Ⅱ-51

뽕나무 잎으로부터 분리한 PTP1B 저해 성분

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Protein Tyrosine Phosphatase 1B Constituent Inhibitors from the Leaf of Morus sp

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Objective

Finding the PTP1B inhibitory activity compounds from Vietnamese medicinal plants as anti-diabetes agents by using an in vitro protein tyrosine phosphatase 1B (PTP1B) inhibitory assay.

Materials and method

The leaf of Morus sp. used in this study were collected at Tam Dao district, Vinh Phuc province, Vietnam in August 2007.

Dried leaf (200 g) of Morus sp. was extracted with MeOH (500 ml, ×3) under reflux for 2h, to yield a MeOH extract (3.6 g). The MeOH extract was chromatographed on silica gel with MeOH−CHCl3 solvent system to give 4 fraction. Repeated column chromatography of those fractions resulted in the purification of compounds 1−7. PTP1B inhibition activity of isolated compounds were measured as previously report (Na et al.,).

Results and discussion

Protein tyrosine phosphatase 1B (PTP1B), a negative regulator of insulin signaling, has served as a potential drug target for the treatment of type 2 diabetes and obesity. In-vitroscreening PTP1B inhibitory activity of twenty-two the MeOH extracts of Vietnamese medicinal plants, using as anti-diabetes agents. The results showed that the MeOH extract of the leaves of Morus sp exhibit strong inhibitory activity against on PTP1B with IC50 value of 3.4 μg/mL. Activity guided-fractionation of this extract led to the isolation of seven compounds including sanggenon I (1), sanggenon J (2), sanggenon K (3), kuwanon R (4), kuwanon S (5),

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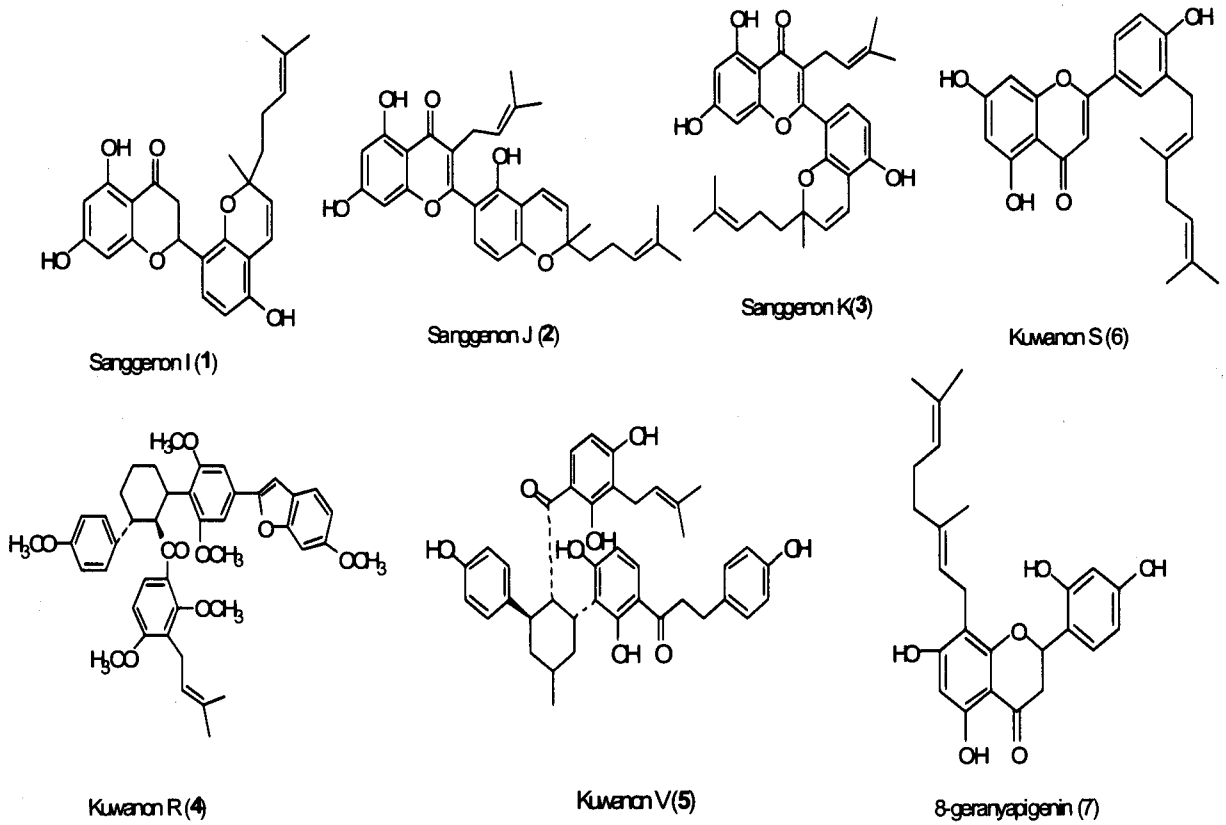
kuwanon V (6),and 8-geranylapigenin (7). Their structures were identified by comparing the physicochemical data with those of published papers. Almost isolated compounds showed significant PTP1B inhibitory activity with IC50 values ranging from 9.2 to 58.9 μM.



Structures of isolated compounds (1 - 7)