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# Synthesis of Some Flavanone Benzaldazines and Furfuraldazines

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The reaction of flavanone hydrazones (I a–d) with benzaldehydes and furfuraldehyde affords substituted benzaldazines (II a–k) and furfuraldazines (III a–d). The structures of all the compounds have been established on the basis of elemental analyses and spectral data. The antibacterial activity of the synthesised compounds have been screened against Gram positive and Gram negative bacteria.

A wide range of alkylidene derivatives from reaction with aliphatic, alicyclic, aromatic or hetero aromatic aldehydes and ketones, ketoacids ketoesters and ketoalcohols have been known to have good antimycobacterial activity[1,2]. Substituted benzylidene derivatives have received particular attention and a number of them have been tested clinically[3,4]. Several synthetic flavanone derivatives have been introduced as therapeutic agents and this also includes flavanone oximes[5] and flavanone hydrazone derivatives[6]. In view of this and as part of our research programme[7] the reaction of flavanone hydrazones with aromatic aldehydes and furfuraldehyde was undertaken to evaluate the biological efficacy of the condensation products. The substituted flavanone benzaldazines (II a-k) and furfuraldazines (III a–d) were obtained by condensing appropriate flavanone hydrazones (I) and aldehydes at room temperature. The resulting compounds (II and III) were obtained as yellow needles in 55–75% yield (Scheme I). All the synthesised compounds have been characterised by their elemental analysis and characteristic IR spectra in the region 1600–1640 $cm^{-1}$ ($\nu_{C=N}$)

## Antibacterial Activity

All the synthesised compounds were evaluated at maximum concentration 100 μg/ml in DMF or acetone+DMSO solvent against the following bacteria *S. faecalis*, *K. pneumoniae*, *E. coli*, *P. aeruginosa*, *P. vulgaris*, *S. aureus*, *S. albus*, *S. typhi* and *B. proteus*. However, none of the synthesised compounds possessed any significant antibacterial activity.

Melting points were determined in open capillaries using $H_2SO_4$ bath

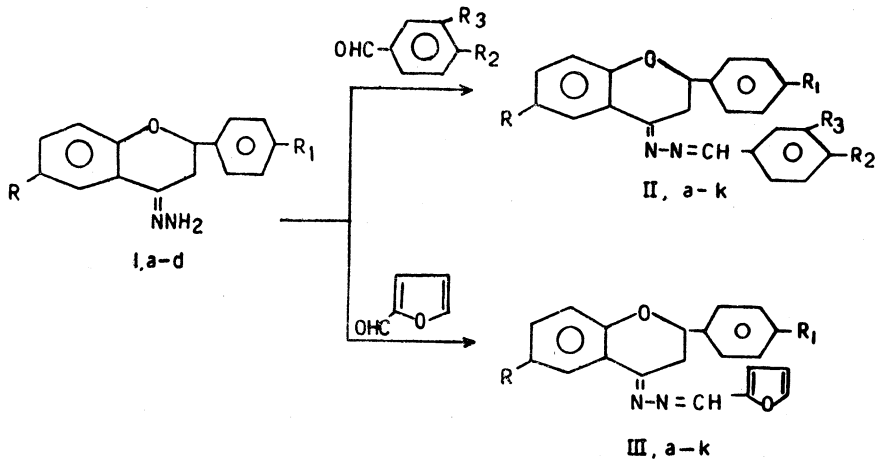


TABLE 1

PHYSICAL DATA OF VARIOUS FLAVANONE BENZALDAZINES (II) AND FURFURALDAZINES (III)

| Compd. | R | $R_1$ | $R_2$ | $R_3$ | m.pt.* °C | Yield (%) | % N** Found (cal.) |
|---|---|---|---|---|---|---|---|
| IIa | H | H | $OCH_3$ | H | 145–46[a] | 75 | 7.61 (7.85) |
| IIb | H | H | $OCH_3$ | $OCH_3$ | 175–76[b] | 75 | 7.18 (7.24) |
| IIc | H | $OCH_3$ | H | H | 143–44[b] | 75 | 7.7 (7.85) |
| IId | H | $OCH_3$ | $OCH_3$ | H | 145–46[c] | 58 | 7.65 (7.24) |
| IIe | H | $OCH_3$ | $OCH_3$ | $OCH_3$ | 167–69[d] | 77 | 6.94 (6.72) |
| IIf | $CH_3$ | H | H | H | 162[b] | 70 | 7.6 (8.22) |
| IIg | $CH_3$ | H | $OCH_3$ | H | 156–57[c] | 75 | 7.66 (7.56) |
| IIh | $CH_3$ | H | $OCH_3$ | $OCH_3$ | 163[b] | 67 | 7.36 (7.0) |
| IIi | $CH_3$ | $OCH_3$ | H | H | 146–48[b] | 77 | 7.14 (7.56) |
| IIj | $CH_3$ | $OCH_3$ | $OCH_3$ | H | 159[b] | 66 | 6.75 (6.99) |
| IIk | $CH_3$ | $OCH_3$ | $OCH_3$ | $OCH_3$ | 286[b] | 70 | 5.90 (6.50) |
| IIIa | H | H | — | — | 143[b] | 83 | 8.38 (8.85) |
| IIIb | H | $OCH_3$ | — | — | 137–38[a] | 85 | 7.63 (8.08) |
| IIIc | $CH_3$ | H | — | — | 148–49[b] | 71 | 8.06 (8.4) |
| IIId | $CH_3$ | $OCH_3$ | — | — | 157[c] | 77 | 7.30 (7.77) |

*Solvent for crystallisation (a) $CHCl_3$ (b) $CHCl_3$ + $C_2H_5OH$ (1 : 1, v/v) (c) $C_2H_5OH$ (d) $C_2H_5OH$ + $C_6H_6$ (1 : 1, v/v).

**Satisfactory C and H analyses were obtained for all the compounds.

and are uncorrected. IR spectra were taken in KBr pellets on a Perkin-Elmer infrared 577 spectrometer (max in $cm^{-1}$). Purity of the products was ascertained by TLC on silica gel G. plates (0.05 mm layer) using $C_6H_6$-ethylacetate (95.5) as solvent.

Flavanone hydrazones (I a–d) were prepared by reported method[8].

**Preparation of Flavanone Benzaldazines (II 1–k) and Furfuraldazines (III 1–d)**

To a stirred solution of appropriate flavanone hydrazone (0.01 mole) in ethanol (60 ml) was added in instalments an appropriate aldehyde (0.01 mole) in ethanol (10 ml). The reaction mixture was kept overnight at room temperature and the separated solid was filtered and recrystallised from suitable solvents to afford analytical samples (Table 1).

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