ジフルオロベンゾシクロブテノン誘導体の付加反応の検討

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Introduction of fluorine atoms into organic compounds can give the parent molecules with improved weather and chemical resistance properties. In particular, gem-difluoromethylene compounds, in which two fluorine atoms are bonded on the same carbon, have been applied in various fields such as pharmaceuticals, agrochemicals and materials. In our laboratory, addition reactions of difluoro compounds with aldehydes have been previously studied, but no reports exist on their addition reactions with carboxylic acid derivatives. In this study, we aimed to synthesize novel difluoromethylene compounds through addition reactions between difluoro compounds and carboxylic acid derivatives. Specifically, the reaction of O-silyl acetal of difluorobenzocyclobutenone ($\underline{1}$) with ethyl trifluoroacetate (5 equivalents) in acetonitrile as a solvent yielded the desired difluoromethylene compound ($\underline{2}$). In this presentation, we also describe application utilizing the synthesized difluoromethylene compounds.

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フッ素原子を有機化合物に導入することで耐候性や耐薬品性の効果が期待できる。また、有機フッ素化合物の中で、同一炭素上に2個のフッ素原子が結合したジフルオロメチレン基は医薬品、農薬、材料などの様々な分野で応用されている。当研究室ではジフルオロ化合物とアルデヒドとの付加反応は行われてきたが、カルボン酸誘導体との付加反応は行われていない。そこで、本研究ではジフルオロ化合物とカルボン酸誘導体との付加反応による新たなジフルオロメチレン化合物の合成を試みた。実際に、ジフルオロベンゾシクロブテノン誘導体(1)に対し、トリフルオロ酢酸エチルを5当量、溶媒としてアセトニトリルを用いることで、目的の付加体であるジフルオロメチレン化合物(2)を得ることができた。本発表では、得られた新たなジフルオロメチレン化合物を用いた反応の応用例についても述べる。

^a Determined by ¹⁹F NMR analysis using C₆F₆ as an internal standard.

^b Isolated yield.