SYNTHESIS OF THE PHTHALIMIDE C-NUCLEOSIDE, A BENZOLOGUE OF SHOWDOMYCIN

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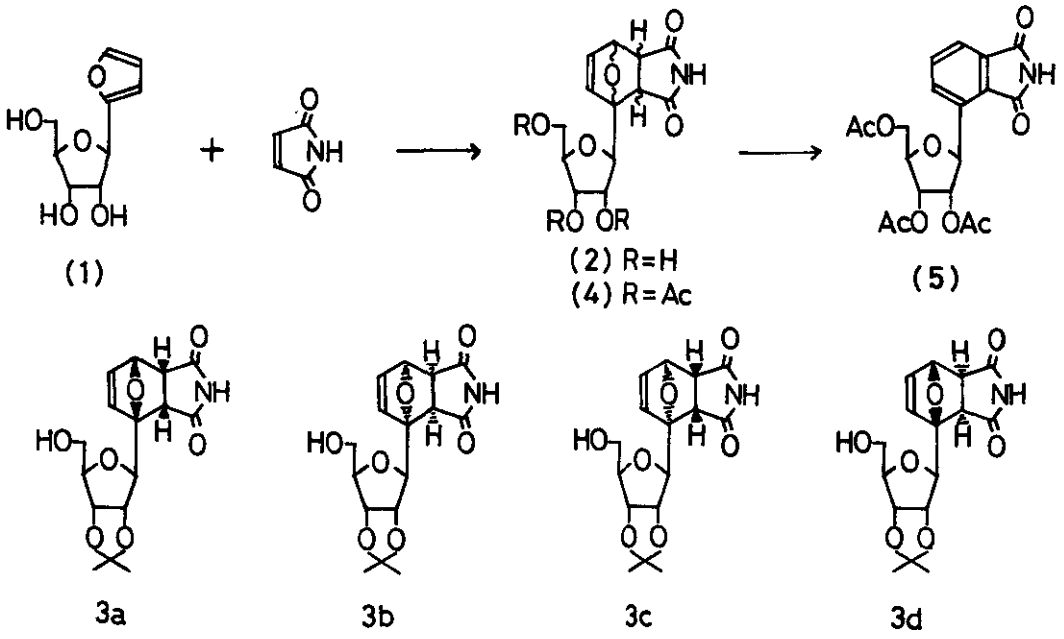
Recently, we reported that the conversion of the furan ring of 2-(2,3,5-tri-O-benzoyl-β-D-ribofuranosyl)furan into pyridazine ring was performed by the Clauson-Kaas method to give the novel C-nucleosides, 3-β-D-ribofuranosylpyridazine and 3-β-D-ribofuranosyl-4,5-dihydro-6(1H)-pyridazinone.[1] We would now like to report the synthesis of a benzologue of Showdomycin (2-β-D-ribofuranosylmaleimide) from 2-β-D-ribofuranosylfuran (1).

Cycloaddition of (1) with maleimide followed by the treatment with acetone/TsOH gave four diastereomeric mixture (3). The formation of endo-adducts (3a and 3b) and exo-adducts (3c and 3d) in the ratio of 11:1 shows the stereoselective addition of maleimide to the glycosylfuran. Aromatization of (4) with sulfuric acid provided 3-(2,3,5-tri-O-acetyl-β-D-ribofuranosyl)phthalimide (5). The details of the synthesis , and ^{1}H NMR and ^{13}C NMR spectra of the reaction products will be discussed.



[1] I. Maeba, F. Usami and H. Furukawa, J. Org. Chem., "accepted"