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SYNTHESIS OF 3-AMINO-5-FLUOROALKYLFURANS BY INTRAMOLECULAR CYCLIZATION.

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ABSTRACT:

Furans are important structures in organic chemistry as intermediate in synthesis, and can be found in natural molecules or in pharmaceuticals and pesticides. Adding fluorine to those structures can enhance their biological properties, or for example render them more stable in acidic conditions, thanks to the electro attracting properties of this atom. Furans bearing amino groups are also important precursors in agrochemistry and pharmaceutics. However, fluorinated amino-furans are still scarcely described, in particular fluorinated 3-aminofurans, which are reported as more stable than those bearing an amino group in the 2 position.

In this communication, we will present the synthesis of 3-amino-5-fluoroalkylfurans by intramolecular cyclization of fluoroenones.³ The furans are obtained in excellent yields, and the methodology is compatible with 4 different fluorinated groups and tolerates a variety of substituents on the amine. Protection of the amine allows to stabilize those furans and to widen the scope.

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$$R_f = CF_3, CF_2CF_3, CF_2CF_3, CHF_2, CF_2CI$$

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