Angiotensin I-Converting Enzyme-Inhibitory Activity and Phytochemical Profile of Constituents of the Leaves of Rehmannia glutinosa f. hueichingensis

Abstract

The root of Rehmannia glutinosa Liboschitz forma hueichingensis HSIAO has been used as a tonic and treatment for urinary and skin disorders in Japanese Kampo medicine. Phytochemical investigation of the root has been well reported, but that of the leaves is limited. To explore the potential value of R. glutinosa leaves, we focused on the angiotensin I-converting enzyme (ACE)-inhibitory activity. The leaf extract exhibited ACE-inhibitory activity, and the inhibitory potency of leaves was stronger than that of roots. Using this activity as an indicator, we isolated linaride (1), 6-O-hydroxybenzoyl ajugol (2), acteoside (3), leucosceptoside A (4), martynoside (5), luteolin (6), apigenin (7), and chrysoeriol (8) by separating and purifying the extract. We then examined the ACE-inhibitory activities of 1–8, catalpol (9), aucubin (10), ajugol (11), and echinacoside (12). Among them, 3, 6, and 12 displayed the most potent inhibitory activity. A simultaneous analytical method was also developed using compounds contained in R. glutinosa leaves and roots, and their contents were compared. The method consisted of extraction with 50% aqueous methanol under sonication for 60 min and LC/MS measurement. R. glutinosa leaves tended to have higher levels of majority of the analytes than the roots, including 3 and 6, which had higher ACE-inhibitory activity. These results suggest that 3 and 6 contribute to the ACEinhibitory activity of R. glutinosa leaves, which may represent a useful medicinal resource for hypertension.