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Antibacterial, Antifungal and Antioxidant activities of substituted 4H-1,4-benzothiazines

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ABSTRACT

Antibacterial and Antifungal activities of substituted 4H-1,4-benzothiazines were tested by the help of Disk diffusion method. Except this Antioxidant activity of compound also tested by DPPH method.

INTRODUCTION

In existing days it has been established that, heterocycles are considered as one of the largest vicinity of examines in medicinal chemistry. The occurrence of heterocycles in every types of medicinal compounds of attention in pharmacology, biology, electronics, optics, materials science and so on is exceptionally well known. Among them, S and N including heterocycles have kept up the attention of researchers and their exclusive structures led to numerous purposes in dissimilar regions. The N and S containing heterocycles are resulting from the aromatic carbocycles by substituting carbon atom or CH=CH group with a heteroatom. Even though the occurrence of nitrogen and sulfur atoms in the ring was usually linked with the instability and complexity in the synthesis, however the stable N and S containing heterocycles have regularly been synthesized. The occurrence of the heteroatoms consequences in important modification in the cyclic molecular arrangement due to the availability of unshared pair of electrons and in the reactivity judge against through the parent carbocyclic compounds [1-16].

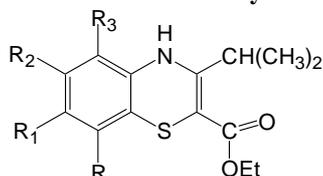
In sight of the structural changes with the occurrence of heteroatoms and the relationship of structures with the pharmacological/ biological activities, we have synthesized N and S containing heterocycles of pharmacological and biological significance incorporating varied structural features due to variety in substituents [17-26], heterocyclic systems and add pharmacologically active functional groups for creating them.

MATERIALS AND METHODS

Synthesis of substituted 4H-1,4-benzothiazines

Melting points were checked on an electric melting point apparatus. The qualities of all the synthesized compounds were tested by TLC using a mixture of non-aqueous solvents. 4H-1,4-benzothiazines were synthesized by the use of process present in literature. Spectral data of synthesized compounds match with literature [27]. Synthesized compound given as

- a. Ethyl 3-isopropyl-4H-1,4-benzothiazine-2-carboxylate
 b. Ethyl 7-chloro-3-isopropyl-4H-1,4-benzothiazine-2-carboxylate



- (a) R = H, R₁ = H, R₂ = H, R₃ = H
 (b) R = H, R₁ = Cl, R₂ = H, R₃ = H

Antimicrobial activity of substituted 4H-1,4-benzothiazines All the synthesized compounds were examined for their activity against fungal and bacterial strains. The media apply for this purpose is Potato dextrose media and Nutrient agar media.

Media (material) preparation: - 39g of Potato dextrose agar and 28 g of nutrient agar was put in to the 1 liter of double distilled water alone, it was blended thoroughly and pH were adjusted at 7.5 ± 0.2 . The sample solution was heated to dissolve the component completely after which the media was autoclaved at 121 degree Celsius for 45 minutes and 15lbs pressure. After autoclaving, approximate 15-20 ml of that media was added into petri dish for studying antibacterial and antimicrobial activities.

Process and Material required: - The cultures (media) apply in this test were purchased from NCIM Pune (India). The antimicrobial tests were conducted against bacterial species *Salmonella typhimurium* (Coded as 11 in experiment and having NCIM no 2501) and fungal species *Aspergillus fumigatus* (coded as 8 having NCIM no 902) by the help of standard method reported for procedure of disk-diffusion method [28]. Whatman filter paper disks were sterilized by autoclaving at 160 degree Celsius for 1 hour. Then the sterile disks were saturated with the test compounds of diverse concentrations (1000ppm, 500 ppm, 250 ppm, 125ppm, 62.5ppm, and 0 ppm). Cultures include 10^5 CFU/mL were used beside each concentration levels. The impregnated disks were placed on the medium properly spaced separately, and the plates were developed at 37 degree Celsius for 24 hours and 28 degree Celsius for fungal species. CH₃OH was applying as solvent control and as 0 ppm. Lastly the zones of inhibition were calculated in mm scale. The results of antimicrobial activities are summarized in table 1 and 2

RESULTS AND DISCUSSION

Synthesized compounds (a-b) were examined for antibacterial and antifungal activity against different microbes. All the compounds exhibit antibacterial as well as antifungal activities at different concentrations. Result summarized in table 1, and 2.

Table:-1 Antimicrobial activities of Substituted 4H-1,4-benzothiazines(a-b).

Compounds	Bacterial species(<i>Salmonella typhimurium</i>) Code 8 (Zone of inhibition in mm)					
	0ppm	62.5ppm	125ppm	250ppm	500ppm	1000ppm
a	X	2mm	2mm	3mm	5mm	5mm
b	X	2mm	5mm	5mm	7mm	74mm

Table:-2 Antifungal activities of Substituted 4H-1,4-benzothiazines (a-b).

Compounds	Fungal species (<i>Aspergillus fumigatus</i>) Code11(Zone of inhibition in mm)					
	0ppm	62.5ppm	125ppm	250ppm	500ppm	1000ppm
a	X	2mm	3mm	3mm	5mm	57mm
b	X	2mm	2mm	2mm	3mm	4mm

Antioxidant activities of Substituted 4H-1, 4-benzothiazines (a-b).**Material (Sample) grounding for the antioxidant activity of compound (a-b)**

125 ppm solution of DPPH and compounds 24a-b was prepared with methanol. Then add 100 microliter of compound **a** and **b** sample solution in 4ml of DPPH separately. Measure the wave length of each of the sample solution separately by UV.

Calculation of Antioxidant activities of Substituted 4H-1,4-benzothiazines

% of inhibition = $(\text{control} - \text{sample}) \div \text{control}$.

Control = absorbance of DPPH solution

Sample = DPPH + sample

Calculation for compound (a)

Absorption by control at 517nm = 1.17522

Absorption by sample at 517nm = 1.04539

% of inhibition = 11.04%

Calculation for compound (b)

Absorption by control at 517nm = 1.17522

Absorption by sample at 517nm = 0.96150

% of inhibition = 18.18%

CONCLUSION

The above in sequence data got from the experimental work was established that Morpholinylbenzothiazines are leader class of heterocycles and their magnitude are demanding in disease of a range of infections. It has been realistic that both the synthesized compounds (Sample a and b) demonstrate antimicrobial activity beside microbes. Apart from this compound a-b illustrate antioxidant activity by DPPH method. Thus from the consequences, it has been established that morpholinylbenzothiazines explain enough diversity of antioxidant and antimicrobial activities in contrast to substituted 4H-1,4-benzothiazines.

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