Synthesis and Biological Activities of Some Benzimidazolone Derivatives

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The reaction of 5-nitrobenzimidazolone with phenoxyethyl bromide in presence of potassium carbonate in dimethyl formamide obtained 6-nitro-1,3-bis(2-phenoxyethyl)-1,3-dihydro-2H-benzimidazol-2-one. It was reduced using stannous chloride to get 6-amino -1,3-bis(2-phenoxyethyl)-1, 3-dihydro-2H-benzimidazol -2-one, which was further treated with aromatic sulphonyl chloride to obtain benzimidazolone derivatives, 6a-k. These compounds were tested for antibacterial, antituberculosis and antifungal activity. Most of them have shown very good activity against some gram positive and gram negative microorganisms and fungal strains. Some of them have shown moderate activity against *Mycobacterium tuberculosis.*

Key words: Benzimidazolone, antifungal, antibacterial and antituberculosis activity

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The incidence of bacterial and fungal infections has increased dramatically in the past 20 years partly because of the increase in the number of people whose immune systems are compromised by with AIDS, aging, organ transplantation or cancer therapy. Accordingly, the increase in rates of morbidity and mortality because of bacterial and fungal infections has been now recognized as a major problem. In response to the increased incidence of bacterial and fungal infections, researchers are working on the development of newer less toxic antiinfective agents for clinical use.

Sulfonamide drugs were the first antimicrobial drugs, and paved the way for the antibiotic revolution in medicine. There are several sulfonamide-based groups of antiinfective drugs e.g. sulfamethoxazole, which are known as the reversible inhibitors of folic acid synthesis\(^{[1]}\). Sulfa drugs are still widely used for conditions such as acne and urinary tract infections, and are receiving renewed interest for the treatment of infections caused by bacteria resistant to other antibiotics.

In the last few years, benzimidazole and benzimidazolone have been studied extensively for their antitumor\(^{[2]}\), antiviral\(^{[3]}\) and antibiotic activities such as the antiprotozoal and antibacterial\(^{[4]}\). Recently, Monforte et al. identified some 1,3-dihydrobenzimidazol-2-one derivative and their sulfones as a potent and novel class of non-nucleoside reverse transcriptase inhibitor\(^{[5]}\). Aryloxyalkyl benzimidazole derivatives have been explored for antimicrobial activity by Khalafi-Nezhad et al. and have suggested that negative electrostatic potentials around oxygen of the phenoxy and nitrogen of the imidazole moieties have direct effect on the antibacterial activity towards *Staphylococcus aureus*\(^{[6]}\).

Very few attempts have been made so far to explore antimicrobial activity of sulfonamide linked benzimidazolone. In order to explore the potential role of sulfonamide linked benzimidazolone in antinfective treatment, we have synthesized some arylxyalkyl benzimidazolone linked to various heterocyclic ring systems through sulfonamide linkage and tested them for antimicrobial activity.

All the recorded melting points were determined in open capillary and are uncorrected. IR spectra were recorded on Perkin-Elmer FTIR spectrophotometer in KBr disc. \(^{1}H\)-NMR and \(^{13}C\)-NMR spectra were recorded on 400 MHz spectrophotometer in DMSO-\(d_{6}\) as a solvent and TMS as an internal standard. Peak positions are shown in ppm values. Mass spectra were obtained by Waters mass spectrometer. Thin layer chromatography (TLC) was performed on precoated aluminum sheets of Silica Gel 60 F254 (Merck, Art. 5554), visualization of products being accomplished by UV absorption.

General procedure used for the synthesis of 6-nitro-1,3-bis(2-phenoxyethyl)-1,3-dihydro-2H-benzimidazol-2-one (3) was as follows. Compound 1 (0.01 mol) and 2 (0.01 mol) were dissolved in DMF along with \(K_{2}CO_{3}\). The reaction mixture was stirred at 45° for 14 h. The reaction mixture was cooled to room temperature and poured into water and extracted by ethyl acetate. The organic layer separated, dried over sodium sulphate and concentrated under vacuum. The crude product was recrystallised from ethanol.

Compound (3) was obtained in a yield of 86%; yellow solid, mp: 90-92°. Analysis calculated for \(C_{23}H_{21}N_{2}O_{2}\): C, 65.86; H, 5.05; N, 10.02. Found: C, 65.70; H, 5.01; N, 9.90. IR (KBr): 3432 s, 3111 s, 1678 s, 1560 s, 1498 s. \(^{1}H\)-NMR (400 MHz, DMSO): 8.27 d, 1H, J=2.4 (Ar-H); 8.10 dd, 1H, J=8.8, 2.0 (Ar-H); 7.53 d, 1H, J=8.8 (Ar-H), 7.21 m, 4H (Ar-H); 6.8 m, 6H (Ar-H); 4.35 m, 4H, (OCH\(\text{3}\)), 4.27 m, 4H (NCH\(\text{2}\)). MS m/z: 420 (M+1) with all isotopic and other peaks.

General procedure used for the synthesis of 6-amino-1,3-bis(2-phenoxyethyl)-1,3-dihydro-2H-benzimidazol-2-one (4) was as follows, to a solution of nitro derivative 3 (0.1 mol) in methanol (50 ml) was added 5 equivalent SnCl\(\text{2}\) and the reaction mixture was heated at 60° for 4 h. The reaction mixture was cooled to room temperature and poured into liquid NH\(\text{3}\) and filtered through hylow. The filtrate was extracted by ethyl acetate. The organic layer separated, dried over sodium sulphate and concentrated under vacuum. The product was recrystallised from ethanol.

Compound (4) was obtained in a yield of 80%; white solid, mp: 64-66°. Analysis calculated for \(C_{23}H_{22}N_{2}O_{2}\): C, 70.93; H, 5.95; N, 10.79. Found: C, 70.74; H, 5.90; N, 10.70. IR (KBr): 3600 s, 3432 s, 3111 s, 1678 s, 1498 s. \(^{1}H\)-NMR (400 MHz, DMSO): 7.28 m, 4H (Ar-H); 6.96 m, 7H (Ar-H); 6.62 s, 1H (Ar-H), 6.39 d, 1H, J=8.0 (Ar-H); 4.91 s, 2H (NH\(\text{2}\)), 4.23 s,
C-NMR (400 MHz, DMSO): 160.6, 146.3, 139.7, 129.6, 126.1, 125.8, 122.0, 113.7, 52.5, 9.3.

Compound 5i was obtained with an yield of 60%; white solid, mp: 182-184°. Analysis calculated for C_{10}H_{16}ClO_{3}S: C, 36.94; H, 1.86. Found: C, 36.85; H, 1.85. IR (KBr): 1735 s, 1598 s, 800 s. {^1}H-NMR (400 MHz, DMSO): 8.16 s, 1H (Ar-H); 8.10 d, 1H, J=8.4 (Ar-H); 7.84 d, 1H, J=8.4, 1.6 (Ar-H); 4.09 s, 4H (OCH_{3}); 2.64 s, 3H (Ar-CH_{3}). MS m/z: 289 (M+1) with all isotopic and other peaks.

General procedure used for the synthesis of 5i-j was as follows; compound 7 (0.01 mol) was added in portions to the solution of chlorosulfonic acid (10 ml) at 0° and stirred for 1 h. The reaction mixture was poured into cold water and solid separated by filtration.

Compound 5j was obtained with an yield of 66%; white solid, mp: 152-154°. Analysis calculated for C_{11}H_{19}ClO_{4}S: C, 45.76; H, 3.14. Found: C, 45.60; H, 3.13. IR (KBr): 2937 s, 2840 s, 1735 s, 1598 s. {^1}H-NMR (400 MHz, DMSO): 8.39 d, 1H, J=1.6 (Ar-H); 8.14 dd, 1H, J=8.8, 2 (Ar-H); 7.75 d, 1H, J=8.8 (Ar-H); 4.09 s, 4H (OCH_{3}); 2.97 s, 3H (Ar-CH_{3}). MS m/z: 343 (M+1) with all isotopic and other peaks.

Compound 6a was obtained with an yield of 76%, yellow solid, mp: 148-150°. Analysis calculated for C_{28}H_{22}ClN_{2}O_{5}S: C, 59.52; H, 4.46; N, 9.92. Found: C, 59.46; H, 4.44; N, 9.88. IR (KBr): 3432 s, 3111 s, 1678 s, 1498 s. {^1}H-NMR (400 MHz, DMSO): 10.36 s, 1H (NH); 8.64 d, 1H, J=2.4 (Ar-H); 8.06 dd, 1H, J=8.4, 2.4 (Ar-H); 7.67 d, 1H, J=8.4 (Ar-H); 7.18 m, 6H (Ar-H); 6.8 m, 6H (Ar-H); 6.7 dd, 1H, J=8.4, 2 (Ar-H); 4.16 s, 8H, (CH_{2}). MS m/z: 390 (M+1) with all isotopic and other peaks.

Compound 6b was obtained with an yield of 66%, brown microcrystalline, mp: 82-84°. Analysis calculated for C_{32}H_{27}N_{3}O_{5}S: C, 64.69; H, 5.26; N, 9.14. Found: C, 64.58; H, 5.25; N, 9.10. IR (KBr): 3430 s, 3119 s, 1645 s, 1677 s, 1499 s. {^1}H-NMR (400 MHz, DMSO): 9.97 s, 1H (NH); 7.51 m, 2H (Ar-H); 7.20 m, 5H (Ar-H); 7.10 m, 2H, (Ar-H); 6.80 m, 6H (Ar-H); 6.72 m, 1H (Ar-H); 4.24 s, 4H (OCH_{2}); 4.09 s, 4H (NCH_{2}); 4.04 t, 2H (NCH_{2}); 3.03 t, 2H (CH_{2}); 2.13 s, 3H (COCH_{3}). MS m/z: 613 (M+1) with all isotopic and other peaks.

Compound 6c was obtained with an yield of 68%, yellow crystalline, mp: 83-85°. Analysis calculated for C_{34}H_{27}N_{4}O_{6}: C, 67.44; H, 5.51; N, 8.97. IR (KBr): 3435 s, 3119 s, 1645 s, 1677 s, 1501 s. MS m/z: 528 (M+1) with all isotopic and other peaks.

Compound 6d was obtained with an yield of 88%, yellow crystalline, mp: 85-87°. Analysis calculated for C_{36}H_{32}N_{4}O_{5}: C, 67.51; H, 4.55; N, 7.03. Found: C, 67.44; H, 4.57; N, 7.06. IR (KBr): 3435 s, 3119 s, 1645 s, 1677 s, 1500 s. {^1}H-NMR (400 MHz, DMSO): 10.19 s, 1H, (NH); 7.52 m, 2H (Ar-H); 7.22 m, 5H (Ar-H); 7.10 m, 2H, (Ar-H); 6.81 m, 6H (Ar-H); 6.74 m, 1H (Ar-H); 4.15 s, 8H (CH_{2}); 3.82 t, 2H (CH_{2}); 3.12 s, 3H (SO_{2}CH_{3}); 3.07 t, 2H (indoline CH_{2}). MS m/z: 648 (M^{+}) with all isotopic and other peaks.

Compound 6e was obtained with an yield of 75%, yellow crystalline, mp: 92-94°. Analysis calculated for C_{38}H_{28}N_{5}O_{6}: C, 69.05; H, 5.00; N, 8.97. Found: C, 68.94; H, 5.01; N, 8.95. IR (KBr): 3435 s, 3111 s, 1677 s, 1501 s, 1350 s. {^1}H-NMR (400 MHz, DMSO): 10.46 s, 1H, (NH); 8.40 q, 2H (Ar-H); 8.13 d, 1H, J=6.4 (Ar-H); 7.62 t, 1H (Ar-H); 7.48 t, 1H (Ar-H); 7.18 m, 5H (Ar-H); 7.04 m, 2H (Ar-H); 6.88 m, 2H (Ar-H); 6.75 m, 4H (Ar-H); 6.67 dd, 1H, J=8.4, 1.6 (Ar-H); 4.09 s, 8H (CH_{2}); 2.76 s, 6H (NCH_{3}). MS m/z: 623 (M+1) with all isotopic and other peaks.
Compuond 6f was obtained with an yield of 44%, yellow crystalline, mp: 89-91°. Analysis calculated for C_{19}H_{18}ClNClO_3S: C, 60.88; H, 4.98; N, 10.39. Found: C, 60.74; H, 4.96; N, 10.42. IR (KBr): 3455 s, 3050 s, 2927 s, 2830 s, 1677 s. 1H-NMR (400 MHz, DMSO): 10.04 s, 1H (NH); 7.64 s, 1H (N=CH); 7.85 d, 1H, J=8 (Ar-H); 7.68 m, 3H (Ar-H); 7.21 m, 7H (Ar-H); 6.85 m, 9H (Ar-H); 4.16 s, 8H (CH_2); 2.70 t, 2H (tetrahydroquinoline CH_2); 3.15 s, 3H (SO_2CH_3); 1.80 m, 2H (tetrahydroquinoline CH_2). MS m/z: 663(M+1) with all isotopic and other peaks.

Compound 6g was obtained with an yield of 70%, yellow crystalline, mp: 101-103°. Analysis calculated for C_{23}H_{22}ClIN_{2}O_3S: C, 57.87; H, 3.95; N, 6.33. Found: C, 57.70; H, 3.97; N, 6.36. IR (KBr): 3600 s, 3430 s, 3110 s, 1725 s, 1678 s, 1498 s. 1H-NMR (400 MHz, DMSO): 10.67 s, 1H (COOH); 10.05 s, 1H (NH); 8.24 m, 2H (Ar-H); 7.87 m, 1H (Ar-H); 7.20 m, 6H (Ar-H); 6.80 m, 7H (Ar-H); 4.15 s, 8H (CH_2); 3.42 t, 2H (CH_3). MS m/z: 662 (M+1) with all isotopic and other peaks.

Compound 6h was obtained with an yield of 44%, yellow crystalline, mp: 85-87°. Analysis calculated for C_{22}H_{19}N_3S_2O_3: C, 59.80; H, 5.17; N, 8.45. Found: C, 59.72; H, 5.15; N, 8.48. IR (KBr): 3435 s, 3109 s, 1678 s, 1500 s. 1H-NMR (400 MHz, DMSO): 10.05 s, 1H, (NH); 7.64 d, 1H, J=2 (Ar-H); 7.51 m, 2H (Ar-H); 7.20 m, 6H (Ar-H); 6.81 m, 7H (Ar-H); 4.16 s, 8H (CH_2); 3.64 t, 2H (tetrahydroquinoline NCH_2); 3.09 s, 3H (SO_2CH_3); 1.80 m, 2H (tetrahydroquinoline CH_2). MS m/z: 663(M+1) with all isotopic and other peaks.

Compound 6i was obtained with an yield of 65%, yellow crystalline, mp: 103-105°. Analysis calculated for C_{23}H_{22}ClIN_{2}O_3S: C, 63.15; H, 4.66; N, 6.69. Found: C, 63.00; H, 4.64; N, 6.66. IR (KBr): 3602 s, 3434 s, 3112 s, 1722 s, 1677 s, 1499 s. 1H-NMR (400 MHz, DMSO): 12.05 s, 1H (COOH); 10.67 s, 1H (NH); 8.24 m, 2H (Ar-H); 7.87 m, 1H (Ar-H); 7.20 m, 6H (Ar-H); 6.80 m, 7H (Ar-H); 4.15 s, 8H (CH_2); 3.42 t, 2H (CH_3). MS m/z: 628 (M+1) with all isotopic and other peaks.

Compound 6j was obtained with an yield of 78%, yellow crystalline, mp: 110-112°. Analysis calculated for C_{23}H_{22}ClIN_{2}O_3S: C, 63.88; H, 5.19; N, 6.98. Found: C, 63.79; H, 5.21; N, 6.96. IR (KBr): 3600 s, 3435 s, 3113 s, 1724 s, 1678 s, 1498 s. 1H-NMR (400 MHz, DMSO): 12.05 s, 1H (COOH); 10.05 s, 1H (NH); 7.62 d, 2H, J=8 (Ar-H); 7.32 d, 2H, J=8 (Ar-H); 7.22 m, 4H (Ar-H); 7.12 m, 2H (Ar-H); 6.90 m, 6H (Ar-H); 6.72 dd, 1H, J=8, 1.6 (Ar-H); 4.17 t, 2H (CH_2); 4.15 s, 8H (CH_2); 3.42 t, 2H (CH_3). MS m/z: 602 (M+1) with all isotopic and other peaks.

The in vitro antimicrobial activity of test compounds was assessed against 24 h culture of several selected bacteria and fungi. The Gram +ve and Gram -ve bacteria used were, Escherichia coli, Pseudomonas aeruginosa, Streptococcus pyogenes and Staphylococcus aureus and the fungi used were Candida albicans, Aspergillus niger and Aspergillus clavatus. Antimicrobial activity of all the compounds was tested using Muller Hinton broth (Hi Media M 391) as nutrient medium for bacteria. Growth inhibition activities for test compounds were tested using disc diffusion method. The media were prepared using distilled deionized water and dispensed in 25 ml amounts into 100 mm petri dishes. One milliliter of inoculum suspension was used to inoculate by flooding the surface of Mueller-Hinton Agar petri dish. Excess
liquid was air dried under a sterile hood. Different dilutions of test compounds and standard were loaded on 6 mm sterile disc. Dimethyl sulphoxide (DMSO) was used as negative control. The loaded disc was placed on the surface of medium and the compound was allowed to diffuse for 5 min and the plates were kept for incubation at 37° for 24 h. At the end of incubation, inhibition zones formed around the disc were measured with transparent ruler in mm.

Determination of antifungal activity of test compounds was accomplished by agar disc diffusion method

![Scheme 1: Synthetic route for the preparation of benzimidazolone derivatives 6(a-k).](image)

![Scheme 2: Synthetic route for the preparation of 5i and 5j.](image)
on Sabouraud dextrose broth. Different dilutions of test compounds and standard were loaded on 6 mm sterile disc. The loaded disc was placed on the surface of medium and the compound was allowed to diffuse for 5 min and the plates were kept for incubation at 37°C for 72 h. DMSO was used as the negative control. At the end of incubation, inhibition zones formed around the disc were measured with transparent ruler in mm.

All the compounds were screened for their in vitro antimycobacterial activity against M. tuberculosis by broth macro dilution method. The activity of compounds was confirmed by MIC determination against M. tuberculosis. A stock solution of each compound (1 mg/ml) was diluted in sterile distilled water to test the range. Each tube contained 4 ml

| TABLE 1: STRUCTURAL DATA OF THE SYNTHESIZED COMPOUNDS 6a-k |
|-----------------------------------------------|
| Compound code | R-X |
| 6a | 6-Chloropyridine-3-sulfonyl |
| 6b | 1-Acetyl-5-indolinesulfonyle |
| 6c | 1-(Methylsulfonyl) indoline-5-sulfonyl |
| 6d | Coumarin-6-sulfonyle |
| 6e | 5-Dimethylamino-naphthalene-1-sulfonyl |
| 6f | 1-Methanesulfonyle-1,2,3,4-tetrahydroquinoline-6-sulfonyle |
| 6g | 1-[4-[[((1E)-(Dimethyl amino) methylene) amino] sulphonyl] benzoyl] indoline-5-sulphonyl |
| 6h | 3-[4-(sulfonyl) Phenyl] Propanoic Acid |
| 6i | 5-(sulfonyl)-3-chlorobenzothiophene-2-carboxylic acid |
| 6j | 5-(sulfonyl)-3-methyl-1-benzofuran-2-carboxylic acid |
| 6k | 6-Chloropyridine-3-carboxyl |

In the present work, 5-nitrobenzimidazolone (2) was treated with phenoxyethyl bromide (1) at 45°C in DMF using potassium carbonate as a base to obtain nitro derivative (3), which was further reduced to amino derivative (4) using stannous chloride dihydrate. Amino derivative (4) was reacted with aromatic sulphonyl chloride (5a–g) in presence of pyridine and DMAP using THF as a solvent to get benzimidazolone derivatives 6a-g. Compounds 6h-j were synthesized by treating aromatic sulphonyl chlorides 5h-j, with amino compound (4) in presence of pyridine and DMAP in THF and further hydrolyzed using sodium hydroxide in methanol and water as shown in Scheme 1.

Compound (5i) was synthesized by the reaction of methyl-3-chlorobenzothiophene-2-carboxylate (7i) with chlorosulfonic acid. Similarly, compound (5j) was synthesized by treating methyl-3-methylbenzofuran-2-carboxylate (7j) with chlorosulfonic acid as shown in Scheme 2. The structure of (5i) and (5j) were confirmed by 13C-NMR

| TABLE 2: ANTIFUNGAL AND ANTIBACTERIAL ACTIVITY OF THE BENZIMIDAZOLONES |
|-----------------------------------------------|
| Comp. No. | Concentration in µg/ml |
| | A. niger | A. clavatus | E. coli | P. aeruginosa | S. aureus |
| | 25 | 50 | 100 | 250 | 25 | 50 | 100 | 250 | 25 | 50 | 100 | 250 | 25 | 50 | 100 | 250 | 25 | 50 | 100 | 250 |
| Ampicillin | 15 | 16 | 19 | 20 | 15 | 15 | 18 | 20 | 14 | 16 | 18 | 19 |
| Ciprofloxacin | 23 | 28 | 28 | 28 | 23 | 24 | 26 | 27 | 19 | 21 | 21 | 22 |
| Norfloxacin | 25 | 26 | 27 | 29 | 19 | 21 | 23 | 23 | 19 | 20 | 21 | 21 |
| Griseofulvin | 23 | 25 | 25 | 28 | 21 | 22 | 22 | 24 |
| Nystatin | 19 | 24 | 29 | 29 | 21 | 24 | 25 | 26 |
| 6a | 13 | 16 | 18 | 20 | 13 | 17 | 18 | 21 | 17 | 18 | 18 | 19 | 12 | 13 | 15 | 17 | 11 | 15 | 18 | 20 |
| 6b | - | - | - | - | - | - | - | - | 9 | - | - | - | 10 | - | - | - | 9 |
| 6c | - | - | - | 9 | - | 9 | 10 | - | - | - | 10 | - | - | - | 9 | - | - | - | 10 |
| 6d | 14 | 16 | 19 | 21 | 15 | 16 | 19 | 20 | 11 | 12 | 14 | 16 | 14 | 17 | 18 | 20 | 13 | 17 | 19 | 23 |
| 6e | 15 | 18 | 21 | 22 | 14 | 17 | 22 | 22 | 12 | 12 | 14 | 15 | 10 | 11 | 14 | 16 | 12 | 14 | 15 | 17 |
| 6f | 14 | 17 | 20 | 23 | 15 | 18 | 21 | 23 | 11 | 13 | 15 | 16 | 11 | 15 | 18 | 21 | 10 | 14 | 16 | 19 |
| 6g | 15 | 18 | 21 | 22 | 14 | 18 | 26 | 21 | 15 | 15 | 18 | 26 | 12 | 15 | 20 | 23 | 13 | 17 | 18 | 22 |
| 6h | 14 | 17 | 22 | 22 | 13 | 16 | 20 | 22 | 15 | 16 | 18 | 22 | 15 | 16 | 17 | 20 | 10 | 14 | 17 | 19 |
| 6i | 12 | 15 | 19 | 19 | 13 | 15 | 19 | 21 | 12 | 13 | 16 | 17 | 11 | 11 | 14 | 16 | 12 | 15 | 17 | 19 |
| 6j | 15 | 18 | 20 | 20 | 15 | 19 | 20 | 21 | 15 | 17 | 19 | 24 | 12 | 15 | 18 | 20 | 12 | 14 | 17 | 20 |
| 6k | - | - | 10 | 11 | - | 9 | 13 | - | - | 13 | - | - | - | 11 | - | - | - | 12 |

Zone of inhibition (mm) excluding well size 6 mm
and $^1$H-NMR spectroscopy. Compound (5g) was synthesized by chlorosulfonation of 1-[4-[(1E)-(dimethylamino)methylene]aminosulphonyl]benzoyl]indoline\(^7\).

The structural data of the compounds 6a-k is given in Table 1. All the compounds, 6a-k were characterized by FTIR, $^1$H-NMR and mass spectroscopy. All of them were tested for their antibacterial, antifungal, and antituberculosis activities.

Except indoline derivatives 6b and 6c, all other compounds have shown very good antibacterial and antifungal activities as shown in Table 2. In order to evaluate the role of sulfonamide group in antimicrobial activity of these benzimidazole derivatives, carboxamide analogue (6k) of one of the potent compound 6-chloropyridyl derivative (6a) was synthesized and tested for antibacterial and antifungal activity. Insignificant activity of 6-chloropyridyl derivative (6k) against bacterial and fungal strains, suggest that sulfonamide group plays vital role in antimicrobial activity of the tested compounds along with phenoxy group and nitrogen of benzimidazole. Phenylpropionic acid derivative (6h, MIC 100 µg/ml) has shown promising antituberculosis activity. Chloropyridyl, dansyl, sulfamoylb enzoyl indoline and benzo thiophene derivatives (6a, 6e, 6g and 6i) did exhibit low to moderate antituberculosis activity as shown in Table 3.

In conclusion, a series of novel sulfonamide linked benzimidazolone derivatives were synthesized and subjected to various biological activities viz. antifungal, antituberculosis and antibacterial activity. Most of the compounds have shown very good antiinfective activity, which suggest that sulfonamide linked benzimidazolone derivatives are of very high therapeutic value and need to be explored for further studies.

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