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Efficient Preparation of 32-Oxygenated Lanosterol Derivatives*

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One of the key steps in the biosynthesis of cholesterol (in mammals) and ergosterol (in fungi) is the 14-demethylation of lanosterol. So 14-demethylase is a potential target for the development of hypocholesterolemic and / or antimycotic agents. The 14-demethylation is considered to proceed through the intermediacy of 32-hydroxy- and 32-oxo-lanosterol. To elucidate the precise mechanism of this carbon-carbon bond cleavage reaction, adequate samples of these 32-oxygenated lanosterol derivatives are required. However, no satisfactory method for their chemical preparation has hitherto been reported.

The previously reported 4,4-dimethylcholest-8-en-3β-pivaloyloxy-15-one was treated with benzyloxymethyl chloride/t-BuOK/t-BuOH, and the crude alkylation product was then deoxygenated by Huang-Minlon redution. The resulting 14α-benzyloxymethyl compound (49%) was subjected to hydrogenolysis with H_2 / 5% Pd-C / EtOH to afford 32-hydroxy-24,25-dihydrolanosterol (72%), mp 172-174 ℃. To synthesize 32-oxo derivative, the above 14-benzyloxymethyl compound was subjected to acetylation and then debenzylation to yield 32-hydroxy-3-acetate (72%), mp 130-131 ℃, and subsequent oxidation with pyridinium chlorochromate in CH_2Cl_2 provided the aldehyde, mp 147-150 ℃ in 79% yield. Finally treatment of this compound with 1% KOH-MeOH-benzene gave 32-oxo-24,25-dihydrolanosterol, mp 178-180 ℃.

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