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Antibacterial and Antifungal Activities of α-Aminophosphonate Derivatives

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Abstract: *Synthesized α-aminophosphonate compounds were screened for antibacterial and antifungal activities. Antibacterial activities (Table I) were screened against Escherichia coli, Pseudomonas sps. While screening antibacterial activities, Streptomycin (Strep.) was used as a standard. Antifungal activities (Table II) were screened against Fusariumoxysporum, Macrophoniaphaseolina and Aspergillusflavus. While screening antibacterial activities, Carbendazim (carben.) was used as a standard. Almost all the tested compounds exhibited good to moderate activities against all species of bacteria used in this study.*

Keywords: α-aminophosphonate, antibacterial, antifungal, Streptomycin and Carbendazim

I. INTRODUCTION

Quinoline ring system represents a very important and major class of heterocyclic compounds and is used as a key intermediate for many pharmacologically important compounds.[1-2] The derivatives of quinoline exhibits physiological and biological activities such as antimalarial,[3-5] anti-inflammatory,[6-7] antitumor,[8-9] DNA binding capacity,[10] antibacterial,[11] antimicrobial,[12-14]anticancer[15-16] anti-tuberculosis[17] antihistamine,[18] antifungal,[19] anti-HIV,[20] antihypertensive[21] and antiparasitic properties.[22] Also quinoline is used in the study of bioorganic and bioorganometallic processes.[23] Quinolines such as 2-chloroquinoline-3-carbaldehyde occupy a prominent position as they are key intermediates for further annelation and for various functional group interconversions.[24-25]

Phosphonic acids and their phosphonate derivatives are of great interest in organic chemistry due to their biological activity.[26]Some vinyl phosphates have been reported aspotent inhibitors of phosphatase[27]and phosphodiesterase.[28]There are only a few reports on the synthesis and bioactivity of C−P bonds which have been found to have insecticidal[29]and antifungal[30] activities. Alsoα-hydroxyphosphonates[31]and α-aminophosphonates are important biologically active compounds.[32-33]α-hydroxyphosphonates may serve as precursors for the synthesis of α-aminophosphonates which are analogs of amino acids. synthesis of α-halo substituted alkenes and alkynes, which are important intermediate in organic synthesis.[34-35]

The literature survey of the antimicrobial activity of amides, sulfonamides, hydrazones, pyrazoles, pyrroles, pyrazolins, oxadiazoles, coumarins and 2-hydroxy-3,5,6-trichloropyridine have shown that many of them are useful as the best bactericides and fungicides against the various gram positive and gram negative bacteria and fungi.

Some of the representative compounds synthesized in the present investigation were screened for their antifungal and antibacterial activities.

Escherichia coli are gram negative bacteria, it is used as index of water pollution and are important experimental material in biotechnology, since it requires only 20 minutes to complete its cycle and simple media for its growth. It is a normal intestinal flora of human body, but some times it acts as opportunistic when defense power of body gets impaired. *E. coli* can cause urinary tract infection. They contaminate herbs and spices products like chili, pepper black.[36]

Staphylococci is a universal skin commensal, occasionally acts as an opportunistic pathogen in prosthetic devices, e.g. prosthetic heart valves, intrapertoneal catheters, orthopedic prostheses and vascular grafts. It may lead septicemia and subacute endocarditis. It may produce minor lesions like stich abscess. In immunosupressed individuals it may act

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as opportunistic pathogen.[37]The fungus *Aspergillus*, which is known as plant pathogen. It is soil born and also occurs on various substrates including plants and animals. It causes disease known as coller rot[38] in groundnut. This organism is also industrially important as it secrets various acids. It also helps in the soil formation and solvalisation of various elements.

We have studied combination of highly bioactive quinoline compounds with phosphonatefor antibacterial and antifungal activities so as to find new antibacterial bioactive and antifungal compounds and enrich thequinoline and phosphorus chemistry.

II. Result and Discussion

2.1 Antibacterial and Antifungal Activity

All the synthesized α-aminophosphonate compounds were screened for antibacterial and antifungal activities. Antibacterial activities of **3a-p** (Table I) were screened against Gram positive *Staphylococai, Bacillus megtesium-I* and Gram negative *Escherichia coli*, *Salmonella typhi*, and *Proteus vulgaris*. While screening antibacterial activities, Streptomycin (Strep.) was used as a standard. Antifungal activities **3a-p** (Table II) were screened against *Fusariumoxysporum, Macrophoniaphaseolina*and*Aspergillusflavus*. While screening antibacterial activities, Carbendazim (carben.) was used as a standard. Petri dishes and necessary glass wares were autoclaved (121^{0}C, 15 Ib, 30 min). The nutrientagar plates were prepared by pour plate method. The sensitivity of the compounds was tested by disc diffusion method (paper disc method). All the bacterial cells were cultured in nutrient agar plates, antifungal cells were cultured in rose bengal agar plates. The compounds to be tested were dissolved in *N,N*-Dimethylformamide and were soaked on paper disc. The discs were placed into the plates and incubated at 37 ^{0}C for 24 hrs. The diameter (cm) of the zone of inhibition around each disc was measured and results were recorded.

Table I: Antibacterial activities of α-aminophosphonates

Antibacterial (zone of inhibition in cm)								
	Escherichia Coli				*Pseudomonas sps*			
Entry	**25**	**50**	**75**	**100**	**25**	**50**	**75**	**100**
3a	1.4	1.5	1.6	2.0	1.2	1.4	1.6	1.8
3b	0.9	1.0	1.0	1.1	0.8	1.0	1.0	1.2
3c	1.0	1.0	1.1	1.1	0.8	1.0	1.2	1.2
3d	1.5	1.5	1.8	2.0	1.4	1.4	1.5	1.7
3e	1.2	1.4	1.6	1.8	1.4	1.4	1.6	1.6
3f	0.9	1.0	1.0	1.1	0.8	0.8	1.0	1.2
3g	1.4	1.6	1.8	2.0	1.2	1.4	1.6	1.8
3h	1.0	1.0	1.2	1.2	1.0	1.0	1.1	1.1
3i	1.4	1.5	1.6	1.8	1.4	1.5	1.6	1.8
3j	0.8	0.8	1.0	1.0	0.8	1.0	1.1	1.1
3k	0.9	1.0	1.0	1.1	0.6	0.8	1.0	1.2
3l	0.8	1.0	1.2	1.2	0.8	0.9	0.9	1.0
3m	1.5	1.5	1.7	1.9	1.2	1.4	1.6	1.7
3n	1.0	1.0	1.1	1.1	0.8	1.0	1.0	1.1
3o	0.8	0.8	1.0	1.0	0.6	0.8	1.0	1.0
3p	1.4	1.4	1.6	1.8	1.4	1.5	1.5	1.6
Strep.	1.3	1.4	1.6	1.8	1.3	1.4	1.6	1.6

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Table II: Antifungal activities of α-aminophosphonates

	Antifungal (zone of inhibition in cm)											
	Fusariumoxysporum				*Macrophoniaphaseolina*				*Aspergillusflavus*			
Entry	**25**	**50**	**75**	**100**	**25**	**50**	**75**	**100**	**25**	**50**	**75**	**100**
3a	1.1	1.2	1.4	1.6	1.2	1.4	1.6	1.8	1.0	1.2	1.4	1.6
3b	0.6	0.8	1.0	1.0	0.7	0.8	0.8	1.0	0.6	0.6	0.8	0.8
3c	1.2	1.2	1.4	1.8	1.2	1.4	1.4	1.6	1.0	1.0	1.2	1.4
3d	1.4	1.4	1.5	1.7	0.9	1.3	1.3	1.4	0.9	1.3	1.3	1.5
3e	1.2	1.3	1.4	1.5	1.0	1.2	1.2	1.6	0.8	1.0	1.2	1.6
3f	1.0	1.2	1.2	1.5	0.9	1.2	1.3	1.6	0.8	0.8	1.2	1.4
3g	1.1	1.1	1.2	1.4	1.0	1.2	1.6	1.8	1.0	1.2	1.4	1.7
3h	0.8	1.0	1.2	1.2	0.8	0.9	1.0	1.1	0.5	0.7	0.8	0.9
3i	0.6	0.8	0.8	1.0	0.6	0.7	0.7	0.9	0.5	0.6	0.8	0.8
3j	1.2	1.2	1.4	1.6	1.0	1.0	1.4	1.5	1.0	1.0	1.4	1.4
3k	0.6	0.7	0.9	0.9	0.5	0.6	0.7	0.9	0.5	0.6	0.8	0.7
3l	1.1	1.2	1.4	1.7	1.0	1.1	1.3	1.5	0.8	1.0	1.4	1.5
3m	0.7	0.8	0.8	1.0	0.6	0.6	0.8	0.8	0.6	0.6	0.7	0.8
3n	1.0	1.1	1.2	1.4	0.8	1.1	1.4	1.7	0.7	1.0	1.2	1.4
3o	0.5	0.6	0.8	0.8	0.6	0.6	0.7	0.8	0.6	0.7	0.8	0.9
3p	1.0	1.3	1.5	1.7	1.0	1.1	1.3	1.5	0.8	1.0	1.4	1.6
Carben.	1.0	1.2	1.3	1.6	1.0	1.2	1.4	1.6	0.8	0.9	1.3	1.5

Scheme-1: α-aminophosphonate derivativesof 2-chloroquinolin-3-carbaldehydes

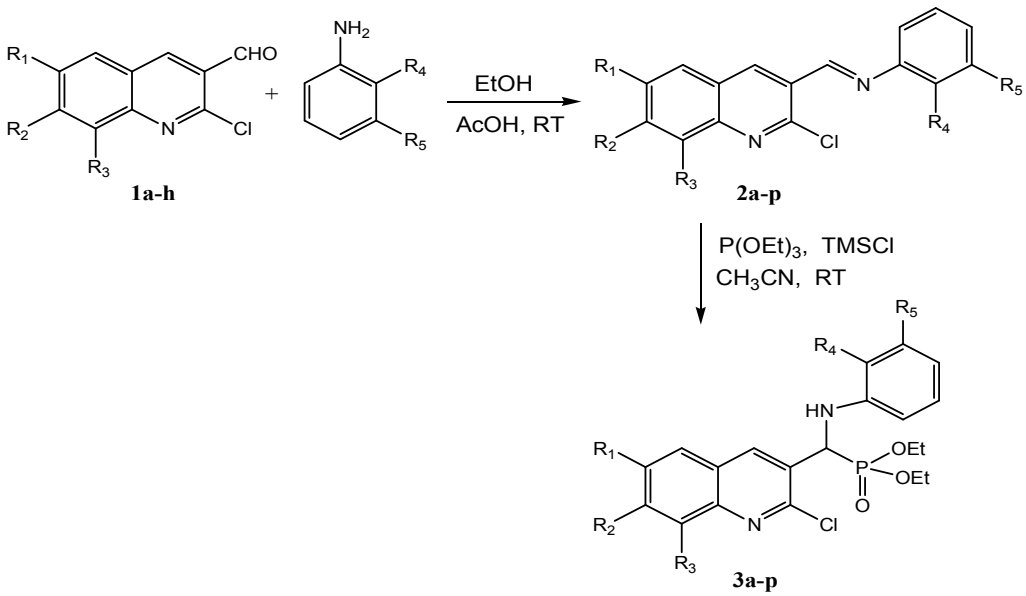

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Table III: Derivatives of α-aminophosphonate

Entry	R_1	R_2	R_3	R_4	R_5
3a	H	H	H	H	F
3b	CH_3	H	H	H	F
3c	H	CH_3	H	H	F
3d	H	H	CH_3	H	F
3e	OCH_3	H	H	H	F
3f	H	OCH_3	H	H	F
3g	OC_2H_5	H	H	H	F
3h	H	H	C_2H_5	H	F
3i	H	H	H	CH_3	H
3j	CH_3	H	H	CH_3	H
3k	H	CH_3	H	CH_3	H
3l	H	H	CH_3	CH_3	H
3m	OCH_3	H	H	CH_3	H
3n	H	OCH_3	H	CH_3	H
3o	OC_2H_5	H	H	CH_3	H
3p	H	H	C_2H_5	CH_3	H

III. Conclusion

Synthesized α-aminophosphonate compounds were screened for antibacterial and antifungal activities. Almost all the tested compounds exhibited good to moderate activities against all species of bacteria used in this study.

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