

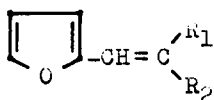
REACTION OF TETRACHLORO-o-BENZOQUINONE WITH 2-VINYLFURANS

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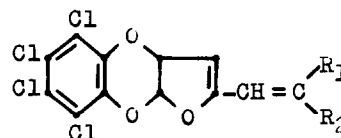
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During the course of our studies on quinonoid compounds, it has been found that tetrachloro-o-benzoquinone (I) has pronounced cytostatic activity. This stimulated us to investigate its reactivity toward the known cytostatic agent, 1,1-dicyano-2-(2-furyl) ethylene (IIa)<sup>1</sup>. It is found that (I) adds preferentially to the double bond of the furyl residue to give the 1,4-dioxen (IIIa) leaving the 2-vinyl side chain intact. It adds similarly to the analogous 2-vinylfurans (IIb-e) in boiling benzene to give the corresponding 1,4-dioxen derivatives, (IIIb-e). Thus, in this respect the isolated furan



II

- a;  $R_1=R_2=CN$
- b;  $R_1=H, R_2=NO_2$
- c;  $R_1=R_2=COOC_2H_5$
- d;  $R_1=H, R_2=COOC_2H_5$
- e;  $R_1=H, R_2=COC_6H_5$
- f;  $R_1=CN, R_2=COOC_2H_5$

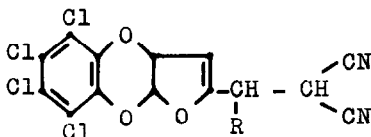


III

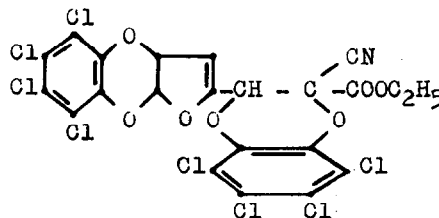
nucleus exhibits dienophilic character which is rather unusual since furan usually acts as a diene<sup>2</sup> in Diels - Alder reactions. The dienophilic character of furan has been mainly exhibited in connection with fused ring systems such as benzofuran and xanthotoxin<sup>3,4</sup>. The reactivity of (I) toward addition to the furyl residue is supported by the ready formation of the adducts (IV,  $R=CH_3, C_2H_5, iso-C_4H_9, or C_6H_5$ ) when it is allowed to react with the corresponding 2-dicyanoalkylfurans. The latter compounds are

readily obtained by the action of Grignard reagents on (IIa).

In contrast to the above mentioned 2-vinylfurans, it is found that the quinone adds both to the furyl residue and the vinyl side chain of the unsaturated cyanoester (IIf) to give the diadduct (V). This is rather unusual since it is known<sup>5</sup> that o-quinones do not usually add to  $\alpha,\beta$ -unsaturated ketones, nitriles and similar compounds.



IV



V

#### REFERENCES

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