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A REPORT ABOUT BENZOXAZINONE MATERIAL

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ABSTRACT

In this study, the objective was to investigate the Benzoxazinone material using the uncorrected and open capillary method for conducting and reporting the melting points. Laboratory grade and analytical grade reagents were used for conducting the synthesis and analytical studies based on with or without modification appropriately as and were required. Results showed that First of all the Synthesis of 2H-1, 4- benzoxazin-3(4H)-one was carried out by reacting 2- amino phenol with chloro acetyl chloride in dichloromethane in presence of triethylamine and then the bromo substitution was done by reacting with dibromoethane. Piperazine substituents were prepared in laboratory and then the title compounds were synthesized. One additional benzoyl substitution was also done. The entire synthesized compounds were primarily characterized by running T.L.C. and melting point analysis
Keywords: Benzoxazinone, Chemistry, Material, Open Capillary Method

INTRODUCTION

In the field of medicinal chemistry, compounds which consist of Benzoxazinone moieties are of huge significance due to their pharmacological characteristics. Benzoxazinone derivates combined with aromatic ring system and heterocyclic are found to be possessing different activities such as analgesic, anti-inflammatory, antifungal, and antimicrobial. The iosteric replacements of other rings for example oxaxolo and pyrido derivates are found to be producing antimicrobial activity. The Benzoxazinone are considered as important group of secondary metabolites occurring in Ranunculaceae, acanthaceae, and Gramineae. These compounds function as defense mechanism against pests such as insects and bacteria fungi. Novel 1, 4- Benzoxazinone 3-one derivate is synthesized which is reported to be inhibiting activities such as ABL, KDR, closely associated with chronic diseases such as cancer (Niemeyer, 1988; Zuing & Massardo, 1991; Sonigara & Ranawat, 2019). The structures of the newly synthesized compounds were elucidated through their IR, ^{1}H NMR, ^{13}C NMR and

mass spectroscopic data. Once biological activity tests were performed on this newly created product, it showed promising behavior including antifungal and antibacterial activities.

MATERIAL AND METHODS

Uncorrected and open capillary method is used for conducting this study and reporting the melting points. Laboratory grade and analytical grade reagents were used for conducting the synthesis and analytical studies based on with or without modification appropriately as and were required. FTIR Bruker Tensor-27 model is used for the IR absorption spectra of the compounds. Elemental analysis and ^{1}H NMR spectroscopy is used for determining the constitution of the synthesized products supported by mass spectroscopy. The evaluation of the compounds is done using their vitro biological assay such as antibacterial activity towards Gram positive and Gram-negative bacterial strains and antifungal activity towards A. niger and C. albicans with different concentrations. Standard drugs were used for comparison basis for checking the biological activities of the synthesized compounds (Janez, Petra, Tefanic, Marija, & Danijel, 2005).

B. Synthesis of Phase I compounds 1. Synthesis of 4-{2-[(2,4-dichlorophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 1)

Based on stirred room temperature with 5 gram of sodium ethoxide, 5 grams of 2,4-dichloroaniline, 20 ml of ethanol, 5 grams of 2,40dicholoroaniline, 4 gram of sodium ethoxide is taken. The reaction mixture was refuxed for 3 hours on water bath. TLC was used for reaction monitoring. The resulting solution was cooled down, and poured into the ice-cold water of about 50 ml. The product precipitated out and was filtered, dried, and recrystallized from ethanol to provide solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

2. Synthesis of 4-{2-[(2-chlorophenyl) amino] ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 2)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of 2-chloroaniline, 4-benxoxazin-3(4H)-one, along with 1 gm of 4-2-bromoethyl)-*2H*-1 is taken. The reaction mixture was refuxed for 3 hours on water bath. TLC is used for monitoring the reaction. Solution was cooled and poured in to the ice-cold water of about 50 ml. the product precipitated out and was filtered, dried, and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

3. Synthesis of 4-{2-[(3-chlorophenyl) amino] ethyl}-*2H*-1, 4-benzoxazin-3(*4H*)-one (B 3)

At stirred room temperature with 5 grams of sodium ethoxide, 5 ml of 3-chloroanilineand , 20 ml of ethanol, and 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in round bottom flask. The reaction mixture was refuxed for 3 hours on water bath. TLC was used for monitoring the reaction. The solution was cooled, poured into ice cold water of about 50 ml. the product precipitated out and was filtered, dried, and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

4. Synthesis of 4-{2-[(4-chlorophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 4)

Based on 5 gram of sodium ethoxide at room temperature, 5 grams of 4-chloroaniline, and 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask. The reaction mixture was refuxed for 3 hours on water bath. TLC was used for monitoring the reaction. The solution was cooled, poured into ice cold water of about 50 ml. the product precipitated out and was filtered, dried, and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

5. Synthesis of 4-{2-[(3-methylphenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 5)

At stirred room temperature, 5 grams of sodium ethoxide is taken along with 5 ml of 3-methylaniline, and 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in round bottom flask. The reaction mixture was refuxed for 3 hours on water bath. TLC was used for monitoring the reaction. The solution was cooled, poured into ice cold water of about 50 ml. the product precipitated out and was filtered, dried, and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

6. Synthesis of 4-{2-[(2-methylphenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 6)

At stirred room temperature with 5 grams of sodium ethoxide, 5 ml of 2-methylaniline (o-toluidine) is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. The reaction mixture was refuxed for 3 hours on water bath. TLC was used for monitoring the reaction. The solution was cooled, poured into ice cold water of about 50 ml. the product precipitated out and was filtered, dried, and recrystallized from ethanol to give solid compound. Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

7. Synthesis of 4-{2-[(4-methylphenyl) amino] ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 7)

At stirred room temperature with 5 grams of sodium ethoxide, 5 grams of 4-methylaniline (p-toluidine) is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask.

1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask with 20ml of ethanol, 5 grams of 4-methylaniline (p-toluidine) and stirred at room temperature with 5 grams of sodium ethoxide. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

8. Synthesis of 4-{2-[(3,5-dimethylphenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 8)

At stirred room temperature with 5 grams of sodium ethoxide, 5 ml of 3,5-dimethylaniline, 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one is taken in a round bottom flask.

1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask with 20ml of ethanol, 5 ml of 3,5-dimethylaniline (3,5-xylidine) and stirred at room temperature with 5 grams of sodium ethoxide. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

9. Synthesis of 4-{2-[(2-nitrophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 9)

At stirred room temperature with 5 grams of sodium ethoxide, 5 grams of 2-nitroanilineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask.

Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

10. Synthesis of 4-{2-[(3-nitrophenyl) amino] ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 10)

At stirred room temperature with 5 grams of sodium ethoxide, 5 grams of 3-nitroanilineand is taken along with the 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

11. Synthesis of 4-{2-[(4-nitrophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 11)

At stirred room temperature, 5 grams of sodium ethoxide, 5 gram of 4-nitroanilineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

12. Synthesis of 4-{2-[(2-bromophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 12)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of 2-bromoanilineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

13. Synthesis of 4-{2-[(4-bromophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 13)

At stirred room temperature, 5 grams of sodium ethoxide along with 5 grams of 4-bromoanilineand stirred is taken along with the 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

14. Synthesis of 4-{2-[(2,4,6-tribromophenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 14)

At stirred room temperature, 5 grams of sodium ethoxide, 5 grams of 2,4,6-tribromoanilineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

15. Synthesis of 4-{2-[(4-methoxyphenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 15)

At stirred room temperature, 5 grams of sodium ethoxide, 5 grams of 4-methoxyaniline (p-Anisidine) is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

16. Synthesis of 4-{2-[(2-methoxyphenyl) amino]ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (B 16)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of 2-methoxyaniline (o-Anisidine), is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

17. Synthesis of 4-[2-(propan-2-ylamino) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 17)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of N-methylmethanamineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

18. Synthesis of 4-[2-(pentan-3-ylamino) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 18)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of N-ethylethanamine (Diethylamine) is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

19. Synthesis of 4-[2-(diphenylamino) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 19)

At stirred room temperature, 5 grams of sodium ethoxide, 5 grams of N-phenylaniline (Diphenylamine) is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

20. Synthesis of 4-[2-(morpholin-4-yl)ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 20)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of morpholineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The

product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

21. Synthesis of 4-[2-(piperazin-1-yl)ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 21)

At stirred room temperature, 50 grams of sodium ethoxide is taken along with the 10 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

22. Synthesis of 4-[2-(piperidin-1-yl) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 22)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of piperidineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

23. Synthesis of 4-[2-(2-methylpiperazin-1-yl) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (B 23)

At stirred room temperature, 5 grams of sodium ethoxide, 5 ml of 2-methylpiperazineand is taken along with 1 gm. 4-(2-bromoethyl)-*2H*-1, 4-benzoxazin-3(*4H*)-one in a round bottom flask. Then the reaction mixture was refluxed for 3hrs on water bath. The reaction was monitored by TLC for completion. The solution was cooled, poured into ice cold water (50ml). The product precipitated out and was filtered, dried and recrystallized from ethanol to give solid compound.

Solubility: - Methanol

Solvent system: - chloroform: methanol (9.5:0.5)

C. Synthesis of Phase II compounds 1. Synthesis of 4-{2-[4-(4-aminophenyl) piperazin-1-yl] ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (C 1)

We took 1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one in round bottom flask and dissolved in 3 g of Potassium iodide, 5 ml 4-chloro aniline, and 15 ml of 15% Sodium Hydroxide solution which was stirred well and few ml of HCI was added and refluxed for 3 hours. The hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained and was recrystallized with the ethanol. TLC was used for monitoring the reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

2. Synthesis of 4-{4-[2-(3-oxo-2,3-dihydro-*4H*-1,4-benzoxazin-4-yl) ethyl]piperazin-1-yl}benzaldehyde (C 2)

1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and dissolved with 3 g of Potassium iodide, 5 ml 4-Chloro benzaldehyde, and 15% Sodium Hydroxide solution which was stirred well and few ml of HCI was added and refluxed for 3 hours. This hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained and was recrystallized with the ethanol. TLC was used for monitoring the reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

3. Synthesis of 4-[2-(4-phenylpiperazin-1-yl) ethyl]-*2H*-1,4-benzoxazin-3(*4H*)-one (C 3)

1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and dissolved in 3 g of Potassium iodide, few ml of HCI, 5 ml Chloro benzene and 15% Sodium Hydroxide solution which was well stirred and refluxed for 3 hours. This hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained and recrystallized with the ethanol. TLC was used for monitoring the complete reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

4. Synthesis of 2-{4-[2-(3-oxo-2,3-dihydro-*4H*-1,4-benzoxazin-4-yl) ethyl]piperazin-1-yl}benzoic acid (C 4)

1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and dissolved with 3 g of Potassium iodide, 5 grams 2-chloro benzoic acid, and 15 ml of 15% Sodium Hydroxide solution. This hot mixture was poured in the ice cold water and the precipitate of the respective substitute was obtained and recrystallized with the ethanol. TLC was used for monitoring the reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

5. Synthesis of 4-{2-[4-(2-aminophenyl) piperazin-1-yl] ethyl}-*2H*-1,4-benzoxazin-3(*4H*)-one (C 5)

1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and mixed with HCI, 3 g of Potassium iodide, and 5 ml 2-Chloro aniline. This hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained and was recrystallized with the ethanol. TLC was used for monitoring the complete reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

6. Synthesis of {4-[2-(3-oxo-2,3-dihydro-*4H*-1,4-benzoxazin-4-yl) ethyl]piperazin-1-yl}acetic acid (C 6)

1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and added with few ml of HCI, 3 g of Potassium iodide, 5 grams of Chloro

acetic acid, and 15 % Sodium Hydroxide solution which was refluxed for 3 hours. The hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained and was recrystallized with the ethanol. TLC was used for monitoring the complete reaction.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

7. Synthesis of 4-{4-[2-(3-oxo-2,3-dihydro-*4H*-1,4-benzoxazin-4-yl)ethyl]piperazin-1-yl}benzoic acid (C 7) 1 g of 4-[2-(piperazin-1-yl) ethyl]-*2H*-1, 4-benzoxazin-3(*4H*)-one was taken in a round bottom flask and 3 g of Potassium iodide along with few ml of HCI, 5 grams 4-Chlorobenzoic acid, and 15 ml of 15% Sodium Hydroxide solution which was refluxed for 3 hours. This hot mixture was poured in ice cold water and the precipitate of the respective substitute was obtained was recrystallized with the ethanol. TLC was used for monitoring the complete process.

Solubility: - dimethylformamide

Solvent system: - chloroform: methanol (9.5:0.5)

Table 1: Antibacterial Activity of Synthesized Compounds (mean of Zone of Inhibition)

Compound No.	Conc. (ppm)	*E. coli* (Gram –ve Bacteria)		*P. aeruginosa* (Gram –ve Bacteria)		*Staphylococcus aureus* (Gram +ve Bacteria)		*Bacillus subtilis* (Gram +ve Bacteria)	
		Zone of inhibition (in mm)	% inhibition	Zone of inhibition (in mm)	% inhibition	Zone of inhibition (in mm)	% inhibition	Zone of inhibition (in mm)	% inhibition
B1	750	07	22.42	04	27	05	22.75	07	22.42
B2	750	06	26.57	07	24	07	42.65	07	22.42
B3	750	20	45.72	20	40	06	47.42	20	45.70
B4	750	20	45.72	06	42	22	49.94	20	45.70
B5	750	26	74.26	24	57	24	59.02	26	74.27
B6	750	29	77.65	25	70	27	72.74	24	47.42
B7	750	10	71.41	17	79	19	91.71	19	74.17
B8	750	10	45.71	09	47	09	47.41	04	14.19
B9	750	09	19.57	07	14	05	11.75	09	19.17
B10	750	09	41.14	07	14	09	47.41	07	14.99
B11	750	10	45.71	09	41	09	47.41	07	14.99
B12	750	09	19.57	07	14	07	17.14	09	41.14
B13	750	09	41.14	07	19	07	41.95	07	11.41
B14	750	05	17.95	04	11	04	14.71	01	7.14
B15	750	19	77.95	14	57	15	79.10	19	74.17
B16	750	19	74.19	15	70	17	71.74	14	49.99
B17	750	10	71.41	19	71	19	91.71	17	70.79
B18	750	11	74.99	19	71	19	91.71	19	77.94
B19	750	10	71.41	19	77	19	97.17	17	70.79
B20	750	11	74.99	17	79	15	79.10	15	54.55

B21	750	24	92.14	20	90	16	66.19	19	66.94
B22	750	22	69.56	19	66	19	91.62	19	66.94
B23	750	24	95.61	21	94	19	96.26	19	64.26
C1	750	26	92.95	21	94	20	90.90	19	66.94
C2	750	24	95.61	20	90	20	90.90	20	61.40
C3	750	22	69.56	19	62	16	62.64	19	64.26
C4	750	24	92.14	19	66	16	62.64	16	60.69
C5	750	24	95.61	20	90	19	91.62	19	66.94
C6	750	25	99.29	22	99	20	90.90	19	64.26
C7	750	24	95.61	21	94	21	95.44	19	66.94
Standard- I (ciprofloxacin)	**750**	**28**	**100**	**25**	**100**	**22**	**100**	**28**	**100**

Table 2: Antifungal Activity of Synthesized Compounds

Compound No.	**Conc. (ppm)**	***C. albicans***		***A. niger***	
		Zone of inhibition (in mm)	**% inhibition**	**Zone of inhibition (in mm)**	**% inhibition**
B1	750	04	31.04	04	33.30
B2	750	06	31.66	06	38.86
B3	750	08	36.93	06	33.30
B4	750	06	31.66	04	33.30
B5	750	14	83.64	11	61.06
B6	750	13	63.13	14	88.80
B7	750	16	89.90	14	88.80
B8	750	09	43.09	06	33.30
B9	750	04	31.04	06	38.86
B10	750	04	31.04	06	33.30
B11	750	11	68.96	09	49.96
B12	750	06	31.66	04	33.30
B13	750	06	36.30	06	33.30
B14	750	03	10.63	03	11.10
B15	750	10	63.60	11	61.06
B16	750	14	83.64	13	83.16
B17	750	16	94.16	13	83.16
B18	750	16	94.16	16	93.36
B19	750	18	99.43	16	99.90
B20	750	18	99.43	16	93.36
B21	750	19	94.69	14	88.80
B22	750	19	94.69	16	99.90
B23	750	14	83.64	16	93.36
C1	750	19	94.69	16	93.36

C2	750	16	94.16	16	93.36
C3	750	16	93.16	19	93.36
C4	750	16	99.90	16	99.90
C5	750	19	99.32	16	99.90
C6	750	13	93.63	13	99.90
C7	750	16	93.16	16	93.26
Standard-(Griseofulvin)	**I750**	**19**	**100**	**18**	**100**

Table 3: Minimum Inhibitory Concentration (MIC) of the Most Potent Compounds

Compound No.	MIC (µg/mL)			
	E. coli ***(Gram –ve Bacteria)***	***P. aeruginosa*** ***(Gram –ve Bacteria)***	***Staphylococcus aureus*** ***(Gram +ve Bacteria)***	***Bacillus subtilis*** ***(Gram +ve Bacteria)***
B19	60	70	65	65
B21	65	65	65	65
C1	65	65	65	65
C2	60	65	60	65
C4	65	65	65	40
C5	65	60	40	65
C6	65	65	65	65
C7	65	65	65	65

RESULT AND DISCUSSION

Benzoxazinones is an important class group of secondary metabolites occurring in Scrophulariaceae, Ranunculaceae, Acanthaceae, and Gramineae. They are reported to be performing good function of antibacterial and angifungal in different cereals including rye and corn wheat. The study of Benzoxazinone is old and well-recorded in chemistry field. The compounds encompassing Benzoxazinone moiety are highly significant and used in agriculture and pharmaceutical industry. Hetrocycles bearing Benzoxazinone ring residue are reported to be showing good progress in terms of acting as CNS depressant, antioxidant, antiviral, antimalerial, anticonvulsant, analgesic, and anti-inflammatory. In addition, benzoxazinone forms an important pharmacaphore in fungicidal, herbicidal and insecticidal, agents. Novel 1,4-benzoxazinone-3-one derivative has been synthesized which would have inhibitory activities against tyrosine kinases and the inhibitory activities against KDR and ABL which are closely related to chronic disease such as cancer. 1,4-benzoxazinone has wide application in medicinal chemistry due to its pharmacological properties. The members of this family are used for treating antidepressants, potassium channel openers, reperfusion, and Parkinson's disease, Moreover, 1,4-benzoxazinone used as intermediates for the synthesis of aza sugar. First of all the Synthesis of *2H*-1, 4-

benzoxazin-3(*4H*)-one was carried out by reacting 2- amino phenol with chloro acetyl chloride in dichloromethane in presence of triethylamine and then the bromo substitution was done by reacting with dibromoethane. Piperazine substituents were prepared in laboratory and then the title compounds were synthesized. One additional benzoyl substitution was also done. The entire synthesized compounds were primarily characterized by running T.L.C. and melting point analysis.

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