

THE SPECIFIC EFFECT OF THE DRUG "BAKLASAN" IN CEREBROVASCULAR DISEASES AND ITS PRACTICAL SIGNIFICANCE TODAY

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Abstract. Swimming rats for 30 minutes led to a decrease in the level of specific binding of GABA by the plasma membranes of the adrenal glands of intact rats, but not in rats that were repeatedly administered hydrocortisone to inhibit the basal activity of the hypothalamic-pituitary-adrenal cortex system and in which the level of amino acid receptor was significantly higher than the control. Pre-stress administration of baclofen and gamma-L-aminobutyryltaurine did not change the specific binding of GABA, despite the effect of the drugs on the concentration of corticosteroids in the blood of animals.

Keywords: GABA, hypothalamic-pituitary-adrenal cortex system, gamma-L-aminobutyryltaurine, Baclofen, encephalopathy, amino acids – glutamate, spasm, neurotoxic effect.

СПЕЦИФИЧЕСКОЕ ДЕЙСТВИЕ ПРЕПАРАТА «БАКЛАСАН» ПРИ ЦЕРЕБРОВАСКУЛЯРНЫХ ЗАБОЛЕВАНИЯХ И ЕГО ПРАКТИЧЕСКОЕ ЗНАЧЕНИЕ СЕГОДНЯ

Аннотация. Плавание крыс в течение 30 минут приводило к снижению уровня специфического связывания ГАМК плазматическими мембранами надпочечников intactных крыс, но не у крыс, которым многократно вводили гидрокортизон для угнетения базальной активности гипоталамо-гипофизарно-надпочечниковой системы и у которых уровень рецепторов аминокислот был достоверно выше контроля. Предстрессовое введение баклофена и гамма-L-аминобутирилтаурина не изменяло специфическое связывание ГАМК, несмотря на влияние препаратов на концентрацию кортикостероидов в крови животных.

Ключевые слова: ГАМК, гипоталамо-гипофизарно-надпочечниковая система, гамма-L-аминобутирилтаурин, баклофен, энцефалопатия, аминокислоты – глутамат, спазм, нейротоксическое действие.

Baclofen (Baklosan, Lioresal) is a muscle relaxant, a derivative of GABA. Chemical the structure of its molecules is not similar to other muscle relaxants - unlike them, baclofen has no effect on mechanisms of neuromuscular transmission. Baclofen is a muscle relaxant, which is a beta-p-chlorophenyl derivative of one of inhibitory mediators - GABA. While using this drug, you may develop serious side effects. The development

of life-threatening conditions when using Baclofen may be the result of an unintentional overdose of a drug prescribed by a doctor, idiosyncrasy, suicidal behavior, or criminal acts. Purpose of the review: to consider the mechanisms of therapeutic and toxic action of Baclofen, its effects on cellular receptors to clarify the pathogenesis of life-threatening conditions caused by poisoning with this drug. Given the drug activates GABAB receptors due to which reduces the intensity of the release of excitatory amino acids - glutamate and aspartate, the action of which determines the occurrence of spasm.

Baclofen is available in oral and intrathecal forms (for intrathecal administration) and is widely used in clinical practice [2,3]. Indications for its applications are brain damage and spinal cord, including those of a traumatic nature, sclerosis with severe muscle spasticity, acute brain disorders blood circulation, meningitis.

Baclasan was shown to accumulate in brain tissue and have a direct neurotoxic effect. Encephalopathy may develop when baclofen is used. In particular, baclofen-associated encephalopathy was revealed in a study on rats that employed the dose of baclofen of 116 mg/kg. Encephalopathy with the triphasic acute waves, status epilepticus and isoelectric signals was diagnosed using EEG. In Ontario, a case record analysis of approximately 16,000 patients with kidney disease, who started taking baclofen between 2007 and 2018, was performed. Patients were divided into two groups receiving high and low doses of the drug. Patients from both groups were compared with almost 300,000 patients with kidney disease who had not received the drug. Among patients who received high doses of baclofen, 1.11% (108 out of 9707) were hospitalized with signs of cognitive impairment. This proportion was 0.42% (26 out of 6235) in the group of low-dose baclofen patients. A case of acute baclofen poisoning with a suicidal purpose resulting in deep coma has been reported. Interestingly, the «burst-suppression» EEG pattern was noted on the 1st day, which can be considered as one of the signs of a dying brain.

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