Abstract

With the growing importance of positron emission tomography (PET) for in vivo imaging in diagnostic medicine there is great interest of developing new labelling methods for the positron emitter selenium-73. As attractive application an examination of a no-carrier-added (n.c.a.) preparation of the analogous tracer Sulindac Selenid and of the selenium containing compound Ebselen was examined with $^{73,75}\text{Se}$. 

First of all a labelling strategy for Sulindac Selenid based on a protected precursor was developed. This precursor should further be transformed into the corresponding standard compound for chromatographic identification of the n.c.a. product. This, however, was not possible. An alternative synthesis method also did not result in a product. Thus, a radioactive labelling in case of Sulindac Selenid was not indicated in spite of a successful synthesis of a precursor.

The preparation of Ebselen was performed as earlier described by a sequential one-pot synthesis with a yield of 46 %. An adaption of the reaction parameters to a radiosynthesis with $^{75}\text{Se}$ failed on the n.c.a. state and also after adding carrier to the reaction mixture. The desired product could, however, be prepared in a copper catalysed one-pot radiosynthesis for the first time under carrier-added conditions. Here, optimized conditions resulted in radiochemical yields of $60 \pm 18 \%$.

A no-carrier-added product could finally be achieved using sulphur as non-isotopic carrier in the reaction mixture. After optimisation of reaction parameters n.c.a. $[^{75}\text{Se}]\text{Ebselen}$ could be synthesized with radiochemical yields of $55 \pm 7 \%$ within 4 h. Furthermore the desired product could be separated by RHPL-chromatography from its co-produced sulfur-analogue.

After transferring the conditions to radiosyntheses with the positron emitter $^{73}\text{Se}$, n.c.a. $[^{73}\text{Se}]\text{Ebselen}$ could be achieved with a radiochemical yield of $22 \pm 1 \%$ and can now be used as a potential radiotracer in preclinical evaluation studies with respect to tracer application with PET. First in vitro distributions studies with slices of rat brain were already performed by autoradiography.