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Design, Synthesis and Anti-microbial Study of 6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide Derivatives

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Abstract
A new series of novel 6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide derivatives (5a-5j) ware designed and synthesized from commercially available 6-nitrobenzo[d]thiazol-2-amine. The compounds ware synthesized through a series of reactions involving sulfonation, sulfonamide coupling and reduction. All the steps are optimized for getting better yields and clean reaction profile. The synthetic compounds ware characterized by analytical techniques like ^{1}H NMR, ^{13}C NMR, LCMS and IR. All the synthetic derivatives are evaluated for their antimicrobial activity (minimum inhibitory concentration) against a series of strains of Bacillus subtillis, Staphylococcus aureus and Escherichia coli for antibacterial activity and against the strains of Candida albicans, Aspergillus flavus and Aspergillus niger for antifungal activity. The compounds 5d, 5e and 5g showed promising inhibitions for most of antimicrobial strains. The results of antimicrobial screening data revealed most of compounds showed moderate to promising microbial inhibitions.
Keywords- Benzo[d]thiazole, Sulfonamide, Sulfonation, Diazotization, Coupling.

I. INTRODUCTION

Benzothiozole is an heterocyclic compound bearing nitrogen and sulfur atoms in a bicyclic aromatic ring. The bicyclic ring consists of fusion of benzene and thiazole ring in one frame work. Benzothiazole is an important pharmacophore in medicinal chemistry and drug discoveries as its structure and substituents plays an important role in biological activities [1]. Benzothiazole and its derivatives showed diversified activities like antimicrobial, anticancer, anti-HIV, anti-diabetic, anti-tubercular, anti-urease, antitumor [2]-[8]. The combination of benzothiazole with different heterocycles showed varied biological activities like trypanocidal agents, COX inhibitors, α-glucosidase inhibitors [9]-[11]. Benzothiazole and its derivatives showed biological activites like antioxidant, anti-inflammatory, anti-viral, anti-convulsant, analgesic, antimalarial, anti-leismanial, anti-histaminic and anti-fungal etc [12]-[15]. The diversified activities of benzothiazole nuclei found its applications in many marketed drugs as mentioned in figure **1**. Riluzole was used for the treatment of amyotrophic lateral sclerosis [16]. Pramipexole drug was used for the treatment of Parkinsons disease, it is used for healing of restless legs syndrome. The drugs Ethoxzolamide, Frentizole, Thioflavin T all these are used for the treatment of diuretic, antiviral, and amyloid imaging agents respectively [1]. By considering the structure of available marketed drugs having benzothiazole we designed simple sulfonamide coupling derivatives, the nuclei having free amino group and sulfonamide linkage at second position of benzothiazole ring.Benzothiazole nuclei were identified as one of the important class of heterocyclic compounds because of its significant and versatile biological and pharmacological properties. From the available literature the diversified biological activities of benzthiazole and in continuation of our research on bioactive heterocyclic compound, as an antimicrobial and anticancer agent [17]-[19] we have synthesized a series of

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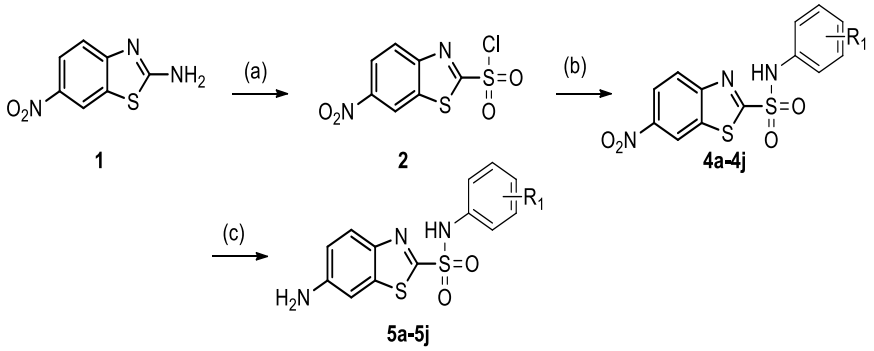
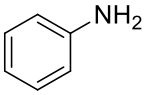
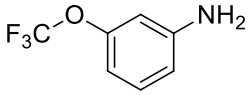
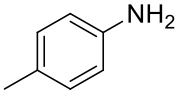
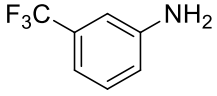
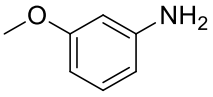
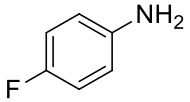
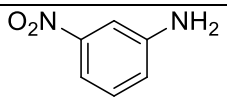
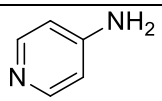
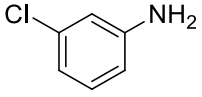
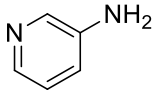
6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide derivatives (**5a-5j**) depicted in below scheme **1**.

II. RESULT AND DISCUSSION

The synthesis of 6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide (**5a-5j**) derivatives was achieved starting from 6-nitrobenzo[d]thiazol-2-amine (**1**). We have done diazotization, sulfonamide coupling and reduction reactions for the synthesis of target molecules. We have optimized all the reaction steps by considering yield, purity, economy, and safety etc. The reaction scheme for the synthesis of targets was depicted in below scheme **1**.



Scheme 1: Synthesis of 6-amino-N-(substituted)benzo[d]thiazole-2-sulfonamide (5a-5j):
Reagents and condition. (**a**): $NaNO_2$, HCl, $SOCl_2$, CuCl, H_2O, -10 °C-RT, 3 h; (**b**): Substituted aromatic aniline (**3a-3j**), pyridine, DCM, 0 °C-RT, 6 h; (**c**): Raney Ni, H_2, 100 Psi, MeOH:EtOH, RT, 16 h.

Sr. No.	**R_1**	**Sr. No.**	**R_1**
3a		**3f**	
3b		**3g**	
3c		**3h**	
3d		**3i**	
3e		**3j**	

For the synthesis of intermediate 2 and 4a-4j we have used the condition available in literature [20]-[21]. The synthesis of intermediate **2** was achieved by using diazotization reaction for the formation of benzene diazonium ion in the presences of copper (I) chloride and hydrochloric acid and later it is

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treated with thionyl chloride to form the desired sulfonyl chloride derivative of compound **1** with 85% yield. Temperature of reaction plays an important role in the yield of isolated product. The variation in the reaction temperature affects the yield of the intermediate **2**, change in the temperature during course of reaction decreases yield of intermediate **2** considerably.
In step **b** the intermediate **2** on further reaction with different anilines (**3a-3j**) mentioned in the list, bearing electron donating and electron withdrawing substituents to form the intermediate **4a-4j** with good yields. For reaction optimization purpose we have used aniline (**3a**) as a model substrate. We have used DCM as solvent for the reaction along with DIPEA, pyridine, triethyl amine and DMAP as bases. The sulfonamide coupling with DIPEA base gives 40% yield of product. Further by using pyridine we got 75% yield of product, by using triethyl amine as base we got 45% yield. The DMAP base results in 35% yield of product **4a**. From these optimizations the reaction proceeds smoothly with pyridine as base and we used same condition for the synthesis of remaining derivatives **4b-4j**, all the derivatives are obtained with 70-90% product yield.
In step **c** we have done the reduction of intermediated **4a-4j** to get final compounds **5a-5j**. For optimization purpose we have used **4a** as a model substrate. We have done the nitro reduction by using stannous chloride in ethanol (45% yield) in reflux condition for 12h, Fe-HCl (35% yield) in heating at reflux temperature, Zn-TFA (55% yield) after 16h of reaction at 120 °C. From moving to inorganic bases for reduction we shifted to palladium catalyzed reductions, but with Pd/C the reaction results in multiple spots after 6h on TLC. The presence of sulfur forms multiple spots. Finally we have used raney Ni as a metal source along with hydrogen gas of 100 psi in a mixture of solvents of methanol and ethanol to obtain desired product **5a** with 82% yield. So we followed the same condition for the synthesis of remaining compounds **5b-5j**. All the derivatives ware obtained with 80-95% yield. The detailed experimental procedure and characterization of data was provided in experimental section.

III. EXPERIMENTAL DETAILS

A. Material and methods.

All chemicals, unless otherwise specified, were purchased from commercial sources and were used without further purification. The major chemicals were purchased from Sigma Aldrich and Avra labs. The development of reactions was monitored by thin layer chromatography (TLC) analysis on Merck pre-coated silica gel 60 F254 aluminum sheets, visualized by UV light. All reactions were carried out under inert atmosphere. Melting points were recorded on Casia-Siamia (VMP-AM) melting point apparatus and are uncorrected. The purity of intermediates was assessed by TLC, NMR, and LCMS. The purities of final compounds were assessed by NMR, LCMS and HPLC and all structures are consistent with proposed structures characterization. The ^{1}H NMR spectra were recorded on a 400 MHz Varian NMR spectrometer. The ^{13}C were recorded on a 100 MHz Varian NMR spectrometer. The chemical shifts are reported as NMR spectra δppm units. The following abbreviations are used; singlet (s), doublet (d), triplet (t), quartet (q), multiplet (m) and broad (br). Mass spectra were taken with Micromass-QUATTRO-II of produced by WATERS Corporation.

B. Experimental procedure for synthesis of 6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide derivatives (5a-5j)

1) *Step a-synthesis of 6-nitrobenzo[d]thiazole-2-sulfonyl chloride (2)*: In round bottom flask (RBF) **a** take a solution of 6-nitrobenzo[d]thiazol-2-amine. (5 g, 25.6 mmol) was dissolved in concentrated HCl (10 mL) cooled reaction mixture to -10 °C. In RBF **b** take a solution of sodium nitrite (2.12 g, 30.7 mmol) was dissolved in cold water (7 mL). Transfer the solution of RBF **b** to RBF **a** drop-wise by maintaining the temperature -10 °C. Stirred the content at same temperature for further 1h. In RBF **c** thionyl chloride (5.57 mL, 76.8 mmol) was added drop-wise in water (20 mL) at -10 °C. Stirred the content of flask **c** for 10 min at same temperature, then added copper (I) chloride (50 mg) portion wise in the flask at -10 °C, stirred the content for further 10 min. The content of RBF **a** was added to RBF **c**

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at -10 °C drop-wise. Stirred it for 1h at same temperature and further at room temperature for 2h. Yellow precipitate was formed in reaction mixture. Poured the content in cold water (20 mL) and stirred for 15 min. Filtered the precipitate washed the residue with cold water (15 mL), cold hexane (25 mL),cold diethyl ether (25 mL) and dried under reduced pressure to obtain 6-nitrobenzo[d]thiazole-2-sulfonyl chloride (**2**; 5 g, 70%) as an yellow solid.

2) *Step b- Experimental procedure for synthesis of 6-nitro-N-substituted-benzo[d]thiazole-2-sulfonamide derivatives (4a-4j)*: To a stirred solution of 6-nitrobenzo[d]thiazole-2-sulfonyl chloride (**2**; 1 eq.) in DCM (10 mL) was added pyridine (10 mL) at 0 °C and stirred reaction mixture at same temperature for 15 min. Added **3a-3j** (1.2 eq.) drop wise and stirred reaction mixture at room temperature for 6 h. Progress of reaction was monitored by TLC and LCMS. After completion, the reaction mixture was poured on cold 2N aqueous HCl (10 mL) and stirred reaction mixture for 30 min. The work up procedure was different for different derivatives, some compounds isolated by following work up procedure 1 and some compounds are isolated by following work up procedure 2.
(1) Precipitation formed in some derivatives which were filtered. The obtained solid was washed with water (3×10 mL), cold diethyl ether (3×10 mL) and cold pentane (3×10 mL) to afford crude **4a-4j**; (2) Extracted it with DCM (2 × 20 mL) the organic layer was separated washed with brine (10 mL), dried over anhydrous Na_2SO_4 and concentrated *in vacuo* to afford crude **4a-4j**.
The crude obtained was purified by column chromatography (silica, 100-200 mesh, 25-70% EtOAc in hexane) to afford **4a-4j** as yellow solids.
3) *Step c- General procedure for the synthesis of 6-amino-N-substituted-benzo[d]thiazole-2-sulfonamide (5a-5j)*: To a stirred solution of comp. **4a-4j** (1 eq.) in EtOH:MeOH (10 mL) was added raney Ni (10 mole %). The reaction mixture was stirred at room temperature in parr shaker apparatus at 100 psi hydrogen gas pressure for 18 h. Progress of reaction was monitored by TLC and LCMS. After completion, filter the reaction mixture under celite bed and obtain filtrate. Evaporated filtrate under *vacuo* to afford (**5a-5j**; 80- 90 %) as yellow solid. All the compounds are purified by crystallization by using hot ethanol.

C. Spectral data
1) *Synthesis of 6-amino-N-phenylbenzo[d]thiazole-2-sulfonamide (5a):* Yellow solid; Yield- 90.6 %) as an m.p. 178-181 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.80 (brs, 1H), 7.54 (s, 1H), 7.40-7.28 (q, *J*=13.8, 6.2 Hz, 3H), 7.21 (q, *J*=11.8, 4.4 Hz, 1H), 7.14 (m, 3H), 6.25 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.24, 146.12, 143.2,136.2, 134.4, 128.6, 126.4, 122.21, 120.18, 118.14, 118.1, 112.3, 108.4; LC-MS *m/z* (%): 306 (M+H); HPLC- 96.6% RT- 7.82 min; Anal. calc. for $C_{13}H_{11}N_3O_2S_2$: C, 51.13; H, 3.64; N, 13.76; S, 21.01; Found: C, 51.18; H, 3.63; N, 13.80; S, 21.06.

2) *Synthesis of 6-amino-N-(p-tolyl)benzo[d]thiazole-2-sulfonamide (5b):* Brown Solid; Yield- 86.2%; m.p. 185-187 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.80 (brs, 1H), 7.54 (s, 1H), 7.40-7.28 (d, *J*=8.6 Hz, 3H), 7.21 (d, *J*=8.4 Hz, 3H), 6.25 (brs, 2H), 1.23 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.20, 146.14, 143.12,136.1, 134.4, 128.6, 126.4, 122.21, 120.18, 118.14, 118.1, 112.8, 112.4, 14.6; LC-MS *m/z* (%): 320 (M+H); HPLC- 98.3% RT- 7.62 min; Anal. calc. for $C_{14}H_{13}N_3O_2S_2$: C, 52.65; H, 4.10; N, 13.16; S, 20.08; Found: C, 52.58; H, 4.14; N, 13.17; S, 20.06.

3) *Synthesis of 6-amino-N-(3-methoxyphenyl)benzo[d]thiazole-2-sulfonamide (5c):* Brown Solid; Yield- 88 %; m.p. 151-153 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.81 (brs, 1H), 7.56 (s, 1H), 7.40-7.28 (q, *J*=12.6, 6.2 Hz, 2H), 7.21 (q, *J*=8.4 Hz, 2H), 7.18-7.13 (m, 2H), 6.24 (brs, 2H), 3.33 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.20, 146.14, 143.1,136.1, 134.2, 128.6, 126.4, 122.21, 120.18, 118.16, 118.12, 112.6, 112.1, 44.8; LC-MS *m/z* (%): 336 (M+H); HPLC- 97.1% RT- 8.36 min; Anal. calc. for $C_{15}H_{12}N_4O_2S_2$: C, 50.13; H, 3.91; N, 12.53; S, 19.12; Found: C, 50.19; H, 3.97; N, 12.49; S, 19.19.

4) *Synthesis of 6-amino-N-(3-nitrophenyl)benzo[d]thiazole-2-sulfonamide (5d):*Brown Solid; Yield- 81.6 %; m.p. 194-196 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.81 (brs, 1H), 7.56 (s, 1H), 7.42-

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7.29 (d, *J*=8.8 Hz, 2H), 7.31 (s, 1H), 7.21 (m, 3H), 6.25 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.20, 146.14, 144.12,136.1, 134.4, 128.6, 128.2, 122.21, 120.28, 118.62, 118.4, 115.8, 114.4; LC-MS *m/z* (%): 351 (M+H); HPLC- 95.8 % RT- 9.31 min; Anal. calc. for $C_{13}H_{10}N_4O_2S_2$: C, 44.56; H, 2.88; N, 15.99; S, 18.30; Found: C, 44.52; H, 2.91; N, 15.98; S, 18.28.

5) *Synthesis of 6-amino-N-(3-chlorophenyl)benzo[d]thiazole-2-sulfonamide (5e):* Yellow Solid; Yield- 82%; m.p. 186-188 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.80 (brs, 1H), 7.54 (s, 1H), 7.38-7.32 (d, *J*=7.6 Hz, 2H), 7.28 (s, 1H), 7.21-7.18 (m, 3H), 6.24 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.20, 146.14, 143.16,136.1, 134.1, 128.6, 128.2, 122.21, 120.28, 118.62, 118.4, 114.1, 118.4; LC-MS *m/z* (%): 340 (M+H); HPLC- 96.1% RT- 8.67 min; Anal. calc. for $C_{13}H_{10}ClN_3O_2S_2$: C, 45.95; H, 2.97; N, 12.37; S, 18.87; Found: C, 45.50; H, 2.91; N, 12.22; S, 18.90.

6) *Synthesis of 6-amino-N-(3-(trifluoromethoxy)phenyl)benzo[d]thiazole-2-sulfonamide (5f):* Brown Solid; Yield- 80.2%; m.p. 201-203 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.81 (brs, 1H), 7.54 (s, 1H), 7.38-7.32 (d, *J*=7.6 Hz, 2H), 7.28 (s, 1H), 7.24-7.21 (m, 3H), 6.24 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.24, 146.20, 144.10,136.13, 134.4, 128.6, 128.2, 122.21, 120.28, 118.6, 116.4, 115.8, 114.6; LC-MS *m/z* (%): 390 (M+H); HPLC- 96.2% RT- 8.34 min; Anal. calc. for $C_{14}H_{10}F_3N_3O_3S_2$: C, 43.18; H, 2.59; N, 10.79; S, 16.47; Found: C, 43.12; H, 2.50; N, 10.78; S, 16.61.

7) *Synthesis of 6-amino-N-(3-(trifluoromethyl)phenyl)benzo[d]thiazole-2-sulfonamide (5g):*Brown Solid; Yield- 82.2%; m.p. 194-195 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.80 (brs, 1H), 7.54 (s, 1H), 7.31-7.27 (d, *J*=6.4 Hz, 2H), 7.19 (s, 1H), 7.17-7.14 (m, 3H), 6.20 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.2, 146.22, 144.12,136.14, 134.4, 128.6, 128.2, 122.21, 120.2, 118.16, 116.42, 115.6, 114.1; LC-MS *m/z* (%): 374 (M+H); HPLC- 98.4% RT- 8.30 min; Anal. calc. for $C_{14}H_{10}F_3N_3O_2S_2$: C, 45.04; H, 2.70; N, 11.27; S, 17.18; Found: C, 45.09; H, 2.76; N, 11.29; S, 17.21.

8) *Synthesis of 6-amino-N-(4-fluorophenyl)benzo[d]thiazole-2-sulfonamide (5h):* Off-White Solid; Yield- 84.2%; m.p. 164-166 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.78 (brs, 1H), 7.54 (s, 1H), 7.42-7.32 (d, *J*=8.4 Hz, 3H), 7.24 (d, *J*=8.4 Hz, 3H), 6.24 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.3, 146.2, 143.12,136.1, 134.2, 128.6, 124.4, 121.21, 120.18, 116.14, 116.1, 114.2, 111.8; LC-MS *m/z* (%): 324 (M+H); HPLC- 98.6% RT- 6.82 min; Anal. calc. for $C_{13}H_{10}FN_3O_2S_2$: C, 48.29; H, 3.12; N, 12.99; S, 19.83; Found: C, 48.28; H, 3.09; N, 12.91; S, 19.87.

9) *Synthesis of 6-amino-N-(pyridin-4-yl)benzo[d]thiazole-2-sulfonamide (5i):*Yellow Solid; Yield- 82.8%; m.p. 184-186 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.78 (brs, 1H), 7.54 (s, 1H), 7.61-7.57 (d, *J*=8.4 Hz, 2H), 7.42-7.32 (d, *J*=7.6 Hz, 2H), 7.24 (d, *J*=8.4 Hz, 2H), 6.28 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.3, 146.2, 143.12,136.1, 134.2, 128.6, 124.4, 121.21, 120.18, 118.22, 118.16, 116.4; LC-MS *m/z* (%): 307 (M+H); HPLC- 97.6% RT- 7.82 min; Anal. calc. for $C_{12}H_{10}N_4O_2S_2$: C, 47.07; H, 3.29; N, 18.29; S, 20.93; Found: C, 47.02; H, 3.24; N, 18.33; S, 20.91.

10) *Synthesis of 6-amino-N-(pyridin-3-yl)benzo[d]thiazole-2-sulfonamide (5j):* Yellow Solid; Yield- 84.2%; m.p. 201-203 °C; ^{1}H NMR (400 MHz, DMSO-d6, ppm)= δ 8.78 (brs, 1H), 7.54 (s, 1H), 7.58(s, 1H), 7.42-7.32 (m, 3H), 7.24-7.21 (m, 2H), 6.28 (brs, 2H); ^{13}C NMR ($CDCl_3$, 100 MH_Z, ppm)= δ 155.3, 146.2, 143.12,136.1, 134.2, 128.6, 124.4, 121.21, 120.18, 118.36, 118.12, 116.42, 116.4; LC-MS *m/z* (%): 307 (M+H); HPLC- 98.6% RT- 7.46 min; Anal. calc. for $C_{12}H_{10}N_4O_2S_2$: C, 47.07; H, 3.29; N, 18.29; S, 20.93; Found: C, 47.02; H, 3.32; N, 18.25; S, 20.99.

IV. BIOLOGICAL ACTIVITY

All the synthesized compounds **(5a-5j)** were screened for *in vitro* antimicrobial activity. The antibacterial activity was evaluated against two gram positive bacteria *Staphylococcus aureus* (NCIM-2901), *Bacillus subtilus* (NCIM-2063), gram negative bacteria, *Escherichia coli* (NCIM-2256), and three fungal stains *Candida albicans* (NCIM-3471), *Aspergillus flavus* (NCIM-539) and *Aspergillus*

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niger (NCIM-1196). For studying antimicrobial properties of compounds, Minimum Inhibitory Concentration (MIC, µg/mL), Minimum Bacterial Concentration (MBC) and Minimum Fungicidal Concentration (MFC) were studied by modified macrodilution technique. For bacterial strains MIC determination were done by a serial of microdilution technique using 96-well microtiter plate reader. Compounds (**5a-5j**) are prepared in saline (0.8% NaCl) solution containing 5% Dimethyl sulfoxide (DMSO) for dissolution. All microbial strains were incubated with different concentration of each compound in 96-well microtiter plate for 20 h at 37ºC on Rotary shaker (160 rpm). After incubation the lowest concentration value without growth were defined as MICs. For Fungal strains agar dilution technique, on Potato Dextrose Agar (PDA) Medium were used for MIC determination. The MBC and MFC of compounds were determined by serial sub cultivation after inoculated for 72 h with tested compounds dissolved in saline containing 5% DMSO. The lowest concentration with no visible growth was defined as MBC/MFC indicating 99.5% killing of the original inoculums. All the experiments performed in triplicates and mean reading is taken as final reading. 5% DMSO was used as a negative control along with Ciprofloxacin as the standard antibacterial drugs and Fluconazole and Miconazole as the standard antifungal drugs. [22] The antimicrobial activity results were given in table **1**.

Table 1
Antimicrobial activity data for compounds (5a-5j):

Compounds	MIC values[a] (µg/ml)					
	B. subtilis	*E. coli*	*S. aureus*	*C. Albicans*	*A. Flavus*	*A. Niger*
5a	40	50	70	40	60	50
5b	36	45	40	40	30	70
5c	45	65	45	75	80	100
5d	28	32	28	25	12.5	40
5e	27	28	32	12.5	30	25
5f	30	40	35	50	50	25
5g	26	30	32	25	25	12.5
5h	36	90	70	75	100	100
5i	55	70	55	90	75	50
5j	50	35	45	75	100	70
Ciprofloxacin	26	26	25	-	-	-
Fluconazole	-	-	-	40	25	25
Miconazole	-	-	-	12.5	12.5	12.5
[a] Values are the average of three readings.						

From the antimicrobial data, it is observed that all the newly synthesized compounds shows good to moderate level of antibacterial and antifungal activity. The antimicrobial activity data reveals that compounds **5d, 5e** and **5g** are found to be active and potent as antimicrobial agents among the series. The antimicrobial activity data reveals that among the compounds **5b, 5c, 5f,** and **5h** are moderately active for all the strains. The compounds **5a, 5i** and **5j** are inactive for all the antimicrobial strains.
The structure activity relationship can be drawn like when the sulfonamide ware coupled with electron donating groups then the antimicrobial activity decreases. If the sulfonamide ware coupled by electron withdrawing substituents like nitro, chloro, tri-fluoro groups the antimicrobial activity of the

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compounds increases as compared with the standard drugs. The conclusion can be drawn like when the sulfonamide is substituted by more electron donating groups and more electron withdrawing substituents then the antimicrobial activity decreases among the series of derivatives.

V. CONCLUSIONS

In the present communication we have designed and synthesized 6-amino-N-substtituted-benzo[d]thiazole-2-sulfonamide derivatives (**5a**-**5j**) from commercially available 6-nitrobenzo[d]thiazol-2-amine. These derivatives ware synthesized through a series of reactions and final derivatives ware characterized by spectral data. The compounds 5a-5j ware tested for their antimicrobial activity against antibacterial and antifungal strains. The derivatives bearing electron withdrawing groups showed promising activity compared to electron donating groups.

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