

MONOAMINE OXIDASE-A, -B AND DOPAMINE B-HYDROXYLASE INHIBITORS FROM FRUIT OF GARDENIA JASMINOIDES

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Five known compounds, protocatechuic acid (1), geniposide (2), 6'-O-trans-p-coumaroylgeniposide (3), 3,5-dihydroxyl-1,7-bis(4-hydroxyphenyl) heptane (4), and ursolic acid (5) were isolated from EtOAc fraction of *Gardenia jasminoides* fruit and then tested in vitro inhibition assays on monoamine oxidase (MAO)-A, -B and dopamine β -hydroxylase (DBH). Compound 1 showed different inhibitory potentials among the enzymes. Its IC₅₀ values were 3.12 mM for MAO-B, and 0.47 mM for DBH. Compound 2 and 3 were selective MAO-B inhibitors. Compound 3 inhibited MAO-B more than the other compounds with IC₅₀ value of 0.08 mM. Compound 4 exhibited MAOs inhibition, but didn't show any inhibition on DBH. Its IC₅₀ value was 0.41 mM for MAO-A and 0.12 mM for MAO-B. Compound 5 was selective DBH inhibitor with IC₅₀ value of 0.24 mM. MAO-B activity increases in human as they age and is especially accelerated by certain neurodegenerative disease. Accordingly, compounds 2 and 3, as selective MAO inhibitor, can be potentially used as drug candidates for these kinds of diseases. Compound 1, showing inhibitory effects on both MAO-B and DBH, is expected to be a more useful material for Parkinson's disease (PD) treatment. Compound 1 effectively elevates the level of released dopamine (DA) by preventing DBH from converting DA to norepinephrine and being destroyed by oxidative deamination effect of MAO. Compound 5, a selective DBH inhibitor, is also important for the possible treatment of these diseases, possibly avoiding the drawbacks of MAO inhibition.