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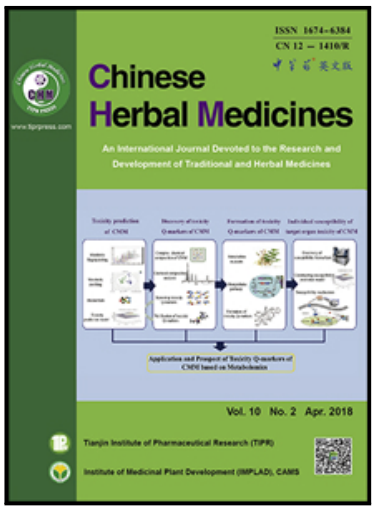

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α-Glucosidase inhibitors and antioxidants from Root Bark of *Morus alba*

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ABSTRACT

Objective To study the chemical constituents from root bark of *Morus alba* and their α-glucosidase inhibition and DPPH radical scavenging activities. **Methods** The chemical constituents were isolated and purified by repeated column chromatographies on silica gel, Sephadex LH-20, and preparative HPLC. Their structures were elucidated by 1D and 2D NMR spectra and HR-ESI-MS. **Results** Thirteen compounds **1**−**13** were isolated and identified. The bioactive assays revealed that compounds **1**, **3** and **8** displayed strong α-glucosidase inhibitory activity with IC_{50} values of (147.1 ± 1.1), (314.1 ± 0.8), and (207.6 ± 0.1) μmol/L, respectively, which were stronger than the positive control of acarbose (418.6 ± 0.1 μmol/L). Compounds **10** and **11** displayed potent DPPH scavenging activity with EC_{50} values of (2.9 ± 0.1) and (5.0 ± 0.1) μmol/L [EC_{50} of positive control Vitamin C was (54.8 ± 0.1) μmol/L], respectively. **Conclusion** To the best of our knowledge, this is the first report about the compounds **1**, **3** and **8** of *M. alba* with α-glucosidase inhibitory effects .

Key words

α-glucosidase; antidiabetic; anti-oxidant; flavonoid; *Morus alba* L.

1. Introduction

Type 2 diabetes mellitus (T2DM), a multi-parametric metabolic disease, is characterized by high blood glucose levels. Pathogenesis studies showed that strict control of postprandial blood glucose can prevent or delay the development of T2DM and its associated long-term complications (van de Laar et al, 2005). α-Glucosidase (EC 3.2.1.20 from *Saccharomyces cerevisiae*) is a membrane-bound enzyme found in the brush border of the small intestines, and is responsible for hydrolyzation of oligosaccharides and disaccharides to absorbable monosaccharides (Melo et al, 2006). α-Glucosidase inhibitors, which can be able to slow down this hydrolysis process, contribute to lower postprandial plasma glucose level (Lebovitz 1997). Experimental and clinical studies uncovered that oxidative stress also acted as pathogenic driving force to accelerate the development of T2DM and its complications (Marcovecchio et al, 2011; Bandeira et al, 2013; Houstis et al, 2006).

The root bark of *Morus alba* L. has been used as traditional Chinese medicine “Sangbaipi” for treating inflammation, asthma, heart diseases, etc. (Hu et al, 2011). Phytochemical studies of the root bark of *M. alba* have led to the characterization of various compounds, including Diels–Alder type adducts (Kang et al, 2006; Jung et al, 2005), isoprenylated flavonoids (Zheng et al, 2010), stilbenes (Dai et al, 2004), coumarins (Syah et al, 2004), triterpenoids (Ferrari et al, 2000), and alkaloids (Asano et al, 2001), most of which showed potential treatment on inflammation (Yang et al, 2011), hypertension (Asano et al, 2001), cancer (Dat et al, 2001), and antidiabetic disease (Zheng et al, 2010). However, despite the total flavonoids of *M. alba* was proved to have the potential activity to inhibit α-glucosidase *in vitro* and subsequently to decrease blood glucose level *in vivo* (Xue et al, 2007), studies were not enough to unveil the correlation of lowering blood glucose level with individual components. Moreover, although anti-oxidant capacity of crude extract/polyphenol-rich fractions of *M. alba* has