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Synthesis of Substituted 2-Amino-4-Pheyl Thiazole Derivatives for Anti-Microbial Applications

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ABSTRACT

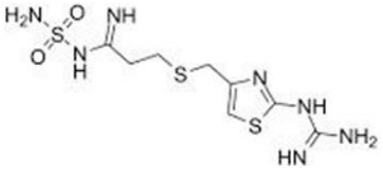
In present work a novel series of Substituted 2-Amino 4-Pheyl Thiazole has been synthesized from 2-Chloro, 1- phenyl Ethan-1-one and Thiourea on refluxing in ethanol. The reaction was monitored on TLC plate, the synthesized compounds are confirmed on the basis of elemental analysis and spectroscopic data such as IR, H1NMR etc. these compounds screened for in-vivo Antibacterial activities against a strain of E-Coli, B. Subtilis ,

Keywords: 2- Amino 4-Pheyl Thiazole, E-Coli, B. Subtilis etc

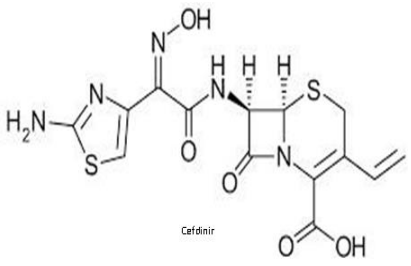
I. INTRODUCTION

Thiazole is a five member ring containing Sulfur and Nitrogen atom at 1,3 position to each other this is an interesting scaffold has shown remarkable pharmacological activities such as antimicrobial1, antioxidant2, antiviral3, anticonvulsant4, anti-inflammatory5, anti-tubercular6, antifungal7, anti-diabetic8 properties , and anticancer9 against different cell lines . therefore 2- amino thiazole essentially used in drug development for treatment of many diseases, some drugs contain 2-amino thiazole as an active pharmacophore such as Famotidine , Cefdinir , Abafungin

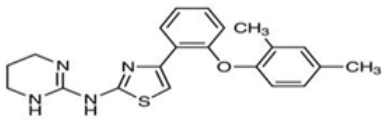
In addition sulfur and Nitrogen containing natural product such as Caliceamycin, Ecteinascidin, and penicillin have an important place in medicinal and drug chemisty. Thiazole moiety also present in vitamin B1 Thiamine so its applications In drug and medicinal chemistry play an vital role. This precursor is very useful for the total synthesis of drugs containing thiazole moiety.



Famotidine



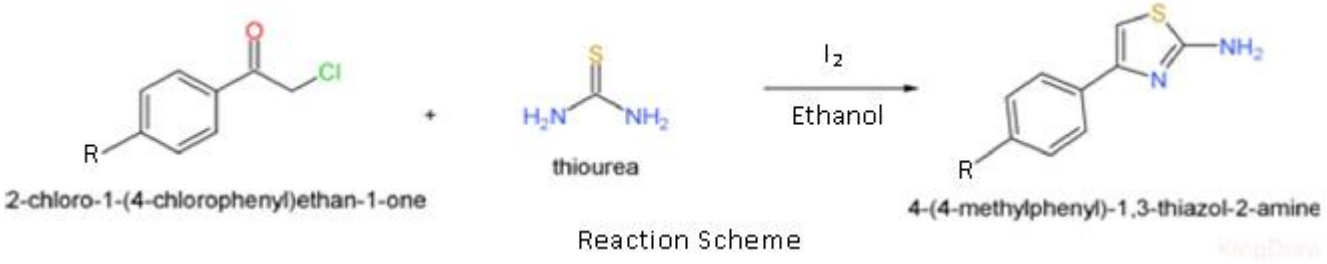
Cefdinir



Abafungin

II. METHOD OF PREPARATION

The novel 2-amino-4-phenylthiazole derivatives were synthesized from on condensing 2- chloro-1-(4-substituted phenyl)ethan-1-one(0.01 mmol) with thiourea(0.01 mmol) in ethanol by adding catalytic amount of iodine the reaction was monitored on TLC plate for confirmation of product to get 2-amino-4-(4-substituted phenyl)1,3-thiazole, the structures of newly synthesized compounds were confirmed on the basis of elemental analysis such Melting points of the synthesized compounds were determined by open capillary method and are uncorrected. The IR spectra of synthesized compounds were recorded in potassium bromide discs on Schimadzu FTIR Spectrophotometer . The 1H-NMR spectra of the synthesized compounds were recorded in DMSO and tetramethylsilane (TMS) as an internal standard. the reaction yield was increased when a small amount of catalytic amount of Iodine added to reaction mixture also reaction time get reduced. All new compounds synthesized were recrystallised in Ethanol a shiny crystals obtained



Reaction Scheme

Where R = H, OCH3, CH3, Cl, F, NO2

III. MICROBIAL ASSAY

These new synthesized compounds were screened for in-vivo antimicrobial activities against some species of bacteria such as E-coli, B. Subtilies against standard drug penicillin. A moderate to good activity are observed, the compound containing electron donating group at para position to phenyl ring show better activities in comparison with electron withdrawing group.

The cup diffusion technique was employed to study the antibacterial activity of synthesized compounds against B. subtilis , E. coli

Dimethylformamide was used as a control. Sterile nutrient agar was inoculated with the test organisms (each 100 mL of the medium received 1 mL of 24 h broth culture), and then seeded agar was poured into sterile petri dishes. Cups (8 mm in diameter) were cut in the agar, and each cup received 0.1 mL of the test compound solution. The plates were then incubated at 37 o C for 24 hr. The activities were estimated as zones of inhibition in mm diameter .

Compound	Antibacterial Activity (mm)	
	B. Subtilis	E Coli
H	14	15
OCH3	18	17
CH3	17	18
Cl	19	18
F	17	17
NO2	13	13
Penicillin	26	26

IV. RESULT AND DISCUSSION

The target compounds were prepared as outlined in Reaction Scheme . The purity of the compounds was monitored by TLC and the structure of the compounds was deduced on the basis of spectral data. The synthesized compounds were tested for activity against B. subtilis, E. colis. The results of antimicrobial activity are shown in table. It is evident from the results that the compound Fluro substituted compound was possessed potent antibacterial activity. Rest of the synthesized compounds were inactive to kill the target organisms.

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