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Direct Synthesis of Fluorinated Heteroarylether Bioisosteres
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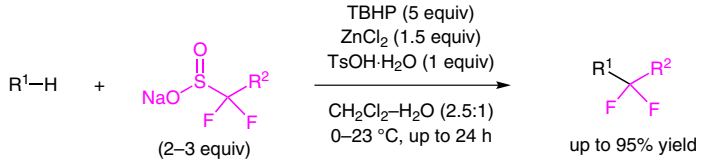
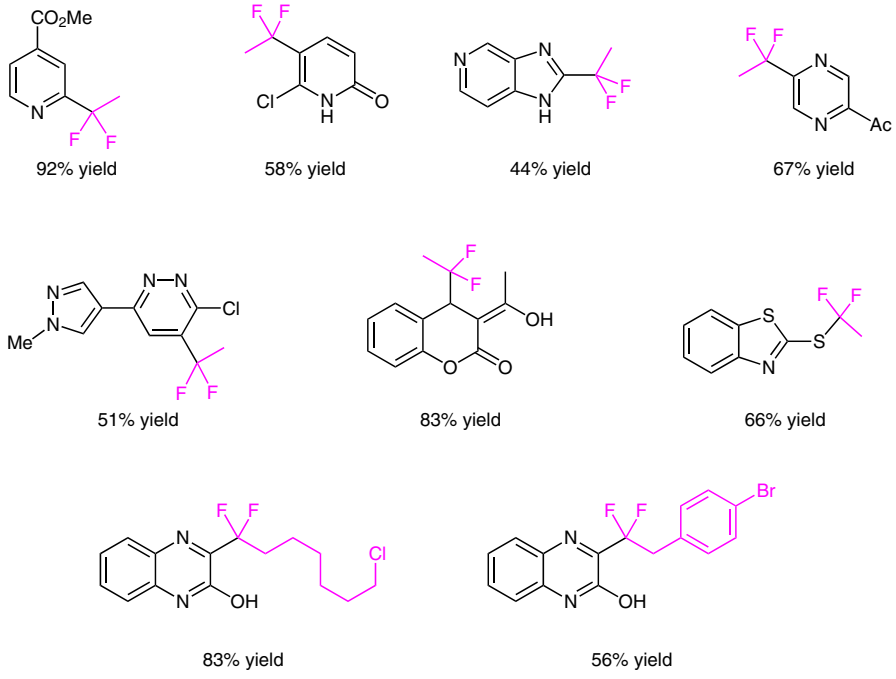
Direct Difluoroethylation of Heteroaromatics, Michael Acceptors and Thiols



R^1 = various substituted heteroaromatics, Michael acceptors and thiols
R^2 = Me, CH_2-4-BrC_6H_4, $(CH_2)_6Cl$

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 $ZnCl_2$ and $TsOH·H_2O$, the product is obtained in high yield.

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Category
Metal-Mediated Synthesis

Key words
difluoroethylation
bioisosteres
C–H functionalization