10th Brazilian Conference on Natural Products
XXXVI RESEM
4-7 November 2025, Belo Horizonte, MG, Brazil

Section: 07

SYNTHESIS OF 2,3-DICARBOXYLATED LUPANES FROM LUPEOL

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Pentacyclic triterpenes (PTTs) exhibit various biological activities, such as anti-inflammatory and anticarcinogenic properties, as well as protective effects on the cardiovascular, hepatic, and neurological systems.[1] Lupeol, a PTT with a lupane skeleton, stands out for its wide occurrence in plants and significant biological activity. However, its derivatives, despite their therapeutic potential, are found in smaller amounts, which hinders in-depth studies. Structural changes represent a promising strategy to expand research about the impact on the biological activity of these different compounds. Therefore, structural modifications of lupeol were proposed to obtain 2,3-dicarboxylated derivatives in order to further investigate the therapeutic potential of lupeol derivatives, as shown in figure 1.

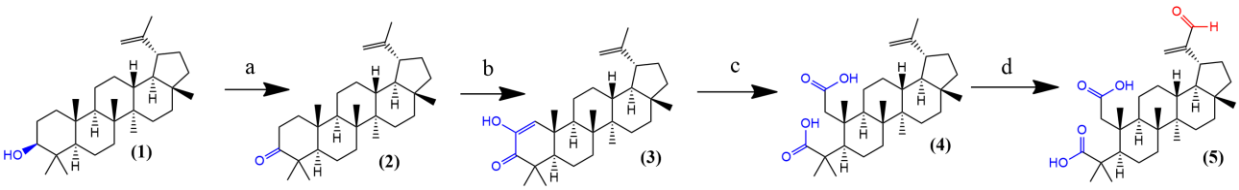

Figure 1: Lupeol structural modifications proposal. Reagents and conditions: (a) CrO_3, H_2SO_4, Acetone, 0ºC, 30 min (b) O_2, t-BuOK, t-BuOH, 50ºC, 3h (c) H_2O_2, KOH, MeOH, reflux, 100 min (d) SeO_2, EtOH, reflux, 24h

Through NMR experiments, it was possible to monitor the conversions and confirm the formation of the products by comparison with literature data. The synthesis of **2** afforded yields above 85%. The formation of **3** was confirmed by NMR. However, difficulties were encountered during purification, and the crude product was used in the subsequent step without prior purification. In the third step, NMR analysis indicated the formation of **4**, which will be purified and employed in the final step. The results obtained indicate that the proposed synthesis enabled the production of the planned compounds. Despite the absence of the final product, this ongoing study may contribute to adjustments and improvements in the methodology used, aiming for better yields in further tryals.

Keywords: *Lupeol, A-Seco lupane derivatives, Structural modifications, Triterpenes Pentacyclic*
Acknowledgments: *CAPES, CNPq, FAPEMIG*

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