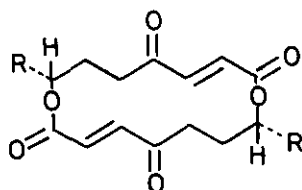


THE SYNTHESIS OF DIMERIC MACROLIDES, ($\pm$)-PYRENOPHORIN AND
($\pm$)-COLLETALLOL

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We will describe the synthesis of macrocyclic antibiotics, pyrenophorin(1) and colletallol(3) involving the use of the phosphoric anhydrides of ω -hydroxy acids in the presence of DMAP¹ in the inter- and subsequent intramolecular cyclodimerization. The activation of carboxylic acid is important chemical operations. In particular, synthesis of naturally occurring macrolides very often requires a selective activation of the carbonyl group with respect to hydroxy group. The use of phosphoric anhydride for this purpose is obviously useful especially in the case of α,β -saturated carboxylic acids to give the corresponding diolides in good yield. Selenenylation of diolides followed by oxidative elimination afforded the desired trans α,β -unsaturated lactones. None of the stereoisomers other than trans compound were observed among the reaction products. Application of this method to the synthesis of ($\pm$)-vermiculine(2) is currently in progress.

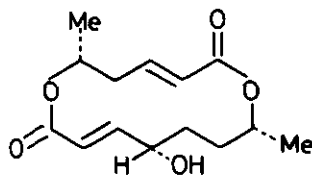


(1) Pyrenophorin

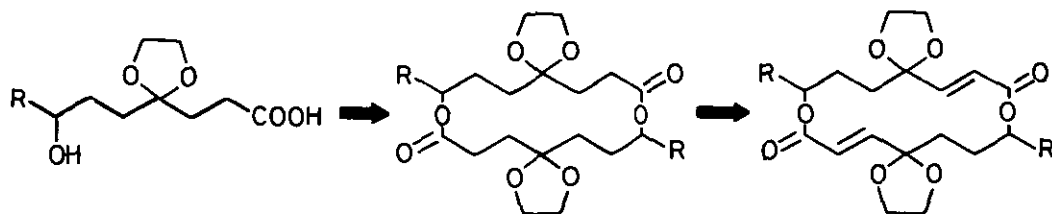
R=CH₃

(2) Vermicurine

R=CH₂COCH₃



(3) Colletallol



1. T. Kaiho, S. Masamune, and T. Toyoda, *J. Org. Chem.*, **47**, 1612(1982).