ABSTRACT

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Vinca minor L. is a species of species of flowering plant, native mainly to central and southern Europe, which containst more than 50 indole alkaloids. During screening of potential plant inhibitors against human acetylcholinesterase (hAChE) and butyrylcholinesterase (HBChE) at our department, an alkaloidal extract from dried aerial parts of *Vinca minor* demonstrated strong and selective hBChE inhibitory activity with an IC_{50} value of 13.60 ± 0.83 μg/mL, however, against hAChE was inactive (IC_{50} value >100 μg/mL). The fraction VM 323 – 327 (4,72 g) was separated by column chromatography on silica gel again with stepwise elution by using chloroform and ethanol and overall 7 joined fractions were obtained.Subsequently, repeated preparative TLC on silica gel led to isolation of three compounds; the newly isolated substance SP-1, (–)-picrinine (SP-2) and deacetylakuammiline (SP-3). Their structures were elucidated with mass spectrometry (ESI), NMR and optical rotation. Isolated alkaloids were tested on ability to inhibit AChE, BuChE, POP a GSK-3β, which are enzymes playing an important role in pathophysiology of Alzheimer 's disease. It turned out that isolated alkaloids are inactive against mentioned enzymes. (–)-picrinine is inactive compared to reference substances AChE (IC_{50} > 100), BuChE (IC_{50}> 100) and POP (IC_{50} 460 ± 22). Even inhibition against GSK-3β (% inhibition = 66.39 ± 8.37) was insufficient compared to the standard. Compounds SP-1 and SP-3 also did not show inhibitory properties against $AChE_{SP\text{-}1,SP\text{-}3}$ (IC_{50}> 100), $BuChE_{SP\text{-}1,SP\text{-}3}$ (IC_{50} > 100), $POP_{SP\text{-}3}$ (IC_{50} 445 ± 28) and GSK-$3\beta_{SP\text{-}1}$ (% inhibition = 76.85 ± 0.99), GSK-$3\beta_{SP\text{-}3}$ (% inhibition = 84.17 ± 4.97). SP-1 was not tested for POP due to insufficient isolation quantity.

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Keywords: *Vinca minor* L., indole alkaloids, butyrylcholinesterase, prolyloligopeptidase, glykogen synthase kinase-3, Alzheimer´s disease