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SYNTHESIS OF CARBOXY-FLAVANONE DERIVATIVES AND INVESTIGATION OF THEIR ANTI-INFLAMMATORY ACTIVITY: STRUCTURE-ACTIVITY RELATIONSHIP STUDY

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Flavonoids are naturally occurring polyphenols with broad known pharmacological properties. Various synthetic 2,3-dihydroflavanone derivatives were synthesized to optimize their anti-inflammatory potential [1]. These compounds were prepared through cyclization of the corresponding 2'-hydroxychalcone derivatives, the later accessible by Claisen-Schmidt condensation. Nitric oxide (NO) is an important inflammatory mediator. Thus, the inhibitory activity of the flavanone derivatives on NO production in LPS-induced RAW264.7 macrophages were evaluated *in vitro* using the Griess test after cytotoxicity assessment. Among the most active compounds, 2-carboxy-5,7-dimethoxy-flavanone and 2-carboxyflavanone showed IC₅₀ values of 0.906 and 1.830 g/mL, respectively, while the reference molecule, pinocembrin, achieved an IC₅₀ value of 203.60 g/mL as expected [1]. Carboxy-flavanone thus has a greater capacity to inhibit NO production compared to the original molecule pinocembrin. The incorporation of a highly polar, acidic, and electron-accepting carboxyl-group was therefore investigated to potentially enhance the biological potential of flavanones. Following this approach, additional compounds bearing carboxy-groups on different positions of the B-ring were synthesized and subjected to a structure-activity relationship (SAR) study on their anti-inflammatory activities (Figure 1). This SAR-study subsequently identified novel bioactive flavanones as promising candidates for the development of new immunoregulatory agents. This study was funded by the Ministry of Foreign Affairs and International Development (MAEDI) and the Economic, Social and Cultural Cooperation Fund for the Pacific.

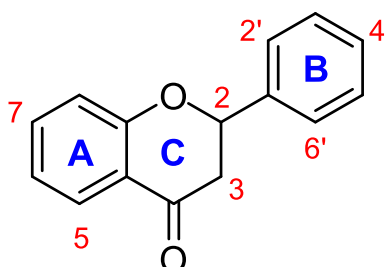


Figure 1 : General structure of flavanone

[1] Sinyeue C., Matsui M., Oelgemöller M., Brégier F., Chaleix V., Sol V. & Lebouvier N., *Molecules*, 27, 1781, 2022. <https://doi.org/10.3390/molecules27061781>