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FINAL PROGRAMME

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Synthesis and pharmacological evaluation of N-{4-[2-(4-arylpiperazin-1-yl) ethyl] phenyl}arylamides

J. Penjišević[1], D. Andrić[2], S. Dukić-Stefanović[3], T. Spalholz[3], P. Brust[3] and S. Kostić-Rajačić[1*]

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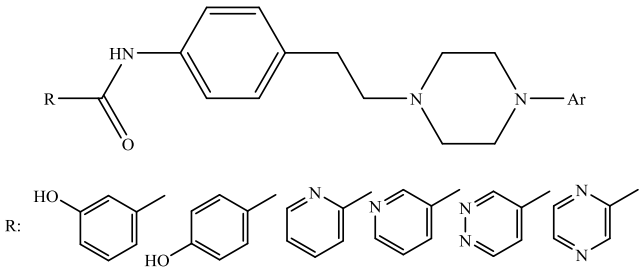
Serotonin 5HT1a receptor belongs to a class of G-protein coupled receptors. It serves as a potential target for neurological disorders such as depression, anxiety etc. It is a well-known fact that N-arylpiperazine moiety is present in compounds with pronounced 5HT1a activity.

Taking into account previously published results[1] novel structures of N-{4-[2-(4-arylpiperazin-1-yl)ethyl]phenyl}arylamides (**Figure 1**.) were designed for target synthesis. Proposed modifications include: different position of hydroxyl group in aryl amide part of molecule and addition of methoxy and chloro substituents to the phenyl ring of parent compounds, since their introduction in the molecule leads to increased receptor affinity.

New compounds were synthesized by acylation of N-arylpiperazines using 4-nitrophenylacetic acid. Obtained amides were converted in 1-(4-nitrophenethyl)-4-arylpiperazines using diborane in THF. Reduction of nitro compounds by Ra/Ni provided 1-(4-aminophenethyl)-4-arylpiperazines. Target arylamides were obtained by condensation 1-(4-aminophenethyl)-4-arylpiperazines with corresponding aryl acids in presence of propylphosphoric acid anhydride (PPAA) in DMF.

All newly synthesized compounds were evaluated for their activity toward 5HT1a receptors by *in vitro* competitive displacement assay of [^{3}H] 8-OH-DPAT. HEK cell line were used as a source of 5HT1a receptors.

Introduction of 2-methoxy and 2,3-dichloro groups,as well as *meta* and *para* hydroxyl group in molecule resulted in increment of affinity toward 5HT1a receptors comparing to the parent compounds.



Ar: 2- methoxyphenyl; 2,3-dichlorophenyl

Fig. 1: General structures of novely synthesized compounds

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[1] Vladimir Sukalovic, Anca Elena Bogdan, Gordana Tovilovic, Djurdjica Ignjatovic, Deana Andric, Sladjana Kostic-Rajacic, and Vukic Soskic, *Arch. Pharm. Chem. Life Sci*, **2013**, *346*, 708-717.