A Novel Multicomponent Approach to the Synthesis of 1,3-Thiazolidine-2-thiones (Rhodanines)

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Compounds containing the 1,3-thiazolidine-2-thione ring have showed a wide range of pharmacological activities. For example, Fezatione is an antifungal and antitrichophytic [1]. In addition, these compounds display a central role in modern synthetic organic chemistry [2]. Metal enolates of N-acyl-1,3-oxazolidine-2-thiones have been used as chiral auxiliaries for aldol type reactions with high diastereoselectivity [3].

As part of our work devoted to the synthesis of important heterocycles especially heterocyles with two heteroatoms [4] herein we report a novel, one-pot, three-component reaction for the synthesis of 1,3-thiazolidine-2-thiones.

Our new synthetic route is given below. The reaction of primary amine 1, CS2 and dibenzoylacetylene proceeds in a mixture of CH2Cl2 and H2O at room temperature to produce 2-(3-alkyl-4-hydroxy-4-phenyl-2-thioxo-1,3-thiazolan-5-yliden)-1-phenyl-1-ethanone derivatives 2, 3 in total 82-97% yields. As stated below, both of the E and Z stereoisomers were obtained from the reaction in all instances except two examples.

The data obtained from elemental analysis, IR, and high-field 1H and 13C NMR spectra confirmed all 8 products and their stereoisomera.

REFERENCES

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