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*NOTE*

# Synthesis and Antibacterial Activity of Some Sulphanilamide Compounds

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The sulphonamides were used as drug since 1935. In 1935 G. Domagk studied and converted sulphonamide to sulphanilamides and got the Nobel Prize for this work in 1939.

Domagk[1] investigated the behaviour of certain sulphones and found their antitubercular activity. Later on these results were supported by a few scientists.[2, 3] Sulphonamides also possess antitoxoplasmic activity[4, 5] and anticancer activity[6, 7].

In the present work the sulphaphenazoles have been condensed first with cyanuric chloride and then with various aryl ureas as shown in Fig. 1. As a result ten different S-triazine derivatives have been synthesized. The ten different compounds prepared in these series were then tested *in vitro* for their antibacterial activity against *E. coli* and *Staphylococcus aureus* representing gram negative and gram positive bacteria respectively. This testing has been done by the methods described by Bryant[8] using nutrient agar medium at 50 μg/mL concentration. Along with the compounds two standard drugs have also been tested, *viz.*, ampicillin and streptomycin.

Table-1 shows the results of the antibacterial activity of the compounds. Among the ten different compounds tested 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(3′-methyl phenyl ureido)-S-triazine (10.0 mm) and 2-[$N^4$ {$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-chloro phenyl ureido)-S-triazine (10.0 mm) shows highest zone of inhibition against *E. coli*. In the past sulphanilamides were also reported inhibitory for *E. coli*.

Against *S. aureus* the maximum zone of inhibition was recorded in the compound 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis (phenyl ureido)-S-triazine (8.0 mm), 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis (3′-methyl phenyl ureido)-S-triazine (8.0 mm) and 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-chloro phenyl ureido)-S-triazine (8.0 mm), while the minimum zone of inhibition was recorded in

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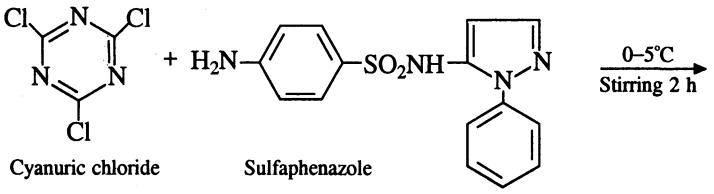
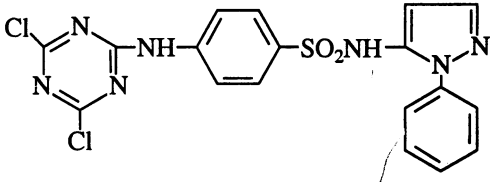
the compound 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis (3′-nitro phenyl ureido)-S-triazine (6.0 mm) and 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol -5′-yl) sulphanilamido}]-4,6-bis (2′-methyl phenyl ureido)-S-triazine (6.0 mm)

**Step I**



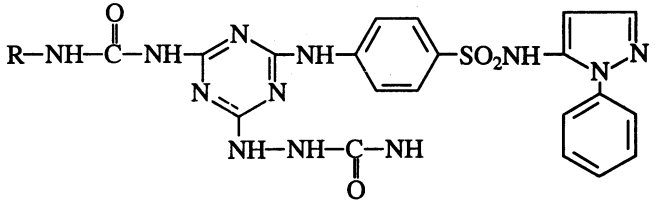

Cyanuric chloride Sulfaphenazole




(A)
2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulfanilamido}]-4,6-dichloro-S-triazine

**Step II**


Aryl urea




2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulfanilamido}]-4,6-bis(aryl ureid)-S-triazine

Fig. 1. Schematic representation of basic chemical reactions used for sythesis of sulphonilamides.

where R = $C_6H_5$

*o,m,p*-$C_6H_4CH_3$

*m,p*-$C_6H_4NO_2$

*o,p*-$C_6H_4OCH_3$

*m,p*-$C_6H_4Cl$

TABLE-1
CHARACTERIZATION AND ANTIBACTERIAL DATA OF COMPOUNDS

| Compound | m.f. | m.p. (°C) | Zone of inhibition in mm | |
|---|---|---|---|---|
| | | | *E. coli* | *S. aureus* |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(phenyl ureido)-S-triazine | $C_{32}H_{27}O_4N_{11}S$ | 128 | 9.0 | 8.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(3′-nitro PU) -S-triazine | $C_{32}H_{25}O_8N_{13}S$ | 185 | 9.0 | 6.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-nitro PU) -S-triazine | $C_{32}H_{25}O_8N_{13}S$ | 132 | 9.0 | 7.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(2′-methyl PU)-S-triazine | $C_{34}H_{31}O_4N_{11}S$ | 155 | 8.0 | 6.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(3′-methyl PU)-S-triazine | $C_{34}H_{31}O_4N_{11}S$ | 164 | 10.0 | 8.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-methyl PU)-S-triazine | $C_{34}H_{31}O_4N_{11}S$ | 150 | 8.0 | 6.5 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis (2′-methoxy PU)-S-triazine | $C_{34}H_{31}O_6N_{11}S$ | 142 | 9.0 | 7.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-methoxy PU)-S-triazine | $C_{34}H_{31}O_6N_{11}S$ | 140 | 8.0 | 6.5 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(3′-chloro PU)-S-triazine | $C_{32}H_{25}O_4N_{11}SCl_2$ | 130 | 8.0 | 7.0 |
| 2-[$N^4$-{$N^1$-(1′-phenyl pyrazol-5′-yl) sulphanilamido}]-4,6-bis(4′-chloro PU)-S-triazine | $C_{32}H_{25}O_4N_{11}SCl_2$ | 180 | 10.0 | 8.0 |

Symbol: PU = phenyl ureido

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