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N-FLUORO-SULTAMS: NEW REAGENTS FOR THE FLUORINATION OF CARBANIONS

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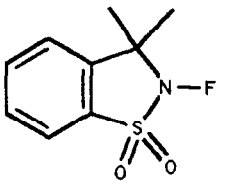
N-Fluoro-sultams, which are prepared in high yields by reacting the corresponding sultams with elemental fluorine (10% F_2/N_2, v/v), are useful reagents for the introduction of fluorine α to carbonyl or other activating groups. N-Fluorosultam 1 , in particular, allows mono-, di-, and even trifluorinations of carbanions with a high degree of selectivity [1]. Optically pure N-fluoro-sultams 2a and 2b are the first examples of enantioselective fluorinating reagents and enantiomeric excesses of up to 70% are observed with various prochiral enolates [2].



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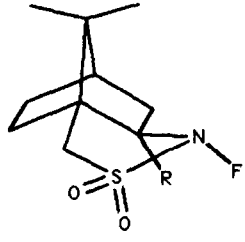

2 a) R=H
b) R=Me

Scope and limitations of these reagents will be described together with some applications to the synthesis of fluorinated molecules of biological interest.

1 E. Differding, R.W. Lang and E. Hungerbühler, to be published.
2 E. Differding, R.W. Lang, Tetrahedron Lett., 29, 6087 (1988).