Biomedical

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Novel Ligands for Serotonin Receptor 2B (5-HT_{2B})

There are multiple diseases affecting the central nervous system (CNS) including Alzheimer's & Parkinson's disease, amyotrophic lateral sclerosis, drug abuse, and addiction. However, there is currently a void in the tools available for the treatment and study of such CNS related disorders. This void can lead to inaccurate diagnosis and delayed treatment, ultimately increasing patients' mortality and associated morbidity. The 5-HT_{2B} receptor is emerging as a valuable pharmacological target to treat such diseases. Available compounds do **not** provide the needed selectivity or high inhibitory actions to address current needs. Thus, new developments are needed to further the application of 5-HT_{2B} receptor antagonist for the treatment of these neurological diseases.

The technology

This technology addresses the unmet need of selective 5-HT_{2B} ligands used to treat and study a number of CNS related disorders. This ligand can be readily synthesized and easily manipulated and has shown high selectivity towards the 5-HT_{2B} receptor with very low affinity to other receptors in the 5-HT_2 family. Selectivity for the 5-HT_{2B} is remarkable as very few ligands have demonstrated such selectivity. The development of this novel ligand also has possible therapeutic applications that allow for the treatment of neurodegenerative diseases in the CNS as well as irritable bowel syndrome, migraine headaches, and pulmonary hypertension.

Compound	% Inhibition (K_i)		
	5-HT_{2A}	**5-HT_{2B}**	5-HT_{2C}
	14.2	**62.6 (2.5 µM)**	4.8
	5.4	**70.4 (240 nM)**	7.9

Table 1. *In vitro studies have demonstrated the high selectivity and inhibitory response of the ligand.*

Benefits

- Easily manipulated to create a vast library of compounds
- High selectivity for 5-HT_{2B} receptor
- Low affinity to other receptors in the 5-HT_2 family

Applications

- Diagnostic tool to identify the role 5-HT_{2B} plays in diseases affecting CNS
- Can be used as a novel therapeutic agent for CNS related diseases
- Possible therapeutic applications for IBS, migraine headaches and pulmonary hypertension

Patent status:
Patent issued: U.S. rights are available. 9,884,936

License status:
This technology is available for licensing to industry for further development and commercialization.

Category:
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External Resources:
Williams et. al. (2013)
Williams et. al. (2014)

Contact us about this technology

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