Coumarin, Anthroquinone and Stilbene Derivatives with Anticholinesterase Activity

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Acetylcholinesterase (AChE) and butyrylcholinesterase (BChE) are the key enzymes in pathogenesis of Alzheimer's disease (AD), which is characterized by a deficit in central cholinergic transmission. In the current study, AChE and BChE inhibitory activities of seven coumarin derivatives [umbelliferone (**1**), 4-methylumbelliferone (**2**), 4-hydroxycoumarin (**3**), scopoletin (**4**), 8-methoxypsoralen (**5**), bergapten (**6**), and *iso*-bergapten (**7**)], a furanocoumarin mixture obtained from *Heracleum crenatifolium* Boiss. (Umbelliferae), as well as of two anthroquinone derivatives [rhein (**8**) and aloe-emodine (**9**)] and one stilbene, rhapontin (**10**), were tested by the spectrophotometric method of Ellman using an ELISA microplate-reader at 1 mg mL^{-1}. Among them, the furanocoumarin mixture [(68.8 ± 0.76)%], bergapten [(62.4 ± 0.74)%], aloe-emodine [(57.2 ± 1.32)%], scopoletin [(53.1 ± 0.83)%], and 4-methylumbelliferone [(62.3 ± 1.03)%] showed over 50% inhibition against AchE, while umbelliferone [(54.3 ± 0.23)%], 4-methylumbelliferone [(80.9 ± 1.17)%], scopoletin [(73.5 ± 1.01)%], 8-methoxypsoralen [(67.1 ± 0.98)%], as well as the furanocoumarin mixture [(76.7 ± 0.95)%] had a notable anti-BChE effect.

Key words: Coumarin, Acetylcholinesterase, Butyrylcholinesterase, Alzheimer's Disease