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DEIGNED BIOACTIVE SYNTHESIS OF N-(5-(4-METHOXYPHENYL) THIAZOL-2-YL) BENZAMIDE ANALGUEOUS PROMOTED BY CDI /ET_3N.

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ABSTRACT

An efficient and convenient multi step procedure has been described for N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide analgueous which can be obtained from the reaction of 5-(4-methoxyphenyl) thiophen-2-amine and substituted aromatic carbonyl halides in the presence of CDI /Et_3N as solvent at reflux. 5-(4-methoxyphenyl) thiophen-2-amine can be obtained from2-bromo-1-(4-methoxyphenyl) ethan-1-one with thiourea in the presence of sodium acetate and acetic acid at 60-70^{0}C. All the compounds were evaluated by advanced spectroscopic data (1H NMR, 13C NMR and LCMS) and the structural determination was evaluated by elemental analysis. Besides to this, all the newly synthesized compounds were examined for their antibacterial activities and antifungal activity by disc diffusion method against the organism of Aspergillus Niger and Candida albicans.

1. INTRODUCTION

Amide group is an important key functional group in organic chemistry and medicinally chemistry and also its widespread occurrence in peptide and non-peptide natural products, therapeutic small molecules, and new polymeric materials.[1–4] The most general way for synthesizing amides bond involves the activation of the carboxylic function by means the conversion of carboxylic acids into the corresponding acid chlorides.[5–8] Hence this reactive derivative is coupled with the appropriate substituted amine to yield the corresponding amide. Alternatively, carboxylic acids, by the use of activating reagents, can be transformed into reactive acylating intermediates which directly react in situ with the suitable substituted amines without their preliminary isolation and purification.[9–12] The use of coupling reagents is the only practicable way when the reagents useful for obtaining acid chlorides from carboxylic acids are not compatible with other chemical functions or protecting groups present on the substrate.

The importance of amides bond has promoted the improvement of new protocols and reagents based on these approaches and alternative methods for amide bond formation.[13–16] The direct formation of amides by condensing no activated carboxylic acids and amines is extremely attractive because of its low environmental impact. Using metal-based catalysis in direct amide synthesized, as an alternative to coupling reagents, has been reported.[17–19] The main focus on the synthetic catalysts employed for direct amidation are boronic acids and esters together with Lewis acid metal complexes. Boron-based compounds are reported as catalysts promoting the condensation of carboxylic acids and amines in refluxing toluene.[20,21] In addition amidation reaction protocols by using boronic acid and ester catalysts were also developed for the formation of dipeptide systems.[22–24]

2. METHODS AND MATERIALS

2.1. Experimental

All the chemicals, synthetic reagents, and solvents were procured from commercially and they were used without further purification. The standard procedures were used to follow by dry solvents and reaction mixture were checked by thin-layer chromatography (n-hexane: Ethyl acetate) on silica gel plates coated with alumina. The melting points of the desired compounds were determined in open capillary tubes and were uncorrected. ^{1}HNMR and ^{13}C-NMR spectrum were recorded titled derivatives on a Bruker Avance 400MHz and 100MHz instrument using $CDCl_3$ as a solvent. The chemical shifts, δ, are given in ppm downfield and up field from the internal standard Tetramethylsilane. The splitting patterns titled compounds are designated as follows; s: singlet; d: doublet and m: multiplet. The mass spectra were obtained on a Shimadzu 2010A LCMS spectrometer. Elemental analysis of the derivatives was recorded by the instrument.

2.2. General procedure of 5-(4-methoxyphenyl) thiophen-2-amine (3)

Take dry and clean four necks RBF. The charge 2-bromo-1-(4-methoxyphenyl) ethan-1-one and Thiourea dissolved in the acetic acid and sodium acetate into RBF at room temperature which is also fitted on the magnetic stirrer possesses hot plate. The reaction mixture continuous carried the reaction for 2 hrs. at 60^{0}C .The

progress of the reaction checked by the TLC (EtOAc : n-hexane = 5:5). After completion of the consumed all reactants, cooled the reaction mixture at RT. The crude dissolved in ethyl acetate and washed with as saturated solution of sodium bicarbonate and separated the ethyl acetate layer and also washed with water separated the organic layer. The organic layer can be distilled off under vacuums and solid compound obtained.

Characterization

White solid; Rf-0.450 (Ethyl acetate: n-hexane-4:6); IR (KBr,cm^{-1}):5240, 3312, 3256, 3046, 1576, 1547, 1521. ^{1}HNMR (400MHz,$CDCl_3$) ppm: 7.624 (d, J = 8.8 Hz, 2H, Ar-H), 7.126 (d,J=8.0Hz,2H,Ar-H),7.045 (s,1H, thiophene), 6.167(s,2H,-NH2),3.694(s,3H,-OCH3);^{13}C NMR (100MHz,$CDCl_3$)ppm:166.94,142.24, 128.88, 128.12, 127.45, 125.62, 119.42,55.14..LCMS (m/z): 205.51(M-H);Molecularformulae:C10H10N2OS:
Elemental analysis: calculated: C- 58.23; H-4.89; N- 13.58.Obtained: C- 58.13; H- 4.87; N- 13.66.

2.3. General procedure of N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide analgueous

Take dry and clean four necks RBF. The charge the methylene dichloride into RBF at room temperature which is also fitted on the magnetic stirrer possesses hot plate. The charge a mixture of substituted aromatic and hetero aromatic acyl chloride (1mmol) with 5-(4-methoxyphenyl) thiophen-2-amines (1.1mmol) into RBF at mixture carried out 40^0C. Before start the reaction, the strong base such as CDI and triethyl amine added into the reaction mixture and reaction continued in 5hrs at same temperature and monitored by TLC (ethyl acetate and n-hexane). After the completion of the reaction, crude poured in cold water and add 10 mL of 5% saturated solution of sodium bi carbonate added into the solution and charge with ethyl acetate. The organic layer separated and washed with solution of Brain. Finally separated the organic layer and distilled off. The desired product separated by column chromatography and also recrystallized with ethanol N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide.

Characterization

2.3.1. N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide (5a)

White solid; Rf-0.440 (Ethyl acetate: n-hexane-4:6);IR(KBr,cm-1): 3096, 1576, 1547, 1521, 1495,1HNMR (400MHz,CDCl3)ppm:11.742 (s, 1H, -NHCO-), 7.966 (dd, J = 7.6 Hz, 2H, Ar-H),7.714(dd,J=7.2Hz,2H,Ar-H),7.584 (t,J=78.0Hz,2H,Ar-H),7.532 (s,1H,thiophene, H), 7.487 (dd,J=8.8Hz,2H,Ar-H),7.12 8(dd,J=8.0Hz,2H, Ar-H7.045 (s,1H,thiophene), 3.675 (s,3H,-OCH3) ;13CNMR (100MHz,CDCl3) ppm:164.62,157.74, 155.48,140.39, 131.24,130.02, 128.92,128. 65,128.09, 127.09, 121.34,115.78,54.21; .LCMS (m/z):311.32 (M+H); Molecularformulae: C17H14N2O2S: Elemental analysis: calculated: C-65.79; H-4.55; N- 9.03.Obtained: C- 65.70 H- 4.53; N- 9.19.

2.3.2.4-methoxy-N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide (5b)

White solid; Rf-0.470 (Ethyl acetate: n-hexane- 5:5);IR(KBr,cm-1): 3079 ,3039, 1585, 1542, 1519, 1464 , 674.1HNMR(400MHz,$CDCl_3$)ppm:11.532 (s, 1H, -NHCO-), 7.926 (dd, J = 7.2 Hz,2H,Ar-H),7.684 (dd,J=8.0Hz,2H,Ar-H),7.512(s,1h,thiophene), 7.194-6.846(m,4H,Ar-H), 3.724(s,3H,-OCH3)3.642(s,3H,-OCH3),^{13} CNMR (100MHz, $CDCl_3$) ppm:166.73,158.77, 155. 43,141.24, 130.32,129.24, 128.94,128.62,127.34, 126.25, 120.04,118.39, 116.72, 55.08, 53.24.LCMS (m/z): 346.26(M+H); Molecular formulae: $C_{18}H_{16}N_2O_3S$: Elementalanalysis: calculated: C-63.51; H-4.74; N- 8.23. Obtained: C- 63.42, H- 4.72;N- 8.29.

2.3.3.4-Chloro-N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide (5c)

White solid; Rf-0.464 (Ethyl acetate: n-hexane-3:7);IR(KBr,cm-1): 3102 ,3041, 1579, 1538, 1519,1490, 689;^{1}HNMR(400MHz,$CDCl_3$)ppm:11.641(s,1H,-NHCO-),7.792-7.573(m,6H,Ar-H),7.492(s,1H, thiophene, H), 7.162-7.034 (m,2H,Ar-H),3.569(s,3H,-OCH_3);^{13}CNMR (100 MHz,$CDCl_3$)ppm:167.29,160.04, 157.47, 141.72, 132.35,130.09, 129.06,128.91,128.55,128.01,126.66, 122.02, 54.82;.LCMS(m/z):346.29(M+2); Molecular formulae: $C_{17}H_{13}ClN_2O_2S$: Elemental analysis: calculated: C-59.22; H-3.80; N-8.20.Obtained: C- 59.13 H- 3.79;N- 8.20.

2.3.4 .4-Bromo-N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide (5d)

pale red; Rf-0.480 (Ethyl acetate: n-hexane-4:6);IR(KBr,cm-1): 3101 ,3043, 1581, 1536, 1520,1495,697;^{1} HNMR (400MHz,$CDCl_3$)ppm:11.723(s,1H,-NHCO-), 7.924 (dd,J=8.0Hz, 2H, Ar-H),7.716(dd, J=7.2Hz, 2H,Ar-H), 7.646(dd, J=8.8Hz,2H,Ar-H),7.748 (s,1H,thiophene, H), 3.612(s,3H,-OCH_3);^{13}CNMR (100MHz, $CDCl_3$) ppm:168.02,160.33, 158.28,140.52, 130.24, 129.02,128.84, 128.22,127.76,126.44,122.47, 55.06..LCMS(m/z):346.29(M+2):;Molecular formulae: $C_{17}H_{13}BrN_2O_2S$: Elementalanalysis: calculated: C-52.45; H-3.37; N- 7.20.Obtained: C- 52.36 H- 3.35; N- 7.29.

2.3.5. N-(5-(4-methoxyphenyl) thiazol-2-yl)-4-nitrobenzamide (5e)

pale red; Rf-0.510 (Ethyl acetate: n-hexane-4:6);IR(KBr,cm-1): 3098 ,3046, 1585, 1539, 1522,1493,687;^{1} HNMR (400MHz,$CDCl_3$) ppm:11.842(s,1H,-NHCO-), 8.146(dd,J=8.0Hz, 2H, Ar-H),8.038(dd, J=8.0Hz,2H,Ar-H),7.692(dd, J=9.0Hz,2H,Ar-H), 7.692(dd, J=9.0Hz,2H, Ar-H), 7.513(s,1H, thiophene,H), 7.084 (dd,J=7.6Hz,2H, Ar-H),3.692(s,3H,-OCH_3);^{13} CNMR (100MHz,$CDCl_3$) ppm:168.27,160.66,157.21, 147.24, 141.02,135,.24, 129.02,128.74,128.27, 128.04, 126.09, 121.72,56.26, LCMS (m/z):356.33(M+2):; Molecular formulae: $C_{17}H_{15}N_3O_4S$: ElementalAnalaysis: calculated: C-57.46; H-3.69; N- 11.82.Obtained: C- 57.37 H- 3.68; N- 11.82.

2.3.6. N-(5-(4-methoxyphenyl) thiazol-2-yl) nicotinamide (5f)

White solid; Rf-0.450 (Ethyl acetate: n-hexane-4:6);IR(KBr,cm-1): 3088, 3038, 1570, 1546, 1515, 1498,^{1}HNMR (400MHz,$CDCl_3$)ppm:11.734 (s, 1H, -NHCO-),8.572 (dd, J = 6.8 Hz, 2H,py),8.227 (dd,J=7.6Hz,2H,py), 7.966 (t,J=8.0Hz,2H, py),7.846 (t,J=8.0Hz,2H,py), 7.667(dd, J=6.4Hz,2H,py), 7.509 (s,1H,thiophene,H), 7.114 (dd,J= 7.6Hz, 2H,Ar-H), 3.582 (s,3H,-OCH3); 13CNMR(100MHz,$CDCl_3$) ppm: 164.58, 159.17, 155.06, 149.08,142.64, 140.54, 135.65, 128.87, 128.08,127.74,125.31,122.39,120.64.LCMS (m/z): 311.32(M+H); Molecularformulae: C16H13 N3O2S: Elemental analysis: calculated: C-61.72; H-4.21; N-13.50. Obtained: C- 61.66 H- 4.20;N- 1358.

2.3.7. N-(5-(4-methoxyphenyl) thiazol-2-yl) thiophene-2-carboxamide (5g)

White solid; Rf-0.440 (Ethyl acetate: n-hexane-5:5);IR(KBr,cm-1): 3082, 3056, 1572, 1542, 1518, 1496,^{1}HNMR (400MHz,$CDCl_3$) ppm:11.648 (s, 1H, -NHCO-),8.246 (dd, J = 7.6 Hz, 1H,thiophene), 7.887(d,J=8.0Hz, 1H,thiophene), 7.518 (s,1H,thiophene, H7.166(t,J=7.6 Hz, 2H,thiophene), 7.088(d,J=7.0Hz, 2H,Ar-H), 7.114 (dd,J= 7.6Hz, 2H,Ar-H), 3.582 (s,3H,-OCH3); ^{13}CNMR (100MHz,$CDCl_3$) ppm:164.84,160.66, 156.71,141.72, 130.64,129.84, 128.55,127.66, 127.14, 126.46,121.44, 54.84;.LCMS(m/z):317.42(M+H);Molecularformulae:$C_{15}H_{12}N_2O_2S_2$: Elemental analysis: calculated: C-56.94; H-3.82; N-8.85. Obtained: C- 56.85 H- 3.81;N- 8.92.

3. Biological Evaluation

3.1. Antibacterial activity

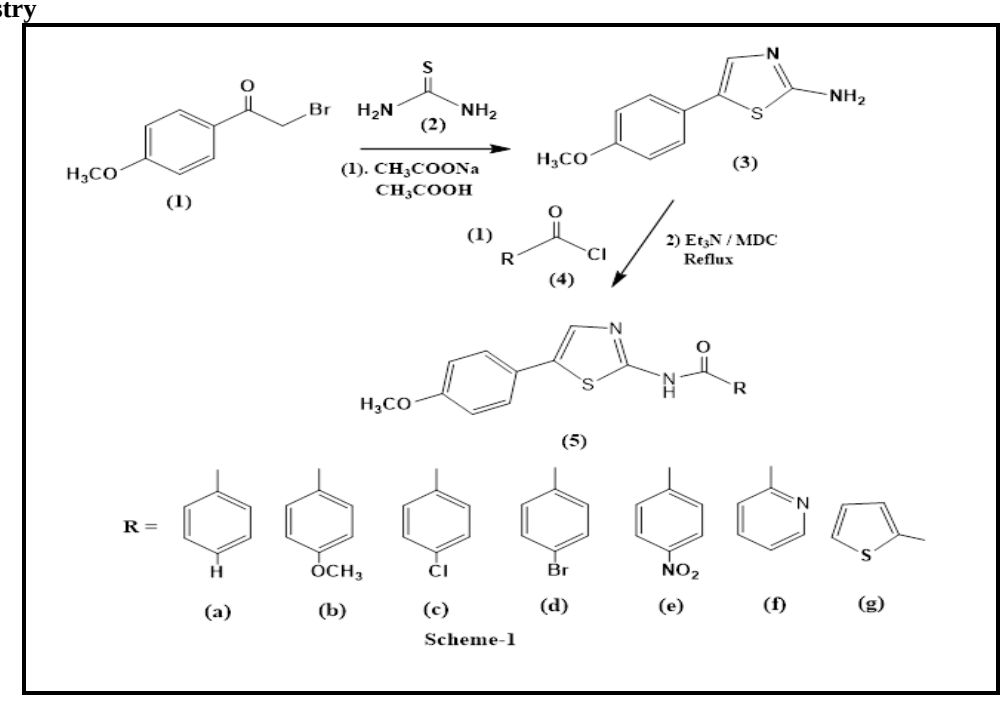
100 mL sterile conical flask of nutrient broth was inoculated with the test organisms and incubated at 370 C overnight. By using a sterile pipette, 0.6 mL of the broth culture of each test organism was added to 60 mL of molten agar, mixed well and maintained at 450C. Sterile agar test plates of each test organism were prepared by pouring inoculated medium with uniform thickness. The agar was allowed to set and harden and wells of 4 mm diameter were cut at equidistant using a sterile cork borer. Agar plugs were removed. 100 lg/mL of test solutions were prepared in DMSO and were introduced into the wells using micropipette. The plates were kept at room temperature for 2 h for better diffusion of solution into the medium. The plates were incubated for 36h at370C. After incubation the diameter of inhibitory zones formed around each well was measured in millimeter (mm) using antibiotic zone scale. The assay was carried out in duplicate. DMSO was used as control and the antibacterial activity of the test compounds was compared with standard "Streptomycin".

3.2. Antifungal activity

Sterile molten potato dextrose agar (PDA) medium was inoculated with 50 lL of fungal spore suspension aseptically and maintained at 450Ctemperature. The inoculated medium was mixed well and poured immediately in sterilized petriplates. Then five wells of 6 mm diameter were punched using sterile borer and filled with 100 lg/mL of test compounds (4a-4j) as well as sterile DMSO 100% as negative control. Plates were incubated for 24 h at 370C. Antifungal activity was determined by measuring the zone of inhibition. The zones produced by the test compounds were compared with the "ketoconazole.

4. RESULTS AND DISCUSSION

4.1. Chemistry



Scheme-1

Initially, we observed that the excellent result investigated the reaction is two steps, The first step of reaction 5-(4-methoxyphenyl) thiophen-2-amine from thiourea and 2-bromo-1-(4-methoxyphenyl) ethan-1-one in the presence of sodium acetate and acetic acid under conditions at reflux (Scheme -1). The second step of the reaction was N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide synthesized from the 5-(4-methoxyphenyl) thiophen-2-amine with various aromatic and hetero aromatic acyl halides in the presence of strong base such as triethyl amine and carbonyl di imidazole (CDI).

The advantages of the catalyst having some important features for the reaction conditions such as the simple work-up procedure, shortest reaction time, excellent product yields, and purification of products by non-chromatographic methods. It is particularly observed that various substituted aromatic amines possess electron-donating or electron-donating withdrawing substituents in para-positions lead good yield of the product. Here, we have observed that the reaction of aromatic amines having electron-withdrawing groups was rapid as compared to the reaction of aldehydes having electron donating groups.

4.2.1. Antibacterial activity

The in vitro antibacterial activity of the desired compounds (5a-5g) was compared with standard drug" Streptomycin'' as collected in (Table-I). As indicated in Table-I, most of the newly obtained derivatives generally showed potent activity against all the tested bacterial strains. The derivatives "5c, 5d, 5f and 5g" showed excellent antibacterial potent activity against gram(+ve) bacterial strains viz; E.coli, Aeruginosa and gram (-ve) bacterial strains viz; B.subtilis, and Staphylococcus aureus respectively due to such compounds possesses halogen atoms. The derivatives "5b" showed good active potential against bacterial strains. The compounds"5a and 5e" showed moderate activity against bacterial strains due to compounds having highly electron donating groups. These reveals that the results indicated that the compounds having electron withdrawing groups showed good activity than the compounds having electron donating groups. The derivatives containing halogen atoms showed excellent active potential against bacterial strains.

Table-I: Antibacterial activity of the newly synthesized compounds (5a-5g): Zones of inhibition (mm) of compounds (5a–5fj) against tested bacterial strains.

Compound	Anti-Bacterial Activity			
	Gram (+ ve) bacteria		Gram (- ve) bacteria	
	Escherichia coli	Pseudomonas aureoginosa	Bacillus subtilis	Staphylococcus aureus
5a	11	10	08	09
5b	14	15	14	13
5c	26	24	22	23
5d	25	24	21	22
5e	11	13	10	10
5f	24	25	19	21
5g	26	26	21	22
Streptomycin	30	30	27	27
DMSO				

Streptomycin was used as standard. a 100 lg/mL of compound in each well.
Values are average of three readings.

4.2.2. Antifungal activity

The in vitro antifungal activity of the desired compounds (5a-5g) was compared with standard drug" Ketonozole.'' as collected in (Table-II). The in vitro antifungal activity of the tested derivatives (5a-5g) was investigated against AspergillusNiger, Aspergillusfavus and Candida albicans using agar well diffusion assay and zones of inhibition of the test Compounds were expressed in mm as shown in Table-II. Compounds 5e showed excellent active potential activity against the fungal strain. The compound having "5f and 5g" was observed to be good active potential against tested fungal strain. Compounds such as 5c and 5d have demonstrated significant antifungal activity comparable to standard. From the results it is indicated that most of the compounds showed significant activity and few are moderately active as shown in Table -II. The remaining derivatives showed moderate potent activities against Aspergillusfavus. These results reveals that the compounds possess electron attracting groups exhibited moderate activity while the compounds having electron attracting groups exhibited good against the fungal stains.

Table-III: Antifungal activity of the synthesized compounds (5a-5g): Zones of inhibition (mm)a of compounds (5a–5g) against tested fungal strains.

Entry	Anti-Fungal Activity		
	Aspergillus Niger	Aspergillusfavus	Candida albicans
5a	07	07	06
5b	11	12	10
5c	16	15	16
5d	15	16	15
5e	19	19	18
5f	16	16	17
5g	17	16	16
Ketonozole	22	22	22
DMSO			

5. CONCLUSIONS

To find out this experiment, we prepared the seven derivatives N-(5-(4-methoxyphenyl) thiazol-2-yl) benzamide analgueous. The derivatives having electron donating groups and electron attracting groups including halogen containing derivatives The percentage of the derivatives acquired electron donating group (92%) compared with electron withdrawing group of the compounds. As shown scheme-1, these compounds obtained using CDI and (TEA) an excellent coupling reagent. The compounds synthesized by using alkali base in non-polar solvent and derivatives of (5a-5g) synthesized by organic base (TEA) in non- polar solvent (DCM).In addition to antimicrobial activity of these derivatives exhibited various active potential in various bacterial as well as antifungal activity.

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