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SYNTHESIS OF SOME NOVEL OXADIAZOLE BASED CHALCONE DERIVATIVES AS ANTI-BACTERIAL AGENTS

P.K. Arora*, A. Mittal, G. Kaur, and A. Chauhan

Department of pharmacy, Lovely Professional University, Jalandhar, India

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Oxadiazole, Furadiazoles, Chalcone, Antimicrobial

Correspondence to Author:

P.K. Arora

Department of pharmacy, Lovely Professional University, Jalandhar, Punjab India

E-mail: pradeeparora50@gmail.com

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ABSTRACT

A series of 1, 3, 4-oxadiazole derivatives were designed and out of which six compounds have been synthesized via four step synthetic scheme and evaluated for antibacterial activity using cup plate method. The compounds were previously characterized by IR, ¹H-NMR spectral analysis. The compounds with hydroxyl and methoxy substituted phenyl rings showed higher activity than the chloro substituted derivatives and standard *i.e* vancomycin. The antibacterial study revealed that the most promising compounds are 3-(4-hydroxyphenyl)-1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl) prop-2-en-1-one **6.4(a)**, 3-(4-methoxyphenyl)-1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one **6.4(b)**, 3-(4-hydroxy phenyl)-1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1- one **6.4(c)**, 3-(4-hydroxy phenyl)-1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one **6.4(e)**, 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)-3-(4-methoxy phen yl)prop-2-en-1-one **6.4(f)** against the tested bacteria (*S. aureus*, *B. subtilis*, *P. aeruginosa*, *E. coli*). This preliminary study revealed that these compounds may be used as potential leads for further studies.

INTRODUCTION: Oxadiazole, a heterocyclic nucleus has attracted a wide attention in search for the new therapeutic molecules. Compounds having a five membered ring containing one oxygen and two nitrogen atoms are called oxadiazoles or in the older literature furadiazoles. Presently, four isomers (**Fig. 1**) of oxadiazoles are known, out of these 1, 3, 4-oxadiazoles are found to be most potent biologically ¹.

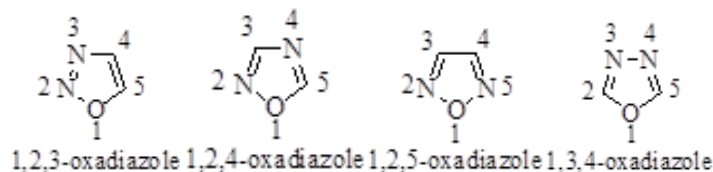


FIGURE 1: ISOMERS OF OXADIAZOLE

The 1, 3, 4-oxadiazole nucleus has emerged as one of the potential pharmacophore responsible for the

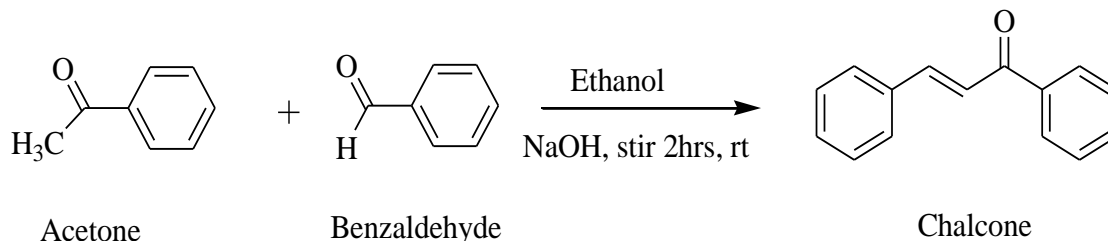
diverse pharmacological properties like anti-inflammatory ², anti-hyperglycaemic ³, anticonvulsant ⁴, anti-allergic ⁵, anti-microbial ⁶, anti-cancer activity ¹.

Chalcones are 1,3-diphenyl-2-propen-1-one in which two aromatic rings are linked by a three carbon α , β -unsaturated system⁷.

Chalcones belong to the family of flavonoids and are widely present in fruits and vegetables. Chalcones form a group of secondary plant metabolites which are the common components of human diet. These are characterized by the presence of two phenyl rings linked by a three-carbon bridge and containing α , β -unsaturated ketone that forms the central core in a variety of biologically important compounds. The presence of a reactive α , β -unsaturated keto function in chalcones is found to be responsible for their

antimicrobial activity, which may be altered depending on the type and position of the substituent on the aromatic rings⁸. Chalcones are found to possess various biological activities like antimicrobial, anti-inflammatory, anti-hyperglycaemic, and antimalarial⁹. Chalcones are prepared by condensing aryl ketones

with aromatic aldehydes in presence of suitable condensing agents (**Scheme 1**). Chalcones undergo a variety of chemical reactions and are found useful in synthesis of variety of heterocyclic compounds. Chalcones have been used as intermediate for the preparation of compounds having therapeutic value¹⁰.



SCHEME 1: SYNTHESIS OF CHALCONES

MATERIALS AND METHOD:

General procedure for the synthesis of 2-hydroxybenzohydrazide 1(a): A mixture of methyl salicylate (0.02 M) and hydrazine hydrate (0.02 M) was refluxed in ethanol at 80°C for 12 hours. The reaction mixture was then concentrated and poured on crushed ice, the solid thus obtained was filtered, dried and recrystallized from ethanol.

General procedure for the Synthesis of Benzohydrazide 1(b): A mixture of methyl benzoate (0.01 M) and hydrazine hydrate (0.02 M) was refluxed in ethanol at 80°C for 24 hours. The reaction mixture was then concentrated and poured on crushed ice, the solid thus obtained was filtered, dried and recrystallized from ethanol.

General procedure for the Synthesis of *N*-benzylidene-2-hydroxybenzohydrazide 2(a): To 30 ml of methanol added 2-hydroxybenzohydrazide (0.01M) with a few drops of glacial acetic acid. To this solution added benzaldehyde (0.01M) and the mixture was then refluxed for 4 hours at 70°C. The reaction mixture was then cooled, poured on crushed ice, filtered and the separated product was purified by recrystallization from ethanol.

General procedure for the Synthesis of *N*-benzylidenebenzohydrazide 2(b): To the 30 ml of methanol added benzohydrazide (0.01M) with a few drops of glacial acetic acid. To this solution added benzaldehyde (0.01M) and the mixture was then refluxed for 4 hours at 70°C.

The reaction mixture was then cooled, poured on crushed ice, filtered and the separated product was purified by recrystallization from ethanol.

General procedure for the Synthesis of *N*'-(4-hydroxybenzylidene)benzohydrazide 2(c): To the 30 ml of methanol added benzohydrazide (0.01M) with a few drops of glacial acetic acid. To this solution added *p*-hydroxybenzaldehyde (0.01M) and the mixture was then refluxed for 4 hours at 70°C. The reaction mixture was then cooled, poured on crushed ice, filtered and the separated product was purified by recrystallization from ethanol.

General procedure for the Synthesis of 1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone 3(a): To the (0.01M) of *N*'-benzylidene-2-hydroxybenzohydrazide, added 25 ml of acetic anhydride and the mixture was then refluxed for 4 hours. The excess of acetic anhydride was distilled off and the residue left was poured in ice cold water, the separated product was filtered and recrystallized from ethanol.

General procedure for the Synthesis of 1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone 3(b): To the (0.01M) of *N*'-benzylidenebenzohydrazide, added 25 ml of acetic anhydride and the mixture was then refluxed for 4 hours. The excess of acetic anhydride was distilled off and the residue left was poured in ice cold water, the separated product was filtered and recrystallized from ethanol.

General procedure for the Synthesis of 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl) ethanone 3(c): To the (0.01M) of *N*'-(4-hydroxybenzylidene)benzohydrazide, added 25 ml of acetic anhydride and the mixture was then refluxed for 4 hours. The excess of acetic anhydride was distilled off and the residue left was poured in ice cold water, the separated product was filtered and recrystallized from ethanol.

General procedure for the Synthesis of 3-(4-hydroxyphenyl)-1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl) prop-2-en-1-one 4(a) : A mixture of 1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and *p*-hydroxy benzaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 20 hrs. The reaction mixture was then concentrated and allowed to cool. Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.

General procedure for the Synthesis of 3-(4-methoxyphenyl)-1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one 4(b): A mixture of 1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and anisaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 20 hrs. The reaction mixture was then concentrated and allowed to cool. Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.

General procedure for the Synthesis of 3-(4-hydroxyphenyl)-1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one 4(c): A mixture of 1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and *p*-hydroxybenzaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 20 hrs. The reaction mixture was then concentrated and allowed to cool.

Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.

General procedure for the Synthesis of 3-(2-chlorophenyl)-1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one 4(d): A mixture of 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and *o*-chlorobenzaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 15 hrs.

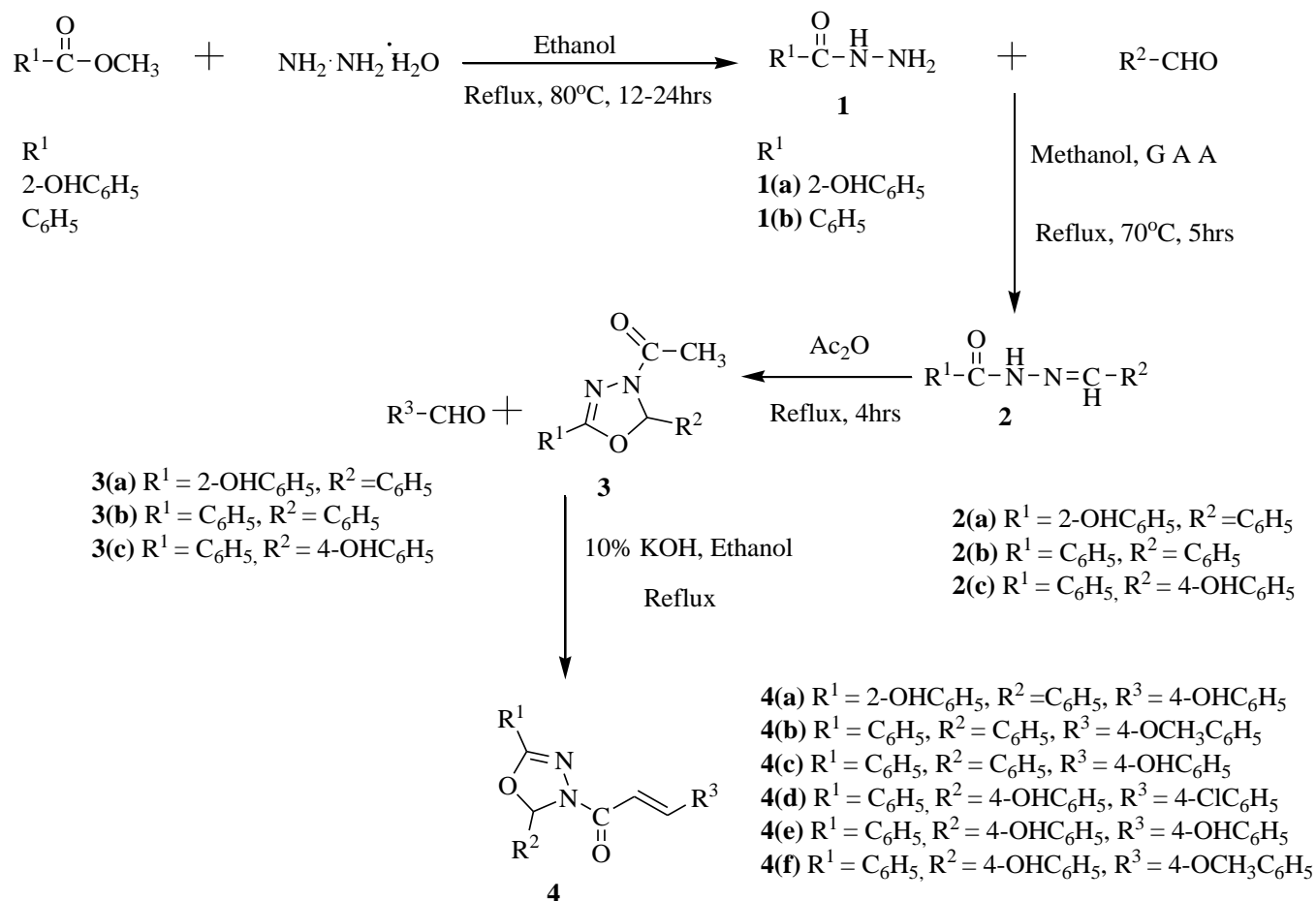
The reaction mixture was then concentrated and allowed to cool. Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.

General procedure for the Synthesis of 3-(4-hydroxyphenyl)-1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one 4(e): A mixture of 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and *p*-hydroxy benzaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 20 hrs.

The reaction mixture was then concentrated and allowed to cool. Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.

General procedure for the Synthesis of 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)-3-(4-methoxyphenyl)prop-2-en-1-one 4(f): A mixture of 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone (0.01M) and anisaldehyde (0.01M) was refluxed in ethanol in the presence of 1ml of 10% aqueous potassium hydroxide solution for 20 hrs. The reaction mixture was then concentrated and allowed to cool.

Cold water was added slowly to the reaction mixture, precipitates separated out was filtered, thoroughly washed with cold water, dried and recrystallized from ethanol.



SCHEME 2: SYNTHESIS OF OXADIAZOLE DERIVATIVES

RESULTS:

1(a) 2-hydroxybenzohydrazide: White crystalline solid, Percentage yield- 45%, TLC one spot $R_f = 0.48$ (hexane : acetone :: 3 : 2). m.p. 141-143°C. $^1\text{H-NMR}$ (400 MHz, DMSO): δ 4.0 (bs, 2H, NH_2), 6.8 (t, 1H, Ph-H), 6.9 (d, 1H, Ph-H), 7.3 (t, 1H, Ph-H), 7.78 (d, 1H, Ph-H), 9.8 (bs, 1H, NH), 12.1 (s, 1H, OH). FT-IR (KBr, Pellet) (cm^{-1}) 3319 (N-H str), 3269 (O-H str), 3138 (N-H str), 3055 (C-H str), 1647 (C=O str), 1631 (C=C str), 1585 (N-H bend), 1533 (C-N bend), 1134 (C-O bend).

1(b) Benzohydrazide: Brown crystalline solid, Percentage yield- 52%, TLC one spot $R_f = 0.41$ (hexane : acetone :: 3 : 2). m.p. 128-130°C. FT-IR (KBr, Pellet) (cm^{-1}) 3300 (N-H str), 3213 (N-H str), 3024 (N-H str), 2875 (C-H str), 1662 (C=O str), 1616 (C=C str), 1558 (N-H bend), 1350 (C-N bend), 1120 (C-O bend).

2(a) N-benzylidene-2-hydroxybenzohydrazide: White amorphous solid, Percentage yield- 67%, TLC one spot $R_f = 0.23$ (hexane : ethyl acetate :: 3 : 2). m.p. 248-250°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 6.8 (d, 1H, Ph-H),

6.8 (t, 1H, Ph-H), 7.3 (t, 4H, Ph-H), 7.7 (d, 2H, Ph-H), 7.8 (d, 1H, Ph-H), 8.4 (s, 1H, C-H), 11.7 (s, 1H, OH), 12.0 (s, 1H, NH). FT-IR (KBr, Pellet) (cm^{-1}) 3240 (N-H str), 3068 (O-H str), 3028 (C-H str), 1658 (C=O str), 1629 (C=N str), 1612 (C=C str), 1491 (C=C str), 1381 (C-N bend), 1153 (C-O bend).

2(b) N-benzylidenebenzohydrazide: White amorphous solid, Percentage yield- 52%, TLC one spot $R_f = 0.56$ (hexane : ethyl acetate :: 3 : 2). m.p. 262-264°C. FT-IR (KBr, Pellet) (cm^{-1}) 3180 (N-H str), 3061 (C-H str), 3028 (C-H str), 1639 (C=O str), 1600 (C=N str), 1552 (N-H bend), 1487 (C=C str), 1380 (C-N bend), 1141 (C-O bend).

2(c) N'-(4-hydroxybenzylidene)benzohydrazide: yellow amorphous solid, Percentage yield- 77%, TLC one spot $R_f = 0.45$ (hexane : ethyl acetate :: 3 : 2). m.p. 168-170°C. FT-IR (KBr, Pellet) (cm^{-1}) 3209 (O-H str), 3064 (N-H str), 3024 (C-H str), 1653 (C=O str), 1602 (C=N str), 1541 (C=C str), 1301 (C-N bend), 1107 (C-O bend).

3(a) 1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone: Dull white crystalline solid, Percentage yield- 42%, TLC one spot $R_f = 0.42$ (hexane : ethyl acetate :: 3 : 2). m.p. 116-120°C. FT-IR (KBr, Pellet) (cm^{-1}) 3080 (O-H str), 3028 (C-H str), 1768 (C=O str), 1668 (C=N str), 1622 (C=C str), 1361 (C-N bend), 1053 (C-O bend).

3(b) 1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone: Brown crystalline solid, Percentage yield- 42%, TLC one spot $R_f = 0.42$ (hexane : ethyl acetate :: 3 : 2). m.p. 92-96°C. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 3.3 (s, 3H, C-H), 7.0 (s, 1H, C-H), 7.3 (m, 5H, Ph-H), 7.4 (m, 3H, Ph-H), 7.8 (d, 2H, Ph-H). FT-IR (KBr, Pellet) (cm^{-1}) 3063 (C-H str), 1741 (C=O str), 1697 (C=N str), 1602 (C=C str), 1288 (C-N bend), 1010 (C-O bend).

3(c) 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)ethanone: Brown crystalline solid, Percentage yield - 50%, TLC one spot $R_f = 0.65$ (hexane : ethyl acetate :: 3 : 2). m.p. 120-122°C. FT-IR (KBr, Pellet) (cm^{-1}) 3319 (O-H str), 3061 (C-H str), 2929 (C-H str), 1666 (C=O str), 1631 (C=N str), 1610 (C=C str), 1516 (C=C str), 1325 (C-N bend), 1026 (C-O bend).

4(a) 3-(4-hydroxyphenyl)-1-(5-(2-hydroxyphenyl)-2-phenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one: Yellow amorphous solid, Percentage yield - 40%, TLC one spot $R_f = 0.54$ (hexane : ethyl acetate :: 3 : 2). m.p. 256-258°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 6.8 (m, 5H, Ph-H), 7.2 (t, 2H, Ph-H), 7.3 (d, 2H, Ph-H), 7.4 (d, 1H, Ph-H), 7.7 (d, 1H, Ph-H), 7.9 (d, 2H, Ph-H), 8.4 (s, 1H, C-H), 8.5 (s, 1H, O-H), 11.3 (s, 1H, O-H), 11.7 (d, 1H, C-H $_{\beta}$), 11.8 (d, 1H, C-H $_{\alpha}$). FT-IR (KBr, Pellet) (cm^{-1}) 3244 (O-H str), 3057 (C-H str), 3026 (C-H str), 1770 (C=O str), 1670 (C=N str), 1629 (C=C str), 1489 (C=C str), 1325 (C-N bend), 1026 (C-O bend).

4(b) 3-(4-methoxyphenyl)-1-(2,5-diphenyl-1, 3, 4-oxadiazol-3(2H)-yl)prop-2-en-1-one: White amorphous solid, Percentage yield - 52%, TLC one spot $R_f = 0.62$ (hexane : ethyl acetate :: 3 : 2). m.p. 178-182°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 2.5 (s, 3H, CH_3), 6.9 (d, 1H, C-H $_{\beta}$), 7.4 (m, 6H, Ph-H), 7.5 (m, 2H, Ph-H), 7.6 (d, 1H, C-H $_{\alpha}$), 7.7 (d, 2H, Ph-H), 7.9 (m, 4H, Ph-H), 8.4 (s, 1H, C-H). FT-IR (KBr, Pellet) (cm^{-1}) 3055 (sp^2 C-H str), 2918 (sp^3 C-H str), 1647 (C=O str), 1635 (C=N str), 1616 and 1489 (C=C str), 1307 (C-N bend), 1155 (C-O bend).

4(c) 3-(4-hydroxyphenyl)-1-(2,5-diphenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one: Orange amorphous solid, Percentage yield - 40%, TLC one spot $R_f = 0.26$ (hexane : ethyl acetate :: 3 : 2). m.p. 272-276°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 7.2 (m, 4H, Ph-H), 7.4 (t, 6H, Ph-H), 7.4 (d, 1H, C-H $_{\beta}$), 7.8 (d, 4H, Ph-H), 8.0 (d, 1H, C-H $_{\alpha}$), 8.8 (s, 1H, C-H), 10.4 (s, 1H, O-H). FT-IR (KBr, Pellet) (cm^{-1}) 3205 (O-H str), 3061 (sp^2 C-H str), 1641 (C=O str), 1600 (C=N str), 1487 (C=C str), 1363 (C-N bend), 1058 (C-O bend).

4(d) 3-(2-chlorophenyl)-1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)prop-2-en-1-one: White amorphous solid, Percentage yield - 33.5%, TLC one spot $R_f = 0.16$ (hexane : ethyl acetate :: 3 : 2). m.p. 185-188°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 3.8 (d, 1H, C-H $_{\beta}$), 6.9 (d, 1H, C-H $_{\alpha}$), 7.4 (m, 8H, Ph-H), 7.7 (d, 2H, Ph-H), 7.9 (t, 3H, Ph-H), 8.4 (s, 1H, C-H), 10.2 (s, 1H, O-H). FT-IR (KBr, Pellet) (cm^{-1}) 3221 (O-H str), 3059 (sp^2 C-H str), 1647 (C=O str), 1593 (C=N str), 1552 (C=C str), 1366 (C-N bend), 1049 (C-O bend), 750 (C-Cl str).

4(e) 3-(4-hydroxyphenyl)-1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl) prop-2-en-1-one: yellow amorphous solid, Percentage yield - 33.5%, TLC one spot $R_f = 0.66$ (hexane : ethyl acetate :: 3 : 2). m.p. 284-286°C. $^1\text{H-NMR}$ (400 MHz, CDCl_3) δ 7.4 (m, 10H, Ph-H), 7.7 (m, 3H, Ph-H), 8.2 (d, 1H, C-H $_{\beta}$), 8.3 (s, 1H, C-H), 8.6 (d, 1H, C-H $_{\alpha}$), 9.2 (s, 1H, O-H), 9.5 (s, 1H, O-H). FT-IR (KBr, Pellet) (cm^{-1}) 3331 (O-H str), 2919 (sp^2 C-H str), 1658 (C=O str), 1606 (C=N str), 1514 (C=C str), 1348 (C-N bend), 1166 (C-O bend).

4(f) 1-(2-(4-hydroxyphenyl)-5-phenyl-1,3,4-oxadiazol-3(2H)-yl)-3-(4-methoxyphenyl)prop-2-en-1-one: Yellow amorphous solid, Percentage yield - 21%, TLC one spot $R_f = 0.54$ (hexane : ethyl acetate :: 3 : 2). m.p. 205-208°C. $^1\text{H-NMR}$ (400 MHz, DMSO) δ 3.3 (s, 3H, C-H), 7.4 (m, 4H, Ph-H), 7.4 (t, 3H, Ph-H), 7.5 (d, 1H, C-H $_{\beta}$), 7.6 (d, 1H, C-H $_{\alpha}$), 7.7 (d, 3H, Ph-H), 7.9 (d, 3H, Ph-H), 8.4 (s, 1H, C-H), 10.3 (s, 1H, O-H). FT-IR (KBr, Pellet) (cm^{-1}) 3203 (O-H str), 3051 (sp^2 C-H str), 2931 (sp^3 C-H str), 1641 (C=O str), 1598 (C=N str), 1552 (C=C str), 1363 (C-N bend), 1058 (C-O bend).

In-vitro Antibacterial screening of Synthesized Compounds: The synthesized compounds were tested for their *in vitro* antibacterial activity against the gram-negative bacteria *E. coli* and *P. aeruginosa* and against

the gram-negative bacteria *S. aureus* and *B. subtilis* by cup-plate method. The solution of the test compound was prepared by dissolving 1mg of test compound each in 10ml of DMSO (dimethylsulfoxide) at a concentration of 100µg/ml. The cups each of 9mm diameter were made by scooping out of medium (nutrient agar) with a sterilized cork-borer in a petridish which was streaked with the microorganism. The solution of each test compound was added separately in the cups and incubated. A reference standard (vancomycin) was used to compare the results of test compounds. The incubation was carried out at 37°C for 24 hours. Simultaneously controls were made by employing 0.1ml of DMSO. Zone of inhibition was measured in mm.

TABLE 1: IN-VITRO ANTIBACTERIAL SCREENING OF SYNTHESIZED COMPOUNDS

Compound	Antibacterial activity			
	Zone of inhibition (mm)			
	<i>S. aureus</i>	<i>B. subtilis</i>	<i>P. aeruginosa</i>	<i>E. coli</i>
6.4(a)	20	11	20	18
6.4(b)	7	10	21	13
6.4(c)	12	20	15	15
6.4(d)	12	18	12	12
6.4(e)	12	25	16	13
6.4(f)	20	12	18	12
Vancomycin	19	30	15	13
DMSO	00	00	00	00

Experimental: Melting points were determined by using open capillary method and are uncorrected. The compounds were checked for homogeneity by TLC on silica gel G. The IR spectra were recorded on Shimadzu 8400 IR spectrophotometer using KBr disc method. The proton NMR spectra were recorded on bruker AM-400 spectrometer (400 MHz) using TMS as internal standard.

CONCLUSION: A series of 1,3,4-oxadiazole derivatives were designed and out of which six compounds have been synthesized via four step synthetic scheme. Synthesized compounds were characterized with the help of different spectroscopic techniques. After

characterization of the synthesized compounds, antibacterial activity was performed. The antibacterial study revealed that the most promising compounds are **6.4(a)**, **6.4(b)**, **6.4(c)**, **6.4(e)**, **6.4(f)** against the tested bacteria (*S. aureus*, *B. subtilis*, *P. aeruginosa*, *E. coli*). The compounds with hydroxyl and methoxy substituted phenyl ring can be considered as lead compounds for further studies.

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