

Ruppert - Prakash reagent as a difluorocarbene synthetic equivalent for the synthesis of medchem-relevant building blocks

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Introduction and Aim

Modern medicinal chemistry widely exploits two structural motifs to improve physico-chemical characteristics of the compounds: fluorine atoms and small rings. Gem-difluorocyclopropanes combine both these structural features and are therefore considered as very promising building blocks for early drug discovery.

A common approach to the construction of the gem-difluorocyclopropane core relies on the difluorocyclopropanation of alkenes. The Ruppert -Prakash reagent (CF₃SiMe₃) is one of the most convenient difluorocarbene equiavent to achieve that transformation; nevertheless, it was studied scarcely to date, mostly with non-functionalized substrates. In a series of works, we describe synthesis of various functionalized difluorocyclopropanes - valuable building blocks for medicinal chemistry.



Substrate reactivity and plausible mechanism



Functionalized difluorocyclopropanes - advanced building blocks for drug discovery



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