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A Practical One-Pot Synthesis of Positron Emission Tomography (PET) Tracers via Nickel-Mediated Radiofluorination





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The group of Professor Bernd Neumaier

Invited for this month's cover picture is the group of Professor Bernd Neumaier at the Institute of Radiochemistry and Experimental Molecular Imaging at the University Clinic of Cologne. The cover picture shows the differences in brain metabolism of a healthy young and a healthy old subject, as well as a patient suffering from Parkinson's disease (left to right) uncovered by 6-[¹⁸F]FDOPA-positron emission tomography (PET). Morbus Parkinson occurs when nerve cells that produce dopamine begin to die. The shortage of dopamine leads to movement problems in affected individuals. 6-[¹⁸F]FDOPA is extensively used to evaluate the progression of Parkinson's disease. Bold stick projections of this PET tracer, as well as a neuronal network, are seen in the background. Unfortunately, conventional procedures to produce 6-[¹⁸F]FDOPA are cumbersome. Thus, several recent developments aim at the simplification of this radiosynthesis. In our work, we studied the applicability of the recently reported Ni-mediated radiofluorination approach for daily routine production of 6-[¹⁸F]FDOPA. For more details, see the Full Paper on p. 457 ff.

In one word, how would you describe your research?

"Translation". The main task of our research effort is the transfer of synthetic methods from organic chemistry into PET chemistry. This should facilitate the accessibility of known PET tracers and, furthermore, enable an accelerated development of novel molecular probes for clinical applications. The primary goal of our research is to improve the efficacy of clinical diagnostics and, ultimately, patient care.

What are the main challenges in the broad area of your research?

There are two types of constraints which we are confronted with. The first one is related to a lack of disease-associated molecular targets that could be efficiently used for clinical functional imaging. The second one originates from the properties of typical PET nuclides such as short half-lives (10–120 min). Additionally, application of tracers with high specific activities is often mandatory for the acquisition of diagnostically conclusive images.

Furthermore, syntheses with PET nuclides are challenging due to time and mass restrictions (nanomolar synthesis scale). Moreover, since high levels of radioactivity are manipulated, the automation of radiolabeling procedures is obligatory. Consequently, radiofluorination methods are still rather rare in comparison to fluorination methods used in conventional organic chemistry.

Is your current research mainly driven by curiosity or rather applied?

Curiosity is the most powerful driving force in the development of mankind. Naturally, it is also the main motor in scientific progress. However, our institute is financed by public tax funds. Correspondingly, we always try to apply emerging developments in fundamental research into practically relevant applications (e.g. for clinical use).

