



JAGIELLONIAN UNIVERSITY
IN KRAKOW

**5-HT₆ RECEPTOR ANTAGONISTS FOR THE PREVENTION AND TREATMENT
OF CENTRAL NERVOUS SYSTEM DISEASES
(PROJECT No. P-182)**



C I T T R U

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The subject of the offer is a group of serotonin type 6 receptor antagonists. Compounds may be regarded as drug candidates for the treatment of central nervous system disorders – neuropathological, including Alzheimer’s disease, and Huntington’s disease, psychiatric with cognition enhancement in schizophrenia, dementia, depression, anxiety, as well as obesity, and neuropathic pain.

Among the GPCR classes, serotonin (5-HT) receptors have become attractive targets for development of clinically important drug candidates. One of the recent additions to 5-HT receptors, was 5-HT₆ subtype. Due to its almost exclusive distribution in central nervous system (CNS), and high affinity of antidepressant, antipsychotic drugs, it has gained increasing attention for development of psychiatric disorders. Although high efforts have been made towards evaluation of selective 5-HT₆R ligands, at the moment no drug has successfully passed clinical trials and has been approved by FDA.

The essence of the presented invention is a series of compounds which may be applied as a drug for treatment or prophylaxis of diseases, disorders or conditions resulting from disturbance of 5-HT₆ receptor transmission.

These conditions include a wide spectrum of diseases: anxiety, depression, maniac depression, obsessive compulsive disorders, mood disorders, Alzheimer’s disease, age related cognitive decline, mild cognitive impairment, eating disorders, obesity, Huntington’s disease, pain.

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Key facts:

- Development stage: preclinical phase
- Series of compounds has clear IP, patent application has been submitted under EPO procedure (July, 2013)
- Lead structures may be classified as 5-HT₆R and/or 5-HT₆/5-HT₃ ligands
- Compounds have no affinity for M₁, H₁, α_1 receptors, lowering the risk of side effects related to cholinergic-, histaminergic-, adrenergic- activity
- Lead structures display superior activity in episodic memory test and in the treatment of mood disorders in animal rat models
- Lead structures are brain penetrant
- Lead structures are metabolically stable



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Offered invention is subject to patent application including compounds, methods of its preparation and application. Further research on the technology development is carried out in the Jagiellonian University Medical College, Institute of Pharmacology - Polish Academy of Sciences, and Institute Biomolecules Max Mousseron (Montpellier, France). Currently Centre for Innovation, Technology Transfer and University Development (CITTRU) is looking for partners interested in development of the invention and its commercial application.

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