



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

Cynthia Sinyeue, Mariko Matsui, Cédric Ouary, Malia Lasalo, Laura Machuraux, Mark Robertson, Michael Oelgemöller, Frédérique Brégier, Vincent Chaleix, Vincent Sol, et al.

► To cite this version:

Cynthia Sinyeue, Mariko Matsui, Cédric Ouary, Malia Lasalo, Laura Machuraux, et al.. SYNTHESIS OF CARBOXY-FLAVANONE DERIVATIVES AND INVESTIGATION OF THEIR ANTI-INFLAMMATORY ACTIVITY: STRUCTURE-ACTIVITY RELATIONSHIP STUDY. XXXI International Conference on Polyphenols (ICP) 2023, INRAE BIA; Groupe Polyphénols, Jul 2023, Nantes (Cité des Congrès), France. 10.3390/molecules27061781 . hal-04160956

HAL Id: hal-04160956

<https://unc.hal.science/hal-04160956>

Submitted on 13 Jul 2023

HAL is a multi-disciplinary open access archive for the deposit and dissemination of scientific research documents, whether they are published or not. The documents may come from teaching and research institutions in France or abroad, or from public or private research centers.

L'archive ouverte pluridisciplinaire **HAL**, est destinée au dépôt et à la diffusion de documents scientifiques de niveau recherche, publiés ou non, émanant des établissements d'enseignement et de recherche français ou étrangers, des laboratoires publics ou privés.

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

Sinyeue C. ^{*a,b}, Matsui M. ^c, Ouary C. ^a, Lasalo M. ^c, Machuroux L. ^c, Robertson M. ^d, Oelgemöller M. ^{d,e}, Brégier F. ^b, Chaleix V. ^b, Sol V. ^b and Lebouvier N. ^a

^a *Institut des Sciences Exactes et Appliquées (ISEA) EA7484, Université de la Nouvelle Calédonie, New Caledonia*

^b *LABCiS UR 22722, Université de Limoges, France*

^c *Group BIOactivities of Natural compounds (BIONA), Institut Pasteur of New Caledonia, Member of the Pasteur Network, New Caledonia*

^d *College of Science and Engineering, Discipline of Chemistry, James Cook University, Townsville Australia*

^e *Faculty of Chemistry and Biology, Hochschule Fresenius gGmbH—University of Applied Sciences, Germany*

* cynthia.sinyeue@unc.nc

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.

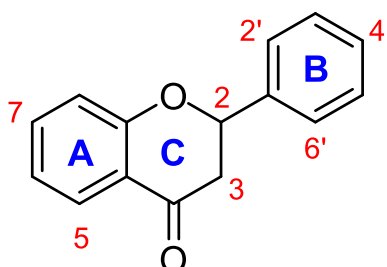


Figure 1 : General structure of flavanone

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