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Preliminary communication

A new synthesis of pyridazinones from carbohydrate precursors, using the Wittig reagent

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As part of continuing study[1–5] directed towards the synthesis of nitrogen heterocyclic compounds from carbohydrate precursors, we report a new heterocyclization occurring *via* the reaction of α-aldehydohydrazones (2), obtained by the periodate oxidation of their corresponding polyols (*e.g.*, 1), with (carboethoxymethylidene)triphenylphosphorane, to give a 68% yield of the pyridazinone 8, m.p. 307°. During attempts to find the optimum conditions for the formation of 8, it was found that another product (m.p. 228–230°) could be isolated in 73% yield; it was formulated as 3 (which undergoes cyclization to give 8).

The structure of the products was deduced from a combination of the elemental analyses and the spectral data. The infrared spectrum of 3 showed a band at 1705 cm^{-1}, due to COO, whereas 8 showed a band at 1680 cm^{-1} (due to OCN); in addition, both compounds showed a band at 1660 cm^{-1} (due to the OCN group of the quinoxalinone ring).

The ^{1}H-n.m.r. spectrum[6] of 3 showed the presence of an ethyl group and two imino protons, whereas that of 8 did not show the ethyl group and showed only one imino proton. The newly formed, olefinic protons appeared as two doublets, at δ 5.74 and 7.63, with *J* 16 Hz, for 3, and at δ 7.13 and 8.08, with *J* 10 Hz, for 8. These data indicated that the reaction of 2 with this stabilized ylid gave mainly the *trans* isomer 3; in accord with the anticipated, stereochemical outcome of the Wittig reaction. For 3 to be cyclized to 8, it should undergo isomerization to the *cis* isomer 5 (that is capable of cyclization into 8, as the *trans* isomer cannot cyclize directly).

Attempted cyclization of 3 with alkali afforded two products, identified as 6 and 8. This could be explained as due to the cyclization of 3, to give the pyridazinone 8, and the flavazole 7 that was hydrolyzed to 6. The latter could be prepared from the aldehyde 4 by reaction with the Wittig reagent.

In conclusion, the reaction of α-aldehydohydrazones with the Wittig reagent

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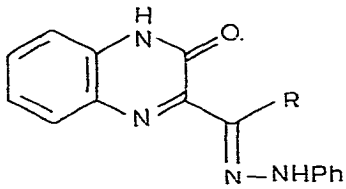
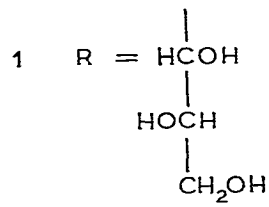
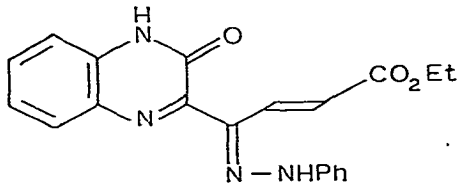




1 R = HCOH–HOCH–CH_2OH

2 R = CHO



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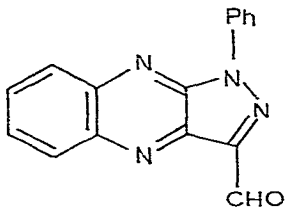

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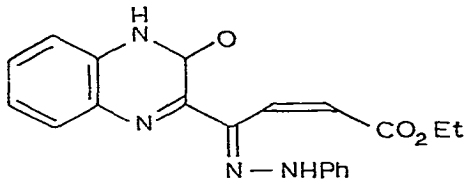

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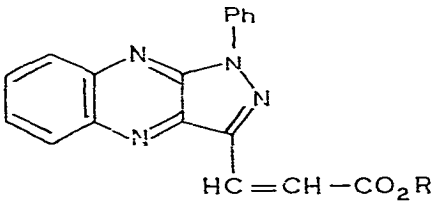
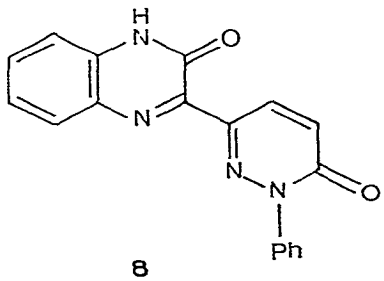


6 R = H

7 R = Et



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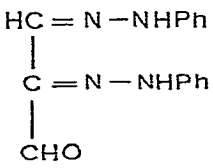

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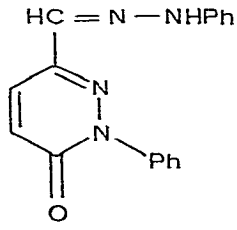

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adds a new synthesis for the pyridazinone ring to that described in the literature[6,7]. This synthesis is of possible general application as indicated by the preparation of modifications of **8** bearing other aromatic substituents, as well as by the successful transformation of **9** into **10**.

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