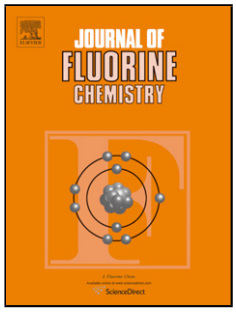

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New synthetic access to 3-fluoroalkyl-5-pyrazolecarboxylates and carboxylic acids

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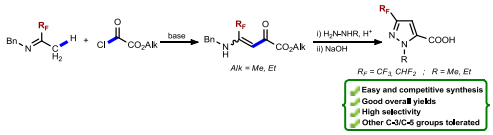
Graphical abstract



The synthesis of 3-fluoroalkyl-5-pyrazolecarboxylic acids was achieved by means of an optimised 3-step sequence from fluoroalkyl methylketones and alkyl oxalyl chlorides.

Highlights

- Convenient alternative to the scarce known methods to prepare 3-fluoroalkyl-5-pyrazolecarboxylates.
- Efficient and atom-economical synthesis of up to 18 compounds.
- Novel access to fluorinated and non-fluorinated vinamides with no precedents in the literature.
- Highly regioselective cyclization for the synthesis of 3,5-disubstituted pyrazoles.
- Easy setups, economical reagents and versatile tolerance to various functionalities.

Abstract

A novel process for preparing 3-fluoroalkyl-5-pyrazolecarboxylates and carboxylic acids is hereby presented. Easily accesible α-fluorinated ketimines were condensed with oxalyl monochloride derivatives, and the obtained vinamides underwent acid-catalyzed cyclization with substituted hydrazines. This highly efficient protocol can also be used for non-fluorinated C-3 and C-5 substituents.