Direct Difluoroethylation of Heteroaromatics, Michael Acceptors and Thiols

**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.

**R₁-H** + **NaO(SOR₂)₂** (2-3 equiv) up to 95% yield

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

**Selected examples:**

- CO₂Me
  - 92% yield
- Cl
  - 58% yield
- N
  - 44% yield
- Me
  - 67% yield

- F
  - 51% yield
- OH
  - 83% yield
- S
  - 66% yield
- F
  - 56% yield
- Cl
  - 83% yield

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