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Research Article

Synthesis and antimicrobial evaluation of thiazole derivative

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Abstract

Substituted heterocyclic compounds offer a high degree of structural diversity and have proven to be broadly useful as therapeutic agents. The toxicity, side effects, and resistance of common pathogens to standard drugs play important roles in treatment failure. Therefore, searching for new antimicrobial agents with specific activity, possibly acting through mechanism new compound carrying nitro group was synthesized. Newly synthesized compound was characterised by evaluation test and thin layer chromatography (TLC). The extracts were tested for their antimicrobial activity against several gram-positive and gram-negative bacteria using agar diffusion method. Antibacterial activity was demonstrated especially against Gram-positive bacteria including multiresistant *Staphylococcus* strains.

Keywords: Antimicrobial/antibacterial activity**,** Thiazole derivatives.

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1. Introduction

Increasing number of multi-drug microbial pathogens, progress in medicinal chemistry occurs, infectious diseases caused by bacteria, fungi, viruses and parasites are still a major threat to public health with particular relevance to gram positive bacteria [1-3]. There are a number of antibiotics and chemotherapeutics available for medical use, the only approach to overcome the resistance problem is to design innovative agents with a different mode of action so that no cross resistance with the present therapeutics can occur [4]. Thiazole derivative have wide range of biological activities such as antimicrobial [5], anticancer [6, 7] antimalarial and antiviral activity [8]. Profound medicinal applications associated with isonicotinohydrazide render them as useful structural units in drug research [9]. Thiazoles and their derivatives have found applications in drug development for the treatment of allergies [10], inflammation [11], bacterial infections [12], HIV infections [13]. Therefore thiazole derivative synthesize by using m-nitroacetophenone as initial product and thiourea, by means of condensation of α-haloketones and thioamide is referred to as the Hantzsch thiazole synthesis [14].

2. Materials and methods

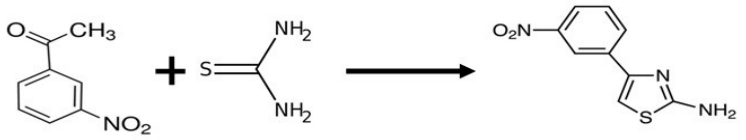
Chemical reagent m-nitroacetophenone, thiourea and methanol were purchased from loba chem with analytical grade purity. All the chemicals used in research work were tested and purified.

2.1 Synthesis of 2 amino -4-(3-nitrophenyl) thiazole [15]

Thiazole derivative were obtained from the mixture of 0.175g of thiourea dissolve in 15ml of methanol followed by the addition of 0.513g of substituted m-nitroacetophenone in 20ml methanol to form mixture. The reaction was left for 15 min at room temperature under magnetic stirring. After that the mixture was dissolved in 0.6ml of Hcl dropwise. The reaction was heated to 90°c in sand bath, pH adjusted between 4 to 5 and the reaction time was 2hr. The product was ice cooled and filtered.

2.2 Chemical reactions: [15]

Fig: synthesis of 2-amino-4-(3-nitrophenyl thiazole).[5]



3 -nitro acetophenone thiourea 2 amino-4-(3nitrophenyl) thiazolthiazole

Figure 1: synthesis of 2-amino-4-(3-nitrophenyl thiazole).

2.3 Evaluation:

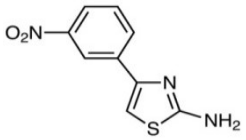


Figure 2: Structure of 2-amino -4(-3 nitro phenyl) thiazole

2.4 Procedure for antimicrobial activity:

All the operations were carried out under aseptic condition. Sterile medium was melted on water bath and kept at 45^0C in constant temperature. On sterile petri plate molten medium was added so thickness 4-5 mm. Plate allowed to set. Cups of 6mm diameter were made with stainless steel bore, 1 ml test solution added to each cup. Plate incubated at 37^0c for 24hrs. Zone of inhibition produce by test compound were measured in mm and compound were selected on basis of their MIC.

2.4.1 Stock solution:

The test compound was dissolved in DMSO and volume made up to 10 ml to produce a concentration of 500ug/ml. Further dilutions were made with DMSO to produce 50,100,200 µg/ml. Similarly, the dilutions were prepared for standard drug i.e., streptomycin and ciprofloxacin in a concentration of 5ug/ml.

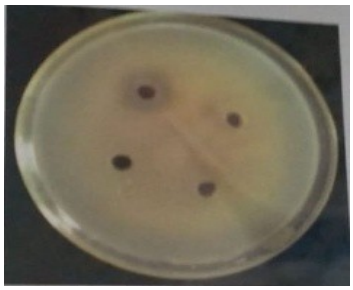
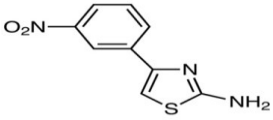


Figure 3: Thiazole derivative showing zone of inhibition



3. Observation

Table 1: Observation table of evaluation test

Chemical formulae	$C_9H_7N_3O_2S$
Physical state	solid
Molecular weight	221gm/mol
Theoretical yield	0.687 gm
Practical yield	0.56gm
Percentage yield	81.51%
Melting point	187-191degree celcius
Condition to avoid	Air sensitive
pH	4-5
Rf value: 0.6 Solvent : Hexane : ethyl acetate 0.7 : 0.3 Visualizing agent: iodine chamber	

4. Conclusion

Thiazole derivative synthesize by using m-nitroacetophenone as initial product and thiourea. New compound carrying nitro group was synthesized. Newly synthesized compounds have been characterized by IR and other evaluation test and also melting point was determined by using melting point apparatus. Compound was tested against gram positive and negative bacteria by micro dilution assay. Synthesized compound showed potent inhibitory action against test organisms. As reference drugs Ampicillin and Streptomycin were used. Compound showed antibacterial activity better than that of Ampicillin and some of them even better than Streptomycin. It may be concluded that nitro substituent bearing derivatives are the most suitable compounds for achieving the best antimicrobial spectrum. Thus, it may be considered as a promising lead for further design and development of new chemical entities.

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