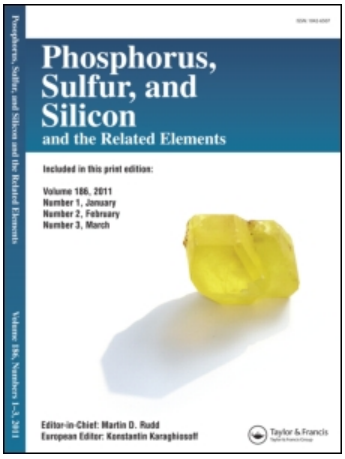

Phosphorus, Sulfur, and Silicon and the Related Elements
Publication details, including instructions for authors and subscription information:
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SYNTHESIS OF THE COMPOUNDS WITH MACROCYCLIC SKELETON OF ZEARALANE VIA SUBSTITUTED THIOPHENES

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To cite this Article Taits, S. Z. , Alashev, F. D. , Bulgakova, V. N. , Gol'dfarb, Ya. L. and Zelinsky, N. D.(1979) 'SYNTHESIS OF THE COMPOUNDS WITH MACROCYCLIC SKELETON OF ZEARALANE VIA SUBSTITUTED THIOPHENES', Phosphorus, Sulfur, and Silicon and the Related Elements, 6: 1, 301 — 302
To link to this Article: DOI: 10.1080/03086647908080424
URL: http://dx.doi.org/10.1080/03086647908080424

Phosphorus and Sulfur
1979, Vol. 6, pp. 301–302

SYNTHESIS OF THE COMPOUNDS WITH MACROCYCLIC SKELETON OF ZEARALANE via SUBSTITUTED THIOPHENES

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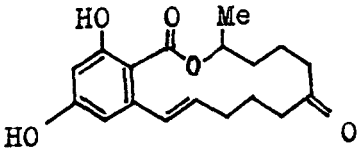
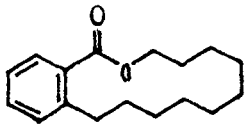
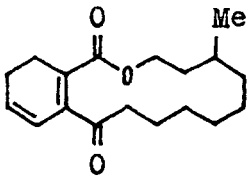
The structure of natural macrolide zearalenone (I) is that of a macrocyclic keto-lactone with condensed benzene ring. Zearalenone possesses a biological activity and is used as animal growth promoter [1]. Accordingly, the synthetic analogues of I with similar bicyclic framework are of interest



I

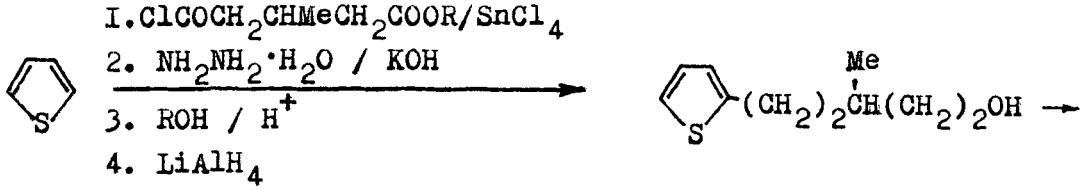


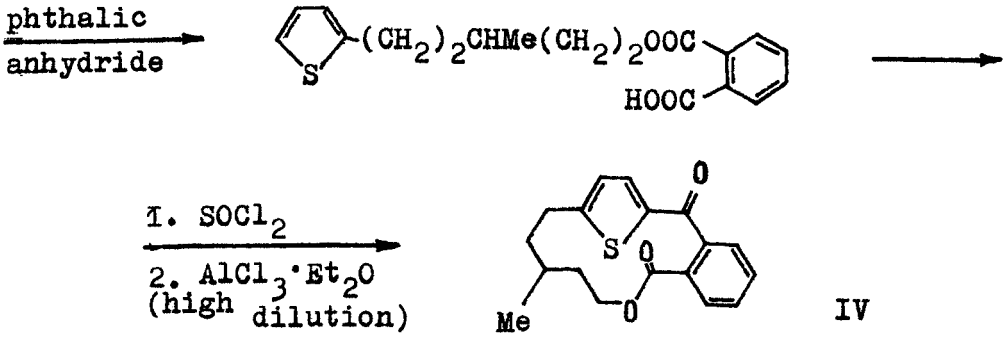
II



III

in the study of the structure - activity relations. Recently, we described [2] the synthesis of macrocyclic compounds of type II. Present communication deals with the preparation of 5-methyl-11,12-dioxo-2-benzoxacyclotetradecane (III), whose macrobicyclic skeleton is very similar to that of II, but with an extra methyl substituent. The following synthetic scheme, starting from thiophene, was realized:





IV

The structure of the tricyclic ansa-compound IV with a thiophene ring was confirmed by elemental analysis, PMR and mass-spectra. The keto-lactone IV is a precursor of the compound III, which may be obtained by reductive desulfurisation of IV with Raney nickel.

I. G.Bennett, W.H.Beaumont, P.R.M.Brown, Vet.Record, 1974, 235.

2. F.D.Alashev, V.N.Bulgakova, Ya.L.Gol'dfarb, S.Z.Taits, Izv.Akad.Nauk SSSR, Ser.Khim. 1977, 147; Chem.Abstrs. 86, 171420 (1977).