

E2-42 gem-Difluorination of carbonyl compounds using sulfuryl chloride and pyridinium polyhydrogen fluoride via their 1,3-dithiolanes

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Selective fluorination is a valuable tool in chemistry, biology and medicine because this practice allows for drastic changes in the nature of the original molecule while retaining or even enhancing the critical features essential for reactivity. Gem-difluorination of organic compounds is one such reaction and is commonly achieved by a Wittig-like process, the nucleophilic displacement of difluorocarbons by stabilized carbanions or the nucleophilic attack of carbonyl groups by fluoride sources sometimes after converting the carbonyl functionality to hydrazones or dithiolanes. Dithiolanes can be converted to difluoromethanes with N-bromosuccinimide and pyridinium polyhydrogen fluoride (PPHF). However the removal of the succinimide, the haloamide and the byproducts is cumbersome.

This paper describes the attempt to convert diaryl ketones, alkyl-aryl ketones and some aromatic aldehydes to the corresponding gem-difluorides by a simple procedure, using SO_2Cl_2 and PPHF (in dichloromethane at -78°C to room temperature) via the corresponding 1,3-dithiolanes synthesized by standard literature procedure. The *de facto* reagent could be SO_2ClF^2 since the same results were obtained with SO_2ClF as well.

The 1,3-dithiolanes of benzophenone and its substituted analogues were converted to their geminal difluorides in high yields, and under mild conditions. However the dithiolanes of adamantanone, alkyl aryl ketones and the aldehydes underwent ring-chlorination in addition.

The method described therefore provides an excellent way to gem-difluorinate 1,3-dithiolanes of diarylketones (See Table 1). Of particular significance is the simple work-up procedure.