Research Paper Education

A facile microwave induced synthesis of A Novel series of fused is oxazoline and study of antimicrobial activity.

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ABSTRACT

A series of 3(4-substitutedbenzylidene) benzo- thiazolo (3,2a) imidazol (2- (3H)isoxazoline were prepared. The structures of the isoxazoline derivatives were confirmed on the bases of 1H NMR and FTIR spectral data. The compounds were screened for their in vitro antibacterial and antiyeast activity activity using gram-positive bacteria and gram-negative bacteria.

KEYWORDS isoxazoline, chalcone, antibacterial activity, greener synthesis.

Introduction-

In recent years, attention has increasingly been given to the synthesis of isoxazoline derivatives as a source of new antibacterial agents. The synthesis of novel isoxazoline derivatives remains a main focus of medicinal research. Isoxazoline derivatives have been reported to possess antifungal [1], antibacterial [2], anti-viral[3], analgesic[4], antitumar[5], anticonvulsant[6], anti-inflammatory[7], Isoxazoline derivatives also show a good potency in animal models of thrombosis[8]. In addition, isoxazoline derivatives have played a crucial role in the theoretical development of heterocyclic chemistry and are also used extensively in organic synthesis[9,10].

There are various reports of synthesis of different isoxazolines.

Recently M. Govindaraju and coworkers [11] have synthesized the series of novel isoxazolines from Nitrile oxides which were prepared by catalytic dehydrogenation of aromatic aldehyde oximes with chloramine-T, which on 1,3-dipolar cycloaddition with ethyl oleate produced a series of new ethyl 8-(3-aryl-4-octyl-4,5-dihydroisoxazol-5-yl)octanoate. Some of the synthesized isoxazolines have exhibited moderate to good antifungal and antibacterial activity.

B. K. Sharma et al.,[12] reported the greener route for the synthesis of 2-pyrazolines and isoxazoline from chalcones. Firstly substituted chalcones were prepared by reaction of Acetone with appropriately substituted benzaldehydes in the presence of basic alumina. Then these chalcones undergo facile and clean cyclization with phenyl hydrazine, 2,4-dinitrophenylhydrazine, semicarbazide and thiosemicarbazide to afford substituted 3,5-arylated-2-pyrazoline derivatives. And Reaction of these chalcones with hydroxylamine hydrochloride yielded 3, 5-arylated isoxazoline derivatives. All the compounds were screened for their antimicrobial activity. The compounds exhibited moderate to excellent antibacterial and antifungal activities.

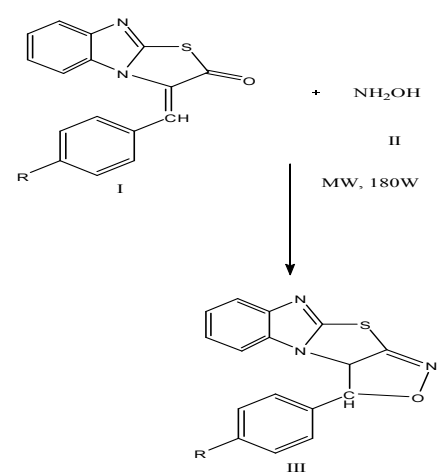
Methods and Materials-

The melting points of the synthesized compounds were determined by open capillary tube method and are uncorrected. The characterization was done by1H-NMR and FT-IR spectral study.

General procedure-

The equimolar mixture of 3(4-substitutedbenzylidene) benzothiazolo (3,2a) imidazol (2-(3H)one and hydroxyl amine in glacial acetic acid were taken in conical flask.After stirring the reaction mixture was subjected to microwave irradiation for 3to5min at 180 watt. The solution was kept at room temp and poured on crushed ice. the product obtained was filtered and wash with water several times. The final product was purified by ethanol.

Reaction-



Spectral data of synthesized compounds-

Preparation of 3(4-hydrobenzylidene) benzo- thiazolo (3,2a) imidazol (2(3H)isoxazoline;

Yield- 78% melting pt – 178-180°c 1H NMR (DMSO) 2.49(s,CH_3),7.10(s, N-NH hydrazid), 7.40 (dd benzene), 7.50-8.10 (dd,benzimidazole). IR (KBR pallets); 677,608 (C-S-C str.of thiazolyl),1224(C-N str.of pyrazoline), 1574(C=N str of pyrazoline),.,1502,1404(C=C str.and 3079 C-H str.of aromatic).

Preparation of 3(4-fluorobenzylidene) benzo- thiazolo (3,2a) imidazol (2-(3H)isoxazoline;

Yield- 66% melting pt – 186-188°c 1H NMR(DMSO) 2.49(s,CH_3),7.10(s,N-NHhydrazid),7.40 (dd benzene),7.50-8.10 (dd,benzimidazole). IR(KBR pallets); 677,608 (C-S-C str.of thiazolyl),1224(C-N str.of pyrazoline), 1574(C=N str of pyrazoline),.,1502,1404(C=C str.and 3079 C-H str.of aromatic).

Preparation of 3(4-tolyl) benzo- thiazolo (3,2a) imidazol (2-(3H)isoxazoline;

Yield- 59% melting pt – 189-191°c

1H NMR(DMSO) 2.49(s,CH_3),7.10(s,N-NHhydrazid),7.40 (dd benzene),7.50-8.10 (dd,benzimidazole). IR(KBR pallets);,. 677,608 (C-S-C str.of thiazolyl),1224(C-N str.of pyrazoline), 1574(C=N str of pyrazoline),.,1502,1404(C=C str.and 3079 C-H str.of aromatic).

Antimicrobial study-

The target molecules were tested for antibacterial activity against the variety of test organisms Escherichia coli, Pseudomonas aeruginosa and Klebsiella pneumoniae and anticandidal activity against Candida albicans, Candida glabrata and Candida tropicalis strain. The screening results indicate that compounds **A** and **C** show promising activity and compounds **B** poor activity against bacterial strain. while Compound **B** show good and compounds **A** and **C** shows no activity against yeast culture.

The results are showed in Table No.1

Antibactrial and Antiyeast activity of test compounds

Test Organism	Zone of inhibition (mm)compound		
	A	B	C
Bacteria			
Escherichia coli	9	_	10
Pseudomonasaeruginosa	10	_	8
Klebsiella pneumoniae	_	_	_
Pathogenic Yeast			
Candida albicans	ND	13	ND
Candida glabrata	ND	12	ND
Candida tropicalis	ND	12	ND

Result and Discussion-

We have developed an efficient catalytic method for synthesis3(4substitutedbenzylidene) benzo- thiazolo (3,2a) imidazol (2-(3H)isoxazoline under microwave irradiation. This reaction protocol offers a simple, economical, environment friendly, non-hazardous, and easier work-up procedure and good yields. All synthesized isoxazolines derivatives showed moderate antimicrobial activities against the strains used.

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