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Research Article

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Synthesis and antimicrobial activities of substituted phenylthioureas

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ABSTRACT

In present work we have synthesized substituted phenylthiourea. The structures of the synthesized compounds were confirmed by their analytical and spectroscopic data. All the synthesized compounds were screened for their antimicrobial activities. These compounds were found to have antimicrobial activities comparable to and in some cases greater than those of equimolar quantities of standard drug.

Key words: Antimicrobial activity, phenylthiourea, antibacterial activities and antifungal activities

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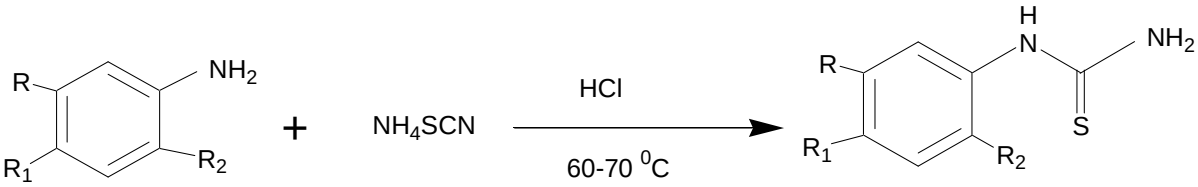
INTRODUCTION

A review of the literature reveals that number of references is available for the synthesis and antimicrobial activity of substituted phenylthiourea.[1-8]. Substituted phenylthioureas are considered to be most active in case of antimicrobial activity [9-12]. Due to high importance of thiourea and their derivatives they have been quantitatively synthesized by using different catalyst, conditions, strategies [13-22]. The sulphur–nitrogen containing compounds constitute an interesting class of organic compounds and attracting the attention of the synthetic and medicinal chemists due to their structural diversity and biological activities.

In view of the structural changes with the presence of sulphur–nitrogen and the relationship of structures with the biological/pharmacological activities, we have synthesized phenylthiourea of biological and pharmacological importance incorporating diverse structural feature due to diversity in substituent, organic systems and appended pharmacologically active functional groups for making them available for biological evaluation and SAR studies.

EXPERIMENTAL SECTION

Synthesis of substituted phenylthiourea has been prepared from aniline.
Preparation of phenylthiourea:- Take 0.1 mole of aniline add 9ml of HCl and 25 ml of water heat the solution for about 1 hr at about 60-70 ^{0}C in a round bottom flask. Cool the mixture for about 1 hr and add slowly 0.1 mole ammonium thiocyanate to the solution. Now reflux the solution for about 4 hrs. Add 20ml of water to the solution by continuous stirring the crystals form powered solution. Filter the solution and dry it. Finally a powered phenylthiourea is formed. Percentage yield comes out to be 86.3%. Structural characterization of the compounds was reported in literature [23-34].



1 R=H, R_1 = Br, R_2=H
2 R=H, R_1 = CH_3, R_2=H
3 R=Cl, R_1 = H, R_2=Cl
4 R=H, R_1 = Cl, R_2=H

Scheme-1: Synthesis of phenylthiourea

Antimicrobial activities

All the synthesized compound was screened for their antimicrobial activities **(Fig:-1-8).** In the present work I have used the Agar disc method [35-37]. This may yield in zone of inhibition in mm results for the amount of antimicrobial chemicals that is needed to inhibit the growth of microorganism. It is carried out in Petri-plates. Medium for microorganisms consists of

1. Agar agar 13 g
2. LB agar 5 g
3. Distilled water 300 g

The above constituents are dissolved and sterilized in an autoclave at 15 lbs and 121^0C for 15 minutes. The sterilized medium was poured into different sterilized Petra-plates in laminar, allowing them to solidify.

Following common standard strains used for screening of antibacterial and anti fungal activities:

1. *Samonella typhimurium*,
2. *E. coli*
3. *Nitrobecter*
4. *Aspergillus fumigatus*,
5. *Penicillium chrysogenum*
6. *Fusarium graminearium*

RESULTS AND DISCUSSION

All the synthesized compounds (Sample 1-4) were screened for their antibacterial activity against bacteria, *Samonella typhimurium*, *E. coli* and *Nitrobecter* as well as for their antifungal activities against *Aspergillus fumigatus*, *Penicillium chrysogenum* and *Fusarium graminearium* by Agar disc method. The results obtained were given below. Chloramphenicol is used as standard drug**(Table-1).**

Table-1 Antimicrobial activities of substituted phenylthioureas

SAMPLE-1:- 4-Bromophenylthiourea (Solvent system: 1ml methanol and 9ml water**).**				
Name of Becteria/Fungi	*Zone of inhibition in (mm)at different concentration (in ppm)*			
	0 ppm	100 ppm	200 ppm	300 ppm
E. coli	0mm	0mm	0.6mm	1.2mm
Samonella typhimurium	0mm	0.8mm	1.7mm	3.5mm
Nitrobecter	0mm	0.3mm	0.8mm	0.2mm
Aspergillus fumigatus	0mm	0mm	2mm	1.6mm
Penicillium chrysogenum	0mm	0.5mm	1mm	2mm
Fusarium graminearium	0mm	1.2mm	2.3mm	3mm
SAMPLE -2 :- 4-methyl-phenylthiourea(Solvent system: 1ml methanol and 9ml water).				
Name of Becteria/Fungi	*Zone of inhibition in (mm)at different concentration (in ppm)*			
	0 ppm	100 ppm	200 ppm	300 ppm
E. coli	0mm	0mm	0mm	0mm
Samonella typhimurium	1mm	1mm	1mm	1mm
Nitrobecter	0mm	0mm	0mm	0mm
Aspergillus fumigatus	0mm	0mm	0mm	0mm
Penicillium chrysogenum	0.1mm	0.2mm	4.5mm	5mm
Fusarium graminearium	0mm	0mm	0mm	0mm
SAMPLE -3:- 2, 5-dicholoro-phenylthiourea (Solvent system: 2ml DMSO and 8ml water).				
Name of Becteria/Fungi	*Zone of inhibition in (mm)at different concentration (in ppm)*			
	0 ppm	100 ppm	200 ppm	300 ppm
E. coli	0mm	0mm	0mm	0mm
Samonella typhimurium	0mm	1mm	1.2mm	1.3mm
Nitrobecter	3mm	3.6mm	5mm	7.5mm
Aspergillus fumigatus	0mm	0.8mm	1mm	1.5mm
Penicillium chrysogenum	0mm	2mm	2.2mm	2.5mm
Fusarium graminearium	0mm	0mm	0mm	0mm
SAMPLE-4:- 4-chloro-phenylthiourea(Solvent system: 1ml methanol and 9ml water).				
Name of Becteria/Fungi	*Zone of inhibition in (mm)at different concentration (in ppm)*			
	0ppm	100ppm	200ppm	300ppm
E. coli	0.1mm	1mm	1.3mm	1.7mm
Samonella typhimurium	0mm	2mm	2.3mm	2.4mm
Nitrobecter	0mm	1mm	2mm	4mm
Aspergillus fumigatus	0mm	1mm	1.5mm	2mm
Penicillium chrysogenum	0.1mm	0.7mm	1.2mm	2mm
Fusarium graminearium	0.1mm	0.3mm	0.4mm	0.5mm

SAMPLE-1:- 4-Bromophenylthiourea (Solvent system: 1ml methanol and 9ml water**).**

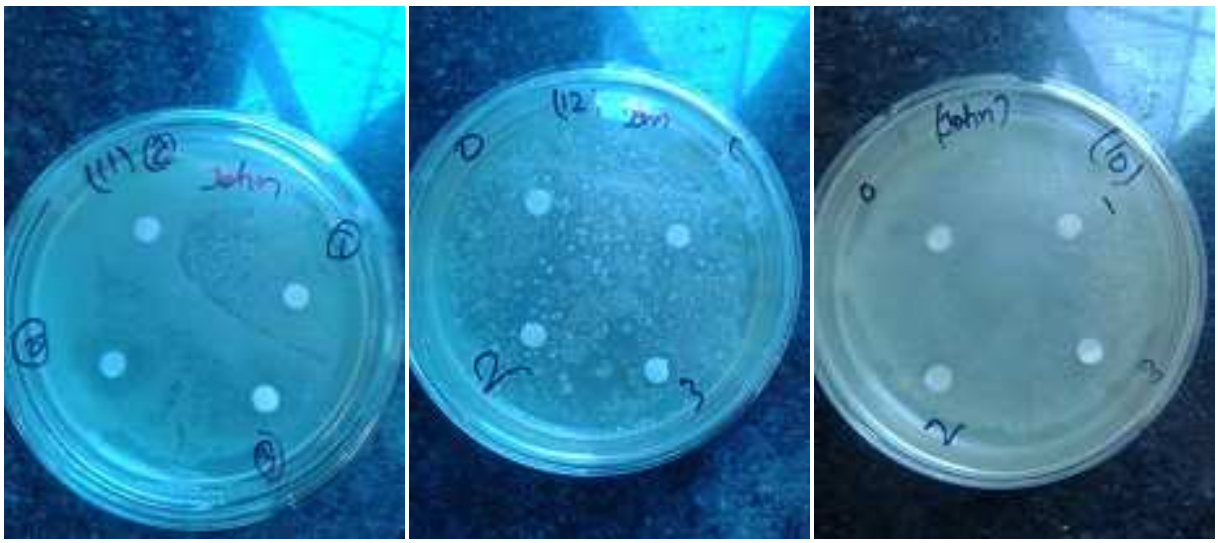


Fig-1: Antibacterial activity of 4-Bromophenylthiourea

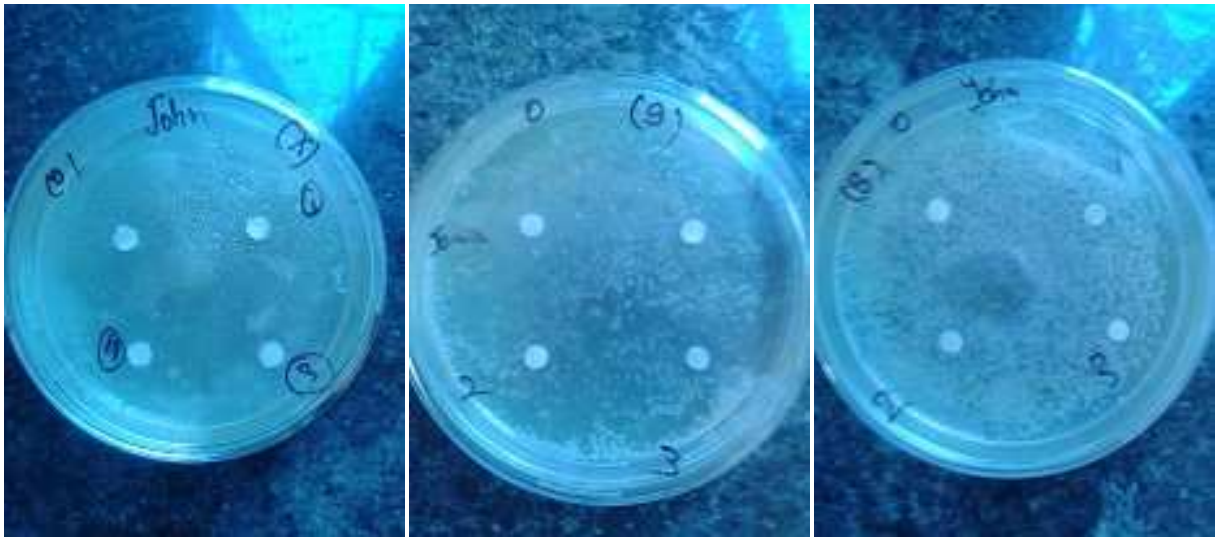


Fig-2: Antifungal activity of 4-Bromophenylthiourea

SAMPLE -2:- 4-methyl-phenylthiourea(Solvent system: 1ml methanol and 9ml water).

Fig-3: Antibacterial activity of 4-methyl-phenylthiourea

Fig-4: Antifungal activity of 4-methylphenylthiourea

SAMPLE -3:- 2, 5-dicholoro-phenylthiourea(Solvent system: 2ml DMSO and 8ml water).

Fig-5: Antibacterial activity of 2, 5-dicholoro-phenylthiourea

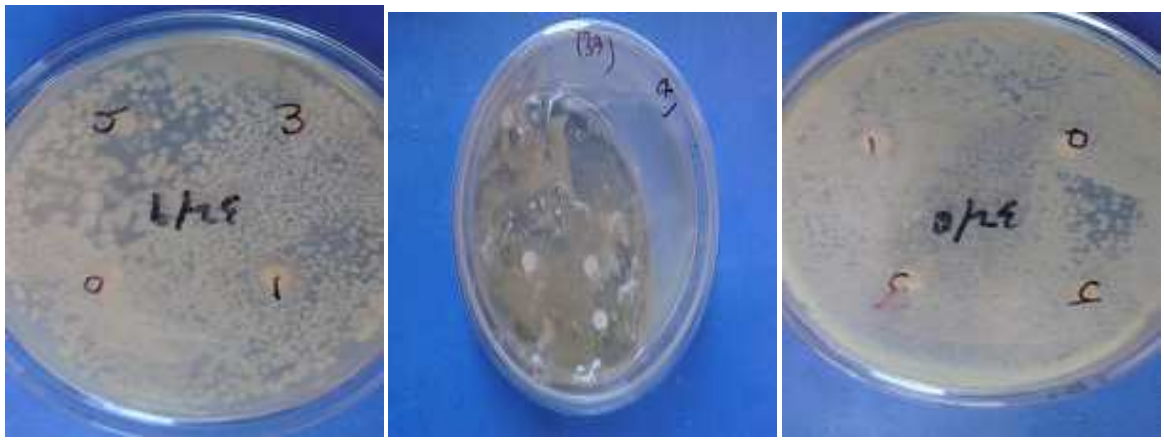


Fig-6: Antifungal activity of 2, 5-dicholoro-phenylthiourea

SAMPLE-4 :- 4-chloro-phenylthiourea(Solvent system: 1ml methanol and 9ml water).

Fig-7: Antibacterial activity of 4-chloro-phenylthiourea

Fig-8: Antifungal activity of 4-chloro-phenylthiourea

CONCLUSION

It has been observed that all the compounds (Sample 1-4) show activity against microbes. Thus from the results, it has been found that substituted phenylthiourea shows wide variety of antimicrobial activity in comparison to unsubstituted phenylthiourea.

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