

SUMMARY

The chemistry of fluoroalkyl hypervalent iodine reagents has witnessed a great boost in recent years. These compounds are highly attractive as drug candidates, advanced materials and agrochemicals as described in detail in the Introduction. Despite this fact, applications of these reagents in biological studies are rather rare and under developed.

The goal of this thesis is therefore the development of mild and metal-free methods in order to fill this gap. Two ways of application of fluoroalkyl hypervalent iodine reagents in labeling of biologically relevant compounds was explored.

First, the applicability of previously reported parent Togni CF₃ and their analogous tetrafluoroethyl reagents in radical fluoroalkylation of electron-rich substrates such as indole and pyrrole derivatives using sodium ascorbate as reductant was described. This afforded trifluoromethyl or 1,1,2,2-tetrafluoroethyl containing products in moderate to high yields. Next, same reagents were applied for labeling of several peptides and proteins bearing aromatic amino acids in their structure. This way, peptides and proteins containing electron-rich aromatics such as Trp, Phe, Tyr and His were reacted with fluoroalkyl groups with high selectivity toward Trp.

In the second part of the work, a different approach of radical fluoroalkylation of electron-rich substrates or biologically relevant compounds using hypervalent iodine reagents in presence of visible light was investigated. This method offers a more selective way for fluoroalkylation of Trp residues. Such selectivity and mild reaction conditions are hardly achievable with existing methods, therefore our strategies based on hypervalent iodine reagents open up a new approach in the bioconjugation field.