

The logo of Galgotias University is a stylized circular emblem. It features a large, dark red outer ring. Inside this ring, there are three curved, overlapping bands: a yellow one at the top, a blue one in the middle, and a red one at the bottom. The bands are arranged in a way that they appear to be part of a larger, swirling shape.

HETEROCYCLIC COMPOUNDS

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SYLLABUS



Heterocyclic compounds:

- Nomenclature and classification
- Reactions and medicinal uses of following compounds/derivatives:
- Pyrrole
- Furan
- Thiophene

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CONTENT

- Introduction
- Classification
- Nomenclature
- Introduction and synthesis of Pyrrole
- Physical- Chemical Properties & Medicinal uses
- Introduction and synthesis Of Furan
- Physical- Chemical Properties & Medicinal uses
- Introduction and synthesis Of Thiophene
- Physical- Chemical Properties & Medicinal uses



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COURSE OBJECTIVE

- At the end of the course, the student shall be able to
- Understand the method of preparation and properties of organic compounds.
- Explain the mechanism of reaction of the organic compounds
- Know the synthetic application and medicinal importance of organic compounds
- Use of heterocyclic compounds

The logo of Galgotias University is a stylized 'G' shape composed of three curved, overlapping bands in red, yellow, and blue, set against a white background.

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COURSE OUTCOME

CO No.	CO STATEMENT	LEVEL OF TAXONOMY
CO3.1	Define and identify the basic concept of nomenclature of heterocyclic compounds.	Level I (Remembering)
CO3.2	Classify the various heterocyclic compounds on the different bases.	Level II (Understanding)
CO3.3	Explain the method of preparation of different heterocyclic compounds.	Level III (Applying)

CO-PO MAPPING

Cos	PO1	PO2	PO3	PO4	PO5	PO6	PO7	PO8	PO9	PO 10	PO 11
CO401.3	3	-	1	3	-	-	-	-	1	-	2

The CO-PO mapping has been done with correlation levels of 3, 2, 1 and '-'. The notation of 3, 2 and 1 denotes substantially (high), moderately (medium) and slightly (low). The meaning of '-' is no correlation between CO and PO.

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PROGRAMME OUTCOMES (POs)

PO 1	Pharmacy Knowledge: Possess knowledge and comprehension of the core and basic knowledge associated with the profession of pharmacy, including biomedical sciences; pharmaceutical sciences; behavioral, social, and administrative pharmacy sciences; and manufacturing practices.
PO 2	Planning Abilities: Demonstrate effective planning abilities including time management, resource management, delegation skills and organizational skills. Develop and implement plans and organize work to meet deadlines
PO 3	Problem analysis: Utilize the principles of scientific enquiry, thinking analytically, clearly and critically, while solving problems and making decisions during daily practice. Find, analyze, evaluate and apply information systematically and shall make defensible decisions.
PO 4	Modern tool usage: Learn, select, and apply appropriate methods and procedures, resources, and modern pharmacy-related computing tools with an understanding of the limitations.

PROGRAMME OUTCOMES (POs)

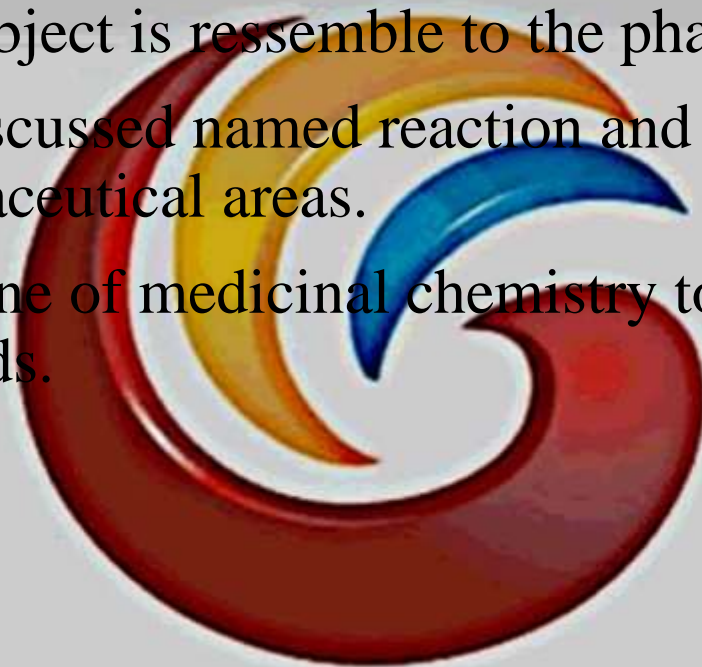
PO 5	Leadership skills: Understand and consider the human reaction to change, motivation issues, leadership and team-building when planning changes required for fulfillment of practice, professional and societal responsibilities. Assume participatory roles as responsible citizens or leadership roles when appropriate to facilitate improvement in health and wellbeing.
PO 6	Professional Identity: Understand, analyze and communicate the value of their professional roles in society (e.g. health care professionals, promoters of health, educators, managers, employers, employees).
PO 7	Pharmaceutical Ethics: Honour personal values and apply ethical principles in professional and social contexts. Demonstrate behavior that recognizes cultural and personal variability in values, communication and lifestyles. Use ethical frameworks; apply ethical principles while making decisions and take responsibility for the outcomes associated with the decisions.

PROGRAMME OUTCOMES (POs)

PO 8	Communication: Communicate effectively with the pharmacy community and with society at large, such as, being able to comprehend and write effective reports, make effective presentations and documentation, and give and receive clear instructions.
PO 9	The Pharmacist and society: Apply reasoning informed by the contextual knowledge to assess societal, health, safety and legal issues and the consequent responsibilities relevant to the professional pharmacy practice
PO 10	Environment and sustainability: Understand the impact of the professional pharmacy solutions in societal and environmental contexts, and demonstrate the knowledge of, and need for sustainable development
PO 11	Life-long learning: Recognize the need for, and have the preparation and ability to engage in independent and life-long learning in the broadest context of technological change. Self access and use feedback effectively from others to identify learning needs and to satisfy these needs on an ongoing basis.

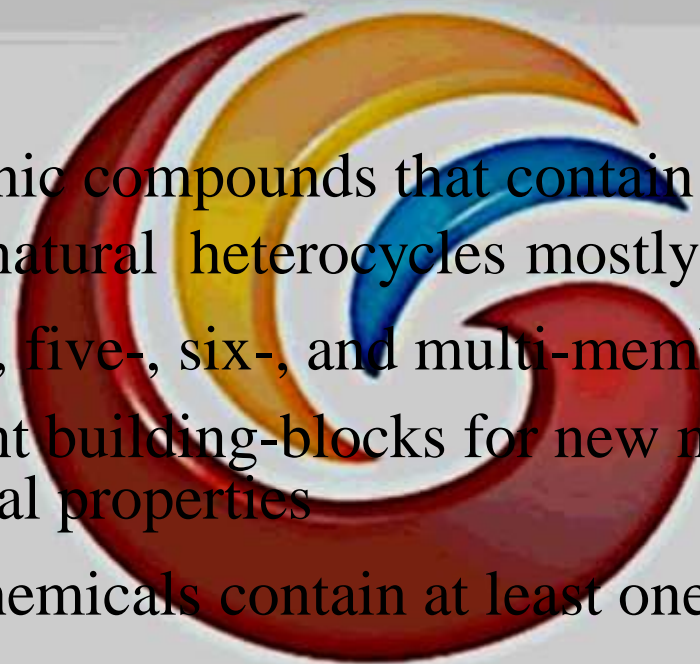
PREREQUISITE AND RECAP

- This organic chemistry subject is resemble to the pharmaceutical chemistry.
- In this subject we have discussed named reaction and their synthetic application which is used for various pharmaceutical areas.
- This subject is the backbone of medicinal chemistry to study the structures and synthesis of the compounds.



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HETEROCYCLIC COMPOUNDS



- **INTRODUCTION:**

- Heterocyclic compounds are organic compounds that contain rings composed of carbon and **other atoms – heteroatoms** – in natural heterocycles mostly **nitrogen, sulfur, and oxygen**.
- Heterocycles exist as three-, four-, five-, six-, and multi-membered rings.
- Heterocyclic systems are important building-blocks for new materials possessing interesting electronic, mechanical or biological properties
- Many pharmaceuticals and agrochemicals contain at least one heterocyclic unit.

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HETEROCYCLIC COMPOUNDS

- **CLASSIFICATION:**

- ❖ In there heterocyclic compounds are classify into many types-

- **On the basis of cyclic rings:**

- 1- Monocyclic Ring: 1-cyclic ring + 1 or more heteroatoms

- Example:

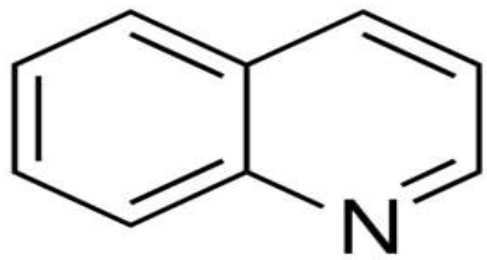
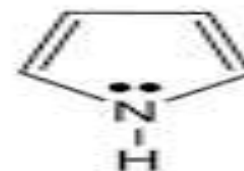
Furan



Thiophene



Pyrrole

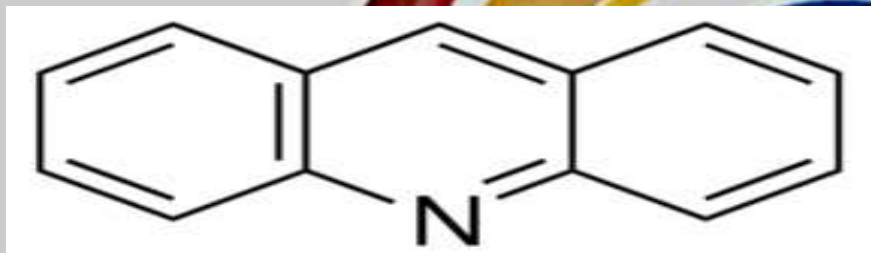


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- 2-Bicyclic Ring: 2- cyclic ring + 1 or more heteroatoms

HETEROCYCLIC COMPOUNDS

3- Polycyclic Ring: 3- or more than 3 cyclic ring + 1 or more heteroatoms




• **On the basis of aromaticity and non-aromaticity :**

- **Nonaromatic heterocycles** – cyclic ethers, amines, and sulfides behave like their acyclic analogs with the same functional group and do not require special discussion.
- **Aromatic heterocycles** (with the maximum number of conjugated double bonds) are much more important.

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HETEROCYCLIC COMPOUNDS

- On the basis of heteroatoms

Hetero-atom	Saturated	Unsaturated
Nitrogen	Aziridine 	Azirine 
Oxygen	Ethylene oxide 	Oxirene 
Sulfur	Thiirane 	Thiirene 

HETEROCYCLIC COMPOUNDS

- **Nomenclature of heterocycles**
- **Common names** for many ring systems are accepted by the IUPAC rules:
 - rings with maximum double bonds
 - furan, pyrrole, indole, pyridine, etc.
 - saturated ring systems
 - either prefixes dihydro-, tetrahydro-, perhydro-,
 - or in five-membered rings pyrrolidine, pyrrolidone,
 - in six-membered rings piperidine, piperazine

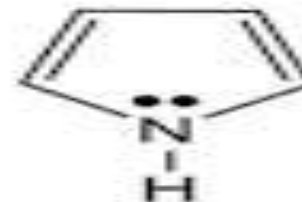
Furan



Thiophene



Pyrrole



HETEROCYCLIC COMPOUNDS

- Nomenclature according to ring size and heteroatoms



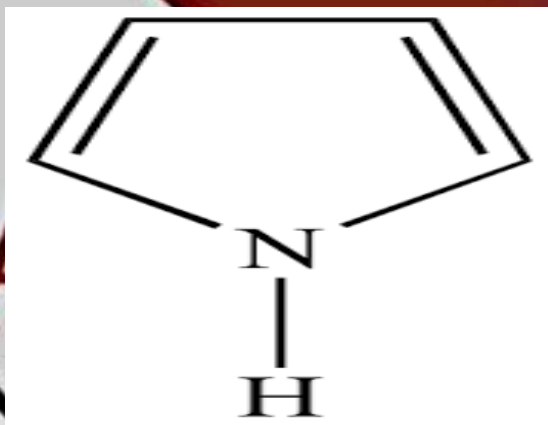
Size of ring	Rings containing nitrogen		Rings containing no nitrogen	
	<i>Unsaturated^(a)</i>	<i>Saturated</i>	<i>Unsaturated^(a)</i>	<i>Saturated</i>
3	-irine	-iridine	-iren	-iran
4	-ete	-etidine	-et	-etan
5	-ole	-olidine	-ole	-olan
6	-ine	(b)	-in	-ane
7	-epine	(b)	-epin	-epan
8	-ocine	(b)	-ocin	-ocan
9	-onine	(b)	-onin	-onan
10	-ecine	(b)	-ecin	-ecan

HETEROCYCLIC COMPOUNDS

- **PYRROLE (INTRODUCTION)**

- Pyrrole is a heterocyclic aromatic organic compound.
- It has a five-membered ring.
- Molecular formula- C_4H_4NH .

- **Chemical Structure**

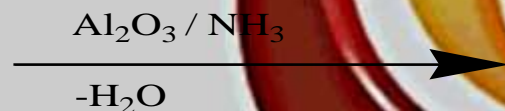


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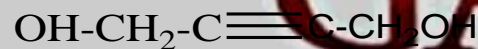
HETEROCYCLIC COMPOUNDS

• SYNTHESIS OF PYRROLE

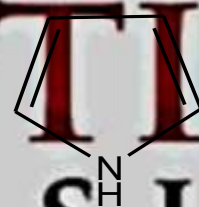
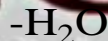
1- Industrially pyrrole is obtained by passing a mixture of furan and ammonia over alumina at 400°C



2. It can be obtained by heating 2-butyne-1, 4 diol with ammonia under pressure



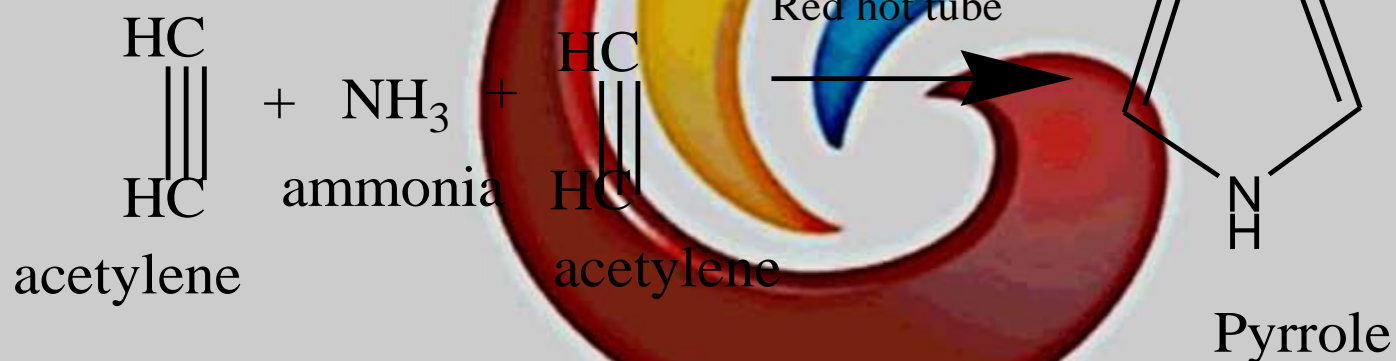
2-butyne-1, 4 diol



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HETEROCYCLIC COMPOUNDS

3- It can be prepared by passing acetylene and ammonia by Red hot tube



4. It can be obtained by heating 2-butyne-1, 4 diol with ammonia under pressure



HETEROCYCLIC COMPOUNDS

• CHEMICAL PROPERTIES:

- Pyrrole is aromatic and more reactive than benzene.
- Pyrrole behaves both as a weak acids and exhibits the following chemical reaction-
 - Reduction reactions
 - Oxidation reactions
 - Ring expansion reactions
 - Reimer-Tiemann reactions
 - Ring opening reactions
- Electrophilic Substitution Reaction occurs at C₂ and C₃ position

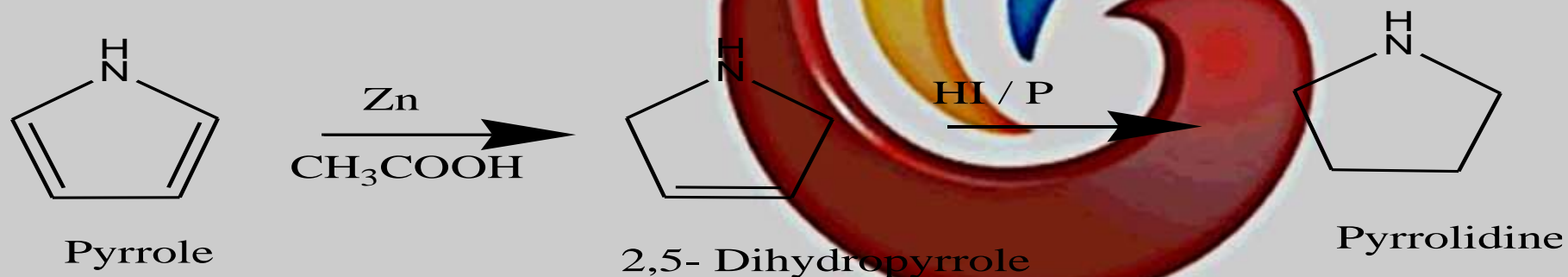
The logo of Galgotias University is a stylized, circular emblem. It features a central blue shape that resembles a flame or a drop, surrounded by a red and orange swirl. The overall design is dynamic and colorful.

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HETEROCYCLIC COMPOUNDS

1- Reduction reactions

Pyrrole on reduction with zinc and acetic acid gives 2,5-dihydropyrrole which on reduction with HI and Red phosphorus gives pyrrolidine.



2- Oxidation reactions

Pyrrole is oxidised to maleinimide in the presence of chromium tri oxide in acetic acid.



HETEROCYCLIC COMPOUNDS

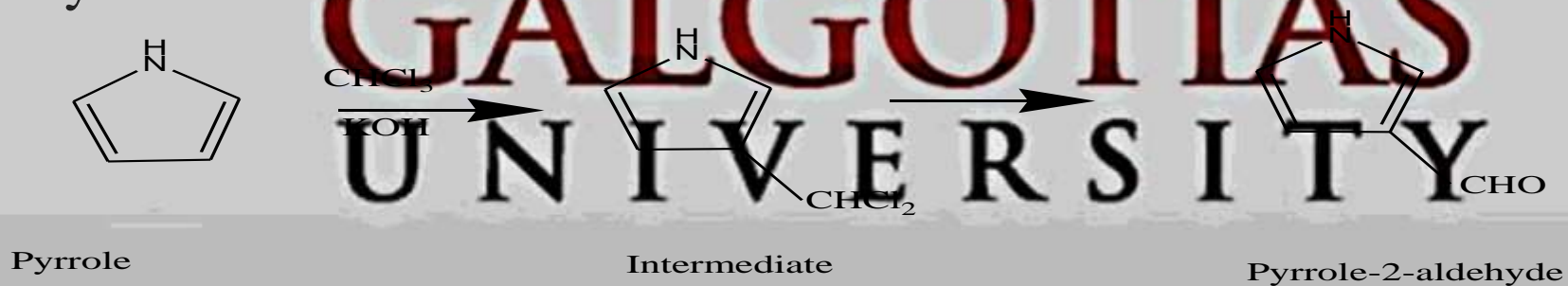
4- Ring expansion reactions

Pyrrole ring expands on heating potassium pyrrole with chloroform and sodium ethoxide.



5- Reimer-Tiemann reactions

In presence of a strong base and chloroform pyrrole undergoes Reimer-Tiemann reaction to form pyrrole-2-aldehyde.



HETEROCYCLIC COMPOUNDS

• MEDICINAL USES OF PYRROLE

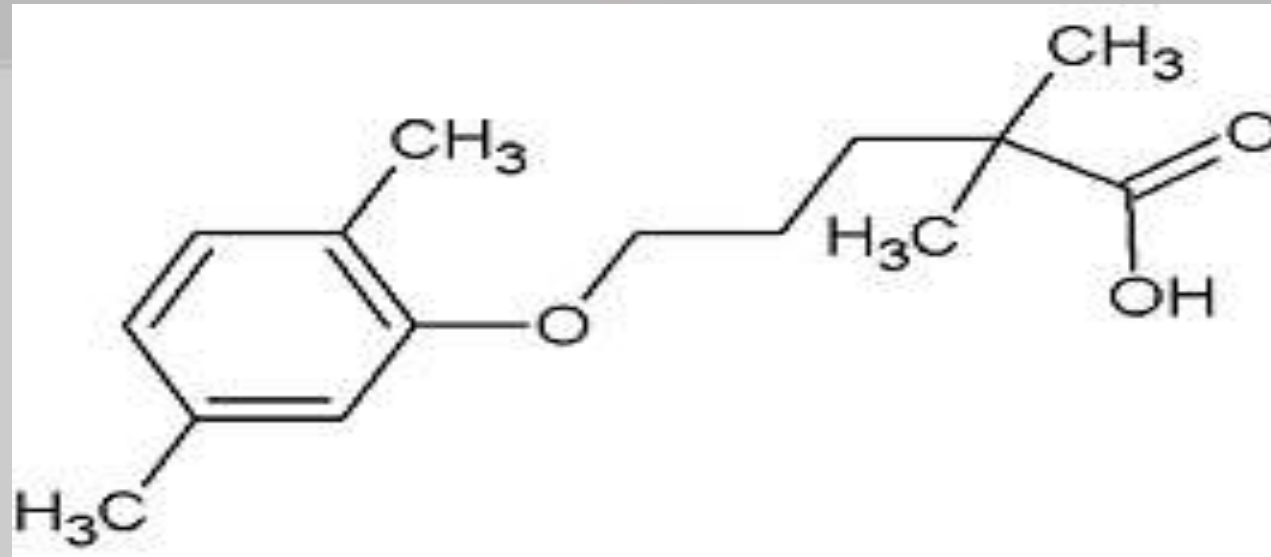
- Antibiotics
 - Antiinflammatory
 - As fungicides
 - Antitumor agents
 - As preservatives
 - Cholesterol reducing agents (Antihypertensive)
- **Some examples of pyrrole derivatives used as salt of drugs:**
- Atrovastatin
 - Ondansetron
 - Captopril
 - Enalapril



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HETEROCYCLIC COMPOUNDS

➤ Atrovastatin



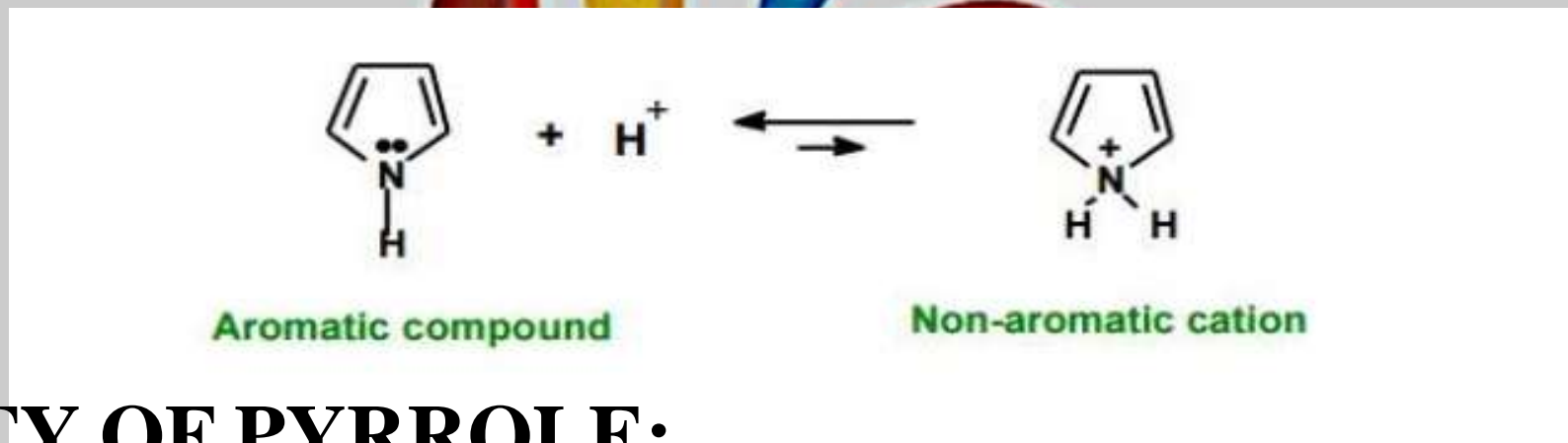
➤ Ondansetron



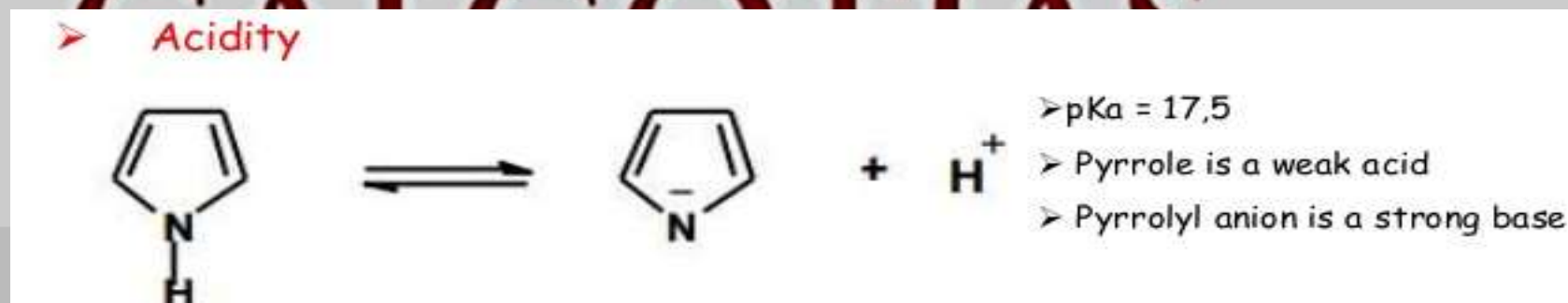
HETEROCYCLIC COMPOUNDS

- **BASICITY OF PYRROLE:**

Pyrrrole is a weak base: Protonation breaks aromaticity (lone pair participates in conjugation) and thus it is not readily available.



- **ACIDITY OF PYRROLE:**



HETEROCYCLIC COMPOUNDS

- **FURAN (INTRODUCTION)**

- Furan is a heterocyclic aromatic organic compound.
- It has a five-membered ring.
- Molecular formula- C_4H_4O .

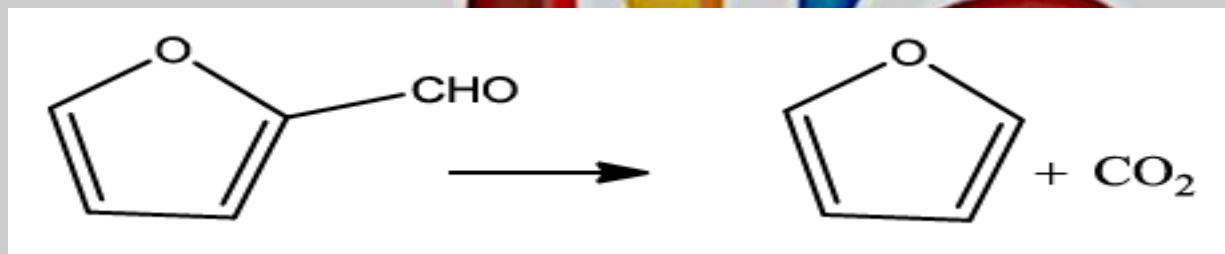
- **Chemical Structure**



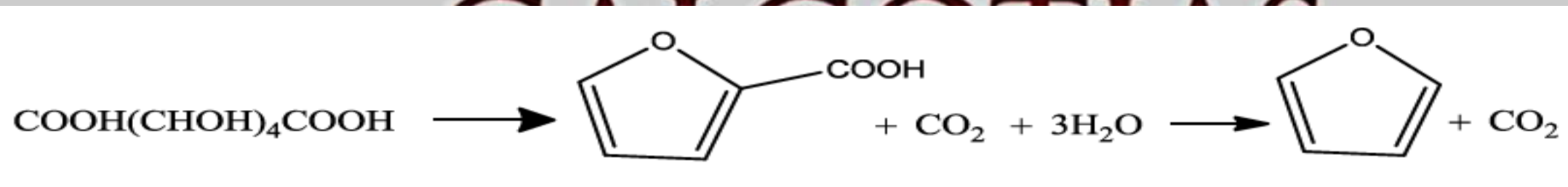
HETEROCYCLIC COMPOUNDS

• SYNTHESIS OF FURAN

1- Industrially Furan obtained by passing a mixture of furfural by dextrarboxylation.



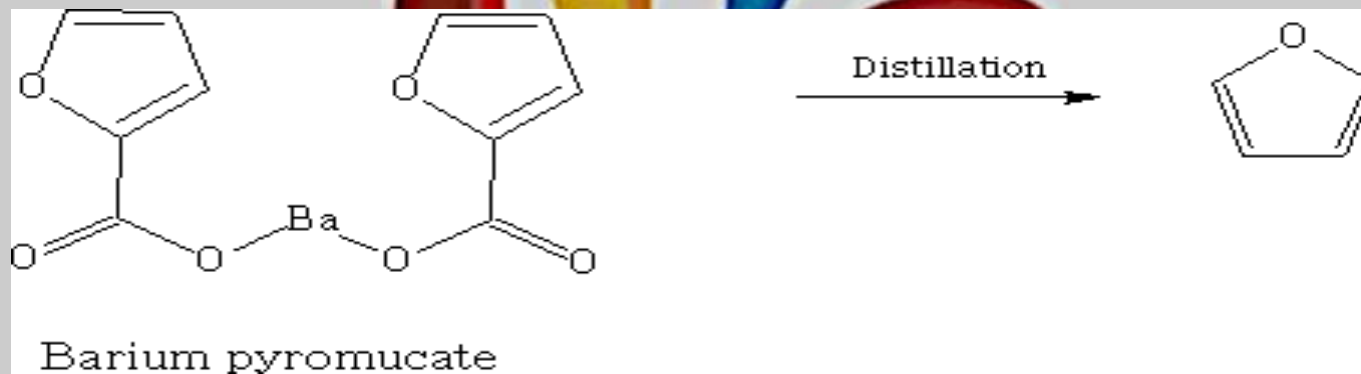
2. From Furoic acid- Furoic acid obtained from mucic acid and after decarboxylation it produces furan



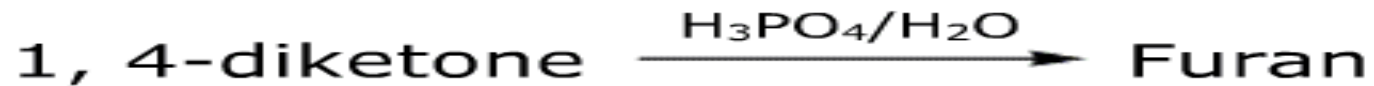
HETEROCYCLIC COMPOUNDS

• SYNTHESIS OF FURAN

3- By the distillation of barium pyromucate.



2- Paal- Knorr Furan Synthesis



HETEROCYCLIC COMPOUNDS

- PROPERTIES OF FURAN

- PHYSICAL PROPERTIES:

- **Colour & State-** It is colourless, flammable, volatile liquid.
- **Boiling point-** 131° C
- **Melting point-** -85° C
- **Solubility-** Slightly soluble in water but totally dissolve in ether and ethanol.
- Furan is weakly basic in nature.
- Toxic and may be carcinogenic.

The logo of Galgotias University is a stylized, circular emblem. It features a central white space with a red, yellow, and blue swirl design. The swirl starts from the bottom left, moves up and right, then curves down and left, and finally up and right again, creating a sense of motion and energy.

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HETEROCYCLIC COMPOUNDS

• CHEMICAL PROPERTIES:

- Furan is aromatic and more reactive than benzene.
- Furan behaves both as a weak acids and exhibits the following chemical reaction-
 - Reduction reactions
 - Oxidation reactions
 - Ring expansion reactions
 - Reimer-Tiemann reactions
 - Ring opening reactions
- Electrophilic Substitution Reaction occurs at C₂ and C₃ position

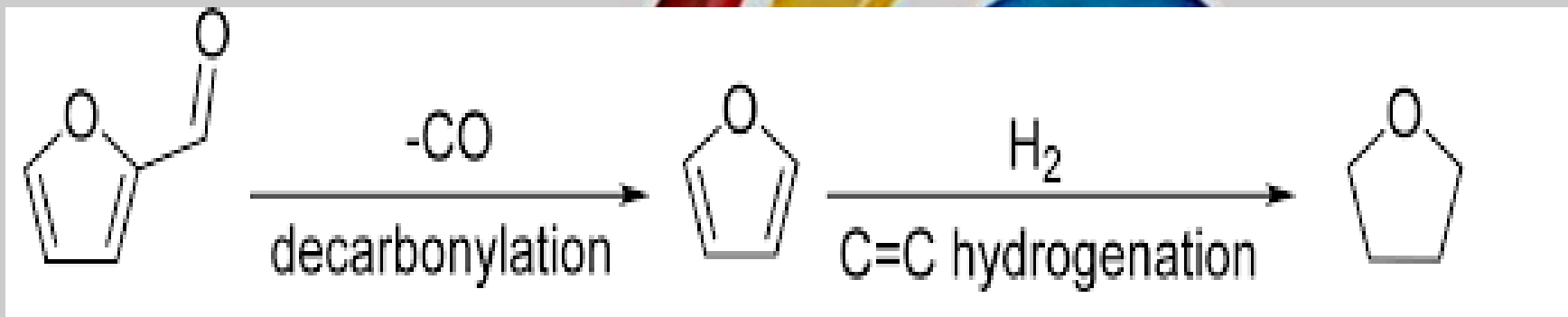
The logo of Galgotias University is a stylized, circular emblem. It features a central white swirl that transitions into a red outer ring. Inside the red ring, there are two curved, flame-like shapes: one yellow and one blue, both pointing towards the center. The overall design is dynamic and colorful.

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HETEROCYCLIC COMPOUNDS

1-Reduction reactions

Furan obtained by decarboxylation of furfural and on reduction of furan gets tetrahydrofuran.



2-Oxidation reactions

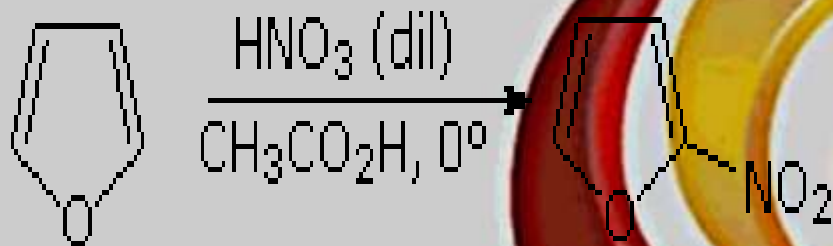
Furan is oxidised to Succinaldehyde in the formation of 1,4- peroxide



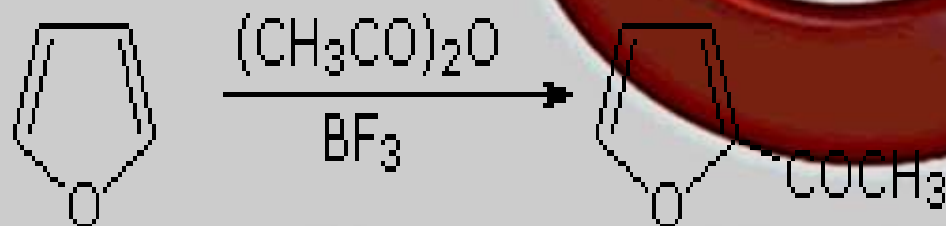
HETEROCYCLIC COMPOUNDS

3-Electrophilic substitution reaction of furan

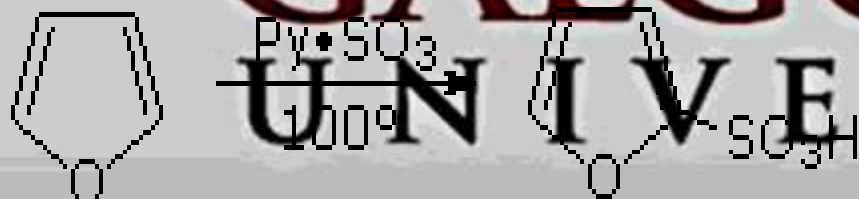
- Nitration



- Acetylation



- Sulphonation



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HETEROCYCLIC COMPOUNDS

• MEDICINAL USES OF FURAN

- Antimicrobial
- Antiinflammatory
- Antiulcer
- Antidiuretic
- Antihistamins
- Analgesic
- Muscle relaxant

• Some examples of furan derivatives used as salt of drugs:

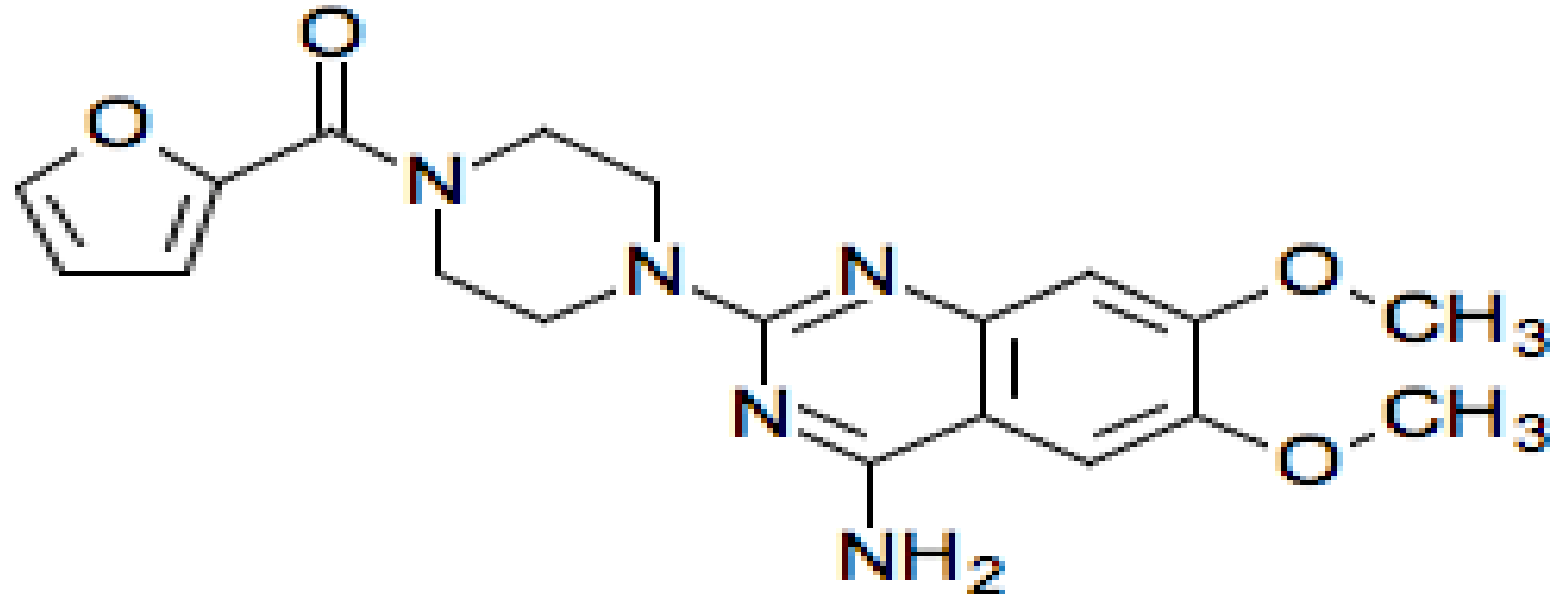
- Pragocin
- Dentralone
- Furosemide



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HETEROCYCLIC COMPOUNDS

Pragocin



Furosemide



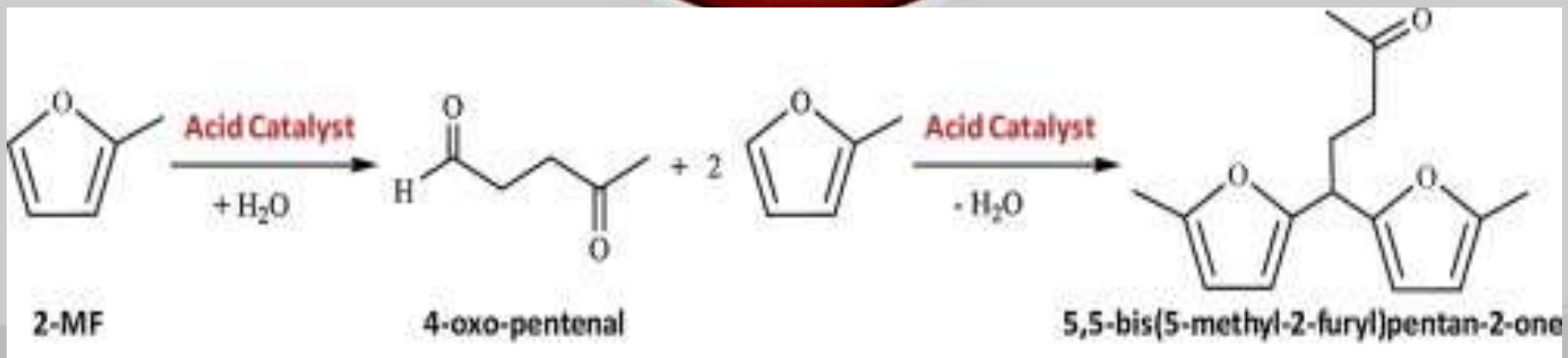
HETEROCYCLIC COMPOUNDS

- **BASICITY OF FURAN:**

Furan is not more basic than pyrrole.

This is because nitrogen(in pyrrole) has only one lone pair which is delocalised.

However, oxygen in furan has two lone pairs. One of these lone pairs is delocalised while the other is localised in an sp² hybrid orbital.



HETEROCYCLIC COMPOUNDS

- **THIOPHENE (INTRODUCTION)**

- Thiophene is a heterocyclic aromatic organic compound.
- It has a five-membered ring.
- Molecular formula- C_4H_4S .

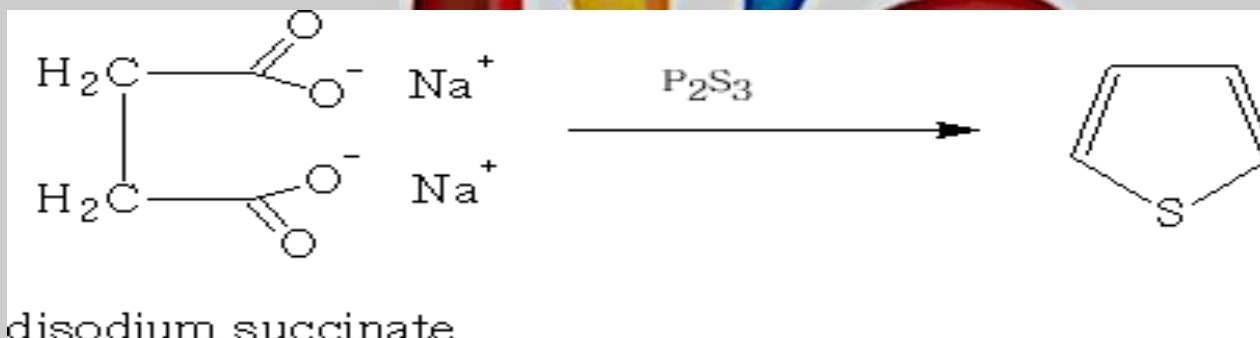
- **Chemical Structure**



HETEROCYCLIC COMPOUNDS

• SYNTHESIS OF THIOPHENE:

1- Industrially thiophene obtained by sulphonation of disodium succinate.



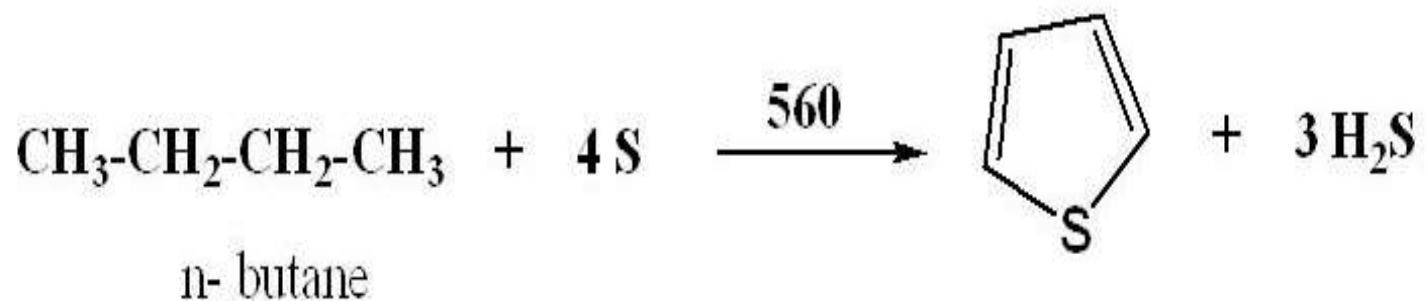
disodium succinate

2. From mucoic acid in the presence of lawesson's reagents-



HETEROCYCLIC COMPOUNDS

3- Industrially thiophene obtained by passing a mixture of n- butane



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HETEROCYCLIC COMPOUNDS

- PROPERTIES OF THIOPHENE

- PHYSICAL PROPERTIES:

- **Colour & State-** It is colourless liquid.
- **Boiling point-** 84° C
- **Melting point-** -38° C
- **Solubility-** Slightly soluble in water but totally dissolve in ether and ethanol.
- Pleasant odor.

A large, stylized logo for Galgotias University, featuring a circular design with red, yellow, and blue swirling elements.

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HETEROCYCLIC COMPOUNDS

• CHEMICAL PROPERTIES:

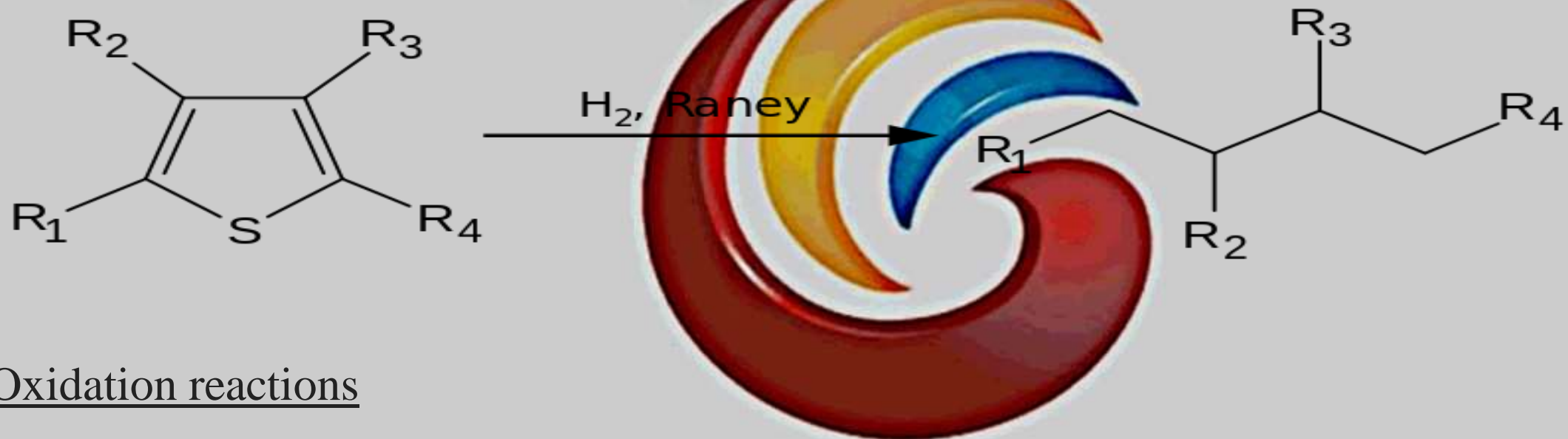
- Thiophene is aromatic and more reactive than benzene.
- Thiophene behaves both as a weak acids and exhibits the following chemical reaction-
 - Reduction reactions
 - Oxidation reactions
 - Ring expansion reactions
 - Reimer-Tiemann reactions
 - Ring opening reactions
- Electrophilic Substitution Reaction occurs at C₂ and C₃ position

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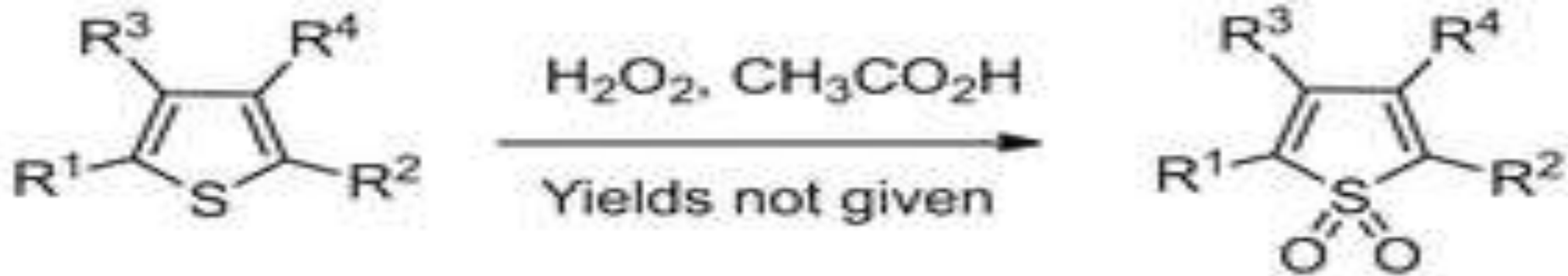
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HETEROCYCLIC COMPOUNDS

1-Reduction reactions



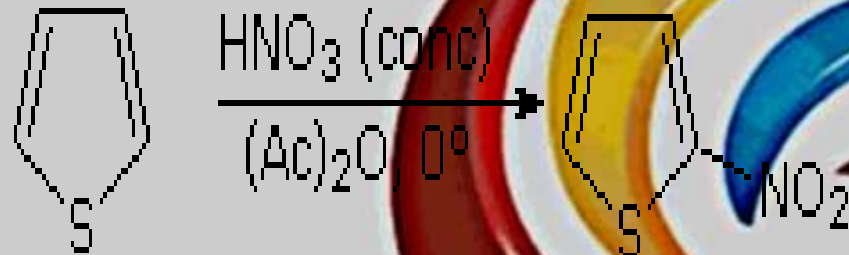
2-Oxidation reactions



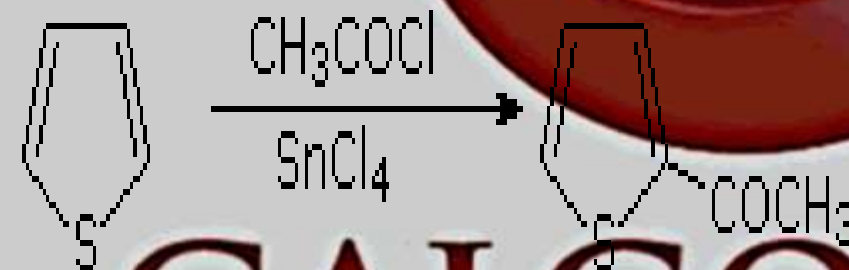
HETEROCYCLIC COMPOUNDS

3-Electrophilic substitution reaction of thiophene

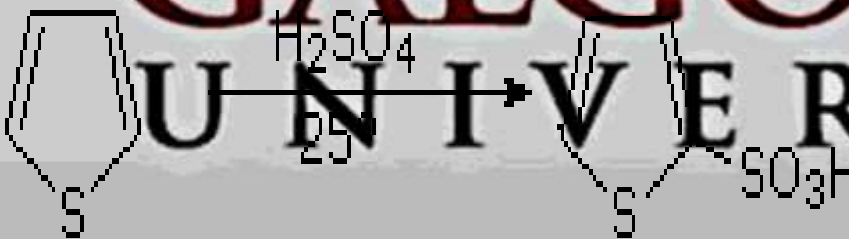
- Nitration



- Acetylation



- Sulphonation



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HETEROCYCLIC COMPOUNDS

• MEDICINAL USES OF THIOPHENE

- Antimicrobial
- Anti inflammatory
- Anticancer
- Anticoagulant
- Antileprotic
- Antihypertensive
- Muscle relaxant

• Some examples of thiophene derivatives used as salt of drugs:

- Cephalothin
- Cefotoxin
- Tenoxicam



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Summary

- Heterocyclic compounds possess a cyclic structure with two or more different kinds of atoms in the ring.
- Organic heterocyclic compounds in which the ring contains at least one carbon atom; all atoms other than carbon are considered as heteroatoms. Carbon is still by far the most common ring atom in heterocyclic compounds, but the number and variety of heteroatoms in the rings of known compounds has increased as the years go by and thus there is a steady transition to include the expanding domain of inorganic heterocyclic systems. Since rings can be of any size, from three-membered upwards, and since the heteroatoms can be drawn in almost any combination from a large number of the elements (though nitrogen, oxygen, and sulfur are still by far the most common), the number of possible heterocyclic systems is almost limitless. An enormous number of heterocyclic compounds is known and this number continues to increase very rapidly.

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Daily Quiz

Question-4: what is the correct order of reactivity (most reactive first) of pyrrole , furan & thiophene

- thiophene>pyrrole> furan
- furan> thiophene> pyrrole
- furan> pyrrole> thiophehe

Question-5: which of the following solvent ia a heterocyclic compound

- DMSO
- THF
- DMF

Question-6: Electrophilic substitution in furan usually occurs at

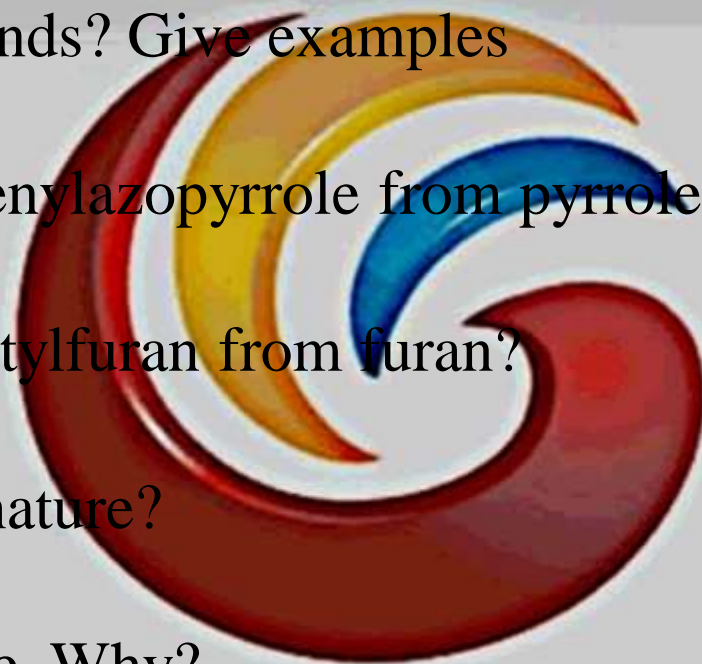
- C2 atom
- C3 atom
- O atom



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Weekly Assignment

- What are heterocyclic compounds? Give examples
- How will you synthesize 2-phenylazopyrrole from pyrrole?.
- How will you synthesize 2-acetylfuran from furan?
- Why pyrrole is weak basic in nature?
- Pyrrole is weak acidic in nature. Why?
- Write the synthesis of furan.
- Why are pyrrole, thiophene & furan are aromatic?



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MCQs

Question-1: Five membered rings come under which category of heterocycle classification on the basis of chemical behaviour?

- excessive heterocycle
- deficient heterocycle

Question-2: What is the product when thiophene reacts with Br₂ in benzene?

- 2,5-dibromothiophene
- 3,4- dibromothiophene

Question-3: What is the product when pyrrole reacts with Br₂ in benzene?

- 2,3-dibromopyrrole
- 2,3,4,5-tetrabromopyrrole
- 2,5-dibromopyrrole



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MCQ s

Question-4: Which of the following reagents will react with pyrrole to form 2-formylpyrrole?

- HCOOH
- H₂O₂
- CHCl₃ / KOH

Question-5: Which product is formed when Furfural react with Ag₂O

- furan
- furoic acid
- pyrrole

Question-6: how many resonating structure forms in pyrrole when ESR occurs at C2 positon

- 3
- 2



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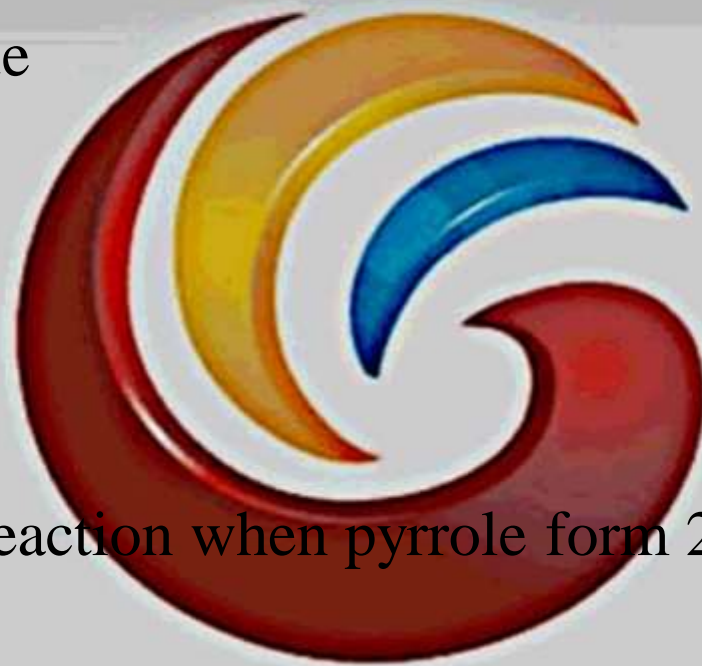
MCQ s

Question-7: which statement is true

- pyrrole is a weak base
- pyrrole is a weak acid
- both a & b
- pyrrole is a strong base

Question-8: What is the name of reaction when pyrrole form 2-formylpyrrole in the presence of HCN / HCl

- Gattermann Reaction
- Riemer Tiemann Reaction
- None of the above
- Friedal craft Reaction



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MCQ s

Question-7: Which of the following is not true about the five membered rings?

- Five membered rings are more stable than 4 membered rings.
- Five membered rings are more stable than 6 membered rings.
- Five membered rings are more stable than 7 membered rings.
- Five membered rings are more stable than 8 membered rings.

Question-8: What is the name of reaction when pyrrole form 2-formylpyrrole in the presence of $\text{CHCl}_3 / \text{KOH}$

- Gattermann Synthesis
- Riemer Tiemann Reaction
- Friedal craft Reaction
- None of the above

The logo of Galgotias University is a stylized, three-dimensional spiral. It starts with a red base at the bottom, curves upwards and to the right, then loops back to the left, and continues to curve upwards and to the right, ending in a blue tip. The spiral has a glossy, metallic appearance.

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Expected Questions for University Exam

- Furan is not stable to acid although it has aromatic character
- are all heterocyclic compounds aromatic in nature. If not give any three examples of non-aromatic heterocycles?
- Explain, why pyrrole is more reactive in electrophilic substitution than benzene?
- Write method of synthesis, chemical properties and pharmaceutical applications of thiophene.
- Give the synthesis of pyrrole and its derivative. Write its chemical and physical properties with examples.
- Discuss with examples the rules of nomenclature and classification of heterocyclic compounds.
- What are heterocyclic compounds and classify them.
- Give the relative aromaticity of furan, thiophene and pyrrole

The logo of Galgotias University is a stylized 'G' composed of three overlapping, curved bands in red, yellow, and blue, creating a sense of motion and depth.

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References and Books to be followed

- 1- Organic Chemistry- by Morrison R.T. and Boyd R.N., Bhattacharjee S.K., 7 th Edition, Dorling Kindersley (India) Pvt. Ltd. (Pearson Education).
- 2- Organic Chemistry- by Finar I.L., 6 th Edition, Vol.-I, Dorling Kindersley (India) Pvt. Ltd (Pearson Education)
- 3- An Introduction to the Chemistry of Heterocyclic Compounds- by Acheson R.M., 3 rd Edition, Wiley (India) Pvt. Ltd.

References:

1. <https://en.wikipedia.org/wiki/Thiophene>
2. <https://en.wikipedia.org/wiki/Furan>
3. <https://www.google.com/>
4. <https://www.ncbi.nlm.nih.gov/pubmed/23716174>

A large, stylized logo of Galgotias University, featuring a spiral design with red, yellow, and blue colors.

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THANK YOU

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