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Direct Synthesis of Fluorinated Heteroarylether Bioisosteres
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Direct Difluoroethylation of Hetero- aromatics, Michael Acceptors and Thiols

R¹ = various substituted heteroaromatics, Michael acceptors and thiols
R² = Me, CH₂-4-BrC₆H₄, (CH₂)₆Cl

Selected examples:

Significance: A novel protocol for direct difluoroethylation of a broad range of heterocycles, Michael acceptors and even thiols with sodium difluoroethylsulfinate (DFES-Na) has been described. DFES-Na is shown to be compatible with various sensitive functional groups, reacts site selectively in high conversion and is easy to handle.

Comment: Interestingly, performing the reaction with DFES-Na and *tert*-butylhydroperoxide (TBHP) solely results in only traces of the desired product. Only after addition of stoichiometric amounts of ZnCl₂ and TsOH·H₂O, the product is obtained in high yield.

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