which represented 7, 30, 32, and 31% of the product as determined by the weight of paper cuts of the peaks. Isolation of the four components was accomplished by fractionation through the vapor fractometer.

The 20.8-min. component, n_D^{23} 1.4083 (lit.[9] n_D^{20} 1.40909), was identical with an authentic sample of methyl vinylacetate (II) (prepared by the method of Corey[10]) as shown by their infrared spectra.

The 25.2-min. component, n_D^{23} 1.4223 (lit.[11] n_D^{20} 1.4225), was methyl *cis*-crotonate (III).

The 32.4-min. component, n_D^{23} 1.4182 (lit.[12] n_D^{20} 1.41866), was identical with an authentic sample of methyl cyclopropanecarboxylate V [prepared by the methylation of cyclopropanecarboxylic acid (Aldrich)] as shown by their infrared and NMR spectra.

The 36-min. component, n_D^{22} 1.4248 (lit.[9] n_D^{20} 1.42466), was identical with an authentic sample of methyl *trans*-crotonate (IV) (K and K Laboratories) as shown by their infrared and NMR spectra.

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Preparation of Quinazolo[2,3-c]benzo[1,4]thiazine-12-(6H)one

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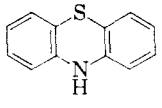
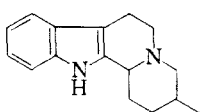
During the course of an investigation of compounds with potential psychotherapeutic activity it appeared that derivatives of a ring system containing some of the features of phenothiazine (I)

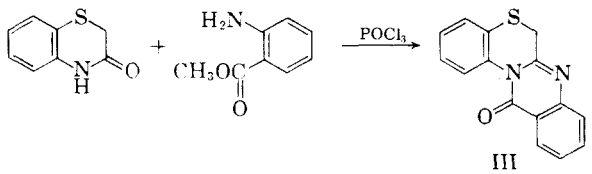


I



II

and benz[g]indole[2,3-a]quinolizine (II) (the reserpine nucleus) would be of interest. The quinazolo[2,3-c]benzo[1,4]thiazine system was selected and quinazolo[2,3-c]benzo[1,4]thiazine-12-(6H)one (III) was prepared by the method outlined below.



III

Preliminary pharmacological testing, however, indicates that this compound has negligible psychotherapeutic activity and the investigation in this area has been discontinued.

EXPERIMENTAL

Condensation of benzo[1,4]thiazine-3-one with methyl anthranilate. To a solution of 16.6 g. of benzo[1,4]thiazine-3-one dissolved in hot, anhydrous toluene, a solution of 30.4 g. of freshly distilled phosphorus oxychloride in 25 ml. of dry toluene was added slowly. After heating under reflux with rapid, mechanical stirring for 10 min., 30.2 g. of methyl anthranilate was added slowly and the resulting mixture heated under reflux for 8 hr. At the end of this time a yellow mass began to separate. The toluene was evaporated under reduced pressure, and the residue was dissolved in chloroform. The chloroform solution was dried over magnesium sulfate, filtered, and the chloroform evaporated on a steam bath. The residue was crystallized from 200 ml. of an 80% ethanol-water mixture.

The product was recrystallized twice from ethanol to give 12.5 g. (45%) of quinazolo[2,3-c]benzo[1,4]thiazine-12-(6H)one, yellow prisms, m.p. 154.5–156°.

Anal. Calcd. for $C_{15}H_{10}N_2OS$: C, 67.7; H, 3.8; N, 10.5; S, 12.0. Found: C, 67.8; H, 3.9; N, 10.4; S, 11.8.

Acknowledgment. We are grateful for the financial support by the Smith Kline and French Laboratories for a postdoctoral fellowship under which the work of one of us (J. E. M.) was performed.

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Amebicidal 8-Quinolinol Compounds

KONOMU MATSUMURA AND MOTOKO ITO

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This note describes the preparation of several halogenated 8-quinolinol compounds which were made in the hope that they may be of therapeutic value.

The Results of Biological Study.[1] A number of 8-quinolinol compounds, including those reported in the present paper, has been tested against *Endamoeba histolytica in vitro*, and when indicated, against experimental amebiasis in guinea pigs. II was a hundred times as active as 5,7-diiodo-8-quinolinol in Balamuth media. Others (V and VIII) were somewhat more active than, or equal in activity to this standard. In animal assay, V and VIII possessed good antiamebic activity while II had no activity. It is noteworthy that V was of remarkably low toxicity when administered orally ($L.D._{50}$: 80 mg. per 20 g. body weight of a mouse).

(1) We are indebted to Dr. Akira Hirabayashi of our Institute who has kindly performed the biological testing and reported the results. Details of these test results will be published by A. Hirabayashi in a separate communication.