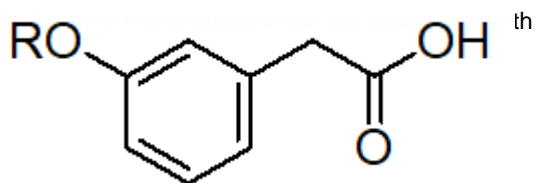


TECHNOLOGY

Analogs of GHB Lacking GABAergic Activity

OVERVIEW

The present invention relates to esters of 3-hydroxyphenylacetic acid and their use to treat narcolepsy and other sleep disorders. Gamma-hydroxybutyric acid (GHB) is a known agonist for the GHB receptor. Unfortunately it also acts at GABA receptors directly and through its metabolism into alpha aminobutyric acid (GABA). As a result, GHB is abused for its euphoric effects. The investigators have designed several analogs of GHB that lack any GABAergic activity. These new chemical entities (NCE) represent



GHB receptors and therefore lack the euphoric activity of GHB.

The inventors have created a series of compounds having the general structure shown. The functional group R can be either an aromatic group or arylalkyl substituent. The 3-esters of 3-hydroxyphenylacetic acid claimed in U.S. Patent 7,838,556 were shown to have a high affinity at GHB sites, no significant affinity at GABA receptors and were not rapidly metabolized to GABAergic ligands. The lack of GABA affinity makes these compounds excellent candidates for treating sleep disorders through GHB receptor binding.

APPLICATIONS

Narcolepsy is a profoundly disabling, life-long sleep disorder characterized by excessive daytime sleepiness (hypersomnia), often in association with cataplexy. Narcolepsy has an estimated prevalence in the United States of between one in 2,000. It is estimated that only 25% of narcolepsy patients have been diagnosed to date.

Therapeutics to treat narcolepsy are either designed to reduce excessive sleepiness or are REM-suppressing. REM-suppressing drugs are used to reduce the symptoms of cataplexy, hallucinations and sleep paralysis.

It is expected that the global market for narcolepsy therapeutics will reach \$2.0 billion dollars by 2020. The market for narcolepsy therapeutics is growing at 2.8% CAGR.

ADVANTAGES

Benefits of GHB in treating sleep disorders without potential for abuse.

STAGE OF DEVELOPMENT

Two lead compounds have been identified having the general structure shown above. Additional new chemical entities are being developed and behavioral assay studies planned.

LICENSING POTENTIAL

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Additional Information

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PATENT STATUS

US Patent 7,838,556

LICENSE STATUS

Available for licensing

CATEGORIES

- Therapeutics
- Small molecules

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ATTACHMENTS

-  [Download Marketing Sheet 09_24_2019.pdf](#)

EXTERNAL RESOURCES

- [US Patent 7,838,556](#)

AC-2006-050