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보골지(*Psoralea coryifolia*)에서 분리한 폴리페놀화합물의 α-glucosidase 저해활성 효과

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Inhibitory effects on α-glucosidase by polyphenol from the seed of *Psoralea coryifolia*

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Objectives

During the last decades an impressive number of flavonoids have been reported because they are not only widely distributed throughout the plant kingdom but, as antioxidants, they also show medicinal properties. In recent years, flavonoids have been the focus of target compounds for glycosidase inhibitor. For instance, genistein, anthocyanins and luteolin showed potent inhibitory activities for glycosidase. Glycosidase inhibitors are potential agents for diabetes type 2, viral infection, and cancer because glycosidase are involved in several important biological processes, such as digestion, the biosynthesis of glycoproteins and lysosomal catabolism of glycoconjuates. Such inhibitors are also also being to study the mechanism of action, topography of the active site, and purification of glycosidases. Despite the many studies on glycosidase inhibitors, the majority of those developed are derived from glucose such as aza and aminosugar. Both of these are of low abundance in natural sources, and can be obtained in many steps from carbohydrate or noncarbohydrate precursor. Recently, we discovered that some flavonoids isolated from *Psoralea coryifolia* showed potent glycosidase inhibitory activities.

Materials and Methods

Plant Material: *Psoralea coryifolia* collected in Myanmar was supplied by hanyakjae Inc.

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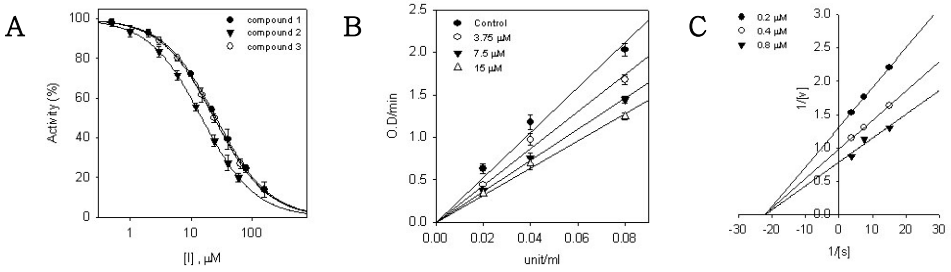
Extraction and Isolation: Dried seeds of *Psoralea coryifolia* (3.6 Kg) were repeatedly extracted with chloroform at room temperature. The chloroform extract of the seed of *Psoralea coryifolia* showed high inhibitory activity. The chloroform layer was concentrated to give a brown residue (11 g). The purification of the faction using repeated column chromatographies on silica gel yielded 30 mg of compound **1**, 600 mg of compound **2**, 30 mg of compound **3**, 20 mg of compound **4** 30 mg of compound **5**, 10 mg of compound **6**, 15 mg of compound **7**, and 50 mg of compound **8**. **Enzyme Assay(Nitrophenol Methods)**: The experimental procedure of Asano *et al.* from the measurement of glycosidase activity was used with some modification. The glycosidase activities as a substrate at the optimum pH of each enzyme. The reaction was stopped by adding 2 M NaOH. The released *p*-nitrophenol was measured spectrometrically at 405 nm. The inhibitory effect of the tested compounds were expressed as the concentration mat inhibits 50% of the enzyme activity (IC_{50}). Kinetic parameters were determined by the Lineweaver-Burk double-reciprocal-plot methanol at increasing concentrations of substrate and inhibitors.

Results

Five polyphenol displaying α-glucosiidase inhibitory activity were isolated from the seed of *Psoralea coryifolia,* a cultivated edible plant. The isolated compounds were identified as Corylifol A(**1**), Neobavaisoflavone(**2**), Psoralidin(**3**), Isobavachalcone(**4**), 4′-O-methylbavachalcone(**5**), Brosimacutin G(**6**), Corylifolin(**7**), and Bavachinin(**8**). The inhibitory potencies of these polyphenols toeard α-glucosidase activity were investigated. The IC_{50} values of compounds **1**-**8** for α-glucosidase activity were determined to be 15.1(**1**), 25.9(**2**), 24.1(**3**), and >100(**4**-**8**) μM, respectively. Corylifol A(**1**), Neobavaisoflavone(**2**), and Psoralidin(**3**) exhibited noncompetitive inhibition characteristics.



A: Effect of compounds **1** (●), **2** (▼) and **3** (○) on the activity of α-glucosidase for the hydrolysis of *p*-nitrophenyl α-D-glucoptranoside.

B: Relationship of the hydroytic activity of α-glucosidase with enzyme concentrations at different concentrations of compound **1** for curve from top to bottom: 0, 3.75, 7.5, and 15 μM

C: Lineweaver-Burk plots of compound **1** (●, 0.2 μM; ○, 0,.4 μM; ▼, 0.8 μM).