Title: Reaction of ethyl 5-amino-3-methylisoxazole-4-carboxylate: Synthesis of new functionalized isoxazolo[5,4-d][1,3]oxazin-4-one, isoxazolo[5,4-d][1,3]thiazin-4-one and isoxazolo[5,4-d]pyrimidin-4(5H)-ones

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Ethyl 5-aminoisoxazole-4-carboxylates are readily obtainable compounds that have been used extensively as intermediate in fused heterocyclic synthesis. In connection with our interest in the synthesis of fused heterocyclic compounds with potential biological activities [1-2], we report herein a convenient synthesis of new functionalized derivatives of isoxazolo[5,4-d][1,3]oxazin-4-one, isoxazolo[5,4-d][1,3]thiazin-4-one and isoxazolo[5,4-d]pyrimidin-4(5H)-ones.

Cyclocondensation reaction of ethyl 5-amino-3-methylisoxazole-4-carboxylate (1) with sodium cyanate, potassium thiocyanate and aryl nitriles gave 6-amino-3-methyl-4H-isoxazolo[5,4-d][1,3]oxazin-4-one (2), 6-amino-3-methyl-4H-isoxazolo[5,4-d][1,3]thiazin-4-one (3) and 6-aryl-3-methylisoxazolo[5,4-d] pyrimidin-4(5H)-ones (4).

Me
$$CO_2Et$$
 $ArCN$ (2) Me NH_2 Me

References:

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