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Synthesis of indole-3-acetic acid derivatives for selective tritiation.

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Series of indole-3-acetic acid derivatives substituted in the benzene ring belongs to the natural plant growth hormone –auxines, with interesting biological activities (e.g. specific antigen stimulation in atopic allergic disease by interleukin 4 and 5). They have recently been made via Fisher ring closure of the succin-aldehydic acid phenylhydrazones obtained from glutamic acid or direct method where intermediate phenylhydrazones cary out the cyclization in one step employing corresponding acetals (e.g. ethyl γ,γ-dimethoxybutyrate) as a starting material.
Herein, synthesis of indole-3-acetic acid derivatives and its labeled analogues was described via cyclization of substituted phenylhydrazine with methyl 4,4-dimethoxybutyrate in two step: the first is addition of acetal on phenylhydrazine in acetic acid the second is intramolecular cyclization of intermediate in ethanol catalyzed by addition of concentrated sulphuric acid. Both steps were also carried out in microwave reactor with focused power. Obtained esters were hydrolyzed and optimal conditions of dehalogenation were studied. Conditions for selective deuteration/tritiation were studied. All prepared compound were characterized by spectral data.
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