

Neurosteroidy - Neuropatická bolest (NP)

Fáze vývoje technologie

Preklinické testy

Status IP ochrany

Kudova et al.: Amphipilic Compounds with Neuroprotective Properties. EP3260462 A, EP3260462 A, CA 2957906 A, JP 2017-511948, US 15/506318, AU 2015309371

Strategie pro hledání partnera

Licencování, Spin-off, Spolupráce

STEROIDS for Neuropathic Pain Treatment

The Pain Pathway
Glutamate and its receptors represent a major neurotransmitter system at the first spinal synapse. NMDA antagonists are conceivable analgesics, clinically proven as quite efficacious, however, due to the presence of NMDA receptors in the whole CNS, systemic administration of NMDA antagonists brings a number of adverse side effects (the sensory impairment, psychomotoric changes, ataxia, disturbances of motor coordination, sedation etc.). Our proprietary, specifically designed steroidal molecules act as **ALLOSTERIC MODULATORS** of NMDA receptor with **no observed side effects** at the therapeutic dosing level.

Efficacy
Pain-induced Peripheral Neuropathy (PPRN) Model
PPRN Effect on Mechanical Pain Threshold after Chronic Dosing
Bupivacaine-induced Peripheral Neuropathy (BIPN) Model

Safety
Dose-toxicity studies
Activity Test - sedation 100 mg/kg
Available ADME data:
• No CYP 450 enzymatic activation
• Moderate microsomal stability in rat, low in human
• 100% excretion in primary urine
• LogP=1.8
• Caco-2BBB Safety Study on 40 selected receptors and channels
• No binding to MDR1
• Potentiated MDR1 in 100 mg/kg

Pharmacokinetics
PK study after single i.p. dosing of 0.2 and 10 mg/kg MS-225 in mice
Comparative PK study (i.p. i.v. dosing of MS-225 in rat (n=6))

Preclinical Plan
• Design of clinical studies
• Evaluation of neuroprotective effects
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Project Manager Contact
IOCB Tech
Project Manager Contact
IOCB Tech, INC.
10000 Rockledge Drive, Suite 100
Rockledge, FL 32955, USA
Phone: +1 888 326 2626
Email: info@iocbtech.com

Motivace

The NP market is rife with unmet needs. The main classes of drugs used in the treatment of NP have traditionally consisted of antidepressants, anticonvulsants, opioid analgesics, and topical analgesics. Although many of the available drugs offer some degree of efficacy in terms of pain relief, there still remains vast room for improvement in efficacy, safety, drug delivery, and dosing convenience. Market size 2017 is about 3 bil. USD, CAGR 3%

Popis

Neurosteroids act as multi-target allosteric modulators of various neuro-receptors. Among others, the NMDA receptor modulators influence the ion flow in synapses. Allosteric NMDAr modulators do not reveal typical adverse effects (in animal models) like dizziness, nausea, somnolence or cognitive difficulties as the current therapeutics often acting as Ca or Na channel blockers. MS-225 shows inhibitory effect at micromolar concentrations. However, there are other receptor families involved in the pain perception. MS-225 modulates their function at nanomolar concentrations. This might be the dominant mode of action and as such is a subject of further research and a new application for extended patent protection. Besides the NP, some steroidal analogues has proven its efficacy in epilepsy or neuroprotection models.

Komerční využití

If the clinical trials confirm its efficacy and low adverse effects, the molecule can easily acquire 10-30% of the market counting from 300 mil. to 1 bil. USD.

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line **216**

Institute



**Ústav organické chemie a
biochemie AV ČR, v.v.i.**