SYNTHESIS OF NEW DERIVATIVES OF 5-OXOTETRA-HYDROFURAN-3-CARBOXAMIDES

C.I-22

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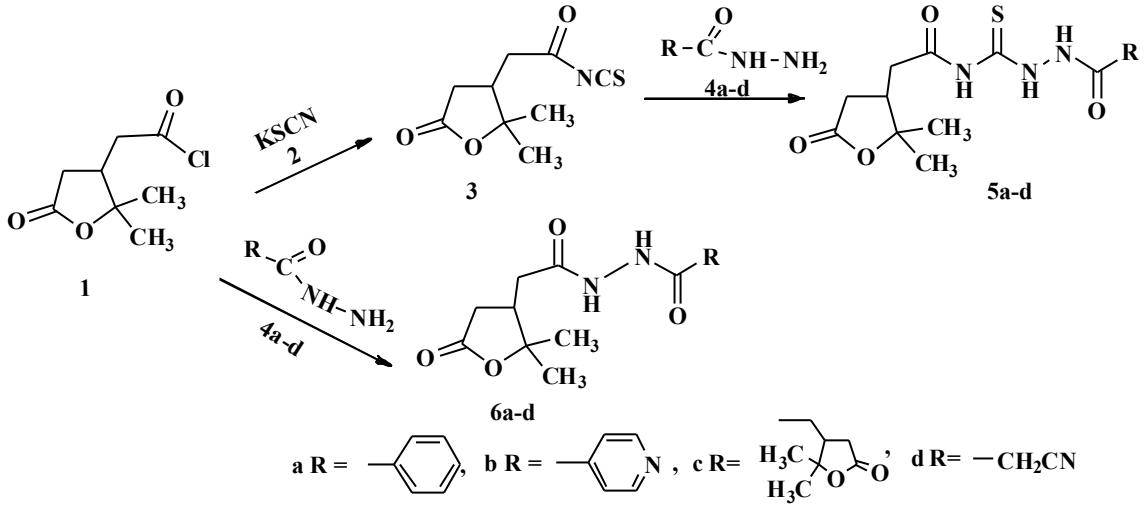
Saturated γ-lactones are important class of heterocyclic compounds. They display a wide range of biological activities and can be used in medicine, pharmacology, cosmetology, and agriculture. Artemisinin and Santonin, endowed with valuable biological activity, are compounds containing saturated lactone rings [1-3]. Many compounds, such as pilocarpine, a cholinergic drug, are also derivatives of lactones. Synthesis of new derivatives of saturated lactones is thus of great interest [4-6].

With the purpose of synthesizing of potent biological active new derivatives of saturated γ-lactones, containing 5-oxotetrahydrofuran, aromatic and cyanomethylene fragments, we studied the reaction of 2-(2,2-dimethyl-5-oxotetrahydrofuran-3-yl)acetyl chloride (**1**) [7] with hydrazides **4a-d**. Products **5a-d** were obtained by reaction of compound **1** with potassium thiocyanate (**2**) followed by treatment of the resultant intermediate product – isothiocyanate **3**, without isolation, with hydrazides [benzohydrazide (**4a**), isonicotinohydrazide (**4b**), 2-(2,2-dimethyl-5-oxotetrahydrofuran-3-yl)acetohydrazide (**4c**), 2-cyanoacetohydrazide (**4d**)]. The overall yield of this one-pot two steps synthesis is 90–94%. Hydrazides **6a-d** were also synthesized by reaction of compound **1** with hydrazides **4a-d.**



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