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New derivatives of arylsulfonylpiperidines – The influence of structural modifications on 5-HT_7 and 5-HT_{1A} receptor affinity

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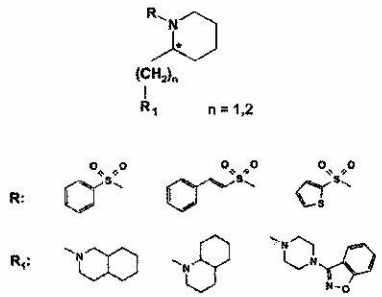
In recent years among 5-HT receptors much attention has been focused on the newest member of serotoninergic receptors family – 5-HT_7. The numerous papers that have been published successively provided evidences that 5-HT_7 receptor ligands can find potential applications in therapy of different mental disorders i.e. anxiety and depression.[1]

Inspired appearing reports discovering new potent and selective 5-HT_7 receptor ligands[2] we designed and synthesized a new series of arylsulfonamide derivatives. The structural modifications included replacement of amine fragment, changes of aromatic substituent in arylsulfonylpiperidine moiety and length of alkyl chain.

Radioligand binding study showed that the investigated compounds reveal diverse affinity for 5-HT_7 receptor and selectivity over 5-HT_{1A} receptors. The structure-affinity relationships for all the new derivatives are discussed.



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References

[1] Wesołowska A., Nikiforuk A., Stachowicz K., Tatarczyńska E.; Neuropharmacology 2006, 51, 578-86.

[2]. Raubo P., Beer M. S., Hunt P. A., Huscroft I. T., London C., Stanton J. A., Kulagowski J. J. Bioorg. Med. Chem. Lett. 2006, 16, 1255-1258.