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A New Round Of Fluorocyclopropanes

Organic Synthesis: Streamlined synthesis provides a new option for making key subunits of small-molecule drugs and agrochemicals

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Cyclopropane and fluorine are two of the simplest subunits for adding stability to and enhancing the bioactivity of pharmaceuticals and agrochemicals. Combining the two into one—a fluorocyclopropane group—is therefore becoming popular. But a general method for synthesizing fluorocyclopropanes remains a challenge. A team led by Philippe Jubault of Normandy University, in France, and **André B. Charette** of the University of Montreal has developed a rhodium-catalyzed addition of diazo compounds to fluorinated alkenes as the first general method for making highly functionalized fluorocyclopropanes (*Org. Lett.* 2015, DOI: **10.1021/acs.orglett.5b00576**). Fluorocyclopropanes are typically made by addition of a fluorocarbene to an alkene, ring closure of fluoroalkenes, direct fluorination of cyclopropanes, or addition of carbenes to fluoroalkenes. Building on the last approach, the researchers found that a dirhodium pivalate catalyst couples a range of diazo compounds and prefunctionalized fluorinated alkenes with moderate diastereoselectivity (one example shown). They also show that the products can serve as intermediates and be further functionalized to develop more diverse fluorinated molecules. The new approach could prove even more versatile, the team notes, once they work out the kinks of an enantioselective version of the reaction.

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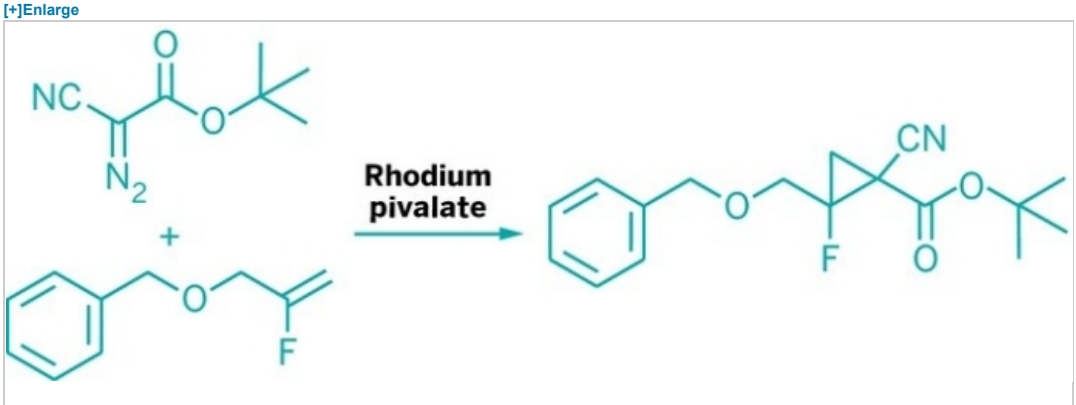

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