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ORGANOPROTECTIVE EFFECTS OF LERCANIDIPINE

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Musaeva L.J., Ilhomova Z.E.
Tashkent Medical Academy, Uzbekistan

Arterial hypertension (AH) in Uzbekistan is one of the urgent medical and social problems due to the high prevalence of this disease and high risk of cardiovascular complications. Arterial hypertension is one of the most frequent causes of acute heart failure and stroke. The only measure to prevent their development is active blood pressure (BP) control. The problem of adequate antihypertensive therapy is relevant both in Uzbekistan and all over the world. It is known that modern antihypertensive therapy should have the following properties: effectively reduce BP; reduce target organ damage; improve the quality of life of patients; have good tolerability and do not cause side effects.

Calcium channel blockers (CCBs) are one of the most important classes suitable for starting monotherapy as well as combined treatment of hypertension. The recommendations of the European Society of Hypertension and the European Society of Cardiology [1] formulate the following indications for prescribing CCBs in patients with AH: Older age, isolated systolic AH, presence of concomitant tension angina, concomitant peripheral arterial disease, signs of atherosclerotic changes in the carotid arteries, metabolic syndrome, stroke in the history, left ventricular hypertrophy, non-Groid race, chronic obstructive pulmonary disease, circulatory insufficiency with supraventricular rhythm disturbance [2].

The main mechanism of action of CCBs is the reduction of calcium ions in vascular smooth muscle cells, which leads to a decrease in peripheral vascular resistance and arterial vasodilatation with a subsequent decrease in BP. Being powerful vasodilators, the drugs have antianginal and anti-ischemic action due to dilatation of coronary arteries, reduces myocardial oxygen demand, reduces afterload. The contractility of smooth muscle cells and myocardium occurs in the interaction of actin and myosin proteins in the presence of calcium ions, so calcium channel blockade reduces left ventricular wall tension, reduces coronary resistance.

Lercanidipine is a drug of the 3rd generation of dihydropyridine derivatives and differs from the 1st and 2nd generation. It turns out that all drugs of this series have amphiphilic groups with both infiltrative and lipophilic properties, the latter determining their kinetics and solubility inside the double phospholipid layer of vascular smooth muscle cell membranes and providing different time

antihypertensive effects. However, lercanidipine has the highest membrane distribution coefficient (lipophilicity) among all CCBs, which ensures the smoothest onset and longest duration of action when administered at a dose of 10-20 mg [3]. High efficacy and good tolerability in the form of monotherapy in elderly patients with isolated systolic AH have been proved [4]. In patients with severe course of AH with diastolic BP more than 110 mm Hg lercanidipine was effective even in monotherapy at a single dose of 20-40 mg/day. It was noted that the antihypertensive effect of the drug persists for more than 24 hours, which provides prevention of BP rise and ischemia episodes in the early morning hours, when, according to statistics, serious cardiovascular complications in the form of myocardial infarction are most often developed. It is important to note that the drug does not penetrate the blood-brain barrier, thus does not cause and does not increase weakness, i.e. does not worsen the quality of life of patients.

Along with antihypertensive action, organoprotective properties of lercanidipine have been revealed. Lercanidipine has no adverse effect on lipid, carbohydrate or electrolyte metabolism, does not affect insulin resistance [5]. Elevated cholesterol (CC) content within cell membranes is thought to reduce the antihypertensive efficacy of BCCs. However, lercanidipine has been found to have the highest tolerance factor for CS. It is able to dissolve in the cell membrane at any degree of severity of atherosclerotic vascular lesion and has a blocking effect on calcium channels.

Metabolic neutrality of lercanidipine makes it possible to take this drug in patients with AH and diabetes mellitus [6]. In a small randomized study on taking lercanidipine 10 and 20 mg/day, the efficacy of different doses of the drug and its effect on carbohydrate metabolism were evaluated; in case of insufficient hypotensive effect, the doses were titrated to 20-30 mg/day. By the end of the study, there was a significant decrease in the values of systolic BP and diastolic BP, as well as a significant decrease in blood glucose, glycosylated hemoglobin, fructosamine and area under the curve of the glucose tolerance test.

To date, the nephroprotective effect of this drug has been proven. The kidneys are the target organ in AH, so neuroprotective properties of any drug are paid close attention. The effect of lercanidipine on the kidneys is different from other dihydropyridine CCBs. Standard BCAs, such as nifedipine and amlodipine, as a rule, affect only the renal leading renal arteriole, but not the diverting one [7]. Accordingly, intraclubular pressure increases during their use, which can negate the positive effect of BP lowering. Lercanidipine, dilates both afferent and efferent arterioles of the renal tubule, not leading to an increase in intraclubular pressure. This ability is thought to be due to inhibition of both L-type (preglomerular) and T-

type (postglomerular) calcium channels at the renal level. Thus, the nephroprotective effect of lercanidipine is multifaceted and depends not only on BP reduction.

In real practice, patient adherence to therapy is determined not only by drug efficacy but also by tolerability. Undesirable effects of dihydropyridine CCBs are associated with systemic vasodilation and include ankle edema, dizziness, headache, facial flushing, palpitations and dizziness. Lercanidipine is generally well tolerated, the incidence of adverse effects is comparable to placebo, and withdrawal due to intolerance is extremely rare (1-2%) [8]. In long-term clinical trials, up to 35% of patients receiving BCC complained of peripheral edema. It has been noted that edema is dose-dependent and occurs more often in women than in men. This side effect may lead to poor treatment adherence in patients and discontinuation of therapy. In studies in which lercanidipine was compared with other BCAs, fewer side effects and cases of drug withdrawal due to the development of adverse events were found, especially tibial edema. It is assumed that due to less pronounced venous vasodilation, less influence on vascular permeability and associated fluid transudation, lercanidipine is much less likely to cause edema [9].

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