ABSTRACT
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Some human endocrinal tumors overexpress peptide specific receptors. This discovery forms the basis of the conception of the usage receptor specific peptide-based radiopharmaceuticals for diagnostic imagining and more recently, for receptor-targeted radiotherapy. However, considering accumulation and retention in renal tissue, these substances might cause the radiotoxic damage of kidneys. So nephrotoxicity is a factor restricting the application of radiopharmaceuticals in therapy, because only a limited amount of radiopharmaceutical doses could be used.

The aim of the study was to investigate the rate of accumulation and the renal uptake mechanisms of the new gastrin derivate – DOTA-minigastrin 11 (DOTA-MG11) 111indium-labeled in the cellular in vitro model. Properties of ^{111}In-DOTA-MG11 were confronted with these in substances from another group of receptor specific radiopeptides – somatostatin analogs such as ^{111}In-DOTA-naphtyl-octreotide (^{111}In-DOTA-NOC) and ^{111}In-DOTA-octreotate (^{111}In-DOTA-TATE). For quantitative comparison of the accumulation of ^{111}In-DOTA-MG11 in the renal cells the substances with already well-known uptake mechanism such as ^{99m}Tc-MAG3 and ^{99m}Tc-DMSA were used.

As the experimental cell model, the isolated rat renal cells obtained by perfuse collagenase method from the rat kidney were applied in the study. The cells were used for both the quantitative rate of accumulation and considering the contribution of active and passive transport process. The comparative results have shown that ^{111}In-DOTA-MG11 accumulates in renal cells with similar or lower intensity than labeled somatostatin derivates ^{111}In-DOTA-NOC and ^{111}In-DOTA-TATE whose uptake considerably claims the active endocytosis. By comparison with ^{99m}Tc-MAG3 that is recaptured via the system of organic anion transporter for the weak acids, the accumulation of ^{111}In-DOTA-MG11 is far-lower. Even in comparison with ^{99m}Tc-DMSA that is transported mainly passively, the accumulation of ^{111}In-DOTA-MG11 is lower. Both the inhibition influence of low temperature on active transport mechanism and inhibition of active endocytosis by aprotinin on the ^{111}In-DOTA-MG11 accumulation have not been significantly proved.

These results display that the investigated radiolabeled minigastrin shows relatively low accumulation in rat renal cells and also that it is transported through renal cells membranes probably passively without the contribution of megalin transport system.