New Approach to the Synthesis of the Functionalized Fluoroalkenes – New Perspective Types of Medicines

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Insertion of a fluorine atom into organic molecules allows to increase their bioactivity. Nowadays 40% of all medicines contain in their structure one or more fluorine atoms. Particularly introduction of the fluoroallylic fragment allows to make more efficient medicines due to it's bioisosterity to the amide group. However, it's impossible to introduce many functional groups nearby double-bond using known methods. We propose new reagents such as fluorobromoallylsilanes and boranes for the synthesis of functionalized fluoroalkenes. They have dualistic properties as far as they can take part in reactions with both electophiles and nucleophiles. For their synthesis we have developed a new method which consist of carbene cyclopropanation of C=C bonds by sodium dibromofluoroacetate catalyzed by (IPr)AgCl and further isomerization of the cyclopropanes. We proved that this new reagents can take part in reactions of amination, borylation, electrophilic bromination. Allylation of aldehydes with our reagents revealed their high potential for the synthesis of fluorinated analogues of already patented medicines. All obtained compounds were purified by column chromatography and characterized by 1H, 19F, 13C NMR spectra and HRMS or elemental analysis data.

Awards Won:
Second Award of $2,000
American Chemical Society: Third Award of $2,000
China Association for Science and Technology (CAST): Award of $1,200
University of Arizona: Tuition Scholarship Award