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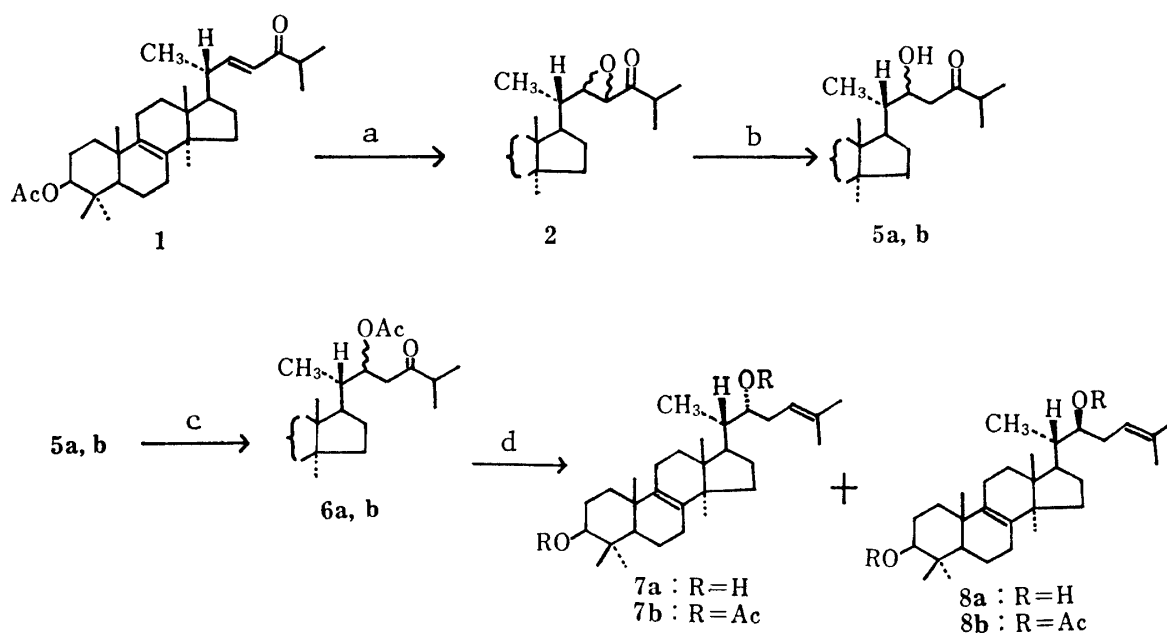
Synthesis of (22*R*)- and (22*S*)-22-Hydroxylanosterols*

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We have recently shown that some lanosterol analogs with modified side chains inhibit cholesterol biosynthesis from lanosterol. In this connection, 22-hydroxylated lanosterol analogs were required for the investigation of the biological activity. This paper describes the synthesis of (22*R*)- and (22*S*)-22-hydroxylanosterols.

Epoxidation of (22*E*)-3 β -acetoxylanosta-8,24-dien-24-one (**1**) afforded the 22,23-epoxides (**2**) which was reacted with hydrazine hydrate to furnish the 22 ξ -hydroxy-24-keto compounds (**5a,b**). Next, **5a,b** was acetylated as usual to give the corresponding acetates (**6a,b**), which were successbly treated with sodium borohydride and with phosphoryl chloride to give (22*R*)-22-acetoxy compound (**7b**) predominantly and (22*S*)-22-acetoxy compound (**8b**) as a minor product. Alkaline hydrolysis of **7b** and **8b** furnished (22*R*)-22-hydroxylanosterol (**7a**) and (22*S*)-22-hydroxylanosterol (**8a**), respectively (Chart 1).



- a) $\text{H}_2\text{O}_2/\text{OH}^-$
- b) $\text{NH}_2\text{NH}_2 \cdot \text{H}_2\text{O}/\text{K}_2\text{CO}_3\text{-DMSO}$
- c) $\text{Ac}_2\text{O}/\text{pyridine}$
- d) $\text{NaBH}_4/\text{MeOH}$; $\text{POCl}_3/\text{pyridine}$

Chart 1

* 本報告は *Chem. Pharm. Bull.*, **31**, 907 (1983) に発表