




# **CNS STIMULANTS**



**PRESENTED TO: DR. MUSADDIQUE HUSSAIN**

**PRESENTED BY: SAIRA SHAUKAT**



Two groups of drugs act primarily to stimulate the central nervous system

- 1) Psychomotor stimulants**
- 2) Hallucinogens**

- 
- 
- **Psychomotor stimulants** cause excitement and euphoria, decrease feelings of fatigue, and increase motor activity.
  - **Hallucinogens** produce profound changes in thought patterns and mood, with little effect on the brainstem and spinal cord.



## ➡ Psychomotor stimulants

- Amphetamine
- Cocaine
- Dexmethylphenidate
- Dextroamphetamine
- Methamphetamine
- Nicotine
- Theophylline
- Varenicline



## ➡ Hallucinogens

- Lysergic acid diethylamide (LSD)
- Tetrahydrocannabinol



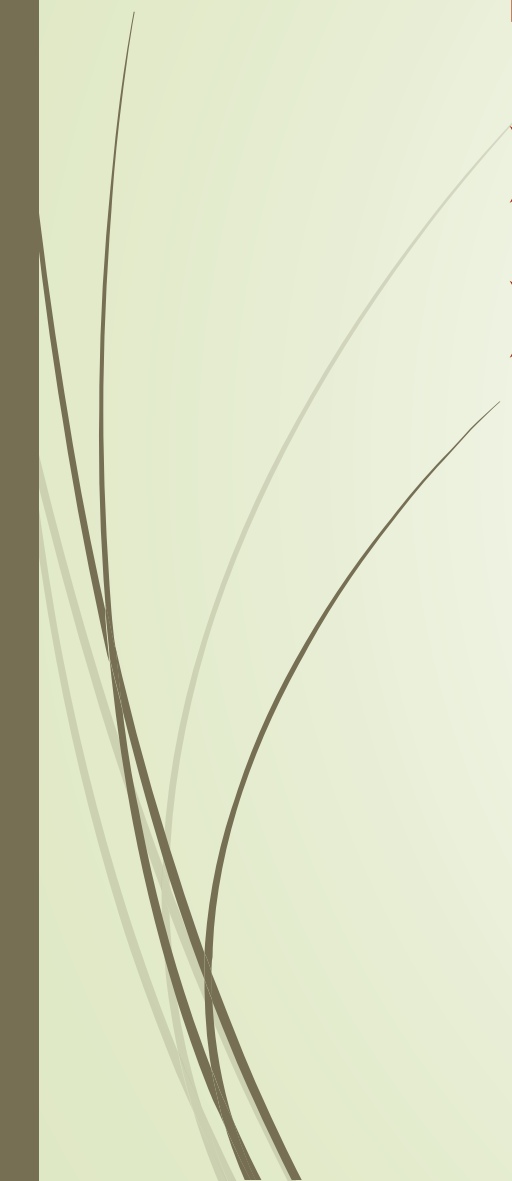
# ➡ Psychomotor stimulants

## Methylxanthines

- ➡ Theophylline found in tea
- ➡ Theobromine found in cocoa
- ➡ Caffeine, the most widely consumed stimulant is present in certain coffee products but it is also present in tea, cola drinks, energy drinks, chocolate candy, and cocoa.



## ➡ Mechanism of action

- Translocate extracellular calcium,
  - Increase cAMP and cyclic GMP caused by inhibition of phosphodiesterase, and blockade of adenosine receptors.
- 




## ➡ Actions

### ➤ CNS

- ❖ Caffeine causes decrease in fatigue and increased mental alertness by stimulating cortex and other areas of the brain
- ❖ Spinal cord is stimulated only by very high doses





❖ Tolerance can rapidly develop to the stimulating properties of caffeine, and withdrawal consists of feelings of fatigue and sedation.

➡ **CVS**

❖ A high dose of caffeine has positive inotropic and chronotropic effects on the heart.



## ➡ Diuretic action

- ❖ Caffeine has a mild diuretic action that increases urinary output of sodium, chloride, and potassium.

## ➡ Gastric mucosa

- ❖ They stimulate secretion of gastric acid, individuals with peptic ulcers should avoid foods and beverages containing methylxanthines.



## ➡ Therapeutic uses

- ❖ Caffeine and its derivatives relax the smooth muscles of the bronchioles
- ❖ Used in combination with acetaminophen and aspirin for management of headaches in both prescription and over-the-counter products.



## ➡ Pharmacokinetics

- ❖ well absorbed orally.
- ❖ distributes throughout the body, including the brain.
- ❖ cross the placenta to fetus and are secreted into the breast milk.
- ❖ metabolized in liver, generally by CYP1A2 pathway
- ❖ metabolites are excreted in the urine.



## ➡ Adverse effects

- ❖ Moderate doses cause insomnia, anxiety, and agitation.
- ❖ A high dosage is required for toxicity, manifested by emesis and convulsions.
- ❖ lethal dose is 10 g of caffeine (about 100 cups of coffee), which induces cardiac arrhythmias.




## ➡ Amphetamine


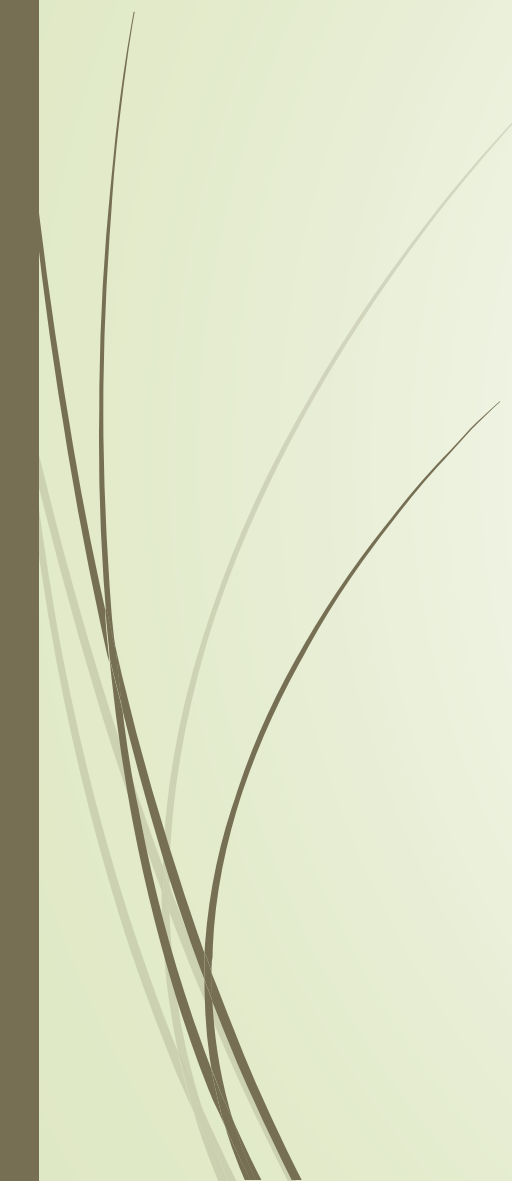
- ❖ Sympathetic amine
- ❖ Dextroamphetamine is major member
- ❖ Methamphetamine (also known as “speed”) is a derivative of amphetamine.
- ❖ 3,4-Methylenedioxymethamphetamine (also known as MDMA, or Ecstasy) is a synthetic derivative of methamphetamine with both stimulant and hallucinogenic properties





## ➤ Mechanism of action

- effects of amphetamine on the CNS and peripheral nervous system are indirect.
  - depend upon an elevation of the level of catecholamine neurotransmitters in synaptic spaces.
  - achieves this effect by releasing intracellular stores of catecholamines.
- 

- 
- 
- Because it also inhibits (MAO) and is a weak reuptake transport inhibitor, high levels of catecholamines are readily released into synaptic spaces.






## ➡ Actions

### CNS

- Amphetamine stimulates entire cerebrospinal axis, cortex, brainstem, and medulla.
- increased alertness, decreased fatigue, depressed appetite, and insomnia.
- At high doses, psychosis and convulsions.



## **Sympathetic nervous system**

- amphetamine acts on the adrenergic system, indirectly stimulating the receptors through norepinephrine release.
- 



## ➡ Therapeutic uses



### Attention deficit hyperactivity disorder (ADHD)

- Some young children are hyperkinetic and lack the ability to be involved in any one activity not for longer than a few minutes.
- Dextroamphetamine, methamphetamine, and methylphenidate can help improve attention span and alleviate behavioral problems.



## ➡ Narcolepsy

- relatively rare sleep disorder, characterized by uncontrollable bouts of sleepiness during the day.
- sleepiness can be treated with drugs, such as the mixed amphetamine salts or methylphenidate.

- 
- 
- mechanism of action is unclear, but may involve the adrenergic and dopaminergic systems.
  - Modafinil is effective orally. It is well distributed



## ➡ Pharmacokinetics

- completely absorbed from the GIT
- metabolized by liver
- excreted in urine
- Amphetamine abusers often administer the drugs by IV injection and/or by smoking.
- euphoria lasts 4 to 6 hours, or four- to eightfold longer than the effects of cocaine.



## ➡ Adverse effects:

- may cause addiction, leading to dependence, tolerance, and drug-seeking behavior.

### CNS effects

- Adverse effects of amphetamine usage include insomnia, irritability, weakness, dizziness, tremor, and hyperactive reflexes
- also cause confusion, delirium, panic states, and suicidal tendencies, especially in mentally ill patients.





## ➡ Cardiovascular effects

- palpitations, cardiac arrhythmias, hypertension, anginal pain, and circulatory collapse.
- Headache, chills, and excessive sweating may also occur.


## ➡ GI system



- anorexia, nausea, vomiting, abdominal cramps, and diarrhea.





## ➔ HALLUCINOGENS

- A few drugs have the ability to induce altered perceptual states reminiscent of dreams.
  - Many of these altered states are accompanied by visions of bright, colorful changes in the environment and by a plasticity of constantly changing shapes and color.
- 

- 
- 
- Individual under the influence of these drugs is incapable of normal decision making because the drug interferes with rational thought.
  - These compounds are known as hallucinogens.
  - Lysergic acid diethylamide (LSD) and tetrahydrocannabinol (from marijuana) are examples of agents in this class.

Thank you

