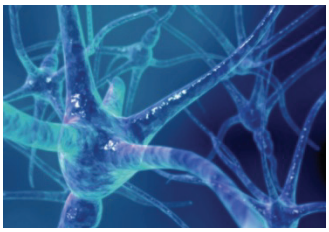
Steroidal Nitrones with antioxidant and neuroprotective activity for the treatment of Ictus and neurodegenerative diseases

Researchers from CSIC and the Ramón y Cajal Institute for Health Research (IRYCIS) have developed a steroidal nitrone family with high cellular permeability and neuroprotective activity. These compounds present an excellent antioxidant and neuroprotective activity and are candidates to be used as drugs for Ictus and other neurodegenerative diseases, as Alzheimer, Parkinson and Amyotrophic Lateral Sclerosis (ALS).

We are looking for a pharmaceutical company interested in further technology development and patent licencing.

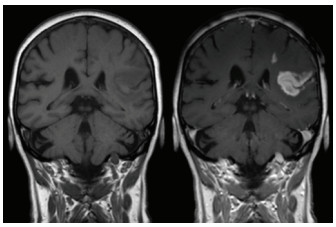
Description of the offer

The use of nitrone derivatives represents a promising therapeutic alternative approach for the treatment of diseases like Ictus and neurodegenerative diseases, as Alzheimer, Parkinson and Amyotrophic Lateral Sclerosis (ALS), acting as radical scavengers and reducing oxidative stress common in these diseases. Known nitrones show a high activity as antioxidant agents, but at low dose, their *in vivo* efficacy is limited, probably due to low cell permeability. On the other hand, it's well known the neuroprotector capacity of steroids, specifically in inflammatory processes affecting the central nervous system.



These steroidal nitrone derivatives represent a therapeutic alternative for the treatment of Ictus and neurodegenerative diseases as Alzheimer, Parkinson and Amyotrophic Lateral Sclerosis (ALS).

Some steroidal nitrone derivatives have been prepared. *In vitro* assays in cell cultures show that these compounds present synergistically the properties of steroid and nitrone groups: improved cell permeability to cross the blood-brain barrier, elevated antioxidant activity against hydroxyl radicals and lipidic peroxidation, and high neuroprotective capacity. These properties make them especially useful as drugs for the treatment of CNS related diseases, as Ictus and neurodegenerative diseases, as Alzheimer, Parkinson and Amyotrophic Lateral Sclerosis (ALS).



Brain injured after an ischemic ictus

Main applications and advantages

- These compounds have shown a high neuroprotective effect on primary neuronal cell cultures exposed to oxygen-glucose deprivation (80.7% of neuroprotection at 5 μM) higher than citicolin (50.2% at 100 μM).
- These compounds have shown a noticeable long range neuroprotective effect against ischemic damage in neuronal cultures, when citicolin has no neuroprotective effect.
- *In vivo* assays in global ischemic brain animal models show that these compounds are able to significantly decrease cellular dead by apoptosis.
- All the compounds are easily prepared from commercially available starting materials in a rapid process with good chemistry yield.

Patent Status

Priority patent application filed

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