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SYNTHESIS OF AZODYES BASED ON 8-HYDROXY QUINOLINE WITH ITS CHARACTERIZATIONS, ANTIFUNGAL AND ANTIMICROBIAL ACTIVITY

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ABSTRACT
This present work deals with the antibacterial and antifungal applications of the Azodyes which synthesized from 8-hydroxyquinoline. Quinoline and its derivatives are receiving increasing importance due to their wide range of applications in biological and pharmacological area. Substituted quinolines are prominent building blocks in both organic and inorganic molecular chemistry with their p-stacking ability and coordination properties.

In this research scheme, we synthesized azodyes base on 8-hydroxy quinoline by diazotization method. It was observed that 5 & 6 gives better yield. Structure of the compounds were identified by elemental analysis, 1H-NMR and UV-VIS Spectroscopic methods.

Keywords: Azodyes, 8-hydroxyquinoline, antibacterial, antifungal activities.

INTRODUCTION
Quinolines were first discovered from coal tar by Friedlieb Ferdinand Runge in 1834[1].After half century Skraup-Doebner–Miller successfully synthesized the quinolines in laboratory [2-5]. Quinoline derivatives are used as drugs for different diseases such as tuberculosis, schizophrenia and malaria [6–12].

The quinoline and its derivatives has paying attention due to its therapeutic properties. Quinoline sulphonamides have been used in the treatment of cancer, tuberculosis, diabetes, malaria, and convulsion. Apart from the magnetic and physical properties, the biological activities such as antimicrobial, antitumor, antivirus, anticoagulant action. Apart from its medicinal value quinoline derivatives are also studied as a supramolecular host [13–18].

In the current years, quinoline nucleus has gathered an immense attention not only by the chemists but also biologists because it is one of the key building block for many naturally occurring compounds [19]. 8-hydroxyquinolines have been given new options to available drug in many instances. Metal complexes of 8-hydroxyquinoline as ligand can exhibit different biological activities because most of the transition metal complexes and in particular those with N-and O– donor atoms have been known to have antimicrobial properties.[20] It can exert their physiological properties through bidentate chelation of metal ions. It is evident that formation of chelates metal ions increases the lipophilicity of the bioactive compounds through diverse array of biological oxidation–reduction mechanism for the effective permeability of the compounds into the site of action[21].

Various strategies can be synthesize or modify metal complex structures by exploiting the reactivity of this heterocycle with their derivatives by various researchers[22-25]. 8-hydroxyquinoline and its derivatives were also reported to have promising bioactivities, including anticancer [26-27], antibacterial [28-29], antidyslipidemic and antioxidative properties [30], vasorelaxing properties [31], antivirus and antiplatelet activities[32-33].

EXPERIMENTAL
All the reagents were of analytical grade and were used without further purification. The solvents used were of high purity and distilled in laboratory before use. Melting points were recorded on digital melting point apparatus (optics technology) and were uncorrected. The reactions were monitored with TLC and also the purity was ascertained with TLC. Thin layer chromatography was carried out on silica gel 60/UV254. Infrared spectra analysis was obtained on SHIMADZU spectrophotometer using KBr discs.

GENERAL PROCEDURE FOR SYNTHESIS OF AZO DYES:-
8-Hydroxyquinoline (1.85gm, 12 mmol) was dissolved in 10 ml Methanol. The solution was cooled to 0-5°C in an ice bath. Meanwhile in another beaker a solution of $NaNO_2$ (0.82 gm, 12 mmol) in 10 ml ice cold water was prepared and allow to cool the solution in ice bath. Take 10 ml Conc.HCl in 250 ml beaker, 5ml ice cold water and aniline (10 mmol) to this solution add $NaNO_2$ slowly with constant stirring in ice cold water. Allow this reaction mixture to 30 min. at 0-5 °C in ice bath.

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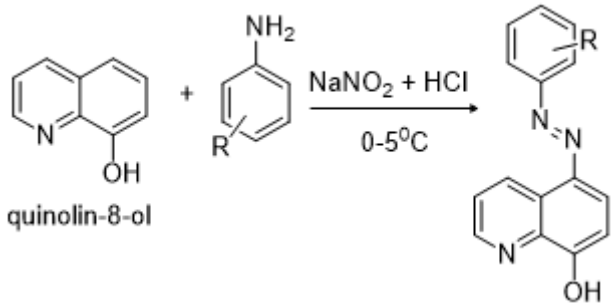
Then 8-Hydroyquinoline in 10 ml methanol added dropwise over a period of 2 hr with constant stirring, then reaction mixture allow to keep stirred at R.T. for 6 hr. reaction was monitored with help of TLC. After completion of reaction, orange coloured crude product was obtained. Crude product was filtered and wash with water. The compound was dried and recrystallized with Methanol.



5-((2-chlorophenyl)diazenyl)quinolin-8-ol **(1):** yield 63.41% solid. ^{1}H-NMR (200 MHz, $CDCl_3$, δ) 5.33 (s, 1H),7.23(d, 1H),7.80(d, 1H),7.52- 7.69 (m, 4H)7.90(d, 1H), 8.87(d, 1H),8.30(d, 1H). UV: 411nm, m/z: 283.051 (100.0%), 285.048 (32.0%), 284.055 (16.3%), 286.052 (5.2%), 285.058 (1.2%), 284.048 (1.1%)

5-((3-chlorophenyl)diazenyl)quinolin-8-ol (**2**): yield 69.33% solid. ^{1}H-NMR (200 MHz, $CDCl_3$, δ) 5.31(s,1H),7.24(d,1H),7.62-7.88(m,5H),8.01(s,1H),8.37(d, 1H),8.84(d,1H). UV: 403nm.

5-((4-chlorophenyl)diazenyl)quinolin-8-ol (**3**): yield 61.30% solid. ^{1}H-NMR (200 MHz, $CDCl_3$, δ)5.31(s,1H),7.22(d,1H),7.63(dd,1H),7.71(d,2H),7.83(d,1H),7.96(d,2H), 8.39(d,1H),8.87(d,1H). UV: 417nm

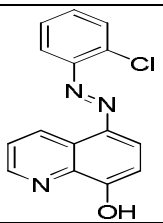
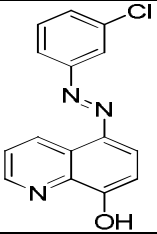
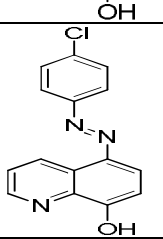
RESULT AND DISCUSSION

In this research scheme, we synthesized azodyes base on 8-hydroxy quinoline by diazotization method (Table-1).It was observed that, (5 & 6) it gives better yield. The progress of reaction was monitored into thin-layer chromatography (TLC) using eluent methanol and carbon tetrachloride (3:7). After completion of the reaction, the crude products were recrystallized from methanol to gets pure products (1-7).

The present study tested antimicrobial activity of Azo compounds (1-7) (Table-2) against Escherichia coli and antifungal activity against Aspergillus niger using cup plate diffusion method. The diameter of well was 4mm for antibacterial activity nutrient agar and for antifungal activity potato dextrose agar (PDA) were used.

In antimicrobial activity the compound 7 was highly active, 2 & 6 show moderately active while 1,3,4,5 less active but the compound 3 was more active, 4 & 7 ordinary active and 1,2,5,6 was less active against antifungal activity(Table-2).

Table-1

Sr. No.	Molecular formula	Melting Point	% yield
1		200°C	63.41%
2		160°C	69.33%
3		242°C	61.30%

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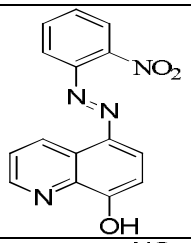
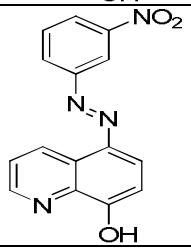
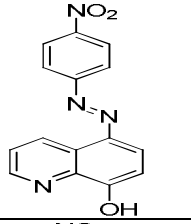
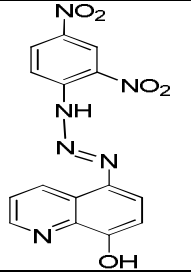
4		220°C	41.34%
5		160°C	70.25%
6		250°C	87.68%
7		139°C	11.57%

Table-2: Biological Activity of the compounds

Sample	Diameter of zone inhibition of growth (In mm) at 1ppm	
	Bacterial Culture (E.coli)	Fungal Culture (A.niger)
1	6	5
2	8	7
3	6	9
4	6	8
5	5	7
6	9	6
7	12	8

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