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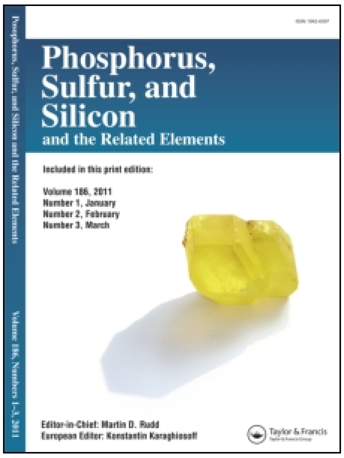

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One-Step Reactions to the Synthesis of Fluorine-Containing 1,3-Tiazoles, Thiazines and Heterodienes

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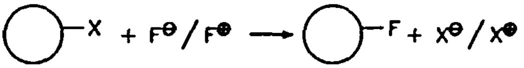
A preparatively simple access to partially fluorinated cyclic- and open-chain heterocompounds containing sulfur and nitrogen was developed by the reactions of easily available and perfectly stable perfluorinated α,β-unsaturated isothiocyanates and thiocyanates with N-nucleophiles.

INTRODUCTION

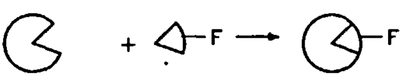
Heterocycles containing sulfur and nitrogen are well known as a substances very often possessing biological activity and using in practice in divers areas.[1] On the other hand it is known that the introduction of fluorine or short-chain perfluoroalkyl substituents may change the physical and chemical properties of compound and as a result - modify reactivity, selectivity in chemical reactions, biological activity and material properties considerably.[2] Therefore, the combination of these three elements - sulfur, nitrogen and fluorine - in one heterocyclic molecule is of current interest.

The methodologies for the introduction of fluorine or fluorinated substituent into organic molecule can be divided on three general groups:

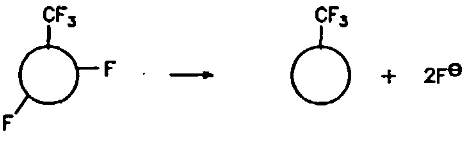
1. *Direct introduction* - *via* replacement of hydrogen or halogen in organic molecule by fluorine [see Ref. 3].



2. *"Building block"* introduction - *via* including of fluorine-containing building block into unfluorinated organic molecule [see Ref. 3].

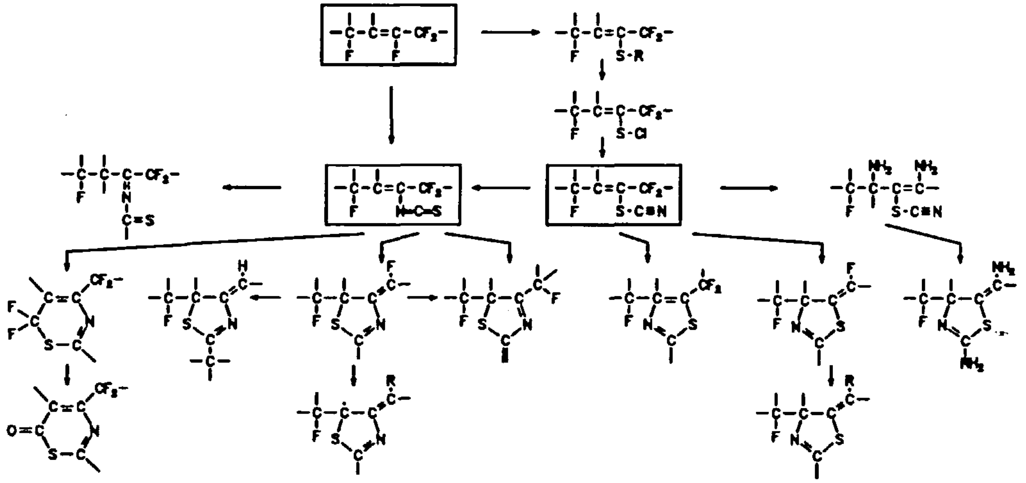


3. *Fluoroalkylation of organic molecule* by partial losses of fluorine in perfluorinated molecule *via* consecutive inter and/or intramolecular transformations.



The third of the above-mentioned methodologies, illustrating the effect of fluorine on reactive intermediates as a substituent with which it is possible to manipulate, starts to be very useful and attractive alternative. In the limits of the third methodology, starting from

from perfluorinated α,β-unsaturated isothiocyanates and/or thiocyanates (obtained from internal perfluoroolefines and first reported in our papers [4,5]) and N-nucleophiles (amines and enamines) we developed [6-9] the preparatively simple access to fluorine-containing derivatives of 4,5-dihydro and 2,5-dihydro-1,3-thiazoles, 6*H*-thiazines and 1-thia-3-aza-1,3-dienes, which are themselves interesting building blocks for heterocyclic synthesis. The methodological scheme of developed and realized synthesis is given below.



In contrast to unfluorinated α,β-unsaturated thiocyanates and isothiocyanates their fluorine-containing analogues are perfectly stable, having not tendency to polymerize on storage, accessible with high yields by convenient and common methods.[4,8] The presence of additional functionalities in their case, namely a highly electrophilic CC double bond, capable for nucleophilic attack and mobile fluorine atoms in allylic position, enlarging the synthetic potential of these classes of compounds considerably, providing their utilization as a versatile synthons for cyclic- and open-chain heterocompounds synthesis.

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