

Bioactive constituents of *Spatholobus suberectus* in regulating tyrosinase-related proteins and mRNA in HEMn cells

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Abstract

Spatholobus suberectus Dunn (Leguminosae) is a traditional Chinese herbal medicine used to treat rheumatism, anemia, menoxenia, and other disorders. The extent to which this herbal medicine is useful to skin cells, however, has not been evaluated. Constituents of the 95% ethanol extracts of the dried vine stems of *S. suberectus* were therefore isolated and examined for their skin-whitening capacity. A bio-guided phytochemical investigation, involving use of the mushroom tyrosinase inhibitory system, of active fractions of the extracts resulted in the isolation of 12 constituents. The structures of these constituents, which were characterized by various spectroscopic techniques, consisted of one flavone, three isoflavones, five flavanones, two flavanonols, and one chalcone. Of these constituents 3',4',7-trihydroxyflavone (1), eriodictyol (3), plathymenin (5), dihydroquercetin (6), butin (7), neoisoliquiritigenin (8), dihydrokaempferol (9), liquiritigenin (10), and 6-methoxyeriodictyol (12) represented compounds isolated for the first time from *S. suberectus*. These constituents were evaluated their ability to inhibit cellular tyrosinase activity and for their melanin inhibitory activity in human epidermal melanocytes (HEMn). Butin (7) was the most efficacious of these constituents and exhibited concentration-dependent effects. Western blot analysis revealed that expression of tyrosinase and tyrosinase-related proteins 1 and 2 (TRP1 and TRP2) was decreased in butin (7)-treated HEMn cells. Additionally, quantitative real-time PCR (qRT-PCR) analysis disclosed that expression of mRNAs for tyrosinase, TRP1 and TRP2 was suppressed by butin (7). It is concluded that butin (7) is the most active of the components of *S. suberectus* in inhibiting pigmentation and that this inhibition is exerted through inhibition of transcription of the genes encoding tyrosinase, TRP1 and TRP2.