O_8

N-FLUOROPYRIDINIUM TRIFLATE AND ITS DERIVATIVES – USEFUL FLUORINATING AGENTS

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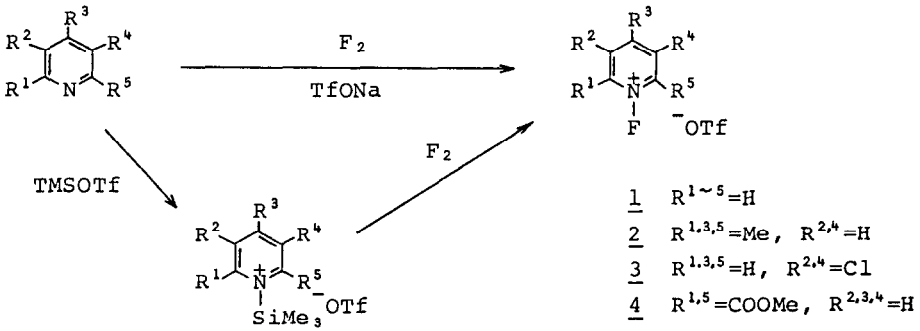
The development of effective, tractable, and easily prepared reagents for the selective fluorination of a variety of organic compounds has been of great importance because of difficulty in preparing the fluorinated compounds. We have developed N-fluoropyridinium triflate and its derivatives as such fluorinating agents according to our idea that the compound consisting of the combination of F^+ and the strongest leaving group ^-OTf through mediation of a certain organic compound would be the useful agent. The triflates are stable and nonhygroscopic crystals. Other N-fluoropyridinium salts with counter-anions such as BF_4^-, PF_6^-, SbF_6^-, and ClO_4^- were also synthesized.



1 $R^{1\sim5}$=H
2 $R^{1,3,5}$=Me, $R^{2,4}$=H
3 $R^{1,3,5}$=H, $R^{2,4}$=Cl
4 $R^{1,5}$=COOMe, $R^{2,3,4}$=H

Control experiments showed that the fluorinating ability increases in the order of 2<1<3<4, which is related to the electron density of the nitrogen sites of pyridine nuclei. Since one can choose the best reagents according to the purpose, our reagents have wide application. As the result, our reagents could fluorinate aromatics, enol ethers, carbanions, active methylene compounds, α-positions of sulfides mildly and selectively.

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