جامعة بيرزيت
BIRZEIT UNIVERSITY

Assignment

Medicinal Chemistry 2 / PHAR433

Instructor Amin Thawabteh

Student name and I.D : Muhammad Musleh /1162595

ASSIGNMENT 1 :-

Stimulants Drugs:-

1.1: What is the uses of CNS Stimulants Drugs ?

a- Chronic lethargy
b- Narcolepsy (Excessive Sleepiness)
c- Attention Problem (Inability to concentrate) - ADD
d- Infant Apnea
e- Appetite Suppressant

1.2: How does Cocaine produce its effects, and What it the types of it ?

When Cocaine enter the brain, it go to VTA (Ventral Tegmental Area) that is close to Hypothalamus, then Cocaine bind to Dopamine Transporter, this Transporter work by transport Dopamine and Recycle it to Transmitting Neuron (Stimulated it). However when Cocaine bind to this Transporter it block and stop the Recycling process, and this in turn Increase the Dopamine in Synapse (Amplified Signal) , So that is why the Pleasurable effect happened.

1.4: Discuss the CNS Side Effect of Stimulants Drugs ?

a- Headaches
b- Problem in sleep (Inability to sleep), if take overdose or depend on the drug
c- Loss of Appetite, so weight lose
d- Increased Anxiety
e- Dizziness and Restlessness
f- Hypertension, so Tachycardia
g- Increased rate of breathing
h- Mood swings
i- Facial Tics (Movement)

References:-

https://www.drugs.com/drug-class/cns-stimulants.html
https://www.drugabuse.gov/publications/research-reports/cocaine/how-does-cocaine-produce-its-effects

ASSIGNMENT 2 :-

Sedative and Hypnotics :-

2.1: Differentiate Between a Sedative and a Hypnotic.

Important Note: Lower Doses of Sedative or Hypnotic have Calming Effect and Higher Doses cause Sleep

Sedative:-

a- Decrease Activity
b- Moderate Excitement
c- Calming and Relaxing Effect
d- Anxiolytic Agent
e- Site of Action Limbic System

Hypnotic:-

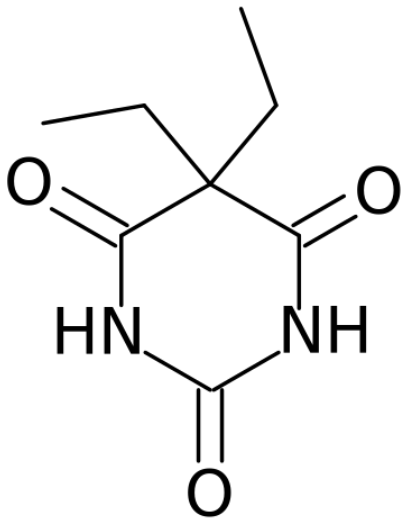
a- Drowsiness
b- Facilitate onset of sleep
c- Site of Action Midbrain

2.3: Classify Barbiturates on the Basis of the Duration of Action with Structural Examples for Each Class

A- Long- Acting (6 or more than 6 hours)

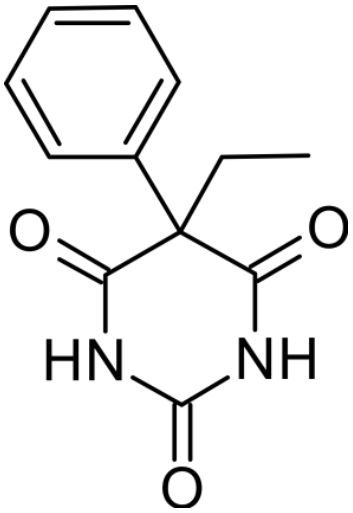
Barbital ($C_8H_{12}N_2O_3$)

Figure 1: Barbital Structure



Phenobarbital ($C_{12}H_{12}N_2O_3$)

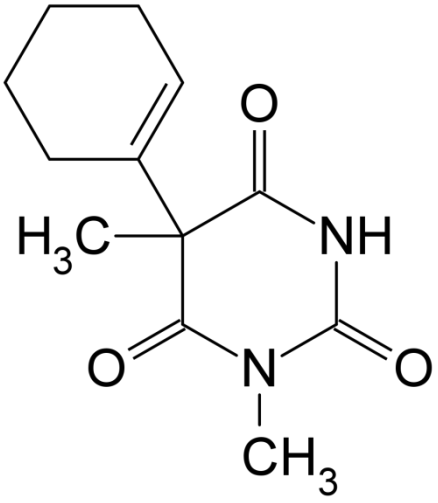
Figure 2: Phenobarbital Structure



B- Intermediate- Acting (3-6 hours)

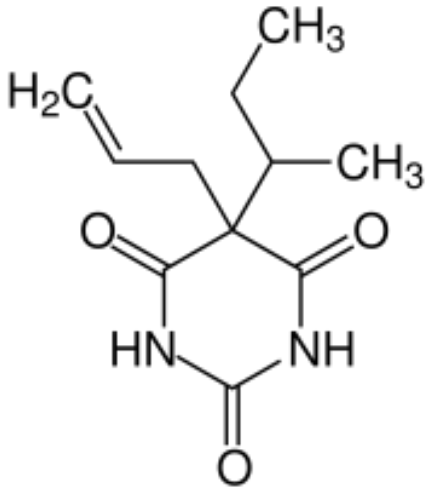
Hexobarbital ($C_{12}H_{16}N_2O_3$)

Figure 3: Hexobarbital Structure



Talbutal ($C_{11}H_{16}N_2O_3$)

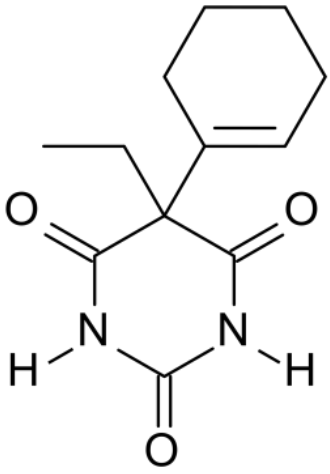
Figure 4: Talbutal Structure



C- Short-Acting (Less than 3 hours)

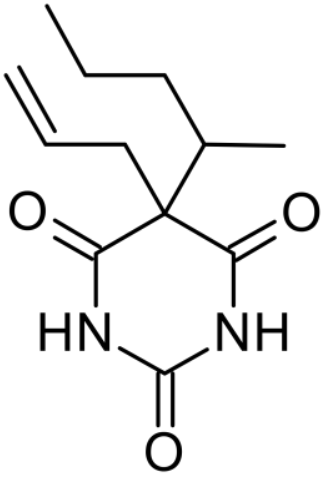
Cyclobarbital ($C_{12}H_{16}N_2O_3$)

Figure 5: Cyclobarbital Structure



Secobarbital ($C_{12}H_{18}N_2O_3$)

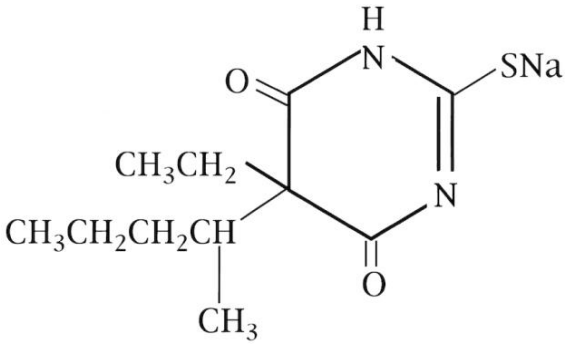
Figure 6: Secobarbital Structure



D- Ultra Short- Acting (15 Minutes)

Thiopentone ($C_{11}H_{17}N_2NaO_2S$)

Figure 7: Cyclobarbital Structure

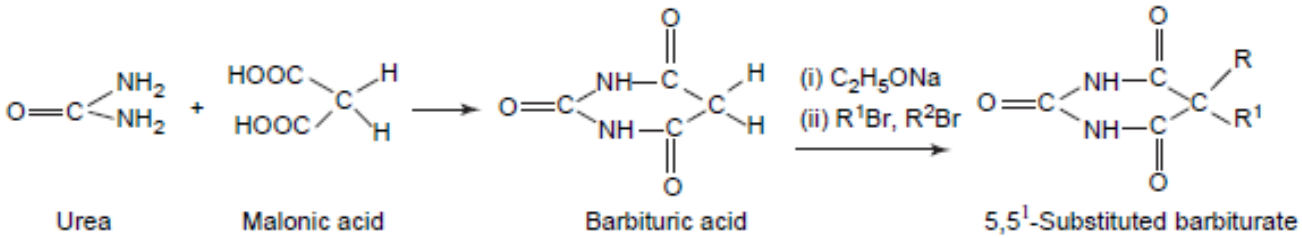


2.7 : Give the General Method of Synthesis of Barbiturates.

They have two Synthesis Method:-

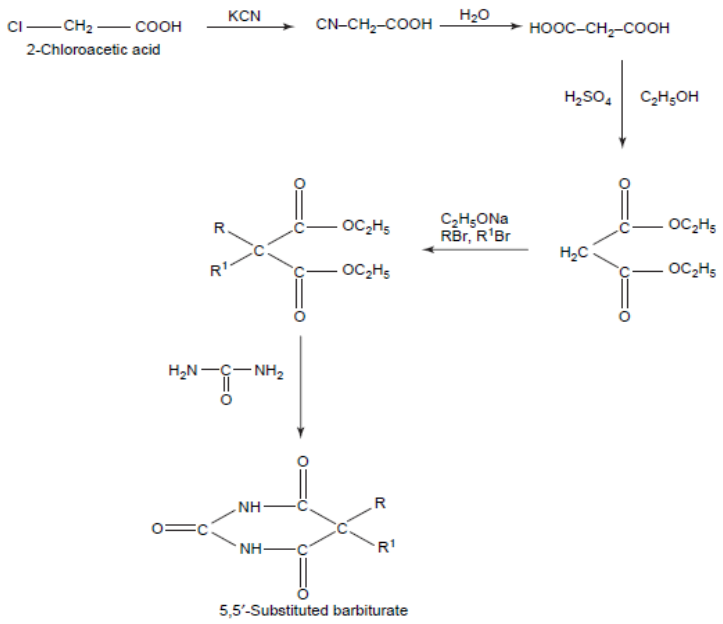
1- From Urea and Malonic Acid

Figure 8: Method 1 Synthesis



2- From Chloroacetic Acid

Figure 9: Method 2 Synthesis



ASSIGNMENT 7 :-

Anti-Depressants :-

7.2: Write the Mode of Action, and Metabolic Pathway of Amitriptyline and Imipramine ?

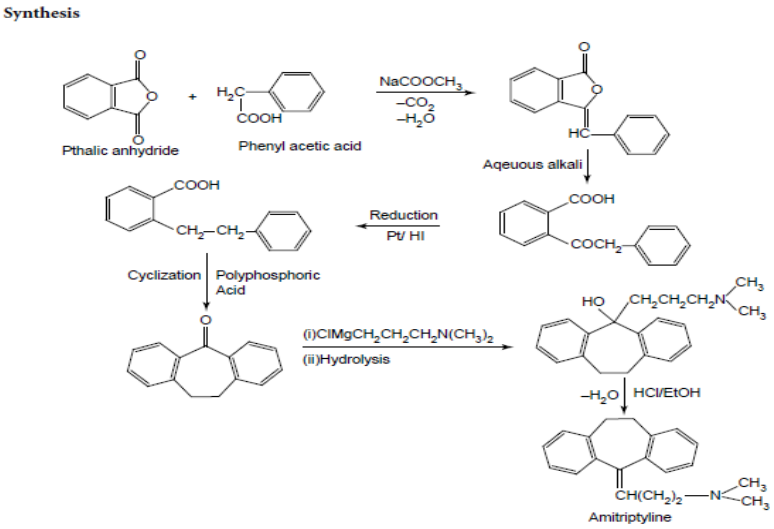
Amitriptyline and Imipramine two are Tricyclic Anti-Depressants (TCAs).

Mode of Action is Inhibiting the neuronal reuptake of the Neurotransmitters Serotonin (5-HT) and Norepinephrine , thus increase the concentration of them in Synaptic Cleft, and this in turn Improve Mental Alertness and Elevate Mood.

Metabolic Pathway:-

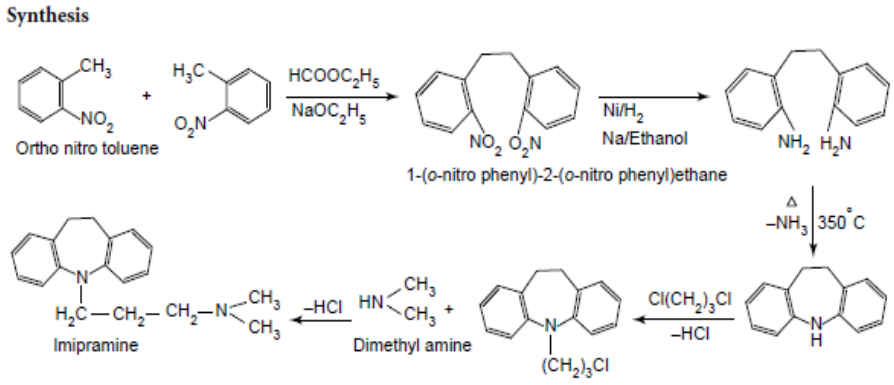
a- Amitriptyline

Figure 10: Amitriptyline Pathway



b- Imipramine

Figure 11: Imipramine Pathway



7.3: Write a Note on Selective Serotonin Reuptake Inhibitors(SSRI) Used as Anti-Depressants ?

a-They are relatively safe even in overdose.

b-They take at least 2 weeks to produce significant improvement in mood

7.4: What are the Two Primary Neurotransmitters Involved in Anti-Depressant Action ?

a-Norepinephrine
b-Serotonin (5-HT)

ASSIGNMENT 8 :-

Anti-Histamine :-

8.1: Define Non-Sedative Anti-Histamines and Their Utility ?

Definition: It is a Second Generation Anti-Histamines, it Is a type of drug that block the Histamine Receptor (H1) and it's a 2nd Generation , so inhibit the Activity of it Histamine and its characteristics that it has less Sedative effect and more Selective for the Peripheral H1 Receptors (Allergies) , and it used to numerous treatment. (Like: Levocetirizine, and Loratadine)

Utility:-

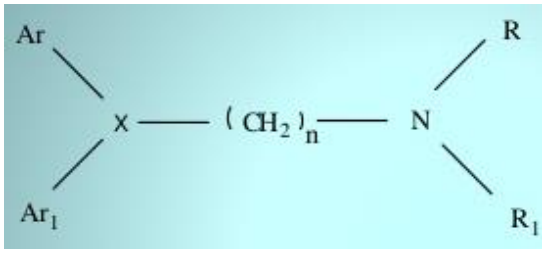
a- **Anaphylactic Shock (Adjuvant Treatment)**
b- **Anti-Pruritic (Itchy Eyes)**
c- **Chronic Urticaria (Hives)**
d- **Anti-Allergic (Allergic Rhinitis)**

8.2: Describe the Basic Structural Requirement for Anti-Histamines ?

Figure 12: General Structure

X: It is an Atom that Connecting and Spacer Group , like O, C, or N.

Ar: Aryl Group, Ar_1: Second one (Aryl or Aryl Methyl). Diaryl, it's important for the Affinity of H1 Receptor, like Phenyl. The Ring (phenyl)



influence the potency of the drug, and Link.

$(CH_2)_n$: Contain Two or Three atoms, and this in turn make a distance between Central and Diaryl Ring System

NRR_1: Terminal Nitrogen Atom, When the Amine is Tertiary it make Maximum Activity.

8.3: Define H1 Receptor Antagonists and Their Utility ?

Definition: Is a type of drug that block the Histamine Receptor (H1), so inhibit the Activity of it by decrease of eliminate the effect of chemical Histamine, and it used to numerous treatment like Relieve Allergic Symptoms, and Anti-Emetics (Like: Clemastine, and Ketotifen)

Utility:-

- **e- Sedative Agent (Sleep Aids)**
- **f- Anti-Pruritic (Stop Itching)**
- **g- Motion Sickness**
- **h- Vertigo**
- **i- Hay Fever**
- **j- Anti-Emetic Agent (Nausea and Vomiting)**
- **k- Anti-Allergic**
- **l- Acne**

References:-

https://www.amboss.com/us/knowledge/Antihistamines

https://www.merriam-webster.com/medical/H1%20antagonist

https://fpnotebook.com/ent/pharm/NnSdtngAnthstmn.htm

https://www.resourcepharm.com/pre-reg-pharmacist/sedating-antihistamines-and-non-sedating-antihistamines.html

https://www.slideshare.net/JoydeepGanguly/sar-of-h1-receptor-antagonists