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Antibacterial, Antifungal and Antioxidant activities of substituted pyrazolylbenzothiazines

*** Praveen Kumar Sharma and Gurveer Kaur**

Department of Chemistry, School of Physical Science, Lovely Professional University, Phagwara, Punjab, India-144411

ABSTRACT

In existing days heterocycles become powerful reflection in pharmaceutical research field because of their helpful biological and pharmacological activities. Heterocycles are wealthy in natural world and have gained additional significance for the reason that their structural sub-units are established in a lot of natural products such as enzymes, serum, vitamins, anti-biotics etc. In this work synthesized compounds were tested for their antioxidant and antimicrobial activities. Synthesized compounds 1a-b were found to have antioxidant and antimicrobial activities

Key words: Antibacterial agents, thiazines, Antifungal agents, Antioxiants

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INTRODUCTION

Heterocyclic chemistry is the division of organic chemistry dealing with the synthesis, properties and applications of heterocycles. At present heterocyclic compounds and their derivatives have become great interests in pharmaceutical research field because of their useful biological and pharmacological properties[1-3]. Heterocyclic compounds are plentiful in nature. Heterocyclic compounds have gained more significance because their structural sub-units are showed in a lot of natural products such as vitamins, hormones, antibiotics, antifungal etc. Thiazine nucleus present in compounds possess variety of pharmacological activities such as antitumor, anti-microbial, antipsychotic, anti-mycobacterial, antifungal, antiviral and anti- inflammatory, antioxidant, antibacterial. Heterocyclic compounds can be classified based on their electronic structure[4-23].

On the basis of literature survey and as a result of wide ranging pharmacological and industrial function of pyrazoles and benzothiazines compounds and our continuing interest in the synthesis of therapeutically attractive heterocycles, we have a wish to design and synthesis of biological active pyrazolylbenzothiazinones, incorporating to medicinally advantaged heterosystems. This research article is dedicated to the situation of thiazine ring systems in heterocycles for their nature as antioxidant and antimicrobial agents.

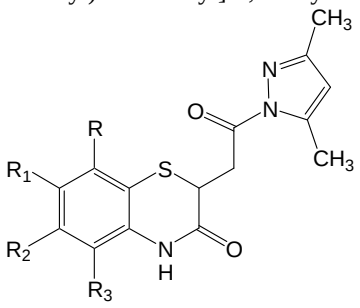
Research Methodology/Experimental Section

Melting points of the synthesized compounds 1a-b were fixed on an electric melting point apparatus. The purity of all the synthesized compounds (1a-b) were checked by thin layer chromatography using various mixtures of non-aqueous solvents.

Synthesis of pyrazolylbenzothiazine

Pyrazolyl-benzothiazine (1a-b) was synthesized by employ the way present in literature. Spectral data of synthesized compounds match with literature [24]. Synthesized compound given as

(a) 7-methyl-2-[2-(3, 5-dimethyl-1H-pyrazol-1-yl)-2-oxoethyl]-3,4-dihydro-2H-1,4-benzothiazin-3-one.
(b) 5-methyl-2-[2-(3, 5-dimethyl-1H-pyrazol-1-yl)-2-oxoethyl]-3,4-dihydro-2H-1,4-benzothiazin-3-one.



(1a) R =H, R_1=CH_3, R_2=H, R_3=H
(1b) R =H, R_1=H, R_2=H, R_3=CH_3

1a-b

Antimicrobial activity: Synthesized compounds (1a- b) were examined for their activity against different bacterial and fungal strains. The media used for this purpose are Nutrient agar media and potato dextrose media.

Media preparation: - 28 grams of nutrient agar and 39.0 grams of Potato dextrose agar was added to the one liter of double distilled water separately, it was mixed carefully and pH was adjusted at 7.5 ± 0.2. The solution was heated to dissolve the ingredients completely after which the media was autoclaved at 121^{o}C for 45 minutes at 15lbs pressure. After autoclaving, 15-20 ml of that media was poured into petri dish for studying antimicrobial activities.

MATERIALS AND METHODS

The cultures used in this experiment were ordered from NCIM Pune (India).The anti-microbial tests were conducted against fungal species *Aspergillus fumigatus* (coded as 8 having NCIM no 902) and bacterial species *Salmonella typhimurium* (Coded as 11 in experiments and having NCIM no 2501) by following standard literature reported procedure of disk-diffusion method [25]. Filter paper(Whattman) disks were sterilized by autoclaving at 160^{0}C for one hour. Then the sterile disks were saturated with the test compounds of different concentrations (0 ppm, 62.5ppm, 125 ppm, 250 ppm 500 ppm and 1000ppm). Cultures having 10^5CFU/mL were used against each concentration levels. The saturated disks were sited on the medium correctly spaced independently, and the plates were keep warm at 37^{0}C for 24 h and 28^{o}C for fungal species. Methanol was used as solvent control and as 0 ppm. Finally the zones of inhibition were calculated in mm scale. The results of biological activities are summarized in table below

RESULTS AND DISCUSSION

Synthesized compounds 1a-b were tested for antimicrobial activity against common microbes. All the compounds 1a-b show antibacterial as well as antifungal activities at different concentrations. Result summarized in **table 1 and 2.**

Table:-1 Antimicrobial activities of pyrazolylbenzothiazines (1a-b).

Compounds	Bacterial species(*Salmonella typhimurium*) Code 8 (Zone of inhibition in mm)					
	0ppm	62.5ppm	125ppm	250ppm	500ppm	1000ppm
1a	X	1mm	1mm	2mm	3mm	5mm
1b	X	1mm	2mm	3mm	4mm	4mm

Table:-2 Antifungal activities of pyrazolylbenzothiazines(1a-b).

Compounds	Fungal species (*Aspergillus fumigatus*) Code11(Zone of inhibition in mm)					
	0ppm	62.5ppm	125ppm	250ppm	500ppm	1000ppm
1a	X	2mm	3mm	3mm	5mm	6mm
1b	X	1mm	2mm	2mm	5mm	7mm

Antioxidant activities of compound (1a-b).
Sample preparation for the antioxidant activity of compound (1a-b)
125 ppm solution of DPPH and compounds 1a-b was prepared with methanol. Then add 100 microliter of compound 1a and 1b sample solution in 4ml of DPPH separately. Measure the wave length of every sample solution separately by UV.

Analysis and calculation of antioxidant activities
Method for calculation of anti oxidant properties

Percentage of inhibition $=(control - sample) \div control.$

Control= absorbance of DPPH solution
Sample = DPPH +sample

Calculation for compound (1a)
Absorption of control at 517nm= 1.17522
Absorption of sample at same wavelength= 1.02092
Percentage of inhibition= 13.1%

Calculation for compound (1b)
Absorption of control at 517nm= 1.17522
Absorption of sample at same wavelength= 0.94800
% of inhibition= 19.3342%

CONCLUSION

On the basis of above work it has been establish that both the synthesized compounds (Sample 1a and 1b) show antimicrobial activity against microbes. Apart from this compound 1a-b shows antioxidant activity by DPPH method. Thus on the basis of results, it has been found that substituted pyrazolybenzothiazines shows sufficient range of antimicrobial and antioxidant activities in comparison to pyrazole or substituted 1,4-benzothizines individually.

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