

Bioassay-guided fractionation and chemical investigation of *Colvillea racemosastems* led to identification of two new α , β -dihydroxydihydrochalcones, colveol A (**1**) and colveol B (**2**) along with fifteen known compounds. The structures were elucidated via interpretation of spectroscopic data. The absolute configurations of the dihydrochalcones **1** and **2** were assigned by a combination of chemical modification and electronic circular dichroism data. The isolated compounds were evaluated for their inhibition activity toward recombinant human monoamine oxidases (rhMAO-A and -B). Compound **1** demonstrated preferential inhibition against hMAO-A isoenzyme (IC_{50} 0.62 μ M, $SI_{A/B}$ 0.02) while *S*-naringenin (**13**) and isoliquiritigenin (**15**) demonstrated preferential hMAO-B inhibition (IC_{50} 0.27 and 0.51 μ M, $SI_{A/B}$ 31.77 and 44.69, respectively). Fisetin (**11**) showed inhibition against hMAO-A with IC_{50} value of 4.62 μ M and no inhibitory activity toward hMAO-B up to 100 μ M. Molecular docking studies for the most active compounds were conducted to demonstrate the putative binding modes. It suggested that **1** interacts with Gln215, Ala111, Phe352, and Phe208 amino acid residues which have a role in the orientation and stabilization of the inhibitor binding to hMAO-A, while *S*-naringenin (**13**) occupies both entrance and substrate cavities and interacts with Tyr326, a critical residue in inhibitor recognition in hMAO-B.