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Antibacterial Activity of 2-(1H-benzo [D]imidazol-2-ylimino)-5-arylidenethiazolidin-4-ones, 1-(1H-benzo[d]imidazol-2-YL)-5-alkyl-3-aryl-1, 3,5-triazinane-2-thiones and 3-(1H-benzo[d]imidazol-2-yl)-5-aryl-1,3,5-oxadiazinane-4-Thiones

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A B S T R A C T

The antibacterial activity of 2-(1H-benzo[d]imidazol-2-ylimino)-5-arylidenethiazolidin-4-ones, 1-(1H-benzo[d]imidazol-2-yl)-5-alkyl-3-aryl-1,3,5-triazinane-2-thiones and 3-(1H-benzo[d]imidazol-2-yl)-5-aryl-1,3,5-oxadiazinane-4-thiones have been investigated against *staphylococcus, Aureus Klebsiella Pneumoniae Salmonella Paratyphi A Salmonella Paratyphi B Micrococcus Luteus.* The compounds have inhibited the spore germination of three fungi even at low doses. The compounds with chloro substituted were found to exhibit very high activity against all the bacteria.

Keywords: Antibacterial activity, thiazolidin-4-one, oxadiazinane, triazinane-2-thione and benzo[d]imidazole

A R T I C L E I N F O

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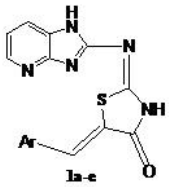
1. Introduction

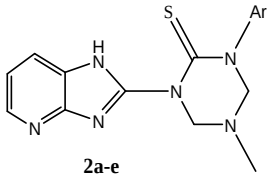
Thiosemicarbazone and their derivatives are of paramount importance to human race and has been a research subject [1] due to their striking pharmacological characteristics. They possess both, –N–C=S and –CH=N– moieties and are

a class of small molecules which have been evaluated over the last 50 years as antiviral[2,3], antitumor[4], antibacterial [5], antifungal [6], antitubercular [7], antimalarial [8], antiamoebic [9]. The azomethine linkage in thiosemicarbazones, is responsible for boosting the antibacterial [10], antifungal [11], tuberculostatic[12] and pesticidal activity[13]. 4-Thiazolidinone derivatives are known to exhibit diverse bioactivities such as antibacterial [14-19] antifungal [20-22], antituberculor [23-25], and anthelmintic activity [26]. The multidrug resistance both in the community and hospitals has been the major concerns to public health and scientific community worldwide [27-30]. The development of antimicrobial agents to treat infectious diseases has been one of the most notable achievements of the past century. The increased use of antimicrobial agents available in the market has resulted in the development of resistance to the commonly used drugs with important implications for morbidity, mortality [31] and health care costs. In spite of a large number of antibiotics and chemotherapeutics available for medical use, the antimicrobial resistance has created a substantial need for design of new class of antimicrobials and this field will always remain an area of immense significance.



1a-e

R= Phenyl, 4-Methoxy phenyl, 2-Methoxy phenyl, 4-Chloro phenyl and 2-Chloro phenyl



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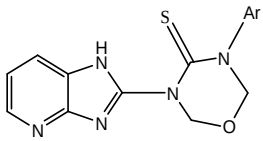

3a-e

Ar= Phenyl, 4-Chlorophenyl, 2-Chlorophenyl, 4-Fluorophenyl and 4-Bromophenyl

2. Materials and Methods

All the newly synthesized compounds were screened for their antibacterial employing the glass slide humid chamber technique using Griseofulvin as standard for comparison. The stock solution for each of the test compounds was prepared by dissolving 10 µg/ml of it in 10 ml of ethyl alcohol different concentrations were obtained by diluting with distilled water. The solvents treated in a similar manner without any test compound served as control. The spore germination was so adjusted as to appear 30-40 spores per microscope field (H.P). The experiment was conducted in quadruplicate and repeated at least three times. The controls and treatments were incubated at room temperature (27 ± 2^0C) for 24 hours.

3. Results and Discussion

Perusal of the below table reveals that the derivatives having p-chloro, p-flouro as substituents were more toxic than simple phenyl compounds towards all five bacteria. Among all compounds, aliphatic compounds more toxic than aromatic compounds towards all bacteria.

Table 1: Antibacterial screening data

Compound	*Staphylococcus aureus*	*Klebsiella pneumoniae*	*Salmonella paratyphi A*	*Salmonella paratyphi B*	*Micrococcus luteus*
1 a	11	1	8	9	9
1 b	18	4	5	5	8
1 c	10	9	10	11	5
1 d	14	3	1	1	5
1 e	9	4	3	2	8
2 a	11	8	2	5	2
2 b	12	4	3	2	9
2 c	11	1	1	1	9
2 d	11	2	1	4	11
2 e	12	2	4	6	10
3 a	11	3	5	2	11
3 b	9	11	2	1	10
3 c	12	2	2	5	10
3 d	10	3	4	2	10
3 e	8	12	1	1	11
Tetracycline	18	15	14	19	11

Inhibition Zone in Mm (- indicates no inhibitory activity) *Control inhibition zone (which indicates inhibition zone of solvent) was subtracted from inhibition zone of compounds which gives actual inhibition zone of compounds.*

1. *Staphylococcus aureus – coccus, gram +ve, causes toxic shock syndrome (TSS).*
2. *Klebsiella pneumoniae –rod shape or bacilli, gram-ve, causes pneumonia*
3. *Salmonella paratyphi A – rod shape, gram-ve, causes typhoid*
4. *Salmonella paratyphi B – rod shape, gram –ve, causes typhoid*
5. *Micrococcus luteus - gram +ve, spherical, colonizes the human mouth, mucosae, oropharynx and upper respiratory tract*

4. Conclusion

The compounds Triazinane-2-thiones and Oxadiazinane derivatives screened for their antibacterial activity and found that they have shown significant activity towards the bacteria.

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