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Preparation and Evaluation of the Antibacterial Activity of Oxazolidine-5-One Derivatives

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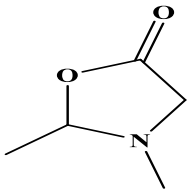
Annotation: As part of the study, novel oxazolidinone-5 compounds were created by reacting hydrazones with chlorosulfuric acid while THF was present as a solvent. Melting point, yield, and color measurements were utilized to monitor and characterize the reaction, which was prepared using the sublimation method. Thin Layer Chromatography (TLC), The completeness of the process was shown using Fourier Transform Infrared Spectroscopy (FT-IR) and proton and carbon nuclear magnetic resonance (1H and 13C-NMR). The impact of the produced chemicals on the development of two antibiotic-resistant bacterial strains Gram-positive (Staph. epidermidis) and Gram-negative (K. pneumoniae) was used to assess their biological activity. The control sample was the antibiotic ampicillin.

Keywords: Heterocyclic, oxazolidinone-5-one, Biological activity.

1. Introduction

One of the most fascinating scientific disciplines is heterocyclic chemistry, which offers outstanding practical and Heterocyclic chemistry is one of the most intriguing scientific fields, with many useful theoretical and practical applications. Consequently, it constitutes a substantial amount of study in chemistry and chemical science. Heterocyclic compounds are ubiquitous in nature and have biological properties. Heterocyclic chemistry is one large and developing branch of chemistry. This is because molecules made from heterocyclic compounds are clearly important in a variety of industries, including plastics, polymers, medicine, and agriculture. Because of their biological characteristics, heterocyclic chemicals are utilized to treat infectious diseases. [1–3]. A novel family of antibiotics known as oxazolidinones works well against a

variety of Gram-positive bacteria, such as penicillin-resistant pneumococcus and vancomycin-resistant staphylococci. In chemical biology and medical chemistry, the synthesis and biological characteristics of oxazolidin-5-ones are significant [4]. The pharmacological and biological effects of oxazolidin-5-ones substituted with polyhydroxylidin-2-phenyl and their derivatives are diverse. Derivatives of oxazolidin-5-one are significant classes of chemical compounds with heterocyclic structures. Numerous aryl-oxazolidinone compounds exhibit a wide range of biological characteristics, such as hypoglycemia and potent antibacterial activities. Nonetheless, the typical ring structure of oxazolidin-5-one molecules is depicted in Figure 1[5]. The anti-inflammatory properties of several 5-substituted-1,3-oxazolidindione derivatives with various substituents have been assessed [6,7].



To summarize, the goal of this study is to react prepared Hydrazone with chloroacetic acid while THF is present as a solvent in order to produce novel five-ring molecules from oxazolidinone-5-one. We'll evaluate these chemicals' biological activity against two distinct strains of Gram-positive and Gram-negative bacteria.

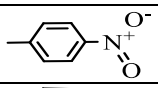
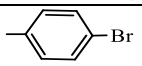
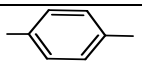
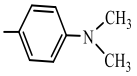
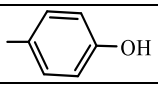
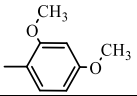
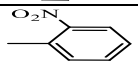
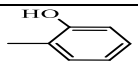
2. Materials and Methods:

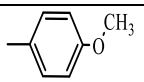
2.1. Chemicals used: Materials and solvents were obtained from reputable companies such as Aldrich, BDH and Fluka.

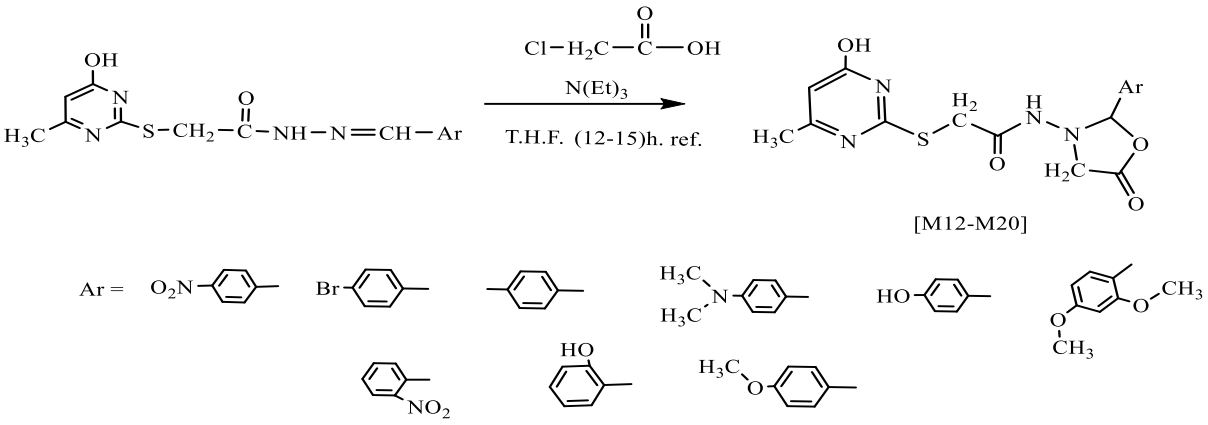
2.2. Preparation of 1,3-oxazolidinone-5-one derivatives (M12-M20):

Triethyl amine used as a catalyst in THF in the form of small drops, a well-agitated mixture of monochloroacetic acid (0.01mol) was mixed with a solution of Schiff bases (M3-M11) (0.01mol) in THF (25ml). For 12–15 hours, the mixture was refluxed [8]. The solid product was recovered and recrystallized from the ethanol solvent once the mixture had cooled.

Table (1): Some Physical Properties of the 1,3-oxazolidinone-5-one derivatives (M12-M20)

Comp. No.	R	Color	M.P. ℃	Y. %
M12		Brown	245-247	68
M13		Dark yellow	231-232	70
M14		Light yellow	252-253	76
M15		Light Orange	268-270	74
M16		Red	220-222	72
M17		Off Whit	237-239	78
M18		Light Brown	240-242	69
M19		yellow	256-258	72

M20		Whit	264-266	75



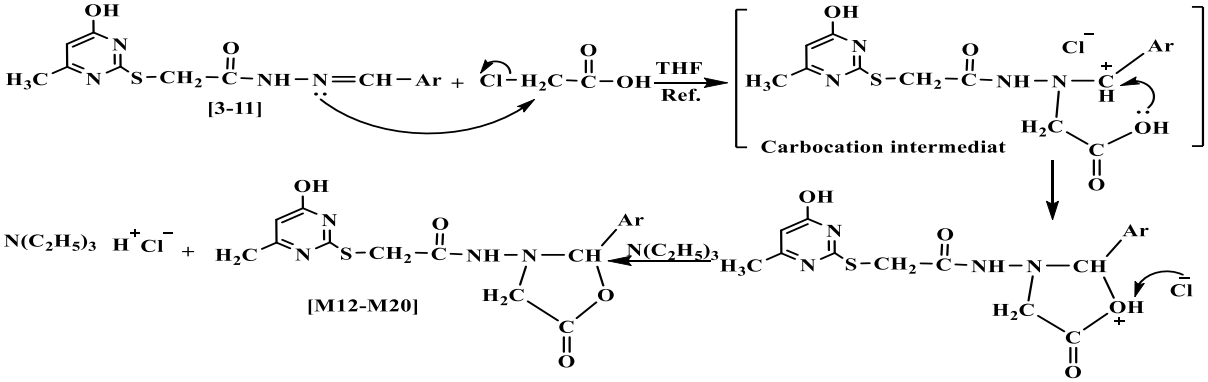
Scheme 1: Prepared compounds (M12-M20)

2.3. Biological activity study: The disk diffusion method was used to assess the synthetic compounds' antibacterial activity. The quantity of compounds generated was assessed using two types of bacteria: *Klebsiella pneumoniae*, which is Gram-negative, and *Staphylococcus epidermidis*, which is Gram-positive [9–12]. As a control, the antibiotic ampicillin was selected. 5 mm-diameter filter paper discs were steam sterilized for 15 minutes at 121 °C [13,14]. Following the impregnation of the sterile discs with 100 µg/disc, all compounds were assessed. Every microbe under investigation was cultivated and introduced to the disc's surface in 100 µl. The impregnated discs were incubated for one hour at 5 °C and then for twenty-four hours at 37 °C to permit sound diffusion. Measurements were made of the tested medications' region of inhibition against the microorganisms [15–16].

3. Results and discussions

3.1. Characterization of 1,3-oxazolidinone-5-one derivatives (M12-M20)

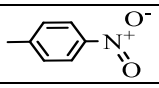
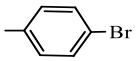
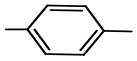
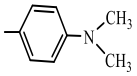
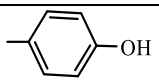
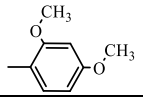
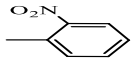
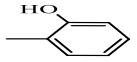
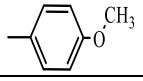
In this section, the reaction between Schiff bases [M3–M11] and mono chloroacetic acid is shown applying a little amount of triethyl amine to THF as a basic medium. The emergence of compounds [M12-M20] may be explained using the following technique. As in Scheme 2



Scheme 2: The reaction pathway of oxazolidinone

When following the FTIR analysis of the compounds synthesized by the cyclization of the oxazolidinone-5-one ring, the analysis results were illustrated in Table 2, which showed the presence of the carbonyl stretching of the five-membered ring in the range of (1716-1695) cm-1, as well as the stretching of the (C-N) bond in the range of (1118-1207) cm-1. The stretching of the aliphatic bonds was in the range of (1918-2975) cm-1, in addition to the (C-O) bond in the range of (1186-1286) cm-1 [17,18]. Other bonds are shown in Table 2.

Table (2): The prepared compounds' FT-IR absorption values (M12-M20)

Com No.	Ar	FT-IR(KBr), spectral data □cm^{-1}							
		C=O Lacton	C-H Aro.	C-H Ali.	C-O	C-N	C=C Aro.	O –H	Others
M12		1701	3047	2918	1186	1118	1595	3442	(NO_2)1527,1365 (C=O)1654
M13		1701	3076	2975	1230	1168	1560	3415	C-Br 611 C-S5696
M14		1695	3028	2960	1286	1130	1518	3467	C=O1658 N-H 3379
M15		1701	3037	2931	1188	1118	1595	3442	C=O1656 N-H3313
M16		1708	3010	2941	1251	1172	1604	3380	C=O1670 N-H3334
M17		1714	3040	2972	1278	1207	1596	3448	N-H3257 C=O1652
M18		1706	3039	2975	1278	1134	1589	3456	(NO_2)1525,1352 C=O 1666
M19		1708	3062	2970	1250	1149	1598	3460	C=O1641 N-H3382
M20		1716	3030	2937	1253	1176	1604	3450	C=O1638 N-H 3382

The compound's ^{1}H-NMR spectrum [M13] revealed a signal to (-CH_3) at (2.04) ppm , a signal to (-N-CH_2) oxazolidinone ring at (3.01, 3.89) ppm , a singlet signal to (-S-CH_2) at (4.21) ppm , a signal to (=C-H) thiouracil ring at (5.43) ppm , a signal to (-N-C-H) at (7.67) ppm , a signal to (-C=O)N-H) at (8.02) ppm , and a signal to (-OH) at (11.17) ppm , signals to aromatic ring at (6.63-7.44) ppm [19,20]. As in fig 3

Signals at (22.44) ppm for (CH_3), (41.50) ppm for (-N-(CH_3)$_2$, (60.38) ppm for (-S-CH_2), (100.69) ppm for (-N-CH_2) oxazolidinone, (111.53-168.56) ppm for (-N-CH-O), δ= (171.97) ppm for (-C=O) amide, and (174.08) ppm for C=O) lactone were detected in the ^{13}C-NMR spectrum of compound [M13]. As in fig 4

The compound's ^{1}H-NMR spectrum [M15] revealed a signal to (-CH_3) at (2.07) ppm, a signal to N(-CH_3)$_2$ at (3.01) ppm, a singlet signal to (-N-CH_2) oxazolidinone at (4.23) ppm, a signal (=C-H) thiouracil ring at (5.43) ppm to , signals to (N-C-H) oxazolidinone ring at (6.68-7.51) ppm , a signal to (C=O)N-H) at (8.02) ppm , and a signal to (-OH) at (11.06) ppm . As in fig 5

Signals at (22.51) ppm for (-CH_3), (41.76) ppm for (-N-(CH_3)$_2$, (45.24) ppm for (S-CH_2), (61.86) ppm for (-N-CH_2), (100.68) ppm for (-N-CH-O), (111.53-168.59) ppm for aromatic rings carbon, (171.98) ppm for (-C=O) amide, and (174.12) ppm for (-C=O) lactone were detected in the ^{13}C-NMR spectrum of compound [M15] As in fig 6

3.3. Assessing Prepared Compounds' Biological Activity

Through observing the results of the compounds prepared against the types of bacteria under study, it was found that the compound M13 has the highest effectiveness against the negative bacteria *K. pneumoniae*, although it is less effective than the antibiotic [21-23], it outperformed the other compounds, allowing it to cross the cell membrane. As for the positive bacteria *Staph. Epidermidis* [24-28], the same compound showed clear importance through its higher inhibition compared to the other compounds, making it more effective and enabling it to act as an antibiotic or assist in combating harmful bacteria [29-34]. The study also showed that there is a direct

correlation between inhibition and the concentration of the compounds; as the concentration increased, the killing of harmful bacteria also increased, as shown in Table 3 and Figure 7.

Table (4): The produced compounds' antibacterial activity (inhibition zone in millimeters).

Comp. No.	*K. pneumoniae* mg/ml			*Staph. epidermidis* mg/ml		
	0.01	**0.001**	**0.0001**	**0.01**	**0.001**	**0.0001**
M12	10	5	5	15	10	5
M13	12	10	7	18	15	10
M14	10	10	5	14	10	5
M15	5	5	0	16	11	8
Ampicillin.	22	18	15	25	20	10

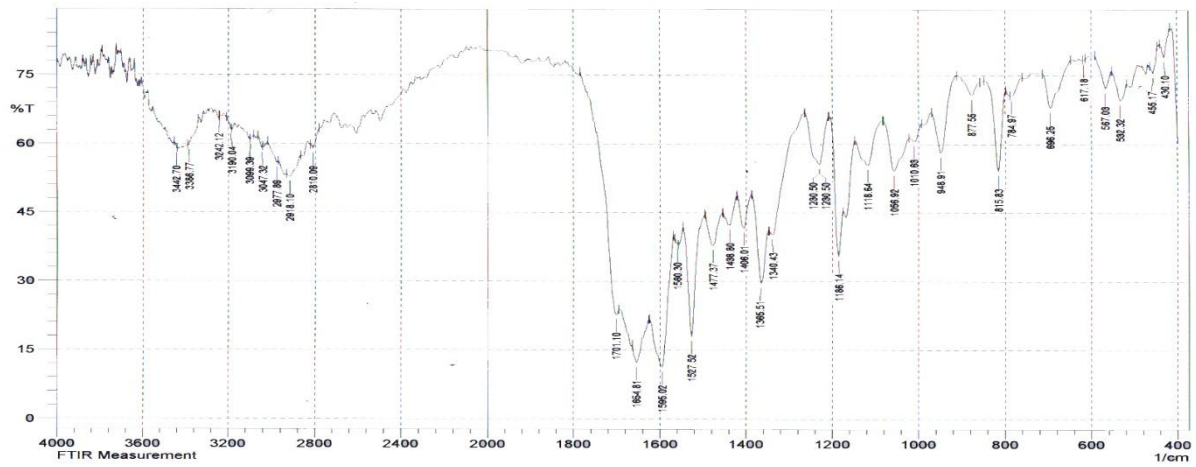


Figure (1): FT-IR (M12).

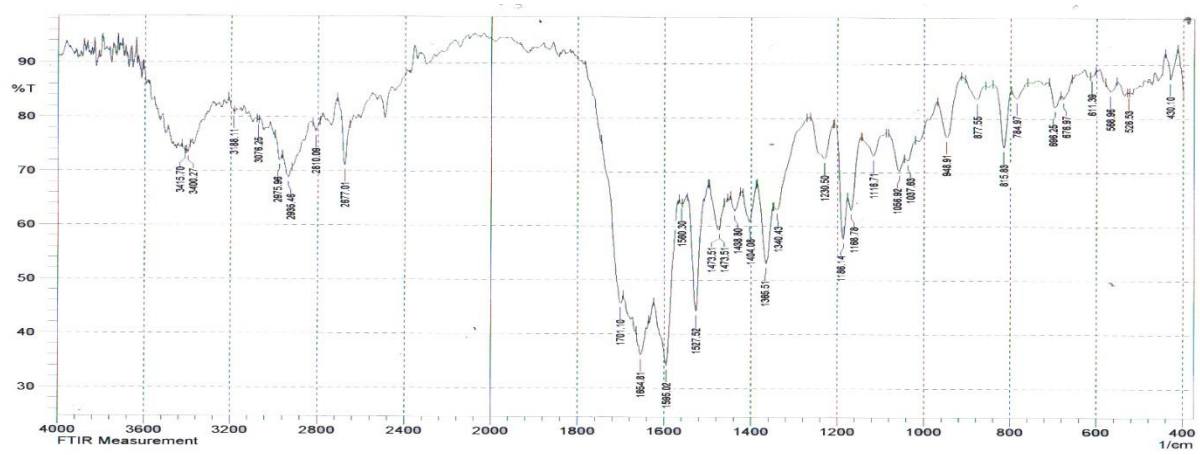


Figure (2): FT-IR (M13).

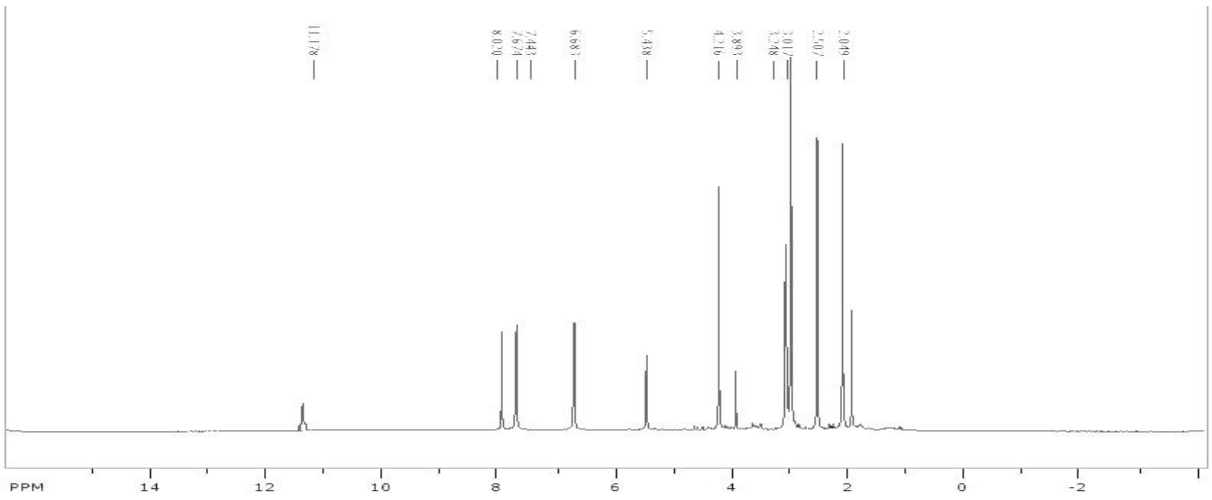


Figure (3): 1H-NMR (M13).

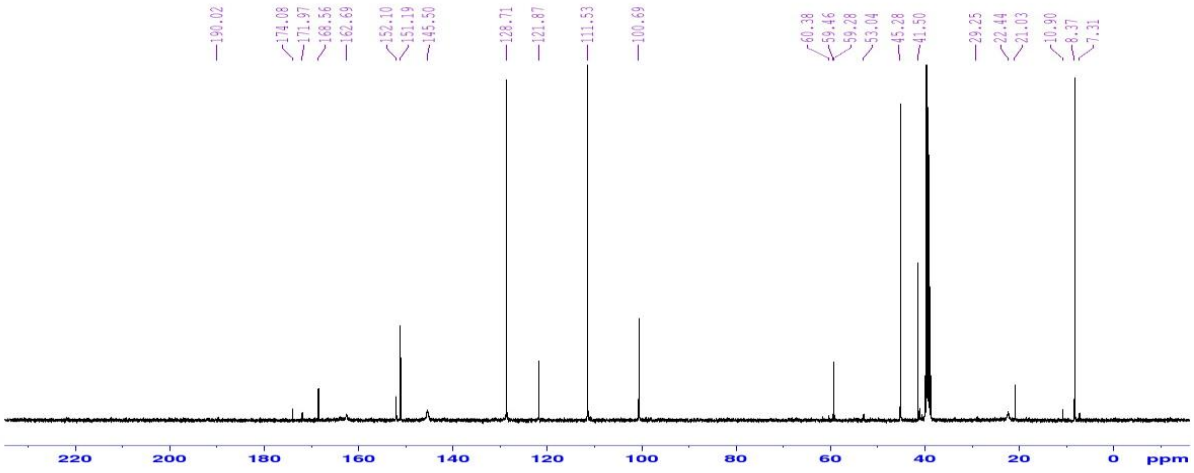


Figure (4): 13C-NMR (M13).

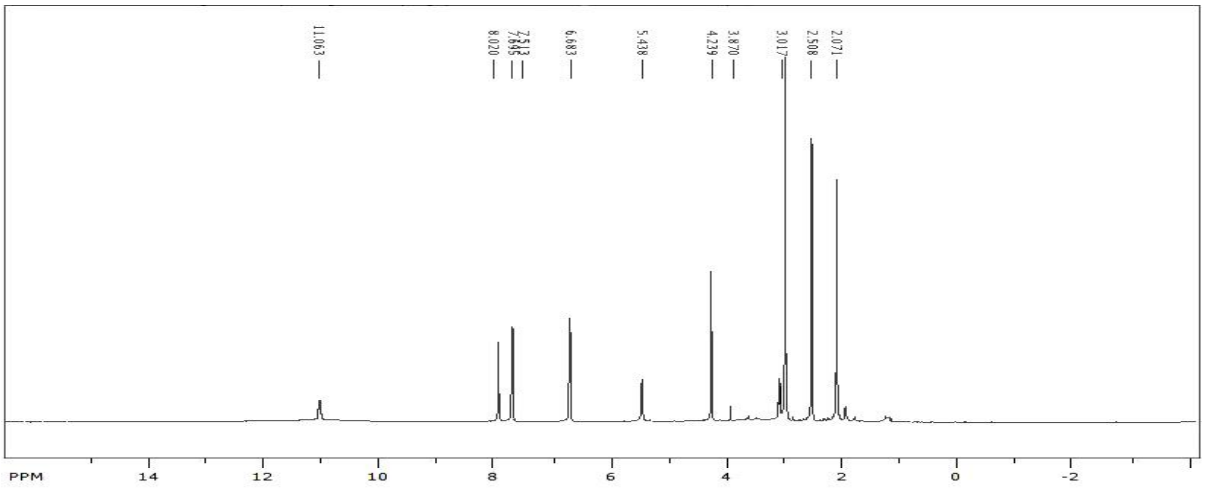


Figure (5): 1H-NMR (M15).

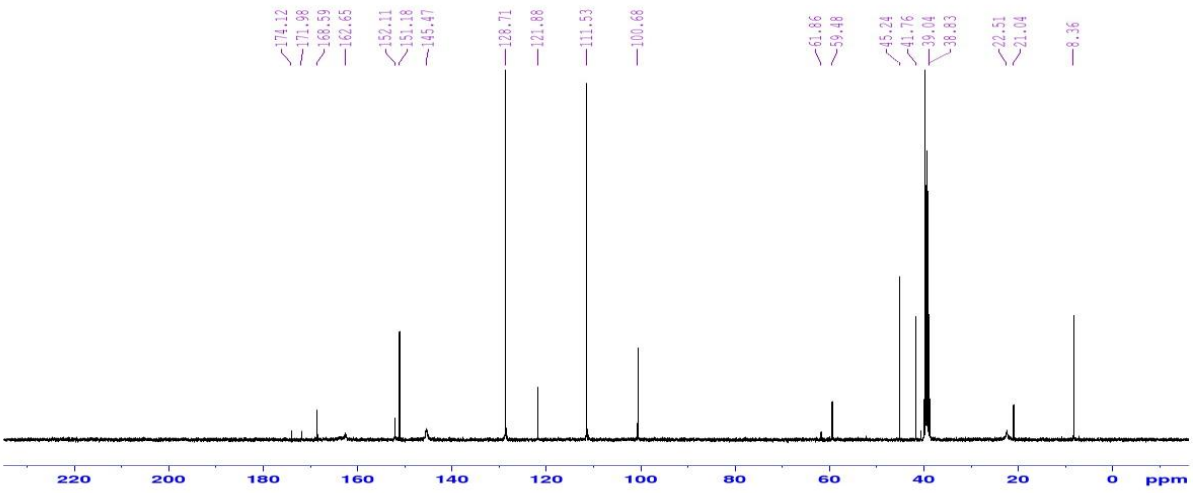


Figure (6): 13C-NMR (M15).

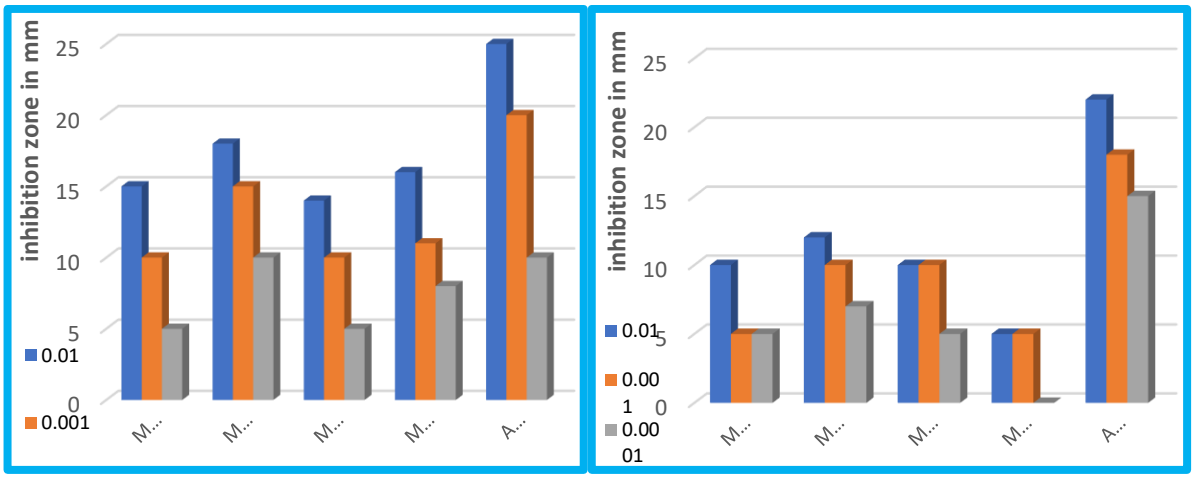


Figure 7: Inhibitory action of M12-M15 against K. pneumoniae and Staph. epidermidis

4. Conclusions: A common reaction between hydrazone derivatives and compounds with suitable functional groups is the formation of five-membered heterocyclic rings. Spectroscopic measurements have demonstrated the accuracy and precision of the synthesized compounds. The generated compounds have antibacterial qualities and can inhibit the growth of germs, according to biological studies. These compounds have a higher level of biological activity than their parent material.

References:

1. Khairallah, B. A., Muhammad, F. M., Saleh, J. N., & Saleh, M. J. (2024). Preparation, Characterization, Biological Activity Evaluation, and Liquid Crystallography Study of New Diazepine Derivatives. *World of Medicine: Journal of Biomedical Sciences*, *1*(7), 65-76.

2. Talluh, A. W. A. S., Saleh, M. J., Saleh, J. N., Al-Badrany, K., & mohammed saleh Al-Jubori, H. (2024). Preparation, characterization, and evaluation of the biological activity of new 2, 3-dihydroquinazoline-4-one derivatives. *EUROPEAN JOURNAL OF MODERN MEDICINE AND PRACTICE*, *4*(4), 326-332.

3. Sattar Talluh, A. W. A., Saleh, J. N., Saleh, M. J., & Saleh Al-Jubori, H. M. (2024). Preparation and Characterization of New Imidazole Derivatives Derived From Hydrazones and Study of their Biological and Laser Efficacy. *Central Asian Journal of Theoretical and Applied Science*, *5*(4), 202-211.

4. Jung, J. M. (1962). *THE CHEMISTRY OF OXAZOLIDINE-5-ONES: APPLICATION TO PEPTIDE SYNTHESIS*. The University of North Carolina at Chapel Hill.

5. Kubba, R. M., & Al-Joborry, N. M. (2021). Theoretical study of a new oxazolidine-5-one derivative as a corrosion inhibitor for carbon steel surface. *Iraqi Journal of Science*, 1396-1403.

6. Talluh, A. W. A. S., Saleh, J. N., & Saleh, M. J. (2024). Preparation, Characterization and Evaluation of Biological Activity and Study of Molecular Docking of Some New Thiazolidine Derivatives.

7. Muslim, R. F., Majeed, I. Y., Saleh, S. E., Saleh, M. M., Owaid, M. N., & Abbas, J. A. (2022). Preparation, Characterization and Antibacterial Activity of some New Oxazolidin-5-one Derivatives Derived from Imine Compounds. *Journal of Chemical Health Risks*, *12*(4).

8. Dalaf, A. H., Saleh, J. N., Saleh, M. J., & Talluh, A. W. A. S. (2024). Environmentally Friendly Synthesis, Bioactivity Evaluation and Multi-Faceted Characterization of Bis (5-((1H-Imidazol-4-yl) Methyl) -3- Phenylimidazolidin -4- One) Derivatives. *American Journal of Biomedicine and Pharmacy*, *1*(7), 104–114.

9. Mohammed Jwher Saleh, Jamil Nadhem Saleh, Khalid Al-Badrany, Adil Hussein Dalaf, Reem Suhail Najm, & Abdul Wahed Abdul Sattar Talluh. (2024). Preparation And Evaluation Of The Biological Activity Of A 2- Amino Pyran Ring Using A Solid Base Catalyst. *Central Asian Journal of Medical and Natural Science*, *5*(4), 130 - 138.

10. Talluh, A. W. A. S., Saleh, M. J., & Saleh, J. N. (2024). Preparation, Characterisation and Study of the Molecular Docking of Some Derivatives of the Tetrazole Ring and Evaluation of their Biological Activity. *World of Medicine: Journal of Biomedical Sciences*, *1*(7), 15-23.

11. Saleh, M. J., Saleh, J. N., & Al-Badrany, K. (2024). PREPARATION, CHARACTERIZATION, AND EVALUATION OF THE BIOLOGICAL ACTIVITY OF PYRAZOLINE DERIVATIVES PREPARED USING A SOLID BASE CATALYST. *EUROPEAN JOURNAL OF MODERN MEDICINE AND PRACTICE*, *4*(7), 25-32.

12. Saleh, J. N., & Khalid, A. (2023). Synthesis, characterization and biological activity evaluation of some new pyrimidine derivatives by solid base catalyst AL2O3-OBa. *Central Asian Journal of Medical and Natural Science*, *4*(4), 231-239.

13. Talluh, A. W. A. S. (2024). Preparation, Characterization, Evaluation of Biological Activity, and Study of Molecular Docking of Azetidine Derivatives. *Central Asian Journal of Medical and Natural Science*, *5*(1), 608-616.

14. Saleh, M. J., & Al-Badrany, K. A. (2023). Preparation, characterization of new 2-oxo pyran derivatives by AL2O3-OK solid base catalyst and biological activity evaluation. *Central Asian Journal of Medical and Natural Science*, *4*(4), 222-230.

15. Muhammad, F. M., Khairallah, B. A., Saleh, M. J., & Saleh, J. N. (2024). Preparation and Characterization of New Rings of Oxazine Derivatives and Studying Their Biological and Laser Effectiveness and Molecular Docking. *Central Asian Journal of Theoretical and Applied Science*, *5*(4), 190-201.

16. Saleh, M. M., Saleh, J. N., Rokan, F. F., & Saleh, M. J. (2024). Synthesis, Charactarizit and evaluation of bacterial efficacy and study of molecular substrates of cobalt (II) complex [Co (2-(benzo [d] thiazol-2-yloxy) acetohydrazide)(H2O)(Cl2)]. *Central Asian Journal of Medical and Natural Science*, *5*(4).

17. Saleh, M. J., Saleh, J. N., Al-Badrany, K., Yaseen, M., Ali, M. H., & Talluh, A. W. A. S. (2025). Preparation and Characterization of Some Oxazolidine-5-one Derivatives and

Evaluation of their Biological Activity. *South Asian Research Journal of Natural Products*, *8*(1), 74-84.

18. Dalaf, A. H., Saleh, M. J., & Saleh, J. N. (2024). GREEN SYNTHESIS, CHARACTERIZATION, AND MULTIFACETED EVALUATION OF THIAZOLIDINONE DERIVATIVES: A STUDY ON BIOLOGICAL AND LASER EFFICACY. *European Journal of Modern Medicine and Practice*, *4*(7), 155-168.
19. Dalaf, A. H., Saleh, M. J., & Saleh, J. N. (2024). Green Synthesis, Characterization and Bioactivity Evaluation of Bis (5-((1H-Imidazol) Methyl)-3-Phenylimidazolidin) Derivatives. *Vital Annex: International Journal of Novel Research in Advanced Sciences (2751-756X)*, *3*(4), 118-128.
20. Saleh, R. H., Rashid, W. M., Dalaf, A. H., Al-Badrany, K. A., & Mohammed, O. A. (2020). Synthesis of Some New Thiazolidinone Compounds Derived from Schiff Bases Compounds and Evaluation of Their Laser and Biological Efficacy. *Ann Trop & Public Health*, 23(7): 1012-1031. http://doi.org/10.36295/ASRO.2020.23728.
21. Dalaf, A. H. (2024). 3-Phenylimidazolidin-4-one: Characterization, Green Synthesis, Evaluation of Biological and Laser Performance. *European Journal of Modern Medicine and Practice*, *4*(7), 417-427.
22. Salwa, A. J., Ali, L. H., Adil, H. D., Hossam, S. A. (2020). Synthesis and Characterization of Azetidine and Oxazepine Compounds Using Ethyl-4-((4-Bromo Benzylidene) Amino) Benzoate as Precursor and Evalution of Their Biological Activity. *Journal of Education and Scientific Studies*, ISSN: 24134732. 16(5): 39-52.
23. Dalaf, A. H. (2018). Synthesis and Characterization of Some Quartet and Quinary Hetero cyclic Rings Compounds by Traditional Method and Microwave Routes Method and Evaluation of Their Biological Activity. *M.Sc. Thesis, Tikrit University*, Tikrit, Iraq: 1-94 pp.
24. Saleh, M. J., Saleh, J. N., Al-Badrany, K., Talluh, A. W. A. S., Shannak, Q. A., & Abdulmajeed, A. Z. (2024). Use of Solid Basic Catalysts in the Preparation of Cyclohexenone Derivatives and Evaluation of Their Bacterial Activity. *Vital Annex: International Journal of Novel Research in Advanced Sciences (2751-756X)*, *3*(3), 104-112.
25. Talluh, A. W. A. S., Saleh, M. J., Saleh, J. N., & Al-Jubori, H. M. S. (2024). Synthesis and Characterization of Some New Imine Graphene Derivatives and Evaluation of Their Biological Activity. *Central Asian Journal of Medical and Natural Science*, *5*(4), 272-290.
26. Abdul Wahed, A. S. T. (2024). Preparation and Evaluation of Bacterial Activity and Study of the Crystalline Properties of Some 1, 3-Oxazepine-4, 7-Dione Derivatives. *Central Asian Journal of Theoretical and Applied Sciences*, *5*(2), 15-26.
27. Talluh, A. W. A. S., Najm, R. S., Saleh, M. J., & Saleh, J. N. (2024). Synthesis, Characterization, and Evaluation of the Biological Activity of Novel Oxazepine Compounds Derived From Indole-5-Carboxylic Acid. *American Journal of Bioscience and Clinical Integrity*, *1*(8), 10-19.
28. Saleh, M. M. Amenah l. Al-Nassiry, Jamil Nadhem Saleh, & Mohammed Jwher Saleh.(2024). Preparation and Diagnosis of New Complexes for Hg (II) With 4-Amino Acetanilide And (Dppp) As A Ligand And Study Of The Bacterial Efficacy And Molecular Docking Of The Prepared Complexes. *Central Asian Journal of Theoretical and Applied Science*, *5*(4), 364-373.
29. Talluh, A. W. A. S., Saleh, M. J., Saleh, J. N. (2024). Application of infrared and nuclear magnetic resonance spectra in studying the bacterial efficacy of some oxazepane derivatives

derived from hydrazones. Sensors and Machine Learning Applications, 3(3). https://doi.org/10.69534/smla/193913

30. Najm, R. S., Shannak, Q. A., & Dalaf, A. H. (2023). Synthesis and Decoration of Aromatic Derivatives Nano Platelets by the Electric Method. *Azerbaijan Pharmaceutical and Pharmacotherapy Journal*, *22*(2), 92-97.
31. Najm, R. S., & Al-Somaidaie, G. H. (2022). Carbonation and preparation of reduced graphene oxide sheets from cellulose. In *39th PATTAYA International Conference on "Advances in Chemical, Agriculture, Biology & Environment"(PCABE-22) Pattaya (Thailand) Aug* (pp. 25-26).
32. Mohamed, S. A., Hussein, M. S., & Al-badrany, K. A. (2022). Synthesis and characterization of pyrazolines and oxazapine derivatives using chalcones as precursor and evaluation of their biological activity. *Samarra Journal of Pure and Applied Science*, *4*(4).
33. Najim, D. M., Al-badrany, K. A., & Saleh, M. K. Synthesis of some new oxazepine compounds derived from cyanoethyl acetate and study their inhibitory activity against some pathogenic bacterial species. *Unpublished*.
34. Al-Joboury, N. A., Al-Badrany, K. A., Hamed, A. S., & Aljoboury, W. M. (2019). SYNTHESIS OF SOME NEW THIAZEPINE COMPOUNDS DERIVED FROM CHALCONES AND EVALUATION THERE BIOCHEMICAL AND BIOLOGICAL ACTIVITY. *Biochemical & Cellular Archives*, *19*(2).