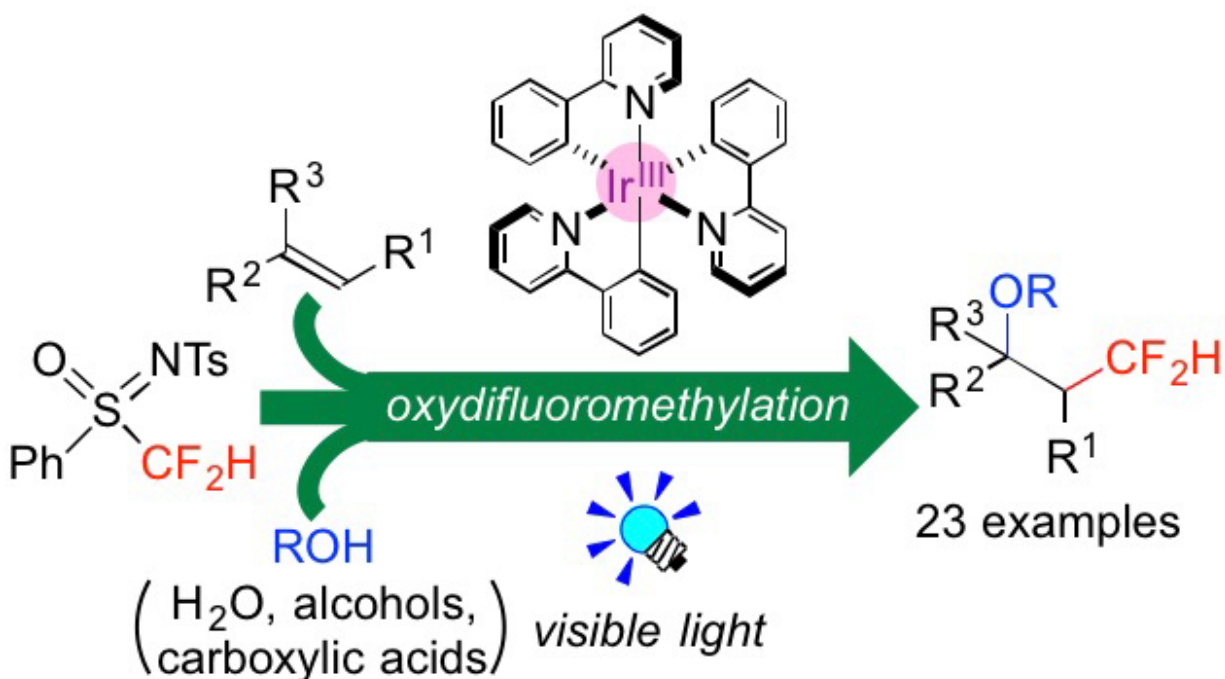


Simple synthesis of CF₂H-containing organic molecules

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Photocatalytic oxydifluoromethylation of olefins. Credit: Tokyo Institute of Technology

Organofluorine compounds are important in the fields of pharmaceuticals, agrochemicals and functional materials, because incorporation of fluorine atoms into organic molecules frequently influences their medicinal actions as well as chemical and physical properties. In particular, difluoromethyl group (CF₂H) is an intriguing

structural motif, especially in medicinal chemistry, because it may provide a lipophilic hydrogen donor and act as bioisostere of alcohols and thiols.

However, the direct and catalytic incorporation of a CF₂H group into organic molecules still remains to be further developed, while several methods have been reported.

Now, Takashi Koike, Munetaka Akita and their colleagues at Laboratory for Chemistry and Life Science, Institute of Innovative Research, Tokyo Institute of Technology, have developed a novel and simple protocol for the direct incorporation of the CF₂H group into organic skeletons by visible-light-driven photoredox catalysis.

The reactions were performed in the presence of N-tosyl-S-difluoromethyl-S-phenylsulfoximine employed as the CF₂H source and fac-[Ir(ppy)₃] (ppy = 2-pyridylphenyl) photocatalyst under visible light irradiation (425 nm blue LED lamp).

The photocatalytic reactions of olefins bearing various functional groups with oxygen-nucleophiles such as water, alcohols and carboxylic acids afforded β-CF₂H-substituted alcohols, ethers and esters in a regiospecific manner, respectively.

CF₂H-containing [organic molecules](#) may show medicinal activities superior to those of existing medicines.

More information: Yusuke Arai et al. Oxydifluoromethylation of Alkenes by Photoredox Catalysis: Simple Synthesis of CFH-Containing Alcohols, *Chemistry - A European Journal* (2016). [DOI: 10.1002/chem.201504838](https://doi.org/10.1002/chem.201504838)

Provided by Tokyo Institute of Technology

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