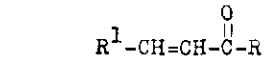
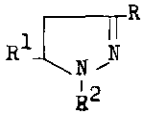
NEWER HETEROCYCLES FROM FLUOROCHALCONES

N. Latif, N. Mishriky and W. Basyouni
National Research Centre, Dokki, Cairo, A.R. Egypt

Extending our work on the synthesis of newer heterocycles from β-unsaturated ketones, it is found that 1a reacts with aryl hydrazines, hydrazine hydrate in acetic acid, and benzoylhydrazine to give 2 (R^1=Ph; R^2=Ph, p-Cl-Ph, p-CH_3-Ph), 2 (R^1=Ph; R^2=$COCH_3$), and 3, respectively. Similarly, 1b reacts with hydrazine hydrate in acetic acid to give 2 (R^1=2-hydroxy-3-methoxyphenyl; R^2=$COCH_3$). Meanwhile, the acetate 1c affords the expected pyrazoline, which upon hydrolysis gives 2 (R^1=2-hydroxy-3-methoxyphenyl, R^2=Ph). Correlation between fluorescence and structure of pyrazolines is discussed. 2 (R^2=aryl) afford the pyrazoles 4 upon interaction with o-chloranil at room temperature, whereas, 2 (R^2=$COCH_3$) resists dehydrogenation under the same conditions. 1a reacts with ethyl cyanoacetate and malononitrile in presence of amm. acetate to give 5 and 6, respectively. However, 1b reacts with cyanoacetate to give lactone 7, whereas, with malononitrile, it gives the iminolactone 8a which affords lactone 8b upon hydrolysis. Reaction mechanisms are discussed and the possibility of the formation of a cyanoacetamide adduct or an amidino-compound as intermediates, instead of the previously reported, generally accepted, intermediate formation of an enamine, is presented.



1a, R^1=Phenyl
b, R^1=2-hydroxy-3-methoxyphenyl
c, R^1=2-acetoxy-3-methoxyphenyl



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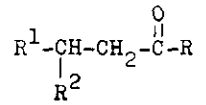
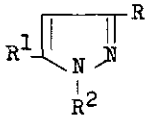


3, R^1=Ph; R^2=NH-NH-COPh



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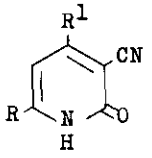

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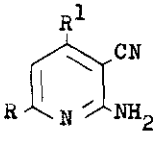

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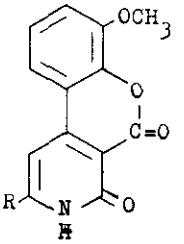

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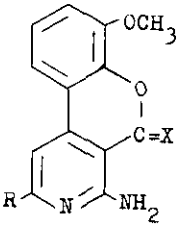

8a, X = NH
b, X = O

R = 4-fluorophenyl