

Development of Selective Mono- and Difluorination of Silyl Enol Ethers using Novel Electrophilic Fluorinating Reagents

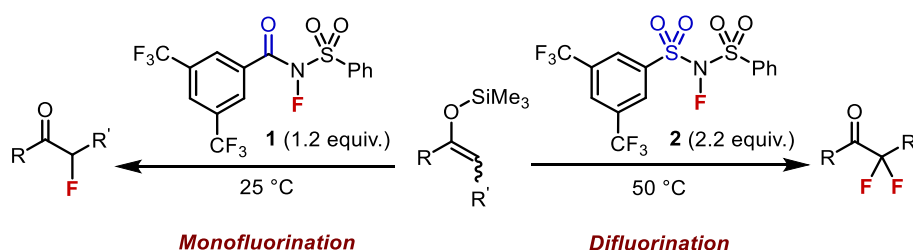
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Organofluorine compounds constitute a valuable class in the fields of pharmaceuticals and agrochemicals, because biological activity and physicochemical properties, such as bioavailability, lipophilicity, and metabolic stability, can be improved by the introduction of fluorine atom(s).^[1] Therefore, efficient methods for the synthesis of fluorinated compounds have been intensively studied, recently.^[2] Development of practical electrophilic fluorinating reagents is indispensable for this purpose.^[3] Herein, we report the synthesis of novel and bench-stable electrophilic fluorinating reagents **1** and **2** as substitutes for NFSI (*N*-fluorobenzenesulfonimide). With these in hand, the highly selective mono- and difluorination of silyl enol ethers were accomplished.

Reagent **1**, obtained by replacing one of the sulfonyl groups of NFSI with an acyl group, led to the highly selective monofluorination of silyl enol ethers derived from ketones with suppression of the undesired overreaction, that is difluorination. In sharp contrast, reagent **2**, bearing electron-withdrawing substituents on one of the benzenesulfonyl groups of NFSI, efficiently facilitated the difluorination of silyl enol ethers derived from ketones without any bases. These results indicate that both mono- and difluorinated target materials can be selectively synthesized from the same substrates through the molecular design of NFSI-derivatives.



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