Title	Effects of oxygenated lanosterol analogs on cholesterol biosynthesis from lanosterol
Sub Title	
Author	園田, よし子(Sonoda, Yoshiko) 佐藤, 良博(Sato, Yoshihiro)
Publisher	共立薬科大学
Publication year	1983
Jtitle	共立薬科大学研究年報 (The annual report of the Kyoritsu College of Pharmacy). No.28 (1983.) ,p.77- 78
JaLC DOI	
Abstract	
Notes	抄録
Genre	Technical Report
URL	https://koara.lib.keio.ac.jp/xoonips/modules/xoonips/detail.php?koara_id=AN00062898-00000028-0077

Effects of Oxygenated Lanosterol Analogs on Cholesterol Biosynthesis from Lanosterol*

Yoshiko SONODA and Yoshihiro SATO

園田よし子，佐藤良博

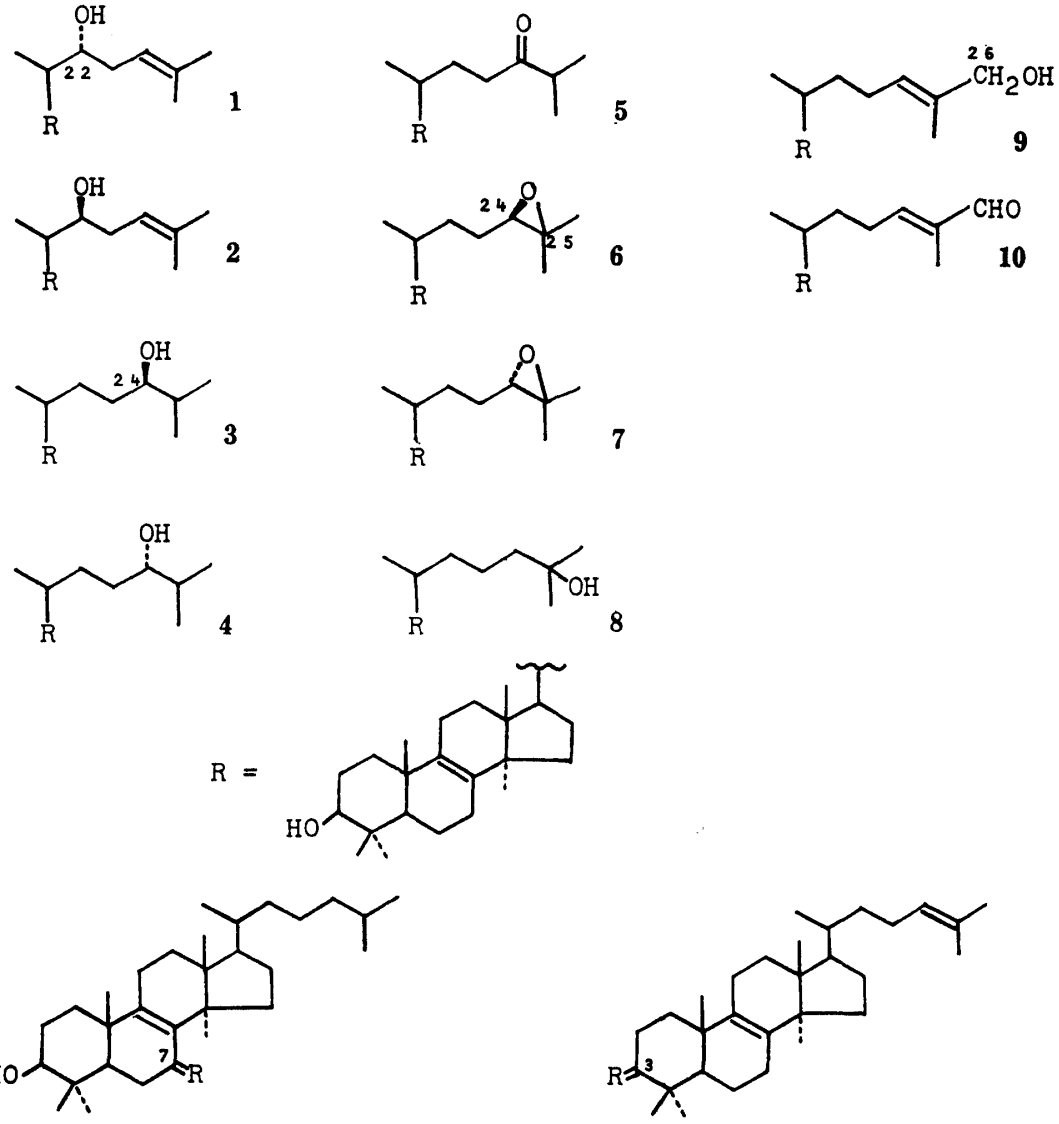
The effects of oxygenated lanosterol derivatives (**1**—**14** : Chart 1) on cholesterol biosynthesis from [24-^{3}H]-lanosterol were tested in 10000×g supernatant (S_{10}) fraction of rat liver homogenate (RLH). The results are summarized in Table I, which indicates that 7-oxo-24,25-dihydrolanosterol (**11**) was most active in depressing cholesterol biosynthesis from lanosterol. That is, lanosterol fraction and cholesterol fraction were 92.3% and only 0.4%, respectively, resulting in the 98% inhibition of cholesterol biosynthesis from lanosterol. The inhibitory activities of the oxygenated derivatives on cholesterol synthesis are largely related to the position of the oxygen group on the side chain and the sterol skeleton.

Table I. Cholesterol Biosynthesis during Incubation of S_{10} Fraction of Rat Liver Homogenate with [24-^{3}H]-Lanosterol in the Presence of Oxygenated Lanosterol Derivatives

Compound	Lanosterol Fr. (%)	Cholesterol Fr. (%)	Inhibition (%)
None (control)	24.8	22.8	—
22*R*-Hydroxy-L (**1**)	34.0	19.0	17
22*S*-Hydroxy-L (**2**)	41.2	18.1	21
24*R*-Hydroxy-DHL (**3**)	68.7	12.4	46
24*S*-Hydroxy-DHL (**4**)	62.3	12.9	43
24-Oxo-DHL (**5**)	62.9	13.0	43
24(*R*),25-Oxide-L (**6**)	50.6	10.3	55
24(*S*),25-Oxido-L (**7**)	52.2	8.9	61
25-Hydroxy-DHL (**8**)	71.8	8.1	64
26-Hydroxy-L (**9**)	81.0	5.7	75
26-Aldehyde-L (**10**)	18.2	26.8	0
7-Oxo-DHL (**11**)	92.3	0.4	98
7α-Hydroxy-DHL (**12**)	60.1	12.0	47
3-Oxo-L (**13**)	27.6	19.2	16
3-Epi-L (**14**)	40.6	15.1	34
L (**15**)	34.5	17.6	23

[24-^{3}H]-Lanosterol (90600 dpm: 0.43μCi/μmol, 18μM) was incubate with rat liver S_{10} fraction at 37°C for 3h. The incubation mixture contained in a total volume of 5ml, 4ml of S_{10} fraction and cofactors. Incubation was started by the addition of the substrate and test compounds as an emulsion (0.1ml) with Tween 80 (3 mg). Analytic methods for incubation products and calculation of the percentage inhibition were described previously. Each incubation was carried out in triplicate and the standard deviation of each value listed was less than 5 percent. DHL and L denote 24,25-dihydrolanosterol and lanosterol, respectively.

* 本報告は *Chem. Pharm. Bull.*, **31**, 1698 (1983) に発表



11: R=O
12: R=α-OH

13: R=O
14: R=α-OH
15: R=β-OH

Chart 1.