DOI: https://doi.org/10.36811/jca.2021.110033 **JCA: December-2021: Page No: 889-893**

Universal Journal of Chemistry and Applications

Research Article **Open Access**

In vitro antimicrobial activity screening of new Heterocyclic compounds derived from 5-bromo-2,3-di(furan-2-yl)-1h-indole

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***Received Date:** Oct 07, 2021 / **Accepted Date:** Nov 29, 2021 / **Published Date:** Dec 06, 2021*

Abstract

This article describes the antimicrobial activity evaluation of new heterocyclic compounds derived from 5-bromo-2,3-di(furan-2-yl)-1h-indole. Heterocyclic moiety serve as perfect framework on which pharmacophores can be effectively attached to produce novel drugs. New compounds were obtained on the basis of derivatives including 1H-indole-2,3-dione derivatives. Acid-catalyzed, three-component reaction (Belinelli synthesis) between 5-bromo-2,3-di(furan-2-yl)1H-indole, acetylacetone and semi carbazide, thiosemicarbazone, urea, thiourea, guanidine constitutes a rapid and facile synthesis of corresponding tetrahydro pyrimidines, which are interesting compounds with a potential for pharmaceutical application. Antimicrobial tests revealed high antibacterial activity of obtained derivatives. The synthesized compounds have been screened for their in vitro antimicrobial activity against various strains of bacteria and fungi.

Keywords: Vitro; Heterocyclic Compounds; Antimicrobial

Cite this article as: Hana AA Mageed, Reda F El-Ezabi, Fayrouz A Khaled. 2021. *In vitro* antimicrobial activity screening of new Heterocyclic compounds derived from 5-bromo-2,3-di(furan-2-yl)-1h-indole. J Chem Appl. 3: 889-893.

Introduction

Microorganisms play a key role in the functioning of the environment but they are also a real threat to human life and health. The widespread diseases and infections caused by different bacteria and fungi have encourage the need for scientific research and advances in medicinal chemistry [1-3]. The unequivocal reports regarding the scale of pathogenic microbial resistance to commonly used drugs have forced the search for new antimicrobial agents [1-3]. Amongst different heterocycles, a major impetus for research on thiazolidine [4,5] derivatives have stemmed from the significant physiological function of this ring system. Moreover, furan containing heterocyclic compounds have also been shown to have deep impact on biological activities like antitumor [6], anti-inflammatory [7], antimicrobial [8], antiviral [9], etc. The presence of N-C-S linkage in the compounds has been shown to

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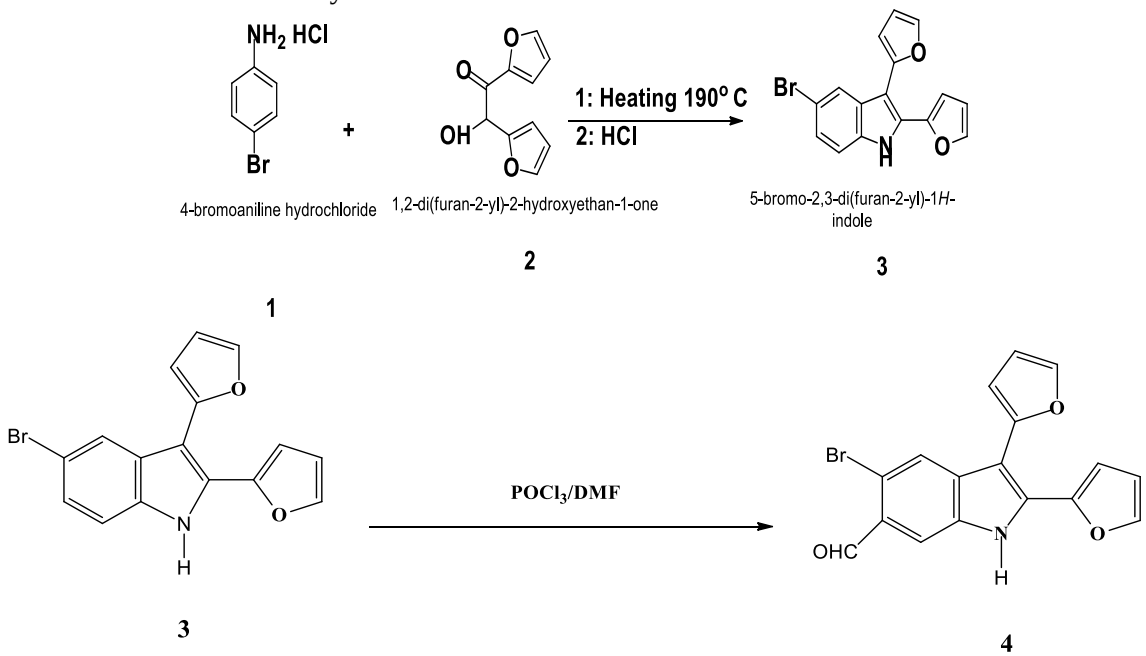
have nematocidal and antifungal activity. The compounds which possess N-C-S linkage like oriole (a) possess nematocidal activity. Hence, it was subject of interest to synthesize and study some new derivatives. Avoiding organic solvents during the reactions in organic synthesis leads to a clean, efficient and economical technology (green chemistry). There is an increasing interest in the use of a highly expedient methodology for the synthesis of fine chemicals and heterocyclic compounds of biological importance, we herein report a facile, rapid one pot synthesis of 1,2-di(furan-2-yl)-2-hydroxyethan-1-one (2) with 4-bromoaniline (1) derivatives to afford 5-bromo-2,3-di(furan-2-yl) -1H-indole (3) scheme (I). derivatives were obtained in good yields. the IR spectrum of compound (2) showed an absorption bond at 1672cm^{-1},3399cm^{-1} characteristic for the carbonyl function and hydroxyl Figure (1). The IR spectrum of compound (3) don't show an absorption for the carbonyl function and hydroxyl figure (2). Valmeyer-Haack formylation of compound (3) with dimethylformamide and phosphorus oxychloride gave 5-bromo- 2,3-di(furan-2-yl)-1H-indole-7-carbaldehyde (4). The IR spectrum of compound (**4**) showed an absorption band at 1730 cm $^{-1}$ figure (3) characteristic for the carbonyl function of the formyl group [10]. The constitution of the products has been supported by elemental analyses, IR and1H NMR spectra All the products have been screened in vitro for their antimicrobial activity against different strain of bacteria and fungi.



Scheme 1: Synthesis of 5-bromo-2,3-di(furan-2-yl)-1H-indole-6-carbaldehyde [10].

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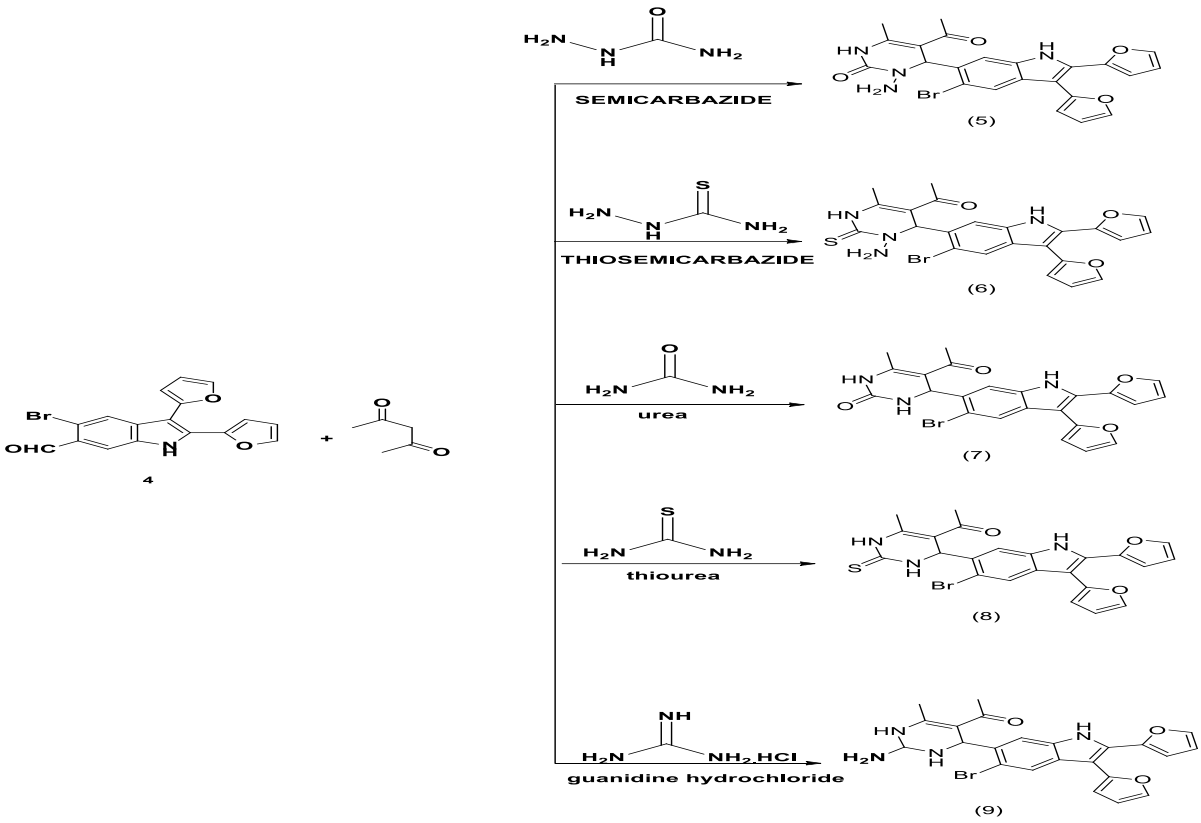

Scheme 2: Synthesis of tetrahydro pyrimidines 5-9 [10].

Material and Methods

All the chemicals and reagents were purchased from MERCK and Himedia fine chemical companies and are used without further purification. Melting points of the synthesized compounds are determined in open capillaries and are uncorrected. Reactions are monitored by thin-layer chromatography (TLC) on silica gel 60 F254 aluminum sheets (MERCK). The mobile phase was chloroform and benzene.

Antimicrobial Activity

The antimicrobial activity was assayed by using the cup-plate agar diffusion method [11] by measuring the inhibition zone in mm. All the compounds were screened in vitro for their antimicrobial activity towards variety of bacterial strains such as such as S. aureus, E. coli and fungi such as Aspergillus Niger at a concentration of 40 µg.

Results and Discussion

By visualizing the antimicrobial data, it could be observed that compounds 4 and 5 were highly active towards Proteus vulgaris and staphylococcus aureus. The compounds 3, 4, 5,6 and 7 were significantly active towards staphylococcus aureus. In case of E. coli, compounds 6 have displayed maximum activity. The compounds 4 and 5 showed comparable activity towards P. vulgaris. The compounds 4,5,6 and7 were highly active towards fungi A. Niger and A. Alternaria (Table 2). The synthesized compounds, were evaluated for antibacterial and antifungal activities against selected species of bacteria and fungus respectively mentioned in table-1.

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Table1: They showed mild to moderate microbial inhibition.

Compounds	Antibacterial inhibition (mm)				Antifungal inhibition (mm)		
	Escherichia Coli	Proteus vulgaris	Staphylococcus aureus	Streptococcus Pneumonia	Altrnaria alternata	Aspergillus niger	Aspergillus Niger
3	-	-	+	+	-	+	-
4	-	+	+	-	+	-	+
5	-	+	+	-	+	-	+
6	+		+	+	+	+	+
7	-		+	+	+	+	+

Table 2: Physical Constant of the new synthesized compounds.

	Molecular formula	Molecular weight gm/mole	M.P ^{0}C	Yield %	Rf	C, H and N (Cal/found		
						C	H	N
3	$C_{16}H_{10}N_2O_4$	294	200	77	0.56	65.31	3.43	9.52
						65.21	3.32	9.45
4	$C_{17}H_{10}N_2O_5$	322	161	67.01	0.027	63.36	3.13	8.69
						63.25	3.09	8.46
5	$C_{24}H_{23}N_5O_4S$	477	145	79.48	0.49	60.36	4.85	14.67
						60.34	4.75	14.52
6	$C_{24}H_{22}N_4O_5$	446	150	83.85	0.49	64.57	4.97	12.55
						64.38	4.87	12.26
7	$C_{24}H_{22}N_4O_4S$	462	158	53.1	0.41	62.32	4.79	12.11
						62.25	4.65	12.04
8	$C_{24}H_{25}N_5O_4$	447	140	82.73	0.66	64.42	5.63	15.65
						64.31	5.59	15.5

Conclusions

The synthesis of novel, potentially active dihydropyridine derivatives. These derivatives were prepared through Belinelli reaction. The synthesized compounds shows moderate positive effect against four types of bectria (Escherichia coli, Proteus vulgaris, Staphylococcus aureus, Streptococcus Pneumonia) and three type of fungi (Alternaria alternate, Aspergillus Niger, Aspergillus Niger). it is conceivable that further derivatization of such compounds will be of interest with good hope to get more selective antibacterial agents.

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