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SYNTHESIS AND BIOLOGICAL ACTIVITY OF NOVEL LONG CHAIN ARYLOPIPERAZINES (LACPs) WITH PYRIDYL MOIETY AS LIGANDS FOR SEROTONIN RECEPTORS

Damian Kułaga,[a] Jolanta Jaśkowska,[a],* Anna Drabczyk,[a] Grzegorz Satała[b] and Magdalena Malinowska[a]

[a] Cracow University of Technology, Department of Chemical Engineering and Technology, Institute of Organic Chemistry and Technology, 24 Warszawska St. 31-155 Cracow, Poland
[b] Department of Medicinal Chemistry , Institute of Pharmacology Polish Academy of Science, 12 Smetna St., 31-343 Cracow, Poland
* jaskowskaj@chemia.pk.edu.pl

Buspiron is a typical anxiolytic drug that contains long-chain arylopiperazine (LACPs) moiety and exhibits binding with 5HT receptor.[1] Other drugs with a very similar activity to Buspiron are Gepiron or Ipsapiron and they have the same moiety. LACPs contain a long flexible carbon chain (*C*2-and more) connected with e.g. imid, amide, sulphonamide moiety at the one side of the chain and with arylopiperazine on the other side.[3,4] One of the most characteristic features for these ligands is binding with serotonin receptors.[2] In recent study, a few compounds were synthesized with pyridyl moiety in LACPs, which are an analogue of Buspiron. Molecules were examined toward binding with serotonin receptors 5HT in *in vitro* assay.
Novel long chain arylopiperazines were obtained in a three-step reaction. At the beginning, LACPs were obtained with imide moiety. The compounds were obtained in the reaction between bromoalkylphtalimide and 1-(2-pyridyl)piperazine. It is a new, eco-friendly method, supported under microwave irradiation. At this stage, the compounds were transformed into HCl salts and examined in *in vitro*. The rest of the free base was used in the next step - Gabriel's reaction to obtain amine. The third step is coupling amine with 1- and 2-naphthalenesulfonyl chloride or benzoyl chloride to yield LACPs with sulphonamide and amide moiety. The final compound was transformed into HCl salt and examined in *in vitro* assay.
The preliminary results showed high affinity to 5HT-1a. The more preferable result is LACPs with imide moiety, and in terms of sulphonamides, more preferable is 2-naphtalenesulfonyl.

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