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Synthesis and biological evaluation of some amides compound derivative of oxazole carboxylic acids

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ABSTRACT

In this present a new series of amides from 4, 5 di benzoic acid oxazole, 4-benzoic acid -5- phenyl oxazole, 2-methyl 4,5 – di benzoic acid oxazole, 2-methyl-4 benzoic acid- 5-phenyl oxazole, 2-benzyl – 4.5 – di benzoic acid oxazole with aniline. The structures of the synthesized compounds were determined by their infra-red, H- nuclear magnetic resonance and analysis elemental analysis spectral data and were tested for their antibacterial activity. The newly amides characterized by spectral IR table (2) spectral shown disappearance pf – COOH at 3500 cm and NH2 3320-3410 cm Absorption band in the other new derivative at (1770-1760) cm-1 to –C-NH) group of new amides because of mesomeric effect and characterized by C-H-N and H NMR. In this study of the effect of the prepared compound in the two types of bacteria isolated from a medical condition (human) and it has studied and diagnosed and proved their attributes. It has identified its resistance of different antibiotic that is also carried out the development operations and increased the numbers of these bacteria in temperature (37°C).

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INTRODUCTION

The oxazole moieties have a broad spectrum of biological activity and are found in a large number of natural products and functional materials (Mckee, 2008; Al-Grawi and Al-Awsi, 2018; Rodrigue, 1999). Oxazoles are associated with anti-bacterial, anti-fungal, anti-inflammatory and ant tumoral activities and can be used as peptide mimetic or enzymes inhibitors (Hghes, 2007; Shamran *et al.,* 2018). Oxazole derivatives have also been used as efficient luminophores for liquid and plastic scintillators and as fluorescent probes for biological systems (Grank, 2005). Various compounds –NH-CO- grouping were found to inhibit photosynthesis electron transport there for antifungal and photosynthesis inhibiting evaluation of newly prepend pyrazine –2-carboxylic (Pavlopoulos *et al.,* 1974; Kunes, 2002).

Mouyad (AL-NASHI and AL-AOSI, 2013; Mohammed, 2012). Syntheses of this type of substitute oxazoles such as 4,5 – ditolyloxazole 2-methyl- 4,5 –ditolyl oxazole from symmetrical and unsymmetrical benzoin with α-amino acid glycine, alanine and phenylalanine.

Experiments

Melting points of the synthesized compounds were determined by open capillary and are uncorrected the purity of the compounds was checked using percolated TLC plates using benzene : methanol (8:2) solvent system. IR spectra were recorded using KBr on FTIR. C.H.N analyzer and H-NMR spectra (300 MHz).

General Method oxidation of methyl group4.5-ditoly oxazole, 4-tolyl oxazole, 2-methyl – 4-tolyl – 5-phenyl oxazole, 2-benzyl – 4, 5 –ditolyl

Table 1: Analytical data of the amides

Comp	X	Y	Z	Formula	Melting Point	Calc-found 70		
						C	H	N
1	H	OH ‖ ‖ ph-C-N-ph	ph	$C_{22}H_{16}N_2B_3$	239.3°C	--	--	--
2	OH ‖ ‖ C-N-ph	OH ‖ ‖ ph-C-N-ph	ph	$C_{29}H_{21}N_3O_3$	269°C	75.816 75.798	6.034 6.049	9.159 9.149
3	H	OH ‖ ‖ ph-C-N-ph	OH ‖ ‖ ph-C-N-ph	$C_{29}H_{21}N_3O_3$	285°C	75.815 75.919	6.034 9.921	9.159 9.987
4	OH ‖ ‖ -C-N-ph	OH ‖ ‖ ph-C-N-ph	OH ‖ ‖ ph-C-N-ph	$C_{36}H_{26}N_3O_3$	312°C	74.740 74.739	4.498 4.489	9.688 9.685
5	CH2-ph	OH ‖ ‖ ph-C-N-ph	ph	$C_{36}H_{27}N_3O_3$	317°C	--	--	--

oxazole,4-tolyl -5- phenyl oxazole oxidation by KMN and drops of H_2SO_4 product acids.

Synthesis of amides (Lateef, G., Al-Thahab, A., & Chalap Al- Grawi, E. (2018).

A mixture of acid 0.05 mol and thionyl chloride 5-5ml, 75 mmol in dry benzene (20ml) was refluxed for about 1 h. Excess thionyl chloride was removed by repeated evaporating with dry benzene in vacuo, the crude acyl chloride dissolved in dry acetone 50 ml was added dropwise to a stirred solution of the corresponding substituted aniline (50 mmol) in dry pyridine (50ml) kept at room temperature. After the addition was complete, stirring was continued for another 30 min. The reaction mixture was then poured into cold water (200ml), and the crude amide was collected and recrystallized from aqueous ethanol.

RESULT AND DISCUSSION

The precursor required for our present study were prepared in 55-60 % yield by the treatment of carboxylic acids with aniline synthesis of amides in scheme (1) condensation of the series carboxylic acid of oxazole with aniline (Vogel, 1955) (Badda, 1954).

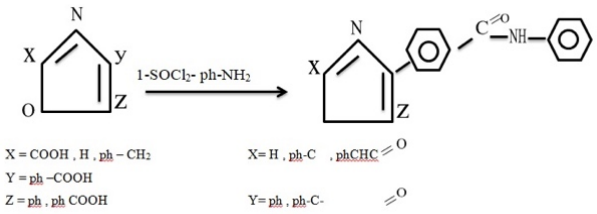

Figure 1: Preparation of Amides

The newly amides characterized by spectral IR Table 2 spectral shown disappearance pf – COOH at 3500 cm and NH2 3320-3410 cm Absorption band in the other new derivative at (1770-1760) cm-1 to –C-NH) group of new amides because of mesomeric effect and characterized by C-H-N and H NMR. The physical characteristics and spectral data are presented in tables 1-3. (Lateef *et al.,* 2018).

Table 2: FTIR data for new amides

Comp	VC=O	NH	C=C
1	1692	3374	1600
2	1683	3358	1610
3	1692	3360	1605
4	1694	3356	1600
5	1692	3352	1607

Table 3: H1NMR data for new amides

Com	H1NMR CDCL3
1	(C-H) 7.9-7.8 ppm of H (oxazole) (s) (N-H) of amide 8-7 (s) ph (m) s 6.4-7-5 ppm; Ph-n(M) 6.8 -8.7 ppm.
2	(N-H) of amide 8.8 (s); Ph-(m) s 6.3-7; Ph-N (m) 6-9. 8.9; N-H of amide 8.8 s
3	C-H 7.9-7.8 ppm of H oxazole; Ph (m) 6.7 ppm; Ph –n (m) 6.9-8.9 N-H of amid 8.7 s
4	N-H of amide 8.8s; Ph C=O 701- 8-3; Ph NH 6.7-8.7
5	N-H of amide 8.6s; CH2 4.7 ppm, Ph C=O 7-3-8-2; Ph-NH 6.7-8-7

Table 4: Biological activity of new amides

Comp. No.	Staphylococcus aureus	Salmolea typhi
1	18	12
2	24	16
3	26	18
4	16	8
5	22	14

The results of antibacterial were presented in Table 4. In this study of the effect of the prepared compound in the two types of bacteria isolated from a medical condition (human) and it has studied and diagnosed and proved their attributes. It

has identified its resistance of different antibiotic that is also carried out the development operations and increased the numbers of these bacteria in temperature (37°C). These types of bacteria staphylococcus salmonella. The results of this study have shown that many of the effective anti- microbiological prepared compounds towards these types of bacteria where inhibition zones have ranged from (8-26) mm. The results have also shown a close relationship between the efficiency of the microbiological of the prepared compounds and the nature of redeeming groups in their structures.

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