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# Synthesis, Characterization and Antimicrobial activity of some novel 1, 3 Oxazine Derivatives having benzyloxyhydroxymonoiodo raceacetophenone moiety

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## ABSTRACT

Oxazine derivatives has wide applications in Pharmaceutical and medicinal chemistry. 2-(2-amino-6-(sub-phenyl)-6H-1, 3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol ($C1_{-10}$) were synthesized by condensation and cyclization with urea in ethanolic sodium hydroxide solution. Compounds ($B_{1-10}$) were synthesized by coupling with aromatic substituted aldehyde. All the synthesized compounds were characterized by elemental analysis, IR, $^{1}H$ NMR, $^{13}C$ NMR spectra. Further, the prepared compounds were screened for antibacterial and antifungal activity.

**Keywords:** Chalcones, Phenone Derivatives, Oxazine, Antibacterial And Antifungal Activity

## I. INTRODUCTION

Heterocyclic derivatives of chalcones that have been prepared have made known biological and pharmacological activities, resulting high-quality chemotherapeutics compounds[1]. Oxazine derivatives are one among the heterocyclic compounds that shows biological activities[2] similar to anti-hyperglycaemic[3], anti-leishmanial[4], anti-tubercular[5], anti-ulcer[6] and anti-cancer[7]. Oxazine are heterocyclic compounds containing one oxygen and one nitrogen with three isomeric forms[8]. Anti-inflammatory and Anti-oxidant based drugs are used for prevention and treatment of complex diseases like atherosclerosis, stroke, diabetes, Alzheimer's disease and cancer[9]. The significance on 1, 3-oxazine derivatives has improved recently as molecules containing dihydro-1, 3-oxazine ring system exhibited a large spectrum of pharmacological activities such as anti-malarial[10], anti-tumor[11], anti-becterial[12-14], anti-oxidant[15-17], anti-inflammatory activity[18] and their flexibility because synthetic intermediates[19]. Particular attention has been paid to these compounds since the discovery of the non-nucleoside reverse transcriptase inhibitor trifloromethyl-1, 3-oxazine-2-one, which shows high activity against a variety of HIV-1 mutant strains[20]. This has been the prime step for the synthesis of various compounds consolidating the 1, 3-oxazine moiety[21].

Melting point of the synthesized compounds was determined in electro thermal apparatus using fused capillary tubes. Monitoring of the reaction and the purity of the compounds was checked by thin-layer chromatography using silica gel G plates of 0.5 mm thickness as stationary phase in combination of *n*-hexane: ethyl acetate in different ratios as mobile phase.

The Infrared (IR) spectra of the synthesized compounds were recorded on a Fourier Transform IR spectrophotometer (model Shimadzu 8700) in the

range of 4000-400 cm-1 using KBr pellets and value of λmax are reported in cm-1 and the spectra were interpreted. Proton Nuclear Magnetic Resonance ($^{1}$NMR) and ($^{13}$CNMR) Nuclear Magnetic Resonance spectra were recorded on Bruker Avance II 400 NMR spectrometer using $CDCl_3$. Chemical shift (δ) are reported in parts per million downfield from internal reference, Tetramethylsilane (TMS) and the spectra were interpreted.

**Synthesis of 1–(4–benzyloxy-2-hydroxy phenyl) ethanone**

**General Procedure:** 1–(2, 4 dihydroxyphenyl) ethanone (0.10 mol), Benzyl bromide (0.1 mol) and Potassium carbonate (0.1 mol) were taken in 100ml of Acetone. Reaction mixture was shaking for 7 hrs at reflux 50-60°C temperature. Reaction mixture was cooled to room temperature and quenched with 100ml cold water. The final product 1–(4-benzyloxy-2-hydroxypheny) ethanone was passed through pass through a filter and rinsed with water. Prepared product was recrystallized by ethanol.

**Synthesis of 1–(4–benzyloxy-2-hydroxy–5-iodophenyl) ethanone: (A):** 1– (4-benzyloxy-2-hydroxy–phenyl) ethanone (0.1mol) was taken in 100ml of ethanol. Iodination method[7] has been used. Iodine granules (0.1 mol) and 300ml were taken in 250ml R.B.F and stirred them till 15 minutes. Iodic acid (0.1mol) dilute in to 4ml of dist.water in a small beaker. Slowly add this iodic acid solution in to the reaction mixture and stirred them continuously for 30 minutes at 35 – 40 C, the reaction was monitored by TLC. Pour it in to ice. Excess iodine was removed by adding fresh saturated sodium bisulphite solution. Formed material 1–(4–benzyloxy-2-hydroxy–5-iodophenyl) ethanone was passed through filter out and washes them two to three times with distilled water. Synthesized material was recrystallized in ethanol.

**Synthesis of 1-(4-benzyloxy-2-hydroxy-5-iodophenyl)-3-(substituted phenyl) prop-2-en-1-one from 1–(4–benzyloxy-2-hydroxy–5-iodophenyl) ethanone :( B1-B$_{10}$)**

**General procedure:** 1-(4-benzyloxy-2-hydroxy-5-iodophenyl) ethanone (0.01 mol) and substituted aromatic aldehydes (0.01 mol) were dissolved in ethanol (25 ml) was added 10% sodium hydroxide solution, (25 ml) was added slowly and the mixture stirred for 4 hrs, the reaction monitored by TLC. Then it was poured into 400 ml of water with constant starring and neutralized with 10% hydrochloric acid solution and left overnight in refrigerator. The precipitate obtained was filtrated, washed and recrystallized from ethanol.

**Synthesis of 2-(2-amino-6-(sub-phenyl)-6H-1, 3-oxazin-4-yl)-5-(benzyloxy)-4- benzyloxy)-4-iodophenol (C$_1$-C$_{10}$) from 1-(4-benzyloxy-2-hydroxy-5-iodo phenyl)-3-(substituted phenyl) prop-2-en-1-one**

**General procedure:** A mixture of 1-(4-benzyloxy-2-hydroxy-5-iodo phenyl)-3-(substituted phenyl) prop-2-en-1-one (0.01 mol), Urea (0.01mol) were dissolved in ethanolic sodium hydroxide solution (10 ml) was stirred for 3 hrs, the reaction was monitored by TLC. Then it was poured into 400 ml of cold water with continuous stirring for 1 hr then left overnight. The precipitate formed was filtered, washed and recrystallized from ethanol.

## II. MATERIAL AND METHODS

Melting point of the synthesized compounds was determined in electro thermal apparatus using fused capillary tubes. Monitoring of the reaction and the purity of the compounds was checked by thin-layer chromatography using silica gel G plates of 0.5 mm thickness as stationary phase in combination of *n*-hexane: ethyl acetate in different ratios as mobile phase.

The Infrared (IR) spectra of the synthesized compounds were recorded on a Fourier Transform IR spectrophotometer (model Shimadzu 8700) in the range of 4000-400 cm-1 using KBr pellets and value of λmax are reported in cm-1 and the spectra were interpreted. Proton Nuclear Magnetic Resonance ($^{1}$NMR) and ($^{13}$CNMR) Nuclear Magnetic Resonance spectra were recorded on Bruker Avance II 400 NMR spectrometer using $CDCl_3$. Chemical shift (δ) are reported in parts per million downfield from internal reference, Tetramethylsilane (TMS) and the spectra were interpreted.

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**General Procedure:** 1–(2, 4 dihydroxyphenyl) ethanone (0.10 mol), Benzyl bromide (0.1 mol) and Potassium carbonate (0.1 mol) were taken in 100ml of Acetone. Reaction mixture was shaking for 7 hrs at reflux 50-60°C temperature. Reaction mixture was cooled to room temperature and quenched with 100ml cold water. The final product 1–(4-benzyloxy-2-hydroxypheny) ethanone was passed through pass through a filter and rinsed with water. Prepared product was recrystallized by ethanol.

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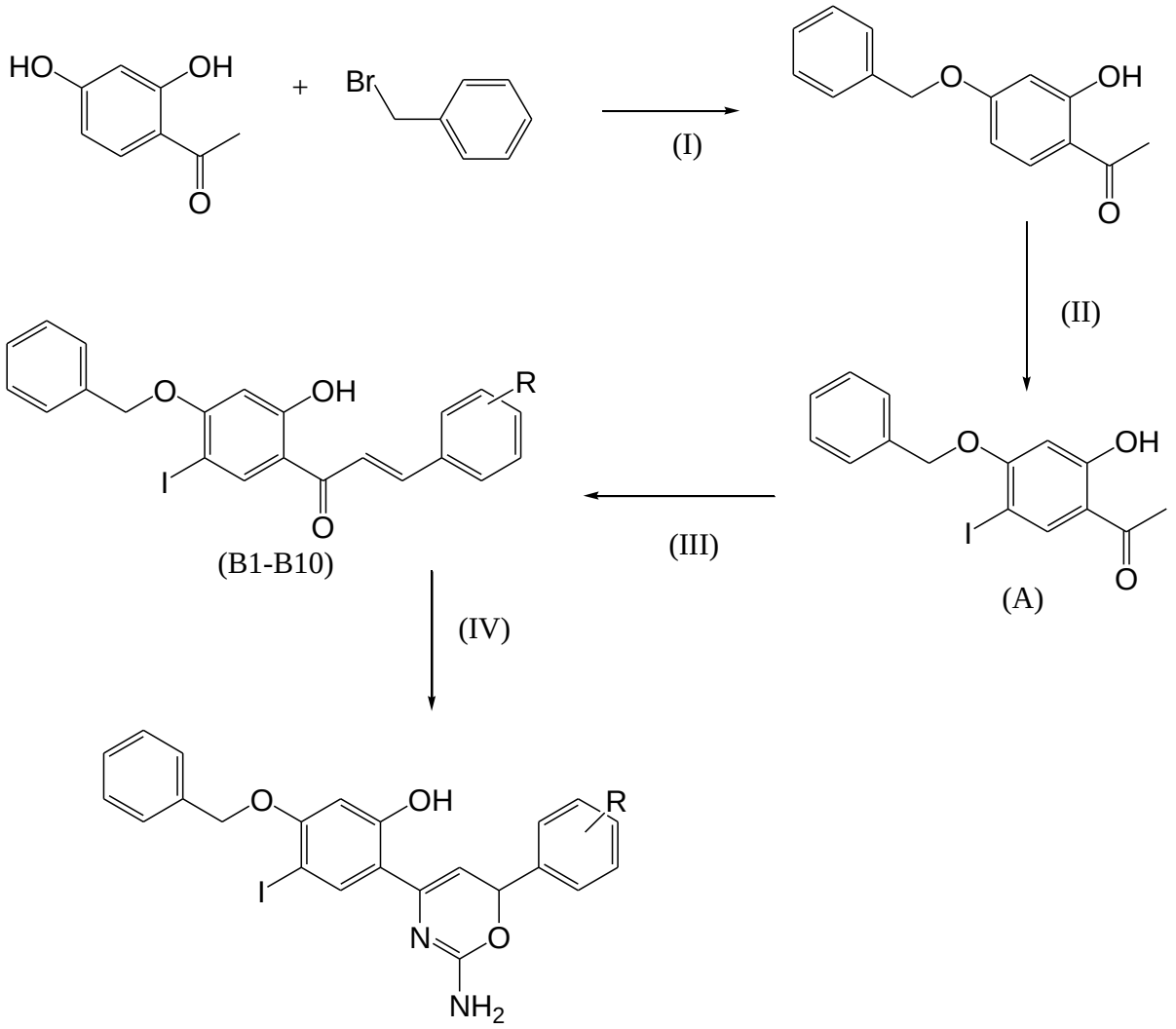
**Synthesis of 1-(4-benzyloxy-2-hydroxy-5-iodophenyl)-3-(substituted phenyl) prop-2-en-1-one from 1–(4–benzyloxy-2-hydroxy–5-iodophenyl) ethanone :( B1-$B_{10}$)**

**General procedure:** 1-(4-benzyloxy-2-hydroxy-5-iodophenyl) ethanone (0.01 mol) and substituted aromatic aldehydes (0.01 mol) were dissolved in ethanol (25 ml) was added 10% sodium hydroxide solution, (25 ml) was added slowly and the mixture stirred for 4 hrs, the reaction monitored by TLC. Then it was poured into 400 ml of water with constant starring and neutralized with 10% hydrochloric acid solution and left overnight in refrigerator. The precipitate obtained was filtrated, washed and recrystallized from ethanol.

**Synthesis of 2-(2-amino-6-(sub-phenyl)-6H-1, 3-oxazin-4-yl)-5-(benzyloxy)-4- benzyloxy)-4-iodophenol ($C_1$-$C_{10}$) from 1-(4-benzyloxy-2-hydroxy-5-iodo phenyl)-3-(substituted phenyl) prop-2-en-1-one**

**General procedure:** A mixture of 1-(4-benzyloxy-2-hydroxy-5-iodo phenyl)-3-(substituted phenyl) prop-2-en-1-one (0.01 mol), Urea (0.01mol) were dissolved in ethanolic sodium hydroxide solution (10 ml) was stirred for 3 hrs, the reaction was monitored by TLC. Then it was poured into 400 ml of cold water with continuous stirring for 1 hr then left overnight. The precipitate formed was filtered, washed and recrystallized from ethanol.

**REACTION SCHEME**




R= H, 2-Cl, 3-Cl, 4-Cl, 2-OH, 3-OH, 4-OH, 2-$OCH_3$, 3-$OCH_3$, 4-$OCH_3$

**1-(4-benzyloxy-2-hydroxy-5-iodophenyl) ethanone (A)**

Mass;368.17 ; IR(KBr cm-1): 2870(C-H str. vib.) 3032(-Aromatic C-H),1573,1489, (C=C str.Vib.),879(-C – H o.o.p multi sub. benzene),1280, 1080(C-O-C str.vib), 3634(O-H str.vib), 1620(-C=O str.vib),501(C-I str.vib),;1H NMR 6.44 – 7.77 (s,7H,of the Ar-H ) ,13.5 (s,1H, Ar-OH), 5.16 (2H,s, -CH2-O-), 2.5 (3H,s, O=CCH3),;Yield 64.30%;

**1-(4-Benzyloxy-2-hydroxy- 5-iodophenyl)-3- phenylprop-2-en-1-one [B1]:**

Mass;456.27 IR(KBr cm-1): 3063(-Aromatic C-H),1573, 1489,(C=C str. Vib.),817(-C – H o.o.pmulti sub. benzene),1273, 1072(C-O-C str.vib), 3634(O-H str.vib), 1627(-C=O str.vib), 501(C-I str.vib) ,972(CH=CH bending),;1H NMR:8.0 -7.7 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-), Yield 57.23%;

**1-(4-benzyloxy -2-hydroxy-5-iodophenyl)-3-(4-chlorophenyl) prop-2-en-1-one [B2]:**

Mass; 490.72 IR(KBr cm-1): 3032(Aromatic C-H),1573, 1489,(C=C str. Vib.),817(-C – H o.o.p multisub. benzene),1280, 1041(C-O-C str.vib), 3201(O-H str.vib), 1627(-C=O str.vib), 786(C-Cl str.vib) 578(C-Istr.vib),972(CH=CH bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.49 (m, 2H, -CH=CH- ), 5.16(d,2H, -CH2-O-),; Yield 59.91%;

**1-(4-benzyloxy- 2-hydroxy-5-iodophenyl)-3-(3-hydroxyphenyl) prop-2-en-1-one [B3]:**

Mass;472.27 IR(KBr cm-1): 3050(Aromatic C-H),1489, 1404,(C=C str. Vib.),817(-C – H o.o.p multisub. benzene),1273, 1080(C-O-C str.vib), 3201(O-H str.vib), 1627(-C=O str.vib), 501(C-I str.vib),964(CH=CHbending), 1H NMR:8.0 -7.7 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 64.32%;

**1-(4-benzyloxy- 2-hydroxy- 5-iodophenyl)-3-(4-methoxyphenyl) prop-2-en-1-one [B4]:**

Mass:486.3; IR(KBr cm-1): 3063(Aromatic C-H),1558, 1404,(C=C str. Vib.),825(-C – H o.o.p multisub. benzene),1280, 1072(C-O-C str.vib), 3194(O-H str.vib), 1627(-C=O str.vib), 540(C-I) str.vib),972(CH=CH)bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 62.81%;

**1-(4-benzyloxy -2-hydroxy-5-iodophenyl)-3-(2-chlorophenyl) prop-2-en-1-one [B5]:**

Mass; 490.72 IR(KBr cm-1): 3063(Aromatic C-H),1573, 1450,(C=C str. Vib.),864(-C – H o.o.p multisub. benzene),1226, 1049(C-O-C str.vib), 3649(O-H str.vib), 1627(-C=O str.vib), 732(C-Cl str.vib) 509(C-Istr.vib),972(CH=CH bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.49 (m, 2H, -CH=CH- ), 5.16(d,2H, -CH2-O-),; Yield 58.50%;

**1-(4-benzyloxy- 2-hydroxy-5-iodophenyl)-3-(3-hydroxyphenyl) prop-2-en-1-one [B6]:**

Mass;472.27 IR(KBr cm-1): 3032(Aromatic C-H),1489, 1404,(C=C str. Vib.),817(-C – H o.o.p multisub. benzene),1219, 1080(C-O-C str.vib), 3518(O-H str.vib), 1620(-C=O str.vib), 501(C-I str.vib),941(CH=CHbending), 1H NMR:8.0 -7.7 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 59.37%;

**1-(4-benzyloxy- 2-hydroxy- 5-iodophenyl)-3-(4-methoxyphenyl) prop-2-en-1-one [B7]:**

Mass:486.3; IR(KBr cm-1): 3063(Aromatic C-H),1573, 1489,(C=C str. Vib.),856(-C – H o.o.p multisub. benzene),1280, 1072(C-O-C str.vib), 3194(O-H str.vib), 1627(-C=O str.vib), 509(C-I) str.vib),972(CH=CH)bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 53.17%;

**1-(4-benzyloxy -2-hydroxy-5-iodophenyl)-3-(4-chlorophenyl) prop-2-en-1-one [B8]:**

Mass; 490.72 IR(KBr cm-1): 3032(Aromatic C-H),15723, 1492,(C=C str. Vib.),817(-C – H o.o.p multisub. benzene),1273, 1041(C-O-C str.vib), 3439(O-H str.vib), 1620(-C=O str.vib), 786(C-Cl str.vib) 501(C-Istr.vib),972(CH=CH bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.49 (m, 2H, -CH=CH- ), 5.16(d,2H, -CH2-O-),; Yield 58.23%;

**1-(4-benzyloxy- 2-hydroxy-5-iodophenyl)-3-(3-hydroxyphenyl) prop-2-en-1-one [B9]:**
Mass;472.27 IR(KBr cm-1): 3032(Aromatic C-H),1489, 1404,(C=C str. Vib.),879(-C – H o.o.p multisub. benzene),1280, 1080(C-O-C str.vib), 3510(O-H str.vib), 1620(-C=O str.vib), 501(C-I str.vib),966(CH=CHbending), 1H NMR:8.0 -7.7 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 59.71%;

**1-(4-benzyloxy- 2-hydroxy- 5-iodophenyl)-3-(4-methoxyphenyl) prop-2-en-1-one [B10]:**
Mass:486.3; IR(KBr cm-1): 3032(Aromatic C-H),1489, 1404,(C=C str. Vib.),815(-C – H o.o.p multisub. benzene),1280, 1041(C-O-C str.vib), 3510(O-H str.vib), 1627(-C=O str.vib), 501(C-I) ,648 (C-I) str.vib),966(CH=CH)bending), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.44-6.52 (m, 2H, -CH=CH- ), 5.17 (d,2H, -CH2-O-),; Yield 54.33%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C1]:**Mass;500.23 IR(KBr cm-1): 3032(-Aromatic C-H),1573, 1489,(C=C str. Vib.),825(-C – H o.o.pmulti sub. benzene),1234, 1041(C-O-C str.vib), 3580(O-H str.vib),3580 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)),1280(-C-N str.vib), 563(C-Istr.vib), ,;1H NMR:7.9 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, CH), 5.2 (d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 51.67%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C2]:**Mass;534.77 IR(KBr cm-1): 3032(-Aromatic C-H),1573, 1489,(C=C str. Vib.),825(-C – H o.o.pmulti sub. benzene),1172, 1041(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)) 1280(-C-N str.vib), 563(C-I str.vib) 786(C-Cl str.vib), 1H NMR:7.8 -7.2 (m,12H, of the Ar-H) ,5.2 (s, CH), 5.3 (d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 56.33%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C3]:**Mass;516.33 IR(KBr cm-1): 3032(-Aromatic C-H),1589, 1489,(C=C str. Vib.),828(-C – H o.o.pmulti sub. benzene),1228, 1072(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)),1273(-C-N str.vib), 563(C-I str.vib),1H NMR:8.1 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, -CH ), 5.1 (d,2H, -CH2-O-)2.5(s, Ar-NH2), Yield 81.33%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C4]:** Mass;530.35 IR(KBr cm-1): 3032(-Aromatic C-H),1550, 1489,(C=C str. Vib.),828(-C – H o.o.pmulti sub. benzene),1257, 1033(C-O-C str.vib), 3618(O-H str.vib), 3618 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)) 1257(-C-Nstr.vib), 563(C-Istr.vib) 1H NMR:8.0 -7.2(m,12H, of the Ar-H) ,6.3-6.6 (m, 2H, -CH=CH- ), 3.8 (d,2H, -CH2-O-), 2.5(s, Ar-NH2) Yield 65.33%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C5]:** Mass;534.77 IR(KBr cm-1): 3032(-Aromatic C-H),1550, 1489,(C=C str. Vib.),825(-C – H o.o.pmulti sub. benzene),1188, 1033(C-O-C str.vib), 3510(O-H str.vib), 3580 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)), 1273(-C-N str.vib), 563(C-I str.vib), 740(C-Clstr.vib), 1H NMR:7.8 -7.2 (m,12H, of the Ar-H) ,5.2 (s, CH ), 5.3 (d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 56.33%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C6]:**Mass;516.33 IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1496,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1228,

1063(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)), 1265(-C-N str.vib), 563(C-Istr.vib), 1H NMR:8.1 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, CH ), 5.1 (d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 59.43%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C7]:** Mass;530.35 IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1496,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1180, 1041(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)), 1265(-C-N str.vib), 563(C-Istr.vib), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, CH ), 5.2(d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 55.80%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C8]:**Mass;534.77 IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1489,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1228, 1049(C-O-C str.vib), 3201(O-H str.vib), 3580 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)), 1273(-C-N str.vib), 740(C-Cl str.vib),563(C-I str.vib), 1H NMR:7.8 -7.2 (m,12H, of the Ar-H) ,5.2 (m, 2H, -CH=CH- ), 5.3 (d,2H, -CH2-O-), 2.5(s, Ar-NH2) Yield 57.53%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C9]:**Mass;516.33IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1489,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1188, 1041(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)),1273(-C-Nstr.vib), 563(C-I str.vib) 1H NMR:8.1 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, CH ), 5.1 (d,2H, -CH2-O-), 2.5(s, Ar-NH2) Yield 58.30%;

**2-(2-amino-6-(sub-phenyl)-6H-1,3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol [C10]:** Mass;530.35 IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1496,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1180, 1041(C-O-C str.vib), 3510(O-H str.vib), 3510 ($NH_2$(amine) (N-H straching ), 1627(-N-H (Bending)), 1265(-C-N str.vib), 563(C-Istr.vib), 1H NMR:8.0 -7.2 (m,12H, of the Ar-H) ,6.3-6.6 (s, CH ), 5.2 (d,2H, -CH2-O-),2.5(s, Ar-NH2) Yield 56.33%;

## Antibacterial Activity

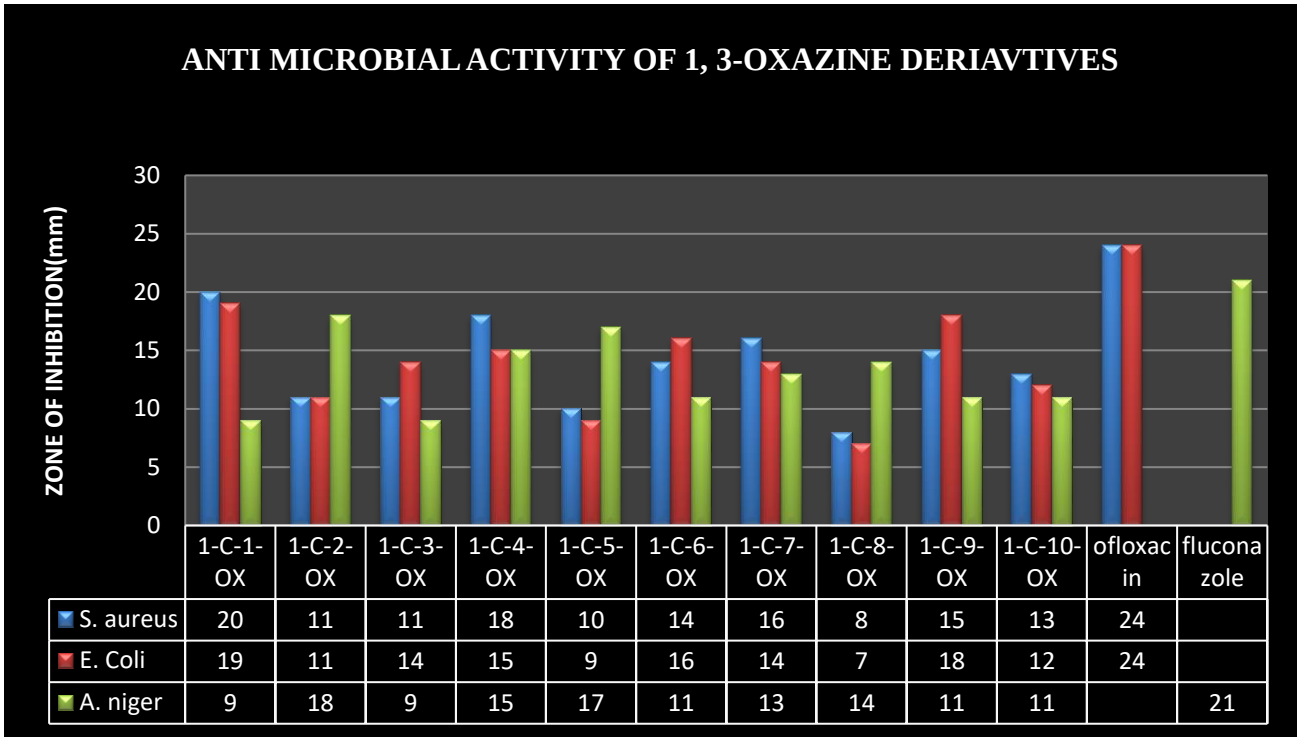
The purified products were screened for their antibacterial activity by using cup-plate agar diffusion method. The nutrient agar broth prepared by the usual method, was inoculated aseptically with 0.5 ml of 24 hrs old subculture of Staphylococcus aureus and Escherichia coli in separate conical flasks at 400-500C and mixed well by gentle shaking. About 25 ml of the contents of the flask were poured and evenly spread in Petridis (90 mm in diameter) and allowed to set for two hrs. The cups (8mm in diameter) were formed by the help of borer in agar medium and filled with 0.1 ml (1 mg/ml) solution of sample in Acetone.

## Antifungal Activity

A. niger was employed for testing antifungal activity by cup-plate agar diffusion method. The culture was maintained on Sub rouse dextrose agar slants. Sterilized Sub rouse dextrose agar medium was inoculated with 72 hrs old 0.5 mlsuspension of fungal spores in a separate flask. About 25 ml of the inoculated medium was evenly spreader in asterilized Petridis and allowed to set for 2 hrs. The cups (8 mm in diameter) were punched

in Petridis and loaded with 0.1 ml (2 mg/ml) of solution of sample in Acetone. The plates were incubated at 20 –250C for 72 hrs. After the completion of incubation period, the zones of inhibition growth is in the form of diameter in mm was measured. Along the test solution in each Petridis one cup was filled up with solvent which acts as control. The zones of inhibition.

**Microbial activity of 2-(2-amino-6-(sub-phenyl)-6H-1, 3-oxazin-4-yl)-5-(benzyloxy)-4-benzyloxy)-4-iodophenol**




| | 1-C-1-OX | 1-C-2-OX | 1-C-3-OX | 1-C-4-OX | 1-C-5-OX | 1-C-6-OX | 1-C-7-OX | 1-C-8-OX | 1-C-9-OX | 1-C-10-OX | ofloxacin | fluconazole |
|---|---|---|---|---|---|---|---|---|---|---|---|---|
| S. aureus | 20 | 11 | 11 | 18 | 10 | 14 | 16 | 8 | 15 | 13 | 24 | |
| E. Coli | 19 | 11 | 14 | 15 | 9 | 16 | 14 | 7 | 18 | 12 | 24 | |
| A. niger | 9 | 18 | 9 | 15 | 17 | 11 | 13 | 14 | 11 | 11 | | 21 |

## III. RESULTS AND DISCUSSION

In the present work, some novel 1, 3-oxazines of 1–(4–benzyloxyphenyl-2-hydroxy-5-iodophenyl) ethanone (BHIE) from ten aromatic substituted aldehydes have been prepared. During the preparation work, it was found that most of the chalcones using aromatic aldehydes could be easily prepared by most convenient claisen-schmidt condensation method. The chalcones could be easily prepared at room temperature after 72 hours. It was found that the chalcones derived from aromatic aldehydes were stable and can be easily converted to its heterocyclic compound 1, 3-oxazines. To establish a new synthetic process for chalcones, different reaction conditions were applied. From the results, it was found that the chalcones could be prepared from BHIE using aromatic substituted aldehyde by shaking the reaction mixture at normal temperature for 4 hours. Thus, a new developed synthetic process has been applied to prepare chalcones from BHIE using aromatic substituted aldehydes and chalcones were converted into heterocyclic compound 1, 3-oxazines in the present work. To check the applicability of the prepared compounds, they were screened for their antibacterial and antifungalactivity by using cup-plate diffusion method. The antibacterial activity of each compound was compared withstandard drug viz. Ofloxacin and antifungal activity was compared with standard drug viz. Fluconazole. The zone ofinhibition was measured in millimeter. From the results, it may be generalized that the antibacterial activity ongram-positive and gram-negative bacteria of chalcones. Most of all compounds show moderate and poor

antibacterial activity. The antifungal activity of each compound was found poor with compared to standard drug.

## IV. CONCLUSION

We have prepared new 1, 3-oxazine derivatives containing benzyloxyhydroxymonoiodo raceacetophenone moiety in their structured excepting enhanced bioactivity. None of the compounds have shown good antimicrobial activity compared to standard drugs.

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## V. REFERENCES

[1]. P. Anusha and P. Mani Chandrika.; world. J. Pharm. Pharm. Sci., 4(11), 885-895(2015).
[2]. G. R. Kamala and L. Patnaik.; J. Med. Chem. Drug. Discovery., 8, 2332(2016).
[3]. H. Jamal and W. H. Ansari.; Biol. Med., 1, 107-115(2009).
[4]. Y. Zuo.; Euro. J. Med. Chem., 50, 393-404(2012).
[5]. V. Kotra.; Indian. J. Chem., 49, 1109-1116(2010).
[6]. N. S. Parmar.; Indian. J. Phy. Pharma. Col., 42, 343-351(1998).
[7]. H. P. Singh.; Sch. Res. Lib., 2, 460-472(2010).
[8]. F. V. Lauro, D. C. Franciso and L. R. Marcela.; J. Chem., 1-9(2014).
[9]. K. Elumalai, M. A. Ali and M. Elumalai.; J. Acute. Disease., 316-321(2013).
[10]. N. A. Khalaf, K. Ashok, Shakya and A. Al-Othman.; Turk. J. Biol., 32, 51-55(2008).
[11]. Duffine, W. M. Rollo, I. M.; J. Pharm. Col., 12, 171(1957).
[12]. M. E. Kuehne and E. A. Konopke.; J. Med. Chem., 5, 257(1962).
[13]. J. B. Chylinska and Urbanski.; J. Med. Chem., 6, 484(1963).
[14]. L. Y. Hsu and C. H. Lin.; Heterocycles., 43, 2687(1996).
[15]. O. S. Pedersen and E. B. Pedersen.; Synthesis., 2000(4), 479-495(2000).
[16]. A. J. Cocuzza, D. R. Chidester and B. C. Cordova.; Bioorg. Med. Chem. Lett., 11, 1177(2001).
[17]. T. N. Don and D. T. Tran.; Pharmacol. Pharm., 2, 282-288(2011).
[18]. C. M. Bhalgat, M. I. Ali and B. Ramesh.; Ara. J. Chem., 1-8(2011).
[19]. O. V. Singh and H. Han.; Tetrahydron Lett., 48, 2345(2007).
[20]. N. Zonatta and Squizani.; J. Braz. Chem. Soc., 16, 1255-1261(2005).
[21]. Shingare, A. H. Kategaonkar and S. S. Sonar.; Bull. Korean. Chem. Soc., 31(6), 1657(2010).

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