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Antimicrobial and Antioxidant Activities of some Newly Synthesized Pyrazolo Thiazolo Pyrimidines

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Abstract: ***synthesis of 3-amino -4-imino-7-methyl -2-N-(substituted) pyrazolo [3,4-b] thiazolo [1,2-a] pyrimidine (5A.17a-i) have been reported by condensing 6-cyano-5-imino-2-methyl-7-(methylthio)-5H-thiazolo [3,2-a] pyrimidine with hydrazine and its various derivatives. The chemical structure of the product was proved on the basis of their spectal IR, 1H-NMR, 13C-NMR, Mass and analytical studies. Some of the newly synthesized compounds have been screened for antimicrobial and antioxidant activity.***

Keywords: Pyrazolothiazolo pyrimidine, Bis (methylthio) methylene malononitrile, N,N-dimethyl formamideanhydrous potassium carbonate, Hydrazine.

I. INTRODUCTION

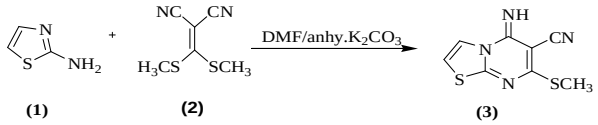
Extensive investigation has been done in the area of preparation of the pyrazolothiazolo pyrimidines. These compounds have wide range of biological activities[1-8]. Some of thses series of compounds show effective calcium channel blockers[9] and few compounds show a strong antiphlogistic activity[10]. With an intention of preparing the compounds possessing better therapeutic potential, we have undertaken the synthesis of pyrazolothiazolo pyrimidine derivatives.In this paper we reported synthesis of 6-cyano-5-imino-2-methyl-7-(methylthio)-5*H*-thiazolo [3,2-*a*] pyrimidine and their hydrazine derivatives which shows promising biologicalactivities.

II. MATERIALS AND METHODS

Melting point were determined by open capillary tubes and were uncorrected. Progress of reaction was monitored by thin layer chromatography carried out of aluminium silica plates using UV Chember for detection. Infrared spectra were recorded in potassium bromide pallets on an infrared spectrophotometer, nuclear magnetic spectra were obtained on Bruckner advance spectrophotometer; 400MHz mass spectra were recorded on ET-VC7070H mass spectrophotometer with the use of EI technique at 70ev. All the reactions were carried out under ambient atmosphere.

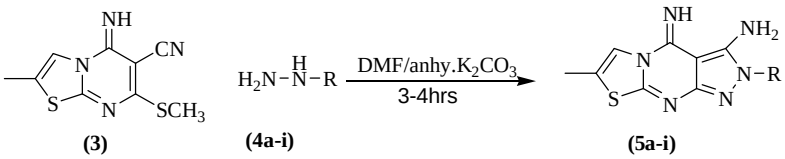
III. RESULT AND DISCUSSION

In the present investigation, we have reported synthesis of 3-amino -4-imino-7-methyl -2-N-(substituted) pyrazolo [3,4-*b*] thiazolo [1,2-*a*] pyrimidine (5a-i) .The reaction started by preparation of 6-cyano-5-imino-2-methyl-7-(methylthio)-5*H*-thiazolo[3,2-*a*] pyrimidine (3) by condensing 2-amino-5-methyl thiazole (1) with bis(methylthio) methylene malanonitrile (2) in presence of DMF and anhydrous K_2CO_3 as catalyst. (Scheme -1).



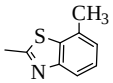
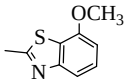
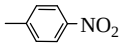
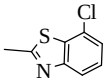
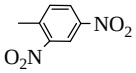
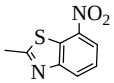
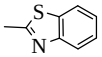
Scheme 1

The compound **(3)** has replaceable active methylthio group at 2- position which is activated by ring 1-nitrogen atom and electron withdrawing cyano group at 3-position. Hence, the susceptibility of the compound **(3)** towards cyclization with hydrazine hydrate and their different substituted derivatives **(4a-i)** have been investigated. These reactions result into formation of 3-amino -4-imino-7-methyl -2-N-(substituted) pyrazolo [3,4-*b*] thiazolo [1,2-*a*] pyrimidine **(5a-i)**. According to these reactions, the compound **(3)** independently reacted with hydrazine hydrate (80%) **(4 a)** , phenyl hydrazine **(4 b)**, 4-nitro phenyl hydrazine **(4 c)**, 2,4-dinitro phenyl hydrazine **(4 d)**, 2-hydrazino benzothiazole **(4 e)**, 6-methyl-2-hydrazino benzothiazole **(4 f)**, 6-methoxy-2-hydrazino benzothiazole **(4 g)**, 6-chloro-2-hydrazino benzothiazole **(4 h)**, and 6-nitro-2- hydrazino benzothiazole **(4 i)** in DMF and anhydrous K_2CO_3 to obtain, 3-amino -4-imino-7-methyl -2-N-(substituted*)* pyrazolo [3,4-*d*] thiazolo [3,2-*a*] pyrimidine **(5a-i).**



(3) **(4a-i)** **(5a-i)**

(Scheme 2).

Compound No.	R	Compound No.	R
4a	H	4f	
4b		4g	
4c		4h	
4d		4i	
4e			

IV. ANTIOXIDANT ACTIVITY OF NEWLY SYNTHESIZED COMPOUNDS

A. DPPH Assay

DPPH (2, 2, diphenyl-1-picrylhydrazyl) radical scavenging assay was carried out as per reported methods with slight modification (Kato et al., 1998). Briefly, 1ml of test solution (Test compound) added to equal quantity of 0.1mmol solution of DPPH in ethanol. After 20 min incubation at room temperature, the DPPH reductions were measured by reading the absorbance at 517 nm. Ascorbic acid used as reference compound.

Table No. 1: Antioxidant potential of pyrazolo [3,4-*b*] thiazolo [1, 2-*a*] pyrimidines

Sr. No	Compound	DPPH radical scavenging activity (%)
1	5a	63±0.44
2	5b	54 ± 0.98
3	5d	75 ± 0.41
4	5e	68 ± 0.57
5	5g	44±0.54
6	Ascorbic Acid	86 ± 0.88

V. ANTIMICROBIAL ACTIVITY

A. Disc Diffusion Method

Kirby-Bauer Method was followed for disc diffusion assay. In vitro antimicrobial activity was screened by using Mueller Hinton Agar (MHA) obtained from Himedia (Mumbai). The MHA plates were prepared by pouring 15 mL of molten media into sterile petriplates. The plates were allowed to solidify for 5 min and 0.1 % inoculum suspension was swabbed uniformly and the inoculum was allowed to dry for 5 min. The concentration of compounds were set at (10 µg disc-1) were loaded on 5 mm sterile individual discs. The loaded discs were placed on the surface of medium and the compound was allowed to diffuse for 5 min and the plates were kept for incubation at 37°C for 24 h. Penicillin (10 µg disc-1) was used as positive control. At the end of incubation, inhibition zones formed around the disc were measured with transparent ruler in millimeter.

Table No. 2: Antimicrobial potential of pyrazolo [3,4-*b*] thiazolo [1, 2-*a*]

Sr.no.	Name of compound	Zone of inhibition in mm	
		E. coli	*B. subtilis*
1	5a	NR	NR
2	5b	NR	NR
3	5d	14	07
4	5e	NR	18
5	5g	12	08
6	*penicillin*	26	28

NR: No Respose

VI. CONCLUSION

Simple and efficient synthesis of 3-amino -4-imino-7-methyl -2-N-(substituted*)* pyrazolo [3,4-*d*] thiazolo [3,2-*a*] pyrimidine (5a-i) has been reported . Among these synthesized compounds (5d) showed remarkable antioxidant and antimicrobial activity and compound (5e) exhibit promising antimicrobial activity against *B. subtilis.* The result of the present work demonstrated that pyrazolothiazolo pyrimidines are potent antioxidant and antimicrobial agents and it will attract researchers to design new potent pharmacological pyrazolothiazolo pyrimidines.

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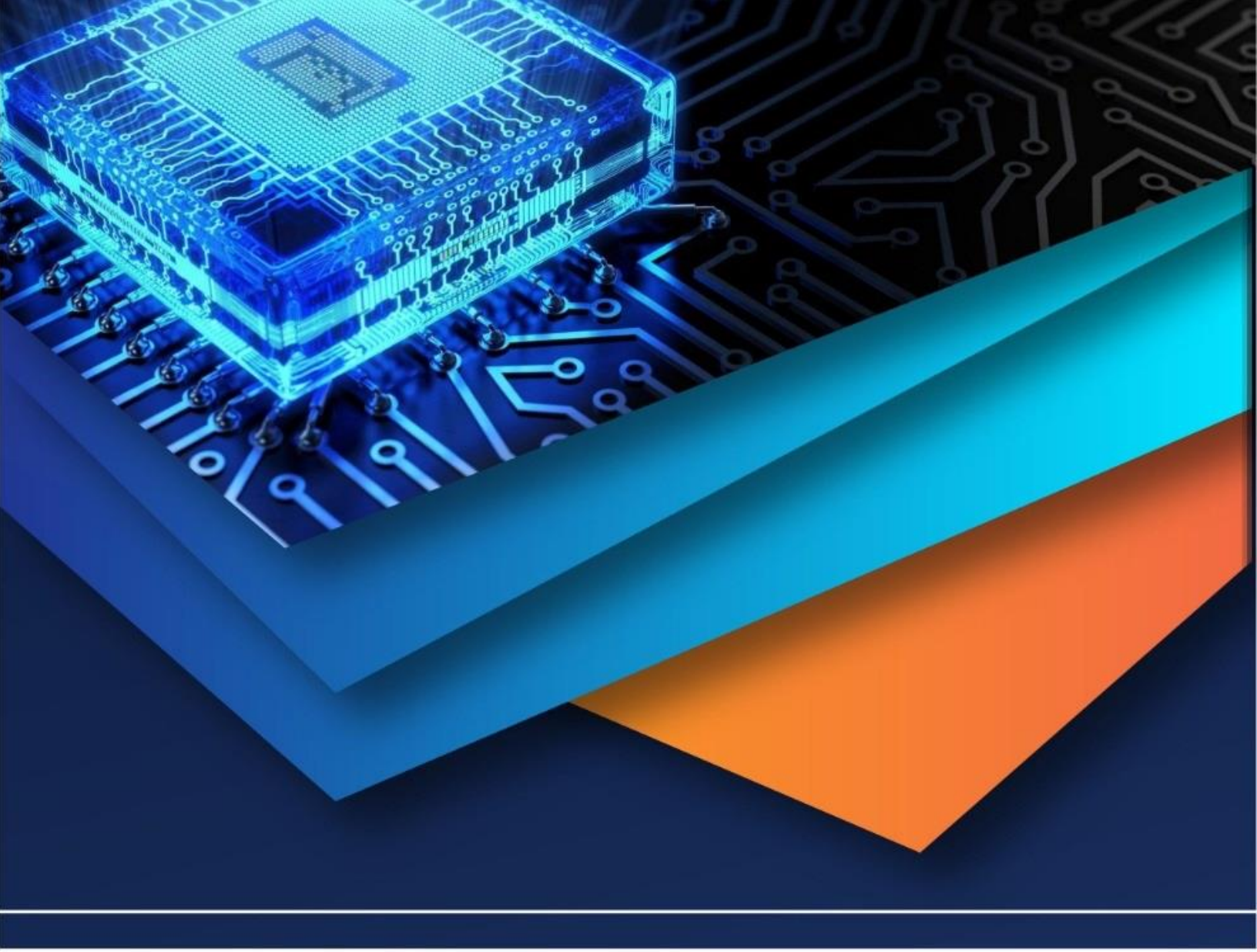

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