Synthesis, Characterization and Anti Microbial Activity of Some Novel Heterocyclic Compounds Having Sulphamido Moiety

RAMBABU NUNNA2, D. RAMACHANDRAN2*, VIRAL B. MODI1 and KIRTI J. GOSWAMI1

1Department of Chemistry, Shri U. P. Arts, Smt. M. G. Panchal Science & Shri V. L. Shah Commerce College, Pilvai, India
2Acharya Nagarjuna University, P. G. Centre, Nuzvid, Andhrapradesh, India

Received 2 February 2013 / Accepted 18 March 2013

Abstract: 4-Amino-N-[4-(4-chloro-phenyl)-6-(3,4-dimethyl-phenyl)-pyrimidin-2-yl]-benzensulfonamide (2) was prepared by the hydrolysis of N-[4-{4-Chloro-phenyl}-6-(3,4-dimethyl-phenyl)-pyrimidin-2-ylsulfamoyl]-phenyl]-acetamide (1). It was on-facile condensation reaction with various aromatic aldehydes yields Schiff bases/anils/azomethines (3a-h). These anils on reaction with maleic anhydride and succinic anhydride yield 2H-pyrrole-2-ones (4a-h) and 2-pyrrolidinones (5a-h) respectively. The newly synthesized compounds were evaluated for their antibacterial and antifungal activities.

Keywords: 2H-Pyrrole-2-ones, 2-Pyrrolidinones, Facile condensation, Schiff base, Antimicrobial activity

Introduction

The development of sulphonamides is one of the most fascinating and informative fields in medicinal chemistry, highlighting the roles of skillful planning and serendipity in drug research. The discovery of sulphonamides marked the beginning of the chemotherapeutic area by making possible a direct attack on microbial infections. Sulphonamides antibacterials continued to be used because they are effective, inexpensive and free of super infection problems of the broad-spectrum antibiotic. As a part of surge of interest in heterocyclic that have been explored for developing pharmaceutically important molecule 2H-pyrrole-2-ones and 2-pyrrolidinones have played an important role in medicinal chemistry. Moreover, they have been studied extensively because of their ready accessibility, diverse chemical reactivity, and broad spectrum of biological activities.

Pyrimidine derivatives occupy a unique position as leiodynamic agents, both as essential components of nucleic acids and also as therapeutic agents. During the past years considerable evidence has been accumulated to demonstrate the efficiency of substituted 2H-pyrrole-2-ones, 2-pyrrolidinones and sulphonamides.
Keeping in view of biological importance of this group, we replace them by pyrimidine moiety at N1-position of sulphanilamide and 2H-pyrrole-2-ones/2-pyrrolidinones at N4-position in sulphanilamide and our approach clearly shows the biological importance of the coupled products. The research work is scanned in scheme 1.

**Scheme 1.** Reagents and conditions: i) Hydrolysis/NaOH; ii) Ethanol/Substituted benzaldehyde / 8 h; iii) Maleic anhydride; iv) Succinic anhydride
(a) R1=R2=R3=H; (b) R1=R2= H, R3=OCH3; (c) R1=R2= H, R3=OH; (d) R1=OH, R2= R3=H; (e) R1 =R2= H, R3=CH3; (f) R1 = H R2=R3= -O-CH2-O-; (g) R1 =H,R2= OCH3, R3=OH; (h) R1=H,R2= OCH2CH3, R3= OCH2CH3

**Experimental**
Melting points were determined in open capillary tubes and are uncorrected. The IR spectra were recorded in KBr pellets on a Nicolet 400D spectrometer and 1H NMR spectra in CDCl3 on Hitachi R-1500, 60 MHz spectrometer using TMS as an internal standard. The required N-acetyl sulphamoyl chlorides (N-ASC) were prepared by reported method16. All chemicals used were of laboratory grade.

N-{4-[4-Chloro-phenyl]-6-(3,4-dimethyl-phenyl)-pyrimidin-2-ylsulfamoyl]-phenyl}-acetamide (1) was prepared according to the reported method17a.
Antimicrobial activity

Antibacterial activity

Antibacterial activities of all compounds were studied against gram positive (Bacillus subtilis and Staphylococcus aureus) and gram negative bacteria (E. coli and Salmonella typhi) at a concentration of 50 µg/mL by agar cup plate method\textsuperscript{15}. Methanol system was used as control in this method. Under similar condition in penicillin and sulphamamide as a standard comparison carried out controlled experiment. The area of inhibition of zone is measured in centimeters. Compounds 4b, 4c, 4f, 5b and 5f were found more active against the above microbes. Other compounds found to be less or moderate active than the standards (Tables 1 and 2).

<table>
<thead>
<tr>
<th>Compounds</th>
<th>Antibacterial Activity</th>
<th>Anti fungal activity</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Gram +ve</td>
<td>Gram -ve</td>
</tr>
<tr>
<td></td>
<td>B.Subtillis</td>
<td>S.Aureus</td>
</tr>
<tr>
<td>4a</td>
<td>47</td>
<td>40</td>
</tr>
<tr>
<td>4b</td>
<td>77</td>
<td>68</td>
</tr>
<tr>
<td>4c</td>
<td>56</td>
<td>45</td>
</tr>
<tr>
<td>4d</td>
<td>71</td>
<td>65</td>
</tr>
<tr>
<td>4e</td>
<td>62</td>
<td>59</td>
</tr>
<tr>
<td>4f</td>
<td>78</td>
<td>77</td>
</tr>
<tr>
<td>4g</td>
<td>57</td>
<td>58</td>
</tr>
<tr>
<td>4h</td>
<td>49</td>
<td>39</td>
</tr>
<tr>
<td>Penicillin</td>
<td>83</td>
<td>67</td>
</tr>
<tr>
<td>sulphanilamide</td>
<td>79</td>
<td>72</td>
</tr>
<tr>
<td>Griseofulvin</td>
<td>-</td>
<td>-</td>
</tr>
</tbody>
</table>

<table>
<thead>
<tr>
<th>Compounds</th>
<th>Antibacterial Activity</th>
<th>Anti fungal activity</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>Gram +ve</td>
<td>Gram -ve</td>
</tr>
<tr>
<td></td>
<td>B.Subtillis</td>
<td>S.Aureus</td>
</tr>
<tr>
<td>5a</td>
<td>55</td>
<td>41</td>
</tr>
<tr>
<td>5b</td>
<td>60</td>
<td>58</td>
</tr>
<tr>
<td>5c</td>
<td>50</td>
<td>47</td>
</tr>
<tr>
<td>5d</td>
<td>40</td>
<td>56</td>
</tr>
<tr>
<td>5e</td>
<td>45</td>
<td>52</td>
</tr>
<tr>
<td>5f</td>
<td>74</td>
<td>67</td>
</tr>
<tr>
<td>5g</td>
<td>56</td>
<td>55</td>
</tr>
<tr>
<td>5h</td>
<td>42</td>
<td>42</td>
</tr>
<tr>
<td>Penicillin</td>
<td>84</td>
<td>66</td>
</tr>
<tr>
<td>sulphanilamide</td>
<td>80</td>
<td>73</td>
</tr>
<tr>
<td>Griseofulvin</td>
<td>-</td>
<td>-</td>
</tr>
</tbody>
</table>
Antifungal activity

The compounds (4a-h) and (5a-h) were tested for in vitro antifungal activity against Candida Albicans and Aspergillus Niger. The standard drug used was griseofulvin. The investigation antifungal screening is reported in Tables 1 and 2. Compounds 4e, 4g, 5b and 5g shows good activity against C. Albicans fungal strain.

Preparation of 4-amino-N-[4-(4-chloro-phenyl)-6-(3,4-dimethyl-phenyl)-pyrimidin-2-yl]-benzensulfonamide (2) and 4-(arylidine-amino-N-[4-(4-chloro-phenyl)-6-(3,4-dimethyl-phenyl)-pyrimidin-2-yl]-benzensulfonamide (3a-h) were made according to the reported method17b.

Preparation of 1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl) pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-aryl-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4a-h)

General procedure

A mixture of Schiff base (3a-h) (0.1 mol) and chloroform (CHCl$_3$) (40 mL) was added with maleic anhydride (0.1 mol). Then it was refluxed for 8 h in an oil bath. After the mixture was allowed to stand for two days, the solid formed was filter then product was crystallized from absolute ethyl alcohol to give the compound (4a-h). Yield was 50-60%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-phenyl-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4a)

M.p 184-185 °C; IR(KBr cm$^{-1}$): 3050(-Aromatic C-H-),1370,1150(-SO$_2$-),1667(-COOH),1717(-C=O); $^1$H NMR:7.8 (s,1H,H-5- of the pyrimidine ring) 6.12-7.56 (17H,m,Aromatic), 5.56 (s,1H, C2H), 11.02 (-COOH). 13CMR: 130(Chlorine), 171.3(-COOH), 161.6 (-C=O); Anal Cald.$\text{C}_{35}H_{27}N_{4}O_{5}SCl$ (651.13); C,64.56; H,4.18; N,8.60; S,4.92; Cl,5.44 Found: C,64.42; H,4.11; N,8.40; S,4.76; Cl,5.9; Yield 54%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-methoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4b)

M.p 181-183 °C; IR(KBr cm$^{-1}$): 3050(-Aromatic C-H-),1370,1150(-SO$_2$-),1667(-COOH),1717(-C=O); $^1$H NMR:7.8 (s,1H,H-5- of the pyrimidine ring) 6.12-7.56 (17H,m,Aromatic), 5.56 (s,1H, C2H), 11.02 (-COOH), 3.85 (-OCH$_3$); 13CMR: 130(Chlorine), 171.3 (-COOH), 161.6(-C=O), 56 (-OCH$_3$); Anal Cald.$\text{C}_{36}H_{29}N_{4}O_{6}SCl$ (681.16); C,63.48; H,4.29; N,8.23; S,4.71; Cl,5.20 Found: C,63.42; H,4.11; N,8.10; S,4.53; Cl,5.02; Yield 62%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-hydroxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4c)

M.p 188-189 °C; IR(KBr cm$^{-1}$): 3050(-Aromatic C-H-),1370,1150(-SO$_2$-),1667(-COOH),1717(-C=O); $^1$H NMR:7.8 (s,1H,H-5- of the pyrimidine ring) 6.12-7.56 (17H,m,Aromatic), 5.35 (s,1H, OH), 11.02 (-COOH). 13CMR: 130(Chlorine), 171.3(-COOH), 161.6 (-C=O); Anal Cald.$\text{C}_{35}H_{27}N_{4}O_{6}SCl$ (667.13); C,63.01; H,4.08; S,4.81; Cl,5.31 Found: C,63.00; H,3.89; N,8.27; S,4.81; Cl,5.31; Yield 56%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-hydroxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4d)

M.p 188-189 °C; IR(KBr cm$^{-1}$): 3050(-Aromatic C-H-),1370,1150(-SO$_2$-),1667(-COOH),1717(-C=O); $^1$H NMR:7.8 (s,1H,H-5- of the pyrimidine ring) 6.12-7.56 (17H,m,Aromatic), 5.35 (s,1H,OH), 11.02 (-COOH). 13CMR: 130(Chlorine), 171.3(-COOH), 161.6 (-C=O); Anal Cald.$\text{C}_{35}H_{27}N_{4}O_{6}SCl$ (667.13); C,63.01; H,4.08; S,4.81; Cl,5.31 Found: C,62.95; H,3.85; N,8.20; S,4.80; Cl,5.27; Yield 58%.
\[
1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-
5-oxo-2-p-tolyl-2,5-dihydro-1H-pyrole-3-carboxylic (4e)
\]
M.p 184-185 °C; IR(KBr cm\(^{-1}\)): 3050(-Aromatic C-H-), 1370, 1150(-SO\(_2\)-), 1667(-COOH), 1717(-C=O); \(^1\)H NMR: 7.8 (s,1H,H-5- of the pyrimidne ring), 6.12-7.56 (17H,m,Aromatic), 2.34 (s,3H, CH3), 11.02 (1H,s,-COOH); \(^1\)CMR: 130(Benzene), 171.3(-COOH), 161.6 (-C=O), 21.2 (-CH3); \(\text{Anal} \quad \text{Cald. for } C_{36}H_{29}N_4O_5SCl (665.16): C,65.00; H,4.39; N,8.06; S,4.60; Cl,5.33 \); Found: C,64.80; H,4.29; N,8.40; S,4.53; Cl,5.13; Yield 61%;

\[
2-(Benzo[d][1,3]dioxol-5-yl)-1-(4-(N-(4-(4-chlorophenyl)-6-(3,4-dimethylphenyl)
pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4f)
\]
M.p 183-184 °C; IR(KBr cm\(^{-1}\)): 3050(-Aromatic C-H-), 1370, 1150(-SO\(_2\)-), 1667(-COOH), 1717(-C=O); \(^1\)H NMR: 7.8 (s,1H,H-5- of the pyrimidne ring), 6.12-7.56 (17H,m,Aromatic), 6.10 (s,2H, -O-CH2-O-), 11.02 (1H,s,-COOH); \(^1\)CMR: 130(Benzene), 171.3(-COOH), 161.6(-C=O), 101.2 ,(-O-CH2-O-); \(\text{Anal} \quad \text{Cald. for } C_{36}H_{27}N_4O_7SCl (695.14): C,62.20; H,.91; N,8.06; S,4.61; Cl,5.10 \); Found: C,61.98; H,3.82; N,8.01; S,4.53; Cl,5.00; Yield 56%;

\[
1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)
-2-(4-hydroxy-3-methoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4g)
\]
M.p 186-185 °C; IR(KBr cm\(^{-1}\)): 3050(-Aromatic C-H-), 1370, 1150(-SO\(_2\)-), 1667(-COOH), 1717(-C=O); \(^1\)H NMR: 7.8 (s,1H,H-5- of the pyrimidne ring), 6.12-7.56 (17H,m,Aromatic), 3.83 (s,3H, -OCH3), 11.02 (1H,s,-COOH); \(^1\)CMR: 130(Benzene), 171.3(-COOH), 161.6(-C=O), 56 ,(-OCH3); \(\text{Anal} \quad \text{Cald. for } C_{36}H_{29}N_4O_7SCl (697.14): C,62.02; H,.91; N,8.04; S,4.60; Cl,5.10 \); Found: C,61.92; H,4.12; N,8.00; S,4.51; Cl,4.96; Yield 55%;

\[
1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)
-2-(3,4-diethoxyphenyl)-5-oxo-2,5-dihydro-1H-pyrrole-3-carboxylic acid (4h)
\]
M.p 187-188 °C; IR(KBr cm\(^{-1}\)): 3050(-Aromatic C-H-), 1370, 1150(-SO\(_2\)-), 1667(-COOH), 1717(-C=O); \(^1\)H NMR: 7.8 (s,1H,H-5- of the pyrimidne ring), 6.12-7.56 (17H,m,Aromatic), 4.12 (4H of -2CH2-), 11.02 (1H,s,-COOH); \(^1\)CMR: 130(Benzene), 171.3(-COOH), 161.6 (-C=O), 65 ,(-OCH2); \(\text{Anal} \quad \text{Cald. for } C_{39}H_{35}N_4O_7SCl (773.28.14): C,63.37; H,4.77; N,7.58; S,4.34; Cl,4.80 \); Found: C,63.12; H,4.52; N,7.40; S,4.24; Cl,4.76; Yield 56%;

Preparation of 1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl) pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-arylpyrrolidine-3-carboxylic acid (5a-h)

General procedure
A mixture of Schiff base (3a-h) (0.1 mol) and chloroform (CHCl\(_3\)) (40 mL) was added with succinic anhydride (0.1 mol). Then it was refluxed for 8 h in an oil bath. After the mixture was allowed to stand for two days, the solid formed was filter then product was crystallized from absolute ethyl alcohol to give (5a-h). Yield was 50-60%.

\[
1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)
-5-oxo-2-phenylpyrrolidine-3-carboxylic acid (5a)
\]
M.p 188-189 °C; IR(KBr cm\(^{-1}\)): 3050(-Aromatic C-H-), 1370, 1150(-SO\(_2\)-), 1667(-COOH), 1717(-C=O); \(^1\)H NMR: 7.8 (s,1H,H-5- of the pyrimidne ring), 6.12-7.56 (17H,m,Aromatic), 5.0(d,1H,C2 of Pyrrolidine ring), 2.5(d,2H,C4 of Pyrrolidine ring), 3.4(q,1H,C3 of Pyrrolidine ring), 11.02 (1H,s,-COOH); \(^1\)CMR: 130(Benzene), 178.3(-COOH), 174.9(-C=O); \(\text{Anal} \quad \text{Cald. for } C_{35}H_{29}N_4O_7SCl (653.15): C,64.36; H,4.48; N,8.58; S,4.91; Cl,5.43 \); Found: C,64.06; H,4.28; N,8.50; S,4.82; Cl,5.41; Yield 64%.
1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-methoxyphenyl)-5-oxopyrrolidine-3-carboxylic acid (5b)
M.p 185-186 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic), 5.0 (d, 1H, C2 of Pyrrolidine ring), 2.5 (d, 2H, C4 of Pyrrolidine ring), 3.83 (s, 3H, -OCH3), 11.02 (1H, s, -COOH); ¹³C NMR: 130 (Benzene), 178.3 (-COOH), 174.9 (-C=O); Anal Cald. for C₃₆H₃₁N₄O₆SCl (683.15): C, 63.2%; H, 4.57%; N, 8.20%; S, 4.69%; Cl, 5.19%. Yield: 54%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-hydroxyphenyl)-5-oxopyrrolidine-3-carboxylic acid (5c)
M.p 190-191 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic), 5.0 (d, 1H, C2 of Pyrrolidine ring), 2.5 (d, 2H, C4 of Pyrrolidine ring), 5.35 (s, 3H, -OH), 11.02 (1H, s, -COOH); ¹³C NMR: 130 (Benzene), 178.3 (-COOH), 174.9 (-C=O); Anal Cald. for C₃₅H₂₉N₄O₆SCl (653.15): C, 62.8%; H, 4.37%; N, 8.37%; S, 4.79%; Cl, 5.30%. Yield: 58%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(2-hydroxyphenyl)-5-oxopyrrolidine-3-carboxylic acid (5d)
M.p 188-189 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic), 5.0 (d, 1H, C2 of Pyrrolidine ring), 2.5 (d, 2H, C4 of Pyrrolidine ring), 5.35 (s, 3H, -OH), 11.02 (1H, s, -COOH); ¹³C NMR: 130 (Benzene), 178.3 (-COOH), 174.9 (-C=O); Anal Cald. for C₃₅H₂₉N₄O₆SCl (653.15): C, 62.8%; H, 4.37%; N, 8.37%; S, 4.79%; Cl, 5.22%. Yield: 55%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxo-2-p-tolylpyrrolidine-3-carboxylic acid (5e)
M.p 185-186 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic), 5.0 (d, 1H, C2 of Pyrrolidine ring), 2.5 (d, 2H, C4 of Pyrrolidine ring), 2.34 (s, 3H, CH3), 11.02 (1H, s, -COOH); ¹³C NMR: 130 (Benzene), 178.3 (-COOH), 174.9 (-C=O); Anal Cald. for C₃₆H₃₁N₄O₅SCl (667.17): C, 64.7%; H, 4.68%; N, 8.34%; S, 4.80%; Cl, 5.1%. Yield: 59%.

2-(Benzo[d][1,3]dioxol-5-yl)-1-(4-(N-(4-(4-chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-5-oxopyrrolidine-3-carboxylic acid (5f)
M.p 178-179 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic), 5.0 (d, 1H, C2 of Pyrrolidine ring), 2.5 (d, 2H, C4 of Pyrrolidine ring), 6.07 (s, 2H, -O-CH₂-O), 11.02 (1H, s, -COOH); ¹³C NMR: 130 (Benzene), 178.3 (-COOH), 174.9 (-C=O), 101.2 (-O-CH2-O); Anal Cald. for C₃₆H₃₅N₄O₇SCl (697.16): C, 62.0%; H, 4.68%; N, 8.40%; S, 4.81%; Cl, 5.31%. Yield: 59%.

1-(4-(N-(4-(4-Chlorophenyl)-6-(3,4-dimethylphenyl)pyrimidin-2-yl)sulfamoyl)phenyl)-2-(4-hydroxy-3-methoxyphenyl)-5-oxopyrrolidine-3-carboxylic acid (5g)
M.p 187-188 °C; IR(KBr cm⁻¹): 3050(-Aromatic C-H-), 1370, 1150(-SO2-), 1667(-COOH), 1717(-C=O); ¹H NMR: 7.8 (s, 1H, H-5 of the pyrimidine ring), 6.12-7.56 (17H, m, Aromatic),
5.0(d,1H,C2 of Pyrrolidine ring), 2.5(d,2H,C4 of Pyrrolidine ring), 3.4(q,1H,C3 of Pyrrolidine ring), 11.02 (1H,s,-COOH) 5.35 (1H,s,-OH), 3.83 (3H,s,-OCH 3); 13CMR: 130 (Benzene), 178.3(-COOH), 174.9(-C=O) 56.1 (-OCH 3);  A

**Results and Discussion**

Since the antibacterial effect of sulphanilamide has been attributed to the presence of a sulphonamide groups (-SO 2 NH 2-) and NH 2 group in para position, it is of interest to study the effect of fixation of these groups to the pyrimidine moiety. This interest has also prompted us to extend this study to include the effect of the introduction of the well known antibacterial nucleus (2H-pyrrole-2-ones /2-Pyrrolidinones) instead of NH 2 group into the sulfa-pyrimidine nucleus.

The starting material, \(N\)-{4-[4-chloro-phenyl]-6-(3,4-dimethyl-phenyl)-pyrimidin-2-ylsulfamoyl]-phenyl}-acetamide (1) was prepared by according to the reported method 18. It can be hydrolyzed to 4-amino-\(N\)-[4-(4-chloro-phenyl)-6-(3,4-dimethyl-phenyl)-pyrimidin-2-yl]-benzensulfonamide (2) by sodium hydroxide solution. It was characterized by the elemental analysis, IR spectral studies and NMR spectral studies. The strong absorptions at 1370 and 1160 were due to the presences of sulphonyl group. The structure of 2 was established by spectroscopic evidence.

This hydrolyzed product 2 was dissolved in absolute ethanol and was reacted with aromatic aldehyde in the presence of piperidine to yield Schiff bases (3a-h) were then characterized by the elemental analysis, IR spectral studies, and NMR spectral studies. The IR spectra of Schiff bases show the prominent band at 1630 cm⁻¹ for the azomethine group18. All the compounds show the NMR signals for different kinds of protons at their respective positions. It was characterized by the elemental analysis, IR spectral studies and NMR spectral studies. These Schiff bases on reaction with maleic anhydride and succinic anhydride yield 2H-pyrrole-2-ones (4a-h) and 2-pyrrolidinones (5a-h) respectively. The structures of these compounds have been confirmed by elemental analysis, IR spectral studies and NMR spectral studies. These compounds shows the band at 1717 cm⁻¹ for cyclic (C=O of Pyrrole ring) group18. All the compounds show the NMR signals for different kinds of protons at their respective positions.

The antibacterial activities of both the series (4a-h) and (5a-h) respectively, have been carried out against some strain of bacteria. The results show that the prepared compounds are toxic against the bacteria. The comparison of the antibacterial activity of these compounds with penicillin and sulphanilamide shows that these compounds have almost similar activity.
Conclusion
The clubbing of sulfapyrimidine and 2H-pyrrole-2-ones /2-pyrrolidinones has been done successfully into one molecule. Both the moieties have important applications in medicinal use. The prepared compounds may be act as good biological compounds.

Acknowledgment
We are thankful to the department of chemistry, Himchandracharya North Gujarat University, Patan, Gujarat (India) for providing the necessary facilities for the research work.

References
2. Krupp M A and Chatton M J, Current Medical Diagnosis and Treatment (Large Medical Publications, California, 1980).