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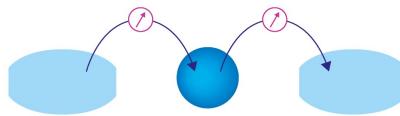
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# Azolyl Pyrimidines-Synthesis and Antimicrobial Activity

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**Abstract.** Amide unit is a privileged structural motif and is a constituent of proteins, natural products and pharmaceuticals. Amongst different heterocyclic scaffolds, azoles and pyrimidines are the prominent entities in pharmaceutical arena. The biopotency of these heterocycles have triggered to synthesize a variety of heteroaromatics – azoles linked with pyridines by amino acetamide group. The target molecules- azolylaminoacetamidopyrimidines were prepared by the reaction of methyl azolylglycinate with pyrimidinyl-2-amine in the presence of DMAP and triethylamine in dichloromethane under ultrasonication. The lead molecules were evaluated for antimicrobial activity. Nitro substituted 2-((4-(4-chlorofuran-2-yl)thiazole-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (**9c**) displayed excellent antibacterial activity against *B. subtilis* greater than the standard drug Chloramphenicol. However, **9c** and nitro substituted 2-((4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (**10c**) showed antifungal activity on *A. niger* greater than the standard drug Ketoconazole.

## INTRODUCTION

Pyrimidine nucleus being an integral part of DNA and RNA imparts a wide range of applications in medicinal chemistry.<sup>1</sup> Amino substituted pyrimidines possess antitumor, anti-inflammatory, antiviral and anticancer properties. Besides, clinically important drugs such as iclaprim, rosuvastatin,<sup>2</sup> etravirine and fluorouracil have pyrimidine moiety. Azoles are indispensable structural units found in natural and bioactive molecules. Different drugs viz., oxaprogin,<sup>3</sup> bengazole A,<sup>4</sup> inthomycin C<sup>5</sup> possess oxazole unit. The clinical efficacy of bleomycin, tiazofurin and their analogs credit the importance of thiazole scaffold in cancer therapy.<sup>6,7</sup> Imidazole is a privileged unit present in human organisms viz., biotin, histidine<sup>8</sup> and histamine. As per our ongoing research program aimed at the development of differently substituted molecules, we herein report the synthesis and antimicrobial activity of heteroaromatics linked by amino acetamido moiety.

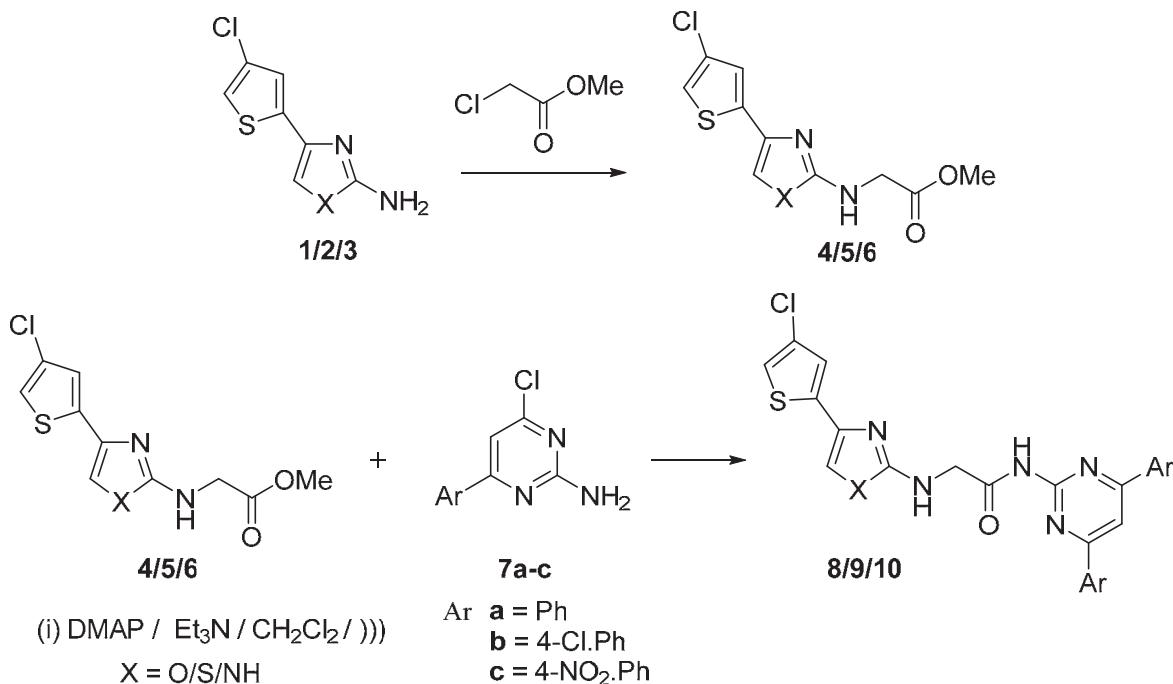
## CHEMISTRY

The synthetic intermediates 4-(4-chlorofuran-2-yl)oxazol-2-amine (**1**) and 4-(4-chlorofuran-2-yl)thiazol-2-amine (**2**) were prepared by the cyclocondensation of 2-bromo-1-(4-chlorofuran-2-yl)ethane-1-one with urea and thiourea in methanol (Scheme 1).<sup>9</sup> The 2-Bromo-1-(4-chlorofuran-2-yl)ethane-1-one on reaction with acetyl guanidine resulted in 4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl-acetamide which on hydrolysis in the presence of sulfuric acid gave 4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl-amine (**3**).<sup>10</sup> The reaction of **1** with methyl chloroformate under ultrasonication at a frequency of 35 kHz afforded methyl (4-(4-chlorofuran-2-yl)oxazol-2-yl)glycinate (**4**). Similarly the treatment of **2**

with methyl chloroformate gave methyl(4-(4-chlorofuran-2-yl)thiozol-2-yl)glycinate (**5**). 4-(4-Chlorofuran-2-yl)-1*H*-imidazol-2-yl)glycinate (**6**) was prepared by the reaction of **3** with methyl chloroformate. The reaction between **4/5/6** with 4,6-diphenylpyrimidin-2-amine (**7**) was carried out in the presence of DMAP/Et<sub>3</sub>N under ultrasonication at a frequency of 35 kHz. The compounds 2-((4-(4-chlorofuran-2-yl) oxazol-2-yl) amino)-*N*-(4,6-diphenyl pyrimidin-2-yl)acetamide (**8**), 2-((4-(4-chlorofuran-2-yl)thiazol-2-yl)amino)-*N*-(4,6-diphenyl pyrimidin-2-yl)acetamide (**9**) and 2-((4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)-*N*-(4,6-diphenyl pyrimidin-2-yl)acetamide (**10**) were obtained in good yield under shorter reaction time.

## EXPERIMENTAL SECTION

Melting points are determined in open capillaries on a Mel-Temp apparatus and are uncorrected. The IR spectra are recorded on a Thermo Nicolet IR 200 FT-IR spectrometer as KBr pellets and the wave numbers are given in cm<sup>-1</sup>. The <sup>1</sup>H NMR spectra are recorded in DMSO-*d*<sub>6</sub> on a Bruker-400 spectrometer operating at 400 MHz. The <sup>13</sup>C NMR spectra are recorded in DMSO-*d*<sub>6</sub> on a Bruker spectrometer operating at 100 MHz. All chemical shifts are reported in δ (ppm) using TMS as an internal standard. The high-resolution mass spectra are recorded on micromass Q-TOF micromass spectrometer using electrospray ionization. The microanalyses are performed on a Perkin-Elmer 240C elemental analyzer. The purity of the compounds is checked by TLC (silica gel H, BDH, ethyl acetate / hexane, 1:3). Ultrasonication is performed in a Bandelin Sonorex RK 102H ultrasonic bath operating at a frequency of 35 KHz.



**SCHEME 1.** Synthesis of 2-((4-(4-chlorofuran-2-yl)oxazol / thiazol / 1*H*-imidazol-2-yl)amino)-*N*-(4,6-diphenylpyrimidin-2-yl)acetamide

**General procedure for the synthesis of 2-((4-(4-chlorofuran-2-yl)oxazol / thiazol / 1*H*-imidazol-2-yl)amino)-*N*-(4,6-diphenylpyrimidin-2-yl)acetamide (8 / 9 / 10)**

Methyl (4-(4-chlorothiophen-2-yl)oxazol / thiazol / 1*H*-imidazol-2-yl)glycinate (**4 / 5 / 6**) (1 mmol) and 4-chloro-6-phenylpyrimidin-2-amine (**7**) (1 mmol) were dissolved in dichloromethane (10 mL). To this a solution of DMAP (1 mmol) and triethylamine (1 mmol) in dichloromethane (5 mL) was added drop wise and sonicated at a frequency of 35 kHz at room temperature for 25–35 min. After the reaction was completed, the contents were poured onto crushed ice. The solid obtained was filtered, dried and recrystallized from ethanol.

**2-((4-(4-Chlorofuran-2-yl)oxazol-2-yl)amino)-*N*-(4,6-diphenylpyrimidin-2-yl)acetamide (8a).** Yield 77%; mp 169–171 °C; IR (KBr): 1564 (C=N), 1623 (C=C), 1669 (CONH), 3255 (NH) cm<sup>-1</sup>; <sup>1</sup>H-NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 3.54 (s, 2H, CH<sub>2</sub>), 5.79 (br. s, 1H, NH), 7.03 (s, 1H, C<sub>5'</sub>-H), 7.29–7.55 (m, 12H, C<sub>3'</sub>-H, Ar-H & C<sub>5'</sub>-H), 8.32 (s, 1H,

$C_5$ -H), 10.25 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  50.9 ( $\text{CH}_2$ ), 102.3 (C-5), 142.8(C-2), 155.8 (C-2'), 162.4 (C-4 & C-6), 165.2 (C=O), 120.6, 121.2, 121.9, 122.6, 123.6.2, 124.8, 125.7, 126.4, 127.6, 128.8 (aromatic carbons, C-4', C-5', C-2", C-3", C-4"& C-5") ppm. HRMS ( $m/z$ ) 494.8914 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{18}\text{ClN}_5\text{O}_3$ ; C, 63.63; H, 3.84; N, 14.84; Found: C, 63.56; H, 3.76; N, 14.79%.

*N-(4,6-Bis(4-chlorophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)oxazol-2-yl)amino)acetamide (8b).* Yield 68%; mp 153-155 °C; IR (KBr): 1558 (C=N), 1637 (C=C), 1678 (CONH), 3264 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.57 (s, 2H,  $\text{CH}_2$ ), 5.82 (br. s, 1H, NH), 7.04 (s, 1H,  $C_{5''}$ -H), 7.31-7.67 (m, 10H,  $C_{3''}$ -H, Ar-H &  $C_{5''}$ -H), 8.34 (s, 1H,  $C_5$ -H), 10.27 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  52.5 ( $\text{CH}_2$ ), 103.4 (C-5), 143.1(C-2), 159.8 (C-2'), 164.8 (C-4 & C-6), 166.4 (C=O), 123.4, 124.2, 125.0, 125.8, 126.3, 127.0, 127.8, 128.4, 130.6, 131.4 (aromatic carbons, C-4', C-5', C-2", C-3", C-4"& C-5") ppm; HRMS ( $m/z$ ) 494.8914 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{16}\text{Cl}_3\text{N}_5\text{O}_3$ ; C, 55.53; H, 2.98; N, 12.95; Found: C, 53.45; H, 2.88; N, 12.91%.

*N-(4,6-Bis(4-nitrophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)oxazol-2-yl)amino)acetamide (8c).* Yield 72%; mp 150-152 °C; IR (KBr): 1561 (C=N), 1631 (C=C), 1669 (CONH), 3258 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.58 (s, 2H,  $\text{CH}_2$ ), 5.85 (br. s, 1H, NH), 7.05 (s, 1H,  $C_{5''}$ -H), 7.39-7.61 (m, 10H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.36 (s, 1H,  $C_5$ -H), 10.29 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  53.8 ( $\text{CH}_2$ ), 105.6 (C-5), 146.3(C-2), 159.7 (C-2'), 161.8 (C-4 & C-6), 168.7 (C=O), 124.6, 125.2, 126.1, 127.9, 128.7, 129.6, 130.4, 131.4, 132.0, 133.9 (aromatic carbons, C-4', C-5', C-2", C-3", C-4"& C-5") ppm; HRMS ( $m/z$ ) 563.7748 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{16}\text{ClN}_7\text{O}_7$ ; C, 53.44; H, 2.87; N, 17.45; Found: C, 53.38; H, 2.80; N, 17.42%.

*2-((4-(4-Chlorofuran-2-yl)thiazol-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (9a).* Yield 64%; mp 172-174 °C; IR (KBr): 1572 (C=N), 1629 (C=C), 1676 (CONH), 3269 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.56 (s, 2H,  $\text{CH}_2$ ), 5.86 (br. s, 1H, NH), 7.02 (s, 1H,  $C_{5''}$ -H), 7.51-7.72 (m, 12H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.37 (s, 1H,  $C_5$ -H), 10.32 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  52.2 ( $\text{CH}_2$ ), 102.4 (C-5), 143.6(C-2), 156.2 (C-2'), 162.8 (C-4 & C-6), 166.4 (C=O), 121.9, 122.4, 123.2, 124.0, 125.1, 126.1, 126.9, 127.8, 128.5, 129.3 (aromatic carbons, C-4', C-5', C-2", C-3", C-4"& C-5") ppm; HRMS ( $m/z$ ) 510.9518 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{18}\text{ClN}_5\text{O}_2\text{S}$ ; C, 61.54; H, 3.72; N, 14.35; Found: C, 61.44; H, 3.66; N, 14.29%.

*N-(4,6-Bis(4-chlorophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)thiazol-2-yl)amino)acetamide (9b).* Yield 65%; mp 160-162 °C; IR (KBr): 1565 (C=N), 1633 (C=C), 1666 (CONH), 3262 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.62 (s, 2H,  $\text{CH}_2$ ), 5.89 (br. s, 1H, NH), 7.06 (s, 1H,  $C_{5''}$ -H), 7.42-7.68 (m, 10H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.38 (s, 1H,  $C_5$ -H), 10.34 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  53.7 ( $\text{CH}_2$ ), 105.6 (C-5), 145.4 (C-2), 157.2 (C-2'), 165.2 (C-4, C-6), 167.8 (C=O), 123.8, 124.9, 125.6, 126.4, 127.8, 128.8, 129.7, 130.5, 131.2, 132.1 (aromatic carbons, C-4', C-5', C-2", C-3", C-4"& C-5") ppm; HRMS ( $m/z$ ) 579.8351 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{16}\text{Cl}_3\text{N}_5\text{O}_2\text{S}$ ; C, 53.92; H, 2.90; N, 19.10; Found: C, 53.84; H, 2.81; N, 19.05%.

*N-(4,6-Bis(4-nitrophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)thiazol-2-yl)amino)acetamide (9c).* Yield 66%; mp 154-156 °C; IR (KBr): 1560 (C=N), 1640 (C=C), 1664 (CONH), 3265 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.65 (s, 2H,  $\text{CH}_2$ ), 5.91 (br. s, 1H, NH), 7.08 (s, 1H,  $C_{5''}$ -H), 7.46-7.63 (m, 10H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.41 (s, 1H,  $C_5$ -H), 10.35 (br. s, 1H, CONH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  54.4 ( $\text{CH}_2$ ), 107.8 (C-5), 147.1(C-2), 161.2 (C-2'), 166.2 (C-4, C-6), 169.5 (C=O), 130.2, 130.8, 131.2, 131.8, 132.9, 134.0, 135.8, 136.6, 137.2, 138.6 (aromatic carbons, C-4', C-5', C-2", C-3", C-4", C-5") ppm; HRMS ( $m/z$ ) 600.0479 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{16}\text{ClN}_7\text{O}_6\text{S}$ ; C, 51.95; H, 2.97; N, 16.96; Found: C, 51.86; H, 2.89; N, 16.91%.

*2-((4-(4-Chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (10a).* Yield 70%; mp 176-178°C; IR (KBr): 1559 (C=N), 1626 (C=C), 1669 (CONH), 3268 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.55 (s, 2H,  $\text{CH}_2$ ), 5.81 (br. s, 1H, NH), 7.09 (s, 1H,  $C_{5''}$ -H), 7.51-7.63 (m, 12H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.43 (s, 1H,  $C_5$ -H), 10.36 (br. s, 1H, CONH), 12.46 (br. s, 1H, imidazole NH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  53.6 ( $\text{CH}_2$ ), 104.1 (C-5), 144.5(C-2), 157.1 (C-2'), 163.2 (C-4, C-6), 167.2 (C=O), 123.2, 123.9, 130.4, 131.4, 132.5, 133.6, 134.3, 135.6, 136.7, 138.6(aromatic carbons, C-4', C-5', C-2", C-3", C-4", C-5") ppm; HRMS ( $m/z$ ) 493.9061 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{19}\text{ClN}_6\text{O}_2$ ; C, 63.76; H, 4.07; N, 17.85; Found: C, 63.68; H, 4.01; N, 17.82%.

*N-(4,6-Bis(4-chlorophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)acetamide (10b).* Yield 67%; mp 167-169 °C; IR (KBr): 1571 (C=N), 1624 (C=C), 1671 (CONH), 3270 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.59 (s, 2H,  $\text{CH}_2$ ), 5.85 (br. s, 1H, NH), 7.11 (s, 1H,  $C_{5''}$ -H), 7.59-7.75 (m, 10H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H), 8.45 (s, 1H,  $C_5$ -H), 10.39 (br. s, 1H, CONH), 12.41 (br. s, 1H, imidazole NH) ppm;  $^{13}\text{C}$ -NMR (100MHz, DMSO- $d_6$ )  $\delta$  54.2 ( $\text{CH}_2$ ), 106.4 (C-5), 146.2(C-2), 158.2 (C-2'), 165.6 (C-4 & C-6), 168.0 (C=O), 124.6, 130.0, 130.5, 131.3, 132.0, 132.6, 133.5, 134.0, 134.8, 135.7 (aromatic carbons, C-4', C-5', C-2", C-3", C-4", C-5") ppm; HRMS ( $m/z$ ) 561.7908 [M+Na]. Anal. Cald. for  $\text{C}_{25}\text{H}_{17}\text{Cl}_3\text{N}_6\text{O}_2$ ; C, 55.63; H, 3.17; N, 15.57; Found: C, 55.54; H, 3.19; N, 15.52%.

*N-(4,6-Bis(4-nitrophenyl)pyrimidin-2-yl)-2-((4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)acetamide (10c).* Yield 69%; mp 160-162 °C; IR (KBr): 1568 (C=N), 1627 (C=C), 1659 (CONH), 3267 (NH) cm<sup>-1</sup>;  $^1\text{H}$ -NMR (400 MHz, DMSO- $d_6$ )  $\delta$  3.61 (s, 2H,  $\text{CH}_2$ ), 5.88 (br. s, 1H, NH), 7.14 (s, 1H,  $C_{5''}$ -H), 7.47-7.68 (m, 10H,  $C_{3''}$ -H, Ar-H,  $C_{5''}$ -H)

H), 8.48 (s, 1H, C<sub>5</sub>-H), 10.41 (br. s, 1H, CONH), 12.48 (br. s, 1H, imidazole NH) ppm; <sup>13</sup>C-NMR (100MHz, DMSO-*d*<sub>6</sub>) δ 55.6 (CH<sub>2</sub>), 107.9 (C-5), 147.4(C-2), 161.8 (C-2'), 166.7 (C-4, C-6), 170.4 (C=O), 130.9, 131.6, 132.0, 13.6, 134.1, 135.0 135.9, 136.7, 137.4, 138.5 (aromatic carbons, C-4', C-5', C-2'', C-3'', C-4'', C-5'') ppm; HRMS (*m/z*) 583.9008 [M+Na]. Anal. Cald. for C<sub>25</sub>H<sub>17</sub>ClN<sub>8</sub>O<sub>7</sub>; C, 53.53; H, 3.06; N, 16.98; Found: C, 53.45; H, 3.10; N, 16.92%.

## ANTIMICROBIAL ACTIVITY

### Antibacterial Activity

All the compounds are tested for antibacterial activity against - *Staphylococcus aureus*, *Bacillus subtilis* (Gram +ve bacteria) and *Pseudomonas aeruginosa*, *Klebsiella pneumoniae* (Gram -ve bacteria). It was observed that all the compounds exhibited higher activity on Gram +ve bacteria than on Gram -ve bacteria. Thiazolyl pyrimidines (**9**) showed more activity than oxazolyl pyrimidines (**8**) and imidazolyl pyrimidines (**10**). Amongst the latter compounds **10** showed slightly higher activity than **8**. Moreover, compounds with electron withdrawing substituents displayed greater activity and the activity increased with increasing electro negativity. In fact, compounds with nitro substituent on aromatic ring exhibited greater activity than the chloro and unsubstituted ones in the respective series. Compound **9c** displayed excellent antibacterial activity against *B. subtilis* greater than the standard drug Chloramphenicol.

### Antifungal Activity

All the compounds are tested for antifungal activity against *Aspergillus niger* and *Penicillium chrysogenum*. All the compounds showed higher activity on *A. niger* than on *P. chrysogenum*. The compounds with imidazole ring (**10**) exhibited slightly higher activity. Further thiazole containing compounds (**9**) showed more activity than those with oxazole unit (**8**). Moreover, compounds with electron withdrawing substituents displayed higher activity and the activity increased with increasing electro negativity. In fact, **10c** showed activity on *A. niger* greater than the standard drug Ketoconazole where as **9c** displayed equal activity to the standard drug at tested concentrations.

## CONCLUSION

A new class of bis heterocycles linked by aminoacetamido group- azolylaminoacetamidopyrimidines were prepared by the reaction of methyl azolylglycinate with pyrimidinyl-2-amine in the presence of DMAP and triethylamine in dichloromethane under ultrasonication and evaluated for antimicrobial activity. Nitro substituted 2-((4-(4-chlorofuran-2-yl)thiazol-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (**9c**) displayed prominent antibacterial activity against *B. subtilis* greater than the standard drug Chloramphenicol. The compounds **9c** and nitro substituted 2-((4-(4-chlorofuran-2-yl)-1*H*-imidazol-2-yl)amino)-N-(4,6-diphenylpyrimidin-2-yl)acetamide (**10c**) showed antifungal activity on *A. niger* greater than the standard drug Ketoconazole.

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