XXXV

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Sassari, 9-13 Settembre 2013

Campus Universitario Via Vienna

Synthesis and Study of Polyhydroxylated Phenol Derivatives with Potential Cosmetic and Phytoiatric Applications

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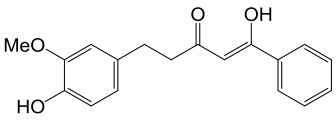
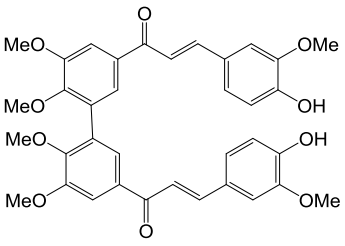
Tyrosinase (polyphenol oxidase, E.C. 1.14.18.1) and laccase (phenol oxidase, E.C. 1.10.3.2) are multifunctional copper-containing enzymes, that are keys in melanin biosynthesis, melanisation in animals and browning in plants. Tyrosinase inhibitors can therefore be clinically useful for treatment of some dermatological disorders associated with melanin hyperpigmentation, these inhibitors are also known to be useful in cosmetics as whitening agents (1). The involvement of laccase in cuticle sclerotization or tanning is essential to insect survival (2). In the past few decades, a number of polyphenols tyrosinase inhibitors and laccase inhibitors from both natural and synthetic sources, including polyhydroxylated flavonoids, stilbenes and terpenoids have intensively investigated (3). To our knowledge, however, only few biphenyl inhibitors have been reported to date (4).

Our study is aimed to prepare new monomer and dimer phenol derivatives as potential inhibitors of melanin production starting from natural hydroxylated aromatic units.

In the figure are reported some of the new synthesized derivatives **(1**, **2** and **3)** representative of gingerdiones, chalcones, and *C*-prenylated biphenols classes, respectively.



1



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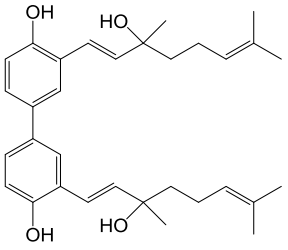

3

Docking studies of the new compounds with crystal structure of tyrosinase and laccase, were carried on, respectively. Most of the compounds were prepared according to the guidelines of Sustainable Chemistry and they were tested as inhibitors in tyrosinase and laccase assays for potential cosmetic and phytoiatric applications.

(1) Chang. T. S. *Int. J. Mol. Sci.* **2009**, *10*, 2440-2475.
(2) Dittmer, N. T.; Kanost, M. R. *Insect Biochem. Mol. Biol*. **2010**, *40*, 179-188.
(3) Khan, M. T. H.; Khan, S. B.; Ather, A. *Bioorg. Med. Chem*. **2006**, *14*, 938-943.
(4) Kai, B. *et al. Bioorg. Med. Chem*. **2010**, *18*, 6708-6714.

This work has been supported by Sardinia Autonomous Region - L.R. 7 August 2007, n. 7