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Synthesis, Characterization and Antimicrobial Activity Study of Some New Substituted Benzoxazole Derivatives

Nuaman F Alheety

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Abstract:

This research included the preparation of 2-mercaptobenzoxazole (N1) by the reaction of ortho-aminophenol with carbon disulfide in an alcoholic potassium hydroxide solution. The 2-mercapto benzoxazole (N1) was then treated with hydrazine to obtain the 2-hydrazino benzoxazole (N2). A number of hydrazones (N3-N5) were prepared through the reaction of N2 with different benzaldehydes. The compound (N6) was also prepared whereby the ring closing of hydrazone (N3) using chloroacetylchloride, while the compound (N7) was prepared by treating 2-hydrazino benzoxazole with acetylacetone. When the compound (N1) was treated with formaldehyde, it afforded the compound (N8). Also, the N9 was obtained from the reaction of N1 with chloroacetic acid in the presence of alcoholic potassium hydroxide. The prepared compounds were characterized using physico-chemical and spectroscopic methods such as melting point, infrared spectroscopy (IR) and the proton nuclear magnetic resonance (^{1}H-NMR). Thereafter, some of the compounds were selected for in vitro antibacterial activity and one of these compounds showed an inhibition effect against gram positive only which is very important because it is considered as specific antibacterial drug.

Key words: Antibacterial activity, Benzoxazole, Gram positive, 2-Mercaptobenzoxazole, Specific antibacterial drug.

Introduction:

The oxazole is a heterocyclic five-membered ring containing nitrogen and oxygen atoms in its structure. Benzoxazole results when the oxazole ring is fused with a benzene ring (1). A number of methods have been published for the synthesis of the benzoxazole derivatives including the condensation of o- aminophenol (2-5). The most important starting material that used for preparation of benzoxazole heterocyclicrings is 2-aminophenol because it already has O and N in its structure able to enter ring formation reaction by reaction with; (i) carboxylic acid such as the reaction of 2-aminophenol or 5-amino-2-aminophenol with benzoic acid in the presence of polyphosphoric acid (2), (ii) different aldehydes have been used and this starting material which gives the corresponding benzoxazole in a short time in good yield in the presence of iodine (3), (iii) the benzoxazole derivatives have been also synthesized in non-polar high boiling solvent such as toluene by the reaction 2-aminophenol with acid chloride in the presence of an appropriate base (4), (iv) another synthone for preparing benzoxazole derivative by treating the 2-aminophenol with alcohol using the ruthenium as a catalyst (5). The second most used starting material for preparation of benzoxazole derivatives is the benzoxazole itself, for instance the reaction of benzoxazole with bromobenzene in the presence of Pd/Cu catalyst (6). Although benzoxazole has a little practical value and found within the chemical structures of the drugs but its derivatives have a valuable effect as antivirals (7-9), antimicrobial (10**,** 11), antifungal (12), anti-inflammatory (13), antitumor (14), and anti-TB drug (15). In this study we described the preparation of benzoxazole derivatives (Scheme1) in high yields. These compounds were characterized by spectroscopic methods. In addition, some of these benzoxazole derivatives were screened for biological activity against two bacterial strains. This research aims to prepare new 2-mercaptobenzoxazole derivatives furthermore, aims to study the bioactivity of some of those derivatives.

College of Basic Education, Al-Anbar University, Al-Anbar, Iraq.
E-mail: numan.farooq@yahoo.com

Experimental:

Materials and Methods:

All starting materials and the solvents were obtained from commercial sources. These chemicals were utilized without further purification. FTIR spectra were verified on SHIMADZU 8400S in cm^{-1} units at Tikrit University-Iraq. Melting points were measured on STAUART. ^{1}H-NMR was measured by Bruker spectrometer at 400 MHz in ppm unit in DMSO-d6 solvent. The NMR spectra were measured at Gaziosmanpaşa University – Turkey. The antibacterial effects were conducted for some as-prepared compounds at Tikrit University-biology department.

Synthesis of 2-mercaptobenzoxazole (N1) (16)

Ortho amino phenol (0.01mol, 1.09 g) was dissolved in (20ml) of absolute ethanol containing potassium hydroxide (0.1mol, 5.6 g), then carbon disulfide (0.1mol, 7ml) was added gradually to the mixture. The mixture was refluxed for 3 hours. Thereafter, activated carbon was heated, added to the resolved mixture, and refluxed for half an hour to release the colors. The mixture was filtreted and then acidified using acetic acid until the PH of the solution became neutral, m.p. (182-185 ^{o}C) published (180-185^{o}C) (14). (**Scheme 1**).

Synthesis of 2-hydrazinobenzoxazole (N2) (17)

2-mercaptobenzoxazole (0.01mol, 1.5g) was mixed with (20-25 ml) hydrazine monohydrate (80%) and refluxed for 24 hours until the H_2S eruption stops. The resulted mixture was chilled and (100 g) of ice was added. The white precipitate was separated by filtration and washed with distilled water several times. (**Scheme 1**).

Synthesis of Hydrazones (N3-N5) (17)

To a solution of 2-hydrazino benzoxazole (0.1 g, 0.0007 mol) in ethanol (15 ml), 0.0007 mol of three different aromatic aldehydes in ethanol (15 ml) was added with 3 drops of acetic acid. This mixture was refluxed for 8 hours. The solution was immediately filtered and left to cool at room temperature to give a powder of analogue hydrazone. (**Scheme 1**).

Synthesis of β-Lactam (N6)

(paranitrobenzylidine)hydrazinobenzoxazol (0.141 g, 0.0005 mol) was treated with triethylamine (0.0005 mol) in (30 ml) of mixture of 1:1dioxan and THF in an ice bath and chloroacetyl chloride was added. The mixture was stirred for 8 hours at 0 °C, thereafter it was left to stirr at room temperature for further 48 hours, separate the precipitate was separated by filtration and recrystallized from benzene to obtain a deep red precipitate. (**Scheme 1**).

Synthesis of 2,2'-(((E)-pentane-2,4 diylidene)bis (hydrazin-1-yl-2-ylidene))bis(benzo[d]oxazole) (N7)

A mixture of acetyl acetone (0.03 g, 0.0003) and compound N2 (0.047 g, 0.0003 mol) in ethanol (25 ml) was refluxed for 10 hours. The solution was immediately filtered off and left to cool down to room temperature to afford brown powder which was filtered again and dried at 60 ^{o}C for 4 hours. (**Scheme 1**).

Synthesis of (1,3-benzoxazol-2-yl thiomethanol) (N8)

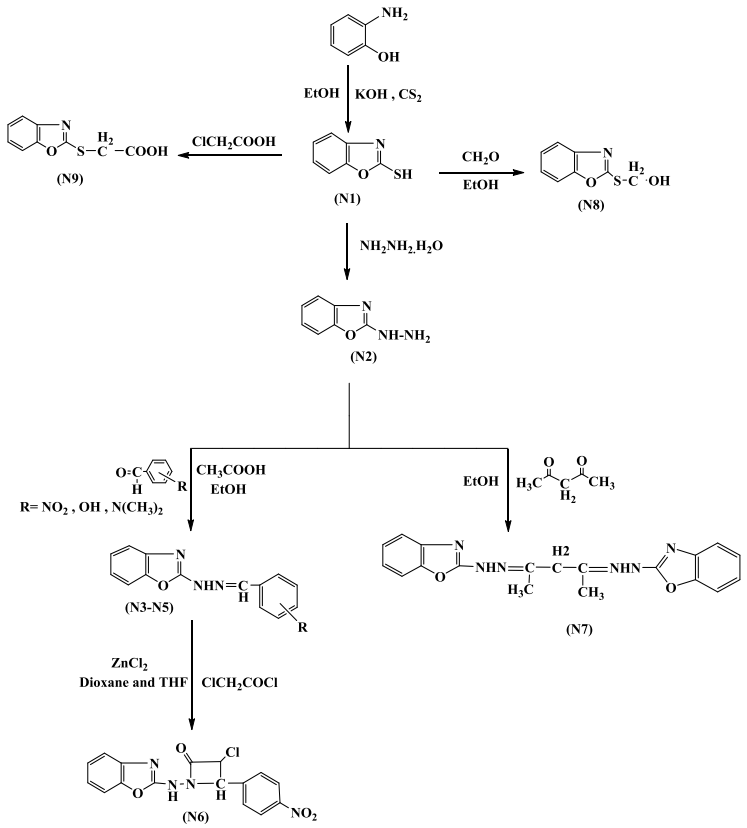
A solution of 2-mercaptobenzoxazole (1.5 g, 0.01 mol) in ethanol (25ml) was add to formaldehyde (5 ml),then the mixture was stirred for 5 minutes and then refluxed for 4 hours. The mixture was left to evaporate at 70 oC to a quarter of its volume and left to cool down, separate the precipitate by filtration and recrystallized from ethanol to obtain a white precipitate. (**Scheme 1**).

Synthesis of (1,3-benzoxazol-2-yl thioacetic acid) (N9)

To a solution of 2-mercaptobenzoxazole (0.0015 mol, 0.222 g) in ethanol (30 ml) containing potassium hydroxide (0.0065 mol), chloroacetic acid (0.0015mol) was added dropwise. Thereafter, the mixture was refluxed for 4 hours. this mixture was filtered and the ppt was washed with cooled ethanol and dried at 60 ^{o}C for 4 hours. (**Scheme 1**).

Antibacterial Activity

The antibacterial activity of compounds N3,N5,N7and N8 was measured against two types of bacteria using the disk diffusion method. The disks were soaked with a DMSO. Thereafter, dried in incubator before being placed in bacteria cultures. The negative control was DMSO. The plates were incubated at 37 °C for two days. The maximum inhibition zone was observed and measured for analysis against each type of test microorganism (18).



Scheme 1. Preparation of compounds N1-N9

Results and Discussion

The reaction of the ortho-aminophenol with the carbon disulfide in the presence of ethanolic potassium hydroxide solution afforded in the compound (2-mercaptobenzoxazole) (N1). The resulting compound was confirmed by melting point (181-183 ^{o}C) by comparing with the published data in the literature (16**)** (180-185 °C). The IR spectrum of (N1) showed many characteristic absorption bands which were at 648 cm^{-1} of υ(C-S) group, 1277 cm^{-1} of υ(C-N), (1617 cm^{-1}) of υ(C=N), (1445,1508 cm^{-1}) attributed to υ(C=C) and the υ(=C-H) aromatic at 3090cm^{-1}.

The 2-hydrazinobenzoxazole (N2) was obtained by the reaction of 2-mercatobenzoxazole with hydrazine monohydrate (80%). This compound was characterized by melting point (229-231 ^{o}C). In addition, the IR spectrum was measured as shown in Fig.1. The IR spectrum showed the following characteristic absorption band at 1641 cm^{-1} of υ(C=N) or υ($NHNH_2$) of the indo-oxazole ring. Moreover, the two absorption bands at 3020, 3084 cm^{-1} which were due to aromatic υ(=C-H), as well as the appearance of two distinct absorption peaks at 3213, 3269 cm^{-1}, that corresponds to symmetric and asymmetric υ(NH_2).

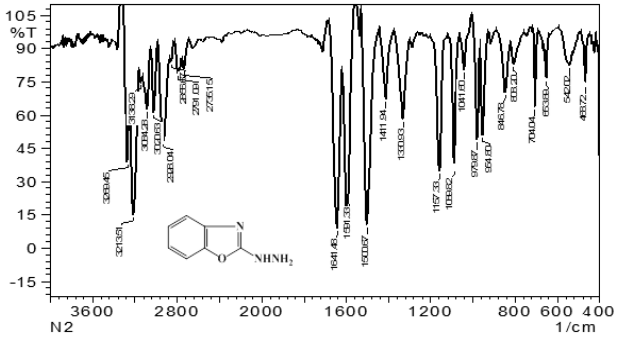
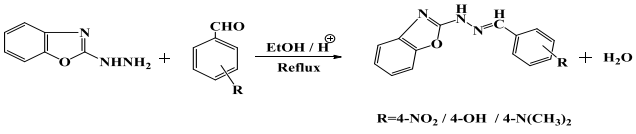


Figure 1. IR spectrum of N2

The Hydrazones (N3-N5) compounds were prepared by condensation reaction of 2-hydrazinobenzoxazole with three different benzaldehyde derivatives in absolute ethyl alcohol in the presence of 3 drops of acetic acid as a catalyst for this reaction. The general reaction is illustrated in **Scheme 2.**



Scheme 2. General equation for hydrazones (N3-N5) formation (15).

The resulted compounds were characterized by their physical properties as shown in Table 1**.** The compounds were also characterized by the

infrared spectra as shown in Table 2 and Fig. 2. The disappearance of the asymmetric and symmetrical stretching vibrations of NH_2 of (N2) and appearing of new bands at 3203-3281 cm^{-1}, 1583-1641 cm^{-1} which are attributed to the υ(NH) and υ(C=N) of the substituted imines, respectively, while the bands at 3018-3026 cm^{-1} of υ(=C-H) for the di-substituted phenyl ring. In addition, there are other bands appeared at 1483-1581 cm^{-1} that are derived from the aromatic matrix υ(C=C) where it is weaker than the intensity of the υ(C=N). Further evidence for the formation of these compounds is exhibited from ^{1}H-NMR spectrum of the compound (N3), as shown in Fig. 3, a clear singlet signal at the chemical shift δ=8.40 ppm with integration equal 1 represents a proton (N=C-H) and multiple signals in the range δ=7.85-8.30 ppm with integration equal 8 of the aromatic protons. The broad signal at δ=11.16 ppm due to the proton of (N-N-H) as in their integration. Moreover, the ^{1}H-NMR spectrum of (N5) (Fig. 4) shows a clear singlet signal at δ= 8.17 ppm attributed to N=C-H and a multiplet signal in the range δ=6.71-8.16 ppm for the aromatic protons, as well as broad singlet signal at δ= 11.1634 ppm attributed to N-N-H and a high intensity signal at δ=3.04 ppm representing $N(CH_3)_2$.

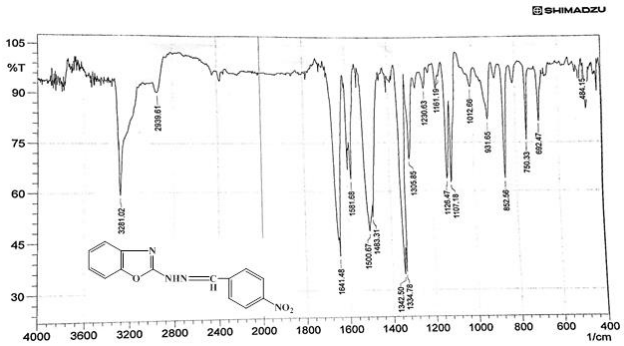


Figure 2. IR spectrum of compound N3

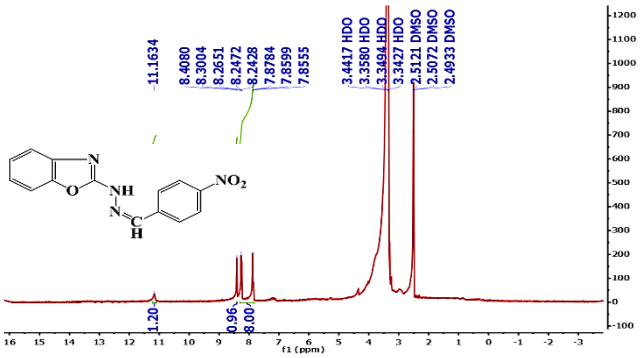


Figure 3. ^{1}H-NMR spectrum of N3

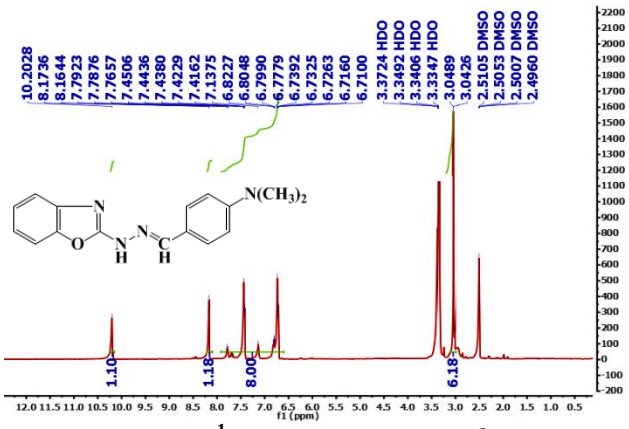
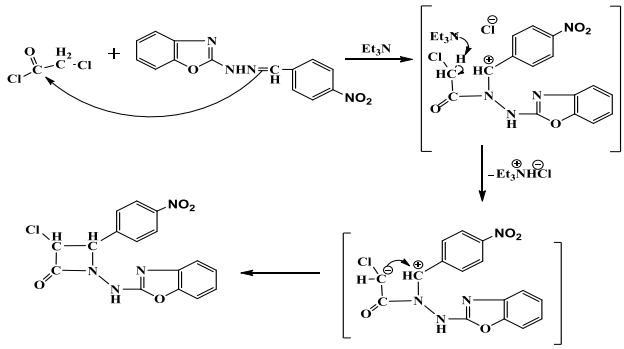


Figure 4. ^{1}H-NMR spectrum of N5

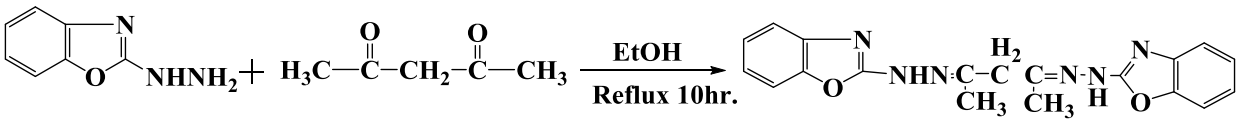
The derivative of beta-lactam (N6) was obtained from the reaction of (N3) with chloroacetylechloride in the presence of triethylamine base using a mixture of dioxane and THF as a solvent. The Mechanism for this reaction has been proposed as follows in **Mechanism 1**:-



Mechanism 1. Mechanistic illustration of N6

The chemical composition of the obtained beta lactam was demonstrated by the physical properties shown in Table 1 and the IR spectral data shown in Table 2. The IR spectrum showed absorption band at 3421 cm^{-1} referred to υ(N-H), while the characteristic peaks of beta-lactams appeared at 1735 cm^{-1} attributed to υ(C=O) while the peak situated at 1581 cm^{-1} referred to υ(C=N). These frequencies are in agreement with the values in the literature (19).

The reaction of 2-hydrazinobenzoxazole with acetyl acetone using ethanol as a solvent resulted in (N7) compound. The reaction occurred as in the following equation **Scheme 3:**



Scheme 3. Chemical equation for the formation of (N7).

In spite of using (1:1 mole) the resultant was binary substitute. This explains that the readiness of the two sides for the interaction. Due to the use of such little proportion, the resuitant was consequently poor. The structure of this compound was confirmed by melting point (135 ^{o}C). The compound was also characterized by the infrared spectrum, which illustrated in Table 2. At the frequencies 2955,2964 cm^{-1} attributed to υ(C-H) aliphatic, and 1631 cm^{-1} due to υ(C=N). The ^{1}H-NMR spectrum of N7 as shown in **Fig. 5** which shows a singlet signal at the chemical shift δ=1.97 ppm representing (-CH_3) group and multiplet signals in the range δ=6.81-7.17 ppm attributed to the aromatic protons in addition to, a singlet signal at δ=3.5 ppm represent the (C-CH_2-C) group as well as the spectrum shows a singlet signal at δ=9.92 ppm representing the proton group (N-H).

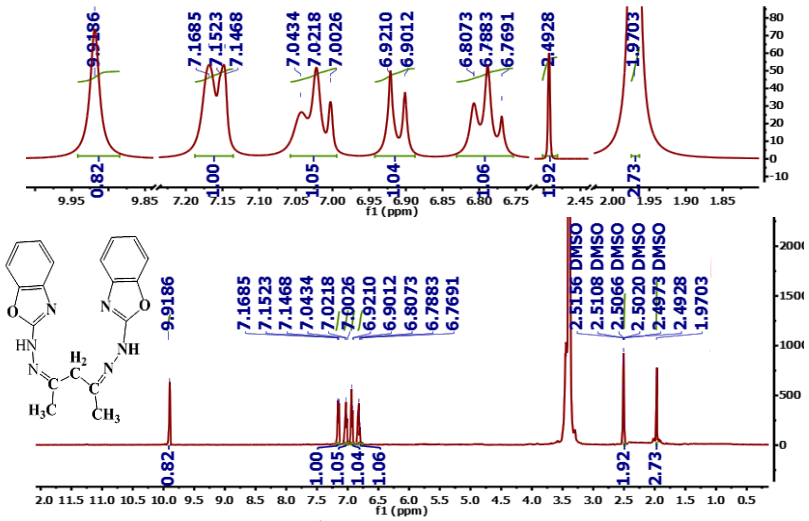
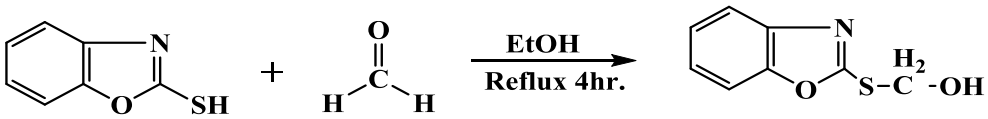


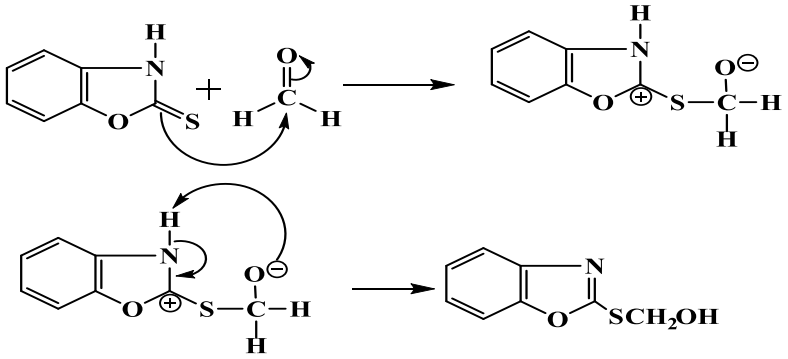
Figure 5 ^{1}H-NMR spectrum of N7

The Benzoxazolile thiomethanol (N8) was prepared by the reaction of the 2-mercapto benzoxazole with formaldehyde in the presence of ethanol as solvent, as in the following equation:



Scheme 4. Chemical equation for preparation of (N8)

The proposed mechanism for this reaction can be clarified as follows:



Mechanism 2. Proposed mechanism of (N7)

The structure of this compound was characterized by infrared spectrum (Table 2). At the frequency 3327 cm^{-1}, the stretching vibration of hydroxyl group appeared. Also, a couple of bands situated at 2862, 2928 cm^{-1} which refer to aliphatic υ(CH) .

The ^{1}H-NMR spectrum of N8, as shown in Fig. 6, clearly showed signal at the chemical shift δ=5.61 ppm with integration=2H represents the two protons of the (CH_2) group, and the spectrum showed a broad singlet signal at δ=4.35 ppm represents the proton of (OH) group, and multiplet signals in the region δ=7.22-7.54 ppm represent the aromatic protons.

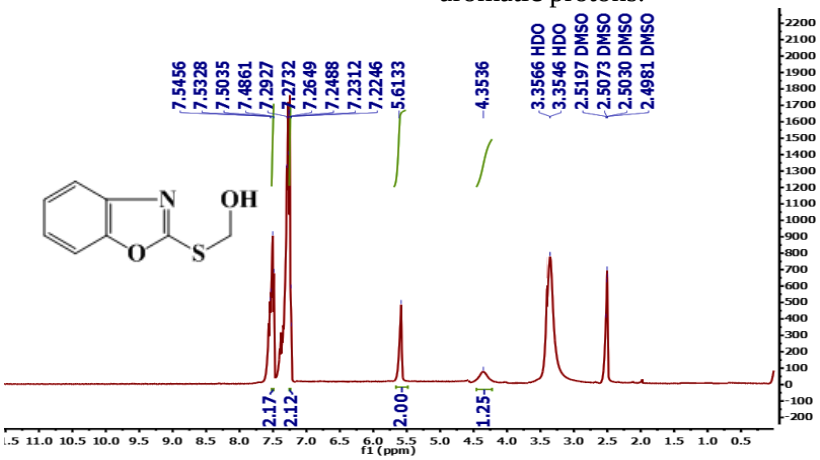
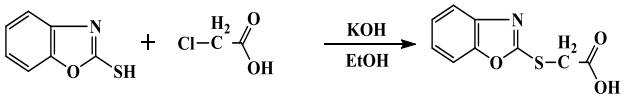


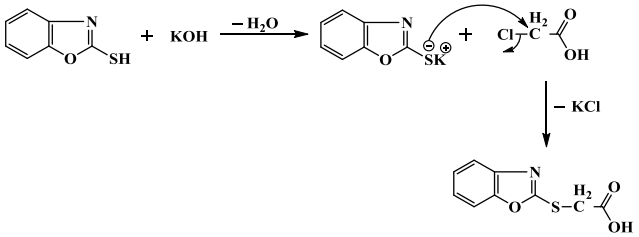
Figure 6. ^{1}H-NMR spectrum of N8

The compound (N9) was prepared by the reaction of the 2-mercapto benzoxazole with chloro acetic acid in the presence of alcoholic potassium hydroxide as in the following equation:



Scheme 5. Chemical equation for preparation of (N9)

This reaction is one of the alkylation reactions via SN_2 mechanism as shown in **Mechanism 3** (20).



Mechanism 3. Proposed mechanism of (N9)

The chemical structure of the prepared compound was demonstrated by the physical properties shown in Table 1 as well as IR data shown in Table 2 and Fig. 7**,** where an υ(OH) band was observed at 3414 cm^{-1} while the band at 1697 cm^{-1} due to υ(C=O) of the carboxyl group in the compound (N9).

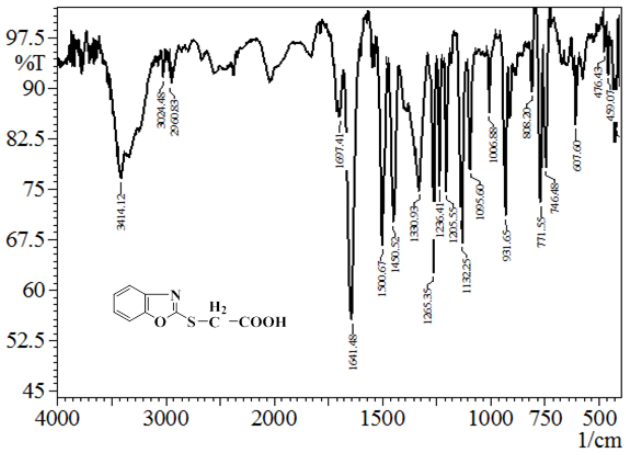


Figure 7. IR spectrum of the N9

Table 1. Physical properties of the benzoxazole derivatives

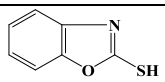
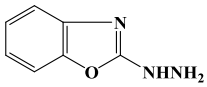
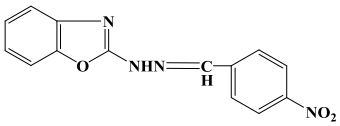
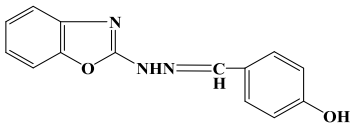
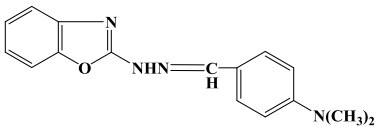
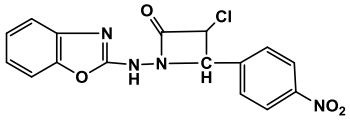
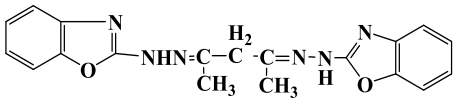
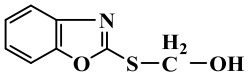
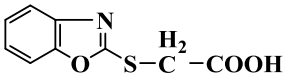
Comp. No.	Structure	Chemical Formula	Molecular Weight	Color	M.P(^{0}C)
N1		C_7H_5NOS	151.18	White	181-183
N2		C_7H_7N3O	149.15	White	229-231
N3		$C_{14}H_{10}N_4O_3$	282.26	Orange	246-250
N4		$C_{14}H_{11}N_3O_2$	253.26	Brown	225-227
N5		$C_{16}H_{16}N_4O$	280.33	Yellow	224-226
N6		$C_{16}H_{11}ClN_4O_4$	358.74	Deep red	149-151
N7		$C_{19}H_{18}N_6O_2$	362.39	Brown	134-135
N8		$C_8H_7NO_2S$	181.21	White	99-100
N9		$C_9H_7NO_3S$	209.22	White	84-86

Table 2. Some IR frequencies of the prepared compounds

Comp. No.	Characteristic bands of IR. spectra (cm^{-1} , KBr disc)								
	υ(C-N)	υ(C-H) alph.	υ(C-H) arom.	υ(C-S)	υ(C=O)	υ(C=C)	C υ(C=N)	υ(N-H)	υ others
N1	1277	-----	3100	648	-----	1445 1508	1617	------	--------
N2	1330	-----	3020 3084	------	-----	1411 1591	1641	3138 3213 3269 (NH , NH_2)	------
N3	1334	------	------	-------	------	1483 1581	1641	3281	1334 1342 (NO_2)
N4	1330	-------	3026	--------	-------	1510	1583	3250	3375 (OH)
N5	1327	2793 2929	3018	------	------	1500 1581	1641	3203	------
N6	1344	------	2922	-------	1735	1456 1519	1581	3421	852 (Cl)
N7	1348	2955 2964	2991 3132	------	------	1460 1593	1631	3203	------
N8	1325	2862	2928	607	------	1425 1479	1620	------	3327 (OH)
N9	1330	2960	3024	607	1697	1450 1500	1641	------	3414 (OH)

Antibacterial Activity

Two bacteria strains, gram negative (E. coli) and gram positive (S. aureus) were chosen to examine the antibacterial activity of four different compounds (N3, N5, N7 and N8) with a concentration of 20 mM as in Fig. 10. The inhibition zone diameter (IZD) in millimeter is shown in schematic Fig. 11 and Table 3. The IZD of these prepared compounds against E.coli were as in the following series N8 > N7 > N5 > N3. Whilst they were against S. aureus N7 > N5 > N8 > N3. Depending on inhibition zone diameter (IZD) and Fig. 11, N3 has no significant effect against both types positive and negative bacteria while the compound N5 show a valuable effect against the S. aureus, so this assignment is a good proof that N5 is specific for gram positive. The N8 compound has higher IZD against gram negative bacteria than positive one, thereby it could be considered effective antimicrobial against gram negative. The N7 has no difference between the inhibition effect against S.aureus and E.coli, therefore, it could be considered as the best one against gram -positive and -negative bacteria.

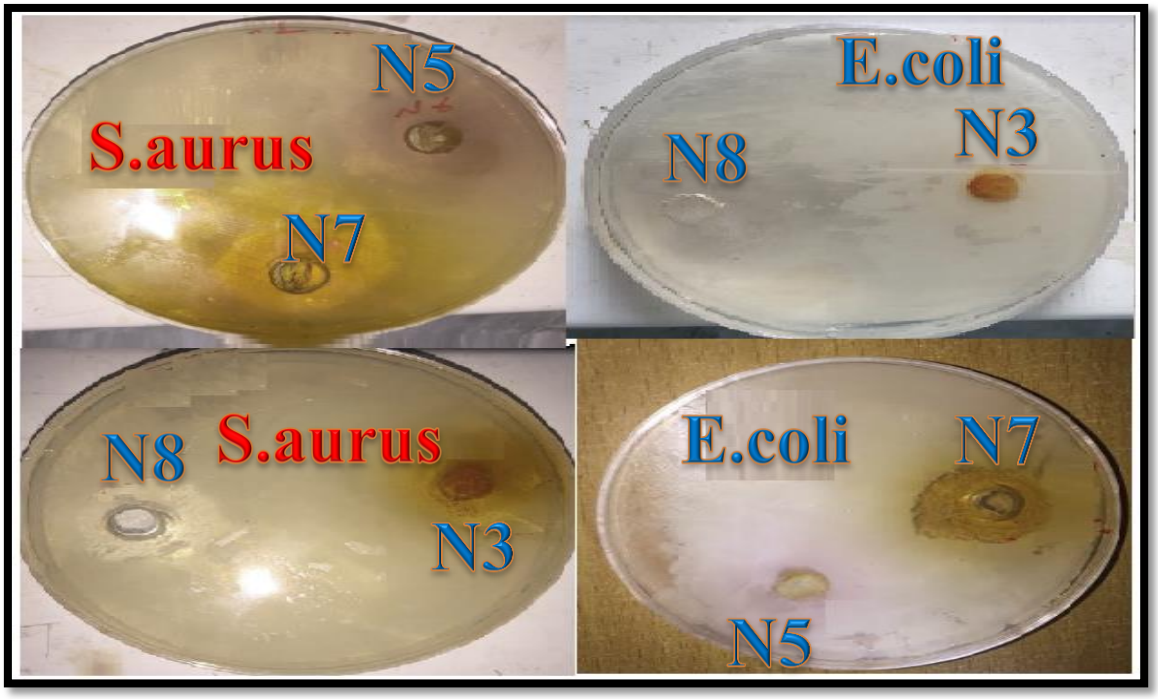


Figure10. Graphical picture of bacteria cultures with inhibition zone

Table 3. Antibacterial Activity Test of some prepared compounds

Bacteria	IZD (mm) after incubation for 48 hours			
	N3	N5	N7	N8
E. coli	00.0	01.0	21.5	29.0
S. aureus	03.0	18.3	27.5	10.0

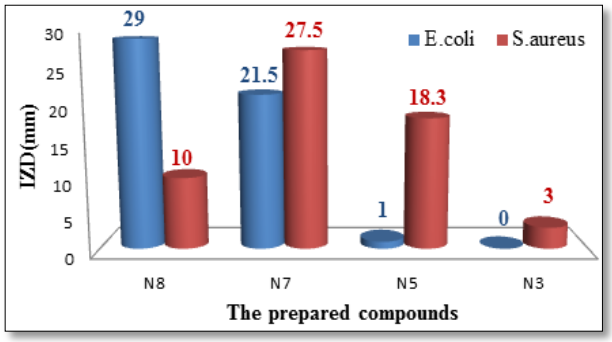


Figure 11. Inhibition zone diameter (IZD) of some prepared compounds against E.coli and S.aureus.

Conclusion:

This research highlights the synthesis and characterization of a number of benzoxazole derivatives. These compounds showed significant effect against both Gram-negative (E. coli) and Gram-positive (S. aureus) bacteria. The

antibacterial results prove that the compound N8 has the highest antibacterial activity against E.coli while the compound N9 has the highest antibacterial activity against S.aureus. The most important compound is N5 because of this compound shows an inhibition effect against gram positive only which is very important because it is considered specific antibacterial drug. In addition the compound N7 can be used as a wide spectrum antibacterial effect due to the results that show its ability to inhibit both Gam-positive (27.5 mm) and Gram-negative (21.5 mm).

Conflicts of Interest: None.

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تحضير وتشخيص مع دراسة للفعالية ضد المايكروبات لبعض مشتقات معوض البنزواوكسازول الجديدة

نعمان فاروق الهيتي

كلية التربية الاساسية، جامعة الانبار، الانبار، العراق.

الخلاصة:

يتضمن البحث تحضير 2- مركبتو بنزاوكسازول (N_1) من تفاعل اورثو أمينو فينول مع ثنائي كبريتيد الكاربون في هيدروكسيد البوتاسيوم الكحولي ، بعد ذلك فوعل المركب 2-مركبتو بنزاوكسازول (N_1) مع الهيدرازين للحصول على المركب 2-هيدرازينو بنزاوكسازول (N_2). حضرت عدد من الهيدرازونات (N_3-N_5) بمفاعلة المركب (N_2) مع البنزالديهايدات المختلفة . كما تم تحضير المركب (N_6) من حولقة الهيدرازون (N_3) باستخدام كلورو كلوريد الاستيل بينما حضر المركب (N_7) بمفاعلة المركب 2-هيدرازينو بنزاوكساول مع الأسيتل اسيتون. عند معاملة المركب (N_1) مع الفورمالديهايد نتج المركب (N_8). وكذلك حضر المركب (N_9) من تفاعل المركب (N_1) مع كلورو حامض الخليك بوجود هيدروكسيد البوتاسيوم الكحولي . تم تشخيص المركبات المحضرة باستخدام الطرائق الفيزيائية والطيفية مثل طيف الأشعة تحت الحمراء وطيف الرنين النووي المغناطيسي للبروتون ثم اختيرت بعض المركبات لاختبار فعالية مضادات البكتيريا وان احد هذه المركبات اظهر تاثير تثبيطي ضد نوع بكتريا موجبة صبغة كرام فقط والذي هو عامل مهم لان هذا يعد مضاد بكتيري دوائي نوعي.

الكلمات المفتاحية: فعالية مضادة للبكتريا، بنزواوكسازول، موجبة لصبغة كرام، 2-مركبتوبنزواوكسازول، مضاد بكتيري نوعي.