



Biological Evaluation of 1-aryl-4-(2-(trifluoromethyl) phenyl)-[1,2,4] triazolo [4,3-a] quinoxalines

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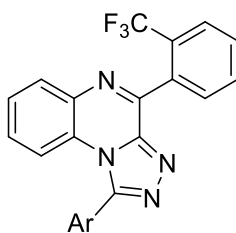
ABSTRACT:

N- Heterocyclic triazolo-quinoxalines 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. 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.

Introduction

Quinoxaline 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 quinoxaline 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 quinoxalines derivatives⁸. We now report, for substituted -4-(2-(trifluoromethyl) phenyl)-[1,2,4] triazolo[4,3-a]quinoxalines of biological evaluation studied shown in below.



1a-m

Ar

- a: C₆H₅
- b: 4-CH₃C₆H₄
- c: 3-CH₃OC₆H₄
- d: 4-CH₃OC₆H₄
- e: 2-ClC₆H₄
- f: 3-ClC₆H₄
- g: 4-ClC₆H₄

Ar

- h : 2-FC₆H₄
- i : 3-FC₆H₄
- j : 4-FC₆H₄
- k : 2-CF₃ C₆H₄
- l : 3-CF₃ C₆H₄
- m : 4-CF₃ C₆H₄

Experimental Section

Materials and Methods

The antibacterial activity of the compounds thus prepared was evaluated by the filter paper disc technique of Vincent and Vincent⁹. 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 µg/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 ± 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.

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.

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

Entry	Inhibition zone (in mm)			
	<i>E. coli</i> at		<i>B. subtilis</i> at	
	250 µg/disc	500 µg/disc	250 µg/disc	500 µg/disc
1a	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
1l	6.5	15.0	5.5	9.0
1m	9.5	19.0	6.5	12.5
Gentamycin	12.0	22.0	8.0	15.0

Conclusion

Anti bacterial activity of substituted -4-(2-(trifluoromethyl) phenyl)-[1,2,4]triazolo[4,3-a]quinoxalines are depicted. Among them zone of inhibition of 1g, 1j, 1k, 1m with *E. coli* of µg/disc where as 1g, 1j, 1m with *B. subtilis* of µg/disc shown merely potent activity with standard drug as gentamycin.

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