بسم الله الرحمن الرحيم

DOPAMINE SCIENTIFIC TEAM

CNS Stimulants

FINAL | Lecture 10

﴿إِنِّي تَوَكَّلْتُ عَلَى اللَّهِ رَبِّي وَرَبِّكُمْ ۚ مَّا مِن دَابَّةٍ إِلَّا هُوَ آخِذٌ بِنَاصِيَتِهَا ۚ إِنَّ رَبِّي عَلَىٰ صِرَاطٍ مُّسْتَقِيمٍ﴾

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PHARMACOLOGY

رحلة اليقين مع سورة يس

بِسۡمِ ٱللَّهِ ٱلرَّحۡمَٰنِ ٱلرَّحِيمِ

وَٱتَّخَذُواْ
مِن دُونِ ٱللَّهِ ءَالِهَةً لَّعَلَّهُمۡ يُنصَرُونَ ﴿٧٤﴾ لَا يَسۡتَطِيعُونَ
نَصۡرَهُمۡ وَهُمۡ لَهُمۡ جُندٞ مُّحۡضَرُونَ ﴿٧٥﴾ فَلَا يَحۡزُنكَ قَوۡلُهُمۡۘ
إِنَّا نَعۡلَمُ مَا يُسِرُّونَ وَمَا يُعۡلِنُونَ ﴿٧٦﴾

هذا بيان لبطلان آلهة المشركين، التي اتخذوها مع الله تعالى، ورجوا نصرها وشفعها، فإنها في غاية العجز {لَا يَسْتَطِيعُونَ نَصْرَهُمْ} ولا أنفسهم ينصرون، فإذا كانوا لا يستطيعون نصرهم، فكيف ينصرونهم؟ والنصر له شرطان: الاستطاعة والقدرة فإذا استطاع، يبقى: هل يريد نصرة من عبده أم لا؟ فَنَفْيُ الاستطاعة، ينفي الأمرين كليهما.{وَهُمْ لَهُمْ جُنْدٌ مُحْضَرُونَ} أي: محضرون هم وهم في العذاب، ومتبرئ بعضهم من بعض، أفلا تبرأوا في الدنيا من عبادة هؤلاء، وأخلصوا العبادة للذي بيده الملك والنفع والضر، والعطاء والمنع، وهو الولي النصير؟

فلا يَحْزُنك -أيها الرسول- كفرهم بالله وتكذيبهم لك واستهزاؤهم بك; إنا نعلم ما يخفون، وما يظهرون، وسنجازيهم على ذلك.

رسالة من الفريق العلمي

بِسْمِ ٱللَّهِ ٱلرَّحْمَٰنِ ٱلرَّحِيمِ

وَأَنفِقُوا۟ فِى سَبِيلِ ٱللَّهِ وَلَا تُلْقُوا۟ بِأَيْدِيكُمْ إِلَى ٱلتَّهْلُكَةِ
وَأَحْسِنُوٓا۟ ۛ إِنَّ ٱللَّهَ يُحِبُّ ٱلْمُحْسِنِينَ ﴿١٩٥﴾

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.

These 4 drugs are explained in slides 5 & 6.

These 4 drugs are used for ADHD and narcolepsy (see slide 8).

** Atomoxetine is a non-stimulant (see slide 17).

CNS Stimulants – Explained (1)

1. *[Synergism]* Theophylline + Amphetamine = Captagon

- **Combination:** Combining **Theophylline + Amphetamine** results in a synergistic effect, which is commonly abused an is considered a low-price addiction material.

2. Nicotine: Effects and Toxicity

- **Cognitive Effects:** Increases **concentration** and enhances **memory**, but it has significant side effects.
- **Addiction:** Nicotine addiction is considered **social** more than it is **physical**, yet physical dependence by itself and withdrawal symptoms are incorporated within the addiction.
- **Toxicity: High doses** lead to **ganglionic blockade**.
- **First-Time Exposure:** The **first exposure** to nicotine produces noticeable physiological effects (initial reaction due to high sensitivity of the receptors, before dependence).

CNS Stimulants – Explained (2)

3. Cocaine

- Cocaine is a real hazard to mental and physical health; a famous real-world example mentioned is **Maradona**, whose death was linked to **cocaine** use.
- **Mechanism of Action:** Acts by **blocking the reuptake** of neurotransmitters (**Norepinephrine, Dopamine, and Serotonin**), increasing their concentration in the **synaptic cleft**.
- **Psychological Effects:** Induces powerful **Euphoria** followed by a severe **Crash** (depression and fatigue).

4. [Synergism] Methylxanthines (found in tea & coffee) + Nicotine (in smoking):

- These substances **potentiate each other** (**synergistic effect**).
- This explains why people frequently prefer to **drink coffee and smoke together**.

CNS Stimulants – 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.

CNS Stimulants – Therapeutic Indications

- **Obesity (anorectic agents).** [this indication is no longer viable]
- **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.**
- **In Jordan, the drugs used for ADHD and narcolepsy include Atomoxetine and Methylphenidate. Amphetamine, however, is not commonly used and is considered restricted, controlled, and prohibited in the country.**

Contraindications

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

IMPORTANT

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 (no longer in Jordan):

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

Adverse effects

: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%)**

Take a look at all these adverse effects

الحمد لله الذي عافانا

Methylphenidate

IMPORTANT

- 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.

IMPORTANT

Adverse Effects

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

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

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: Stimulation of sympathetic ganglia and adrenal medulla→↑ BP and HR (harmful in HTN patients)
- Stimulation of parasympathetic ganglia→↑ motor activity of the bowel At higher doses, BP falls & activating ceases in both GIT and bladder 1

Adverse effects

- CNS; irritability and tremors
- Intestinal cramps, diarrhea
- ↑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

Non-stimulants

- **Example:** Atomoxetine
- **Mechanism:** Selective **norepinephrine reuptake inhibitor (NRI)**
- **Effect:** Increases norepinephrine; indirectly increases dopamine
- Slow reuptake inhibition → thus called “non-stimulant”
- **Used to avoid adverse effects of stimulant drugs**

PHARMACOLOGY QUIZ LECTURE 10

Scan the QR code or click it for FEEDBACK

Corrections from previous versions:

Versions	Slide # and Place of Error	Before Correction	After Correction
V0 → V1			
V1 → V2			