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Synthesis and Spectroscopic Study of Some Newly Substituted Arylidene Benzimidazo Thiazolones

KEYWORDS: Thiazolones, characterization, substituted benzimidazole.

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ABSTRACT *In this work a series of thiazolones were prepared by the reaction of aromatic aldehydes with carbon disulphide and KOH. The structure of some newly substituted compounds were determine on the basis of spectroscopic data such as HNMR and IR spectra.*

Introduction-
The combination of benzole directly with a pyrazole, thiazole, or triazole ring led to more biologically active target ex. Indolylpyrazoles are antitumor agents and also as Chk_1 inhibitors[1] and benzothiazolyl pyrazoles are useful as anti-inflammatory agents[2]. A thiazolyl indolequinone, BE 10988, isolated from culture broths of a streptomyces strain, is known to increase DNA-topoisomerase complex formation and displayed significant anticancer activities[3]. Moreover triazol-4-yl-indoles are agonists of 5-HT1 like receptors[4-8].

The heterocyclic systems encompassing 1,3,4-thiadiazole and thiadiazine are explored to the maximum extent owing to their wide spectrum of pharmacological activities such as fungicidal, insecticidal, bactericidal, herbicidal[9], anti-tumor[10], anti-viral[11], CNs stimulant properties[12].

In the course of reviewing various structures which may be of use in the design of novel antimicrobial agents, azole have attracted our importance. Among azoles, the imidazoles, thiazoles analogues are very widely used due to their antimicrobial characteristic. Based on these observations we can clear about the importance of thiazolones in organic as well as medicinal chemistry. There are various reports are found in literature like-

Jag Mohan, et al., have synthesized the trans-3,3a-dihydro-3-arylspiroalkane; 7(8H) [6H] pyrazolo [3,4,4:5] thiazolo [3,2-b]-s-tetrazines by the condensation of 1,2,4,5-tetraazaspiro[5,7] tridecane-3-thione or 1,2,4,5- tetraazaspiro [5,6]-decane-3-thione with ethyl chloroacetate and aldehydes in presence of pyridine.The antibacterial and antifungal activity of some of the compounds have also been evaluated[13].

N. Sivasubramanian,et al., also have presented an attempt to synthesized the compound like 3-amino-2-mercapto 5,6,7,8-tetrahydro-benzo(b) thieno-(2,3d)-pyrimidine-4-(3H)-one which was further treated with acetylchloride, urea carbon disulphide, chloroacetic acid and benzoin to afford novel fused thiazole, thiadiazine compounds these synthesized compounds were characterized by MP, IR, HNMR spectra and subjected to anti-microbial studies using few gram-positive, gram negative and fungal organisms[14].

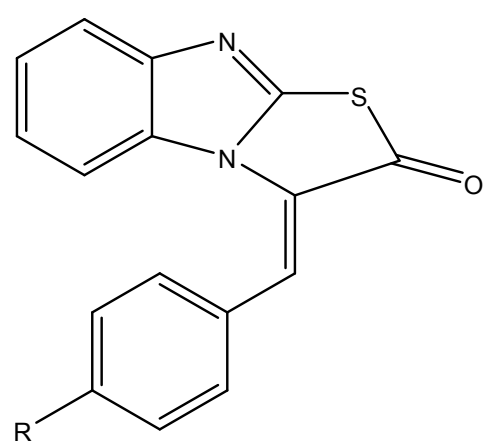
Malhotra, et al, have synthesized the 3,6-disubstituted-s-triazolo [3,4b], [1,3,4] thiadiazoles by cyclizing 3-aryl-4-amino-5mercapto-1,2,4- triazoles with carboxylic acid in presence of conc. sulphuric acid or phosphorous oxychloride and also reported the synthesis of bridgehead nitrogen heterocyclic system by the reaction with chloranil[15].

P.L.Gaikwad,et al., have performed the synthesis of different substituted pyrazolothiazol-4(5H)-one derivatives by the reaction of N-thiocarbamoylpyrazole derivatives with ethylbromoacetate the newly synthesized compounds were characterized by FTIR and HNMR or Ms-spectra and also the antimicrobial study of these compounds have been done. Among the tested compounds, 2[5-(4-chlorophenyl)-3-phenyl-4,5-dihydropyrazol-1-yl]-thiazol-4(5H)-one was found to show the most potent antimicrobial activity[16].

METERIALS AND METHODS-
All the compounds are reported one and all the products have been characterized by proton NMR and FTIR spectra. The HNMR spectra were recorded by using DMSO solvent on a Bruker 300 MHz spectrometer with TMS as internal standard.

Typical experimental procedure-
2(3H)-benzimidazole thione-
The starting compound 2(3H)benzimidazole thione was synthesized by refluxing the o-phenylene diamine, cs_2, & KOH in presence of ethanol for 1 hr. after refluxing the crude product was washed with acidified water. It was then recrystalized from distilled Water. This compound is further used for the synthesis of a new series of substituted thiazolones.

Following compounds are synthesized by conventional method;



where R=OH ,NO_2, H.

General procedure-
The mix of 0.01M 2(3H)-benzimidazole thione, equimolar amount of chloroacetic acid, sodium acetate and different p-substituted benzaldehyde in glacial acetic acid solvent

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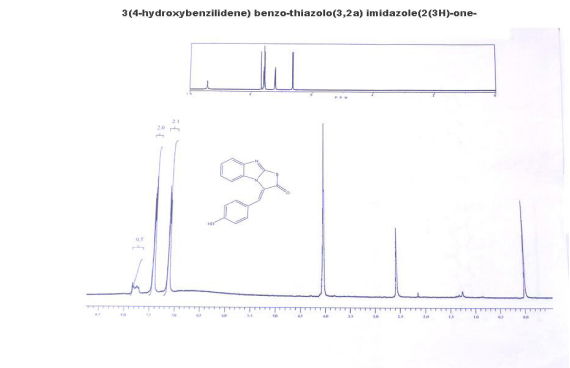
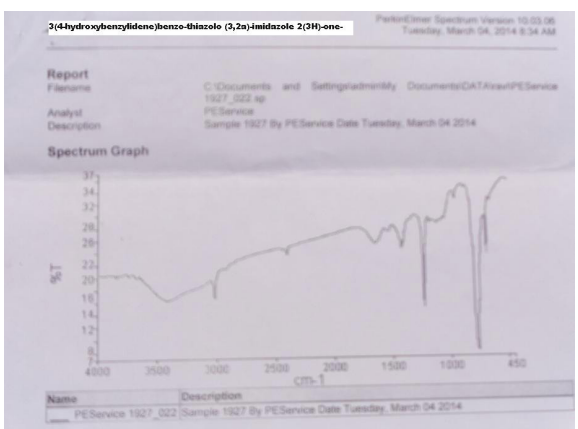
was refluxed for 1.30hr, cooled and the crude product was washed with acidified water and then recrystalized by acetic acid.

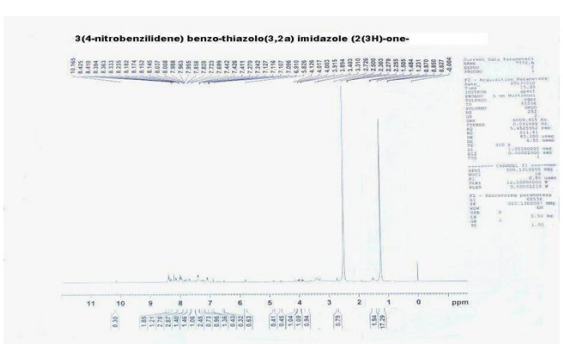
Spectroscopic data for synthesized compounds is as follows –

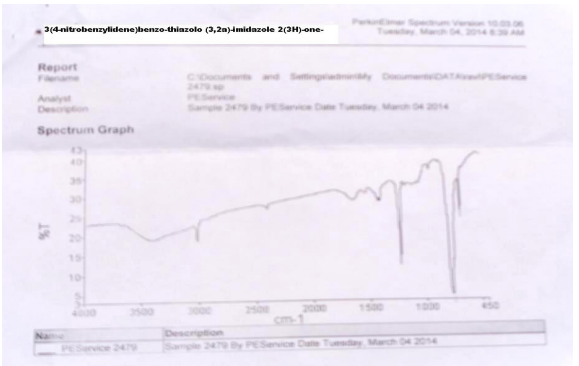
a] 3(4-hydroxybenzylidene)benzo-thiazolo (3,2a)-imidazole 2(3H)-one-
Yield-72%
PMR(DMSO);(δ, ppm):δ7.15(d,1H,ArH),δ7.49(d,1H,ArH),δ7.70(s,1H,C=C δ 8.20(s,1H,OH).
IR:(ν max) cm-1; 3417(OH), 3019(C-H,Aromatic), 1626(C=C, ethylene), 1385, 1403(C=C, Ar).



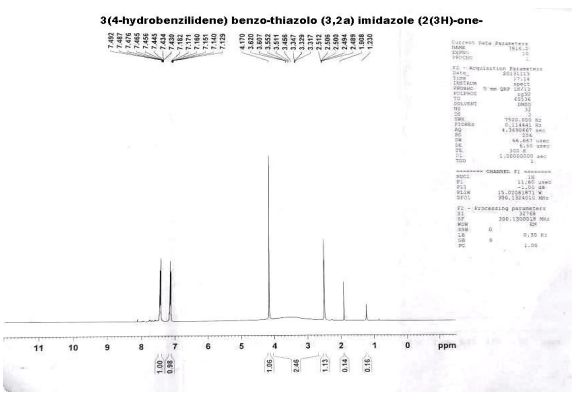


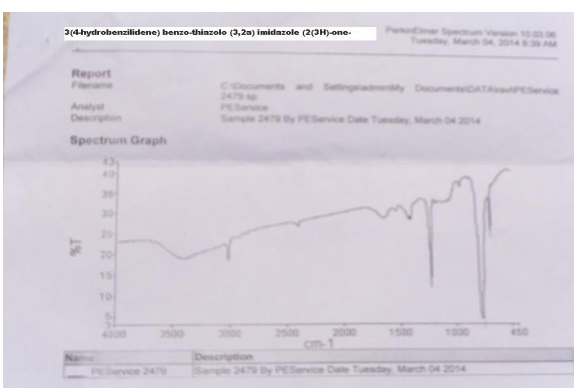
b] 3(4-nitrobenzylidene)benzo-thiazolo (3,2a)-imidazole 2(3H)-one-
Yield-79%
PMR (DMSO); (δ, ppm): δ 7.22(d,1H,ArH), δ7.48(d,1H,ArH), δ8.22(s,1H,C=CH).
IR:(ν max) cm-1;1215(NO2),3019(C-H,Ar), 1638(C=C, ethylene),1385,1403(C=C,Ar).





c] 3(4-hydrobenzylidene)benzo-thiazolo (3,2a)-imidazole 2(3H)-one-
Yield-68%
PMR (DMSO); (δ, ppm): δ 7.10(d,1H,ArH), δ7.45(d,1H,ArH), δ8.10(s,1H,C=CH).
IR:(ν max) cm-1; 3019(C-H,Aromatic), 1626(C=C, ethylene), 1403,1518(C=C,Aromatic).





RESULT & DISCUSSION-
The synthesized compounds of the present study were characterized through HNMR & IR spectra. All the compounds show the characteristic peaks in both of the spectra. All these compounds are having versatile applications in organic as well as in medicinal chemistry. Also all the procedures are very simple, convenient & short time.

In conclusion, the whole procedure is concerned with simplicity, & the imp advantage of the present work is the higher yields with shorter time. The literature survey also shows that the benzimidazole derivatives are more potent than benzotriazole compounds in the point of antifungal activity.

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