Scientific paper

Synthesis and Biological Evaluation of some new Imidazo[1,2-*a*]pyridines

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Abstract

A series of new 1-[(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)carbonyl]-4-alkyl/arylthiosemicarbazides, 2-[(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)carbonyl]hydrazono-3-alkyl thiazolidin-4-ones, 2-(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)-5-arylamino-1,3,4-oxadiazoles and 4-alkyl/aryl-2,4-dihydro-5-(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)-3*H*-1,2,4-triazole-3-thiones were synthesized. The structures of the compounds have been elucidated by IR, ^{1}H NMR, EI mass spectra and elemental analysis. Antibacterial, antifungal and antimycobacterial activities of compounds were evaluated against various microorganisms and some of them were found to be active in varying degrees against *Staphylococcus aureus*, *Staphylococcus epidermidis* or *Mycobacterium tuberculosis* $H_{37}R_v$.

Keywords: Imidazo[1,2-*a*]pyridine, 4-thiazolidinone, 1,3,4-oxadiazole, 1,2,4-triazole-3-thione, antimicrobial activity

1. Introduction

Imidazo[1,2-*a*]pyridines have been shown to possess diverse biological activities including antibacterial, antifungal, antituberculous, antiviral, anticonvulsant, antiinflammatory, analgesic and antipyretic.[1–6] Also many reports indicate that acyl thiosemicarbazides and their corresponding cyclized derivatives, such as 4-thiazolidinones, 1,3,4-oxadiazoles and 1,2,4-triazole-3-thiones, possess antibacterial, antifungal, antiviral, anticonvulsant, antiinflammatory and hypnotic activities.[7–15]

As a continuation of our programme on imidazo[1,2-*a*]pyridine ring system,[2–4,8,16,17] we synthesized some new acylthiosemicarbazides, 4-thiazolidinones, 1,3,4-oxadiazoles and 1,2,4-triazole-3-thiones incorporating an imidazo[1,2-*a*]pyridine substituent to screen their antimicrobial activity.

2. Experimental

2. 1. Chemistry

Melting points were determined on a Büchi 530 apparatus in open capillary tubes and are uncorrected. IR spectra were recorded on KBr discs, using a Perkin Elmer 1600 FT-IR spectrophotometer. ^{1}H NMR spectra were obtained in DMSO-d_6 on a Bruker AC 200 (200 MHz) spectrophotometer using TMS as the internal standard. EI-MS were performed on a VG Zab Spec (70 eV) instrument. Elemental analyses were performed on a Carlo Erba 1106 elemental analyzer. Compounds **2a**, **5a** and the starting materials were either commercially available or synthesized according to the references cited.

2. 1. 1. 1-[(2,8-Dimethylimidazo[1,2-*a*]pyridine-3-yl)carbonyl]-4-alkyl/arylthiosemicarbazide (2a–j)

0.01 mol of 2,8-dimethylimidazo[1,2-*a*]pyridine-3-carbohydrazide **1**,[18] 0.01 mol of appropriate isothiocyanate and absolute ethanol (15 mL) were refluxed for 3 h. The separated solid was filtered and recrystallized from ethanol (96%).

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-methylhydrazinecarbothioamide (2a): Yield: 91%, mp 225–228 °C. IR ν (cm^{-1}): 3316, 3170 (N–H), 1652 (C=O), 1226 (C=S). ^{1}H NMR δ ppm: 9.57 (s, 1H, N^1-H),

9.32 (s, 1H, N^2-H), 8.85 (d, *J* = 6.9 Hz, 1H, C_5-H), 8.04 (br s, 1H, N^4-H), 7.23 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.95 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.90 (d, 3H, N-CH_3), 2.63 (s, 3H, C_8-CH_3), 2.49 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 277 (M^+, 43), 247 (4), 246 (13), 244 (24), 243 (72), 204 (1), 189 (27), 186 (39), 174 (22), 173 (100), 171 (19), 158 (23), 157 (13), 146 (19), 118 (29), 92 (18), 73 (4), 65 (20). Anal. Calcd for $C_{12}H_{15}N_5OS \times 2\ H_2O$: C, 45.99; H, 6.11; N, 22.33. Found: C, 46.37; H, 5.87; N, 21.93.

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-ethylhydrazinecarbothioamide (2b): Yield: 90%, mp 205–207 °C. IR ν (cm^{-1}): 3334, 3173 (N–H), 1636 (C=O), 1225 (C=S). ^{1}H NMR δ ppm: 9.58 (s, 1H, N^1-H), 9.26 (s, 1H, N^2-H), 8.83 (d, *J* = 6.9 Hz, 1H, C_5-H), 8.07 (br s, 1H, N^4-H), 7.23 (d, *J* = 6.7 Hz, 1H, C_7-H), 6.95 (t, *J* = 7.6 Hz, 1H, C_6-H), 3.42–3.55 (m, 2H, ethyl CH_2), 2.64 (s, 3H, C_8-CH_3), 2.49 (s, 3H, C_2-CH_3), 1.08 (t, *J* = 7.1 Hz, 3H, ethyl CH_3). EI-MS *m/z* (rel. intensity): 291 (M^+, 43), 258 (24), 257 (72), 247 (3), 246 (15), 204 (23), 189 (26), 186 (45), 174 (31), 173 (100), 158 (24), 146 (26), 118 (31), 104 (18), 87 (16), 65 (23). Anal. Calcd for $C_{13}H_{17}N_5OS$: C, 53.59; H, 5.88; N, 24.04. Found: C, 54.11; H, 6.28; N, 24.35.

***N*-Allyl-2-[(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]hydrazinecarbothioamide (2c)**: Yield: 76%, mp 203–205 °C. IR ν (cm^{-1}): 3310, 3206 (N–H), 1645 (C=O), 1218 (C=S). ^{1}H NMR δ ppm: 9.56 (s, 1H, N^1-H), 9.23 (s, 1H, N^2-H), 8.80 (d, *J* = 6.8 Hz, 1H, C_5-H), 8.01 (br s, 1H, N^4-H), 7.28 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.95 (t, *J* = 7.6 Hz, 1H, C_6-H), 5.90–5.85 (m, 1H, CH_2-**CH**=CH_2) 5.22 (d, *J* = 16.1 Hz, 1H, *trans* CH_2-CH=**CH_2**), 5.17 (d, *J* = 10.3 Hz, 1H, *cis* CH_2-CH=**CH_2**), 4.16 (s, 2H, **CH_2**-CH=CH_2), 2.68 (s, 3H, C_8-CH_3), 2.46 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{14}H_{17}N_5OS \times 2\ H_2O$: C, 49.54; H, 6.23; N, 20.62. Found: C, 50.06; H, 6.27; N, 19.68.

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-propylhydrazinecarbothioamide (2d): Yield: 82%, mp 203–205 °C. IR ν (cm^{-1}): 3330, 3166 (N–H), 1637 (C=O), 1223 (C=S). ^{1}H NMR δ ppm: 9.58 (s, 1H, N^1-H), 9.25 (s, 1H, N^2-H), 8.82 (d, *J* = 6.8 Hz, 1H, C_5-H), 8.03 (br s, 1H, N^4-H), 7.26 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.95 (t, *J* = 6.8 Hz, 1H, C_6-H), 3.72–3.68 (m, 2H, N-CH_2), 2.60 (s, 3H, C_8-CH_3), 2.48 (s, 3H, C_2-CH_3), 1.51–1.30 (m, 2H, CH_2**CH_2**CH_3), 0.60 (t, *J* = 7.3 Hz, 3H, CH_2CH_2**CH_3**). Anal. Calcd for $C_{14}H_{19}N_5OS \times 0.5\ H_2O$: C, 53.48; H, 6.41; N, 22.26. Found: C, 53.60; H, 6.48; N, 22.28.

***N*-Butyl-2-[(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]hydrazinecarbothioamide (2e)**: Yield: 90%, mp 185 °C. IR ν (cm^{-1}): 3313, 3158 (N–H), 1637 (C=O), 1219 (C=S). ^{1}H NMR δ ppm: 9.60 (s, 1H, N^1-H), 9.30 (s, 1H, N^2-H), 8.83 (d, *J* = 6.8 Hz, 1H, C_5-H), 8.00 (br s, 1H, N^4-H), 7.25 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.96 (t, *J* = 6.8 Hz, 1H, C_6-H), 3.43–3.32 (m, 2H, N-CH_2), 2.64 (s, 3H, C_8-CH_3), 2.46 (s, 3H, C_2-CH_3), 1.47–1.40 (m, 2H, CH_2**CH_2**CH_2CH_3), 1.30–1.25 (m, 2H, CH_2CH_2**CH_2**CH_3), 0.86 (t, *J* = 7.3 Hz, 3H, $CH_2CH_2CH_2$**CH_3**). Anal. Calcd for $C_{15}H_{21}N_5OS$: C, 56.40; H, 6.63; N, 21.92. Found: C, 55.90; H, 6.97; N, 21.85.

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-phenylhydrazinecarbothioamide (2f): Yield: 96%, mp >268 °C. IR ν (cm^{-1}): 3378, 3218 (N–H), 1627 (C=O), 1236 (C=S). **^{1}H NMR** δ ppm: 9.78 (broad s, 3H, N^1-H, N^2-H, N^4-H), 8.90 (d, *J* = 6.6 Hz, 1H, C_5-H), 7.50 (d, *J* = 7.9 Hz, 1H, C_7-H), 7.11–7.37 (m, 5H, C_6H_5), 6.95 (t, *J* = 7.0 Hz, 1H, C_6-H), 2.69 (s, 3H, C_8-CH_3), 2.50 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 277 (43), 247 (4), 246 (13), 244 (24), 243 (72), 204 (1), 189 (27), 186 (39), 174 (22), 173 (100), 171 (19), 158 (23), 157 (13), 146 (19), 118 (29), 92 (18), 73 (4), 65 (20). Anal. Calcd for $C_{17}H_{17}N_5OS \times H_2O$: C, 57.12; H, 5.35; N, 19.58. Found: C, 57.23; H, 5.76; N, 19.91.

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-(4-methylphenyl)hydrazinecarbothioamide (2g): Yield: 95%, mp 245 °C. IR ν (cm^{-1}): 3333, 3191 (N–H), 1639 (C=O), 1259 (C=S). ^{1}H NMR δ ppm: 9.71 (br s, 3H, N^1-H, N^2-H, N^4-H), 8.87 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.35 (d, *J* = 7.9 Hz, 2H, tolyl C_2-H, C_6-H), 7.23 (d, *J* = 6.9 Hz, 1H, C_7-H), 7.13 (d, *J* = 8.2 Hz, 2H, tolyl C_3-H, C_5-H), 6.95 (t, *J* = 6.9 Hz, 1H, C_6-H), 2.67 (s, 3H, C_8-CH_3), 2.50 (s, 3H, C_2-CH_3), 2.28 (s, 3H, tolyl CH_3). EI-MS *m/z* (rel. intensity): 353 (M^+, 3), 295 (3), 247 (8), 246 (10), 205 (64), 204 (78), 189 (2), 173 (100), 147 (17), 145 (7), 117 (10), 91 (8), 65 (8). Anal. Cald for $C_{18}H_{19}N_5OS \times 1.5\ H_2O$: C, 56.82; H, 5.83; N, 18.39. Found: C, 56.82; H, 5.56; N, 18.40.

***N*-(4-Bromophenyl)-2-[(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]hydrazinecarbothioamide (2h)**: Yield: 89%, mp 235 °C. IR ν (cm^{-1}): 3356, 3311 (N–H), 1635 (C=O), 1216 (C=S). ^{1}H NMR δ ppm: 9.80 (broad s, 3H, N^1-H, N^2-H, N^4-H), 8.82 (d, *J* = 6.8 Hz,1H, C_5-H), 7.60 (d, *J* = 8.1 Hz, 2H, phenyl C_2-H, C_6-H), 7.38 (d, *J* = 8.1 Hz, 2H, phenyl C_3-H, C_5-H), 7.23 (d, *J* = 6.9 Hz, 1H, C_7-H), 6.94 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.65 (s, 3H, C_8-CH_3), 2.49 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{16}BrN_5OS$: C, 48.81; H, 3.86; N, 16.74. Found: C, 48.97; H, 2.91; N, 16.95.

***N*-(4-Chlorophenyl)-2-[(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]hydrazinecarbothioamide (2i)**: Yield: 92%, mp >268 °C. IR ν (cm^{-1}): 3359, 3136 (N–H), 1635 (C=O), 1254 (C=S). ^{1}H NMR δ ppm: 9.84 (br s, 3H, N^1-H, N^2-H, N^4-H), 8.86 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.53 (d, *J* = 8.5 Hz, 2H, phenyl C_2-H, C_6-H), 7.38 (d, *J* = 8.7 Hz, 2H, phenyl C_3-H, C_5-H), 7.25 (d, *J* = 6.9

Hz, 1H, C_7-H), 6.96 (t, *J* = 6.9 Hz, 1H, C_6-H), 2.66 (s, 3H, C_8-CH_3), 2.50 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 373 (M^+, 2), 339 (3), 247 (1), 246 (3), 204 (25), 189 (2), 174 (15), 173 (100), 169 (39), 149 (9), 145 (8), 127 (4), 118 (6), 111 (12), 104 (11), 78 (9), 65 (9). Anal. Calcd for $C_{17}H_{16}ClN_5OS \times H_2O$: C, 52.10; H, 4.62; N, 17.86. Found: C, 51.36; H, 3.89; N, 17.75.

2-[(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)carbonyl]-*N*-(4-fluorophenyl)hydrazinecarbothioamide (2j): Yield: 54 %, mp 255 °C. IR ν (cm^{-1}): 3260 (N–H), 1668(C=O), 1209 (C=S). ^{1}H NMR δ ppm: 9.77 (broad s, 3H, N^1-H, N^2-H, N^4-H), 8.87 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.40–7.33 (m, 3H, phenyl C_2-H, C_6-H, C_7-H), 7.17–7.10 (m, 2H, phenyl C_3-H, C_5-H), 6.95 (t, *J* = 6.9 Hz, 1H, C_6-H), 2.65 (s, 3H, C_8-CH_3), 2.49 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{16}FN_5OS \times 0.5\ H_2O$: C, 55.72; H, 4.67; N, 19.10. Found: C, 55.58; H, 4.57; N, 19.23.

2. 1. 2. 2-[(2,8-Dimethylimidazo[1,2-*a*]pyridine-3-yl)carbonyl]hydrazono-3-alkylthiazolidin-4-one (3a–e)

0.01 mol of the appropriate thiosemicarbazide **2a**–**e** and 0.011 mol of ethyl bromoacetate were refluxed in 30 mL of absolute ethanole in the presence of 0.04 mol of anhydrous CH_3COONa for 2–4 h. The reaction mixture was cooled, diluted with water and allowed to stand overnight. The precipitate thus obtained was filtered, dried and recrystallized from ethanol (96%).

2,8-Dimethyl-*N*'-(3-methyl-4-oxo-1,3-thiazolidin-2-ylidene)imidazo[1,2-*a*]pyridine-3-carbohydrazide (3a): Yield: 78%, mp 258–259 °C IR ν (cm^{-1}): 3321, 3138 (N–H), 1711 (C=O, thiazolidinone), 1666 (C=O, hydrazide). ^{1}H NMR δ ppm: 10.28 (s, 1H, CONH), 8.81 (d, *J* = 6.4 Hz, 1H, C_5-H), 7.21 (d, *J* = 6.3 Hz, 1H, C_7-H), 6.94 (t, *J* = 6.8 Hz, 1H, C_6-H), 4.06 (s, 2H, S-CH_2), 3.17 (s, 3H, N-CH_3), 2.63 (s, 3H, C_8-CH_3), 2.50 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 317 (M^+, 100), 71 (2), 45 (8), 42 (16). Anal. Calcd for $C_{14}H_{15}N_5O_2S$: C, 52.98; H, 4.76; N, 22.07. Found: C, 52.70; H, 5.00; N, 22.05.

***N*'-(3-Ethyl-4-oxo-1,3-thiazolidin-2-ylidene)-2,8-dimethylimidazo[1,2-*a*]pyridine-3-carbohydrazide (3b)**: Yield: 88%, mp 203–205 °C IR ν (cm^{-1}): 3470 (N–H), 1698 (C=O, thiazolidinone), 1651 (C=O, hydrazide). ^{1}H NMR δ ppm: 10.17 (s, 1H, CONH), 8.28 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.08 (d, *J* = 6.9 Hz, 1H, C_7-H), 6.81 (t, *J* = 6.9 Hz, 1H, C_6-H), 3.93 (s, 2H, S-CH_2), 3.63 (s, 2H, N-CH_2), 2.50 (s, 3H, C_8-CH_3), 2.36 (s, 3H, C_2-CH_3), 1.06 (t, *J* = 7.1 Hz, 3H, ethyl CH_3). EI-MS *m/z* (rel. intensity): 331 (M^+, 76), 257 (17), 189 (5), 186 (19), 174 (52), 173 (100), 146 (65), 118 (19), 104 (23), 92 (21), 65 (19). Anal. Calcd for $C_{15}H_{17}N_5O_2S \times 3\ H_2O$: C, 46.73; H, 6.01; N, 18.16. Found: C, 47.41; H, 5.42; N, 18.05.

***N*'-(3-Allyl-4-oxo-1,3-thiazolidin-2-ylidene)-2,8-dimethylimidazo[1,2-*a*]pyridine-3-carbohydrazide (3c)**: Yield: 56%, mp 198–200 °C. IR ν (cm^{-1}): 3448, 3127 (N–H), 1718 (C=O, thiazolidinone), 1644 (C=O, hydrazide). ^{1}H NMR δ ppm: 10.21 (s, 1H, CONH), 8.60 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.18 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.81 (t, *J* = 6.8 Hz, 1H, C_6-H), 5.87–5.82 (m, 1H, CH_2-**CH**=CH_2), 5.23 (d, *J* = 16.1 Hz, 1H, *trans* CH_2-CH=**CH_2**), 5.16 (d, *J* = 10.3 Hz, 1H, *cis* CH_2-CH=**CH_2**), 4.40 (d, 2H, **CH_2**-CH=CH_2), 3.90 (s, 2H, S-CH_2), 2.48 (s, 3H, C_8-CH_3), 2.30 (s, 3H, C_2-CH_3). Anal.Calcd for $C_{16}H_{17}N_5O_2S \times H_2O$: C, 53.16; H, 5.29; N, 19.37. Found: C, 52.75; H, 5.58; N, 19.22.

2,8-Dimethyl-*N*'-(4-oxo-3-propyl-1,3-thiazolidin-2-ylidene)imidazo[1,2-*a*]pyridine-3-carbohydrazide (3d): Yield: 83%, mp 201–202 °C. IR ν (cm^{-1}): 3466, 3258 (N–H), 1704 (C=O, thiazolidinone), 1659 (C=O, hydrazide). ^{1}H NMR δ ppm: 10.25 (s, 1H, CONH), 8.32 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.16 (d, *J* = 6.9 Hz, 1H, C_7-H), 6.82 (t, *J* = 6.8 Hz,1H, C_6-H), 4.04 (s, 2H, S-CH_2), 3.74 (t, *J* = 7.2 Hz, 2H, N-CH_2), 2.49 (s, 3H, C_8-CH_3), 2.36 (s, 3H, C_2-CH_3), 1.49–1.31 (m, 2H, CH_2**CH_2**CH_3), 0.58 (t, *J* = 7.4 Hz, 3H, CH_2CH_2**CH_3**). Anal. Calcd for $C_{16}H_{19}N_5O_2S \times 3\ H_2O$: C, 48.10; H, 6.30; N, 17.52. Found: C, 48.33; H, 6.39; N, 17.38.

***N*'-(3-Butyl-4-oxo-1,3-thiazolidin-2-ylidene)-2,8-dimethylimidazo[1,2-*a*]pyridine-3-carbohydrazide (3e)**: Yield: 85%, mp 175–176 °C. IR ν (cm^{-1}): 3133 (N–H), 1717 (C=O, thiazolidinone), 1676 (C=O, hydrazide). ^{1}H NMR δ ppm: 10.19 (s, 1H, CONH), 8.26 (d, *J* = 6.9 Hz, 1H, C_5-H), 7.12 (d, *J* = 6.9 Hz, 1H, C_7-H), 6.81 (t, *J* = 6.9 Hz,1H, C_6-H), 4.03 (s, 2H, S-CH_2), 3.72 (t, *J* = 7.2 Hz, 2H, N-CH_2), 2.52 (s, 3H, C_8-CH_3), 2.34 (s, 3H, C_2-CH_3), 1.73–1.56 (m, 2H, CH_2**CH_2**CH_2CH_3), 1.42–1.28 (m, 2H, CH_2CH_2**CH_2**CH_3), 0.90 (t, *J* = 7.2 Hz, 3H, $CH_2CH_2CH_2$**CH_3**). Anal. Calcd for $C_{17}H_{21}N_5O_2S$: C, 56.80; H, 5.89; N, 19.48. Found: C, 56.97; H, 5.23; N, 19.22.

2. 1. 3. 2-(2,8-Dimethylimidazo[1,2-a]pyridine-3-yl)-5-arylamino-1,3,4-oxadiazole (4a–d)

4a–d were obtained from **2f**,**g**,**i**,**j** as described for **3a–e**.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-*N*-phenyl-1,3,4-oxadiazol-2-amine (4a): Yield: 85%, mp 265–266°C. IR ν (cm^{-1}): 3150–2866 (N–H). ^{1}H NMR δ ppm: 10.78 (s, 1H, NH), 9.09 (d, *J* = 6.0 Hz, 1H, C_5-H), 7.64 (d, *J* = 6.0 Hz, 1H, C_7-H), 7.40–7.09 (m, 5H, C_6H_5), 7.03 (t, *J* = 7.3 Hz, 1H, C_6-H), 2.69 (s, 3H, C_8-CH_3), 2.55 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 305 (M^+, 23), 187 (5), 186 (11), 173 (100), 170 (34), 158 (9), 156 (23), 146 (2), 145 (5), 133 (3). Anal. Calcd for $C_{17}H_{15}N_5O$: C, 66.86; H, 4.95; N, 22.93. Found: C, 66.73; H, 4.92; N, 22.47.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-*N*-(4-methylphenyl)-1,3,4-oxadiazol-2-amine (4b): Yield: 67%, mp 268–269 °C. IR ν (cm^{-1}): 3200–2912 (N–H). ^{1}H NMR δ ppm: 10.35 (s, 1H, NH), 8.94 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.38 (d, *J* = 8.1 Hz, 2H, phenyl C_2-H, C_6-H), 7.16 (d, *J* = 6.7 Hz, 1H, C_7-H), 7.04 (d, *J* = 8.0 Hz, 2H, phenyl C_3-H, C_5-H), 6.97 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.55 (3H, s, C_8-CH_3), 2.41 (s, 3H, C_2-CH_3), 2.14 (s, 3H, phenyl CH_3). EI-MS *m/z* (rel. intensity): 319 (M^+, 100), 262 (11), 187 (8), 186 (45), 174 (3), 173 (26), 172 (14), 171 (64), 158 (23), 146 (3), 145 (3), 133 (2). Anal. Calcd for $C_{18}H_{17}N_5O$: C, 67.69; H, 5.36; N, 21.93. Found: C, 66.78; H, 5.97; N, 21.72.

***N*-(4-Chlorophenyl)-5-(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)-1,3,4-oxadiazol-2-amine (4c)**: Yield: 97%, mp 268 °C. IR ν (cm^{-1}): 3150–2925 (N–H). ^{1}H NMR δ ppm: 10.36 (s, 1H, NH), 8.90 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.56 (d, *J* = 8.5 Hz, 2H, phenyl C_2-H, C_6-H), 7.32 (d, *J* = 8.6 Hz, 2H, phenyl C_3-H, C_5-H), 7.16 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.89 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.50 (3H, s, C_8-CH_3), 2.39 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{14}ClN_5O$: C, 60.09; H, 4.15; N, 20.61. Found: C, 59.39; H, 3.98; N, 19.94.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-*N*-(4-fluorophenyl)-1,3,4-oxadiazol-2-amine (4d): Yield: 80%, mp 265–268 °C. IR ν (cm^{-1}): 3178–2951 (N–H). ^{1}H NMR δ ppm: 10.65 (s, 1H, NH), 8.90 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.55–7.51 (m, 2H, phenyl C_2-H, C_6-H), 7.21–7.17 (m, 3H, phenyl C_3-H, C_5-H, C_7-H), 6.96 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.60 (3H, s, C_8-CH_3), 2.45 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{14}FN_5O \times 0.5\ H_2O$: C, 61.43; H, 4.54; N, 21.07. Found: C, 62.10; H, 4.09; N, 21.09.

2. 1. 4. 4-Alkyl/aryl-2,4-dihydro-5-(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)-3*H*-1,2,4-triazole -3-thiones (5a–h)

A mixture of the thiosemicarbazide **2a–j** (0.01 mol) and 2N NaOH (30 mL) was heated to reflux. After 3 h the mixture was poored into crushed ice and acidified with dilute HCl to pH 6–8. The precipitate was filtered, washed with water and recrystallized from ethanol (96%).

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-methyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5a): Yield: 72%, mp >268 °C. IR ν (cm^{-1}): 3088 (N–H), 1632 (C=N), 1285 (C=S). ^{1}H NMR δ ppm: 14.10 (s, 1H, NH), 8.19 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.20 (d, *J* = 6.7 Hz, 1H, C_7-H), 6.88 (t, *J* = 6.9 Hz, 1H, C_6-H), 3.27 (N-CH_3 with H_2O), 2.51 (s, 3H, C_8-CH_3), 2.35 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 259 (M^+, 56), 258 (45), 245 (71), 186 (22), 172 (20), 171 (56), 155 (8), 91 (15), 59 (68), 41 (100). Anal. Calcd for $C_{12}H_{13}N_5S \times 0.5\ H_2O$: C, 53.71; H, 5.25; N, 26.08. Found: C, 54.33; H, 5.13; N, 26.23.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-ethyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5b): Yield: 88%, mp >268 °C. IR ν (cm^{-1}): 3080, 3026 (N–H), 1630 (C=N), 1277 (C=S). ^{1}H NMR δ ppm: 14.12 (s, 1H, NH), 8.12 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.20 (d, *J* = 6.7 Hz, 1H, C_7-H), 6.86 (t, *J* = 6.8 Hz, 1H, C_6-H), 3.80 (q, 2H, N-CH_2), 2.52 (s, 3H, C_8-CH_3), 2.33 (s, 3H, C_2-CH_3), 1.00 (t, 3H, ethyl CH_3). Anal. Calcd for $C_{13}H_{15}N_5S$: C, 57.12; H, 5.53; N, 25.62. Found: C, 56.32; H, 5.87; N, 25.91.

4-Allyl-5-(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5c): Yield: 78%, mp >268 °C. IR ν (cm^{-1}): 3080 (N–H), 1631 (C=N), 1281 (C=S). ^{1}H NMR δ ppm: 14.12 (s, 1H, NH), 8.15 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.20 (d, *J* = 6.7 Hz, 1H, C_7-H), 6.87 (t, *J* = 6.9 Hz, 1H, C_6-H), 5.85–5.80 (m, 1H, CH_2-**CH**=CH_2), 5.24 (d, *J* = 16.2 Hz, 1H, *trans* CH_2-CH=**CH_2**), 5.16 (d, *J* = 10.2 Hz, 1H, *cis* CH_2-CH=**CH_2**), 4.20 (d, *J* = 4.9 Hz, 2H, **CH_2**-CH=CH_2), 2.50 (s, 3H, C_8-CH_3), 2.33 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{14}H_{15}N_5S \times 0.5\ H_2O$: C, 57.12; H, 5.47; N, 23.77. Found: C, 57.83; H, 5.48; N, 24.11.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-propyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5d): Yield: 94%, mp >268 °C. IR ν (cm^{-1}): 3083, 3026 (N–H), 1629 (C=N), 1278 (C=S). ^{1}H NMR δ ppm: 14.13 (s, 1H, NH), 8.12 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.20 (d, *J* = 7.6 Hz, 1H, C_7-H), 6.87 (t, *J* = 6.8 Hz, 1H, C_6-H), 3.74 (t, *J* = 7.1 Hz, 2H, N-CH_2), 2.52 (s, 3H, C_8-CH_3), 2.33 (s, 3H, C_2-CH_3), 1.37–1.52 (m, 2H, CH_2**CH_2**CH_3), 0.56 (t, *J* = 7.4 Hz, 3H, CH_2CH_2**CH_3**). Anal. Calcd for $C_{14}H_{17}N_5S \times 0.5\ H_2O$: C, 56.73; H, 6.12; N, 23.61. Found: C, 57.19; H, 5.98; N, 23.24.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-phenyl-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5e): Yield: 85%, mp >268 °C. IR ν (cm^{-1}): 3420, 3066 (N–H), 1629 (C=N), 1275 (C=S). ^{1}H NMR δ ppm: 14.30 (s, 1H, NH), 8.26 (d, *J* = 6.8 Hz,1H, C_5-H), 7.34 (s, 5H, C_6H_5), 7.09 (d, *J* = 6.8 Hz, 1H, C_7-H), 6.76 (t, *J* = 6.8 Hz, 1H, C_6-H), 2.42 (s, 3H, C_8-CH_3), 2.01 (s, 3H, C_2-CH_3). EI-MS *m/z* (rel. intensity): 321 (M^+, 100), 262 (8), 248 (2), 244 (3),186 (4), 171 (24), 150 (2), 73 (6). Anal. Calcd for $C_{17}H_{15}N_5S$: C, 63.53; H, 4.70; N, 21.79. Found: C, 63.72; H, 4.65; N, 21.41.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-(4-methylphenyl)-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5f): Yield: 48%, mp >268 °C. IR ν (cm^{-1}): 3390, 3065 (N–H), 1628 (C=N), 1273 (C=S). ^{1}H NMR δ ppm: 14.26 (s, 1H, NH), 8.25 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.12–7.22 (m, 4H, C_6H_4), 7.09 (d, *J* = 7.2 Hz, 1H, C_7-H), 6.77 (d, *J* = 6.8 Hz, 1H, C_6-H), 2.42 (s, 3H, C_8-CH_3), 2.26 (s, 3H, C_2-CH_3), 2.02 (s, 3H, phenyl CH_3). EI-MS *m/z* (rel. intensity): 335 (M^+, 83), 334 (100), 276 (4), 262 (23), 244 (3), 186 (2), 171 (18), 164 (3), 91 (73), 65 (91). Anal. Calcd

for $C_{18}H_{17}N_5S \times H_2O$: C, 61.16; H, 5.41; N, 19.80. Found: C, 61.99; H, 5.27; N, 20.00.

4-(4-Bromophenyl)-5-(2,8-dimethylimidazo[1,2-*a*]pyridin-3-yl)-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5g): Yield: 40%, mp >268 °C. IR ν (cm^{-1}): 3416, 3068 (N–H), 1628 (C=N), 1272 (C=S). ^{1}H NMR δ ppm: 14.16 (s, 1H, NH), 8.20 (d, *J* = 6.7 Hz, 1H, C_5-H), 7.52 (d, *J* = 8.0 Hz, 2H, phenyl C_2-H, C_6-H), 7.46 (d, *J* = 8.0 Hz, 2H, phenyl C_3-H, C_5-H), 7.09 (d, *J* = 7.2 Hz, 1H, C_7-H), 6.77 (d, *J* = 6.8 Hz, 1H, C_6-H), 2.48 (s, 3H, C_8-CH_3), 2.30 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{14}BrN_5S \times H_2O$: C, 48.81; H, 3.85; N, 16.74. Found: C, 49.42; H, 3.65; N, 16.39.

5-(2,8-Dimethylimidazo[1,2-*a*]pyridin-3-yl)-4-(4-fluorophenyl)-2,4-dihydro-3*H*-1,2,4-triazole-3-thione (5h): Yield: 63%, mp >268 °C. IR ν (cm^{-1}): 3408, 3078 (N–H), 1629 (C=N), 1277 (C=S). ^{1}H NMR δ ppm: 14.24 (s, 1H, NH), 8.20 (d, *J* = 6.8 Hz, 1H, C_5-H), 7.45–7.33 (m, 3H, phenyl C_2-H, C_6-H, C_7-H), 7.19–7.10 (m, 2H, phenyl C_3-H, C_5-H), 6.76 (d, *J* = 6.8 Hz, 1H, C_6-H), 2.45 (s, 3H, C_8-CH_3), 2.34 (s, 3H, C_2-CH_3). Anal. Calcd for $C_{17}H_{14}FN_5S$: C, 60.16; H, 4.16; N, 20.63. Found: C, 60.23; H, 4.19; N, 20.20.

2. 2. Microbiology

2. 2. 1. Antibacterial and Antifungal Activity

Disc diffusion method was used for antimicrobial activity. The cultures of bacteria and yeast strains were prepared in 4 mL of Mueller–Hinton broth at 37 °C. After 24 h of incubation, the turbidity of culture suspension was adjusted with sterile Mueller–Hinton broth in order to obtain a turbidity comparable to a No. 1 McFarland turbidity standard. One mL of this suspension was pipetted into the Mueller–Hinton agar plate and distributed evenly over the surface of the medium by gently rocking the plate. Excess suspension was pipetted off. The surface of the medium was allowed to dry for 15 min at room temperature. Compound (200 μg) impregnated discs were applied to the surface of inoculated plates. The petri plates were placed in an incubator at 37 °C. After 18–24 h of incubation, the petri plates were examined.[19]

The minimum inhibitory concentrations (MIC) of the compounds were determined by the microbroth dilution technique using Mueller–Hinton broth. Serial two-fold dilutions ranged from 2500 to 2.4 μg mL^{-1} for compounds. The inoculum was prepared in broth which had been kept overnight at 37 °C and which had been diluted with Mueller–Hinton broth to give a final concentration of 105 cfu mL^{-1} in the test tray. The trays were covered and placed in plastic bags to prevent drying. After incubation at 37 °C for 18–20 h, the MIC was defined as the lowest concentration of the compound giving complete inhibition of visible growth.[20]

2. 2. 2. Antimycobacterial Activity

Primary screen was conducted at 12.5 μg mL^{-1} against *M. tuberculosis* $H_{37}R_v$ in BACTEC 12B medium using BACTEC 460 radiometric system. Compounds effecting <90% inhibition in the primary screen (MIC >12.5 μg mL^{-1}) were not evaluated further.[21]

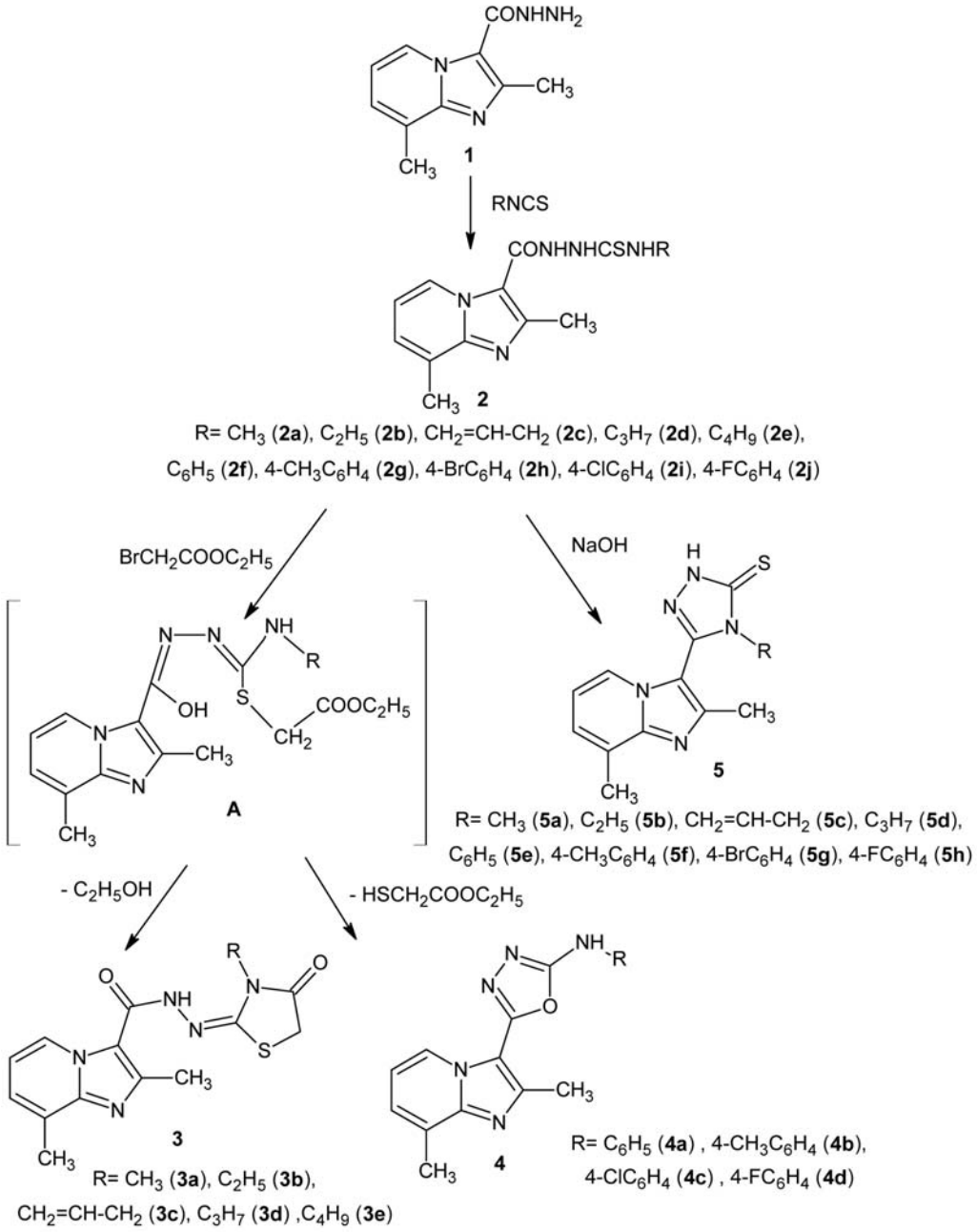
3. Results and Discussion

3. 1. Chemistry

1-[(2,8-Dimethylimidazo[1,2-*a*]pyridine-3-yl)carbonyl]-4-alkyl/arylthiosemicarbazides **2a–j** were obtained from **1**[18] and corresponding alkyl/arylisothiocyanates. On treatment with ethyl bromoacetate, **2a–e** yielded 4-thiazolidinones **3a–e**. In the case of arylthiosemicarbazides **2f**,**g**,**i**,**j** the same reaction resulted in 1,3,4-oxadiazole derivatives **4a–d**.[17] The thiosemicarbazides were cyclized to the corresponding *3H*-1,2,4-triazole-3-thiones **5a–h** by sodium hydroxide (Scheme).

The structures of the compounds were assigned by elemental analysis (CHN) and spectroscopic methods (IR, ^{1}H NMR, EI-MS). The IR spectra of **2a–j** showed the N–H and C=O vibrations at about 3136–3378 and 1627–1668 cm^{-1}, respectively. ^{1}H NMR spectra displayed N^1-H, N^2-H and N^4-H resonances in the δ 9.57–9.84, 9.23–9.84 and 8.00–9.84 ppm regions, respectively.[11,17] The C_5-H, C_7-H and C_6-H resonances of the imidazo[1,2-*a*]pyridine residue in all compounds appeared in the 8.80–8.90, 7.23–7.50 and 6.94–6.96 ppm regions, respectively. New C=O bands (1698–1718 cm^{-1}) in the IR spectra of 4-thiazolidinones **3a–e** were particularly diagnostic for thiazolidinone formation.[3,4,7,8,12,13] Further support was obtained from the ^{1}H NMR spectra of **3a–e** which showed signals due to the CH_2 protons at the 5 position of the 4-thiazolidinone ring at about 3.90–4.06 ppm. After cyclization, absence of resonances assigned to the N^2-H and N^4-H protons of the thiosemicarbazides **2a–e** provided confirmatory evidence of thiazolidinone formation. Cyclization of 4-arylthiosemicarbazides **2f**,**g**,**i**,**j** with ethyl bromoacetate yielded unexpected products, which were identified as 2-(2,8-dimethylimidazo[1,2-*a*]pyridine-3-yl)-5-arylamino-1,3,4-oxadiazole **(4a–d)** on the basis of analytical and spectral data. Cyclization to 4-thiazolidinones involves the formation of an isothiosemicarbazide intermediate **A** (Scheme) following ene-thiolization. At this stage electronic effects or overall conformation of the isothiosemicarbazide intermediate can make the SCH_2COOEt moiety a good leaving group and thus can lead to the formation of **4a–d**.[7,11,17] Compounds **4a–d** exist as the amino tautomer (NH: 10.35–10.78 ppm). The absence of C=O bands in the IR spectra **4a–d** also support the 1,3,4-oxadiazole structure.

In a basic medium 1-acyl/aroyl-3-thiosemicarbazides are dehydrated by the condensation of the 4-amino group with the carbonyl function to give triazoline-3-



Scheme. General synthesis of compounds **2**–**5**

thiones. The nucleophilicity of the terminal amino group of the thioamide determines whether it can undergo a condensation reaction or not. With a base, the sulfur function is ionized, and this increases the nucleophilicity of 4-amino group and promotes triazoline-3-thione formation.[23] IR spectra of **5a**–**h** provided definitive evidence for ring closure. The C=O absorption of the thiosemicarbazides disappears as the group participates in ring formation and a new band in the 1632–1628 cm^{-1} region appeared which may be assigned to the C=N group of the triazoline ring.[24] ^{1}H NMR spectra also supported ring closure as they showed only one low-field singlet in the 14.10–14.30 ppm region which is thus assigned to the N^2-H of the ring. 5-Substituted 2,4-dihydro-3*H*-1,2,4-triazole-3-thiones may exist in tautomeric forms. **5a**–**h** favored the thione form since no absorption indicative of an SH group (2500 cm^{-1}) was displayed in the solid state IR spectra.[10,11,23,25] The low field NH resonance in the ^{1}H NMR spectra supported this form and the structure can be assigned to the thione form also in solution.[25] The EI-MS of all representative examples showed moleculer ions of different intensity (except **2f**) and fragmented in accordance with the fragmentation routes given in the literature.[9,11,23,25,26]

3. 2. Microbiology

Compounds **2–5** were evaluated for *in vitro* antibacterial activity against *Staphylococcus aureus* ATCC 6538, *Staphylococcus epidermidis* ATCC 12228, *Escherichia coli* ATCC 8739, *Klebsiella pneumoniae* ATCC 4352, *Pseudomonas aeruginosa* ATCC 1539, *Salmonella typhi*, *Shigella flexneri*, *Proteus mirabilis* ATCC 14153, *Candida albicans* ATCC 10231 using the disc diffusion method. Some of these compounds had appreciable activity for *S. epidermidis* and *S. aureus* (Table).

Compounds **2f–j** were also evaluated for antimycobacterial activity against *Mycobacterium tuberculosis* $H_{37}R_{v.}$ Only **2f** exhibited 55% inhibition in the *in vitro* primary screen conducted at 12.5 μg mL^{-1}.

Table. MIC values of compounds **2–5**

Compounds	MIC (μg mL^{-1})	
	S. epidermidis	*S. aureus*
2d	312	–
2e	312	–
2f	78	–
2g	62.5	312
2h	62.5	156
2i	31.25	312
2j	62.5	312
3c	62.5	–
3d	62.5	–
3e	78	–
4c	39	–
5g	312	–

4. Conclusion

In this study we reported the preparation of novel imidazo[1,2-*a*]pyridine derivatives containing thiosemicarbazide, 4-thiazolidinone, 1,3,4-oxadiazole or 1,2,4-triazole-3-thione moieties and their antimicrobial activities. Some of the compounds, especially thiosemicarbazide derivatives, were found to be active against *Staphylococcus aureus* and/or *Staphylococcus epidermidis* and only compound **2f** was found to be active against *Mycobacterium tuberculosis* $H_{37}R_{v.}$

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6. References

1. K. S. Gudmundsson, B. A. Johns, *Bioorg. Med. Chem. Lett.,* **2007***, 17*, 2735–2739.
2. N. Cesur, Z. Cesur, *Farmaco,* **1994**, *49*, 679–681.
3. N. Cesur, Z. Cesur, N. Ergenç, M. Uzun, M. Kiraz, Ö. Kasımoğlu, D. Kaya, *Arch. Pharm. (Weinheim),* **1994**, *327*, 271–272.
4. N. Cesur, Z. Cesur, *J. Fac. Pharm. Istanbul,* **1998**, *32*, 29–35.
5. J. M. Figueiredo, C. A. Camara, E. G. Amarante, A. L. P. Miranda, F. M. Santos, C. R. Rodrigues, C. A. M. Fraga, E. J. Berreiro, *Bioorg. Med. Chem.,* **2000***, 8*, 2243–2248.
6. S. Mavel, J. L. Renou, C. Galtier, R. Snoeck, G. Andrei, J. Balzarini, E. Clerq, A. Gueffier, *Arzneim. Forsch*., **2001**, *51*, 304–309.
7. N. Ergenç, G. Çapan, *Farmaco,* **1994**, *49*, 133–135.
8. B. Ö. Kasımoğulları, Z. Cesur, *Turk. J. Chem.,* **2007**, *31*, 617–622.
9. Ö. Ateş, A. Kocabalkanlı, G. Ötük Sanış, A. C. Ekinci, A.Vidin, *Arzneim.-Forsch./Drug Res.,* **1997**, *47*, 1134–1138.
10. A. Almasirad, N. Vousooghi, S. A. Tabatabai, A. Kebriaeezadeh, A. Shafiee, *Acta Chim. Slov.,* **2007**, *54*, 317–324.
11. N. Cesur, S. Birteksöz, G. Ötük, *Acta Pharmaceutica Turcica,* **2002**, *44*, 23–41.
12. P. Vicini, A. Geronikaki, K. Anastasia, M. Incerti, F. Zani, *Bioorg. Med. Chem,* **2006**, *14*, 3859–3864.
13. N. Ergenç, G. Çapan, N. S. Günay, S. Özkırımlı, M. Güngör, S. Özbey, E. Kendi, *Arch. Pharm. Pharm. Med. Chem*., **1999**, *332*, 343–347.
14. K. A. Metwally, S. H. Yaseen, E. M. Lashine, H. M. El-Fayomi, M. E. El-Sadek, *Eur. J. Med. Chem,* **2007**, *42*, 152–160.
15. A. I. Hashem, A. S. A. Youssef, K. A. Kandeel, W. S. I. Abou-Elmagd, *Eur. J. Med. Chem.,* **2007**, *42*, 934–939.
16. Z. Cesur, N. Cesur, G. Ötük, *Acta Pharmaceutica Turcica,* **1989,** *31*, 135–138.
17. N. Cesur, Z. Cesur, A. Gürsoy, *Arch. Pharm. (Weinheim),* **1992**, *325*, 623–324.
18. H. Oa, M. Obata, T. Yamanaka, H. Mikshina, PCT Int. Appl, WO 8607, 059,04 Dec1986, JP Appl. 85/112,715,25 May 1985; 31 pp. *Chem. Abstr*., **1987,** *106*, 176381w.
19. A. L. Barry, C. Thornsberry, In: E. H. Lennette, A. Balows, W. J. Hausler, H. J. Shadomy (Eds.): Manual and Clinical Microbiology, ASM, Washington DC, **1985**, p. 978.
20. R. N. Jones, A. L. Barry, T. T. Govan, J. A. Washington II, In: E. H. Lennette, A. Balows, W. J. Hausler, H. J. Shadomy (Eds.): Manual and Clinical Microbiology, ASM, Washington DC, **1985**, p. 972.
21. C. B. Inderleid, In: V. Lorian (Ed.): Antibiotics in Laboratory Medicine, 3rd ed. Williams and Wilkins, Baltimore, **1991**, p. 134.
22. Z. Cesur, H. Güner, G. Ötük, *Eur. J. Med. Chem*. **1994**, *29*, 981–983.
23. G. Çapan, N. Ergenç, G. Ötük, *J. Fac. Pharm. Istanbul* **1990–92,** *26–28*, 23–30.

24. R. M. Silverstein, G. C. Bassler, T. C. Morrill, Spectrometric Identification of Organic Compounds, 4th ed. John Wiley & Sons, **1981**, p. 130.
25. Z. Cesur, N. Ergenç, E. Ilhan, *Acta Pharmaceutica Turcica,* **1989**, *31*, 103–109.
26. R. K. Bansal, G. Bhagchandani, *J. Indian Chem. Soc*. **1982**, 59, 277 –279.

Povzetek

Pripravili smo novo serijo 1-[(2,8-dimetilimidazo[1,2-*a*]piridin-3-il)karbonil]-4-alkil/aril- tiosemikarbazidov, 2-[(2,8-dimetilimidazo[1,2-*a*]piridin-3-il)karbonil]hidrazono-3-alkil tiazolidin-4-onov, 2-(2,8-dimethilimidazo[1,2-*a*]piridin-3-il)-5-arilamino-1,3,4-oksa-diazolov in 4-alkil/aril-2,4-dihidro-5-(2,8-dimetilimidazo[1,2-*a*]piridin-3-il)-3*H*-1,2,4-triazol-3-tionov. Strukture spojin smo določili z IR, ^{1}H NMR, EI masno spektrometrijo in elementno analizo. Raziskali smo tudi aktivnosti proti bakterijam, glivam in mikobakterijam na različnih vrstah mikroorganizmov; nekatere spojine so bile v različni meri aktivne proti *Staphylococcus aureus*, *Staphylococcus epidermidis* in *Mycobacterium tuberculosis* $H_{37}R_v$.