

Applications

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

Advantages

- Easily manipulated to create a vast library of compounds
- High selectivity for 5-HT_{2B} receptor
- Low affinity to other receptors in the 5-HT₂ family.

Inventors

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Market Need

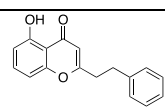
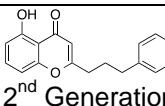
There are multiple diseases affecting the CNS including Alzheimer's & Parkinson's disease, amyotrophic lateral sclerosis, drug abuse, and addiction. However, there is currently a void in the tools available for the treatment and study of such CNS related disorders. This void can lead to inaccurate diagnosis 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.

Technology Summary

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-HT₂ 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.

Technology Status

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

Compound	% Inhibition (K _i)		
	5-HT _{2A}	5-HT _{2B}	5-HT _{2C}
 1 st Generation	14.2	62.6 (2.5 μM)	4.8
 2 nd Generation	5.4	70.4 (240 nM)	7.9

Patent Pending US & foreign rights available.

Dwight A. Williams Saheem A. Zaidi and Yan Zhang. “5-Hydroxy-2-(2-phenylethyl)chromone (5-HPEC): A Novel Non-Nitrogenous Ligand for Serotonin 2B Receptor.” *Bioorg. Med. Chem. Lett.* **2014**, 24, 1489-1492.

Dwight A. Williams, Cameron Smith*, and Yan Zhang. “An efficient procedure for the preparation of natural products bearing the 2-(2-phenylethynyl)chromone skeleton.” *Tetrahedron Lett.* **2013**, 54, 4292-4295.

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