

N°202 / OC

TOPIC(s) : Waste and side streams valorization / Homogenous, heterogenous and biocatalysis

ENZYMATIC GLYCOSYLATION OF PHLORETIN ANALOGUES TO DEVELOP NEW BIOACTIVES MOLECULES

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PURPOSE OF THE ABSTRACT

The current cosmetic and nutraceutical markets are characterized by a strong consumer demand for a return to natural products, usually less harmful to both consumers and the environment. However, it is not unusual for natural compounds, recovered from biomass, to be limited for their use in cosmetics due to their low water solubility. The glycosylation is one of the most common modification ways to overcome this limitation and increase water solubility. A study conducted on the enzymatic glycosylation of resveratrol allowed to increase not only its water solubility, but also its bioavailability while providing it with surfactant properties.[1]

Based on these preliminary results, within the Agriwastevalue INTERREG project, we aimed at accessing original and non-usual phlorizin (a glycosylated bioactive recovered from apple tree wood and already used in cosmetics as antioxidant ingredient) analogues through biocatalytic pathway in order to finely tune their biological activities. To access phlorizin analogues, the aforementioned enzymatic glycosylation methodology was implemented on chalcones and dihydrochalcones synthesized as phloretin (the aglycone form of phlorizin) analogues.[2]

While most natural glycosides are beta-glycosides (such as phlorizin), the selected enzyme allows the access to alpha-glycosides and thus provides new molecules with structural diversity. Different purification and characterization strategies are then implemented in order to identify both the enzymatic specificities and the exact structures of the molecules obtained before the evaluation of their potential biological activities. A first step of separation using a Centrifugal Partition Chromatography (CPC) leads to the obtention of enriched mono-, di or tri-glycosides fractions which are then submitted to a comprehensive purification strategy for the exhaustive chemical profiling of the synthesized alpha-glycosides.

FIGURES

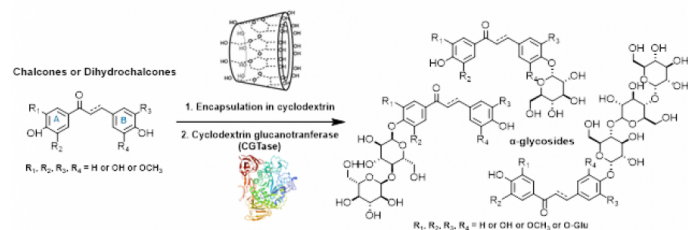


FIGURE 1

General biocatalytic pathway

Enzymatic glycosylation of chalcones and dihydrochalcones

FIGURE 2

KEYWORDS

Enzymatic glycosylation | Phloretin analogues | alpha-glycosides | CGTase

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