temperatures and irregular heartbeat.
• potential for cardiovascular failure (heart attack) or lethal seizures
• Withdrawal symptoms associated with discontinuing stimulant use include fatigue, depression, and disturbance of sleep patterns
LESSON 2: STIMULANTS

DRUGS OR SUBSTANCES

• Caffeine found in beverages
  – Coffee and soft drinks
• nicotine,
  – which is found in tobacco,
• ephedrine
• amphetamines
• cocaine
• methylphenidate
• modafinil
LESSON 2: STIMULANTS

DRUGS OR SUBSTANCES (cont’d)

• Some may be legally available only by prescription e.g.
  – methamphetamine (Desoxyn)
  – mixed amphetamine salts, (Adderall)
  – dexamphetamine, (Dexedrine)
• or not at all (e.g. methcathinone).
LESSON 2: STIMULANTS

On this lesson you have learned the definitions, actions, indications and adverse effects of stimulants.
You have also learned about the drugs and substances which cause stimulation
On the next pages you will see the table of the drugs used in sleep therapy and the table of drugs used for specific sleep disorders
# DRUGS FOR SLEEP THERAPY

<table>
<thead>
<tr>
<th>Sedatives</th>
<th>Stimulants</th>
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</thead>
<tbody>
<tr>
<td>• Choral Hydrate</td>
<td>• Modafinil</td>
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<tr>
<td>• Barbiturates</td>
<td>• Sodium Oxybate</td>
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<tr>
<td>• Benzodiazepines (Clonazepam / Temazepam)</td>
<td>• Amphetamine</td>
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<tr>
<td>• Imidazopyridines</td>
<td>• Pemoline</td>
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<td>• Cyclopyrrolones</td>
<td>• Methylphenidate</td>
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<td>• Pyrazolopyrimidine</td>
<td>• Cocaine</td>
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<td>• Zaleplon (Sonata)</td>
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<td>• Zolpidem Tartrate (Ambien)</td>
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<td>• Zolpicalone</td>
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<td>• Eszopiclone</td>
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<td>• Hypnostat</td>
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<td>• Anti-histamine (Diphenhydramine)</td>
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# DRUGS USED FOR SLEEP DISORDERS

<table>
<thead>
<tr>
<th>Sleep Disorder</th>
<th>Prescribed Drug</th>
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<tbody>
<tr>
<td>Insomnia</td>
<td>• Trazodone</td>
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<tr>
<td></td>
<td>• Chloral Hydrate</td>
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<td></td>
<td>• Melatonin</td>
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<tr>
<td>Hallucinations / Nocturnal Wandering</td>
<td>• Carbamazepine</td>
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<td></td>
<td>• Clozapine</td>
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<td>• Olanzapine</td>
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<td>• Risperidone</td>
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<td>• Rivastigmine</td>
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<td>• Quetiapine</td>
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<td>• Valproic Acid</td>
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<tr>
<td>REM Sleep Behavior Disorder</td>
<td>• Clonazepam</td>
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<td></td>
<td>• Melatonin</td>
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<tr>
<td>Sleep Disorder</td>
<td>Prescribed Drug</td>
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<tr>
<td>Excessive Sleepiness</td>
<td>• Modafinil</td>
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<td></td>
<td>• Methylphenidate</td>
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<tr>
<td>Restless Leg Syndrome</td>
<td>• Pramipexole</td>
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<td></td>
<td>• Ropinirole</td>
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<td>• Gabapentin</td>
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