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Evaluation of Antimicrobial Activity of Some Thia-1, 3, 4-Oxadiazolophanes

Varsha V. Thorat

Department of Chemistry, Maharshi Dayanand College, Parel, Mumbai, Maharashtra, India

Abstract: ***Thia-1, 3, 4-oxadiazolophanes were studied for antibacterial activity and antifungal activity. Antibacterial activity was carried out using broth dilution method. Ampicillin was used as a standard drug. Antifungal screening was carried by tube dilution method using Fluconazole as a standard. All the synthesized compounds were tested for antibacterial activity against Staphylococcus aureus and antifungal activity against Candida albicans.***

Keywords: Thia-1, 3, 4-oxadiazolophanes, antibacterial activity and antifungal activity

1. Introduction

The design and study of macrocyclic compounds is an interesting field of chemistry. Chemistry of macrocyclic compounds is important due to its cation complexation and applications in medicinal chemistry [1]. Macrocyclic compounds form more stable complexes than their open chain analogous [2, 3]. Macrocyclic complexes are important due to their resemblances with many natural systems [4, 5].

Heterophanes are macrocyclic compounds wherein heteroaromatic rings are incorporated in the macrocycle as subunits [6-9]. Incorporation of heterocyclic unit in the macrocycle provides rigidity to the macrocycle and also helps in complexation [10]. These types of compounds are known for their unique chemical and biochemical properties [11]. Recent publications have shown heterophanes being studied as phase transfer catalyst [12, 13], as compounds with biological activities [14-17] and as ligands for complexation [18, 19]. Oxadiazolophanes are macrocyclic compounds wherein one or more 1, 3, 4-oxadiazole moiety is incorporated in the macrocycle. In present study, we report the antibacterial and antifungal activity of some thia-1, 3, 4oxadiazolophanes.

2. Experimental

All the thia-1, 3, 4-oxadiazolophanes were synthesized in our laboratory [20].

Antimicrobial activity:
The in vitro antimicrobial activity was carried out using one bacterium and one fungus.

Antibacterial activity was carried using test bacteria *Staphylococcus aureus.* The word *Staphylococcus* is derived from Greek language (Gr. *Staphylo* = bunch of grapes; Gr. *coccus* = a grain or berry), while species name is derived from Latin language (L. *aureus* = golden). They are oval, spherical, non-motile, non-capsulated, non-sporulating strains and are arranged in groups. *S. aureus* is a gram-positive coccal bacterium and is frequently found in the respiratory tract and on skin. Although *S. aureus* is not always pathogenic, it is common cause of skin infections, respiratory infections and food poisoning. The emergence of antibiotic resistant forms of *S. aureus* such as MRSA is a worldwide problem in clinical medicine.

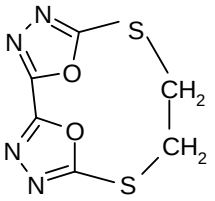
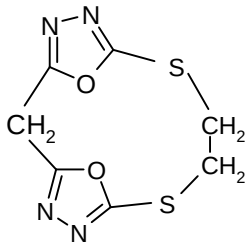
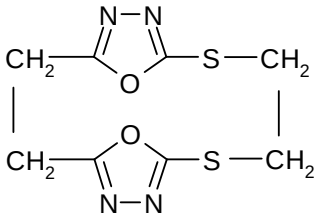
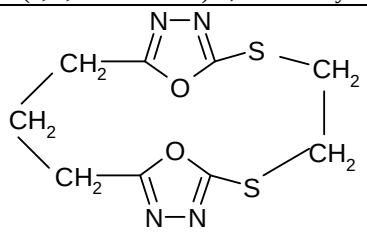
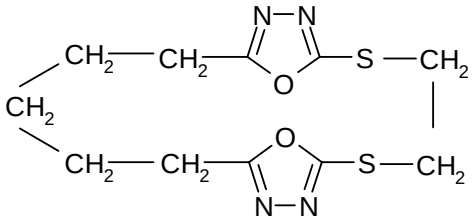
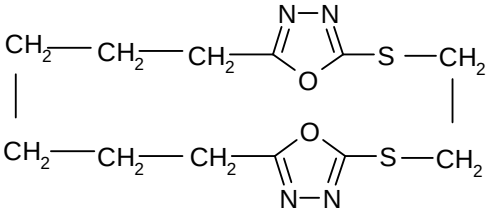
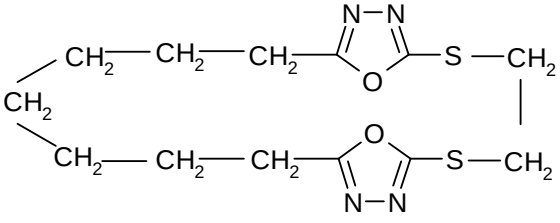
In present work, antibacterial activity was carried out using tube dilution method. Muller Hinton broth was used as a culture medium. DMSO was used as a solvent for preparation of drug stock solution. Sterilized medium was dispensed in each borosilicate glass test tube. Inoculums of standard suspension (0.1mL of the test organism strain which contain 10^6 bacilli/mL) were added. The tubes were incubated at 37^0C for 48h and then examined for the presence or absence of the growth of organism. Ampicillin was used as a standard drug.

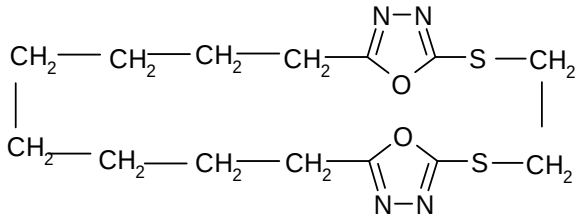
Antifungal activity:
Antifungal activity of synthesized compounds was carried out using fungi *Candida albicans. Candida* is a genus of yeast and currently the most common cause of fungal infections worldwide. Many species are harmless, however when mucosal barriers are distrupted or the immune system is comprised they can invade and cause disease. *Candida* infections commonly occur in warm moist body areas. Usually our skin blocks yeast but any breakdown or cuts in skin may allow this organism to penetrate.

Antifungal screening was carried by tube dilution method. Sabraoud's medium was used as growth medium (pH=5.6). Fungal suspension was mixed with sterile media and dispensed in a sterile borosilicate test tube. The drug solution was added in order to get the final drug concentrations of 50ppm, 100 ppm and 150ppm. The tubes were incubated at room temperature (28-30^0C) in dark place. The presence or absence of the growth was observed visually.

Three concentrations namely 50ppm, 100ppm and 150ppm of synthesized compounds were screened.

Table 1: In vitro minimum inhibition concentration (MIC) of thia-1, 3, 4-oxadiazolophanes

Sr. No.	COMPOUND	Staphylococcus aureus MIC (µg/ml)	Candida albicans MIC (µg/ml)
1	 1, 2 (2, 5)-di (1, 3, 4-oxadiazola)-3, 6-dithia-cyclohexaphane	---	----
2	 1, 3 (2, 5)-di (1, 3, 4-oxadiazola)-4, 7-dithia-cycloheptaphane	150	---
3	 1, 4 (2, 5)-di (1, 3, 4-oxadiazola)-5, 8-dithia-cyclooctaphane	150	150
4	 1, 5 (2, 5)-di (1, 3, 4-oxadiazola)-6, 9-dithia-cyclononaphane	---	---
5	 1, 6 (2, 5)-di (1, 3, 4-oxadiazola)-2, 5-dithia-cycloundecaphane	---	----
6	 1, 6 (2, 5)-di (1, 3, 4-oxadiazola)-2, 5-dithia-cyclododecaphane	150	100
7	 1, 6 (2, 5)-di (1, 3, 4-oxadiazola)-2, 5-dithia-cyclotridecaphane	----	150

8	 1, 6 (2, 5)-di (1, 3, 4-oxadiazola)-2, 5-dithia-cyclotetradecaphane	150	----
	Standard Ampicillin	100	---
	Standard Fluconazol	---	50

3. Conclusion

The results reveal that the synthesized compounds exhibit moderate to poor antibacterial and anti-fungal activities. It is observed that compounds with even number of –CH2- groups between the 1, 3, 4-oxadiazole rings exhibited either bacterial or antifungal activity.

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