

Drugs of radiological pharmacology. Massage 6

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Arginine (2-amino-5-guanidinepentanoic acid) is an aliphatic basic α -amino acid. It exists in the form of L- and D-isomers. L-Arginine is a part of peptides and proteins composition.

Arginine is relatively essential amino acid. Healthy adult human body produces arginine in sufficient quantities. In children and adolescents, in elderly and sick people, arginine synthesis level is often lowered. Arginine biosynthesis is carried out from citrulline [1-3].

Arginine content per 100 grams of the product: pumpkin seeds – 5353 mg, pine nuts – 2413 mg, walnuts – 2278 mg, dried peas – 2188 mg. Arginine is a key metabolite in the processes of nitrogen metabolism (ornithine cycle). Arginine is the NO-synthases substratum in the synthesis of nitric oxide NO, which is a local tissue hormone with multiple effects – from anti-inflammatory to vascular effects and angiogenesis stimulation.

Arginine has antioxidant, cytoprotective, anti-hypoxic, desintoxicative, anti-asthenic and membrane-stabilizing action, participates in the reactions of energy substrate formation and, to some extent, maintains the hormonal balance in the blood. Arginine promotes an increase of pancreas hormone in the blood plasma – Insulin, as well as glucagon, prolactin and somatotropin. It plays the definite role in the formation of polyamines and amino acids in the body; it is involved in the reactions of cell membranes depolarization, fibrinolysis and spermatogenesis processes.

Arginine promotes the production of serotonin or hormone of joy that improves mood, makes a person more active and enduring; stimulates insulin production, thereby contributing to the normalization of blood sugar at diabetes mellitus 2; improves liver function, especially it is recommended for cholecystitis, cholelithiasis, hepatitis, cirrhosis, including states after alcoholism therapy, long-term medication. Arginine allows body quick recovery after heavy physical exertions, it is especially indispensable for intensively training sportsmen after 30 years, when the natural secretion is completely ceased. Arginine also reduces the amount of free radicals; promotes the increase of muscle mass and the decrease of body fat at adequate physical exertion; increases the kidneys' cleansing potential; plays an important role in the

cycle of urea formation (purification from protein waste); activates immunity, that is extremely important for immunodeficient diseases [1, 2].

Drugs. Arginine is present in the formulations of hepatoprotectors (Glutargin), immunomodulators (Imunofan), cardiac drugs (Vazoton), medicines for burn patients, patients with HIV/AIDS and also in the formulations of drugs for postoperative parenteral nutrition [1-3].

Vazoton reduces diastolic AP (treatment of arterial hypertension) due to the decrease of arterial musculature tension and the dilation of peripheral resistive arteries by improving nitric oxide supply; increases collateral blood flow to the occluded coronary vessel in patients with coronary heart disease; it is applied to prevent atherosclerosis and its complications, to improve cardiovascular system functional state. Vazoton promotes the improvement of the general condition of patients with coronary heart disease: reduction of angina attacks frequency, decrease of the nitrates intakes quantity, increase of endurance to physical exertion, and effectiveness of antihypertensive drugs' action; it improves rheological blood properties, prevents blood clots formation, significantly reduces the risk of blood clots and atherosclerotic plaques; it is involved in the production of somatotropin, growth hormone that promotes growth intensity.

One of the first studies on the Arginine antitumor activity was performed in 2001 [5]. Immunohistochemistry was applied to investigate the proliferative activity of tumor tissue from 44 patients with colorectal cancer who received during 3 days 25 g/day of Arginine. Patients demonstrated the reduction of cells fraction in S-phase and tumor growth inhibition.

Tivortin. Arginine is the basis for the enzyme NO-synthetase, which acts as a catalyst at nitric oxide synthesis in the endothelial vessels' coat cells. The increased formation of NO leads to the dilatation of peripheral vessels and to the reduction in total peripheral resistance, thereby reducing blood pressure and decreasing oxygen starvation of various tissues, primarily myocardial one. The activation of guanylate cyclase and the increase in the number of cyclic GMP (guanine monophosphate) in endothelial cells, the decrease in adhesion and aggregation of platelets and leukocytes, the synthesis of adhesive proteins, that contributes to the prevention of ath-

erosclerotic plaques formation happen as well. The drug inhibits the endothelin formation – the substance having potent vasoconstrictor effect and stimulating the division of vessel wall smooth muscle cells. When taking the drug, the production of thymus gland T-cells increases, the blood glucose level decreases, lacticemia caused by physical exertion is eliminated, acid-base balance is regulated. Arginine promotes neutralization of ammonia by enhancing its conversion into non-toxic urea, and acceleration of its excretion from the body by the kidneys. It exhibits anti-amnestic and nootropic activity prevents stress changes of neurotransmitter metabolism in the central nervous system and increases the oxidative phosphorylation of certain proteins.

Indications. Cardiovascular diseases: atherosclerosis of coronary and peripheral vessels, ischemic heart disease, including angina, recovery period after a previous myocardial infarct; arterial hypertension, cardiomyopathy, chronic heart failure, postembolic pulmonary hypertension, diabetic angiopathy. Neurological diseases: atherosclerotic changes in cerebral vessels with hypoxia signs (vertigo, headache, tinnitus, impaired mnestic processes), acute stroke and its consequences (dysphasia, memory, attention impairment, paresis and paralysis). Respiratory system diseases: chronic obstructive pulmonary disease, interstitial pneumonia, bronchitis with obstruction symptoms. Metabolic disorders: hyperammonia, hypercholesterolemia, metabolic alkalosis. Liver diseases: chronic and acute hepatitis of various etiologies. Asthenic conditions after surgery and infection diseases. Decreased function of the thymus gland.

The method of poliradiomodification during small-fraction neoadjuvant chemoradiotherapy in patients with colorectal cancer [4], based on the application of antimetabolite Tegafur and systemic administration of Tivortin (4.2 g of Arginine hydrochloride in 100 ml of 0.9 % sodium chloride) as a substrate for NO endogenous synthesis was tested in the clinic. The application of L-arginine hydrochloride promotes to reduce the level of hepatotoxicity of neoadjuvant chemoradiotherapy, particularly, by decreasing the intensity of hepatocytolysis. It was achieved the significant increase in 3-year total and disease-free survival of 89.6% and 82.5%, respectively versus 71.2% and 63.4% in patients receiving only Tegafur.

Literature

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Arginine is conditionally indispensable amino acid. It shows antioxidant, antitumor, radio-modifying, cytoprotective, cardioprotective, actoprotective, immunomodulating, antihypoxic, hypotensive, hypoglycemic, wound-healing, neuromodulating, antidepressant, hepatoprotective, detoxifying, antiasthenic, lipolytic and membrane-stabilizing action.

ЛІКАРСЬКІ ЗАСОБИ РАДІОЛОГІЧНОЇ ФАРМАКОЛОГІЇ. ПОВІДОМЛЕННЯ 6

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Аргінін – умовно незамінна амінокислота. Він має антиоксидантну, протипухлинну, радіомодифікуючу, захисну, кардіопротекторну, актопротекторну, імуномодулюючу, антигіпоксичну, гіпотензивну, гіпоглікемічну, ранозагоювальну, нейромодулюючу, антидепресивну, гепатопротекторну, дезінтоксикаційну, антиастенічну, ліполітичну і мембраностабілізуючу дію.

ЛЕКАРСТВЕННЫЕ СРЕДСТВА РАДИОЛОГИЧЕСКОЙ ФАРМАКОЛОГИИ. СООБЩЕНИЕ 6

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Аргинин – условно незаменимая аминокислота. Оказывает антиоксидантное, противоопухолевое, радиомодифицирующее, цитопротекторное, кардиопротекторное, актопротекторное, иммуномодулирующее, антигипоксическое, гипотензивное, гипогликемическое, ранозаживляющее, нейромодулирующее, антидепрессивное, гепатопротекторное, дезинтоксикационное, антиастеническое, липолитическое и мембраностабилизирующее действие.