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Synthesis, Characterization and Antimic6 Activity of Some Pyrazole Derivative

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Abstract- Objective: ***The present study aimed to evaluate the antibacterial activity of different Pyrazole derivatives (4A–E) and screened against selected pathogenic microorganisms and to compare their efficacy with a standard antibiotic.***
Materials and Methods: ***The antibacterial activity of the developed formulations was assessed against Pseudomonas aeruginosa, Klebsiella pneumoniae, and Staphylococcus aureus using the zone of inhibition method. The results were compared with the standard drug tetracycline to determine relative efficacy.***
Results: ***Among all formulations, 5B and 5C demonstrated the highest antibacterial activity, exhibiting significant zones of inhibition against all tested microorganisms. Strong activity was particularly observed against Pseudomonas aeruginosa and Staphylococcus aureus, indicating broad-spectrum effectiveness. Other formulations showed moderate to low activity, while some exhibited no inhibition against Klebsiella pneumoniae.***

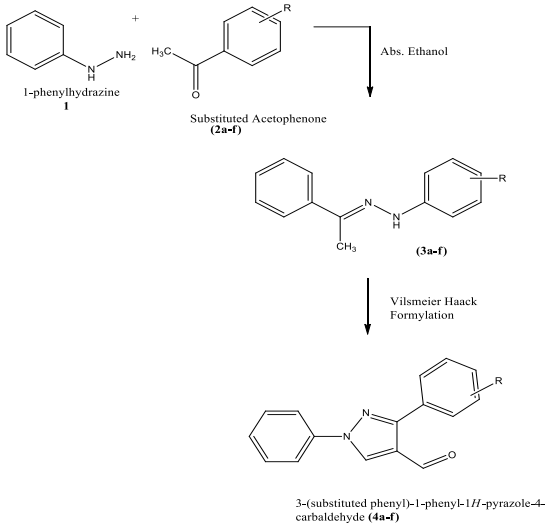
Keywords: ***Antibacterial, Pyrazole, Klebsiella Pneumoniae.***

I. INTRODUCTION

Fungi are eukaryotic organisms that have one or more cells. They have a unique cell wall structure and a cytoplasmic membrane made of sterols, primarily ergosterol. [3] Fungal infections are caused by microscopic organisms that may penetrate epithelial tissue. Moulds, rusts, yeasts, and mushrooms are all members of the kingdom of fungi. Fungi are heterotrophic, which means they obtain their nourishment from outside sources as opposed to within (like plants with photosynthesis), much like humans. Some fungus can cause opportunistic infections when they penetrate the skin through wounds or enter the lungs and nasal passages through inhalation, however most fungi are beneficial and involved in biodegradation. [4] Fungal infections, primarily those caused by Aspergillus, Candida, and Cryptococcus species, are thought to be the cause of one million deaths per year. These underdiagnosed diseases have a high related mortality rate and are challenging to treat, even with antifungal drugs. [5

II. MATERIAL AND METHODS

PLAN OF WORK

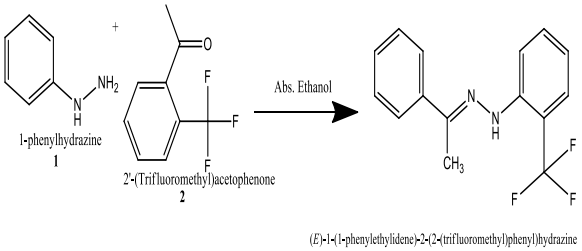


Synthesis

Step1.
General procedure for Synthesis of (E)-1-(1-phenylethylidene)-2-(2-(trifluoromethyl) phenyl) hydrazine (3a)

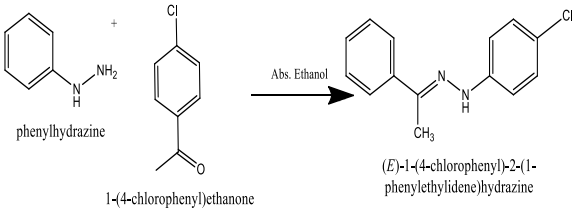
Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydazine and 2'-(Trifluoromethyl) Acetophenone into pure ethanol will be refluxed over

a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.



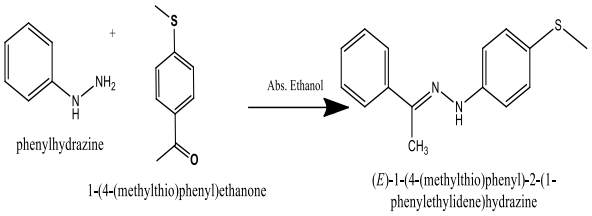
General procedure for Synthesis of (E)-1-(4-chlorophenyl)-2-(1-phenylethylidene) hydrazine (3b)

Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydrazine and (4-chloro methyl) Acetophenone into pure ethanol will be refluxed over a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.

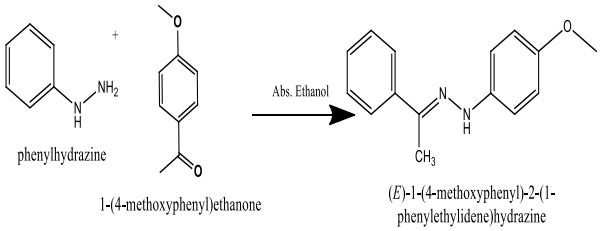


General procedure for Synthesis of (E)-1-(4-(methylthio) phenyl)-2-(1-phenylethylidene) hydrazine (3c)

Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydrazine and 4'-(Methylthio) phenyl) Ethanone into pure ethanol will be refluxed over a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.

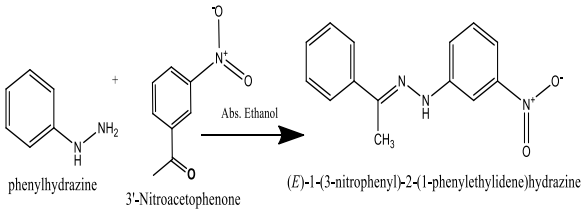


General procedure for Synthesis of (E)-1-(4-methoxyphenyl)-2-(1-phenylethylidene) hydrazine (4d)
Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydrazine and 4'-Methoxyacetophenone into pure ethanol will be refluxed over a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.



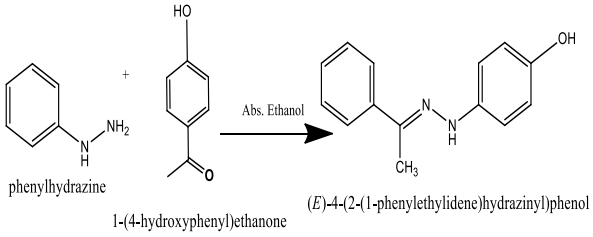
General procedure for Synthesis of (E)-1-(3-nitrophenyl)-2-(1-phenylethylidene) hydrazine (3e)

Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydrazine and 3'-Nitroacetophenone into pure ethanol will be refluxed over a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.



General procedure for Synthesis of (E)-4-(2-(1-phenylethylidene) hydrazine) phenol (3f)

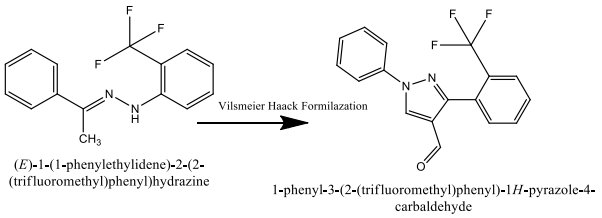
Using glacial acetic acid as catalysis, an (0.08 mol) mixture of phenyl hydrazine and 1-(4-hydroxyphenyl) Ethanone into pure ethanol will be refluxed over a water bath for two hours. From only alcohol, the raw material will be separated and crystallized.



Step 2

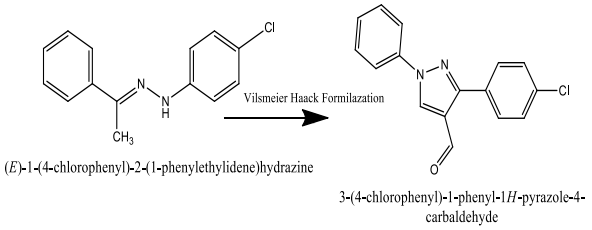
General procedure for Synthesis of 1-phenyl-3-(2-(trifluoromethyl) phenyl)-1H-pyrazole-4-carbaldehyde (4a)
After adding (3a) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been ice-cooled, it will reflux for five hours.

After adding the reaction mixture to the ice, sodium bicarbonate will be used to neutralize it. From the ethanol, the solid form will be separated and crystallized.



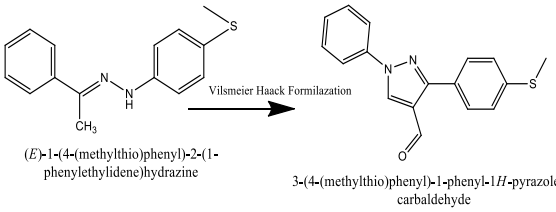
General procedure for Synthesis of 3-(4-chlorophenyl)-1-phenyl-1H-pyrazole-4-carbaldehyde (4b)

After adding (3b) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been ice-cooled, it will reflux for five hours. After adding the reaction mixture to the ice, sodium bicarbonate will be used to neutralize it. From the ethanol, the solid form will be separated and crystallized.



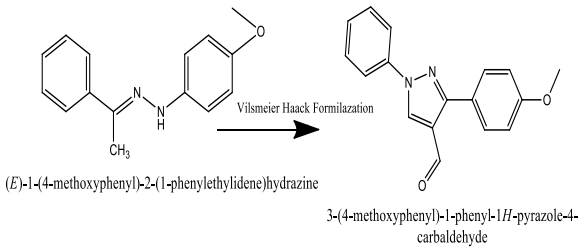
General procedure for Synthesis of 3-(4-(methylthio) phenyl)-1-phenyl-1H-pyrazole-4-carbaldehyde (4c)

After adding (3c) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been ice-cooled, it will reflux for five hours. After adding the reaction mixture to the ice, sodium bicarbonate will be used to neutralize it. From the ethanol, the original substance will be separated and crystallized.



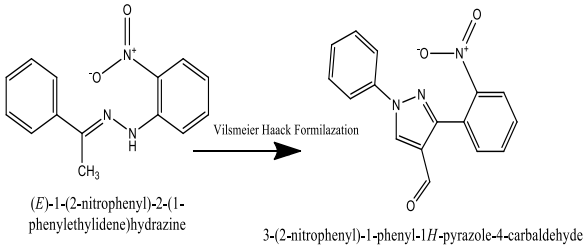
General procedure for Synthesis of 3-(4-methoxyphenyl)-1-phenyl-1H-pyrazole-4-carbaldehyde (4d)

After adding (3d) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been ice-cooled, it will reflux for five hours. The reaction mixture will then be added to ice, and sodium bicarbonate will be used to neutralize the mixture. After being separated from the ethanol, the crude product will crystallize.



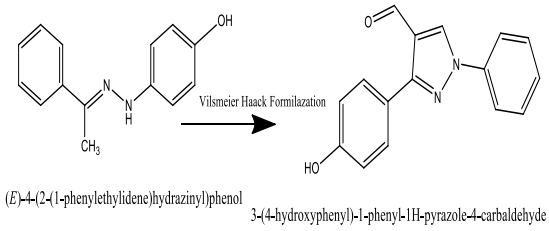
General procedure for Synthesis of 3-(2-nitrophenyl)-1-phenyl-1H-pyrazole-4-carbaldehyde (4e)

After adding (3e) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been ice-cooled, it will reflux for five hours. After adding the reaction mixture to the ice, sodium bicarbonate will be used to neutralize it. From the ethanol, the original substance will be separated and crystallized.



General procedure for Synthesis of 3-(4-hydroxyphenyl)-1-phenyl-1H-pyrazole-4-carbaldehyde (4f)

After adding (3f) (0.01M) to the Vilsmayer-Haack reagent, which was made by adding 3 ml POCl3 dropwise to 25 ml DMF that had been cooled on ice. It will reflux for five hours. After adding the reaction mixture to the ice, sodium bicarbonate will be used to neutralize it. From the ethanol, the original substance will be separated and crystallized.



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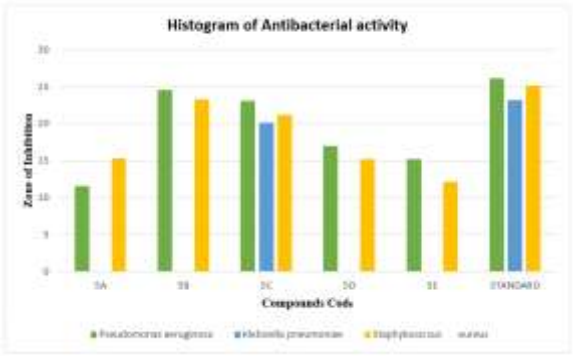
III. RESULT

Biological activity (in-vitro)
Antibacterial analysis of drugs

Pathogens	Sample zone (zone of inhibition)					
	4A	4B	4C	4D	4E	Tetracycline
Pseudomonas aeruginosa	11.55 Mm	24.58 mm	23.07 mm	17.02	15.22	26.12
Klebsiella pneumoniae	0 mm	0 mm	20.11 mm	0	0	23.16
Staphylococcus aureus	15.33 mm	23.32 mm	21.25 mm	15.23	12.17	25.19



STANDARD= Tetracycline

IV. CONCLUSION

In the present study, different formulations (4A–4E) were evaluated for their antibacterial activity against common pathogenic microorganisms including Pseudomonas aeruginosa, Klebsiella pneumoniae, and Staphylococcus aureus. The antibacterial potential was assessed using the zone of inhibition method and compared with the standard drug tetracycline.

Among the tested formulations, formulation 4B and 4C exhibited the highest antibacterial activity, showing significant zones of inhibition against all tested microorganisms. Particularly, strong activity was observed against Pseudomonas aeruginosa and Staphylococcus aureus, indicating broad-spectrum antibacterial potential. Moderate to low activity was observed in other formulations, while some showed no activity against Klebsiella pneumoniae.

The results suggest that the developed formulations possess promising antibacterial properties, which may be attributed to the presence of bioactive phytoconstituents. Overall, the study highlights the potential of these formulations as effective topical antibacterial agents, warranting further investigation and development.

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