# TRANSFORMATION OF BETULONE ALDEHYDE BY THE PRINS REACTION

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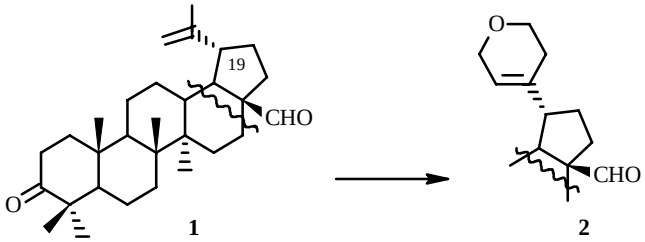
Lupane aldehydes possess biological activity and are of great interest as parent compounds for seeking antitumor and antiviral preparations [1].

The presence of a C-19 isopropenyl group in betulone aldehyde (**1**) makes modification by the Prins reaction possible.




**1** **2**

In the present article, the reaction of **1** with formaldehyde in various media was studied. These included water with catalytic amounts of $H_2SO_4$, acetic acid, and organic solvent with tin(IV) chloride.

The reaction of **1** with formaldehyde in aqueous organic (water:1,2-dichloroethane, 1:2, v/v) medium in the presence of catalytic amounts of $H_2SO_4$ (25 h, 70°C) produced 3-oxo-19-(5′,6′-dihydro-2′H-pyran-4′-yl)-20,29,30-tri-*nor*-28-lupanal (**2**) in 31% yield. Performing the reaction in $CHCl_3$ in the presence of $SnCl_4$ (4 h without heating) also formed **2** in 60% yield.

Obviously **2** was the product of hydroxymethylation of an intermediate γ-unsaturated alcohol [2, 3]. However, the intermediate could not be isolated from the reaction mixture.

It has been reported [4] that tertiary alkenes react under analogous conditions with unsaturated alcohols. Replacing $CHCl_3$ by anhydrous acetic acid facilitates the formation of the esters. Performing the reaction of **1** with formaldehyde in anhydrous acetic acid in the presence of $SnCl_4$ formed **2** in only 10% yield for 15% conversion of **1**. Replacing $CHCl_3$ by acetic acid did not increase the yield of **2**.

**3-Oxo-19-(5′,6′-dihydro-2′H-pyran-4′-yl)-20,29,30-tri-*nor*-28-lupanal (2)**, mp 170-171°C, $R_f$ 0.26 ($CHCl_3$, $Al_2O_3$). $C_{32}H_{48}O_3$. PMR spectrum (300.13 MHz, $CDCl_3$, δ, ppm): 0.92, 0.95, 0.97, 1.02, 1.05 (15H, 5 s, $CH_3$-5), 1.2-2.1 (24H, m, $CH_2$, CH), 2.3-2.5 (3H, m, H-13, H-16), 2.38-2.5 (m, 1H, H-19), 9.65 (1H, s, H-28), 3.8-3.9 (2H, m, H-2′), 5.45 (1H, s, H-3′), 3.7-3.8 (2H, m, H-6′). $^{13}C$ NMR spectrum (75.47 MHz, $CDCl_3$): 39.6 (t, C-1), 34.08 (t, C-2), 217.95 (s, C-3), 47.27 (s, C-4), 54.67 (d, C-5), 33.07 (t, C-6), 47.03 (s, C-8), 33.58 (d, C-9), 205.85 (d, C-28), 47.00 (d, C-19), 65.55 (t, C-2′), 119.66 (d, C-3′), 139.41 (s, C-4′), 28.76 (t, C-5′), 64.46 (t, C-6′).

## REFERENCES

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