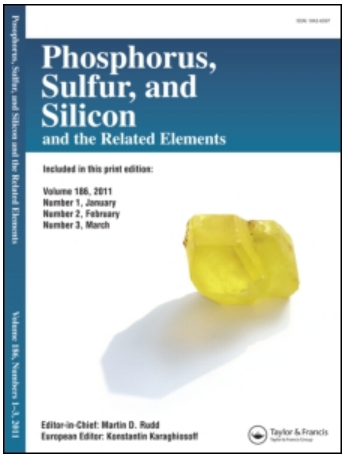


## Phosphorus, Sulfur, and Silicon and the Related Elements

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# Microwave Assisted Synthesis of Some Pyridine Derivatives Containing Mercaptotriazole and Thiazolidinone as a New Class of Antimicrobial Agents

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# Microwave Assisted Synthesis of Some Pyridine Derivatives Containing Mercaptotriazole and Thiazolidinone as a New Class of Antimicrobial Agents

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*A fast and facile procedure for the synthesis of pyridomercaptotriazole **4a–e** and pyridothiazolidinone **5a–e** is being reported starting from dihydropyridine **1a–e**. Subsequent oxidation with nitrating mixture ($HNO_3/H_2SO_4$) produced the anticipated 2,6-dimethylpyridine derivatives **2a–e**, which were subsequently condensed with thiosemicarbazide in ethanol to produce the key intermediate 2,2′-[4-(4-substituted phenyl)- 2,6-dimethylpyridine-3,5-diyl]dicarbonyldihydrazine carbothioamides **3a–e**. In the final step pyridomercaptotriazole derivatives **4a–e** were synthesized by treating **3a–e** in alkaline media. In parallel pyridothiazolidinone derivatives **5a–e** were obtained by the reaction of **3a–e** with $ClCH_2COOH/CH_3COONa$. All the reactions were carried out on microwave irradiation in good yield with short time. The structures of all the compounds have been confirmed on the basis of their analytical, IR, $^1H$ NMR, and Mass spectral data (Tables I and II). The potent antimicrobial effects of the synthesized compounds were also investigated.*



**Keywords** Dihydropyridine; dihydrazinocarbothioamide; green chemical synthesis; mercaptotriazole; microwave protocol; thiazolidinone

## INTRODUCTION

In times where a premium is put on speed, diversity and efficiency in the drug discovery process, MAOS[1] (Microwave Assisted Organic

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Synthesis) strategies offer significant advantages over conventional synthesis. Considering these benefits, the present article reports a convenient green chemical synthesis[2,3] methods of mercaptotriazole,[4] and thiazolidinone[5]derivatives by means of a four-pot reaction route using dihydropyridine as starting material. The formation of heterocyclic rings by cyclocondensation reaction[6–9] is typically a process well suited for microwave technology. The starting nuclei dihydropyridine was synthesized according to reported method using microwave protocol.[10] In spite of literature reported on the chemistry of dihydropyridine and pyridine[11] nucleus, no attention has been paid to the chemistry of pyridine nucleus containing thiosemicarbazide[12,13] groups, although it should be an excellent material for synthesis of targeted moieties of the present study. This work assumes importance in view of the fact that pyridine derivatives display a number of important biological activities such as antimicrobial,[14] anticonvulsant, antiparkinsonian, and analgesic[15] and hence possess great chemotherapeutic potential. Moreover synthesis of mercaptotriazole has attracted widespread attention due to their diverse applications as anti-inflammatory,[16] antimycotic,[17] and antinociceptive[18] activity. Along with this a vast amount of published material is available describing a wide range of biological activity[19–21]of thiazolidinone derivatives. Thus with an effort to capitalize the pharmacological potential of the above nucleus and to improve the efficiency, output and quality of the process, the present work reports on the formation of targeted moieties by the annulations and fictionalization of pyridine derivatives under microwave irradiation.



## RESULTS AND DISCUSSION

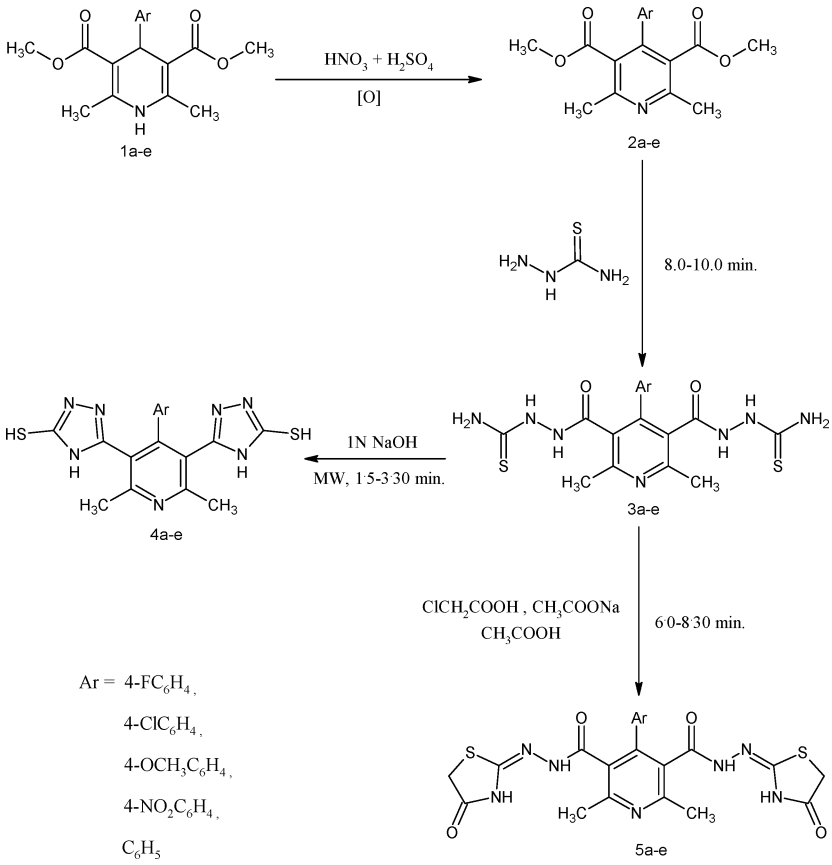
The first step of this multistep sequence involves an important microwave mediated MCR (Multicomponent Reaction), which is the Hantzsch synthesis of dihydropyridine by the condensation of methylacetoacetate, ammonia, and various aromatic aldehydes. The main building blocks 2, 6-dimethylpyridine derivatives **2a–e** were obtained by the oxidation of **1a–e** with nitrating mixture ($HNO_3/H_2SO_4$). The next microwave-driven conversion of ester derivatives **2a–e** into thiosemicarbazide derivatives **3a–e** were completed under mildly basic condition using pyridine as catalyst and provided the desired product in overall yield and purity. The structures of compounds **3a–e** were established by analytical and spectral data. The IR spectrum of **3a** showed the presence of N—H str. at 3338 $cm^{-1}$ and C=S

str. at 1228 $cm^{-1}$ and its $^1H$ NMR spectrum displayed a singlet for four protons around $\delta$ 6.70 due to two equivalent $-NH_2$ group. **3a** also gave one singlet centered at $\delta$ 9.83 due to –NH proton adjacent to C=O group and one singlet centered at $\delta$ 9.60 due to –NH proton adjacent to C=S group. Subsequent intramolecular cyclization reaction in the presence of alkaline media (1N NaOH solution) afforded 5, 5'-[4-(4-substituted phenyl)-2, 6-dimethylpyridine-3, 5-diyl]-bis-(4H)-1, 2, 4-triazole-3-thiol **4a–e**. Among these derivatives **4a** possessed the strong –SH band around 2530 $cm^{-1}$ in IR spectra and –NH band at 3430 $cm^{-1}$. The $^1H$ NMR spectra of **4a** gave a singlet at $\delta$ 11.48 for two protons of two equivalents –SH group and one singlet at $\delta$ 12.60 for two protons of two equivalents –NH group of mercaptotriazole moieties. Another pathway of this step involves cyclocondensation of **3a–e** with $ClCH_2COOH/CH_3COONa$ produced the anticipated thiazolidinone derivatives 4-(4-substituted phenyl)-2, 6-dimethyl pyridine $N'^3$, $N'^5$-bis-(4-oxo-1, 3-thiazolidin-2ylidene)-pyridine-3, 5-dicarbohydrazide **5a–e**. The IR spectra of **5a** gave two sharp peaks at 1705 and 1680 $cm^{-1}$ corresponding to C=O str. present in thiazolidinone ring and adjacent to pyridine ring respectively, it also gave a strong –NH band at 3426 $cm^{-1}$. A characteristic C-S-C band of thiazolidinone ring appeared at 699 $cm^{-1}$. The $^1H$ NMR spectra of **5a** displayed a singlet around $\delta$ 4.20 for four protons of two equivalents $-CH_2$ groups of two thiazolidinone moieties. Finally, conclusive evidence has been gathered from the Mass spectra of all final compounds. The reaction depicted in Scheme 1 is one of the growing numbers of examples where not only one, but all steps in the sequence have been performed by microwave dielectric heating.



## EXPERIMENTAL

All the melting points were determined in open capillaries. TLC on silica gel-G-plates was used to access the reaction and purity of the synthesized compounds using ethyl acetate: n-hexane (7:3) as irrigant. IR spectra (KBr Pallets) were recorded on Perkin-Elmer 1800 (FTIR) Spectrometer. $^1H$ NMR spectra ($DMSO-d_6$) were taken in a Bruker DRX spectrometer (300 MHz FT NMR) using TMS as internal standard and chemical shift are expressed in $\delta$ ppm and Mass spectra were taken on Jeol sx-102/PA-6000 (EI) spectrometer. Elemental analyses were performed by Perkin Elmer series C, H, N, and S analyzer-2400. Reactions were carried out in a domestic microwave oven (Kenstar, OM-26 EGO).




**SCHEME 1**

## Synthesis of Dimethyl-4-(4-substituted phenyl)-2, 6-dimethyl 1, 4-dihydropyridine-3,5-dicarboxylate (1a–e)

These compounds were prepared according to a reported Hantzsch synthesis method.[10]

## Synthesis of Dimethyl-4-(4-substituted phenyl)-2, 6-dimethylpyridine-3, 5-dicarboxylates (2a–e)

Compounds **1a–e** (10 mmol) (**1a** = 3.19 g, 10 mmol) were dissolved in ice-cold water and nitrating mixture ($HNO_3/H_2SO_4$ in 3:1 ratio) was added to it. Then reaction mixture was irradiated under microwave till yellow color solution is obtained. After cooling the reaction mixture, it

was poured in ice-cold water and neutralized by ammonia solution. The solid thus obtained was recrystallized from ethanol to give **2a–e**.

### Synthesis of 2, 2′-[4-(4-Substituted phenyl)-2, 6-dimethylpyridine-3,5-di-yl]-dicarbonyl dihydrazine carbothioamides (3a–e)

A mixture of **2a–e** (10 mmol) (**2a** = 3.17 g, 10 mmol) and thiosemicarbazide (1.82 g, 20 mmol) in ethanol was heated under microwave for 8.0–10.0 min. using pyridine in catalytic amount and then allowed to cool in ice-bath overnight. The resulting solid was filtered and recrystallized from ethanol to give **3a–e**.

### Synthesis of 5, 5′-[4-(4-Substituted phenyl)-2, 6-dimethylpyridine-3, 5-diyl]-bis-(4H)-1, 2, 4-triazole-3-thiol (4a–e)

A reaction mixture of **3a–e** (10 mmol) (**3a** = 4.35 g, 10 mmol) and NaOH (1N, 25 mL) was refluxed for 1.50–3.30 min under microwave. The reaction mixture was cooled, diluted with water, and filtered. The filtrate on acidification with glacial acetic acid gave the crude product, which was filtered, washed with water, and recrystallized from ethanol to give **4a–e**.

### Synthesis of 4-(4-Substituted phenyl)-2, 6-dimethylpyridine $N'^{3}$, $N'^{5}$-bis-(4-oxo-1, 3-thiazolidin-2ylidene)-pyridine-3, 5-dicarbohydrazide (5a–e)

To a suspension of compounds **3a–e** (10 mmol) (**3a** = 4.35 g, 10 mmol), in absolute alcohol, chloroacetic acid (1.88 g, 20 mmol) and anhydrous sodium acetate (3.28 g, 40 mmol) was added. The solution was heated under microwave irradiation for 6.00–8.30 min. Resulting solution was cooled and left for overnight. Solid thus obtained, was filtered, washed with water, and recrystallized from ethanol.

## ANTIMICROBIAL ACTIVITY

Preliminary antimicrobial susceptibility tests for all the synthesized pyridomercaptotriazole **4a–e** and pyridothiazolidinone **5a–e** were performed by using cup and well method[22] at a concentration of 500 ppm against some selected pathogenic strains—*Pseudomonas aeruginosa*, *Proteus mirabilis*, *Klebsiella pneumoniae*, and *Bacillus subtilis*

**TABLE I Physical and Analytical Data of Compounds**

| Compd. no. | Molecular formula | M.p. (C) | Yield (%) | Elemental analysis calculated (found) | | | |
|---|---|---|---|---|---|---|---|
| | | | | C | H | N | S |
| **3a** | $C_{17}H_{18}N_7S_2O_2F$ | 210 | 65 | 46.89 (45.52) | 4.13 (3.25) | 22.52 (21.21) | 14.71 (13.98) |
| **3b** | $C_{17}H_{18}N_7S_2O_2Cl$ | 200 | 62 | 45.13 (44.25) | 3.98 (2.80) | 21.68 (20.23) | 14.15 (13.90) |
| **3c** | $C_{18}H_{21}N_7S_2O_3$ | 265 | 68 | 48.32 (47.50) | 4.69 (4.10) | 21.92 (20.20) | 14.31 (13.90) |
| **3d** | $C_{17}H_{18}N_8S_2O_4$ | 245 | 58 | 44.85 (43.55) | 3.89 (3.22) | 24.24 (23.21) | 13.85 (12.50) |
| **3e** | $C_{17}H_{19}N_7S_2O_2$ | 211 | 65 | 53.54 (52.20) | 3.93 (3.20) | 25.72 (23.45) | 16.79 (15.10) |
| **4a** | $C_{17}H_{14}N_7S_2F$ | 210 | 65 | 51.12 (50.52) | 3.50 (3.25) | 24.56 (22.21) | 16.04 (15.98) |
| **4b** | $C_{17}H_{14}N_7S_2Cl$ | 200 | 62 | 49.15 (47.25) | 3.37 (3.20) | 23.61 (22.23) | 15.48 (14.90) |
| **4c** | $C_{18}H_{17}N_7S_2O$ | 265 | 68 | 52.55 (51.50) | 4.13 (4.10) | 23.84 (21.20) | 15.57 (14.10) |
| **4d** | $C_{17}H_{14}N_8S_2O_2$ | 245 | 58 | 47.88 (45.55) | 3.28 (3.22) | 26.29 (24.24) | 15.02 (14.50) |
| **4e** | $C_{17}H_{15}N_7S_2$ | 211 | 65 | 53.54 (52.20) | 3.93 (3.20) | 25.72 (23.45) | 16.79 (15.10) |
| **5a** | $C_{21}H_{18}N_7S_2F$ | 196 | 69 | 48.93 (46.88) | 3.49 (3.44) | 19.02 (17.88) | 12.42 (11.25) |
| **5b** | $C_{17}H_{14}N_7S_2F$ | 200 | 65 | 47.45 (45.41) | 3.38 (3.10) | 18.45 (17.45) | 12.05 (11.45) |
| **5c** | $C_{17}H_{14}N_7S_2F$ | 180 | 70 | 50.09 (49.10) | 3.98 (3.10) | 18.59 (16.69) | 12.14 (11.00) |
| **5d** | $C_{17}H_{14}N_7S_2F$ | 132 | 59 | 46.49 (44.45) | 3.32 (3.02) | 20.66 (19.10) | 11.80 (10.10) |
| **5e** | $C_{17}H_{14}N_7S_2F$ | 140 | 62 | 50.70 (49.10) | 3.82 (2.96) | 19.71 (17.14) | 12.87 (11.14) |

**TABLE II Spectral Data of Compounds**

| Compd. no. | IR ($cm^{-1}$) | $^1H$ NMR ($\delta$) | MS (m/z) |
|---|---|---|---|
| **3a** | 3338 (NH), 3080 (Ar—H), 1690 (C═O), 1638 (C═N), 1228 (C═S), 1185 (C—F) | 2.76 (s, 6H, $CH_3$), 6.70 (s, 4H, $NH_2$), 7.43–7.83 (m, 4H, Ar—H), 9.60 (s, 2H, NH—C═S), 9.83 (s, 2H, NH—C═O) | — |
| **3b** | 3326 (NH), 3068 (Ar—H),1678 (C═O), 1622 (C═N), 1220 (C═S), 757 (C-Cl) | 2.72 (s, 6H, $CH_3$), 6.64 (s, 4H, $NH_2$), 7.39–7.79 (m, 4H Ar—H), 9.59 (s, 2H, NH—C═S), 9.80 (s, 2H, NH—C═O) | — |
| **3c** | 3328 (NH), 3058 (Ar—H), 1682 (C═O), 1628 (C═N), 1218 (C═S), 1080 (C-O) | 2.69 (s, 6H, $CH_3$ ), 3.90 (s, 3H, $OCH_3$), 6.59 (s, 4H, $NH_2$), 7.29–7.71 (m, 4H Ar—H), 9.53 (s, 2H, NH—C═S), 9.76 (s, 2H, NH—C═O) | — |
| **3d** | 3325 (NH), 3040 (Ar—H), 1684 (C═O), 1620, (C═N), 1550, 1346 ($NO_2$ sym. asym.), 1215 (C═S) | 2.75 (s, 6H, $CH_3$), 6.68 (s, 4H, $NH_2$), 7.35–7.74 (m, 4H Ar—H), 9.49 (s, 2H, NH—C═S), 9.70 (s, 2H, NH—C═O) | — |
| **3e** | 3320 (NH), 3032 (Ar—H), 1692 (C═O), 1632 (C═N), 1226 (C═S) | 2.67 (s, 6H, $CH_3$), 6.60 (s, 4H, $NH_2$), 7.31–7.73 (m, 4H Ar—H), 9.48 (s, 2H, NH—C═S), 9.79 (s, 2H, NH—C═O) | — |
| **4a** | 3430 (NH), 3032 (Ar—H), 2530 (SH), 1632 (C═N), 1181 (C—F) | 2.75 (s, 6H, $CH_3$), 7.63–7.87 (m, 4H Ar—H), 11.48 (s, 2H, SH), 12.60 (s, 2H, NH) | 399, 372, 369, 365, 358, 345, 332, 265, 199, 95 |
| **4b** | 3425 (NH), 3001 (Ar—H), 2537 (SH), 1640 (C═N), 751 (C—Cl) | 2.70 (s, 6H, $CH_3$), 7.59–7.91 (m, 4H Ar—H), 11.25 (s, 2H, SH), 12.55 (s, 2H, NH) | 417, 415, 388, 385, 381, 374, 361, 348 281, 215, 111 |
| **4c** | 3428 (NH), 3018 (Ar—H), 2529 (SH), 1638 (C═N), 1072 (C-O) | 2.63 (s, 6H, $CH_3$), 3.87(s, 3H, $OCH_3$), 7.54–7.88 (m, 4H Ar—H), 11.19 (s, 2H, SH), 12.51 (s, 2H, NH) | 411, 384, 381, 377, 370, 357, 344, 277, 211, 107 |

*(Continued on next page)*

**TABLE II Spectral Data of Compounds *(Continued)***

| Compd. no. | IR ($cm^{-1}$) | $^1H$ NMR ($\delta$) | MS (m/z) |
|---|---|---|---|
| **4d** | 3420 (NH), 3015 (Ar—H), 2530 (SH), 1628 (C=N) 1540, 1338 ($NO_2$ sym. asym.) | 2.61 (s, 6H, $CH_3$), 7.56–7.86 (m, 4H Ar—H), 11.23 (s, 2H, SH), 12.49 (s, 2H, NH) | 426, 399, 396, 392, 385, 372, 359, 292, 226, 122 |
| **4e** | 3410 (NH), 3008 (Ar—H), 2532 (SH), 1636 (C=N), | 2.66 (s, 6H, $CH_3$), 7.21–7.78 (m, 4H Ar—H), 11.20 (s, 2H, SH), 12.41(s, 2H, NH) | 381, 354, 351, 347, 340, 327, 314, 247, 181, 77, 51 |
| **5a** | 3426 (NH), 3012 (Ar—H), 1705 (C=O-thiazolidi none) , 1680 (C=O), 1116 (C—F), 699 (C-S-C) | 2.67 (s, 6H, $CH_3$), 4.20 (s, 4H, $CH_2$), 7.38–8.31 (m, 4H Ar—H) 8.69 (s, 2H, NH), 9.57 (s, 2H, NH) | 515, 485, 474, 456, 451, 402, 397, 367, 114,95 |
| **5b** | 3420 (NH), 3041 (Ar—H), 1700 (C=O-thiazolidi none), 1678 (C=O), 1620 (C=N), 757 (C—Cl), 700 (C-S-C) | 2.60 (s, 6H, $CH_3$), 4.15 (s, 4H, $CH_2$), 7.32–8.25 (m, 4H Ar—H) 8.62 (s, 2H, NH), 9.50 (s, 2H, NH) | 533, 531, 501, 490, 472, 467, 417, 413, 383, 111 |
| **5c** | 3410 (NH), 3020 (Ar—H), 1708 (C=O-thiazolidi none), 1627 (C=O), 1622 (C=N), 1048 (C-O), 689 (C-S-C) | 2.57 (s, 6H, $CH_3$), 3.83(s, 3H, $OCH_3$), 4.21 (s, 4H, $CH_2$), 7.20–8.15 (m, 4H Ar—H) 8.59 (s, 2H, NH), 9.48 (s, 2H, NH) | 527, 497, 486, 468, 463, 413, 379, 114, 107 |
| **5d** | 3415 (NH), 3015 (Ar—H), 1702 (C=O-thiazolidi none), 1679 (C=O), 1618 (C=N), 1540, 1356 ($NO_2$ sym. asym.), 692 (C-S-C) | 2.54 (s, 6H, $CH_3$), 4.16 (s, 4H, $CH_2$), 7.26–8.23 (m, 4H Ar—H), 8.67 (s, 2H, NH), 9.53 (s, 2H, NH) | 542, 512, 501, 483, 478, 428, 424, 394, 122, 114 |
| **5e** | 3410 (NH), 3005 (Ar—H), 1701 (C=O-thiazolidi none), 1672 (C=O), 1626 (C=N), 695 (C-S-C) | 2.64 (s, 6H, $CH_3$), 4.18 (s, 4H, $CH_2$), 7.36–8.22 (m, 4H Ar—H), 8.50 (s, 2H, NH), 9.55 (s, 2H, NH) | 497, 467, 456, 438, 433, 383, 379, 114, 76, 51 |

**TABLE III Antimicrobial Activity of some Synthesized Compounds—Zone of Inhibition (mm) (Activity Index)***

| | Antibacterial Activity (500 ppm) | | | | Antifungal Activity (500 ppm) | |
|---|---|---|---|---|---|---|
| Compd. | *P. aeruginosa* | *P. mirabilis* | *K. pneumoniae* | *B. subtilis* | *A. fumigatus* | *C. albicans* |
| 4a | 9 (0.56) | 20 (0.86) | — | — | 23 (1.15) | 12 (0.85) |
| 4b | 14 (0.87) | 21 (0.91) | 8 (0.66) | 7 (0.63) | 21 (1.05) | 10 (0.71) |
| 4c | 10 (0.62) | 20 (0.86) | — | — | 18 (0.90) | 9 (0.64) |
| 4d | 13 (0.81) | 17 (0.74) | — | — | 17 (0.85) | 8 (0.57) |
| 4e | 12 (0.75) | 15 (0.65) | — | — | 17 (0.85) | 5 (0.35) |
| 5a | 11 (0.68) | 18 (0.78) | — | — | 19 (0.95) | 13 (0.92) |
| 5b | 10 (0.62) | 20 (0.86) | 10 (0.83) | — | 21 (1.05) | 11 (0.78) |
| 5c | 12 (0.75) | 16 (0.69) | 7 (0.58) | — | 16 (0.80) | 10 (0.71) |
| 5d | 10 (0.62) | 13 (0.56) | — | — | 14 (0.70) | 11 (0.78) |
| 5e | 6 (0.37) | 12 (0.52) | — | — | 15 (0.75) | 8 (0.57) |
| $C_1$ | 16 | 23 | 12 | 11 | — | — |
| $C_2$ | — | — | — | — | 20 | 14 |

*Activity index = Inhibition area of the sample/inhibition area of the standard; $C_1$ = Ciprofloxacin; $C_2$ = Amphotericin B. Diameter of disc in 5 mm.



were used for bacterial activity and *Aspergillus fumigatus* and *Candida albicans* for antifungal activity. Commercial antibacterial Ciprofloxacin and antifungal Amphotericin B were also screened under similar conditions for comparison. The results have been tabulated in the form of inhibition zones and an activity index in Table III.

The results reveal that all the synthesized compounds have shown moderate to good activity against *P. mirabilis* and *P. aeruginosa* while compounds showed nil activity against *K. pneumoniae*, *B. subtilis*. In case of antifungal activity compounds **4a**, **4b,** and **5b** showed a better activity than standard and compounds **4c**, **5a,** and **5c** exhibited comparable activity to standard drug and remaining compounds exhibited moderate activity as compare to the standard. Although all the compounds show less to moderate activity against bacteria, they possess very strong activity against fungi, which reveals that pyridomercaptotriazole and pyridothiazolidinone derivatives are better antifungal agents as compared to antibacterial.

## CONCLUSION

We have developed a fast and convenient microwave assisted procedure for the rapid generation of **4a–e** and **5a–e** by key intermediate **3a–e**. All the reactions were completed within 4–10 minutes of microwave

irradiation and give the desired products in high yields and excellent purities. Further structural identification was achieved by elemental and spectral data. Further, it is observed that some of the screened compounds were highly active against the test microorganism.

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