

[Curr Pharm Des.](#) 2010;16(6):692-7.

## **Simple choline esters as potential anti-Alzheimer agents.**

[Alcaro S](#), [Arcone R](#), [Costa G](#), [De Vita D](#), [Iannone M](#), [Ortuso F](#), [Procopio A](#), [Pasceri R](#), [Rotiroli D](#), [Scipione L](#).

Dipartimento di Scienze Farmacobiologiche Università Magna Graecia di Catanzaro, Campus Universitario, Viale Europa, Catanzaro, Italy.

[alcaro@unicz.it](mailto:alcaro@unicz.it)

### **Abstract**

In this manuscript we report an integrated study to develop simple choline esters as cholinergic agents potentially useful against the Alzheimer disease. In previously reported experiments we demonstrated the capability of the pivaloylcholine to exert cholinergic effects into the Central Nervous System, so we decided to explore small variants of choline esters. The knowledge of crystallographic models of the enzymes involved in the hydrolysis of the acetylcholine allowed to consider the steric compatibility of some choline derivatives within their catalytic sites. The purpose of the work was to find out analogues with increased selectivity toward the acetylcholinesterase versus the butyrylcholinesterase. Theoretical models were compared to enzymatic tests carried out with both enzymes and two different methods. In this screening we have selected two candidates for the in vivo experiments with pre-treated rats. Their electroencephalographic profiles were recorded and averaged before and after the intraperitoneal treatment with two compounds in comparison to the pivaloyl lead ester. The results demonstrated that one of the esters can exert biological effects similar to the parent compound.

PMID: 20388079 [PubMed - indexed for MEDLINE]