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Synthesis of 6-amino-2,3-dihydropyridine-4-thiones via novel efficient thioenolate-carbodiimide rearrangement

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Abstract

A new and efficient method for the synthesis of 6-amino-2,3-dihydro-4-pyridinethiones from *N*-(3-butenyl)thioureas, without the use of conventional thiophosphorus reagents has been reported. Thioureas are initially transformed into iodocyclothiocarbamates which subsequently give cyclic thioenol esters after base-mediated HI elimination. These esters readily undergo a base-mediated (*t*BuOK) thioenolate-carbodiimide rearrangement, accompanied by C-S bond cleavage and C-C bond formation, to finally give a series of novel 6-amino-2,3-dihydropyridine-4-thiones.

Introduction

Thiocarbonyl compounds are useful reagents and intermediates that are widely applied in the syntheses of various substances including complex biologically active molecules or natural products.¹ Due to the relatively weak C=S bond (BDE 105.3 kcal mol⁻¹ in CS₂ versus 127.2 kcal mol⁻¹ in CO₂),² thiocarbonyl compounds demonstrate high reactivity in nucleophilic addition, deprotonation, oxidation, sigmatropic rearrangement, and a variety of cycloaddition reactions with 1,3-dienes and 1,3-dipoles.¹ A general approach to the introduction of a C=S group is the direct thionation of carbonyl derivatives by treatment with hydrogen sulfide, bis(trimethylsilyl)sulfide or thiophosphorus compounds (P₄S₁₀, P₂S₅•2Py, Lawesson's and Davy's reagents).³ Despite the well described procedures for thionation with these reagents,

[†] Single crystal X-Ray analysis

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