Arabian Journal of Chemistry (2016) 9, S1125–S1130

King Saud University

Arabian Journal of Chemistry

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ORIGINAL ARTICLE

Synthesis and antimicrobial activity of novel 2-substituted benzimidazole, benzoxazole and benzothiazole derivatives

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Received 15 July 2011; accepted 10 December 2011
Available online 28 December 2011

KEYWORDS

Synthesis;
Benzimidazole;
Benzoxazole;
Benzothiazole;
Antimicrobial activity

Abstract In an endeavor to find a new class of antimicrobial agents, a series of 2-(1*H*-benzimidazol-2-yl)-5-(diethylamino)phenol, 2-(1,3-benzoxazol-2-yl)-5-(diethylamino)phenol, 2-(1,3-benzothiazol-2-yl)-5-(diethylamino)phenol and their derivatives were synthesized starting from *p*-*N*,*N*-diethyl amino salicylaldehyde with different substituted *o*-phenylenediamine or *o*-aminophenol or *o*-aminothiophenol. The newly synthesized compounds were characterized by FT-IR, ^{1}H NMR and LC–MS analysis. All compounds were evaluated for in vitro antibacterial activities against *Escherichia coli* and *Staphylococcus aureus* strains and in vitro antifungal activity against *Candida albicans* and *Aspergillus niger* strains by using serial dilution method. The antibacterial activities were expressed as the minimum inhibitory concentration (MIC) in μg/mL.

1. Introduction

The design of new compounds to deal with resistant bacteria and fungi has become one of the most important areas of antibacterial and antifungal research today, since resistance of pathogenic bacteria and fungi toward available antimicrobial drug is rapidly becoming a major problem worldwide. So the discovery of novel and potent antibacterial as well as antifungal agent is more demanding and challenging for chemists and pharmacists nowadays. Molecules with benzimidazole, benzoxazole and benzothiazoles moieties are attractive targets for synthesis since they often exhibit diverse and important biological properties. These heterocycles have shown different pharmacological activities such as gram-positive antibacterial agents, antibiotics, antiparasitic, anti-inflammatory, elastase inhibitors, anti-stress, ulcer and anti-cancer agents (Redi et al., 2008; Etna et al., 2009; Alper-Hayta et al., 2008; Kumar

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Peer review under responsibility of King Saud University.

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http://dx.doi.org/10.1016/j.arabjc.2011.12.006
1878-5352

et al., 2002), antiviral (Song et al., 2005) and antiparkinson (Benazzou et al., 1995) properties. They have also been used as ligands for asymmetric transformations (Figge et al., 2002). Benzimidazole derivatives are unique and a broad-spectrum class of antirhino/enteroviral agents such as antihistaminic, antipyretic, anti-ulcerative (Scott et al., 2002), antiallergic (Nakano et al., 2000) are effective against the human cytomegalovirus (HCMV) (Zhu et al., 2000), and are also efficient selective neuropeptide YY1 receptor antagonists (Zarrinmayeh et al., 1998). A careful literature survey has also revealed many pyrazole derivatives of benzimidazole, benzoxazole and benzothiazole to be reported as antifungal and antibacterial agents (Gadakh et al., 2010). McKee and Kerwin (2008) developed bis-benzoxazole natural product analogs UK-1, MUK-1, DMUK-1 and 2-(2'-hydroxyphenyl) benzoxazole analogs is one of the growing number of structurally related secondary metabolites with interesting biological activity Fig. 1, UK-1 and analogs display a wide spectrum of potent anticancer activity against leukemia, lymphoma and certain solid tumor-derived cell lines; however, this anticancer natural product does not show antibacterial or antifungal activity.

Although various derivatives are reported in the literature for the synthesis and antimicrobial evaluation of benzimidazole, benzoxazole and benzothiazole incorporated in different heterocyclic ring (Reddy et al., 2004; Karale et al., 2000), but antimicrobial activities of these classes of compounds have received little attention. However there are no reports available describing antimicrobial activities of substituted benzimidazole, benzoxazole and benzothiazole. Therefore an attempt is made here to synthesize series of benzimidazole, benzoxazole and benzothiazole ring system, and their antimicrobial properties have been evaluated.

2. Experimental

2.1. Biological activity

All compounds were evaluated for in vitro antibacterial activities against *E. coli* and *Staphylococcus aureus* strains and in vitro antifungal activity against *Candida albicans* and *Aspergillus niger* strains by using serial dilution method.

2.2. General

Incubator at 35 and 37 °C; pipettes of various sizes (Gilson); sterile tips, 100, 200, 500 and 1000 μL; sterile normal saline; sterile isosensitest agar (Southern Group Laboratory, SGL); antibiotic solutions (Sigma–Aldrich); sterile solution of 10% (v/v) DMSO in water (Sigma–Aldrich).

2.3. 2.3.Medium

Isosensitest medium was used throughout this assay, as it is pH buffered. Although NCCLS (2000) recommends the use of Mueller Hinton medium for susceptibility testing the isosensitest medium had comparable results for most of the tested bacterial strains (Koeth et al., 2000).

2.4. Preparation of the plates

Plates were prepared under aseptic conditions. A sterile 96 well plate was labeled. A volume of 100 μL of test material in 10% (v/v) DMSO (usually a stock concentration of 4 mg/mL) was pipetted into the first row of the plate. To all other wells 50 μL of nutrient broth. Serial dilutions were performed using a multichannel pipette. Tips were discarded after use such that each well had 50 μL of the test material in serially descending concentrations. To each well 10 μL of resazurin indicator solution was added. Using a pipette 30 μL of 3.3 × strength isosensitized broths were added to each well to ensure that the final volume was single strength of the nutrient broth. Finally, 10 μL of bacterial suspension (5×10^6 cfu/mL) was added to each well to achieve a concentration of 5×10^5 cfu/mL. Each plate was wrapped loosely with cling film to ensure that bacteria did not become dehydrated. Each plate had a set of controls: a column with a broad-spectrum antibiotic as positive control, a column with all solutions with the exception of the test compound, and a column with all solutions with the exception of the bacterial solution adding 10 μL of nutrient broth instead. The plates were prepared in triplicate, and placed in an

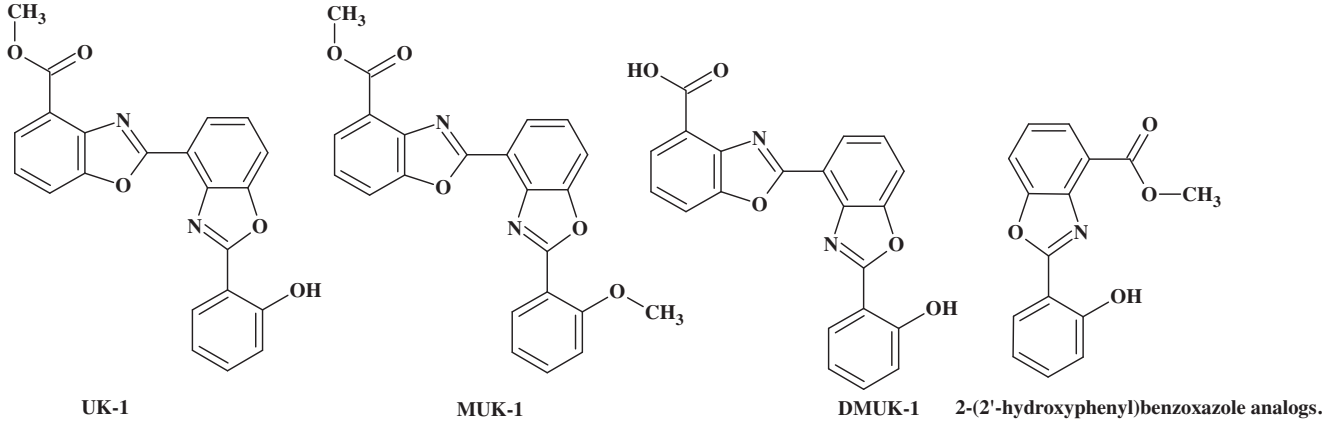


Figure 1 Structures of UK-1, MUK-1, DMUK-1 and 2-(2-hydroxyphenyl) benzoxazole analogs.

incubator set at 37 °C for 18–24 h. The color change was then assessed visually. Any color changes from purple to pink or colorless were recorded as positive. The lowest concentration at which color change occurred was taken as the MIC value. The average of three values was calculated and that was the MIC for the test material and bacterial or fungal strain (Sarkar et al., 2007).

2.5. General experimental

Commercial reagents and solvents were procured from s.d. fine chemicals (India) and were used without purification. The reaction was monitored by TLC using on 0.25 mm E-Merck Silica Gel 60 F_{254} precoated plates, which were visualized with UV light. Melting points were measured on standard melting point apparatus from Sunder industrial product Mumbai, and are uncorrected. The FT-IR spectra were recorded on Perkins–Elmer 257 spectrometer using KBr discs. ^{1}H NMR spectra were recorded on VXR 400-MHz instrument using TMS as an internal standard.

2.5.1. Procedure for the preparation of 4-(N,N-diethyl amino)-2-hydroxybenzaldehyde (2)

Phosphorous oxychloride ($POCl_3$) (2.75 mL, 0.03 mol) was slowely added to dimethylformamide (DMF) (3.65 mL, 0.05 mol) at 5–10 °C under stirring. To this cooled reagent 3-(*N*,*N*-diethyl amino) phenol (**1**) (1.66 g, 0.01 mol) was added by dissolving it in DMF (6 mL) under stirring and the resulting mixture was heated at 75 °C for 4 h. The reaction mixture was cooled to room temperature and then poured into ice water (60 mL). Reaction mass was neutralized with sodium carbonate, brown colored solid separated out, filtered the separated product washed with cold water, dried and crystallized from ethanol to get pure product (m.p. 62 °C) (lit. 62–64 °C; Nicholas et al., 1957).

2.5.2. General procedure of preparation of 2-substituted benzimidazole, benzoxazole and benzothiazole (3)

Phosphorus trichloride (0.33 mol) was added drop wise to a solution of the *p*-*N*,*N*-diethyl salicylaldehyde (**2**) (0.33 mol) and substituted 1,2-phenylenediamine or *o*-aminophenol or *o*-aminothiophenol (0.33 mol) in ethanol (50 mL), maintaining the temperature at 40–45 °C, The mixture was heated at 60 °C for 4 h, after completion of reaction (monitored by TLC) cooled the reaction mass at room temperature and brought the alkaline to pH 8 with aqueous sodium bicarbonate solution (20% w/v). Separated product was collected by filtration and crystallized from isopropyl alcohol.

2.5.3. General procedure for preparation of (4)

Palladium–carbon catalyst (10%) was added portion-wise during 5–10 min to a hot solution of compound **(3)** (0.28 mmol) in ethanol (50 mL) containing hydrazine hydrate (1.96 mmol). The mixture was heated under reflux for 1 h. The hot solution was filtered through a Whatman paper to remove Pd/C and further filtrate was filtered through silica gel (5 g) and the solvent was evaporated. Pure product was obtained and analyzed without further purification (Padalkar et al., 2011).

2.5.4. Spectral data of synthesized compounds (4a–4i)

2.5.4.1. 2-(1H-Benzimidazol-2-yl)-5-(N,N-diethylamino) phenol ***4a***. m.p.: 192 °C. FT-IR (KBr): 2975, 1620, 1518, 1145, 817, 733 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.13 (t, 6H), 3.32 (q, 4H), 6.17 (s, 1H), 6.30–6.52 (d, 1H, J = 2.8 Hz), 6.98 (d, 1H, J = 8.8 Hz), 7.00 (dd, 1H, J = 8.8, 2.0 Hz) 7.57–7.59 (dd, 1H, J = 8.0, 2.4 Hz), 7.83–7.85 (ddd, 2H, J = 8.0, 7.8, 1.8 Hz), 8.23–8.25 (dd, 1H, J = 8.0, 2.4 Hz), 12.13 (s, 1H). LC–MS: (282.3, 97.89%).

2.5.4.2. 5-(N,N-Diethylamino)-2-(5-nitro-1H-benzimidazol-2-yl) phenol ***4b***. m.p.:264 °C. FT-IR (KBr): 2991, 1620, 1520, 1338, 1149, 946, 817, 733 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.17 (t, 6H), 3.45 (q, 4H), 6.42 (d, 1H, J = 2.4 Hz), 6.53–6.55 (d, 1H, J = 8.4 Hz), 7.83–786 (dd, 1H, J = 8.8, 2.4 Hz), 8.03–8.05 (dd, 1H, J = 9.2, 0.8 Hz), 8.25–8.28 (dd, 1H, J = 10.8, 2.0 Hz), 8.50–8.55 (d, 1H, J = 2.0 Hz), 9.23 (s, 1H), 13.00 (s, 1H). LC–MS: (327.3, 95.99%).

2.5.4.3. 2-(5-Amino-1H-benzimidazol-2-yl)-5-(N,N-diethylamino) phenol ***4c***. m.p.: 272 °C. FT-IR (KBr): 3417, 3285, 2971, 1642, 1575, 1484, 1270, 1124, 1077, 784 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.12 (t, 6H), 3.35 (q, 4H), 5.30 (s, 1H), 6.17–6.18 (s, 2H), 6.31–6.33 (dd, 1H, J = 8.8, 2.4 Hz), 6.52–6.55 (dd, 1H, J = 8.8, 2.0 Hz), 6.69 (d, 1H, 1.6 Hz), 7.22–7.24 (dd, 1H, J = 8.4, 0.8 Hz), 7.69–7.71 (dd, 1H, J = 8.8, 1.0 Hz), 8.66 (s, 1H), 12.63 (s, 1H). LC–MS: (297.3, 98.59%).

2.5.4.4. 2-(1,3-Benzoxazol-2-yl)-5-(N,N-diethylamino)phenol ***4d***. m.p.: 268 °C. FT-IR (KBr): 3013, 1656, 1575, 1484, 1287, 1270, 1124, 1077, 784 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.16 (t, 6H), 3.41 (q,4H), 5.87–5.89 (d, 1H, J = 8.8, 2.0 Hz), 6.08–6.10 (d, 1H, J = 2.2 Hz), 6.42–6.44 (d, 1H, J = 8.8 Hz), 6.78–6.91(dd, 1H, J = 8.8, 1.8 Hz), 7.11–7.13 (dd, 1H J = 8.0, 2.2 Hz), 7.23 (ddd, 1H, J = 8.8, 8.0, 1.8 Hz), 7.59 (ddd, 1H, J = 8.8, 8.0, 1.8 Hz), 11.23 (s, 1H). LC–MS: (283.3, 96.25%).

2.5.4.5. 5-(N,N-Diethylamino)-2-(6-nitro-1,3-benzoxazol-2-yl)phenol ***4e***. m.p. 218 °C. FT-IR (KBr): 2987, 1635, 1530, 1350, 1145, 1098, 847, 742 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.22 (t, 6H), 3.40 (q, 4H), 5.98–6.00 (d, 1H, J = 2.2 Hz), 6.12–6.14 (dd, 1H, J = 8.0, 2.2 Hz), 6.45–6.47 (d, 1H, J = 8.8 Hz), 6.80–6.93(d, 1H, J = 8.8 Hz), 7.14–7.16 (dd, 1H, J = 8.8, 2.2 Hz), 7.26 (d, 1H, J = 2.2 Hz), 11.37 (s, 1H). LC–MS: (328.3, 97.12%).

2.5.4.6. 5-(N,N-Diethylamino)-2-(5-nitro-1,3-benzoxazol-2-yl)phenol ***4f***. m.p.: 240 °C decomposes. FT-IR (KBr): 2987, 1632, 1535, 1347, 1147, 1100, 845, 740 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.23 (t, 6H), 3.42 (q, 4H), 5.59 (d, 1H, J = 2.4 Hz), 5.88–5.90 (d, 1H, J = 8.0 Hz), 5.98–6.00 (dd, 1H, J = 8.0, 2.4 Hz), 6.16–6.18 (dd, 1H, J = 8.8, 1.0 Hz), 6.95–7.08 (dd, 1H, J = 8.8, 2.0 Hz), 7.44 (dd, 1H, J = 2.4, 0.8 Hz), 11.77 (s, 1H). LC–MS: (328.3, 98.62%).

2.5.4.7. 2-(6-Amino-1,3-benzoxazol-2-yl)-5-(N,N-diethylamino)phenol ***4g***. m.p.: 269 °C decomposes. FT-IR (KBr): 3427, 3281, 2967, 1643, 1560, 1487, 1267, 1124, 1067, 774 cm^{-1}. ^{1}H NMR (400 MHz) (δ: ppm): 1.10 (t, 6H), 3.31 (q, 4H), 5.97 (d, 1H, J = 2.2 Hz), 6.14 (s, 2H), 6.24–6.26 (dd, 1H, J = 8.8, 2.4 Hz), 6.59–6.61 (d, 1H, J = 8.8 Hz), 6.74 (dd, 1H, J = 8.8, 2.0 Hz), 7.27–7.29 (d, 1H, J = 2.4 Hz), 7.71–7.73 (d, 1H, J = 8.8 Hz),12.13 (s, 1H). LC–MS: (298.3, 96.62%).

2.5.4.8. 2-(5-Amino-1,3-benzoxazol-2-yl)-5-(N,N-diethylamino)phenol ***4h***. m.p.: 250 °C decomposes. FT-IR (KBr): 3431, 3290, 3013, 1656, 1567, 1484, 1276, 1260, 1227, 1068, 780 cm^{-1}. ^1H NMR (400 MHz) (δ: ppm): 1.09 (t, 6H), 3.32 (q, 4H), 5.93 (d, 1H, J = 2.2 Hz), 6.21 (s, 2H), 6.23–6.25 (dd, 1H, J = 8.8, 2.4 Hz), 6.61–6.63 (d, 1H, J = 8.8 Hz), 6.74 (d, 1H, J = 2.6 Hz), 7.29–7.31 (dd, 1H, J = 8.4, 2.6 Hz), 7.69–7.71 (d, 1H, J = 8.8 Hz), 12.09 (s, 1H). LC–MS: (298.3, 95.62%).

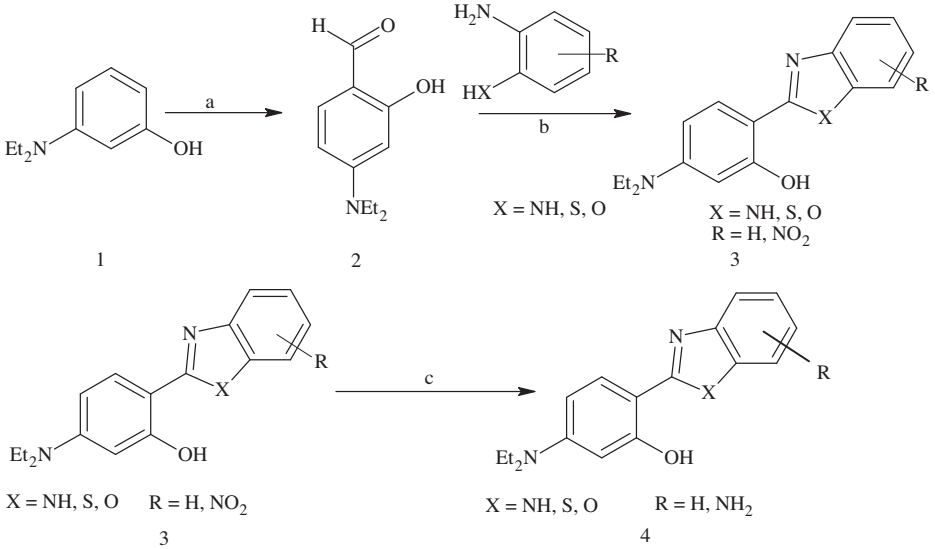
2.5.4.9. 2-(1,3-Benzothiazol-2-yl)-5-(N,N-diethylamino)phenol ***4i***. m.p.: 168 °C. FT-IR (KBr): 2875, 1630, 1618, 1456, 1342, 1135, 812, 743 cm^{-1}. ^1H NMR (400 MHz) (δ: ppm): 1.21 (t, 6H), 3.41 (q, 4H), 6.27 (d, 1H, J = 1.8 Hz), 7.26–7.28 (dd, 1H, J = 8.0, 2.0 Hz), 7.29–7.31 (d, 1H, J = 8.8 Hz), 7.44–7.46 (dd, 2H, J = 8.8, 2.0 Hz), 7.80–7.86 (ddd, 2H, J = 8.4, 8.0, 2.0 Hz), 12.56 (s, 1H). LC–MS: (299.4, 98.74%).

3. Results and discussion

Recently, our research group became involved with a comprehensive program involving the synthesis of a series of nitrogen heterocycles and their utilization in the synthesis of heterocyclic compounds with potential applications (Gupta et al., 2011; Padalkar et al., 2010, 2011). In continuation to this program, we report herein the synthesis and antimicrobial activity of substituted 2-(1*H*-benzimidazol-2-yl)-5-(diethylamino) phenol, 2-(1,3-benzoxazol-2-yl)-5-(diethylamino) phenol and 2-(1,3-benzothiazol-2-yl)-5-(diethylamino) phenol derivatives shown in Scheme 1. *N*,*N*-Diethyl *m*-amino phenol (**1**) on formylation by using Vilsmeier–Haack reaction with DMF:$POCl_3$ at 60 °C to yield *p*-*N*,*N*-diethyl amino salicylaldehyde (**2**). The *p*-*N*,*N*-diethyl amino salicylaldehyde on further reaction with different substituted *o*-phenylenediamine or *o*-aminophenol or *o*-aminothiophenol in ethanol and PCl_3 at 60 °C to obtain the corresponding 2-(1*H*-benzimidazol-2-yl)-5-(diethylamino)phenol, 2-(1,3-benzoxazol-2-yl)-5-(diethylamino)phenol and 2-(1,3-benzothiazol-2-yl)-5-(diethylamino)phenol derivatives **3**. The compounds **3b**, **3e** and **3f** on reduction by using 10% Pd/C in ethanol and hydrazine hydrate yield **4b**, **4e** and **4f**. The synthesized compounds are shown in Table 1. The purity of the compound was confirmed by TLC using precoated silica gel as a stationary phase, using appropriate solvent system as mobile phase and visualized under UV-light as well as analyzed via LC–MS analysis. Structures of the title compounds were confirmed by FT-IR, ^1H NMR and Mass spectral studies. Intermediate *p*-*N*,*N*-diethyl amino salicylaldehyde formation is confirmed by using melting points. FT-IR spectrum of 2-(1*H*-benzimidazol-2-yl)-5-(diethylamino) phenol, 2-(1,3-benzoxazol-2-yl)-5-(diethylamino) phenol and 2-(1,3-benzothiazol-2-yl)-5-(diethylamino)phenol derivatives (**3**) have showed absence of absorption band at 1670 cm^{-1} gave the conformation of aldehydic functional group is converted into corresponding benzimidazole, benzoxazole and benzothiazole and in ^1H NMR spectrum absence of peak at 9.90 δ ppm confirmed the conversion of formyl functional group into target compound. LC–MS spectra showed an accurate molecular ion for each title compounds.

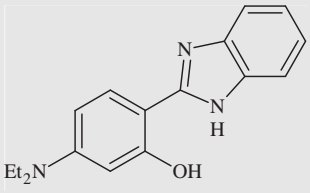
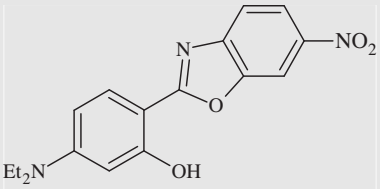
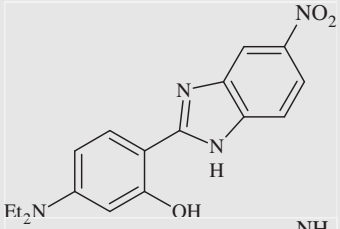
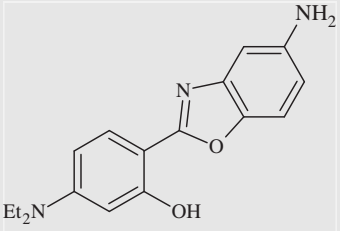
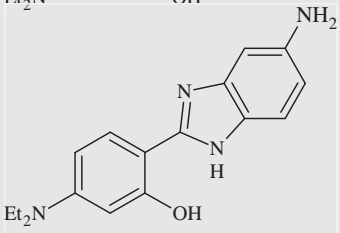
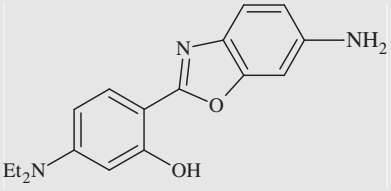
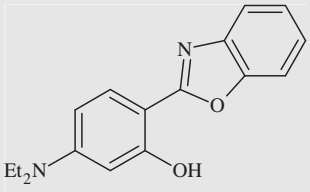
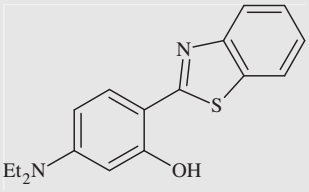
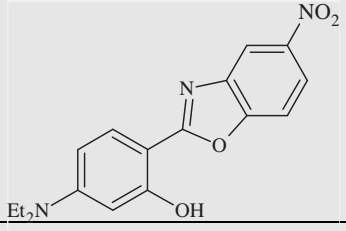
3.1. Antimicrobial activity

All newly synthesized compounds **4a**–**4i** were evaluated for their in vitro antibacterial activity against *E. coli* and *S. aureus* strains and in vitro antifungal activity against *C. albicans* and *A. niger* strains by using serial dilution method. The minimum inhibitory concentration (MIC) measurement determined for compounds showed significant growth inhibition zones using serial dilution method. The MIC (μg/mL) values are recorded in Fig. 2. The results mentioned in Fig. 2 indicate that, synthesized compounds displayed variable inhibitory effects on the growth of *Escherichia coli* and *Staphylococcus aureus* (bacterial strain), *Candida albicans* and *Aspergillus niger* (fungal strain).



Scheme 1 Synthesis of 2-substituted benzimidazole, benzoxazole and benzothiazole compounds **4a**–**4i**.

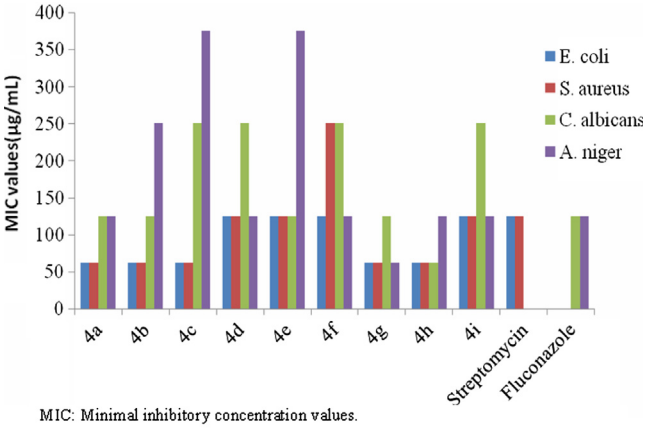
Table 1 Synthesized benzimidazole, benzoxazole and benzothiazole derivatives (**4a**–**4i**).

Entry	Compounds	Entry	Compounds
4a		**4f**	
4b		**4g**	
4c		**4h**	
4d		**4i**	
4e			

The compounds **4a**–**4h** showed good antibacterial activity against *E. coli* strain with **4i**, **4i** showed 50% less inhibitory activity against *E. coli*. The compounds **4a**–**4c** showed excellent antibacterial activities against *S. aureus* strain, and **4d**–**4i** derivatives showed moderate inhibitory action. Regarding the structure–activity relationship of the novel benzimidazole, benzoxazole and benzothiazole derivatives **4a**–**4i** against the tested bacteria, the results revealed that new compounds contain benzimidazoles **4a**, **4b** and **4c** that exhibited a broad spectrum of antibacterial profile against tested organism as compared to 2-substituted benzoxazole and benzothiazole **4d**–**4i**. The electron donating and electron withdrawing groups in target molecules **4a**–**4i** do not affect the growth inhibitory activity against tested bacterial strains.

The antifungal activity of 2-(1*H*-benzimidazol-2-yl)-5-(diethylamino) phenol, 2-(1,3-benzoxazol-2-yl)-5-(diethylamino) phenol and 2-(1,3-benzothiazol-2-yl)-5-(diethylamino) phenol derivatives **4a**–**4i**, against antifungal strains (*C. albicans* and *A. niger*) are summarized in Fig. 2. The results mentioned in Fig. 2 showed that compound **4i** shows excellent inhibitory growth in the case of *C. albicans* as well as *A. niger* strain, as compared to **4a**–**4h**. Regarding the structure–activity relationship of the novel benzimidazole, benzoxazole and benzothiazole derivatives **4a**–**4i** against the tested antifungal strains, the results revealed that new compounds contain benzothiazole that exhibited a broad spectrum of antifungal profile against tested organisms as compared to 2-substituted benzoxazole and benzimidazole. Electron donating and electron withdrawing groups on benzimidazole, benzoxazole and benzothiazole do not affect the growth inhibitory activity against tested fungal strains.

In general, most of the tested compounds revealed better activity against the antibacterial strains (*E. coli*, *S. aureus*) and antifungal strains (*C. albicans*, *A. niger*). It should also



MIC: Minimal inhibitory concentration values.

Bacterial strain: *E. coli; S. aureus.*

Fungal Strain: *C. albicans; A. niger.*

Solvent used: DMSO (Dimethyl sulphoxide).

Standard: Bacterial strain: Streptomycin 125µg/mL, Fungal strains: Fluconazole 125µg/mL

Figure 2 Antibacterial and antifungal activities of newly synthesized compounds indicated by MIC (µg/mL) using the modified resazurin assay.

be noted that benzimidazole derivatives give better antibacterial potential and benzothiazole derivatives possess better antifungal potential.

4. Conclusion

In conclusion, we have designed and synthesized a series of novel 2-substituted benzimidazole, benzoxazole and benzothiazole derivatives. These novel compounds were evaluated for in vitro antibacterial activity against *E. coli* and *S. aureus* strains as well as for antifungal activity against *C. albicans* and *A. niger* strains using serial dilution technique. Benzimidazole and benzoxazole give excellent results against bacterial strain and benzothiazole against fungal strain. All synthesized compounds are confirmed by FT-IR, ^{1}H NMR and LC–MS analysis. We believe the insights gained in this study would be useful for the development of potential drug candidate derived from benzimidazole, benzoxazole and benzothiazole in the development of novel anti-infective agent.

Acknowledgement

The author is greatly thankful to I.I.T. Mumbai for recording the ^{1}H NMR and Mass spectra.

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