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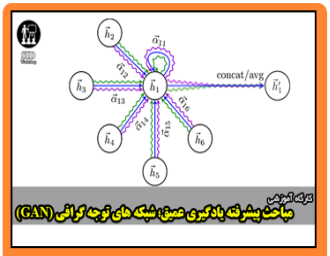

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Synthesis of Some New Thiazolidinones Derived from 1-p-Tolylethanone and Their Antibacterial and Antifungal Activities

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Abstract

Some new 3-(substitutedphenyl)-2-methyl-2-p-tolylthiazolidin-4-ones (3a-t) were prepared by refluxing the 1-p-tolylethanone (1) with different aromatic amines (2a-t) in presence of thioglycolic acid in benzene (one pot synthesis). The title compounds have been characterized on the basis of elemental analysis, IR, ^{1}H-NMR and ^{13}C-NMR spectral data. All the synthesized compounds have been screened against four different bacterial strains S. aureus, S. paratyphi-A, E. coli and B. subtilis and fungal strain F. molaniforme and A. niger. Some of them showed good antibacterial and antifungal activity compared to reference drugs used in the study.

Keywords: Antibacterial, Antifungal, One pot synthesis, 1-p-Tolylethanone.

1. Introduction

The number of life threatening infections caused by multidrug-resistant Gram-positive pathogens has reached an alarming level in hospitals and the community. Infections caused by these organisms pose a serious challenge to the scientific community and the need for an effective therapy has led to a search for novel antibacterial agents.

4-Thiazolidinones have been reported in literature to exhibit diverse physiological activities like anti-inflammatory [1], antitubercular [2], antihistaminic [3], antimicrobial [4-8], anticonvulsant [9], antiviral [10], anti-HIV [11-13], etc.

In present paper, 4-thiazolidinones have been synthesized by one pot synthesis involving condensation of 1-p-tolylethanone with different aromatic amines in presence of mercapto aceticacid [14-17] and evaluated their antibacterial and antifungal activity.

2. Experimental

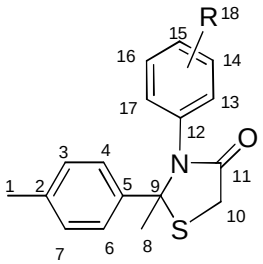
2. 1. General

Laboratory Chemicals were supplied by Rankem India Ltd. and Ficher Scientific Ltd. Melting points were determined by the open tube capillary method and are uncorrected. The purity of the compounds was determined by thin layer chromatography (TLC) plates. The spots were observed by exposure to iodine vapors or by UV light. The IR spectra were obtained on a NICOLET (NEXUS) 470 FT-IR spectrometer. The ^{1}H-NMR and ^{13}C-

NMR spectra were recorded on a BRUKER AVANCE II 400 NMR spectrometer in $CDCl_3$. Elemental analyses of all the compounds were in good agreement with the calculated values.

2.2 Preparation of 3-(substitutedphenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3a-t).

A mixture of 1-p-tolylethanone (1) (0.01M) and appropriate anilines (2a-t) (0.01 M) in 30 ml of benzene was taken in a 50 ml capacity round bottom flask with containing Dean-Stark water separator apparatus and was heated up to reflux temperature under constant stirring for 1 h; after one h, marcapto acetic acid (0.01 M) was added and the mass was further refluxed for 6 h and after then cooled to 30 °C. The whole mass was treated with saturated solution of $NaHCO_3$ and benzene was evaporated. The product thus obtained was recrystallized from alcohol to get the pure crystals of 3a-t.



General structure of the title compounds 3a-t

2. 2. 1. 2-methyl-3-phenyl-2-p-tolylthiazolidin-4-one (3a): Colorless solid, m.p. 121-123 °C, yield, 57 %; IR (KBr) ν cm^{-1}: 1712 (C=O), 1328 (C-N). ^1H NMR ($CDCl_3$): δ 1.80 (s, 3H, H-8), 2.30 (s, 3H, H-1), 3.95 (s, 2H, H-10), 6.86 (d, 2H, J = 7.5 Hz, H-4,6), 6.98 (d, 2H, J = 7.5 Hz, H-3,7), 7.38 (d, 2H, J = 7.5 Hz, H-13,17), 7.52 (t, 1H, J = 7.5 Hz, H-15), 7.82 (t, 2H, J = 7.5 Hz, H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.7 (C-1), 31.2 (C-8,10), 71.4 (C-9), 125.3 (C-4,6), 127.4 (C-13,17), 128.1 (C-15), 129.4 (C-3,7,14,16), 135.6 (C-2), 139.7 (C-5), 142.3 (C-12), 170.4 (C-11). Elemental analyses of $C_{17}H_{17}NOS$; calcld C, 72.05; H, 6.05; N, 4.94; found C, 72.02; H, 6.00; N, 4.89.

2. 2. 2. 3-(2-chlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3b): Pale yellow solid, m.p. 147-149 °C, yield, 78 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1329 (C-N), 775 (C-Cl). ^1H NMR ($CDCl_3$): δ 1.82 (s, 3H, H-8), 2.31 (s, 3H, H-1), 3.92 (s, 2H, H-10), 6.85 (d, 2H, J = 7.5 Hz, H-4,6), 6.94 (d, 2H, J = 7.5 Hz, H-3,7), 7.28 (d, 1H, J = 7.5 Hz, H-13), 7.38 (t, 1H, J = 7.5 Hz, H-15), 7.52 (t, 1H, J = 7.5 Hz, H-14), 7.96 (d, 1H, J = 7.5 Hz, H-16). ^{13}C NMR ($CDCl_3$): δ 21.4 (C-1), 31.3 (C-8,10), 71.6 (C-9), 125.4 (C-4,6), 126.2 (C-13), 127.1 (C-14), 129.5 (C-3,7), 130.4 (C-16), 131.1 (C-15), 135.4 (C-2), 139.5 (C-5), 141.0 (C-17), 142.1 (C-12), 170.6 (C-11). Elemental analyses of $C_{17}H_{16}ClNOS$; calcld C, 64.24; H, 5.07; N, 4.41; found C, 64.18; H, 5.02; N, 4.34.

2. 2. 3. 3-(3-chlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3c): Pale yellow solid, m.p. 157-160 °C, yield, 70 %; IR (KBr) ν cm^{-1}: 1711 (C=O), 1325 (C-N), 770 (C-Cl). ^1H NMR ($CDCl_3$): δ 1.83 (s, 3H, H-8), 2.31 (s, 3H, H-1), 3.93 (s, 2H, H-10), 6.85 (d, 2H, J = 7.5 Hz, H-4,6), 6.97 (d, 2H, J = 7.5 Hz, H-3,7), 7.25 (d, 1H, J = 7.5 Hz, H-13), 7.88 (d, 1H, J = 7.5 Hz, H-15), 7.68 (t, 1H, J = 7.5 Hz, H-14), 7.76 (s, 1H, H-17). ^{13}C NMR ($CDCl_3$): δ 21.8 (C-1), 31.1 (C-8,10), 71.2 (C-9), 125.7 (C-4,6), 126.3 (C-13), 128.0 (C-15), 129.2 (C-3,7), 130.5 (C-14), 133.7 (C-16), 135.3 (C-2), 136.2 (C-17), 139.8 (C-5), 142.7 (C-12), 169.7 (C-11). Elemental analyses of $C_{17}H_{16}ClNOS$; calcld C, 64.24; H, 5.07; N, 4.41; found C, 64.19; H, 5.01; N, 4.36.

2. 2. 4. 3-(4-chlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3d): Pale yellow solid, m.p. 189-192 °C, yield, 84 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1327 (C-N), 772 (C-Cl). ^1H NMR ($CDCl_3$): δ 1.78 (s, 3H, H-8), 2.28 (s, 3H, H-1), 3.89 (s, 2H, H-10), 6.87 (d, 2H, J = 7.5 Hz, H-4,6), 6.92 (d, 2H, J = 7.5 Hz, H-3,7), 7.48 (d, 2H, J = 7.5 Hz, H-13,17), 7.88 (d, 2H, J = 7.5 Hz,

H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.5 (C-1), 31.0 (C-8,10), 71.6 (C-9), 125.4 (C-4,6), 126.9 (C-13,17), 129.5 (C-3,7,14,16), 132.7 (C-15), 135.8 (C-2), 139.6 (C-5), 141.8 (C-12), 170.6 (C-11). Elemental analyses of $C_{17}H_{16}ClNOS$; calcld C, 64.24; H, 5.07; N, 4.41; found C, 64.21; H, 5.04; N, 4.37.

2. 2. 5. 3-(2,3-dichlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3e): Pale yellow solid, m.p. 181-182 °C, yield, 59 %; IR (KBr) ν cm^{-1}: 1716 (C=O), 1327 (C-N), 767 (C-Cl). ^{1}H NMR ($CDCl_3$): δ 1.84 (s, 3H, H-8), 2.32 (s, 3H, H-1), 3.91 (s, 2H, H-10), 6.89 (d, 2H, J = 7.5 Hz, H-4,6), 7.04 (d, 2H, J = 7.5 Hz, H-3,7), 7.21 (d, 1H, J = 7.5 Hz, H-13), 8.25 (d, 1H, J = 7.5 Hz, H-15), 7.51 (t, 1H, J = 7.5 Hz, H-14). ^{13}C NMR ($CDCl_3$): δ 21.5 (C-1), 31.8 (C-8,10), 71.6 (C-9), 120.8 (C-13), 124.9 (C-4,6), 128.5 (C-14), 129.6 (C-3,7), 129.7 (C-15), 132.4 (C-16,17), 135.9 (C-2), 139.4 (C-5), 141.5 (C-12), 169.7 (C-11). Elemental analyses of $C_{17}H_{15}Cl_2NOS$; calcld C, 57.96; H, 4.29; N, 3.98; found C, 57.88; H, 4.25; N, 3.94.

2. 2. 6. 3-(3,5-dichlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3f): Pale yellow solid, m.p. 185-187 °C, yield, 74 %; IR (KBr) ν cm^{-1}: 1710 (C=O), 1319 (C-N), 770 (C-Cl). ^{1}H NMR ($CDCl_3$): δ 1.85 (s, 3H, H-8), 2.30 (s, 3H, H-1), 3.90 (s, 2H, H-10), 6.84 (d, 2H, J = 7.5 Hz, H-4,6), 6.92 (d, 2H, J = 7.5 Hz, H-3,7), 7.48 (s, 2H, H-13,17), 7.98 (s, 1H, H-15). ^{13}C NMR ($CDCl_3$): δ 20.9 (C-1), 30.8 (C-8,10), 70.6 (C-9), 124.7 (C-4,6), 125.7 (C-15), 129.2 (C-3,7,14,16), 133.4 (C-13,17), 135.0 (C-2), 139.1 (C-5), 145.3 (C-12), 170.1 (C-11). Elemental analyses of $C_{17}H_{15}Cl_2NOS$; calcld C, 57.96; H, 4.29; N, 3.98; found C, 57.86; H, 4.24; N, 3.93.

2. 2. 7. 3-(2,5-dichlorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3g): Pale yellow solid, m.p. 201-204 °C, yield, 84 %; IR (KBr) ν cm^{-1}: 1715 (C=O), 1322 (C-N), 765 (C-Cl). ^{1}H NMR ($CDCl_3$): δ 1.79 (s, 3H, H-8), 2.27 (s, 3H, H-1), 3.88 (s, 2H, H-10), 6.87 (d, 2H, J = 7.5 Hz, H-4,6), 6.91 (d, 2H, J = 7.5 Hz, H-3,7), 7.48 (s, 1H, H-13), 8.12 (s, 1H, J = 7.5 Hz, H-15), 7.86 (d, 2H, J = 7.5 Hz, H-16). ^{13}C NMR ($CDCl_3$): δ 21.6 (C-1), 31.5 (C-8,10), 71.3 (C-9), 124.8 (C-4,6), 124.7 (C-13), 126.1 (C-15), 128.1 (C-16), 129.6 (C-3,7), 133.1 (C-14), 135.4 (C-2), 139.3 (C-5), 140.4 (C-12,17), 142.3 (C-12), 169.7 (C-11). Elemental analyses of $C_{17}H_{15}Cl_2NOS$; calcld C, 57.96; H, 4.29; N, 3.98; found C, 57.85; H, 4.22; N, 3.96.

2. 2. 8. 3-(4-fluorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3h): Brown solid, m.p. 172-174 °C, yield, 70 %; IR (KBr) ν cm^{-1}: 1713 (C=O), 1326 (C-N), 1256, 1232 (C-F). ^{1}H NMR ($CDCl_3$): δ 1.81 (s, 3H, H-8), 2.37 (s, 3H, H-1), 3.96 (s, 2H, H-10), 6.81 (d, 2H, J = 7.5 Hz, H-4,6), 6.95 (d, 2H, J = 7.5 Hz, H-3,7), 7.51 (d, 2H, J = 7.5 Hz, H-13,17), 7.70 (d, 2H, J = 7.5 Hz, H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.8 (C-1), 31.5 (C-8,10), 71.7 (C-9), 115.1 (C-14,16), 122.4 (C-13,17), 125.8 (C-4,6), 129.0 (C-3,7), 135.1 (C-2), 137.3 (C-12), 139.3 (C-5), 162.1 (C-15), 170.1 (C-11). Elemental analyses of $C_{17}H_{16}FNOS$; calcld C, 67.75; H, 5.35; N, 4.65; found C, 67.69; H, 5.31; N, 4.60.

2. 2. 9. 3-(2,4-difluorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3i): Brown solid, m.p. 175-178 °C, yield, 87 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1328 (C-N), 1258, 1235 (C-F). ^{1}H NMR ($CDCl_3$): δ 1.83 (s, 3H, H-8), 2.37 (s, 3H, H-1), 3.90 (s, 2H, H-10), 6.80 (d, 2H, J = 7.5 Hz, H-4,6), 6.91 (d, 2H, J = 7.5 Hz, H-3,7), 7.48 (d, 1H, J = 7.5 Hz, H-13), 7.12 (d, 1H, J = 7.5 Hz, H-14), 6.98 (s, 1H, H-16). ^{13}C NMR ($CDCl_3$): δ 21.4 (C-1), 31.8 (C-8,10), 71.1 (C-9), 103.5 (C-16), 113.5 (C-14), 122.3 (C-12), 124.6 (C-4,6), 125.3 (C-13), 129.2 (C-3,7), 135.4 (C-2), 139.6 (C-5), 160.1 (C-15), 164.1 (C-17), 170.3 (C-11). Elemental analyses of $C_{17}H_{15}F_2NOS$; calcld C, 63.93; H, 4.73; N, 4.39; found C, 63.88; H, 4.70; N, 4.32.

2. 2. 10. 3-(3,5-difluorophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3j): Brown solid, m.p. 195-197 °C, yield, 68 %; IR (KBr) ν cm^{-1}: 1716 (C=O), 1321 (C-N), 1260, 1236 (C-F). ^{1}H NMR ($CDCl_3$): δ 1.87 (s, 3H, H-8), 2.37 (s, 3H, H-1), 3.97 (s, 2H, H-10), 6.84 (d, 2H, J = 7.5 Hz, H-4,6), 6.92 (d, 2H, J = 7.5 Hz, H-3,7), 7.21 (s, 2H, H-13,17), 6.72 (s, 1H, H-15). ^{13}C NMR ($CDCl_3$): δ 20.8 (C-1), 30.7 (C-8,10), 70.9 (C-9), 100.1 (C-15), 105.4 (C-13,17), 124.9 (C-4,6), 130.1 (C-3,7), 134.9 (C-2), 138.5 (C-5), 143.3 (C-12), 157.5 (C-14,16), 169.7 (C-11). Elemental analyses of $C_{17}H_{15}F_2NOS$; calcld C, 63.93; H, 4.73; N, 4.39; found C, 63.89; H, 4.69; N, 4.33.

2. 2. 11. 3-(4-methoxyphenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3k): Pink solid, m.p. 184-187 °C, yield, 56 %; IR (KBr) ν cm^{-1}: 1715 (C=O), 1324 (C-N), 1016, 1222 (C-O-C). ^{1}H NMR ($CDCl_3$): δ 1.76 (s, 3H, H-8), 2.26 (s, 3H, H-1), 3.89 (s, 2H, H-10), 6.81 (d, 2H, J = 7.5 Hz, H-4,6), 6.93 (d, 2H, J = 7.5 Hz, H-3,7), 7.68 (d, 2H, J = 7.5 Hz, H-13,17), 3.52 (s, 3H, H-18), 7.12 (d, 2H, J = 7.5 Hz, H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.6 (C-1), 31.3 (C-8,10), 55.8 (C-18), 71.7 (C-9), 114.1 (C-14,16), 122.4 (C-13,17), 125.6 (C-4,6), 129.7 (C-3,7), 132.3 (C-12), 134.9 (C-2), 138.8 (C-5), 158.1 (C-15), 170.6 (C-11). Elemental analyses of $C_{18}H_{19}NO_2S$; calcld C, 68.98; H, 6.11; N, 4.47; found C, 68.95; H, 6.05; N, 4.41.

2. 2. 12. 3-(2-methoxyphenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3l): Pink solid, m.p. 122-124 °C, yield, 88 %; IR (KBr) ν cm^{-1}: 1712 (C=O), 1324 (C-N), 1018, 1225 (C-O-C). ^{1}H NMR ($CDCl_3$): δ 1.84 (s, 3H, H-8), 2.37 (s, 3H, H-1), 3.92 (s, 2H, H-10), 6.88 (d, 2H, J = 7.5 Hz, H-4,6), 7.04 (d, 2H, J = 7.5 Hz, H-3,7), 7.12 (d, 1H, J = 7.5 Hz, H-13), 7.26 (t, 1H, J = 7.5 Hz, H-14), 7.41 (d, 1H, J = 7.5 Hz, H-16), 7.62 (t, 1H, J = 7.5 Hz, H-15), 3.56 (s, 3H, H-18). ^{13}C NMR ($CDCl_3$): δ 20.9 (C-1), 30.7 (C-8,10), 55.7 (C-18), 71.6 (C-9), 111.9 (C-16), 117.4 (C-13), 121.0 (C-14), 125.7 (C-4,6), 128.1 (C-15), 129.3 (C-3,7), 135.7 (C-2), 139.6 (C-5), 142.3 (C-12), 161.7 (C-17), 170.6 (C-11). Elemental analyses of $C_{18}H_{19}NO_2S$; calcld C, 68.98; H, 6.11; N, 4.47; found C, 68.94; H, 6.06; N, 4.43.

2. 2. 13. 2-methyl-3-(4-nitrophenyl)-2-p-tolylthiazolidin-4-one (3m): Yellow solid, m.p. 197-199 °C, yield, 78 %; IR (KBr) ν cm^{-1}: 1717 (C=O), 1327 (C-N), 1356, 1552 (-NO_2 sym, asym). ^{1}H NMR ($CDCl_3$): δ 1.82 (s, 3H, H-8), 2.32 (s, 3H, H-1), 3.96 (s, 2H, H-10), 6.85 (d, 2H, J = 7.5 Hz, H-4,6), 6.93 (d, 2H, J = 7.5 Hz, H-3,7), 7.14 (d, 2H, J = 7.5 Hz, H-13,17), 8.42 (d, 2H, J = 7.5 Hz, H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.4 (C-1), 31.3 (C-8,10), 71.5 (C-9), 123.7 (C-14,16), 125.1 (C-4,6), 129.0 (C-3,7), 131.4 (C-13,17), 135.4 (C-2), 139.3 (C-5), 144.1 (C-15), 147.3 (C-12), 170.7 (C-11). Elemental analyses of $C_{17}H_{16}N_2O_3S$; calcld C, 62.18; H, 4.91; N, 8.53; found C, 62.14; H, 4.85; N, 8.47.

2. 2. 14. 2-methyl-3-(3-nitrophenyl)-2-p-tolylthiazolidin-4-one (3n): Yellow solid, m.p. 211-214 °C, yield, 85 %; IR (KBr) ν cm^{-1}: 1710 (C=O), 1325 (C-N), 1355, 1556 (-NO_2 sym, asym). ^{1}H NMR ($CDCl_3$): δ 1.84 (s, 3H, H-8), 2.29 (s, 3H, H-1), 3.94 (s, 2H, H-10), 6.88 (d, 2H, J = 7.5 Hz, H-4,6), 6.93 (d, 2H, J = 7.5 Hz, H-3,7), 7.70 (d, 1H, J = 7.5 Hz, H-13), 7.87 (t, 1H, J = 7.5 Hz, H-14), 8.28 (s, 1H, H-17), 8.42 (d, 1H, J = 7.5 Hz, H-15). ^{13}C NMR ($CDCl_3$): δ 21.5 (C-1), 31.0 (C-8,10), 71.6 (C-9), 118.1 (C-15), 122.9 (C-17), 125.1 (C-4,6), 129.7 (C-3,7,14), 132.4 (C-13), 135.2 (C-2), 139.5 (C-5), 142.3 (C-12), 147.9 (C-16), 169.7 (C-11). Elemental analyses of $C_{17}H_{16}N_2O_3S$; calcld C, 62.18; H, 4.91; N, 8.53; found C, 62.11; H, 4.87; N, 8.45.

2. 2. 15. 2-methyl-3-(2-nitrophenyl)-2-p-tolylthiazolidin-4-one (3o): Yellow solid, m.p. 199-201 °C, yield, 78 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1324 (C-N), 1358, 1562

(-NO_2 sym, asym). ^{1}H NMR ($CDCl_3$): δ 1.81 (s, 3H, H-8), 2.32 (s, 3H, H-1), 3.93 (s, 2H, H-10), 6.82 (d, 2H, J = 7.5 Hz, H-4,6), 6.95 (d, 2H, J = 7.5 Hz, H-3,7), 7.60 (t, 1H, J = 7.5 Hz, H-14), 7.96 (d, 1H, J = 7.5 Hz, H-13), 8.21 (t, 1H, J = 7.5 Hz, H-15), 8.45 (d, 1H, J = 7.5 Hz, H-16). ^{13}C NMR ($CDCl_3$): δ 21.6 (C-1), 31.0 (C-8,10), 71.5 (C-9), 113.4 (C-13), 125.1 (C-4,6), 125.7 (C-16), 126.6 (C-15), 129.0 (C-3,7), 135.5 (C-2), 137.3 (C-14), 139.4 (C-5), 138.7 (C-12), 142.1 (C-17), 169.9 (C-11). Elemental analyses of $C_{17}H_{16}N_2O_3S$; calcld C, 62.18; H, 4.91; N, 8.53; found C, 62.13; H, 4.87; N, 8.46.

2. 2. 16. 2-methyl-2,3-dip-tolylthiazolidin-4-one (3p): Black solid, m.p. 179-181 °C, yield, 69 %; IR (KBr) ν cm^{-1}: 1708 (C=O), 1320 (C-N). ^{1}H NMR ($CDCl_3$): δ 1.87 (s, 3H, H-8), 2.36 (s, 3H, H-1,18), 3.92 (s, 2H, H-10), 6.84 (d, 2H, J = 7.5 Hz, H-4,6), 6.95 (d, 2H, J = 7.5 Hz, H-3,7), 7.12 (d, 2H, J = 7.5 Hz, H-13,17), 7.54 (d, 2H, J = 7.5 Hz, H-14,16). ^{13}C NMR ($CDCl_3$): δ 21.5 (C-1,18), 30.9 (C-8,10), 71.7 (C-9), 125.8 (C-4,6), 129.5 (C-3,7,14,16), 133.1 (C-13,17), 135.5 (C-2), 136.7 (C-15), 138.3 (C-12), 139.4 (C-5), 170.5 (C-11). Elemental analyses of $C_{18}H_{19}NOS$; calcld C, 72.69; H, 6.44; N, 4.71; found C, 72.61; H, 6.40; N, 4.65.

2. 2. 17. 2-methyl-3-m-tolyl-2-p-tolylthiazolidin-4-one (3q): Black solid, m.p. 183-185 °C, yield, 79 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1320 (C-N). ^{1}H NMR ($CDCl_3$): δ 1.87 (s, 3H, H-8), 2.35 (s, 3H, H-1,18), 3.91 (s, 2H, H-10), 6.82 (d, 2H, J = 7.5 Hz, H-4,6), 6.93 (d, 2H, J = 7.5 Hz, H-3,7), 7.13 (d, 1H, J = 7.5 Hz, H-13), 7.28 (s, 1H, H-17), 7.40 (d, 1H, J = 7.5 Hz, H-15), 7.51 (t, 1H, J = 7.5 Hz, H-14). ^{13}C NMR ($CDCl_3$): δ 21.1 (C-1,18), 31.3 (C-8,10), 71.7 (C-9), 123.1 (C-13,17), 124.1 (C-15), 125.2 (C-4,6), 129.8 (C-3,7,14), 135.8 (C-2), 138.0 (C-16), 139.9 (C-5), 142.3 (C-12), 170.7 (C-11). Elemental analyses of $C_{18}H_{19}NOS$; calcld C, 72.69; H, 6.44; N, 4.71; found C, 72.63; H, 6.38; N, 4.67.

2. 2. 18. 2-methyl-3-o-tolyl-2-p-tolylthiazolidin-4-one (3r): Black solid, m.p. 181-183 °C, yield, 77 %; IR (KBr) ν cm^{-1}: 1713 (C=O), 1327 (C-N). ^{1}H NMR ($CDCl_3$): δ 1.82 (s, 3H, H-8), 2.31 (s, 3H, H-1,18), 3.94 (s, 2H, H-10), 6.85 (d, 2H, J = 7.5 Hz, H-4,6), 6.96 (d, 2H, J = 7.5 Hz, H-3,7), 7.08 (d, 1H, J = 7.5 Hz, H-13), 7.43 (t, 2H, J = 7.5 Hz, H-14,15), 7.75 (d, 1H, J = 7.5 Hz, H-16). ^{13}C NMR ($CDCl_3$): δ 21.4 (C-1), 31.1 (C-8,10), 71.6 (C-9), 117.4 (C-13), 125.7 (C-4,6), 126.3 (C-14), 129.2 (C-3,7,15,16), 133.3 (C-17), 135.0 (C-2), 138.3 (C-12), 139.3 (C-5), 170.1 (C-11). Elemental analyses of $C_{18}H_{19}NOS$; calcld C, 72.69; H, 6.44; N, 4.71; found C, 72.64; H, 6.41; N, 4.68.

2. 2. 19. 3-(3-bromophenyl)-2-methyl-2-p-tolylthiazolidin-4-one (3s): Black solid, m.p. 156-158 °C, yield, 81 %; IR (KBr) ν cm^{-1}: 1715 (C=O), 1322 (C-N). ^{1}H NMR ($CDCl_3$): δ 1.82 (s, 3H, H-8), 2.33 (s, 3H, H-1), 3.93 (s, 2H, H-10), 6.86 (d, 2H, J = 7.5 Hz, H-4,6), 6.97 (d, 2H, J = 7.5 Hz, H-3,7), 7.38 (d, 1H, J = 7.5 Hz, H-13), 7.47 (t, 1H, J = 7.5 Hz, H-14), 7.64 (s, 1H, H-17), 7.92 (d, 1H, J = 7.5 Hz, H-15). ^{13}C NMR ($CDCl_3$): δ 21.5 (C-1), 31.3 (C-8,10), 71.5 (C-9), 120.1 (C-17), 123.1 (C-16), 125.7 (C-4,6), 126.4 (C-13), 127.8 (C-15), 129.6 (C-3,7,14), 135.1 (C-2), 139.3 (C-5), 142.3 (C-12), 169.7 (C-11). Elemental analyses of $C_{17}H_{16}BrNOS$; calcld C, 56.36; H, 4.45; N, 3.87; found C, 56.34; H, 4.38; N, 3.84.

2. 2. 20. 2-methyl-2-p-tolyl-3-(3-(trifluoromethyl) phenyl) thiazolidin-4-one (3t): Brown solid, m.p. 149-151 °C, yield, 85 %; IR (KBr) ν cm^{-1}: 1714 (C=O), 1321 (C-N), 1265, 1238 (C-F). ^{1}H NMR ($CDCl_3$): δ 1.80 (s, 3H, H-8), 2.31 (s, 3H, H-1), 3.94 (s, 2H, H-10), 6.82 (d, 2H, J = 7.5 Hz, H-4,6), 6.95 (d, 2H, J = 7.5 Hz, H-3,7), 7.48 (d, 1H, J = 7.5 Hz, H-13), 7.64 (t, 1H, J = 7.5 Hz, H-14), 7.82 (s, 1H, H-17), 7.85 (d, 1H, J = 7.5 Hz, H-15). ^{13}C NMR

($CDCl_3$): δ 21.1 (C-1), 31.4 (C-8,10), 71.8 (C-9), 117.1 (C-17), 120.1 (C-15), 122.5 (C-18), 125.5 (C-4,6), 130.4 (C-13), 129.6 (C-3,7,14), 131.1 (C-16), 135.6 (C-2), 139.3 (C-5), 142.3 (C-12), 170.1 (C-11). Elemental analyses of $C_{18}H_{16}F_3NOS$; calcld C, 61.53; H, 4.59; N, 3.99; found C, 61.51; H, 4.54; N, 3.91.

3. Results and Discussion

3. 1. Chemistry

One pot synthesis of 4-thiazolidinones from 1-p-tolylethanone with different aromatic amines in presence of thioglycolic acid in benzene has been described in scheme-1. All the synthesized compounds are confirmed from their characteristic IR, ^{1}H NMR and ^{13}C NMR spectroscopic data and elemental analyses as described in the experimental section.

The structures of the synthesized compounds 3a–t were supported by spectral analysis. In IR spectra >C=O of thiazolidinone observed at 1712 cm^{-1}. Another C-N stretching found at 1321 cm^{-1}. The ^{1}H-NMR singlet signals of cyclilized thiazolidinones were observed at 3.95 corresponding to -CH_2– in the ring. In ^{13}C-NMR the higher field resonances of carbonyl carbon observed at 170.4.

From the above spectral discussions, the structure of thiazolidinoine molecule is confirmed.

3.2 Antimicrobial activity

The products are screened for their antimicrobial activity using cup-plate diffusion method [18] by measuring the inhibition zones in mm at concentration of 50 microgram against S. aurens, E-coli, Psedomons arrogenes and S. typhi. All compounds given in Table-1 were tested in vitro for their antimicrobial activity. It is evident from Table-1 that compounds 3c, 3e and 3f are moderately active while 3h, 3i, 3j, 3k, 3l, 3s and 3t are maximum active, and remaining compounds are less active compared to the standard drugs. Ampiciline and penicillin are used as the standard antibacterial drugs.

All the synthesized compounds have been screened against fungal strains F. molaniforme and A. niger. Compounds 3b, 3c, 3d, 3f, 3h, 3i, 3j, 3l, 3m, 3n, 3o, 3p, 3q, 3r and 3s shows the maximum inhibition in the range of 53.62 %-76.21% are the most active against both the fungal species compared to the standard drugs. Remaining compounds showed the moderate activity. Griseofulvin and Fungiguard are used as the standard antifungal drugs.

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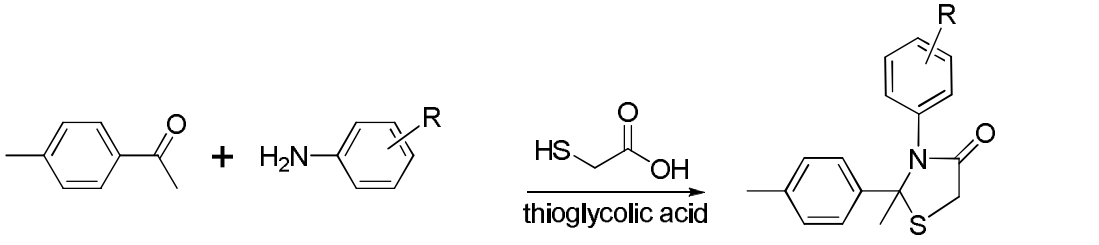

Scheme-1

Table 1. Antimicrobial data of compounds 3a-t

Compound No.	R	Antibacterial activity				Antifungal activity	
		Diameter of zone of inhibition in mm				% Inhibition	
		S. aureus	S. paratyphi-A	E. coli	B. subtilis	A. niger	F. molaniforme
3a	-H	05	04	07	06	49.35	44.25
3b	2-Chloro	04	10	06	07	56.54	42.35
3c	3-Chloro	11	12	09	14	76.21	66.35
3d	4-Chloro	04	07	06	08	62.32	68.12
3e	2,3-Dichloro	10	11	10	13	45.32	42.32
3f	3,5-Dichloro	11	14	10	12	56.32	56.34
3g	2,5-Dichloro	06	07	05	04	47.35	45.87
3h	4-Fluoro	16	18	15	14	45.68	61.20
3i	2,4-Difluoro	12	15	17	17	58.78	69.32
3j	3,5-difluoro	16	14	13	14	45.75	58.35
3k	4-Methoxy	17	13	13	14	42.51	43.56
3l	2-Methoxy	18	16	17	18	47.86	55.21
3m	4-Nitro	06	08	10	05	53.24	58.24
3n	3-Nitro	08	04	06	04	56.31	54.85
3o	2-Nitro	03	08	03	05	63.71	54.32
3p	4-Methyl	09	05	04	05	71.35	56.24
3q	3-Methyl	05	06	09	04	56.35	54.21
3r	2-Methyl	07	09	06	10	52.12	41.21
3s	3-Bromo	15	14	15	14	53.62	54.23
3t	3-(Trifluoromethyl)	15	14	14	16	45.54	39.56
Ampicillin	---	32	34	36	36	------	------
Penicillin-G	---	33	30	31	34	---	-----
Griseofulvin	---	---	----	---	---	87	82
Fungiguard	---	---	----	---	---	79	78

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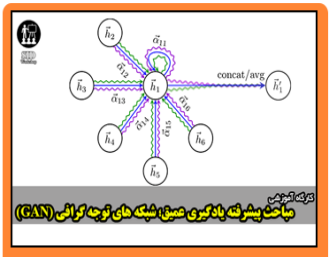

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