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Synthesis and Characterization of Some Newly Substituted Arylidene Benzimiazo-Thiazolone

KEYWORDS: thiazolones, substituted benzimidazole, aromatic aldehydes, characterization.

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ABSTRACT *The substituted thiazolone derivatives have been found to posses a broad spectrum of biological activities. A variety of methods have been reported for the preparation of this class of compounds. here the new series of 3,4 substituted thiazolones is prepared from substituted aromatic aldehydes and then they are characterized by 1H-NMR spectra.*

Introduction-
The five membered heterocyclic compounds like different derivatives of thiazolones ,benzotriazoles , Oxazolines etc. are continue to attract the considerable interest due to their wide range of biological activities. Amongst them the different thiazolone derivatives have the wide range of applications in organic and biological chemistry .

An extensive literature survey reveals that thiazolone ring has broad Spectrum of biological activities such as antimicrobial, antiproliferative, antiviral, antiinflamatory, Anticonvolusant.[1-2]

Antimicrobial activities of some substituted thiazoles are well established because it posses S=C=N toxophoric unit. Thiazoles have enhanced lipid solubility with hydrophilicity. Thiazoles are easily metabolized by routine biochemical reactions & are non-carcinogenic in nature.[3]

Moreover pyrrole & thiazole subunits are useful structural components in medicinal chemistry & have also found broad spectrum of antimicrobial drug developments. Such medicines as sulphathiazole, phthalylsulphathiazole & related compounds are commonly used in medical practice as well.[4]

From these different facts we can clear that thiazolones are important not only in medicinal chemistry, but also in organic chemistry. Literature survey reveals that thiazolones have been synthesized by various methods.

Review of literature-
Bark fatty, Abdel-WAHAB, et al. have synthesized different indolylthiazoles by two methods like-[5]

(a) By condensation reaction between thioamides and -halo ketones.
(b) By reaction of mercaptoacetic acid and Schiff bases.

Khalid A., A1-Rashood et al. have synthesized the several thiazolo (3,2a)

benzimidazole derivatives by annulation of thiazole ring to benzimidazole moiety.[6]

Shunqi Yan et al. have synthesized a novel series of thiazolone-acylsulphamides as HCV (Hepatitis C virus) NS5B polymerase allosteric inhibitors. & demonstrated low µM activity. The X-ray complex structure was determined at a 2.2 A resolution & converged with SBDD principle.[7]

Abdel Aziz, et al. reported the synthesis of 6-bramo -3methyl thiazolo [3,2a]

benzimidazole -2 Carboxylic acid ethyl aster by the bromination by substituted ester.[8]

Bhasker S. Dawane ,et al. also developed a convenient and efficient procedure for synthesis of some pyrazolo [3,4c] pyrazole thiazolone derivatives.[9]

Jag Mohan, et al. reported the synthesis of triazolo thiadiazines by the condensation of 3-substituted phenyl 4-amino-4H, 1,2,4-triazole -5-thiols with phenyl bromide.[10] knysch, et al. reported the synthesis of biologically active 3-R-6-arylidene thiazolodino [3,2a] – 1,2,4- triazole -5-one from precursors.[11]

Mcleod et al, have synthesized a new series of 2-alkylthio-4-[(E & z)-1-alkoxyethylidene]-5-thiazolones & 2-ethoxy-4-[(E & z)-1ethoxyethyl-idene]-5-thizolone these compounds were studied for reactivity to neucleophiles & electrophiles. [12]

A series of 5-arylidine-2(3,5-diaryl-4,5-dihydro-1H pyrazol-1-yl)-1,3 thiozol-4(5H)-ones were synthesized & screened for their in vitra antitumer activity against humen breast adenocarcinoma cell line (MCF-7). Five of tested compounds exhibited good antitumor activity superior to the reference drug, 9 doxorubicin, with IC 50 range 1.4-2.3 µM.[13]

E. M. Sharshira 1, et al. were synthesized a series of thiazoles by incorporation of pyrazoline ring at position 2 of 2-hydrazinyl-N-(4-phenyl thiazol-2-yl) acetamide by treating with chalcones.[14]

Method and Material;
Typical experimental method-
2(3H)- benzimidazole thione-
The starting compound 2(3H)- benzimidazole thione was synthesized by refluxing the o-phenylene diamine, cs2, & 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.

3(4-bromobenzilidene) benzo-thiazolo (3,2a) imidazole (2(3H)-one-
For this synthesis 0.01M 2(3H) benzimidazole thione was reacted with equimolar amount of chloroacetic acid, sodium acetate and p-bromobenzaldehyde and the glacial acetic acid was used as solvent. this reaction mix was then reflux for 1.15hr. After completion of reaction the crude product was washed with acidified water and then recrystalized with acetic acid.

1H NMR (δ, ppm): δ 7.22(d,1H,ArH), δ7.53(d,1H,ArH), δ

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7.58(s,1H,C=CH),

Yield of the product was- 82 %

3(4-methylbenzilidene) benzo-thiazolo (3,2a) imidazole (2(3H)-one-

For this synthesis 0.01M 2(3H) benzimidazole thione was reacted with equimolar amount of chloroacetic acid, sodium acetate and p-methyl benzaldehyde and the glacial acetic acid was used as solvent. this reaction mix was then reflux for 1.10 hr. after completion of reaction the crude product was washed with acidified water and then recrystalized with acetic acid.

1HNMR, (δ ppm): δ 1.90(s,3H,CH_3), δ 7. 22 (d,1H,ArH), δ 7.65(s,1H,C=CH)

δ 7.51(d,1H,ArH).

Yield of the product was- 74 %

3(4-fluorobenzilidene) benzo-thiazolo(3,2a) imidazole (2(3H)-one

For this synthesis 0.01M 2(3H) benzimidazole thione was reacted with equimolar amount of chloroacetic acid, sodium acetate and p-fluorobenzaldehyde and the glacial acetic acid was used as solvent. this reaction mix was then reflux for 1.10hr. after completion of reaction the crude product was washed with acidified water and then recrystalized with acetic acid.

1HNMR (δ, ppm): δ 7.48(d,1H,ArH), δ 8.20(s,1H,C=CH), δ 7.20(d,1H,ArH), δ 7.12(d,1H,ArH).

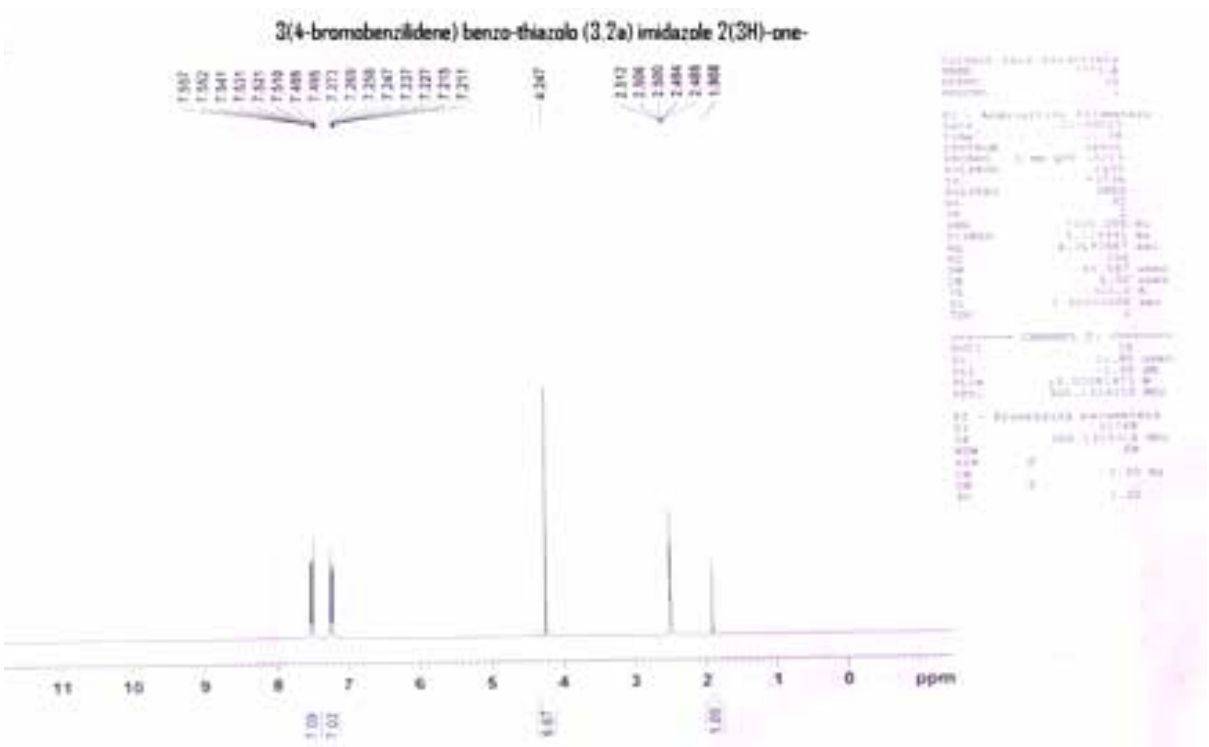
Yield of the product was- 79 %

3(4-methoxybenzilidene)benzo-thiazolo(3,2a) imidazole (2(3H)-one-

For this synthesis 0.01M 2(3H) benzimidazole thione was reacted with equimolar amount of chloroacetic acid, sodium acetate and anisaldehyde and the glacial acetic acid was used as solvent. this reaction mix was then reflux for 1.15hr. after completion of reaction the crude product was washed with acidified water and then recrystalized with acetic acid.

1HNMR (δ, ppm): δ 3.40(s,3H,CH3), δ 7.51(d,1H,ArH), δ 7.12(d,1H,ArH).

Yield of the product was- 71 %



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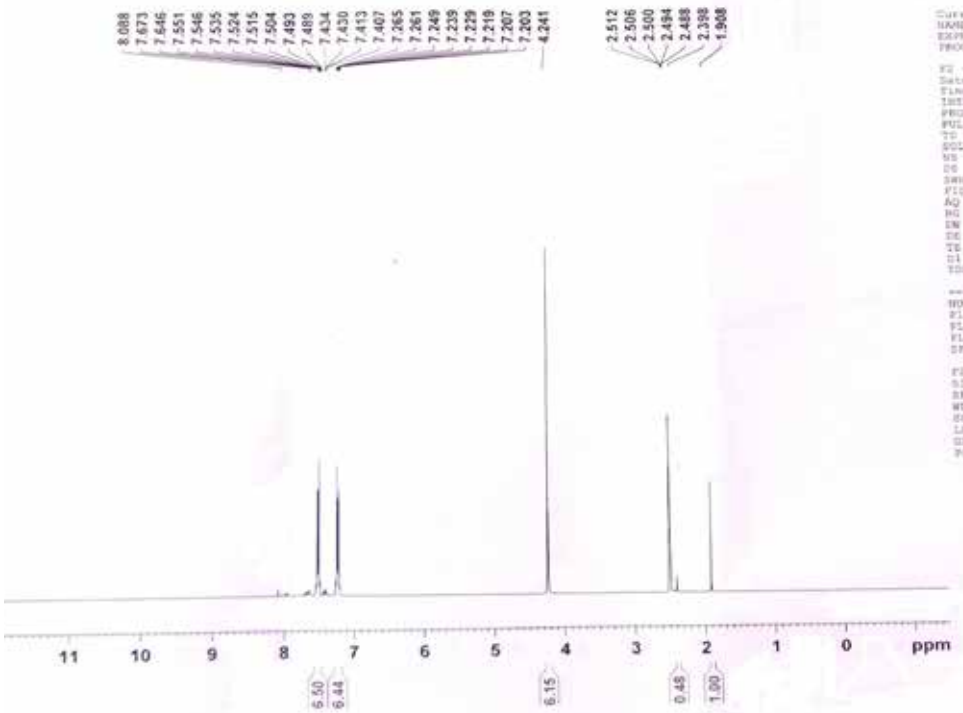
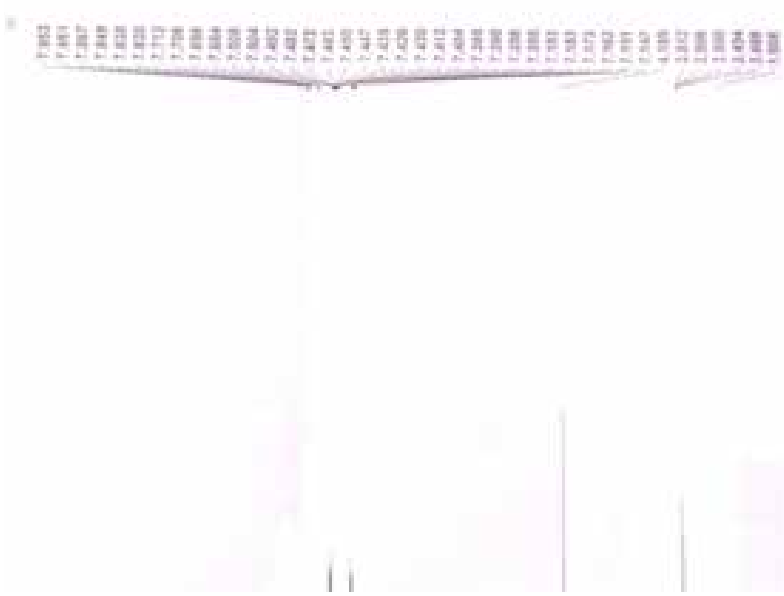
3(4-methylbenzilidene) benzo-thiazolo (3.2a) imidazole 2(3H)-one-



3(4-flurobenzilidene) benzo-thiazolo (3.2a) imidazole 2(3H)-one-



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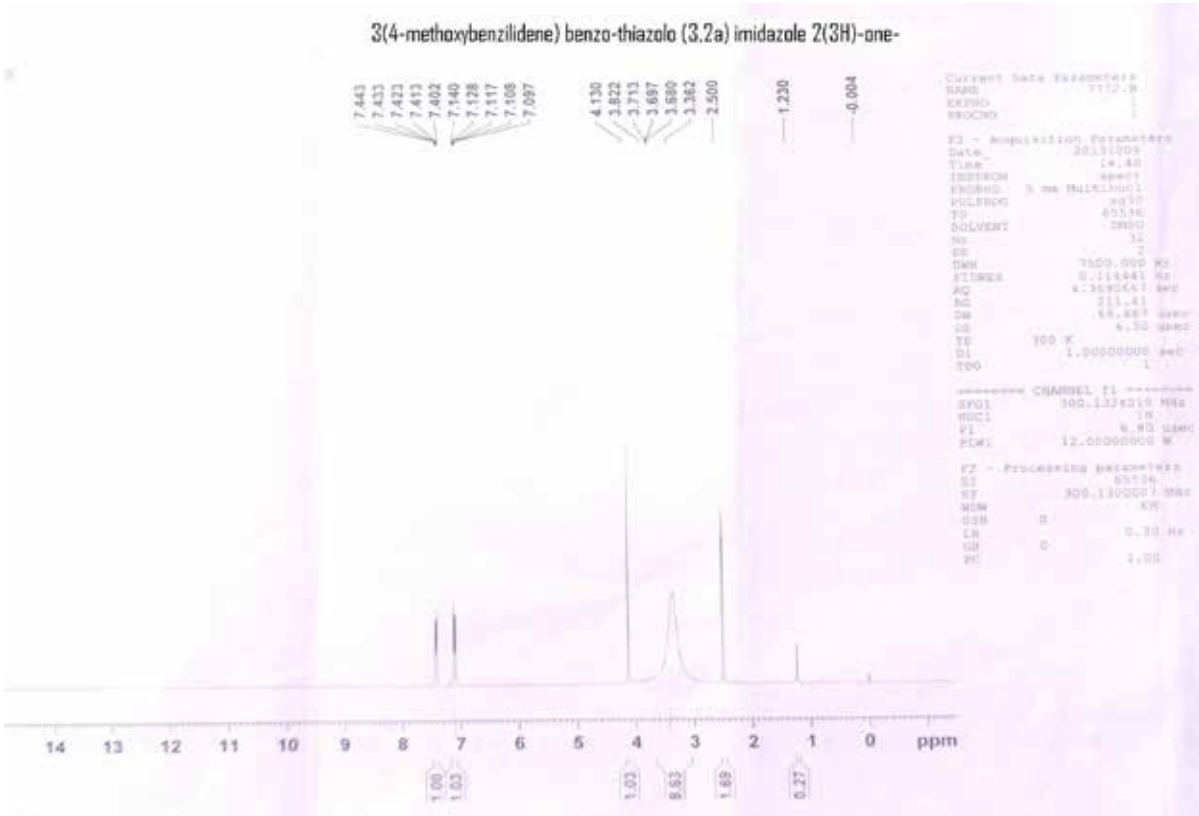

Result and Discussion-

We have described a practical and convenient procedure for synthesis of new series of substituted thiazolones. which are having many organic, biological applications. It serves the cheap and the simple synthetic route with higher yield of product.

Conclusion-

In conclusion we developed the convenient and simple method for synthesis of new series of substituted thiazolones. All the synthesized compounds show the characteristic absorption peaks in 1HNMR spectra. the reactions are shorter time and non tedious workup with the higher yield of products.

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