Synthesis, biodistribution and excretion of radiolabeled poly(2-alkyl-2-oxazoline)s.

Abstract:

Here we report on the preparation of well defined water-soluble poly(2-methyl-2-oxazoline) and poly(2-ethyl-2-oxazoline) terminally equipped with a chelator (N,N',N'',N'''-tetraazacyclododecane-1,4,7,10-tetraacetic acid (DOTA)) for radionuclide labeling. The tissue distribution and excretion of (111)In-labeled poly(2-alkyl-2-oxazoline)s were studied in mice. We found that the hydrophilic polymers do not accumulate in tissues and are rapidly cleared from the blood pool, predominantly by glomerular filtration in the kidneys. In contrast only a small fraction is excreted via the hepatobiliary tract. Only minimal amounts of poly(2-alkyl-2-oxazoline)s are taken up by the reticuloendothelial system (RES). Scintigraphic studies revealed the feasibility of in vivo imaging of (111)In-labeled poly(2-oxazoline). Since additional functionalities for targeting can readily be introduced into poly(2-oxazoline)s via functional monomer units, these compounds fulfill fundamental requirements for an application as carrier molecules in radionuclide therapy.