Anticholinesterase Activity of Phenolic Acids and their Derivatives

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The ability of 36 phenolic acids and their derivatives to inhibit acetyl- and butyrylcholinesterase was studied. The most efficient acetylcholine inhibitors were: carnosic acid = gentisic acid > 3-hydroxy-4-methoxycinnamic acid = ethyl ferulate = ethyl vanillate = nordihydroguaiaretic acid > ethyl 4-hydroxybenzoate = methyl ferulate. The order of effectiveness towards butyrylcholinesterase was: carnosic acid > nordihydroguaiaretic acid = ethyl ferulate > salicylic acid > gentisic acid > rosmarinic acid = caftaric acid > homogentisic acid. The inhibitory activity was dependent on the number/position of OH or/and OCH_3 groups attached to a phenol ring. It can be speculated that OCH_3 substitution in the phenol ring can promote a higher antibutyrylcholinesterase activity (although not statistically confirmed at $p < 0.05$). The presence of a CH=CH-COOH group had a highly favourable effect on the antiacetylcholinesterase activity compared with a CH_2-CH_2-COOH or a COOH group. Methyl and ethyl esters were more potent inhibitors than the corresponding free acids. The molecular weight of the compounds (in the range of $M = 154.12 \sim 474$ g/mol) played a minor role in this context.

Key words: Phenolic Acid, Acetylcholinesterase, Butyrylcholinesterase, Alzheimer's Disease