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Impact of substituent chain length and polarity of vanillin derivatives on their antimicrobial and antioxidant activities for their application as cosmetics preservatives

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PURPOSE OF THE ABSTRACT

In a context of sustainable development, the use of renewable resources has become a necessity in the face of the increasing scarcity of fossil resources. The industrial sector is now looking for new eco-compatible products. The cosmetics industry must respond to consumer demand: a good preservation of the products as well as the protection of the consumer's health. Esters of 4-hydroxybenzoic acid or parabens widely used in Europe as antimicrobial preservatives cosmetics are viewed with suspicion due to health risks; and some of them, such as isopropylparaben, isobutylparaben, phenylparaben, benzylparaben and pentylparaben, have been already banned by the European Commission 1. Bioresource as plant extracts constitute an alternative to these pertrosourced preservatives2. Some plant extracts are associated with antimicrobial and antioxidants activities. Originally isolated from vanilla seedpods, vanillin (4-hydroxy-3-methoxybenzaldehyde) considered as safe has shown a wide panel of biological activities such as antimutagenic, antiangiogenic, anti-colitis, antioxidant, antifungal effects. Structure of vanillin is analogous with the secondary metabolite capsaicin, itself a structural analogue of oxidized linoleic acid metabolites. Vanillin is now widely produced through biotechnological and chemical processes3. Furthermore, depolymerisation by oxidation of lignin, second biopolymer the most widespread on Earth, gives vanillin which was described as having remarkable protective effect against both bacteria and fungi in food products4. However, low antioxidant activity was also reported. Modification of vanillin could improve its efficiency and cumulate antimicrobial and antioxidant activities. In this context, we have synthesized new vanillin derivatives via a simple chemistry in a two steps one-pot process5. Vanillin was reacted with a selected panel of amines with different structural units such as alkyl chains, halogens and aromatics by reductive amination reaction. The different analogues were designed to allow the identification of potential structure-activity relationships in vanillin-based molecules. Most compounds were found to have strong antioxidant activities in DPPH, ABTS and FRAP assays. Vanillin derivatives were evaluated for antibacterial and antifungal properties on several strains known to be responsible for the contamination of cosmetic products. The most performing vanillin compounds include a p-ethylaniline (2c), with a p-butylaniline (2d), with a p-hexylaniline (2e) and a p-iodoaniline (3b) with high level of antibacterial activity against Bacillus subtilis and Micrococcus

luteus. The best compound 2d possesses very good antibacterial and antifungal capabilities on most of strains and also the highest antioxidant capacity. No cytotoxic effect on human fibroblasts was detected for this compound. Correlation between the hydrophobicity and biological activities of the compounds highlights the link between highest antimicrobial activities and the presence of aliphatic chains grafted on the aromatic amine ring. Thus, the most hydrophobic compounds (log Po/w higher than 3) appear to be the best antimicrobial products. This study opens the way to new efficient compounds which could be used in cosmetics as alternative to petrosourced molecules.

FIGURES

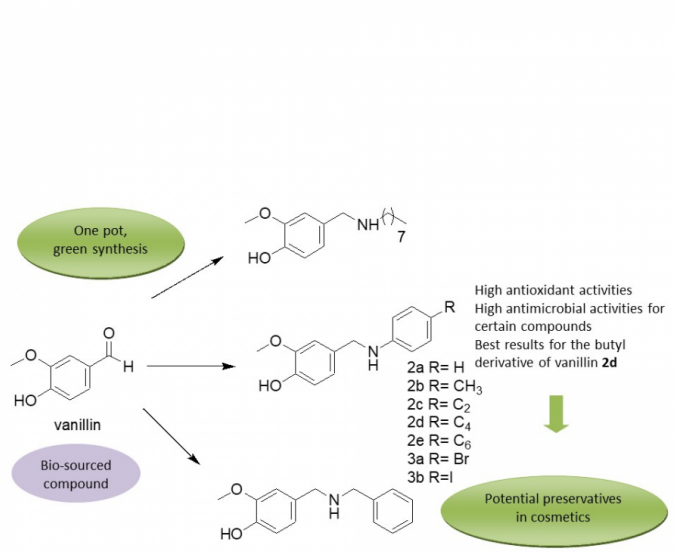

FIGURE 1

Synthesis of vanillin derivatives : antimicrobial and antioxidant activities

Chemical structures of vanillin derivatives

FIGURE 2

KEYWORDS

vanillin | antimicrobial | preservatives | antioxidant

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