ceptor homology model.

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Glycosylation reactions of natural poliphenolic compounds

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Due to their antioxidant potential, many naturally occurring phenolic compounds play an important role in the protection against various diseases. Their biological properties and mode of action may be affected by O-substituents, e.g. the presence of sugar residues. However, the O-glycosylation methods for low-nucleophilic or hindered phenolic compounds are not always satisfactory in term of yield as well as their regio- and stereoselectivity. In the present work, a variety of ribosylation approaches have been studied for two poliphenolic compounds, a biologically significant flavone, quercetin (**1**), and resveratrol (**2**), a compound occurring in the skin of grapes and red wine. Ribosylation of quercetin with 1,2,3,5-tetra-O-acetyl-β-d-ribofuranose in the presence of tin tetrachloride, i.e. under conditions which were successful in synthesis of genistein 4'-O-ribosides [1], failed completely. Similarly, the use of *p*-toluenesulfonic acid as a catalyst did not result in the formation of quercetin ribosides. Some promising results came from ribosylation experiments performed in the presence of boron trifluoride – diethyl etherate. The reactions yielded a mixture of α- and β-ribosides of quercetin, and the study on their structures, ratio and isolation are in progress. In the case of resveratrol, glycosylation with tetraacetylribose and tin tetrachloride gave a complicated mixture of compounds, from which an interesting product, 4-C-α,β-riboside could be isolated in a moderate yield. The application of boron trifluoride – diethyl etherate for ribosylation of resveratrol increases the yield of O-ribosides, as it has been judged from our preliminary experiments.

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[1] J. Boryski, G. Grynkiewicz *Synthesis-Stuttgart,* 2170-2174 (2001).

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2-(1-Arylsulfonylpiperidin-2-yl)ethyl derivatives as 5-HT$_7$ receptor ligands: synthesis and their affinity for 5-HT$_{1A}$/5-HT$_{2A}$/5-HT$_7$/D$_2$ receptors

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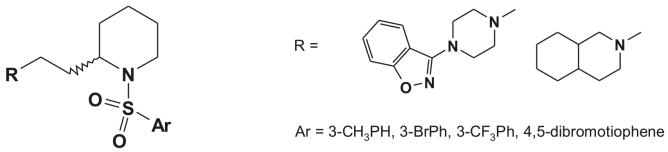
The affinity of several antidepressant and antipsychotic drugs for 5-HT$_7$ receptor, along with their distribution in CNS, suggested their involvement in the physiopathology of brain disorders. Indeed, some recent studies demonstrated direct involvement of 5-HT$_7$ receptors in depression, anxiety and mood diseases [1-3].

To better characterize the 5-HT$_7$ receptor, a new potent and selective compounds are required. Different research centers (among others our Department) are engaged in modeling, design, synthesis and structure-activity relationships studies of new 5-HT$_7$ ligands.

Here we present a series of 2-(1-arylsulfonylpiperidyn-2-yl)ethyl derivatives with various changes of aromatic substituent in arylsulfonylpiperidine moiety and modifications in terminal amine fragment.

In the competition binding studies of the investigated compounds, both selective 5-HT$_7$ receptor ligands and that with mixed 5-HT$_{2A}$/5-HT$_7$/D$_2$ pharmacological profile were found. The structure-affinity relationships for all the new derivatives are discussed.



Ar = 3-CH_3PH, 3-BrPh, 3-CF_3Ph, 4,5-dibromotiophene

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References

[1] Guscott M., Bristow L. J , Hadingham K , Rosahl T. W , Beer M. S., Stanton J. A., Bromidge F., Owens A. P., Huscroft I., Myers J., Rupniak N. M., Patel S., Whiting P. J., Hutson P. H.,Fone K. C., Biello S. M., Kulagowski J. J., McAllister G. *Neuropharmacology*. **2005**, *48(4)*, 492-502.
[2] Wesołowska A., Tatarczyńska E., Nikiforuk A., Chojnacka-Wójcik E. *Eur. J. Pharmacol.***2007**, *555(1)*,43-7.
[3] Hedlund P. B., Sutcliffe J. G. *Neurosci. Lett.***2007**, *414(3)*, 247-51.

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SAR studies of novel 5-HT$_7$R ligands with different spacers between aryl and amine moieties

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