International Journal of Scientific Research in Chemistry (IJSRCH)
© 2022 IJSRCH | Volume 7 | Issue 1 | ISSN : 2456-8457

Synthesis, Characterization and Antimicrobial activity of some novel 1, 3- Thiazine Derivatives from 1-(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl) ethanone

Dr. S. S. Sipai, Dr. S. S. Shah
Department of Chemistry, Shri U. P. Arts, Smt. M. G. Panchal Science & Shri V. L. Shah Commerce College, Pilvai (India)

Article Info
Volume 7, Issue 1
Page Number : 33-38

Publication Issue :
January-February-2022

Article History
Accepted : 0 9Jan 2022
Published : 20 Jan 2022

ABSTRACT

Oxazine derivatives has wide applications in Pharmaceutical and medicinal chemistry. 6-(2-amino-6-(sub-phenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol (C_{1-10}) were synthesized by condensation and cyclization with thiourea 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, Thiazine, antibacterial and antifungal activity.

I. INTRODUCTION

Every day goats need forage as much as 10% of their body weight. Goats have the n Thiazine is a six member ring system, which contains two heteroatoms one nitrogen and one oxygen located in the heterocyclic ring at 1, 3 positions. Various researcher have prepared different 1, 3-thiazines[1-4]. Thiazines are extremely useful units in the fields of biological and pharmaceutical chemistry and have been screened to exhibit a multiplicity of biological activities [5-6]. Chalcones and their analogues having an α, β-unsaturated carbonyl system are very multitalented substrates for the growth of different reactions [7] and physiologically active compounds[8]. The reaction of thiourea with chalcones results in 1, 3 thiazine[9-10].

II. METERIAL 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.

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-5-chloro-2-hydroxyphenyl) ethanone (BCHE) 1– (4-benzyloxy-2-hydroxyphenyl) ethanone (BHE) (0.1 mole) was taken in 100ml of ethanol and NCS (N-Chlorosuccinimide) was taken in minimum amount of DMF. Reaction material was swirled for 5 – 6 hrs at normal temperature. Reaction material was quenched with 200ml cold water. Formed material 1–(4–benzyloxy-5-chloro-2-hydroxyphenyl) ethanone (BCHE) was passed through filter and rinsed with distilled water. Synthesized material was crystallized in ethan-1-ol.

Synthesis of 1–(4–benzyloxy-5-chloro-2-hydroxy–3-iodophenyl) ethanone (BCHIE) To prepare 1–(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl)ethanone (BCHIE)iodination method has been used 2.42 gm (0.01 mole), 2.54 gm(0.01 mol) iodine granules and 30 ml ethyl alcohol were taken in 250 ml beaker and shake till 5 to 10 minutes. 1.76 gm (0.01 mol) iodic acid dilute in to 4 ml of dist. Water in a small beaker. Slowly add this iodic acid solution in to the mixture of BCHE and iodine in etanol and stire them continuosly for 30 min. at 35° – 40o C. pour in to ice. Excess iodine was removed by adding sat. Sodium bisulfite solution. Filter out precipitates and wash them two to three times with dist. Water. Recrystallized from ethyl alcohol.

Synthesis of 1-(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl)-3-(substituted phenyl) prop-2-en-1-one from 1–(4–benzyloxy-5-chloro-2-hydroxy–3-iodo phenyl) ethanone :(B_1-B_{10})

General procedure:

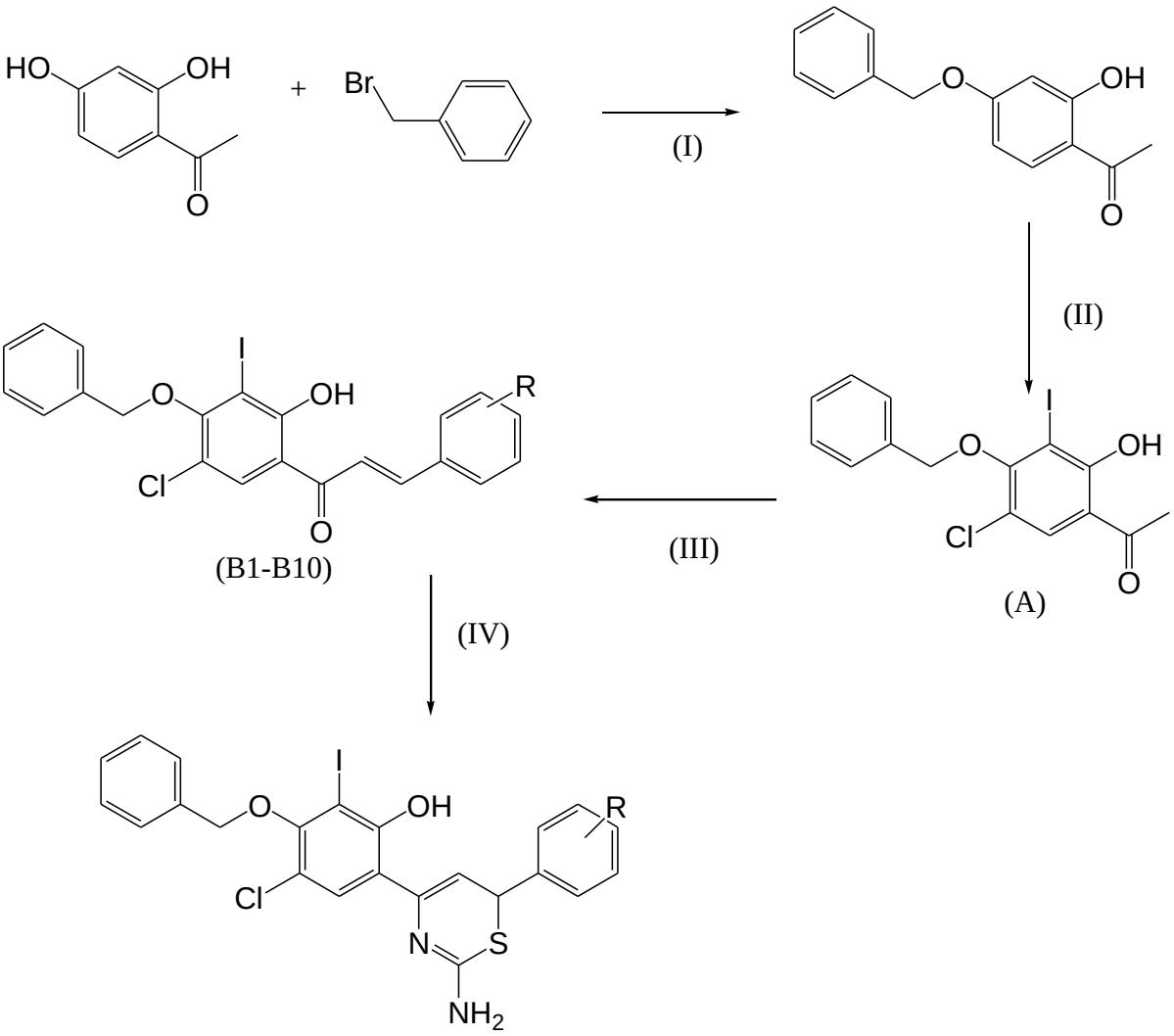
1-(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl) ethanone (0.01 mol) and substituted aromatic aldehydes (0.01 mol) were dissolved in ethanol (25 ml) was added 10% sodium hydroxide solution, (25 ml) was added slowly and the mixture stirred for 4 hrs, the reaction monitored by TLC. Then it was poured into 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 1,3-Thiazine derivatives from Chalcones:

General procedure:

A mixture of 1-(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl)-3-(substituted phenyl) prop-2-en-1-one (0.01 mol), thiourea (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)-5-chloro-2-hydroxy-3-iodophenyl) ethanone (**A)**

Mass;402.61 ; 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 65.32%;

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

Mass;490.72 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 58.33%;

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

Mass; 489.71 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 57.92%;

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

Mass;506.72 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 59.36%;

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

Mass:520.74; 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 60.80%;

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

Mass; 489.71 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 61.50%;

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

Mass;506.72 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 62.37%;

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

Mass:520.74; 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 59.13%;

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

Mass; 489.71 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 53.52%;

1-(4-(benzyloxy)- 5-chloro-2-hydroxy -3-iodophenyl)-3-(3-hydroxyphenyl) prop-2-en-1-one [B9]:

Mass;506.72 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 63.71%;

1-(4-(benzyloxy)- 5-chloro-2-hydroxy -3-iodophenyl)-3-(4-methoxyphenyl) prop-2-en-1-one [B10]:

Mass:548.82; 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 57.30%;

6-(2-amino-6-phenyl-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-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 60.93%;

6-(2-amino-6-(4-chlorophenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol

[C2]: Mass;583.27 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 57.41%;

6-(2-amino-6-(3-hydroxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol

[C3]: Mass;564.82 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 58.32%;

6-(2-amino-6-(4-methoxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol [C4]: Mass;578.85 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 56.36%;

6-(2-amino-6-(2-chlorophenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol [C5]: Mass;583.27 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 59.42%;

6-(2-amino-6-(2-hydroxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol

[C6]: Mass;564.82 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 59.98%;

6-(2-amino-6-(2-methoxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol [C7]: Mass;578.85 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 63.31%;

6-(2-amino-6-(3-chlorophenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol

[C8]: Mass;583.27 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 56.35%;

6-(2-amino-6-(4-hydroxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol

[C9]: Mass;564.82.33IR(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 67.37%;

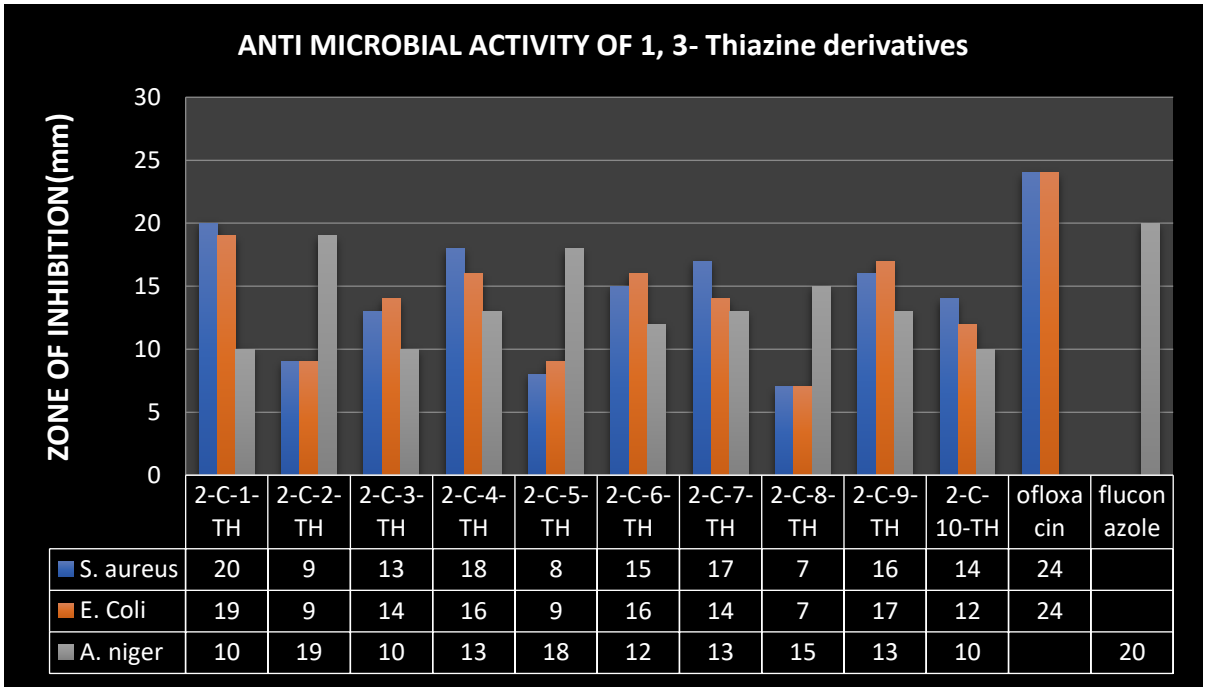
6-(2-amino-6-(3-methoxyphenyl)-6H-1,3-thiazin-4-yl)-3-(benzyloxy)-4-chloro-2-iodophenol [C10]: Mass;578.85 IR(KBr cm-1): 3047(-Aromatic C-H),1550, 1496,(C=C str. Vib.),810(-C – H o.o.pmulti sub. benzene),1180, 1041(C-O-C str.vib), 3510(O-H str.vib), 3510 (NH_2(amine) (N-H straching), 1627(-N-H (Bending)), 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 62.45%;

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.



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

III. RESULTS AND DISCUSSION

In the present work, some novel 1, 3-thiazines of 1–(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl)ethanone (BCHIE) 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-thiazines. 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 BCHIE 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 BCHIE using aromatic substituted aldehydes and chalcones were converted into heterocyclic compound 1, 3-thiazines 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-thiazine derivatives from 1–(4-benzyloxy-5-chloro-2-hydroxy-3-iodophenyl)ethanone (BCHIE) moiety in their structured excepting enhanced bioactivity. None of the compounds have shown good antimicrobial activity compared to standard drugs.

Acknowledgment:

We are thankful to Department of Chemistry Shri U.P. Arts, Smt M.G. Panchal Science & Shri V.L. Shah Commerce College Pilvai (India) for providing the necessary facilities for the research work. We are also thankful to the director NFDD complex, Saurashtra Uni. Rajkot for providing the necessary spectral data Mass, IR, ^{1}NMR, and ^{13}NMR.

References:

1. S. K. Gudadhe, “Iodo Flavonoid in the Synthesis of Heterocyclic Compounds”, Ph.D. Thesis, Amravati University, (1992).
2. M. M. Chincholkar and M. A. Ramekar, *J. Ind. Chem. Soc.,* **71** (4), 199, (1994).
3. B. S. Kakade, “Synthesis in heterocyclic Compounds (Role of DMSO as a Solvent)” Ph.D. Thesis Nagpur University, (1981).
4. A. W. Raut, *Orient J. Chem.,* **11** (2), 205(1995).
5. Rajesh Vyas, Prakash Choudhary, Hariom Sharma and B. L. Varma, *Indian J. Heterocyclic Chem.* **17**, (1) 237, (2008).
6. Y. S. Jhala, Pradhuman, S. Ranawat, S. S. Dulawat and B. L. Varma, *Indian J. Heterocyclic Chem.*, **14,** 357, (2005).
7. D. R. Kelly, E. Caroff, R.W.F. lood, W. Heal and S. M. Robert, *Chem. Commun.* 2016, (2004).
8. S. Iwata, T. Nishino, H. Inone, N. Nagota, K. Satomi, H. Nishino and S. Subata, *Biol. Pharm. Bull,* **20**, 1266, (1997).
9. A. C. Jain and A. K. Prasad, *Indian J. Chem.* **34 B**, 496 (1995).
10. Yoshiu, *Chem. Soc. Jpn.*, **93(2)** 1016 (2004).