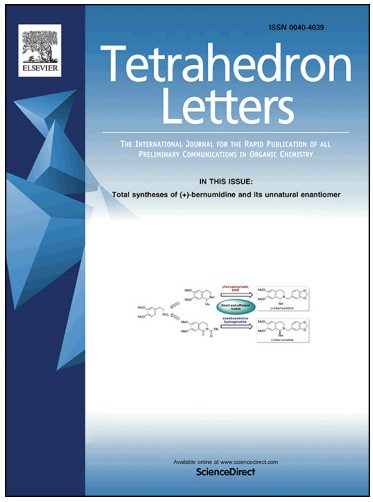

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A new and efficient method for the synthesis of 3-(2-nitrophenyl)pyruvic acid derivatives and indoles based on the Reissert reaction

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A b s t r a c t

The formation of 3-(2-nitrophenyl)pyruvic acid and its amide and ester derivatives – key compounds for the Reissert indole synthesis – was achieved under various reaction conditions *via* the acid catalyzed hydrolysis of 5-(2-nitrobenzyliden)-2,2-dimethyl-1,3-oxazolidin-4-one, which is readily available from 3-(2-nitrophenyl)oxirane-2-carboxamide. A new and highly efficient method for the synthesis of indole-2-carboxylic acid derivatives *via* the intramolecular reductive cyclization of *o*-nitrophenylpyruvic acid and its amide and ester derivatives was developed using $Na_2S_2O_4$ in dioxane/water at reflux.

Keywords: 3-(2-Nitrophenyl)oxirane-2-carboxamide; 5-(2-Nitrobenzyliden)-2,2-dimethyl-1,3-oxazolidin-4-one; 3-(2-Nitrophenyl)pyruvic acid derivatives; Intramolecular reductive cyclization; Indole-2-carboxylic acid derivatives.

1. Introduction

The indole moiety is one of the most widely distributed heterocyclic systems in Nature, and its derivatives continue to attract significant attention, especially in the pharmaceutical sector.[1] Owing to their structural diversity and remarkable biological functions, numerous efforts have been devoted to the development of methods for synthesizing indoles. Despite the considerable progress achieved for the synthesis of indole derivatives, the preparation of some specific substituted patterns remains difficult. Indole-2-carboxylic acid derivatives have been reported to display a wide range of biological functions such as inhibition of cPLA2,[2] cytosolic