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Depigmentation of neorauflavane from *Campylotropis hirtella* based on tyrosinase inhibition and their mechanism study

Yeong Hun Song, Xue Fei Tan, Gwang Dong Kim and **Ki Hun Park**
Gyeongsang National University, Republic of Korea

The production of melanin is for the protection of skin from UV radiation, but overproduction of melanin results in skin hyperpigmentation, characterized by age spots, malasma and cholasma. Tyrosinase is also essential enzyme in numerous cellular processes including insect molting and the browning of damaged fruit. In particular, this enzyme may effect on the pathogenesis of Parkinson's disease and related neurodegenerative disorders. Tyrosinase (EC 1.14.18.1) catalyzes two distinct reaction of melanin biosynthesis from tyrosine to melanin. In the course of metabolite analysis from tyrosinase inhibitory methanol extract (80% inhibition at 20 μg per ml) of *Campylotropis hirtella*, we isolated fourteen phenolic compounds, among which neorauflavane emerged as a lead structure for tyrosinase inhibition. Neorauflavane inhibited tyrosinase monophenolase activity with an IC_{50} of 30 nM. Thus this compound is 400-fold more active than kojic acid. In kinetic studies, neorauflavane showed competitive inhibitory behavior against both monophenolase and diphenolase. It manifested simple reversible slow binding inhibition against monophenolase with the following kinetic parameters: Ki^{app}=1.48 nM, k_3=0.0033 nM-1min-1 and k_4=0.0049 min-1. Neorauflavane efficiently reduced melanin content in B16 melanoma cells with 12.95 μM of IC_{50}. To develop a pharmacophore model, we explored the binding mode of neorauflavane in the active site of tyrosinase. Docking results show that resorcinol motif of B-ring and methoxy group in A-ring play crucial roles in the binding the enzyme.

Biography

Yeong Hun Song is currently a PhD student in the Division of Applied Life Sciences, Gyeongsang National University, Republic of Korea.

yh0126@hanmail.net

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