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ANTIBACTERIAL ACTIVITY OF PHENYL][1,2,4]TRIAZOLO [4,3-*a*]-[1,8] NAPHTHYRIDINES

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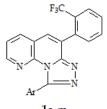
ABSTRACT

N- Heterocyclic triazolo-naphthridines 1a-m was screened in vitro for their antibacterial activity against the Gram-negative *Escherichia coli* and Grampositive *Bacillus subtilis* at 250 and 500 μ g/disc concentrations. The known antibiotic Gentamycin was used as a standard by Vincent and Vincent method. Compounds 1g, 1j, 1k, and 1m showed potent activity by means of gentamycin as standard.

Keywords: Vincent and Vincent method, naphthyridines.

1. INTRODUCTION

1, 8-naphthyridine derivatives continues to draw the attention of synthetic organic chemists due to their varied biological and pharmacological activities^{1,2}. Various biological activities are reported to be associated with the triazole ring system^{3, 4}. Therefore, it was envisaged that chemical entities with both 1, 8-naphthyridine and triazole might result in compounds with interesting biological activity. The microwave-induced organic reactions are becoming popular because of their simplicity and operational convenience⁵⁻⁷. Due to the continued interest in the microwave-assisted organic transformations of 1,8-naphthyridine derivatives⁸⁻¹⁰. We now report, for phenyl][1,2,4]triazolo [4,3-*a*]-[1,8]naphthyridines of biological evaluation studied shown in below.



1a-m

	Ar		Ar
(a)	: C6H5		
(b)	: 4-CH3C6H4	(h)	: 2-FC6H4
		(i)	: 3-FC6H4
(c)	: 3-CH3OC6H4	(j)	: 4-FC6H4
(d)	: 4-CH3OC6H4	U,	
(e)	: 2-ClC6H4	(k)	: 2-CF3 C6H4
(0)		(1)	: 3-CF3 C6H4
(f)	: 3-ClC6H4	(m)	: 4-CF3 C6H4
(g)	: 4-ClC6H4		

2. EXPERIMENTAL SECTION

Materials and Methods:

The antibacterial activity of the compounds thus prepared was evaluated by the filter paper disc technique of Vincent and Vincent¹¹. The bacteria used in the present study were *Escherichia coli*, (Gram-negative) and *Bacillus subtilis* (Gram-positive). The compounds were dissolved in acetone and tried at two different concentrations (250 and 500 μ g/disc). The Whatman filter paper discs (6 mm diameter) with different compounds were placed aseptically on seeded nutrient agar plates with different bacteria and incubated for **72** hr at 37 \pm 1°C. At the end of the incubation period, the diameter of the growth inhibition zones was measured. At least 10 paper discs were observed and repeated twice.

3. RESULTS AND DISCUSSION

Antibacterial activity:

The antibacterial activity was assayed using filter paper disc method of Vincent and Vincent by measuring the zone of inhibition in mm. All the title compounds **1a-m** was screened *in vitro* for their antibacterial activity against the Gram-negative *Escherichia coli* and Gram-positive *Bacillus subtilis* at 250 and 500 µg/disc concentrations. Known antibiotic Gentamycin was used as standard drug. The results are summarized in Table-1.

	Inhibition zone (in mm)					
	<i>E. coli</i> at		B. subtilis at			
Entry	250 µg/disc	500 µg/disc	250 µg/disc	500 μg/disc		
1 a	7.0	13.5	5.5	8.5		
1b	8.0	17.5	6.5	11.5		
1c	7.0	14.0	5.5	9.0		
1d	7.5	16.0	6.0	10.5		
1e	7.5	16.5	6.5	10.5		
1f	7.0	15.0	5.5	9.5		
1g	10.5	20.0	7.0	13.0		
1h	7.5	16.5	6.0	10.0		
1i	7.0	14.5	5.5	9.0		
1j	10.0	19.5	6.5	12.0		
1k	7.0	16.0	6.5	11.0		
11	6.5	15.0	5.5	9.0		
1m	9.5	19.0	6.5	12.5		
Jentamycin	12.0	22.0	8.0	15.0		

Table-1: Antibacterial screening results of compounds 1a-m

4. CONCLUSION

Anti bacterial activity of substituted [1,8] naphthyridino – triazoles are depicted . Among them zone of inhibition of 1g, 1j, 1k, 1m with *E. coli of* μ g/disc where as 1g, 1j, 1m with *B. subtilis of* μ g/disc shown merely potent activity with standard drug as gentamycin.

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