Assembling of Quaternary Trifluoromethyl Aziridines *via* Telescoped Homologations of Imines Surrogates

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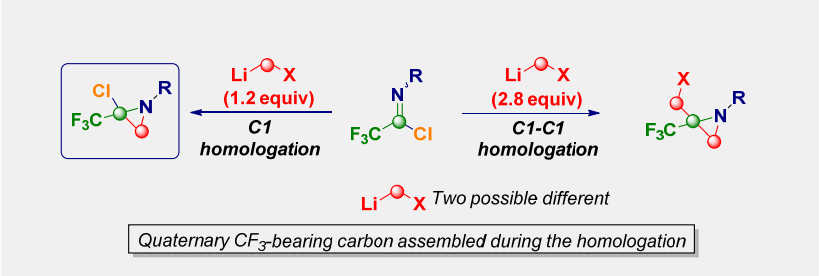
Embodying a trifluoromethyl group into an organic skeleton finely modulates the physico-chemical properties, thus resulting in a synthetic transformation of high value in medicinal chemistry.[1] Incorporating such a functionality within a 3-membered nitrogen cycle would conduct to unique scaffolds (CF_3-aziridines) featuring interesting reactivity, synthetic versatility and pharmacological properties determined by the interaction of this lipophilic core with biological targets.[2]

We present the assembling of quaternary all-substituted trifluoromethylaziridines *via* a single synthetic operation consisting in the lithium halocarbenoids[3] mediated mono- or bis-homologation of trifluoroacetimidoyl chlorides.[4] These easily accessible electrophilic substrates act as convenient placeholders for installing up to *two* nucleophilic elements: the fine tuning of the reaction stoichiometry accounts for excellent levels of chemocontrol. Accordingly, the use of an excess of homologating agent enables to formally install *two* carbon units, namely the methylene fragment of the aziridinyl ring and, the functionalizing exocyclic halomethylenic moiety. Based on mechanistic – experimental evidences two different carbenoids can be advantageously used for the process. Uniformly high yields, superb chemoselectivity and efficiency make the overall sequence a straightforward and modular route towards a new class of chemical entities assembled and functionalized within a unique synthetic event.



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