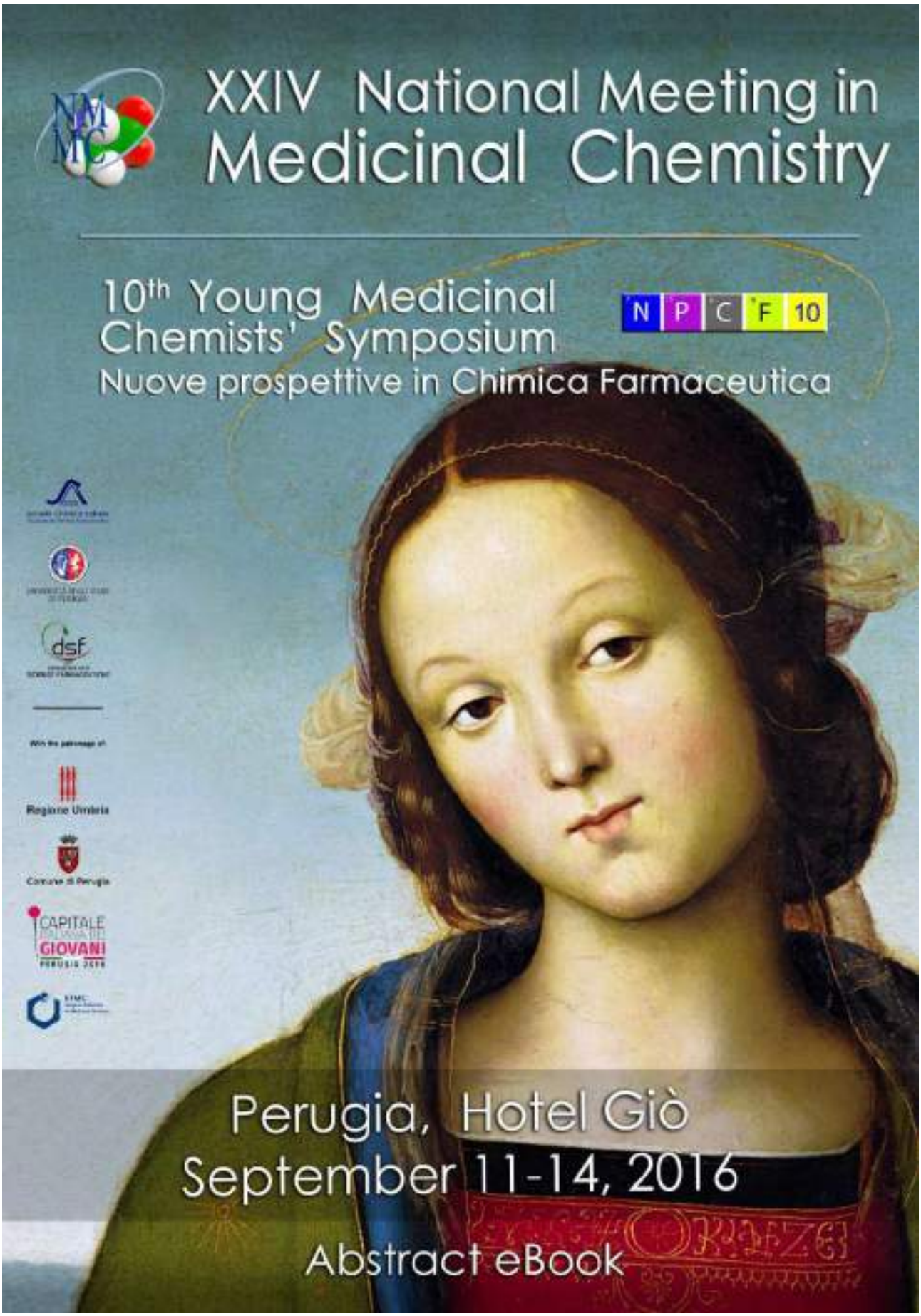
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Nuove prospettive in Chimica Farmaceutica
Regione Umbria
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CAPITALE EUROPEA DEI GIOVANI
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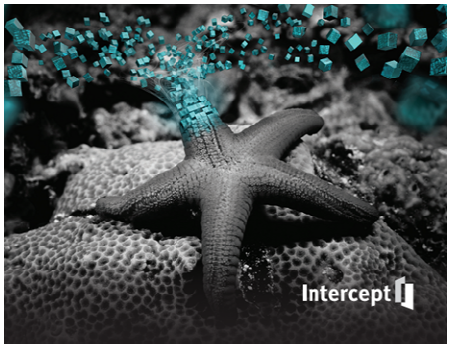

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Abstracts

PC-114

DIHYDROQUINAZOLINEBENZYLAMIDES AS ACETYLCHOLINESTERASE AND BUTYRYLCHOLINESTERASE INHIBITORS

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Alzheimer's disease (AD) is a neurodegenerative disorder causing dementia with no cure nor means for definitive diagnosis during life. The decline of cognition during AD is attributed to the progressive loss of cholinergic neurons and therefore to a deficit of acetylcholine (ACh). The acetylcholinesterase (AChE), like the related butyrylcholinesterase (BChE), co-regulates metabolism of ACh. Therefore, inhibition of these enzymes can compensate the lack of ACh and in consequence improve cognitive abilities. Although the role of BChE for ACh hydrolysis in healthy brain is only of minor impact, there is strong evidence that in AD brain BChE activity rises, while AChE remains unchanged or declines.[1] Furthermore in AD, cholinesterases are found in association with β-amyloid plaques, particularly in the cerebral cortex. Accordingly, the design of dual and hybrid cholinesterase inhibitors as potential drugs for the treatment of AD and their development are of high therapeutic importance.[2]
Here we report the identification and pharmacological characterization of a new class of dual AChE - BChE inhibitors endowed with dihydroquinazoline structure (Figure 1).[3]

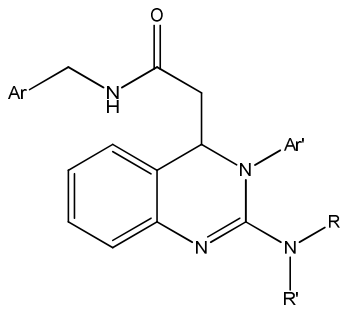

Figure 1, Dihydroquinazoline benzylamide AChE – BChE inhibitors

References

1. Greig, N.H.; Lahiri, D.K.; Sambamurti, K. *Int. Psychogeriatr*. **2002**, *14*, 77-91.
2. Anand, P.; Singh, B. *Arch Pharm Res*. **2013**, *36*,375-399.
3. M'Dahoma, S.; Gadotti, V.M.; Zhang, F.X.; Park, B.; Nam, J.H.; Onnis, V.; Balboni, G.; Lee, J.Y.; Zamponi, G.W. *Pflugers Arch.* **2016**, *468*, 193-199.