RJC
http://www.rasayanjournal.com

RASĀYAN *J. Chem.*
Vol.4, No.3 (2011), 588-593
ISSN: 0974-1496
CODEN: RJCABP

SYNTHESIS OF SOME BIOLOGICALLY POTENT NOVEL 5-(5-SUBSTITUTEDPHENYL)-4*H*-1,2,4-TRIAZOLE-3-YL-1,3-BENZOXAZOLES

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ABSTRACT

A series of some novel 5-(5-substitutedphenyl)-4*H*-1,2,4-triazole-3-yl-1,3-benzoxazoles 3a-o were synthesized from 2-substituted-5-carbomethoxy benzoxazole 1. 2-substituted-5-carbomethoxy benzoxazoles on reaction with hydrazine hydrate resulted in the formation of 2-substituted 1,3-benzoxazole-5-carbonhydrazides 2a-c. The subsequent treatment of compounds 2 with an appropriate aromatic aldehyde in presence of ammonium acetate leads to the synthesis of the target compounds in good yields. The chemical structures of the newly synthesized compounds were elucidated by their IR, ^{1}H NMR, ^{13}C NMR and Mass spectral data analysis.

Key words: Benzoxazoles, Triazoles, Benzoxazolyl triazoles.

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INTRUDUCTION

Triazole, possess three nitrogens in five membered heterocyclic ring. Triazole is an important pharmacophore and shows various medicinal properties such as antimicrobial, antitubercular, anti-inflammatory, hypoglycemic, anticonvulsant and antidepressant activity[1]. The triazole antifungal drugs include fluconazole, isavuconazole, itraconazole, viriconazole, parmiconazole, and posaconazole. At high concentrations (micro molar) the triazoles are fungicidal and at low concentrations (nanomolar), they are fungistatic[2-4]. The shiff's bases of triazoles show antibacterial as well as antifungal activiy[5]. Triazolam is used as sedative and hypnotic[6], and bittertanol is used as broad-spectrum fungicide[7]. Several methods were reported for the synthesis of triazoles and their derivatives[8].

Benzoxazoles are used primarily in industry and being a heterocyclic compound, benzoxazole finds use in research as a starting material for the synthesis of larger, usually bioactive structures. It is found within the chemical structures of drugs such as flunoxaprofen,and Zoxazolamine. Some novel benzoxazole derivatives were investigated for their inhibitory activity on both eukaryotic DNA topoisomerase in a cell free system[9], antibacterial activity[10] antitubercular activity[11], acts as 5-HT3 receptor agonist for the treatment of diarrhoea predominant irritable bowel syndrome[12]. However, several methods have been reported for the synthesis of various kinds of benzoxazole derivatives and their biological importance[13]. Hence, the synthesis and biological screening of benzoxazoles and triazoles attracted special attention. On the other hand, there is no report on the synthesis of 5-(5-substitutedphenyl)-4H-l,2,4-triazol-3-yl-l,3-benzoxazoles mentioned in the scheme of the present study. Despite their wide range of pharmacological, industrial and synthetic applications, synthesis of benzoxazolyl triazoles have received little attention. Keeping in view of the biological prominence of both benzoxazole and triazole moieties, it is planned to synthesize the new compounds as presented in scheme.

EXPERIMENTAL

General

Melting points were determined on a Fisher-Johns melting point apparatus and are uncorrected. The purity of the compounds was checked using precoated TLC plates (Merck, 60F-254). IR spectra were

obtained on a Perkin-Elmer FTIR 5000 spectrophotometer, using K Br pellets. NMR spectra were recorded on a Varian 300 MHz spectrometer for ^{1}H NMR and 100 MHz for ^{13}C NMR. The chemical shifts were reported as parts per millions (δ ppm) down field using TMS as an internal standard. Mass spectra were obtained on a VG micro mass 7070H spectrometer operating at 70 eV. All solvents and chemicals were purchased from Sigma Aldrich chemical company and used without further purification.

Synthesis of 2-substituted-l,3-benzoxazole-5-carbohydrazides (2a-c)

A mixture of 2-substituted-5-carbomethoxy benzoxazole **1** (0.01 mol) and hydrazine hydride (0.02 mol) in ethanol (15 ml) was refluxed for 4 h. The reaction mixture was cooled and the solvent was reduced to half of its volume. The product separated was filtered, washed with small portions of cold ethanol and water repeatedly and dried. The product was purified by recrystallization from suitable solvent. The synthesized compounds were confirmed by their IR, ^{1}H NMR, ^{13}C NMR, and Mass spectral data along with physical data.

Synthesis of 2-ethyl-5-substituted phenyl-4H-l,2,4-triazole-3-yl]-l,3-benzoxazoles(3a-o)

To a solution of 2-substituted-l,3-benzoxazole-5-carbohydrazide, 2 (0.01 mol) in ethanol (10 ml) was added a equimolar ratio of ammonium acetate followed by the addition of benzaldehyde and substituted benzaldehyde (0.01 mol) and the mixture was stirred for 24 h. The solution was then neutralized with liq. Ammonia solution and the product obtained was filtered, washed with water and recrystallized from ethanol.

l,3-Bemzoxazol-5-carbohydrazide (2a)

Color: Orange solid. Yield: 87 %. M.p.98-99°C. IR (KBr) (υ) max: 3450, 3065, 3010, 1670, 1645, 1110, 890, 750 cm^{-1}. ^{1}HNMR (400MHz,$CDC1_3$): 5.64 (S, 2H), 7.40 (S, 1H), 7.60 (D, 1H), 7.72 (D, 1H), 8.35 (S, 1H), 8.81 (S, 1H). ^{13}CNMR (75MHz,$CDCl_3$): 111.3, 121.5 ,124.7, 129.0, 142.0,152.9, 154.1, 168.3. MS: m/z 177.2. Anal. Calcd. for $C_8H_7N_3O_2$: C, 54.24; H, 3.98; N, 23.72; O, 18.06, Found: C, 53.21; H, 2.99; N, 22.71; O17.04%.

2-MethyI-l ,3-benzoxazole-5-carbohydrazide (2b)

Color: Pale Brown solid. Yield: 80 %. M.p. 110-112°C .IR(KBr) (υ) max: 3460, 3070, 3020, 1675, 1640, 1115, 880, 755 cm^{-1}. ^{1}HNMR (400MHz,$CDC1_3$): 2.63 (S, 3H), 5.64,(S, 2H), 7.40 (S, 1H), 7.57 (D, 1H), 7.73 (D, 1H), 8.57 (S, 1H). ^{13}CNMR (75MHz,$CDCl_3$): 14.3, 111.3, 121.2, 124.7, 128.5, 141.6, 151.2, 166.7, 168.3. MS: m/z 191.2. Anal. Calcd. for $C_9H_9N_3O_2$: C, 56.54; H, 4.74; N, 21.98, O, 16.74, Found: C, 55.53; H, 3.78; N,20.96; O. 15.78%.

2-Ethyl-l,3-benzoxazole-5-carbohydrazide(2c)

Color: Brown solid. Yield: 77 %. M.p.l21-123°C. IR(KBr) (υ) max: 3455, 3060, 3013, 1674, 1642, 1117, 896, 752 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (D, 3H), 2.75 (Q, 2H), 5.62 (S, 2H), 7.40 (S, 1H), 7.62 (D, 1H), 7.70 (D, 1H), 8.43 (S, lH).^{13}CNMR (75MHz,$CDCl_3$): 11.0,111.6, 121.0, 124.5, 128.6, 142.5, 168.3, 172.3. MS: m/z 205.0. Anal. Calcd. for $C_{10}H_{11}N_3O_2$: C, 58.53; H, 5.40; N, 20.48, O, 15.59, Found: C, 57.52; H, 4.58; N, 19.68; O, 14.68%.

5-[(5-Phenyl)-4H-l,2,4-triazol-3-yl]-l,3-benzoxazole(3a)

Color: Pale yellow solid. Yield: 87 %. M.p.97-99°C. IR (KBr) (υ) max: 3452, 3048, 3022, 1668, 1637, 1109, 910, 775 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 7.50 (M, 2H), 7.51 (S, 1H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.49 (M., 2H), 8.82 (D, 1H), 9.88 (S, 1H). ^{13}CNMR (75 MHz, $CDC1_3$): 110.0, 122.7, 124.0, 124.7, 128.6, 128.7, 129.3, 131.3, 132.0, 145.5, 151.0, 154.1, 156.6, 159.3. MS: m/z 262.2. Anal. Calcd. for $C_{15}H_{10}N_4O$: C, 68.69; H, 3.84; N, 21.36, O, 6.10, Found: C, 67.75; H, 2.98; N, 20.58; O, 5.26%.

2-[5-(5-l,3-Benzoxazol-5-yl)-4H-1,2,4-triazole-3yl]phenylmethyl ether (3b)

Color: Yellow solid. Yield: 88 %. M.p. 80-82°C. IR (KBr) (υ) max: 3446, 3072, 3021, 1688, 1630, 1120, 884, 735 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 3.87 (S, 3H), 6.87 (D, 1H), 7.30 (DD, 1H), 7.27 (DD, 1H), 7.69 (S, 1H), 8.83 (D, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.87 (S, 1H), 8.92 (S, 1H). ^{13}CNMR(75 MHz, $CDC1_3$): 55.2, 110.5, 116.1, 118.8, 122.7, 123.1, 123.9, 126.9, 127.4,132.7, 145.5, 151.4, 154.2, 158.6, 159.8, 161.7. MS: m/z 292.2. Anal. Calcd. for $C_{16}H_{12}N_4O_2$: C, 65.75; H, 4.14; N, 19.17, O, 10.95, Found: C, 64.76; H, 3.58; N, 18.67; O, 9.58%.

5-[5-(4-Chlorophenyl)-4H-l,2,4-triazoIe-3-yI]-1,3-benzoxazole(3c)

Color: Pale brown solid. Yield: 85 %. M.p.85-87°C. IR (KBr) (υ) max: 3472, 3073, 3045, 1645, 1630, 1130, 975, 897, 746 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 7.50 (D, 2H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.51(D, 2H), 8.82 (S, 1H), 8.86 (S, 1H). $^{!3}$CNMR (75MHz, $CDC1_3$): 110.3, 122.7, 124.3, 127.4, 128.3, 130.2, 131.4, 135.4, 145.5, 151.0, 151.4, 156.6, 159.3. MS: m/z 296.2. Anal. Calcd. for $C_{15}H_9ClN_4O$: C, 60.72; H, 3.06; Cl, 11.95, N, 18.88; O, 5.39, Found: C, 59.58; H, 2.56; Cl, 10.59, N, 17.67; O, 4.89%.

N-{3-[5-(1.3-Benzoxazol-5-yl)-4H-l,2,4-triazole-3yl]phenyl}-N,N-dimethylamine(3d)

Color: Yellow Brown solid. Yield: 87 %. M.p.ll2-114°C. IR(KBr) (υ) max: 3465, 3080, 3062, 1635, 1625, 1142, 973, 894, 735 cm^{-1}. 'HNMR (400MHz, $CDC1_3$): 2.87 (S, 6H), 6.65 (M, 2H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.82 (S, 1H), 8.87 (S, 1H), 8.92 (D, 2H). ^{13}CNMR (75MHz, $CDC1_3$): 39.9, 110.5, 112.9, 122.7, 124.0, 124.7, 124.9, 130.8, 145.5, 151.0, 151.1, 151.4, 156.6, 159.3. MS: m/z 305.6. Anal. Calcd. for $C_{17}H_{15}N_5O$: C, 66.87; H, 4.95; N, 22.94; O, 5.24, Found: C, 65.56; H, 3.59; N,21.49; O, 4.42%.

5-[5-(4-Nitrophenyl)-4H-1,2,4-triazole-3yl]-1,3-benzoxazole(3e)

Color: Yellow solid. Yield: 79 %. M.p.80-82 °C. IR (KBr) (υ) max: 3468, 3066, 3038, 1655, 1642, 1138, 973, 886, 748 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 8.08 (D, 1H), 8.10 (D, 1H), 8.33 (D, 2H), 8.82 (S, 1H), 8.86 (S, 1H), 8.98 (D, 2H). ^{13}CNMR(75MHz, $CDC1_3$): 110.5, 122.7, 124.0, 124.7, 125.8, 131.0, 134.8, 145.5, 147.8, 151.4, 154.1, 156.6, 159.7. MS: m/z 321.3. Anal. Calcd. for $C_{15}H_9N_5O_3$: C, 58.63; H, 2.95; N, 22.79; O, 15.62, Found: C, 57.36; H, 1.59; N, 21.97; O, 14.26%.

2-Methyl-{5-(5-phenyl)-4H-1,2,4-triazole-3-yI]-1,3-benzoxazole(3f)

Color: Red solid. Yield: 77 %. M.p.ll7-119°C. IR (KBr) (υ) max: 3350, 2930, 2233, 1605, 1505, 1460, 1275, 1030, 975, 897, 746 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 2.60 (S, 3H), 7.50 (DD, 2H), 7.51 (DD, 1H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.49 (D, 2H), 8.82 (S, 1H). ^{13}CNMR (75MHz, $CDC1_3$): 14.3, 110.5, 122.7, 123.7, 124.7, 128.7, 129.7, 131.3, 132.3, 145.1, 150.1, 156.6, 159.3, 166.7. MS: m/z 276.3. Anal. Calcd. for $C_{16}H_{12}N_4O$: C, 69.55; H, 4.38; N, 20.28; O, 5.79, Found: C, 68.55; H, 3.83; N, 19.82; O, 4.97%.

5-[5-(2-Methoxyphenyl)-4H-1,2,4-triazole-3-yl]-2-methyl-1,3-benzoxazole(3g)

Color: Pale yellow solid. Yield: 88 %. M.p.92-94°C. IR(KBr) (υ) max: 3468, 3078, 3049, 1638, 1642, 1138, 980, 892, 748 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 2.60 (S, 3H), 3.87 (S, 3H), 6.81 (D, 2H), 7.24 (DD, 2H), 8.08 (D, 1H), 8.10 (D, 1H), 8.77 (S, 1H), 8.80 (D, 1H), 8.90 (S, 1H). ^{13}CNMR (75MHz, $CDC1_3$): 14.3, 55.2, 110.5, 118.5, 116.1, 122.7, 123.1, 123.7, 124.7 ,126.9, 132.7, 145.1, 150.1, 156.6, 158.9, 159.3, 166.7. MS: m/z 306.3. Anal. Calcd. for $C_{17}H_{14}N_4O_2$: C, 66.66; H, 4.61; N, 18.29; O, 10.45, Found: C, 65.64; H, 3.16; N,
17.92; O, 9.54%.

5-[5-(4-Chlorophenyl)-4H-l,2,4-triazole-3-yl]-2-methyl-1,3-benzoxazole(3h)

Color: Yellow solid. Yield: 88 %. M.p.113-115 °C. IR (KBr) (υ) max: 3472, 3073, 3045, 1645, 1630, 1130, 975, 897, 746 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 2.60 (S, 3H), 7.50 (D, 2H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.51 (D, 2H), 8.77 (S, 1H). ^{13}CNMR (75MHz, $CDC1_3$): 14.3, 110.5, 122.7, 123.7, 124/7, 128.3, 130.2, 131.4, 135.3, 145.1, 150.1, 156.6, 159.3, 166.7. MS: m/z 306.3. Anal. Calcd. for $C_{16}H_{11}N_4O$: C, 61.84; H, 3.57; Cl, 11.41 N, 18.03; O, 5.15, Found: C, 77.71; H, 5.27; Anal. Calcd. for $C_{16}H_{11}ClN_4O$: C, 61.84; H, 3.57; Cl, 11.41 N, 18.03; O, 5.15, Found: C, 60.46; H, 2.75; Cl, 10.14; N, 17.02; O, 4.51%.

5-[5-(4-N,N-Dimethylamine)-phenyl-4H-l,2,4-triazole-3-yl]-2-methyl-1-3-benzoxazole (3i)

Color: Brown solid. Yield: 83 %. M.p.99-101 °C. IR (KBr) (υ) max: 3477, 3084, 3032, 1648, 1632, 1144, 970, 893, 742 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 2.60 (S, 3H), 2.85 (S, 6H), 6.65 (D, 2H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.77 (S, 1H), 8.92 (D, 2H). ^{I3}CNMR (75MHz, $CDC1_3$): 14.3, 39.9, 110.5, 112.9, 122.7, 123.7, 124.7, 124.9, 130.8, 145.1, 150.1, 151.0, 156.6, 159.3, 166.7. MS: m/z 319.3. Anal. Calcd. for $C_{18}H_{17}N_5O$: C, 67.70; H, 5.37; N, 21.93; O, 5.01, Found: C, 66.68; H, 4.73; N, 20.39; O, 4.28%.

5-[5-(4-Nitrophenyl)-4H-1,2,4-triazole-3-yl]-2-methyl-1,3-benzoxazole(3j)

Color: Red solid. Yield: 77 %. M.p. 125-127 °C. IR (KBr) (υ) max: 3466, 3070, 3036, 1638, 1622, 1141, 968, 875, 766 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 2.60 (S, 3H), 7.69 (S, 1H), 8.08 (D, 1H), 8.10 (D, 1H), 8.33 (D, 2H), 8.77 (S, 1H), 8.98 (D, 2H). ^{13}CNMR (75MHz, $CDC1_3$): 14.3, 110.5, 122.7, 123.7, 124.7, 125.8, 131.0, 134.8, 145.1, 147.8, 150.1, 156.6, 159.3, 166.7. MS: m/z 321.8. Anal. Calcd. for $C_{16}H_{11}N_5O_3$: C, 59.81; H, 3.45; N, 21.80; O, 14.94, Found: C, 58.18; H, 2.78; N, 20.47; O, 13.49%.

2-Ethyl-5-phenyl-4H-l,2,4-triazole-3-yl-1,3-benzoxazole(3k)

Color: Orange solid. Yield: 79 %. M.p. 144-146°C. IR (KBr) (υ) max: 3468, 3077, 3038, 1668, 1656, 1145, 968, 889, 738 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (T, 3H), 2.75 (Q, 2H), 7.50 (DD, 2H), 7.51 (m, 1H), 7.69 (S, 1H), 7.98 (D, 1H), 8.25 (D, 1H), 8.45 (S, 1H), 8.49 (DD, 2H). ^{13}CNMR(75MHz, $CDC1_3$): 11.0, 18.3, 110.4, 122.5, 128.7, 129.4, 131.3, 132.0, 132.4, 124.5, 146.4, 150.2, 156.7, 159.3, 172.5. MS: m/z 290.3. Anal. Calcd. for $C_{17}H_{14}N_4O$: C, 70.33; H, 4.86; N, 19.30; O, 5.51, Found: C, 69.67; H, 3.85; N, 18.86; O, 4.59%.

2-Ethyl-5-[5-(2-methoxyphenyl)-4H-l,2,4-triazole-3-yl]-1,3-benzoxazole(3l)

Color: Yellow solid. Yield: 83 %. M.p.84-86 °C. IR (KBr) (υ) max: 3470, 3066, 3044, 1662, 1641, 1156, 968, 878, 765 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (T, 3H), 2.75 (Q, 2H), 3.87 (S, 3H), 6.87 (D, 1H), 7.27 (DD, 1H), 7.30 (DD, 1H), 7.69, (S, 1H), 7.98 (D, 1H), 8.25 (D, 1H), 8.45 (S, 1H), 8.83 (D, 1H). ^{13}CNMR (75MHz, $CDC1_3$): 11.0, 18.3, 55.2, 110.4, 116.2, 118.8, 122.5, 123.2, 124.5, 132.4, 132.8, 136.1, 146.4, 150.2, 156.7, 158.6, 159.3, 172.5. MS: m/z 320.4. Anal. Calcd. for $C_{18}H_{16}N_4O_2$: C, 67.49; H, 5.03; N, 17.49; O, 9.99, Found: C, 66.48; H, 4.72; N, 16.67, O, 8.76%.

2-Ethyl-5-[5-(4-chlorophenyl)-4H-l,2,4-triazole-3-yl]-1,3-benzoxazole(3m)

Color: Red solid. Yield: 84 %. M.p.81-83 °C. IR (KBr) (υ) max: 3487, 3076, 3038, 1665, 1644, 1145, 988, 887, 766 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (T, 3H), 2.75 (Q, 2H), 7.50 (D, 2H), 7.69 (S, 1H), 7.98 (D, 1H), 8.25 (D, 1H), 8.45 (S, 1H), 8.51(D, 2H). ^{13}CNMR (75MHz, $CDC1_3$): 11.0, 18.3, 110.4, 122.5, 124.5, 128.3, 130.2, 131.4, 130.2, 132.4, 135.3, 146.4, 150.2, 156.7, 159.3, 172.5. MS: m/z 324.3. Anal. Calcd. for $C_{17}H_{13}ClN_4O$: C, 62.87; H, 4.03; Cl, 10.92; N, 17.25; O, 4.93, Found: C, 61.78; H, 3.28; Cl, 9.29; N, 16.52; O, 3.39%.

2-Ethyl-5-[5-(4-N,N-dimethylaminophenyl)-4H-l,2,4-triazole-3-yl]-1,3-benzoxazole (3n)

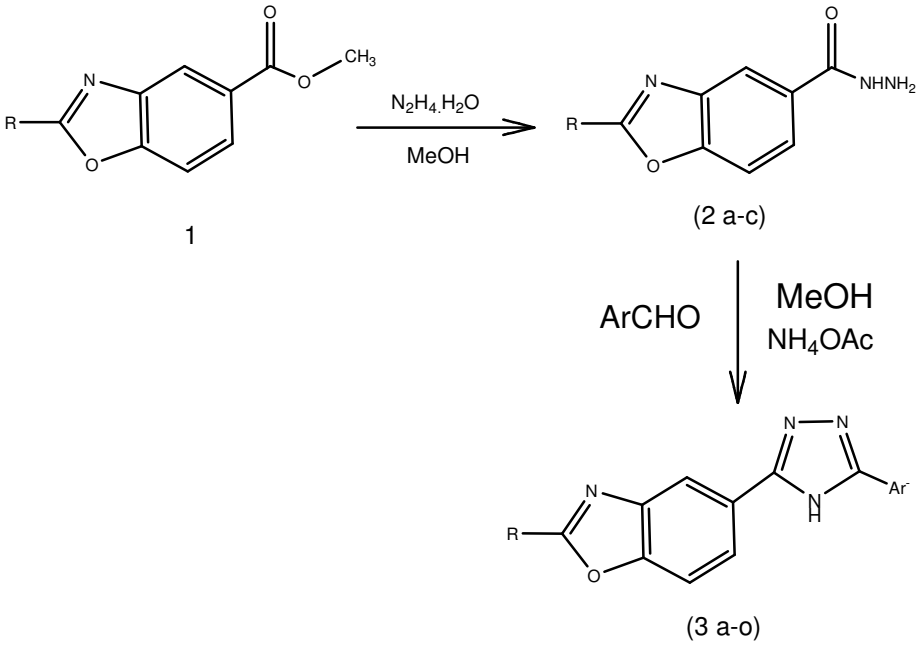
Color: Brown solid. Yield: 82 %. M.p.121-123 °C. IR (KBr) (υ) max: 3466, 3072, 3065, 1655, 1642, 1136, 978, 892 ,766 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (T, 3H), 2.75 (Q, 2H), 2.85 (S, 6H), 6.65 (D, 2H), 7.69 (S, 1H), 7.98 (D, 1H), 8.25 (D, 1H), 8.45 (S, 1H), 8.92 (D, 2H). ^{13}CNMR (75MHz, $CDC1_3$): 11.0, 18.3, 39.3, 110.4, 112.9, 122.5, 124.9, 130.8, 132.4, 124.5, 146.4, 150.2, 151.0, 156.7, 159.3, 172.5. MS: m/z 333.4. Anal. Calcd. for $C_{19}H_{19}N_5O$: C, 68.45; H, 5.74; N, 21.01; O, 4.80, Found: C, 67.54; H, 4.68; N; 20.28; O, 3.67%.

2-Ethyl-5-[5-(4-nitrophenyl)-4H-l,2,4-triazole-3-yl]-1,3-benzoxazole(3o)

Color: Yellow solid. Yield: 79 %. M.p .95-97°C. IR (KBr) (υ) max: 3466, 3081, 3065, 1643, 1632, 1142, 969, 887, 742 cm^{-1}. ^{1}HNMR (400MHz, $CDC1_3$): 1.30 (T, 3H), 2.75 (Q, 2H), 7.69 (S, 1H), 7.98 (D, 1H), 8.25 (D, 1H), 8.33 (D, 2H), 8.45 (S, 1H), 8.98 (D, 2H). ^{13}CNMR (75MHz, $CDC1_3$): 11.0, 18.3, 110.4, 122.5, 124.5, 125.8, 131.0, 132.4, 134.8, 146.4, 147.8, 150.2, 156.7, 159.3, 172.5. MS: m/z 335.8. Anal. Calcd. for $C_{17}H_{13}N_5O_3$: C, 60.89; H, 3.91; N, 20.89; O, 14.31, Found: C, 59.98; H, 2.89; N,19.98; O, 13.46%.

RESULTS AND DISCUSSION

For the synthesis of the target compounds (3a-o), a solution of 2-substituted-5-carbomethoxy benzoxazole **1** and hydrazine hydride in ethanol was refluxed for 4 h. To a solution of 2-substituted-1,3-benzoxazole-5-carbohydrazide **2** in ethanol was added ammonium acetate followed by the addition of benzaldehyde and substituted benzaldehyde and the mixture was stirred for 24h. In all cases the reaction mixture was worked-up in the usual manner. The products were purified by column chromatography and characterized by their IR, 1H NMR, 13C NMR and Mass spectral data. In conclusion, the operational simplicity of this cost-benefit method makes it attractive for preparative applications as well as for the synthesis of screening libraries for drug discovery.



Scheme-1

2. R = (a)-H,(b)-CH_3, (c)-C_2H_5

3. R = (a)-H, Ar= (a)-C_6H_5, (b)-2-MeO-C_6H_4, (c)-4-Cl-C_6H_4, (d)-N,N-dimethylamino-C_6H_4, (e)-4-NO_2-C_6H_4 (b)-CH_3, Ar=(f)-C_6H_5,(g)-2-MeO-C_6H_4, (h)-4-Cl-C_6H_4,(i)-4-N,N-dimethylamino-C_6H_4, (j)-4-NO_2-C_6H_4 (c)-C_2H_5, Ar=(k)-C_6H_5, (l)-2-MeO-C_6H_4, (m)-4-Cl-C_6H_4, (n)-4-N,N-dimethylamino-C_6H_4, (o)-4-NO_2-C_6H_4.

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[RJC-792/2011]

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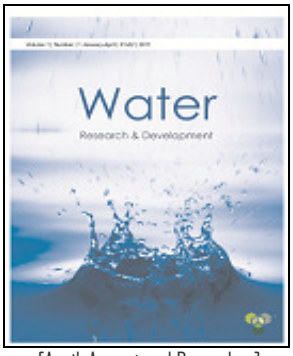

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