

The Free Radical Scavenging and Tyrosinase Inhibition Activities of Protocatehuic Acid and Caffeic Acid Derivatives

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Objects

The most current research on antioxidant action focuses on phenolic compounds. They are the most common compounds in fruits and vegetables and have a strong antioxidant capacity. Caffeic acid (3, 4-dihydroxycinnamic acid) is among the major hydroxycinnamic acids present in many kinds of fruits. Protocatehuic acid belongs to the hydroxybenzoic acid has also been identified as one of the active antioxidants. In the present study, the antioxidant properties of the caffeic acid and protocatehuic acid derivatives were synthesized and evaluated by using different *in vitro* antioxidant assays such as 1,1-diphenyl-2-picryl-hydrazyl free radical (DPPH•) scavenging and DNA protection activities. The effective antioxidants were also surveyed of the tyrosinase inhibition activity.

Keywords free radical scavenging, tyrosinase inhibition, protocatehuic acid, caffeic acid, esterification, amidization

Materials and methods

Esterification and amidization reactions for the syntheses of the protocatehuic acid and caffeic acid derivatives were illustrated in Figure 1.

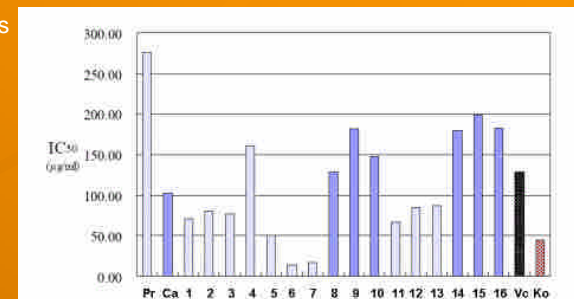
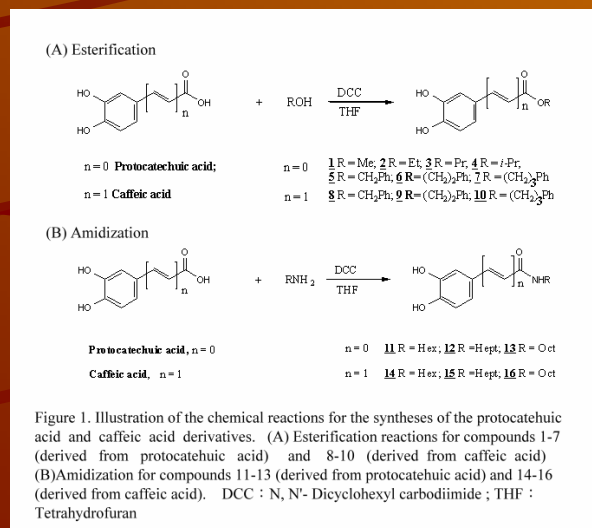
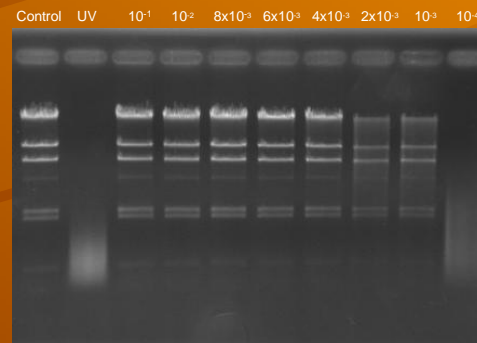


Figure 2. Tyrosinase inhibition activities of the antioxidants. Esterification and amidization derivatives of the protocatehuic acid (compounds 1-7, 11-13) and caffeic acid (compounds 8-10, 14-16) were assayed for the mushroom tyrosinase inhibition activities. Native protocatehuic acid (Pr), caffeic acid (Ca), vitamin C (Vo), kojic acid (Ko) were used as control. The IC₅₀ values of the inhibition are shown at the concentrations of µg/ml. Note the compounds 6 and 7 belong to protocatehuic acid derivatives showed potent tyrosinase inhibition activities.



Compound 6

Free Radical Scavenging Activity

Conclusion

As seen in results, compounds 1, 2, 5, 6 (esterification derivatives of protocatehuic acid) had effective DPPH• scavenging activity in a concentration dependent manner (1–50 µg/mL). There is a significant decrease in the concentration of DPPH• due to the scavenging capacity of these compounds. Present study has clearly shown that two protocatehuic acid derivatives, compounds 1 (methyl 3, 4- dihydroxy benzoate) and 2 (ethyl 3, 4- dihydroxy benzoate), were effective antioxidants in different *in vitro* antioxidant assays including total antioxidant activity by DPPH• scavenging and DNA protection assays. In addition, compounds 6 and 7 derived from protocatehuic acid were potent tyrosinase inhibitors.

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