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Research Article

Biological Screening of some novel substituted Triazoles and Benzimidazoles

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ABSTRACT

Triazole and benzimidazole nucleus are found importance in the field of drug discovery as antimicrobial agents. The 2-aminobenzimidazole ring system is an important nucleus in heterocyclic chemistry because it represents the core structure of numbers of biologically significant molecules. In this paper we can give a brief account on the biological activities of 1,2,4-triaryl substituted triazoles (2a-h) and 2,3,4-trisubstituted 1,2-dihydropyrimido [1,2-a] benzimidazole (3a-c ,4a-d ,5a-d).The antifungal activity of triazole and benzimidazole derivatives was assayed using standard compound Fluconazole by disc diffusion method using two fungal species as Aspergillus niger and Aspergillus flavus.

Keywords: Antifungal activity, Triazole, benzimidazole.

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CHEMISTRY RESEARCH ARTICLE

Biological Screening of some novel substituted Triazoles and Benzimidazoles

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ABSTRACT

Triazole and benzimidazole nucleus are found importance in the field of drug discovery as antimicrobial agents. The 2-aminobenzimidazole ring system is an important nucleus in heterocyclic chemistry because it represents the core structure of numbers of biologically significant molecules. In this paper we can give a brief account on the biological activities of 1,2,4-triaryl substituted triazoles (2a-h) and 2,3,4-trisubstituted 1,2- dihydropyrimido [1,2-a] benzimidazole (3a-c ,4a-d ,5a-d).The antifungal activity of triazole and benzimidazole derivatives was assayed using standard compound Fluconazole by disc diffusion method using two fungal species as Aspergillus niger and Aspergillus flavus.

Keywords: Antifungal activity, Triazole, benzimidazole.

1. INTRODUCTION

Triazole and benzimidazole nucleus found to be biologically potent. The development of resistance [1-4] among the various pathogenic organisms towards the antibiotics has increased mparts for investigating the new anti-microbial agents. The first anti-microbial drugs revolutionized, the treatment of certain protocol infections especially *syphilius*. The second major revolution in medicine is in which the anti- microbial drugs have a major role awaited the appearance of sulfonamides and penicillins. The Bacteria like *Escherichia coli, Pseudomonas aeruginosa, Klebsiella pneumonia* etc. do not cause diseases in normal human but they are opportunistic pathogens. *Klebsiella pneumonia* is an encapsulated rod, oftenly occurs naturally in the respiratory tracts of humans. *Klebsiella pneumonia* causes pneumonia, which is characterized by gelatinous reddish brown spectrum. The organism grows on lung surface and destroys the tissues, often causes death. *E. coli* are also a rod like structure causes diarrhea by producing enter toxin. In order to reduce the severity of bacterial diseases, it is essential to investigate novel antibacterial chemotherapeutic agents particularly for immuno-compromised patients.

N-alkylidine/acylidine-5-(2-furyl)-4-ethyl-1,2,4-triazole-3-mercaptoacetic acid hydrazides[5], 3-thioxal alkylthio-1,2,4-triazoles with substituted thiourea[6], 4,5-diphenyl-1,2,4-triazole derivatives[7] and 1,2,4-triazolen-3-benzylsulphonyl derivatives[8] are effective antibacterial agents. The benzimidazole structural moieties may be found in numerous pharmaceutical agents with diverse range of biological properties[9]. Benzimidazoles[10, 11] and substituted 1, 2, 4-triazoles[12] are screened for antibacterial a n d antifungal evaluation.

5-Alkyl-4-amino-s-triazole-3-thiols[13], 1,2,4-triazolo [4,3-a] quinolines[14] possess anti-inflammatory and analgesic activity.2-[H- Benzothiazole-1-yl-methylene] 6,7,8,9-tetrahydro-5H—[1,2,4] triazolo [1,5-a] azepine[15] showed remarkable activity against leukemia, ovarian, renal and lung cancer.

3-Arylamino-5-aryloxymethyl 1, 2, 4-triazoles[16] exhibited antibacterial and antifungal activity. Compound[17] was found to inhibit the growth of staphylococcus aurous and Escherichia coli. Several analogous exhibited low micro molar Minimal inhibitory concentrations against Gram +ve and Gram –ve bacteria. 2-(o-Bromobenzylamino)-1-cinnamoylbenzimidazole[18] exhibit cytotoxic activity against the cells of human cancer cell lines. 2-(2'-

Aryl-6-substituted-quinoline-4-yl)-4, 5-dihydrobenzimidazoles[19] possesses the antimicrobial activity. [3-(1-Methyl-1H-benzimidazol-2-yl) -henyl]-2-phenylthiacetamide[20] are effective antibacterial and antifungal agent.

Here, in this part a brief account on the biological activities of triazole and benzimidazoles has been presented. The antibacterial activity of triazole and benzimidazole derivatives was carried out by using standard compound Streptomycin by cup-plate method against bacteria.

1. MATERIALS AND METHOD

Antifungal activity

The antifungal activity of triazole and benzimidazole derivatives was assayed using standard compound Flucanazole by disc diffusion method using two fungal species as *Aspergillus niger* and *Aspergillus flavus.* Potato dextrose agar medium was used for the antifungal testing.

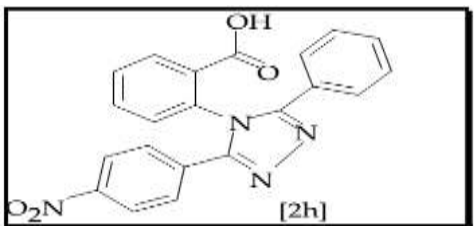
About 15-20 ml of potato dextrose agar medium was poured into each of sterile plates. The agar plates were inoculated with the suspension by spread plate technique. A good quality of paper absorbent disc of 6 mm. diameter saturated with respective chemicals placed on the surface of plate with the help of sterile forceps. The plates were incubated at 37°C temperature for 24 hrs.

3. RFSULTS AND DISSCUSSION

Table 1: Zone of inhibition of tested compounds 2b, 2e, 2f and 2h.

Entry	S. typhi	S. Aureus	Entry	Aspergillus Niger	Aspergillus Flavus
2b	14.00	13.00	2a	-	16.00
2e	15.00	14.00	2e	15.00	18.00
2f	28.00	14.00	2f	17.00	14.00
2h	21.00	28.00	2h	18.00	19.00
Standard (Streptomycin)	20.00	22.00	Standard (Flucanazole)	30.00	30.00
Control of the solvent (DMF)	10.00	12.00	Control of the solvent (DMF)	10.00	13.00

Zone of inhibition for tested compounds 2h (5) against S.typhi and S. aureus



[2h]

Table-2: Zone of inhibition of tested compounds (3a-e)

Entry	*S. typhi*	*S. Aureus*	Entry	*Aspergillus niger*	*Aspergillus flavus*
3a	20.00	-	3a	26.00	18.00
3b	15.00	-	3b	17.00	20.00
3c	28.00	05.00	3c	28.00	34.00
3d	21.00	08.00	3d	31.00	24.00
3e	15.00	-	3e	24.00	22.00
Standard (Streptomycin)	20.00	22.00	Standard (Flucanazole)	30.00	30.00
Control of the solvent (DMF)	10.00	12.00	Control of the solvent (DMF)	10.00	13.00

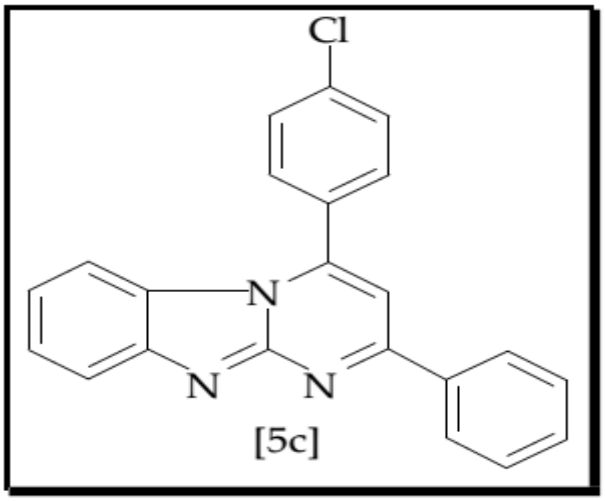
Table-3: Zone of inhibition of tested compounds (4a-d).

Entry	***S. typhi***	***S. Aureus***	**Entry**	***Aspergillus Niger***	***Aspergillus Flavus***
4a	14.00	06.00	4a	22.00	24.00
4b	15.00	-	4b	30.00	22.00
4c	17.00	12.00	4c	28.00	27.00
4d	12.00	08.00	4d	16.00	20.00
Standard (Streptomycin)	20.00	22.00	Standard (Flucanazole)	30.00	30.00
Control of the solvent (DMF)		-	Control of the solvent (DMF)	-	-

Table 4: Zone of inhibition of tested compounds (5a-d).

Entry	*S. typhi*	*S. Aureus*	Entry	*Aspergillus Niger*	*Aspergillus Flavus*
5a	23.00	-	5a	32.00	24.00
5b	18.00	-	5b	28.00	26.00
5c	28.00	06.00	5c	28.00	34.00
5d	15.00	06.00	5d	31.00	24.00
Standard (Streptomycin)	34.00	15.00	Standard (Flucanazole)	30.00	30.00
Control of the Solvent (DMF)	-	-	Control of the solvent (DMF)	-	-

Compounds 5c



[5c]

4. CONCLUSION

The antimicrobial screening results indicated that, the compounds2e, 2h, **3c** and **3d** had moderate to good antibacterial and antifungal activity and could act lead compounds. Compound **4b** and **4c** exhibited an excellent activity against *Aspergillus niger,* where as other compounds showed moderate to good activity. The presence of OCH3 group in the phenyl ring had shown the maximum activity as compared with the standard. The generalization can be made from these results is that the compounds containing electron donating constituents on the phenyl ring enhances the antimicrobial activity. The antimicrobial screening results indicated that compounds 5**a**, 5**d** showed spectacular activity against *Aspergillus niger* while the compounds 5**a** and 5**c** were found moderately active against *salmonella typhi*. Compound **8c** exhibited an excellent activity against *Salmonella typhi.*

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