

Sigmagos

Sigma-1 receptor agonists as new therapeutic agents against neurodegenerative diseases

Invention

Sigma receptors have been in the focus of drug discovery for several years, being classified into two subtypes, sigma-1 and sigma-2 receptors. The sigma-1 receptor is expressed in many different tissue types and is particularly concentrated in certain regions of the central nervous system. Therefore, it represents a new and different avenue in the possible pharmacological treatment of brain related disorders. Several studies have shown that selective agonists of the sigma-1 receptor affect higher-ordered brain functions such as learning and memory, cognition and mood. These studies indicate that sigma-1 receptor agonists may exert therapeutic effects in dementia, depression, parkinsonism and neuropathic pain.

Sigma ligands are also able to modulate endothelial cell proliferation and to control angiogenesis which makes them a promising target for oncology applications. Another area currently being investigated comprises the treatment of immune system disorders.

The present invention provides novel agonists of the sigma-1 receptor belonging to a new drug class that binds with high affinity and selectivity to the receptor. This is a key to tolerability of compounds meant for applications in long-term treatment settings.

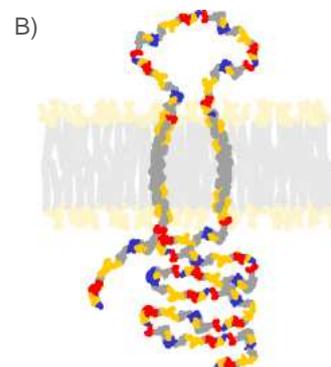
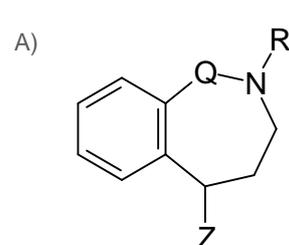
Commercial Opportunities

Due to the demographic trend of the society the therapy of neurodegenerative diseases and pain becomes more and more important. Neuroprotection in particular is therefore a hot topic in drug development.

The patent application discloses specific benzo-annulated nitrogen heterocycles which have been tested *in vitro* for their affinity to the sigma-1 receptor using guinea pig brain membrane preparations. Furthermore, the patent application discusses the synthesis of the compounds with optimised product yield.

Current Status

On behalf of the University of Muenster, PROvendis offers the invention for licensing and research collaboration to interested companies. A German and an international patent application (PCT) have been filed.



A) General formula of benzo-annulated nitrogen heterocycles

B) Schematic sigma receptor

Benefits

- Innovative class of high-affinity (nM-scale) compounds for the sigma-1 receptor
- Highly selective binding to the sigma-1 receptor
- Synthesis scheme with potential for the development of a wide range of derivatives
- New therapeutics for neurological and immune system disorders as well as for cancer treatment

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