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

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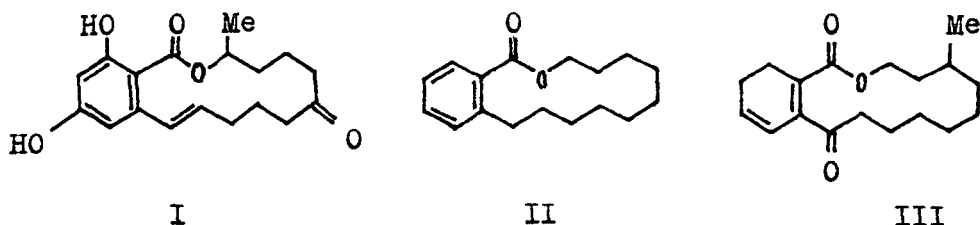
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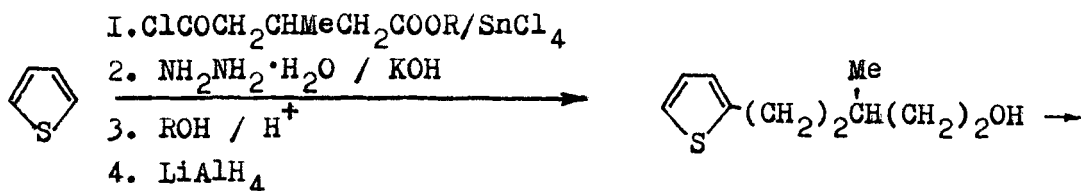
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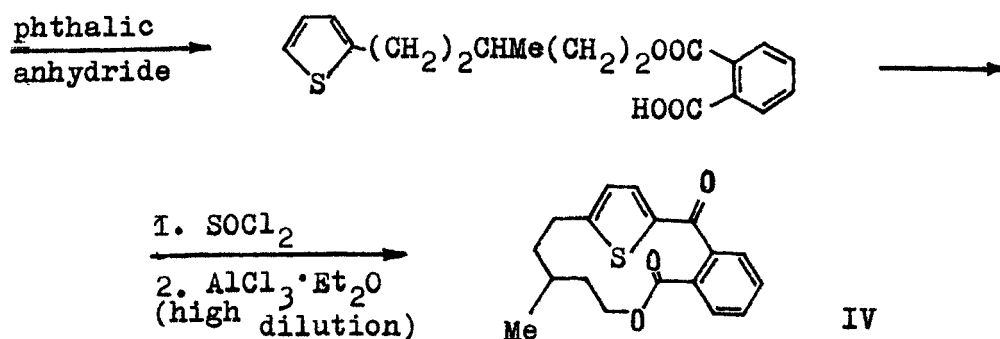
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The structure of natural macrolide zearalenone (I) is that of a macrocyclic keto-lactone with condensed benzene ring. Zearalenone possesses a biological activity and is used as animal growth promoter [1]. Accordingly, the synthetic analogues of I with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



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-1,12-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:





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.

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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, I7142o (1977).