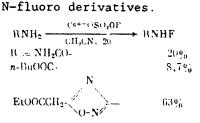
N-FLUORINATION OF SEVERAL NITROGEN COMPOUNDS BY CESIUM FLUOROXYSULFATE

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Cesium fluoroxysulfate (CFS) is a unique anionic electrophile, which may be used as a mild fluorinating agent in the synthesis of organofluorine compounds [1]. On the other hand, the feasibility of forming N-F bonds using CSF has not yet been noted.

We are the first to have established that the reaction of CSF with several compounds containing an amino group gives N-fluoro derivatives.

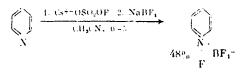


Monofluoro derivatives are predominantly formed. Difluoro derivatives are obtained only in the case of urea. Under analogous conditions, less reactive sulfamides give only traces of N-fluoro derivatives although their sodium salts are fluorinated by CSF in good yield.

$$p\text{-}\mathrm{CH}_{3}\mathrm{PhSO}_{2}\mathrm{NH}(t\text{-}\mathrm{Fu}) \xrightarrow{(t-\mathrm{NaOH}/2, -\mathrm{CS}^{+}\mathrm{OSO}_{3}\mathrm{OF})} p\text{-}\mathrm{CH}_{3}\mathrm{PhSO}_{2}\mathrm{N}(t\text{-}\mathrm{Bu}),$$

$$\overrightarrow{\mathrm{F}} = 69\%$$

Highly basic aliphatic amino derivatives such as pyridine and morpholine and electron-donor heterocyclic systems, such as dimethylpyrazoles and methylimidazoles, do not give N-fluoro derivatives with CSF. On the other hand, pyridine is smoothly fluorinated at the nitrogen group by the action of CSF.



The somewhat different results obtained by Stauber and Zupan [2] in a study of this reaction are apparently a consequence of secondary processes characteristic for N-fluoropy-ridinium salts [3].

Comparative analysis of these results indicates that CSF is a much less active fluorinating agent than elementary fluorine and even hypofluorites, R_f -OF, but is significantly more active than FClO₃ [4].

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