

ダス プロジェリタ

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学位論文題目 Design of Methodologies for the Synthesis of Novel Organo-Fluoro-Sulfur Compounds  
(新規含フッ素-硫黄化合物の設計および合成法の開発)

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## 論文内容の要旨

The field of organo-fluoro-sulfur chemistry have undergone tremendous progress in the past several decades. However, new and advanced methodologies, and new interesting molecules are always sought after, which can overcome the drawbacks of the reported literature and provide suitable compounds for drug and material exploration. This thesis describes our contribution to the field of organo-fluoro-sulfur chemistry with the development of new methodologies for late stage fluorination. We have synthesized new reagents for the insertion of pyridine-SF<sub>5</sub>, Aryl-SO<sub>2</sub>CF<sub>3</sub>, pyridine-SO<sub>2</sub>CF<sub>3</sub> groups into organic moieties. Also, we have analysed the bioactive behaviour of several fluorinated compounds against tumor cells. And finally, we have synthesized a variety of pyridine-SF<sub>4</sub>-derivatives, a group which is highly underdeveloped and has been lying dormant for years.

Chapter 1 reveals the synthesis of pentafluorosulfanyl (SF<sub>5</sub>)-pyridylaryl-λ<sup>3</sup>-iodonium salts, which acts as electrophilic reagents for the insertion of the pyridine-SF<sub>5</sub>-moiety by transfer pyridylation. These reagents are shelf stable and mild, which rids chemists from using the harsh reaction conditions and special equipment for the synthesis of pyridine-SF<sub>5</sub> compounds. Use of these reagents under mild conditions can lead to insertion of pyridine-SF<sub>5</sub> moiety into *C*, *N*, *O* and *S*-nucleophiles.

Chapter 2 tackles with the issue of regioselective substitution on the aryl/pyridyl-SO<sub>2</sub>CF<sub>3</sub> compounds by the use of triflyl-aryl/pyridyl-λ<sup>3</sup>-iodonium salts as electrophilic reagents. Use of these shelf stable and mild reagents lead to the chemoselective transfer of the aryl/pyridyl-SO<sub>2</sub>CF<sub>3</sub> group to attacking nucleophiles, namely *C*, *N*, *O* and *S*-nucleophiles, providing products in good to excellent yields.

Chapter 3 is an investigation of the bioactive efficiency of various fluorinating reagents, especially focussing on fluorinated-hypervalent iodine reagents. The bioactivity of the compounds was analysed against human leukemic monocyte lymphoma U937 cells and good efficiency was observed for *ortho*-fluorinated diaryliodonium salts, especially, the newly synthesized *ortho*-SF<sub>5</sub>-diaryl-λ<sup>3</sup>-iodonium salt.

Chapter 4 describes the synthesis of Pyridine-SF<sub>4</sub>-adducts for the first time *via* radical reactions. The most interesting feature of this work was the stability of the pyridine-SF<sub>4</sub>-addition products, unlike the benzene analogues. DFT investigation revealed that the pyridine-analogues were thermodynamically stable than the benzene ones. Thus, the stable pyridine-SF<sub>4</sub>-alkynes were used for 1,3-cycloadditions to provide interesting SF<sub>4</sub>-bridged pyridine and triazole compounds, which can be attractive molecules for medicinal investigation.

Chapter 5 summarizes the complete work, which is been described in the thesis.

Chapter 6 is the experimental section, which provides detailed experimental procedures and characterization of the new compounds.