

## 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.

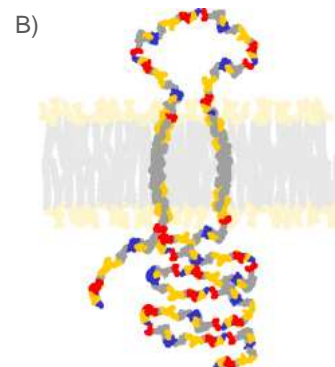
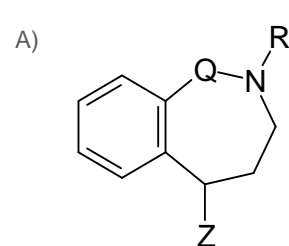
#### Commercial Opportunities

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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