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Microwave Assisted Synthesis Characterization And Screening Of Antibacterial And Antioxidant Activity Of Chalcone Derivative.

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ABSTRACT

In recent years, microwave-assisted synthesis has garnered considerable attention for its efficiency in producing diverse chemical compounds. This study employed microwave-assisted synthesis to create chalcone derivatives, recognized for their potential antibacterial and antioxidant properties. The synthesized chalcone derivatives underwent screening tests to assess their antibacterial and antioxidant activities. Antibacterial efficacy was evaluated against multiple bacterial strains, while antioxidant activity was determined using established methods such as DPPH scavenging and total antioxidant capacity assays. The results of these tests revealed promising antibacterial and antioxidant activities in the synthesized chalcone derivatives. These findings underscore microwave-assisted synthesis as a viable approach for generating bioactive compounds suitable for pharmaceutical and nutraceutical applications. Overall, this study emphasizes the significance of microwave-assisted synthesis in producing chalcone derivatives with enhanced antibacterial and antioxidant property. The exploration and development in the field could leads to discovery of novel therapeutic agents offering substantial health benefits.

Keywords: Chalcone, antibacterial activity, antioxidant activity, microwave-assisted synthesis

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INTRODUCTION

Chalcone, an aromatic ketone and enone, serves as the foundational structures for variety of the significant compounds those are biological in nature, known as chalcones or chalconoids. The parent compound of the chalcone series is benzylideneacetophenone.

Chalcones are characterized by their α,β-unsaturated ketone structure, featuring a reactive ketoethylenic group (-CO- CH=CH-). These compounds exhibit coloration due to the presence of the chromophore -CO-CH=CH-, which can vary depending on the additional auxochromes present.

Various methods exist for synthesizing chalcones, with the Claisen-Schmidt condensation being the most straightforward. Chalcones serve as precursors for synthesizing numerous derivatives, including cyanopyridines, pyrazolines, isoxazoles, and pyrimidines, which possess diverse heterocyclic ring systems [1-12].

THE PROCEDURE FOR SYNTHESIZING NEW CHALCONE DERIVATIVES USING MICROWAVE IRRADIATION

- Measure 0.6806 grams of 4-hydroxyacetophenone and combine it with 0.005 moles of benzylaldehyde in a clean conical flask.
- Stir the mixture vigorously for 15 minutes to ensure thorough mixing. Add a few drops of KOH, followed by 37% formaldehyde (HCHO) and dilute hydrochloric acid (HCl). contents are transferred into a clean test tube.
- Subject the test tube to microwave irradiation for 30 seconds.
- Allow the reaction mixture to cool down. Acidify it by slowly adding dilute HCl with ice-cold water until a yellowish-orange precipitate, which is aromatic, forms.
- Recrystallize the resultant precipitate by mixing it with ethanol and ice-cold water for a few minutes, then filter the mixture.
- Precipitate melting point is recorded and, hence found to be 96 degrees Celsius, and test its solubility in ethanol.

This procedure outlines the steps involved in synthesizing chalcone derivatives via microwave irradiation, emphasizing the precise measurements, reaction conditions, and characterization of the final product.

Step 1:

Compound	Reactants	Chalcone	Molecular Formula	Molecular Weight
CD1	4-hydroxyacetophenone+4-nitrobenzaldehyde	1-(4-hydroxyphenyl)-3-(4-nitrophenyl)prop-2-en-1-one	$C_{15}H_{11}NO_4$	269
CD2	4-hydroxyacetophenone+2-chlorobenzaldehyde	3-(2-chlorophenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one	$C_{15}H_{11}ClO_2$	259
CD3	4-hydroxyacetophenone+4-methylbenzaldehyde	1-(4-hydroxyphenyl)-3-(4-methylphenyl)prop-2-en-1-one	$C_{16}H_{14}O_2$	238
CD4	4-hydroxyacetophenone+4-hydroxybenzaldehyde	1,3-bis(4-hydroxy phenyl)prop-2-en-1-one	$C_{15}H_{12}O_3$	240

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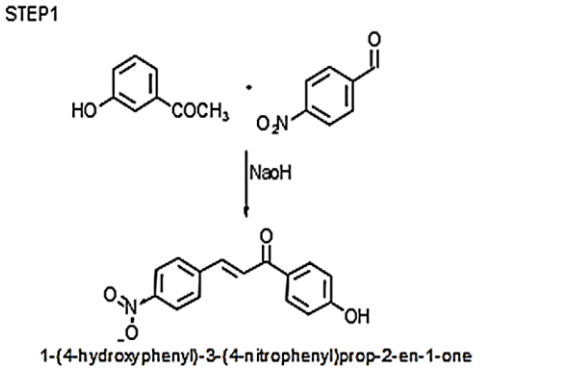
Step 2:

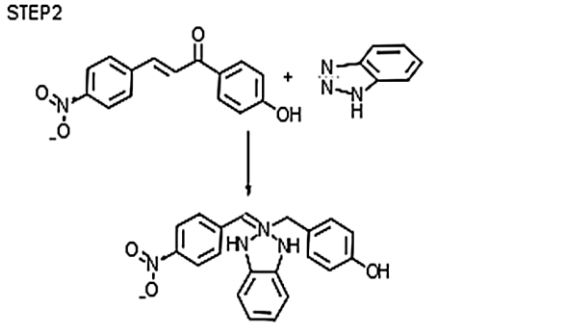
In the second step benztriazole is added for the synthesis of new derivatives.

Compound	Chalcone	Chalcone derivatives	Molecular Formula	Molecular Weight
CD1	1-(4-hydroxyphenyl)-3-(4-nitrophenyl)prop-2-en-1-one	4-(2-(4-nitrobenzylidene)-2,3-dihydro-1H-1,3-benzotriazol-2-yl)phenol	$C_{20}H_{17}N_3.OH.NO_3$	396
CD2	3-(2-chlorophenyl)-1-(4-hydroxyphenyl) prop-2-en-1-one	4-(2-(4-chlorobenzylidene)-2,3-dihydro-1H-1,3-benzotriazol-2-yl)phenol	$C_{20}H_{17}N_3.OH.Cl$	371.5
CD3	1-(4-hydroxyphenyl)-3-(4-methylphenyl)prop-2-en-1-one	4-(2-(4-methoxybenzylidene)-2,3-dihydro-1H-1,3-benzotriazol-2-yl)phenol	$C_{20}H_{17}N_3.OH.HCO_3$	396
CD4	1,3-bis(4-hydroxy phenyl)prop-2-en-1-one	4-(2-(4-hydroxybenzylidene)-2,3-dihydro-1H-1,3-benzotriazol-2-yl)phenol	$C20H17N_3(OH)^2$	352

Scheme For Synthesis Of Compound CD 1



1-(4-hydroxyphenyl)-3-(4-nitrophenyl)prop-2-en-1-one



4-[2-(4-nitrobenzylidene)-2,3-dihydro-1H-1,3-benzotriazol-2-yl]phenol

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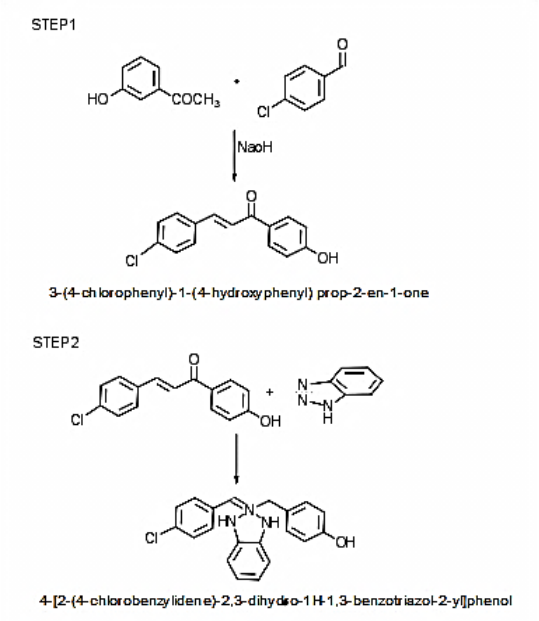
Scheme For Synthesis Of Compound CD 2



Antioxidants

Antioxidants are natural substances that combat oxidative damage within biological organisms. They slow down or prevent the oxidation process, which therefore otherwise damage the cells which are present in body. By undergoing oxidation themselves instead of cellular components, antioxidants act as reducing agents. They play a very important role in mitigating damage caused by free radicals which are generated during oxidative stress. While the body possesses its defences against the oxidative stress, these defences can weaken with the individual age or illness. Antioxidants help deter the aging process by inhibiting the harmful effects of free radicals—unstable molecules that initiate destructive reactions within cells and are implicated in accelerated aging.

Antimicrobial

Antimicrobial agents, on the other hand, are substances used in chemotherapy to treat diseases caused by bacteria, other pathogenic microorganisms, parasites, and tumor cells. Coined by Paul Ehrlich, chemotherapy involves identifying substances that selectively target and destroy or inhibit the growth of parasites or pathogens without harming the host. Alexander Fleming's discovery of penicillium fungi in 1928, which inhibited bacterial growth, marked a significant milestone in antimicrobial therapy. Gerherd Domagk's work in 1935 linked sulphonamide to prontosil dye, demonstrating the effectiveness of these agents against bacterial infections.

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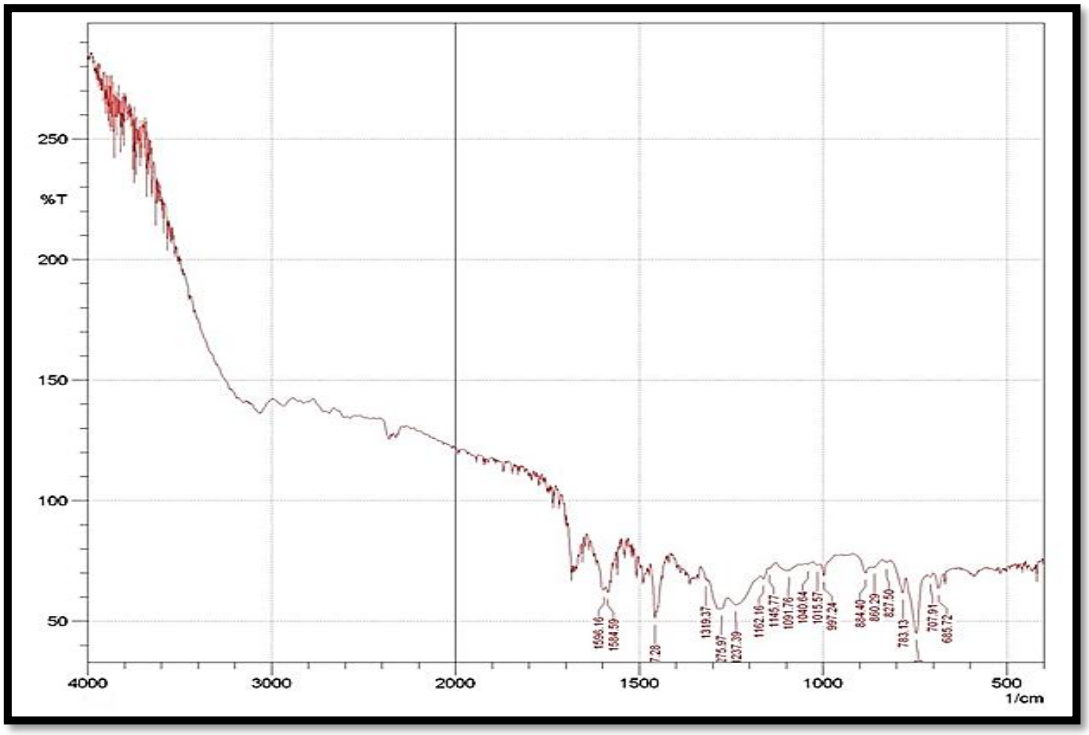
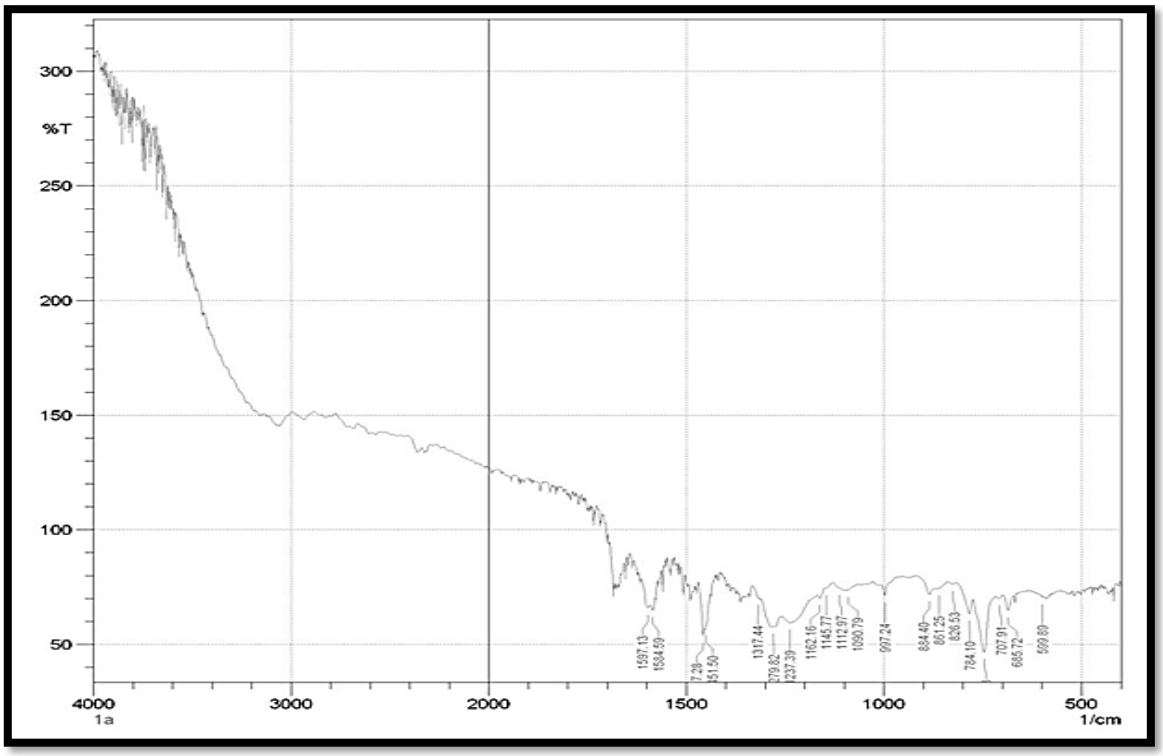
RESULTS AND DISCUSSION

Figure 1: IR analysis of synthetic compound CD 1



IRcm^{-1}(KBr): 707.91(C-Cl)Str,827.75(=C-H-H,C-H)Str,Ben,1015.57(C-F)Str,1040.64(C-O)Str,1145.77(C-H,C-O)Str,1457.28(C-H)Ben,1596.16(C=C)Str.

Figure 2: IR analysis of synthetic compound CD



IRcm^{-1}(KBr): 707.91(C-Cl)str,826.53(=C-H,C-H)ben1145.77(C-o,C-N)str,1597.13(C=C,N-O,C=C)ben,str.

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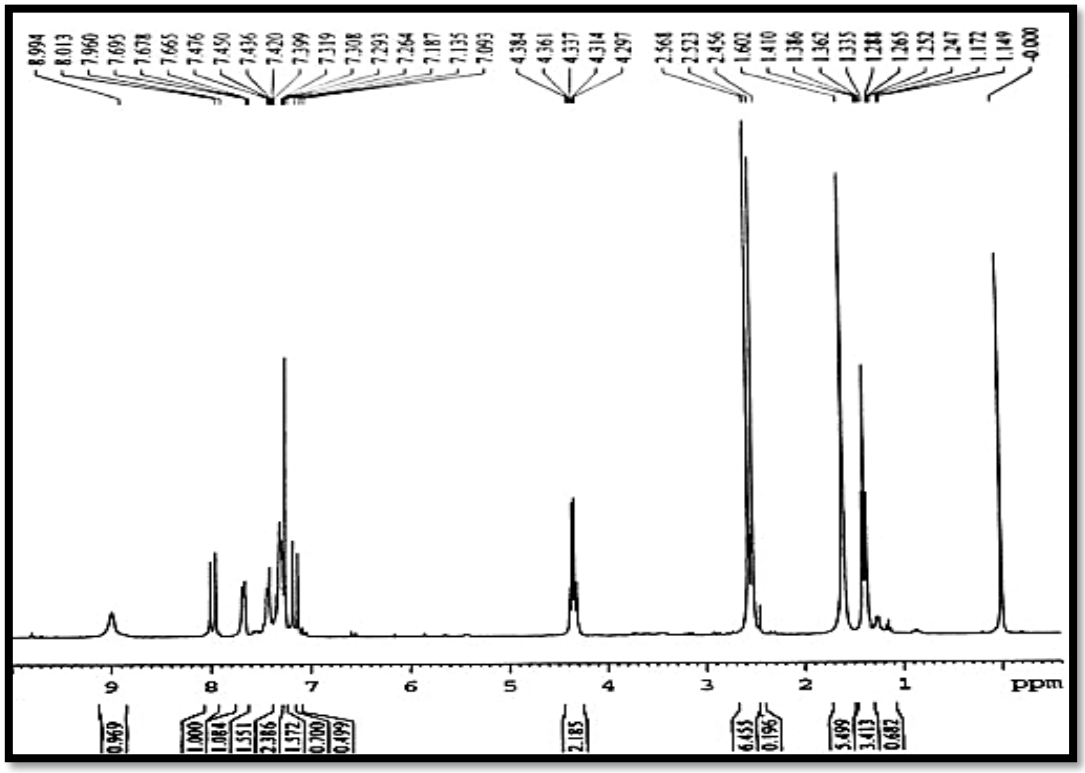
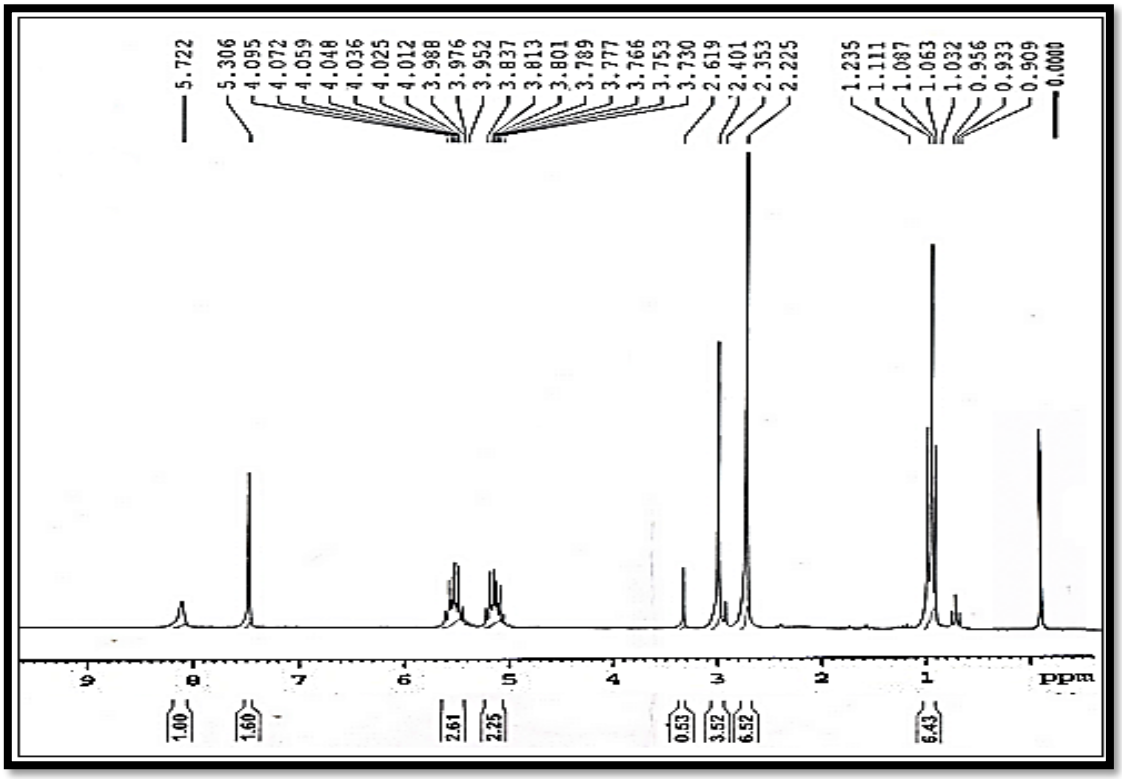
Figure 3: ^{1}H-NMR of synthetic compound (CD1)



^{1}H-NMR (δ *in ppm*) (DMSO): 1.3(R2CH2), 1.410(R-NH2), 1.602(R-OH), 2.45(Ar-C-H)4.2(Ar-OH),7.9-8.9(Ar-H).

Figure 4: ^{1}H-NMR of synthetic compound (CD1)



^{1}H-NMR (δ *in ppm*) (DMSO): 0.95 (RCH3), 1.08(R-OH), 1.23(R-NH2)3.7(HC-Cl), 2.401(Ar-C-H), 3.837(HC-OR, 3.976(HC-OH), 5.722(C=C-H)

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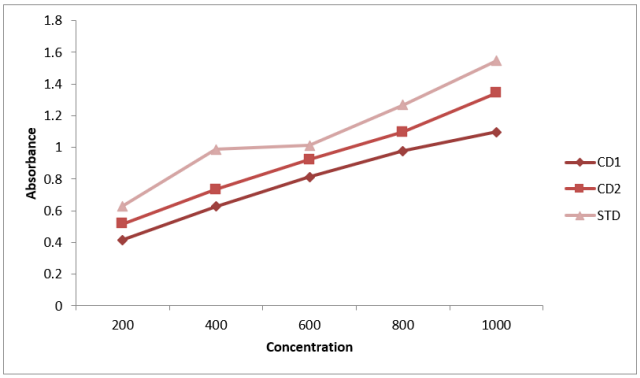
Antioxidant activity

Table 1: Reducing Power of synthetic compound

Concentration µg/Ml	Absorbance		Standard
	CD1	CD2	
200	0.416	0.517	0.629
400	0.628	0.734	0.986
600	0.812	0.923	1.012
800	0.978	1.059	1.267
1000	1.096	1.342	1.547



RESULTS

The reductive capabilities of a synthetic compound were compared to those of butylated hydroxytoluene (BHT). To measure this ability which is reductive, the transform of Fe^{3+} to Fe^{2+} of the compound extract investigated. This transformation is indicative of the compound's potential antioxidant activity, as its reducing capacity can play a significant role.

Antioxidants exert their activity through various mechanisms, including preventing the chain initiation reactions, decomposing peroxide, hindering hydrogen abstraction, demonstrating the reductive capacity, and also scavenging the free radicals. These mechanisms collectively contribute to the antioxidant effectiveness of a compound.

Antibacterial activity

The antibacterial activity of the following compound was evaluated using the cup plate method, employing streptomycin as the standard drug. The results of the antibacterial activity for each test compound are summarized in the table.

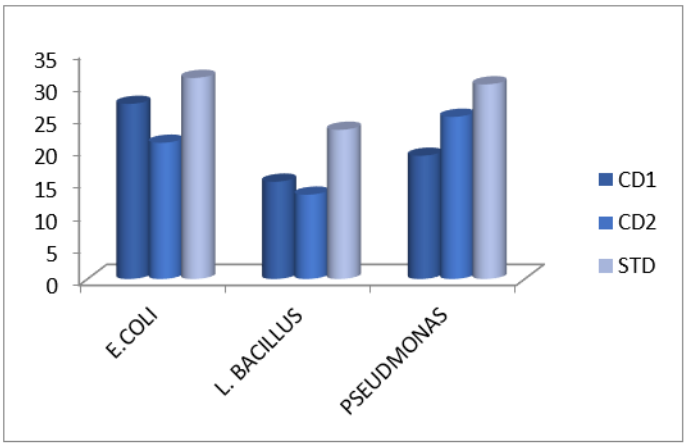


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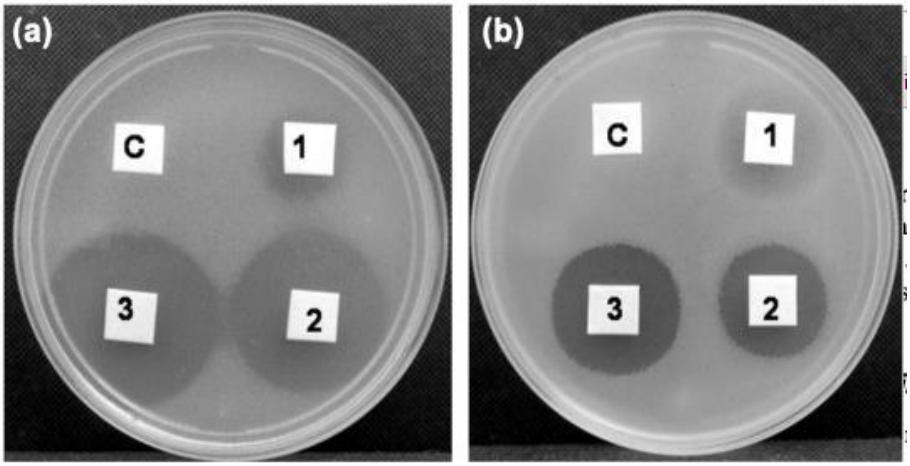
Table 2: Antibacterial activity using zone of inhibition (in units: mm) and % inhibition

Microorganism	Zone of Inhibition (mm)		
	CD 1 compound	CD 2 compound	Streptomycin
E. Coli	27	21	31
L. Bacillus	15	13	23
Pseudomonas	19	25	30

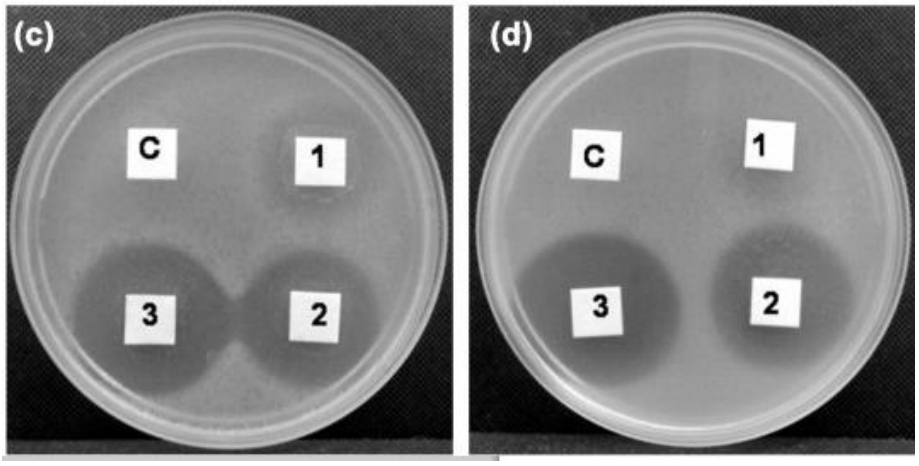
All values have been reported for zones of inhibition in the units of millimetres (mm), using a bore size of 6 mm. The compounds exhibited varying degrees of activity against their respective bacteria, with zone sizes categorized as follows based on their inhibitory effects:

- Zone size of 9-11 mm indicates poor activity.
- Zone size of 12-18 mm indicates moderate activity.

The concentration of the test compound used in these evaluations was 50 µg/ml.



E. Coli Of CD1 And CD2 Compound



Pseudomonas Of CD1 And CD2 Compound

The test compound demonstrated a wide range of antibacterial action, exhibiting a large spectrum of effectiveness against both gram-positive as well as gram-negative bacterial strains used in the study. Among this series of compounds, CD1 and CD2 particularly stood out for their high activity against E. coli (27 mm and 21 mm, respectively) and Pseudomonas (25 mm and 19 mm, respectively). In contrast, the test compound showed moderate activity against the organisms tested in the recent investigation.

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