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The Evalution Of Antibacterial And Antioxidant Activity Of The 1,2,3-Triazoles

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ABSTRACT

The 1,2,3-triazoles shows excellent antibacterial and antioxidant activity against the unicellular antibacterial pathogens Staphylococcus, aureus,Pseudomonas aeruginosa,Escherichia Coli in the agar Minimum inhibitory concentration. Synthesis of substituted 1,2,3-triazole can be achieved using sodium azide and Baylis-Hillman adduct derived from nitroolefin via tandem 1,3-dipolar cycloaddition followed by denitration reaction sequence

KEYWORDS: Baylis-Hillman reaction - Staphylococcus aureus, Pseudomonas aeruginosa, Escherichia Coli, nitroolefins, Ascorbic acid.

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INTRODUCTION

In vitro antibacterial inhibitory activities of the azide compounds were determined by microdilution broth assay method using resazurin as an indicator[1]. Nutrient-broth was used to culture the bacterial strains to a final inoculum size of 5×10^5 CFU/mL. The azide compounds were dissolved in DMSO to a concentration of 10 mg/mL. Serially diluted these compounds solutions were added to successive wells in a 96-well microtitre plate and incubated with respective micro-organism for 18 h at 37°C. After the incubation period, 10 µL of 0.01% resazurin solution was added and incubated for 2 h[2]. The color change was assessed visually. Growth of organism changed the color from blue to pink. Growth and sterility controls were also maintained during the experiment[3]-10. Test compounds serially diluted with DMSO were also kept in the 96-well microtitre plates (uninoculated dilution) to determine whether they precipitated out during the course of the experiments. One entire column had antibiotics as a positive control (Amphiciline). A blank assay with ethanol alone was taken into account to discount any possible effect of the solvent[11-20].

The minimum inhibitory concentration (MIC) of the azide compounds were performed by microtitre dilution assay. The optical density was measured at 575 nm for all the tested human pathogenic bacteria. The MIC for all the six compounds was found at 0.625µg/ml against the test organisms. Interestingly, all the newly synthesized azide compounds showed excellent antibacterial activity against the Gram negative organism *E. coli*. Moreover, the azide compounds (**1a, 1b, 1d, 1e, 1g** and **1h**) moderately inhibited the growth of *P aeruginosa.* Among the six compounds, **1a, 1b** and **1d** were showed good antibacterial activity against all the test pathogens. (Table 1)

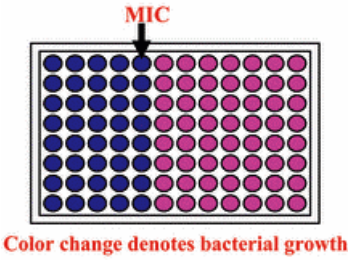
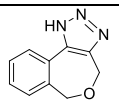
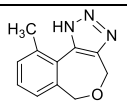
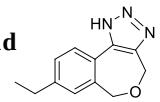
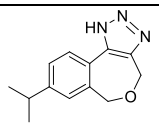
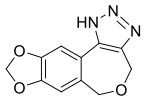
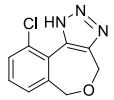


Figure 1. Antibacterial evaluation by two folds dilution method using resazurin dye

Table 1. Antibacterial activity (Minimum inhibitory concentration µg/ml) of 1,2,3-triazoles (in µM):

Entry	Benzoxepinotrazole	Antibacterial activity		
		Staphylococcus aureus	*Pseudomonas aeruginosa*	*Escherichia coli*
	Control/DMSO	0.6731	0.8141	0.9316
1	**1a** 	0.1670	0.6681	0.1670
2	**1b** 	0.1554	0.6216	0.1554
3	**1d** 	0.5811	1.1621	0.1452
4	 **1e**	1.0911	0.2727	0.1363
5	**1g** 	1.1310	0.5655	0.0706
6	**1h** 	2.1639	0.5409	0.1352
7	**AMPICILLINE (Reference)**	0.0894	0.0894	0.0447

- Ampicilline is a antibiotic drug used as standard

ANTIOXIDANT

DPPH radical scavenging assay

Determination of scavenging effect of DPPH was carried out with the benzoxepino azide compounds. In this method, a commercially available and stable free radical, DPPH (2,2 Diphenyl -1- picryl hydrazyl), which is soluble in methanol was used. An aliquot (25µl) of various samples was added to 1 ml of freshly prepared DPPH solution. Absorption was measured after 20 min of incubation at 515 nm. Whereas Ascorbic acid used as reference material. All tests were performed in triplicate. Percentage of inhibition was calculated by the following equation.

$$\% \text{ of inhibition} = \frac{ODc - ODt}{ODc} \times 100$$

RESULTS AND DISCUSSION

The DPPH radical is considered to be a model of lipophilic radical. A chain reaction in lipophilic radicals was initiated by lipid autooxidation. These scavenging effects of different benzoxepino azides on the DPPH radical was illustrated in Table 1. The benzoxepino azide **226h** (55.46 ± 2.431) had scavenging effects on the DPPH radical. All the samples had above 50% of inhibition (Table 20).

Table 20. Anti oxidant Antibacterial activity (Minimum inhibitory concentration µg/ml) of 1,2,3-triazoles (in µM)

S.No	Benzoxepino azide	DPPH radical scavenging assay (25µg/ml)
1	**1a**	54.06 ± 2.804
2	**1b**	54.15 ± 2.808
3	**1d**	53.61 ± 2.997
4	**1e**	55.46 ± 2.431
5	**1g**	52.98 ± 2.749
6	**1h**	53.05 ± 3.251
7	Ascorbic acid♥	38.870 ± 3.110

♥Ascorbic acid was used as a standard

CONCLUSION

Hence we have successfully developed a new method for the synthesis of novel class of 1,2,3-triazole compound from Baylis-Hillman adducts derived from nitroolefins *via* tandem dipolar cyclo addition followed by denitration reaction sequence. Apart from that the novel 1,3-diaryl nitroolefins also successfully transformed into corresponding 1,2,3-triazole derivatives efficiently. To our delight, 3-benzoxipines have also yielded the interesting class of tricyclic 1,2,3 triazoles in good yields. Antibacterial and Anti-Oxidant studies have been carried out for the newly synthesized benzoxepino 1,2,3-triazole derivatives.

Competing Interests:
We have no competing interests**.**

Authors' contributions:
Author-1,2 Reaction are carried out
Author-3, Data collection
Author-4 Paper writing

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