

PHC 631 | MEDICINAL CHEMISTRY OF DRUGS ACTING ON CENTRAL NERVOUS SYSTEM (CNS)

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PHC631 Course learning outcomes

By the end of these lessons, you should be able to:

1. Analyse functional groups present on drug molecules and their reactivity in relation to biological activity and pharmacokinetics, for a particular disease or condition (C4)
2. Determine appropriate drug therapy based on structure-activity relationships for a particular disease or condition. (C5)

Learning materials and recommended reading:

Padlet: <https://padlet.com/draisyahsaad/631cns>

Reading

CNS Stimulants: Foye – Chapters 11, 18 & 19.

CNS Depressants: Foye - Chapters 13, 14, 15, 16 & 17

Brief

The brain and its structures

“The brain stem is the oldest and innermost region of the brain. It’s designed to control the most basic functions of life, including breathing, attention, and motor responses.” [Ref. 2]



from Ref. 3

*“The brain stem begins where the spinal cord enters the skull and forms **the medulla**, the area of the brain stem that controls heart rate and breathing. In many cases the medulla alone is sufficient to maintain life — animals that have the remainder of their brains above the medulla severed are still able to eat, breathe, and even move.*

*The spherical shape above the medulla is **the pons**, a structure in the brain stem that helps control the movements of the body, playing a particularly important role in balance and walking.*

*Humans have a very large and highly developed outer brain layer known as **the cerebral cortex**. The cortex provides humans with excellent memory, outstanding cognitive skills, and the ability to experience complex emotions.” quoted from Ref. 2.*

CLASSIFICATION OF DRUGS ACTING ON CNS

Primary Classification	Sub-classification	Drug examples (Drugs highlighted in lectures)
CNS Stimulants	Cortical Stimulants	
	1. Classical cortical stimulants	Cocaine , Dexamphetamine, Xanthines (e.g. caffeine)
	2. Psychotomimetic (Hallucinogens)	Mescaline, LSD & THC
	3. Antidepressants “Mood elevators” <u>Inhibition:</u> Re-uptake Transporters (Serotonin and NA); MAOIs	SSRI - Fluoxetine, MAOI - Selegiline, TCA - Imipramine , Desipramine, Phenelzine, Iproniazid, Tranylcypromine
	Medullary Stimulants a.k.a. analeptics	Doxapram , Bemegrade, Picrotoxin, Nikethamide, Leptazol They refer to convulsants and respiratory stimulants – these are mainly experimental drugs.
Spinal Stimulants <u>Inhibition:</u> Glycine receptors	Strychnine , Brucine, Picrotoxin	

CNS Depressants	Antipsychotics (Neuroleptics, Ataractics, Tranquillizers) <u>Inhibition:</u> Dopamine 2 receptors (D2 receptors)	Phenothiazines (Promazine , Acepromazine, Chlorpromazine, Promethazine) Butyrophenones (Azaperone, Droperidol, Haloperidol , Haloanisone), Clonazepine Rauwolfia alkaloids (Reserpine)
	Anxiolytics (BZD) <u>Inhibition:</u> GABA _A receptor	Benzodiazepines BZD (Diazepam, Chlordiazepoxide)
	Anticonvulsants (Antiepileptics) <u>Inhibition:</u> Multiple ion channels and receptors	Phenobarbitone, Phenytoin, Lamotrigine, Diazepam, Carbamazepine , Ethosuximide, Acetazolamide etc.

References:

1. The pharmacological drug classification and SARs based on:
 - a. Dr. Nirbhay Kumar's Lecture Notes on Drugs acting on CNS, https://www.basu.org.in/wp-content/uploads/2020/07/Unit_III_Drugs_acting_on_CNS_.pdf
 - b. Foye's Principles of Medicinal Chemistry, 5th ed
 - i. CNS Stimulants: Chapters 11, 18 and 19
 - ii. CNS Depressants: Chapters 13, 14, 15, 16, 17
 - c. Rang and Dale's Pharmacology 9th Edition.
2. [Introduction to Psychology](#) (Open Textbook)
3. The graphic of the brain was obtained from: <http://www.pharmacy180.com/article/the-brain-3551/>
(Accessed 18 March 2022)