Access to heterocycles bearing emergent fluorinated substituents — as FAR as possible

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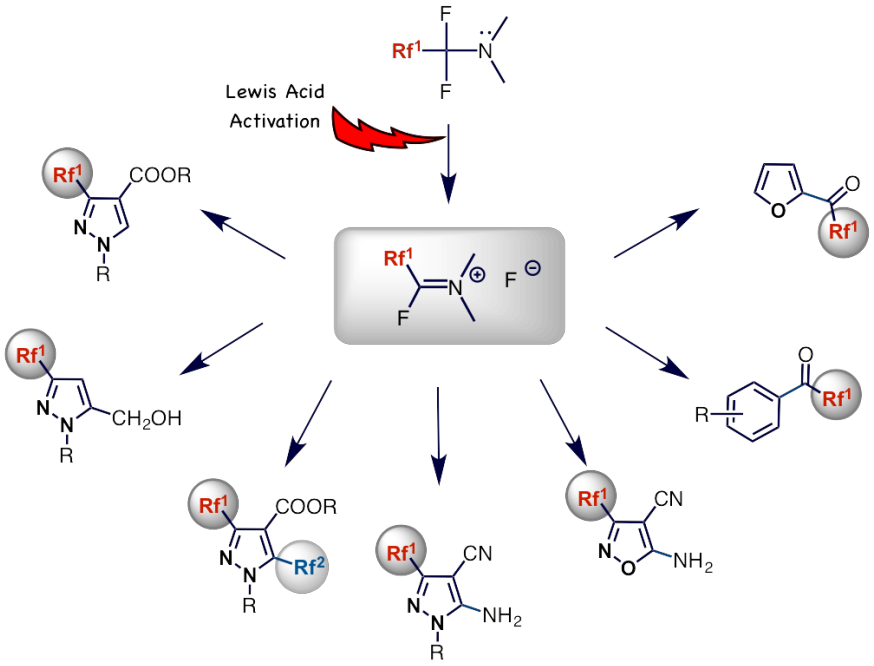
Organofluorine compounds play a key role in modern drugs and crop protection. Fluoroalkyl groups are popular functional groups and their introduction can significantly improve biological activity of active ingredients. α,α-Difluoroalkylamines like TFEDMA (HCF_2CF_2-NMe_2), Yarovenko ($HCFClCF_2$-NEt_2) or Ishikawa (CF_3CFHCF_2-NEt_2) reagents belong to the so-called **F**luoroalkyl **A**mino **R**eagents (**FAR**) and can be readily prepared from commercially available fluoro-olefins (monomers used for polymers production) and secondary amines. While these reagents have previously been used for the replacement of OH with fluorine in alcohols and carboxylic acids, we recently became interested in their use to prepare fluoroalkyl-pyrazoles. It has been demonstrated that FARs, after activation with Lewis acids such as BF_3 and $AlCl_3$, afford iminium salts with Vilsmeier-type activity. We have exploited this reactivity to prepare different fluorinated heterocycles, which are important building blocks for Life Science oriented research.[1-5]



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