CNS Stimulants

Defination

"Stimulants are a substance which tends to increase behavioral activity when administered"

Psychomotor stimulants cause: Excitement, Euphoria, Decrease feeling of fatigue & Increase motor activity

Methylxanthines (caffeine, theophylline), nicotine, cocaine, amphetamine, atomoxetine, modafinil, methylphenidate.

- Signs and symptoms:
- 1- Elevate Mood
- 2- Increase Motor Activity
- 3- Increase Alertness
- 4- Decrease need for Sleep
- In case of overdose lead to convulsion and death.

Therapeutic Indications

- Obesity (anorectic agents).
- Attention Deficit Hyperactivity Disorder (ADHD); lack the ability to be involved in any one activity for longer than a few minutes.
- Narcolepsy: It is a relatively rare sleep disorder, that is characterized by uncontrollable bouts of sleepiness during the day.

Contraindications

 patients with anorexia, insomnia, asthenia, psychopathic personality, a history of homicidal or suicidal tendencies

1- Amphetamine

MOAs :

 Block the reuptake of norepinephrine and dopamine into the presynaptic neuron and increase the release of these monoamines into the extraneuronal space.

- Clinical use:

- 1. Narcolepsy.
- 2. Attention-deficit hyperactivity disorder

Adverse effects

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:Cardiovascular: Hypertension (7% to 22%, pediatric)
  Endocrine metabolic: Weight loss (4% to 9%, pediatric; 11%, adults)
  Gastrointestinal: Abdominal pain (11% to 14%, pediatrics ), Loss of
  appetite (22% to 36%), Xerostomia (35%)
  Neurologic: Headache (26%), Insomnia (12% to 17%, pediatric; 27%,
  adults)
- Psychiatric: Feeling nervous (6%)
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Methylphenidate

- It has CNS stimulant properties similar to those of amphetamine and may also lead to abuse, although its addictive potential is controversial.
- It is taken daily by 4-6 million children in the USA for ADHD.
- Methylphenidate is a more potent dopamine transport inhibitor than cocaine, thus making more dopamine available.
- It has less potential for abuse than cocaine, because it enters the brain much more slowly than cocaine and, does not increase dopamine levels as rapidly.

Adverse Effects

Adverse reactions: GIT effects are the most common; abdominal pain and nausea.

In seizure patients, methylphenidate seems to increase the seizure frequency, especially if the patient is taking antidepressants. 2

Nicotine

• is the active ingredient in tobacco. Used in smoking cessation therapy,

Nicotine remains important, because:

Actions of Nicotine:

Low dose: ganglionic depolarization

High dose: ganglionic blockade 3

Actions of Nicotine

- I. CNS: 1. Low dose: euphoria, arousal, relaxation, improves attention, learning, problem solving and reaction time.
- 2. High dose: CNS paralysis, severe hypotension (medullary paralysis)
- II. Peripheral effects: ② Stimulation of sympathetic ganglia and adrenal medulla → ↑ BP and HR (harmful in HTN patients) ②
- Stimulation of parasympathetic ganglia → ↑ motor activity of the bowel ② At higher doses, BP falls & activating ceases in both GIT and bladder 1

Adverse effects

- CNS; irritability and tremors
- Intestinal cramps, diarrhea 🛚
- 个HR & BP
- Withdrawal syndrome: nicotine is addictive substance,
 physical dependence on nicotine physical dependence on nicotine develops rapidly and can be severe.
- Bupropion: can reduce the craving for cigarettes Transdermal patch and chewing gum containing nicotine