TECHNOLOGY OFFER

PROvendis
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NMDA Antagonists

New selective compounds to the NR2B subunit with high affinity

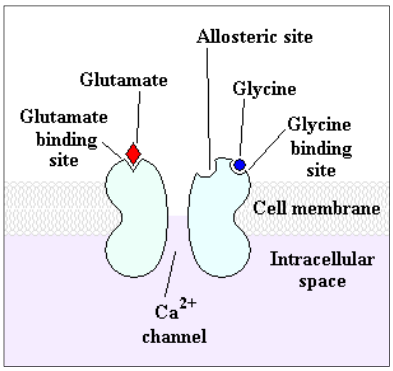
Invention

The NMDA receptor, an ion channel consisting of four protein subunits, is involved in the pathophysiology of chronic neurodegenerative diseases, depression, pain and alcoholism. Different subtypes of NMDA receptors can be categorized based on their subunit composition. The NR2B subunit expression is mostly localized in forebrain regions including cortex, hippocampus and striatum.

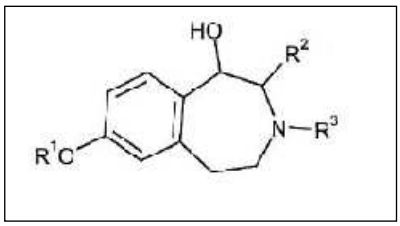
NR2B-selective antagonists have received considerable attention in recent years as they have shown efficacy in neuroprotection, anti-hyperalgesic and anti-Parkinson animal models.

NR2B antagonists bind preferentially to the activated form of the NMDA receptor containing the NR2B subunit and allosterically modulate channel activity by inhibiting channel opening probability. They show advantages over non-selective NMDA receptor antagonists due to greater separation between efficacy and side effects.

The present invention provides novel derivatives of benzofused nitrogen heterocycles as selective antagonists of the NR2B subunit of the NMDA-receptor. The compounds show high affinity for this subunit of the receptor, enabling the development of pharmaceuticals for the treatment of neuropsychiatric and neurodegenerative disorders and many others.



A) NMDA receptor



B) General structure of the compounds

Commercial opportunities

Due to the demographic trend of the society the therapy of neurological disorders and pain becomes more and more important.

While NMDA receptor inhibition has therapeutic utility in the treatment of neurodegenerative diseases and pain, there are significant liabilities to many available NMDA receptor antagonists that can cause potentially serious side effects, such as psychotomimetic effects and neurotoxicity. Especially in chronic indications patient compliance is therefore low. There is a clear unmet medical need for NMDA-receptor antagonists with CNS efficacy and a significantly improved tolerance.

The patent application discloses specific compounds which have been tested *in vitro* for their affinity to the NR2B subunit of the NMDA receptor.

Current status

On behalf of the Westfaelische Wilhelms University of Muenster, PROvendis offers access to rights for commercial use as well as a research collaboration with licensing option.

In case of interest we will be pleased to inform you about the patent status.

Benefits

- Innovative group of antagonists for the NMDA receptor
- High affinity (nM-scale) to the NR2B subunit
- High selectivity for the NR2B subunit
- Broad range of clinical applications with high unmet medical need
- High market potential in the ageing population

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