ALKYLATIONS AT C-3 AND C-5 OF TRIACETIC ACID LACTONE AND DERIVATIVES

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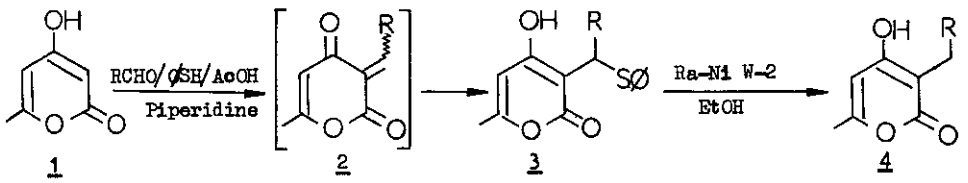
Several natural products from the polyketide pathway have the 4-hydroxy(or methoxy)-2-pyrone structure, complemented with alkyl groups at C-3 and/or C-5. No general methods to alkylate these positions of triacetic acid lactone (1) and derivatives seem to have been disclosed. Our results can be considered as a solution for the alkylation at C-3, and are based on the easy trapping of the electrophilic species 2 by thiophenol. Desulfuration of products 3 (Ra-Ni W-2 previously boiled in acetone for ½ hour) gives the 3-alkyl-4-hydroxy-6-methyl-2-pyrones, 4, in 25-87% non optimized overall yields.



1 2 3 4

R = H; n-Pr; n-C_9H_{19}; 4-ClC_6H_4; 4-$MeOC_6H_4$.

Our initial results directed towards alkylation at C-5 are collected below.