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ACCEPTED MANUSCRIPT

Difluoromethylation of parent azoles

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Graphical abstract

Highlights

- The methods of *N*-difluoromethylation of parent azoles were developed
- The original methodologies would be useful in the cases of low-nucleophilic substrates or highly volatile final products
- The difluoromethylation of all parent azoles (from 1 to 4 N atoms) is described now

Abstract: The method for difluoromethylation of parent azoles, namely pyrrole, 1,2,3-triazole, 1,2,4-triazole and tetrazole was developed. Difluorometylation of pyrrole and 1,2,3-triazole was performed by action of chlorodifluoromethane. Sodium chlorodifluoroacetate was used as a difluoromethylation agent for 1,2,4-triazole and tetrazole. N-Difluoromethyl derivatives of pyrrole, 1,2,3- and 1,2,4- triazoles were synthesized in moderate to good yields in a multigram scale. N-difluoromethyltetrazole was obtained in a low yield.

Keywords: pyrrole, 1,2,3-triazole, 1,2,4-triazole, tetrazole, difluoromethylation

1. Introduction

Difluoromethylation of organic compounds attracts considerable attention in modern fluoroorganic chemistry [1]. The introduction of CF₂H group can affect membrane permeability,

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