

Neurosteroids - Neuropathic pain (NP)

Development status

Preclinical trials

IP protection status

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

Partnering strategy

Collaboration, licensing, spin-off

Challenge

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%

Description

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.

Commercial opportunity

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.

STEROIDS for Neuropathic Pain Treatment

Efficacy
Pain-induced Peripheral Neuropathy (PINP) Model
PINP Effect on Mechanical Pain Threshold after Chronic Dosing
Bismuth-induced Peripheral Neuropathy (BIPNP) Model

Safety
Standard Plus Toxic
Activity Test - sedation 100 mg/kg
Acute ADME data

Pharmacokinetics
PK Study after single i.p. dosing of 1, 3 and 10 mg/kg MS-225 in mice
Comparative Pilot PK study (i.p. dosing of MS-225 in rat and dog)

IP status
EP3260462 A
EP3260462 B
CA 2957906 A
JP 2017-511948
US 15/506318
AU 2015309371

Project Manager Contact
Jan Šesták, PhD
IOCB Tech
IOCB@iocbtech.cz
Tel: +420 222 611 111
Fax: +420 222 611 112

Institution



**The Institute of Organic
Chemistry and Biochemistry of
CAS**