대청엽으로부터 활성성분 분리

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Bioassay-directed isolation of compounds from the leaves of *Isatis indigotica* Fort.

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Objectives

As part of a project to discover biological active compounds from medicinal herbs, several herbs were screened using an assay for anti-oxidant activity, anti-tyrosinase activity, and anti-elastase activity. A 80% ethanolic extract from the leaves of *Isatis indigotica* Fort. with potent biological activities was chosen for further chemical investigation. Details of the isolation, structural elucidation, and biological activities are described herein.

Materials and Methods

Materials

The traditional Chinese medicinal herb 'Daqingye', derived from the dried leaves of *Isatis indigotica* Fort. (Cruciferae), is commonly used as an anti-viral and anti-inflammatory agent. The dried leaves of *I. indigotica* were purchased from Human-Herb. They were identified by one of our authors, oriental pharmacist. Hwa Dong Lee (memeber of Bisual and Organoleptic Examination of herbal medicine). The voucher specimen is deposited in the Daegu Gyeongbuk Institute fo Korea Traditional Medical Industry.

Methods

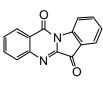
Dried leaves of I. indigotica (5 kg) were finely cut and extracted with 80% ethanol (24h x 3) at room temperature. Evaporation of the solvent under reduced pressure provided the 80% ethanolic extract, which was suspended in water and then partitioned successively with n-hexane, ethyl acetate, and n-buthanol. Fractionation of the ethyl acetate and n-buthanol soluble extract by repeated column chromatography led to the isolation of thirteen compounds.

Results

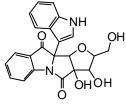
In the 80% ethanolic extract of *I. indigotica*, the anti-tyrosinase activity and anti-elastase activity were 20.6% and 42.2%, respectively. The ethyl acetate soluble extract of *I. indigotica* exhibited significant radical scavenging activity by 98.5% and

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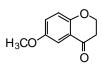
tyrosinase and elastase inhibitory effect by 65.3%, 52.5%, respectively. The *n*-buthanol soluble extract of *I. indigotica* exhibited significant tyrosinase and elastase inhibitory effect by 40.2%, 38.7%, respectively, at a concentration of 1000 μ g/mL. Seven indole alkaloids, three flavonoid glycosides and three phenolic compounds were isolated from the ethyl acetate and *n*-buthanol soluble extract of the leaves of *I. indigotica*. The chemical structures of them were identified as tryptanthrin (1), 2-pyridinol (2), isovitexin (3), isatisine A (4), deoxyvasicinone (5), 5-hydroxyoxindole (6), 6-methoxychromanone (7), 4'-dihydroxy-3', 5'-dimethoxypropiophenone (8),cappariloside (9),3-(2'-hydroxyphenyl)-4(3H)-quinazolinone (10), p-hydroxybenzoic acid (11), isoorientin (12), and isosaponarin (13), respectively. Among these compounds, compounds 2, 7-9, 11 were isolated and identified from this plant for the first time. Compounds 1, 4, 7 potent inhibitory activity on nitric oxide production in LPS-induced mouse macrophage cell lines (RAW 264.7).



Comp.1
Tryptanthrin



Comp.4
Isatisine A



Comp.7 6-methoxychromanone

