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POTENTIAL THERAPEUTIC VALUES OF QUINOLINE DERIVATIVES BASED ON THEIR ANTIBACTERIAL ACTIVITY

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ABSTRACT

Quinoline derivatives possess a wide spectrum of antibacterial activity like antiseptic, analgesic, trypanocidal, germicidal, amoebicidal, antitubercular, anthelmintic and pyroplasmosis. Many quinoline derivatives possess antifungal effects. Realizing the medicinal importance of azo compounds and quinoline derivatives, it was considered worthwhile to incorporate these two moieties The work of synthesizing the mono azo dyes like 2-phenyl-4-hydroxy-6,7 substituted quinoline derivatives was especially undertaken to ascertain that such compounds could augment antibacterial activity. The synthesized compounds were tested for their antibacterial activity using Disc Diffusion Technique against *Escherichia coli, Salmonella paratyphi B, Bacillus subtilis,* and *staphylococcus aureus.* Different compounds of the parent substitute exhibited different results.

KEY WORDS: ***Antibacterial activity, Quinoline derivatives, Disc diffusion method, Therapeutic use.***

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INTRODUCTION

The medicinal significance of organic dyes was first recognized by Churchman[1]. Azo dyes are well known for their antiseptic activity, and some are actually useful as chemotherapeutic agents. Domagk[2] described the cure of acute and chronic infection in mice by hemolytic streptococci by oral treatment with a new drug 'Prontosil'. Mielsch and Klarer synthesized compound 'Prontosil Rubrum' which was highly effective against Streptococci in vivo, but had no action in vitro. So in view of that, 2, 4 – Dihydroxy – 3 – [p-axobenzenesulfonamide] quinoline derivatives have been prepared and screened for their antibacterial activity. In vitro testing is essential for the determination of antibacterial spectrum of a compound, and comparing with other agents[3]**.** This research deals with antibacterial activity using 'Disc Diffusion Technique' described by Kirby and Bauer**.** (also referred to as Kirby-Bauer Technique)[4] of some new azo disperse dyes. The bacteria selected for the study were *Escherichia coli, Salmonella paratyphi B, Bacillus subtilis,* and *Staphylococcus aureus.* Disperse dyes gave the most satisfactory results due to their simple application methods[5, 6]**.**The dyes based on heterocyclic ring system are known to possess high tinctorial value coupled with good fastness properties. Heterocyclic coupling components produce heterocyclic azo disperse dyes with color ranging from yellow to red. The synthesis and application of azo dyes derived from quinoline and quinoline quinazoline systems have been reported[7]**.**

MATERIALS AND METHODS

The antibacterial activity of the compounds was tested by Disc Diffusion Method as described by Bauer[1] using Muller Hintons Agar medium[8]. All the compounds were dissolved in Dimethyl formamide (DMF). Proper drug controls were used. 2-phenyl-4 hydroxy-6,7 substituted quinoline derivatives, and mono azo disperse dyes based on 2-phenyl- 4-hydroxy-6,7 substituted quinoline derivatives were taken at a concentration of 50 mg/ml for assessing their antibacterial activity. The compound diffused into the medium produced a concentration gradient. After a prefixed incubation period, the zone of inhibition was measured in mm. The test cultures used for the purpose are:

Bacillus subtilis
Staphylococcus aureus
Escherichia coli
Salmonella paratyphi

The inoculum was standardized at 1.5 x 10^8 CFU/ml by comparing with turbidity standard (0.5 \Mac Farland tube).The plates were inoculated by dipping a sterile swab into the inoculum. Excess of the inoculum was removed by pressing and rotating the swab firmly against the side of the tube above the level of the liquid. The swab was streaked all over the surface of the medium thrice rotating the plate through an angle of 60 degrees after each application. Finally, the swab was passed round the edge of the agar surface. The inoculum was dried for a few minutes at room temperature. The antibiotic discs were placed on the inoculated plates using a pair of sterile forceps.A sterile needle tip was used to place the antibiotic disc on the plate. The plates were then kept in an incubator maintained at 35 °C for 24 hours. Next day, the diameter of each zone of inhibition was measured and recorded in mm.

RESULTS AND DISCUSSION

The antibacterial activity of various quinoline derivatives has been presented in Table 1. The synthesis of substituted-4-hydroxy-3-(substituted arylazo)-2-phenylquinolines was carried out with an objective that they may show an additional antibacterial effect or mutually imposing effect on bacteria. Partial activity of these compounds may also be retained. Most of the compounds have shown pronounced antibacterial effect against the bacteria under test. Increased activity is found against Gram positive as well as Gram negative bacteria. The effect of the compounds on all four organisms is static/cidal and is also not uniform. Each compound has its specific maximum and minimum bacteriostatic potential depending upon the specific species. Similar results have been obtained for the compound 2,4-Dihydroxy-6-Methyl Quinoline[5]. The antibacterial effect was seen to be more with this compound than the present work. Quinoline derivatives possess wide therapeutic activity. The quinoline moieties with a methoxy or a methyl substituent at position 8 are found to be most effective. It was also noted 8-Hydroxy quinoline possess eqivalent bactericidal and fungicidal effect[9].

Table 1
Inhibitory Action of Different Chemical Compounds on Bacteria

Dye Sr. #	Coupling Component	Chemical Formula	Zone of Inhibition, in mm			
			Bacillus subtilis	*Staphylococcus aureus*	*Escherichia coli*	*Salmonella paratyphi B*
01	2-Amino-5,6-dichlorobenzothiazole	$C_{23}H_{14}ON_4Cl_2S$	20	22	--	12
02	2,6-Dibromo-p-toluidine	$C_{23}H_{17}ON_3Br_2$	10	12	10	--
03	6-Chloro-2,4-dinitroaniline	$C_{22}H_{14}O_5N_5Cl$	15	20	15	11
04	6-Bromo-2-cyano-4-nitroaniline	$C_{23}H_{14}O_3N_5Br$	09	10	15	--
05	2-Cyano-4-nitroaniline	$C_{22}H_{15}O_3N_5$	--	10	13	14
06	2,6-Dibromo-4-nitroaniline	$C_{22}H_{14}O_3N_4Br_2$	07	08	18	13
07	5-Nitro-2-methoxyaniline	$C_{23}H_{18}O_4N_4$	14	09	07	--
08	2-Amino-5.6-dichlorobenzothiazole	$C_{22}H_{11}ON_4Cl_3S$	27	21	12	10
09	6-Chloro-2,4-dinitroaniline	$C_{21}H_{11}O_5N_5Cl_2$	10	15	12	--

10	2-Cyano-4-nitroaniline	$C_{22}H_{12}O_3N_5Cl$	20	13	13	20
11	2-Amino-6-nitrobenzothiazole	$C_{23}H_{15}O_4N_{55}S$	09	11	12	--
12	2,5-Dibromo-p-toluidine	$C_{23}H_{17}O_2N_3Br_2$	18	10	--	13
13	2-Cyano-4-nitroaniline	$C_{23}H_{15}O_4N_5$	13	13	16	--
14	5-Nitro-2-methoxyaniline	$C_{23}H_{18}O_5N_4$	12	13	12	10
15	2-Amino-5,6-dichlorobenzothiazole	$C_{24}H_{16}O_2N_4Cl_2S$	16	23	14	12
16	p-Toluidine	$C_{24}H_{21}O_2N_3$	13	12	13	--
17	4-Chloro-2-nitroaniline	$C_{23}H_{17}O_4N_4Cl$	--	15	12	11
18	2,6-Dibromo-p-toluidine	$C_{24}H_{19}O_2N_3Br_2$	--	13	10	10
19	2,6- Dibromo-4-nitroaniline	$C_{23}H_{16}O_4N_4Br_2$	12	13	12	11
20	4-Chloro-2-nitroaniline	$C_{21}H_{12}O_5N_5Cl$	09	11	15	09
21	2,6-Dibromo-p-toluidine	$C_{22}H_{14}O_3N_4Br_2$	13	11	11	--
22	6-Chloro-2,4-dinitroaniline	$C_{21}H_{11}O_7N_6Cl$	11	18	18	--
23	6-Bromo-2-cyano-4-nitroaniline	$C_{22}H_{11}O_5N_6Br$	11	16	24	10
24	2-Amino-5,6-dichlorobenzothiazole	$C_{22}H_{11}ON_4Cl_3S$	16	20	10	12
25	2-Amino-6-nitrobenzothiazole	$C_{22}H_{12}O_3N_5ClS$	15	11	13	--
26	3-Aminoacetanilide	$C_{23}H_{17}O_2N_4Cl$	12	09	--	13
27	4-Chloro-2-nitroaniline	$C_{21}H_{12}O_3N_4Cl_2$	15	11	14	--
28	6-Chloro-2,4-dinitroaniline	$C_{21}H_{11}O_5N_5Cl_2$	11	18	14	20

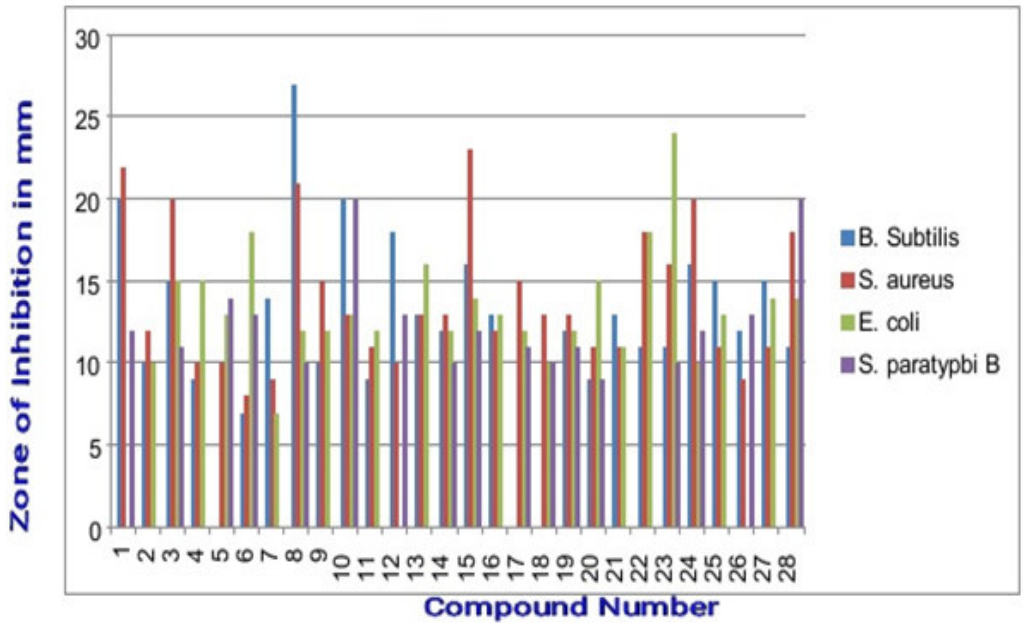

Figure 1
Graphical representation of the Inhibitory Action of Different Chemical Compounds on Bacteria

CONCLUSION

From the above discussion, it can be concluded that each compound acts differently over specific species of bacteria as far as its bacteriostatic potential and effect is concerned. So, a choice for a specific compound to be most effective for a particular species has been limited. Physical conditions such as rate of diffusion of the compound, layer of culture media, effect of pH and size of innoculum may differ.The azo bearing ligands have enhanced therapeutic effect when they were combined with transition metallic ions. Azo based newly synthesized compounds were subjected to evaluate their free radical scavenging activity by DPPH model in another study[10, 11]. These compounds are known to have anti HIV properties, wound healing activity, analgesic activity, anti inflammatory activity, anti rheumatoid and anti tubercle activity[12, 13, 14, 15]. The only disadvantage of these compounds is that they are carcinogenic and can cause mutation in the cell. So they should be used judiciously.

CONFLICT OF INTEREST

Conflict of interest declared none.

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