Agrimonia pilosa Ledeb. (AP) is a well-known traditional herbal medicine in Asia and its young sprouts are used as vegetables in folklore. The methanol extract from the aerial parts of *Agrimonia pilosa* Ledeb (APM) showed excellent free radical scavenging abilities (ABTS: \( RC_{50} = 9.0 \) and DPPH: \( RC_{50} = 57.7 \mu g \cdot mL^{-1} \)) and significantly reduced the intracellular reactive oxygen species levels in H\(_2\)O\(_2\)-treated HepG2 cells. Major components of APM were identified and were analyzed quantitatively as follows: catechin (40.9 mg \( g^{-1} \)), apigetrin (46.5 mg \( g^{-1} \)), cynaroside (31.8 mg \( g^{-1} \)), quercetin (54.3 mg \( g^{-1} \)), tomentic acid (47.4 mg \( g^{-1} \)), and luteolin (31.9 mg \( g^{-1} \)). Catechin and quercetin were the core compounds for the antioxidant activity of APM. APM also exhibited strong nitric oxide (NO) inhibition on lipopolysaccharide (LPS)-stimulated macrophages. In order to elucidate active principle of APM, APM was fractionated to various solvent extracts; hexane, ethyl acetate and butanol. The butanol extract of AP decreased effectively the inflammatory proteins such as inducible nitric oxide synthase and cyclooxygenase-2. Among pro-inflammatory cytokines, especially IL-1 \( \beta \) was inhibited strongly. In HPLC-PDA-MS/MS analysis, six flavonoids from APB were identified to the derivatives of kaempferol, quercetin, and apigenin. The structure-activity relationship (SAR) of apigenin derivatives was investigated and their effects on the inflammatory mechanism were investigated. Apigenin showed anti-inflammatory effects through IKK/IkB\( \alpha \)/NF-\( \kappa \)B pathway. The methanol extract of *Agrimonia pilosa* Ledeb. (AP) also showed potent \( \alpha \)-glucosidase inhibitory (AGI) activity. To clarify bioactive compounds of AP, phytochemical study based on AGI activity was performed and led to the isolation of four isocoumarins and four flavonoids. Isocoumarins showed strong \( \alpha \)-glucosidase inhibitory activities than the representative \( \alpha \)-glucosidase inhibitor, acarbose. Isocoumarin aglycones are more active than their glycosylated form. These findings suggest that AP can be developed as a good functional material for use in health supplementary food and an alternative natural product.