

〈シンポジウム〉

機能を持つ化粧品の有用性を支える技術

天然素材からの新規機能性成分の探索

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New Biologically Active Compounds from Natural Sources

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Abstract

Crude drugs and medicinal plants are attractive resources of new biologically active compounds. In order to discover novel natural products with preventive and ameliorative effects on type 2 diabetic, we have evaluated a total of 70 crude drug and medicinal plant extracts for their PPAR- γ ligand-binding activity and found that the extracts of licorice (*Glycyrrhiza uralensis* roots) and clove (*Syzygium aromaticum* buds) had higher activity than other materials tested. Bioassay-guided fractionation of the extract of licorice using a GAL4-PPAR- γ chimera assay method has resulted in the isolation of a total of 24 phenolic compounds, among which dehydroglyasperin D, dehydroglyasperin C, glyasperin D, glycycomarine, glycyrin, and glyasperin B exhibited significant PPAR- γ ligand-binding activity. With regard to the structure-activity relationships, the isoprenyl group at C-6 and the *ortho*-hydroxy group at the aromatic ring-B part in the isoflavan or arylcoumarin skeleton were revealed to be the structural requirements for the activity. Glycyrin, one of the main PPAR- γ ligands of licorice, significantly decreased blood glucose levels of genetically diabetic KK-A^y mice. Furthermore, two neolignans, dehydroeugenol A and dehydroeugenol B, have been isolated from clove as the PPAR- γ ligand-binding active ingredients. On the other hand, oral-administration of a Black Cohosh (*Cimicifuga racemosa* roots and rhizomes) extract suppressed the restraint-stress-induced increase of plasma corticosterone levels in BALB/c mice. Bioassay-guided fractionation of the Black Cohosh extract has resulted in the isolation of three triterpene glycosides, actein, 23-*epi*-26-deoxyactein, and cimracemoside F, with the anti-stress activity. Quantitative HPLC analysis of actein in several brands of Black Cohosh extract commercially available in Japan disclosed that the actein content varied among the brands of extract. A brand of Black Cohosh extract containing 15.2 mg/g of actein showed significant anti-stress activity, whereas the other one containing 0.46 mg/g of actein had no anti-stress effect.

Key words: metabolic syndrome, anti-stress effect, licorice, clove, Black Cohosh