SELECTIVE CROSSESTERIFICATION OF 3A,4,5,6-TETRAHYDRO-IMIDAZO[1,5-B]ISOXAZOLE-2,3-DICARBOXYLIC ACID DIMETHYL ESTER DERIVATIVES AT THE REFORMATSKY REACTION CONDITIONS

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Recently we have reported the results on the reaction of imidazoline 3-oxides with DMAD and the reactions of the obtained adducts. Selective Michael addition of methoxide ion prompted us to investigate the reaction of organozinc compounds obtained from α-bromoacetic acid esters and Zn with isoxazoles 1. An important step in a retrosynthetic plane outlined in the scheme related to the synthesis of tricarboxylic acids 5 was the addition of alkoxycarbonylmethyl group to C-2 of isoxazolines 1. The retro 1,3-dipolar cycloaddition of adduct 3 would give diastereoselectively the alkene 4 which would serve as a precursor for the synthesis of different tricarboxylic acids 5 (citric and isocitric acids) or their lacton forms.

Conjugate addition of ethoxycarbonylmethyl anion to C-2 of compounds 1 was attempted using the Reformatsky reaction conditions. However the heating of compounds 1 in benzene-THF mixture in the presence of excess of Zn and bromoacetate led to the formation of 2 instead of any conjugate addition reaction. The structure of 2 was unequivocally confirmed to be the corresponding isoxazole-2,3-dicarboxylic acid 3-methyl ester 2-alkyl ester by spectral means.

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References