Int. J. Chem. Sci.: 14(3), 2016, 1362-1366
ISSN 0972-768X
www.sadgurupublications.com

ANTIMICROBIAL ACTIVITY OF THIAZOLIDINONE, TRIAZINANETHIONE AND OXADIAZINANETHIONE DERIVATIVES OF 1H-IMIDAZO[4,5-b] PYRIDINES

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ABSTRACT

The 1H-Imidazo[4,5-b]pyridines when reacted with different reagents produced various heterocyclic rings like thiazolidinone, triazinanethione and oxadiazinanethione derivatives of it. The antimicrobial activity of these new compounds with various substituents have been reported in the present study.

Key words: Impregnated discs, Degree of Inhibition, Inoculation, Bacterial strains.

INTRODUCTION

Imidazopyridine is an important pharmacophore widely found in many biologically active compounds.[1-8] This biogenic amine is associated with an array of physiological processes including glucose metabolism in the liver and cardio valvular operations as well as those of the central nervous system.

This has prompted us to synthesise new 1H-Imidazo[4,5-b] pyridine derivatives. The present study is to aim at the antimicrobial activity of newly synthesised 1H-Imidazo[4,5-b] pyridine derivatives.

Studies have demonstrated the stability of these materials towards the major pathways of nucleoside inactivation. eg-deamination of adenosine deaminose and glycosidic cleavage by nucleosides phosphorylases, which is an important factor in the design of therapeutic agents. For these reasons, benzimidazoles based nucleosides have been prepared

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and evaluated as antiviral drugs. Synthetic nucleosides containing the 7-amino-imidazo [4,5-b] pyridine nucleus (i.e. the 1-deazapurines) have already been employed in numerous chemotherapeutic applications. Substituted benzimidazoles and structurally related compounds are of pharmacological and therapeutical interest.

Imidazo[4,5-b] pyridines are an important class of biologically active compounds showing high affinity to corticotrophin releasing factor and also anticancer, antiviral, antimitotic and tuberculostatic action depending on the nature and position of substituents on the heterocycle.

Antimicrobial activity

The antimicrobial activity of synthesised compounds XI(a-g), XII(a-e), XIII(a-g) was determined *in vitro* against six bacterial strains. (Table 1). For this study, the test cultures of bacterial strains *Bacillus magatetium, Staphylococcus aereus, Esterichia coli, Proteus vulgaris, Bacillus subtilis, Enterobacteraerogeus* were maintained in nutrient agar slants at 37^{o}C. The antimicrobial activity of compounds against test bacteria were determined. All the compounds were dissolved in 5% aqueous DMF and used for testing their activity. Tetracycline (100 ug/mL) was used as a standard drug for comparision. The zone of inhibition was given in millimetres (mm).

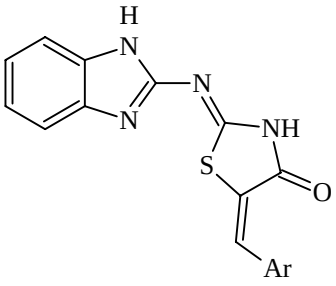
EXPERIMENTAL

Materials and methods

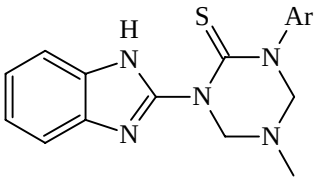
In vitro antibacterial assay

A loopful of culture was taken from the slants of individual bacterial strain and inoculated in 25 mL of sterile nutrient broth. Inoculation was carried out by dipping a sterile cotton-wool swab into the suspension and spread evenly over the entire surface of the petriplate by swabbing in three directions. The plates were allowed to dry for some time before applying discs. Cultures were incubated at 37^{o}C and 120 rpm in an orbital shaker for 10 hr. A volume of 100 µL of actively growing culture was evenly spread on to the surface of the nutrient agar plate. Impregnated discs were forced on the agar surface with sterile forceps and gently pressed down to ensure contact. A concentration of 1000 µg/µL of the compounds in ethyl alcohol was added to each disc (20 µL/disc) placed on a sterile Petri plate. The impregnated discs were dried for 3-5 min and placed on pre-inoculated agar

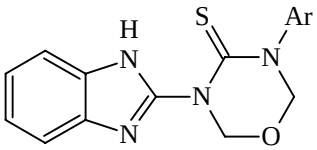
surface. A control was also established by using just the solvent in which each compound was dissolved. The plates were incubated at 37°C for 24 hr. The degree of inhibition of the test compounds was observed by the formation of zones around the impregnated discs. It was measured in mm.



XI (a-g)



XII (a-g)



XIII (a-g)

Compound	Ar
a	Phenyl
b	4-Chloro phenyl
c	2-Chloro phenyl
d	4-Fluoro phenyl
e	4-Methoxy phenyl
f	2-Methoxy phenyl
g	3-Methoxy phenyl

RESULTS AND DISCUSSION

The antimicrobial activities (Table 1) show that the derivatives having chloro, fluoro as substituents were found to be more active than other substituted compounds. Compounds were more growth inhibitory towards *Staphylococcus aureus*.

Table 1: Antibacterial activity of thiazolidinone,triazinane thione and oxadiazinane thionederivatives of 1*H*-imidazo [4,5-b] pyridines

Compd.	*Staphylococcus aureus*	*Klebsiella pneumoniae*	*Salmonella paratyphi A*	*Salmonella paratyphi B*	*Micrococcus luteus*
XI a	**11**	1	8	9	9
XI b	**18**	4	5	5	8
XI c	**10**	9	10	11	5
XI d	**14**	3	1	1	5
XI e	**9**	4	3	2	8
XII a	**11**	8	2	5	2
XII b	**12**	4	3	2	9
XII c	**11**	1	1	1	9
XII d	**11**	2	1	4	11
XII e	**12**	2	4	6	10
XIII a	**11**	3	5	2	11
XIII b	**9**	11	2	1	10
XIII c	**12**	2	2	5	10
XIII d	**10**	3	4	2	10
XIII e	**8**	12	1	1	11
Tetracycline	18	15	14	19	11

ACKNOWLEDGEMENT

The authors are thankful to the Department of Microbiology, Kakatiya University for providing the laboratory facility to conduct the studies. The authors are also thankful to the Principal, University College of Sciences for their constant cooperation.

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Revised : 13.05.2016 *Accepted : 15.05.2016*