Ministry of higher education and scientific research

University of Babylon College of pharmacy

GRADUATION RESARCH

Synthesis, Characterization of Fifth Heterocyclic Compounds and Studying their Bacterial Properties

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بسم الله الرحمن الرحيم

صدق الله العظيم

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الاهداء

إلىٰ من قدما كلُ شيء يملكاه بدون مقابل وأنتظار رد الجميل....

إلىٰ من شاركاني لحظات نجاحي وسعادتي وربتا على كتفي لحظات حُزني وكانا أول المشجعين لي عند تفوقي وأول المساندين لي عند تعثري....

جئتُ اليوم لَأرُدَ بعض الجميل لشخصكما المعطاء

وأرفع إسمكما عالياً بأكمالي مسيرتي العلميه التي صبوت إليها بفضلكما أنتما شِغاف قلبي أمي وأبي.....

ABSTRACT :

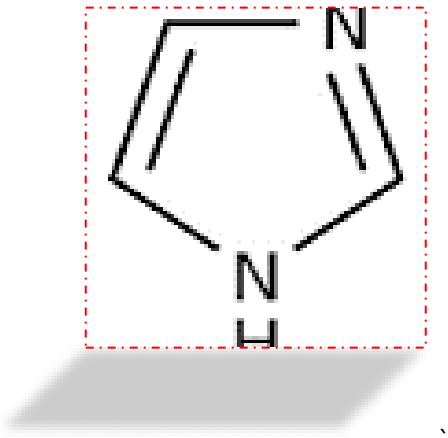
This study involves Synthesis five membranering (Imidazole) derivative from (pyrimidine), and used it to explain the Biological activity against three isolates of two types of G+&G-) of (bacteri) and two types of (fungi) by using three different concentration (5,10, 20 mg/ml-1), which have high effect activity a n d toward many types of bacteria and fungi due to its contraire to (N) atoms in their structure therefore this have biological and pharmacological functions.

Keywords: pyrimidine, Biological activity, Imidazole

INTRODUCTION :

Azo derivatives represent the largest class of dyes. Azo dyes have a broad industrial application field. They are used for coloring of synthetic and natural textile fibers, leather plastics, paper and oils.[1,3] A number of azo dyes are used as drugs for treatment of bacterial and fungi infections[4,5], and it is recognized that mutations can lead to formation of tumors,[6] Among five membered heterocyclic (Imidazole) is a class of organic compounds of a great important in medicinal Chemistry which have been found to exhibited antibacterial antifungal and anti-inflammatory properties in order to investigate their probable anticancer activity, they exhibited significant cytotoxicity against both of the carcinogenic cell and caused DNA fragmentation of the HT-29 cells [9,10] .Imidazoles compounds derivatives from (Schiff bases) which explain variety of applications, antitumor, in the biological engineering and anticancer for activity.

Imidazole nucleus forms the main structure of some well-known components of human organisms, that is, the amino acid histidine, Vit-B12, a component of DNA base structure and purines, histamine, and biotin. It is also present in the structure of many natural or synthetic drug molecules, that is, cimetidine, azomycin, and metronidazole. Imidazole-containing drugs have a broaden scope in remedying various dispositions in clinical medicine .Imidazole was first synthesized by Heinrich Debus in 1858, but various imidazole derivatives had been discovered as early as the 1840s. His synthesis used glyoxal and formaldehyde in ammonia to form imidazole. [11]



Triazole 1,2,3

MATERIALS AND PROCEDURES :

The chemical materials such as A gar for bacteria and fungi and some instrumentals carried out in College of education, biological activity carried out in Bio-lab in bio-department Studying of compound Effect on Antimicrobial The biological activities of prepared compound( ) have been tested for antibacterial and anti-fungi activity by agar with biological methods[12], it was tested at three conc. (5, 10, 20 mg/ml-1) dissolved in (DMSO) as a solvent by using two types of bacteria (*E-Coli, Proteus Vulgaris*) of two types of fungi (*A.niger & p.chrysogenum*).

these its strains incubated for 24hr at 37Co (bacteria) but fungi strains incubated for two days at 37 C^0.

RESULTS AND DISCUSSION :

According to studying the biological activity for compound (C1) to (anti bacteria) in table (1), which this results appear it was found biological activity against all types of bacteria. while table(2) appear antifungal activity from the results that the biological activity ok to this compound has high that biological activity which inhibit the growth of bacteria and fungi and shown to inhibit cellular protein and RNA, due to contain (imidazoline) ring and (N) atom in structure.

Table (1): Anti bacteria Activity of Compound (C_1) (Inhibition Zone in (mm)) at conc. (5, 10, 20 mg/ml^{-1}).

Isolates number	Proteus vulgaris (5, 10, 20 mg/ml^{-1})	E-coli (5, 10, 20 mg/ml^{-1})
1	22	14
	22	15
	25	20
2	27	20
	27	22
	30	26
3	15	14
	15	14
	22	18
4	28	16
	30	22
	35	24

Photo (1): Antibacterial activity Proteus vulgaris

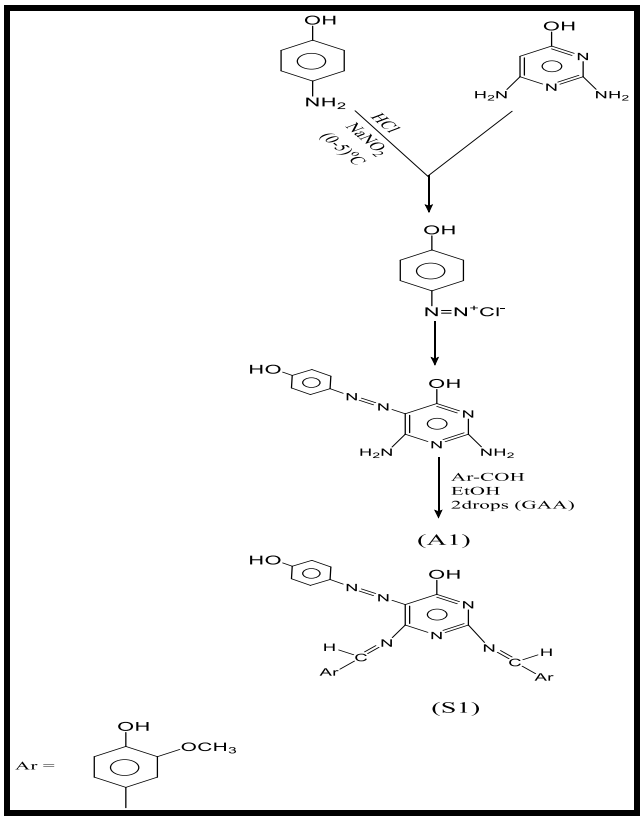
Phobo(2): Antibacterial activity- E-coli

Table (2): Antifungi activity of compound (C_1) (inhibition zone (mm)) at conc (5, 10, 20 mg/ml^{-1})A niger

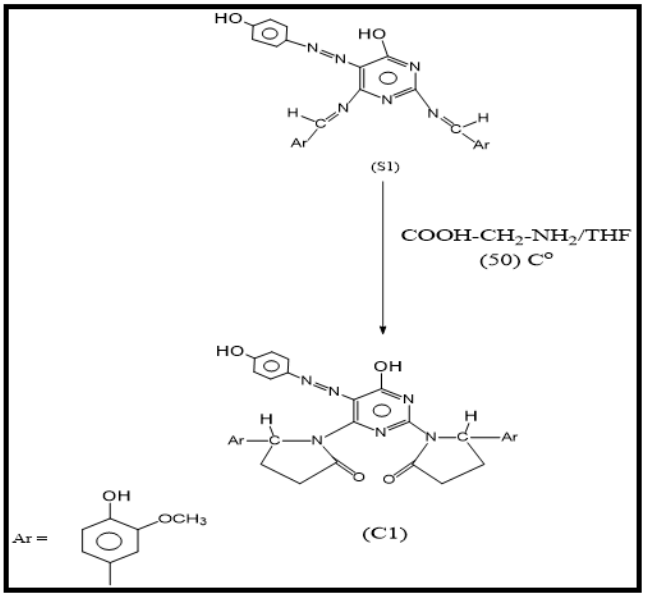
Isolates number	A. niger (5, 10, 20 mg/ml^{-1})	P.crysogenum (5, 10, 20 mg/ml^{-1})
1	12	14
	15	14
	20	15
2	20	16
	21	18
	26	18
3	14	10
	14	8
	15	12
4	16	16
	20	15
	22	18

Photo (3): Anti fungi activity-A.niger

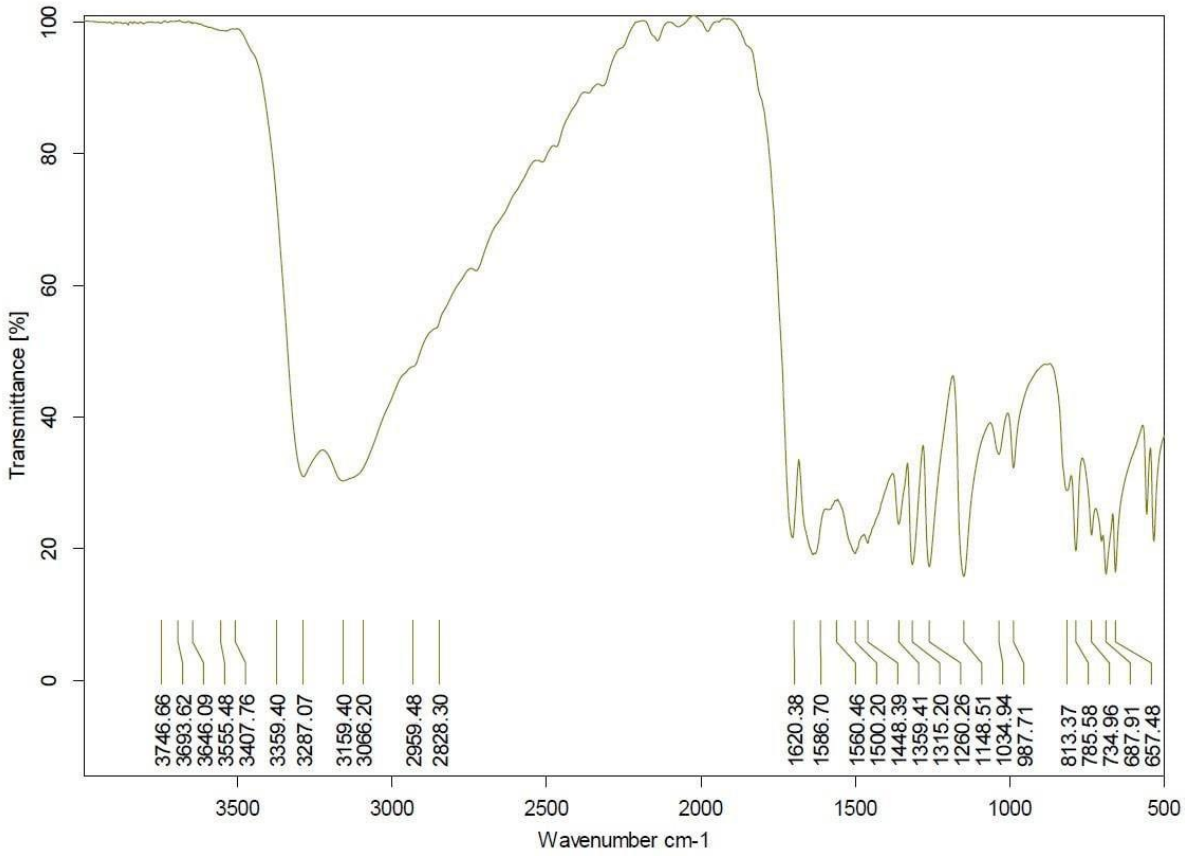
Photo (4): Anti fungi activity by p. crysogenum



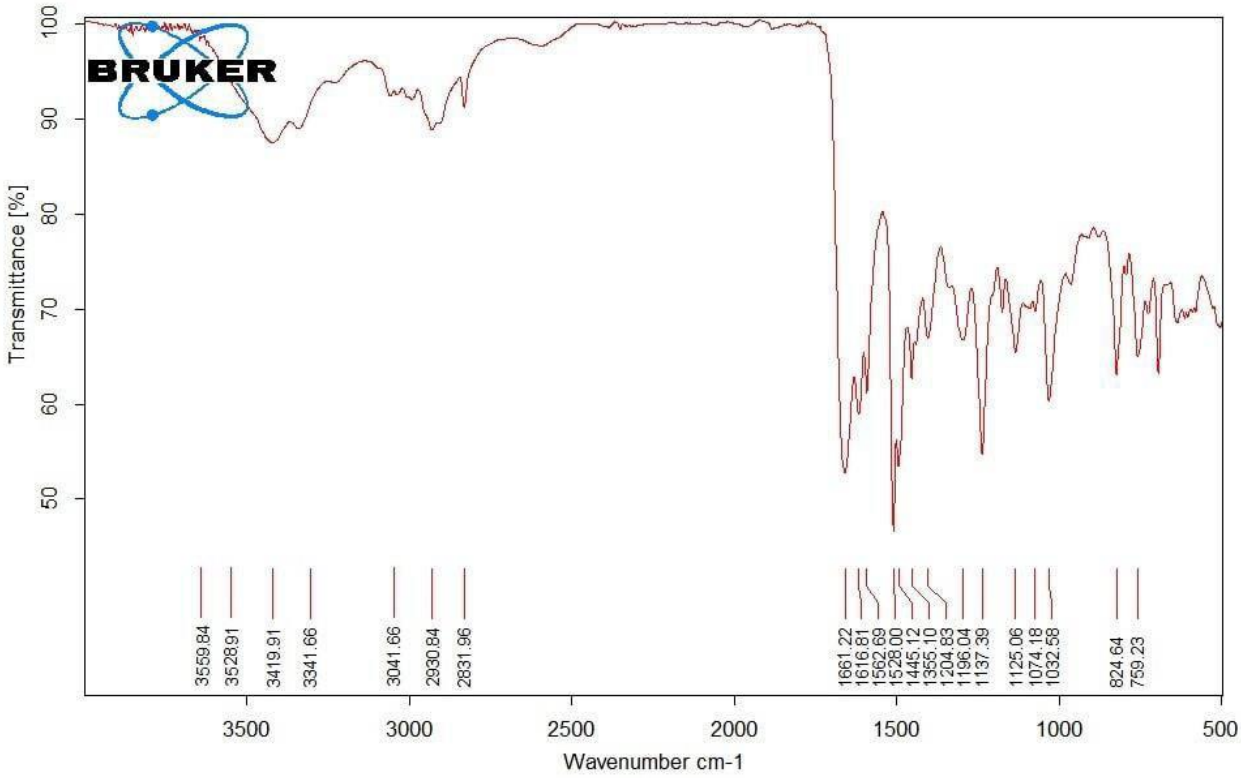
Scheme (1): preparation of A_1 and S_1 compounds



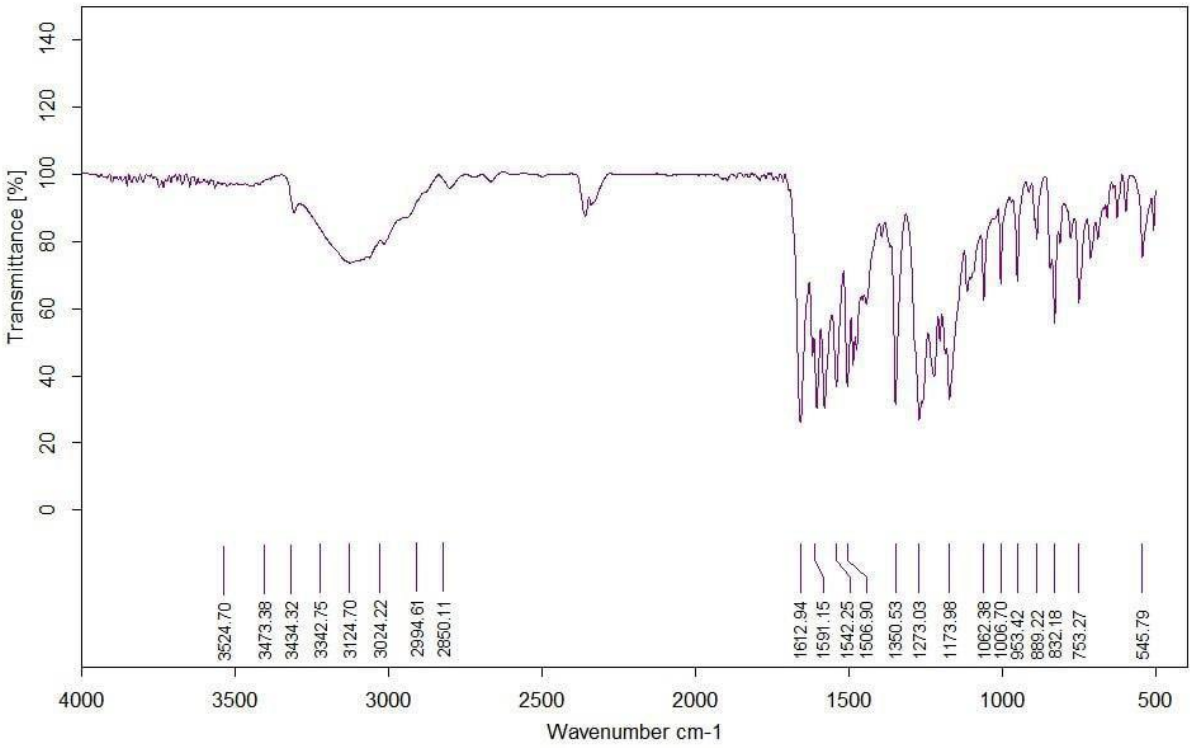
Scheme (2): synthesis of (C1) compound


Transmittance [%]
100
80
60
40
20
0
3746.66
3693.62
3646.09
3555.48
3407.76
3359.40
3287.07
3159.40
3066.20
2959.48
2828.30
1620.38
1586.70
1560.46
1500.20
1448.39
1359.41
1315.20
1260.26
1148.51
1034.94
987.71
813.37
785.58
734.96
687.91
657.48
3500
3000
2500
2000
1500
1000
500
Wavenumber cm-1

IR for A1


BRUKER
Transmittance [%]
100
90
80
70
60
50
3559.84
3528.91
3419.91
3341.66
3041.66
2930.84
2831.96
1661.22
1616.81
1562.69
1528.00
1445.12
1355.10
1204.83
1196.04
1137.39
1125.06
1074.18
1032.58
824.64
759.23
3500
3000
2500
2000
1500
1000
500
Wavenumber cm-1

IR for S1



IR for C1[imidazole]

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