Synthesis of New β-enaminones of Isoquinolines with 5,5-dimethyl-cyclohexanedione

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Introduction

Enaminones are chemical compounds incorporating an amino group linked through a C=C to carbonyl group. They are versatile synthetic intermediates that combine the ambident electrophilicity of enones with the ambident nucleophilicity of enamines. They are typical push-pull systems in which the amine group pushes and the carbonyl pulls electron density. The carbonyl group, conjugated with the enamine moiety, gives this system enough stability to be easily prepared, isolated and stored under atmospheric conditions at room temperature [1]. Enaminones are known to possess a variety of medicinal properties including anticonvulsant, antimalarial, antiinflammatory, and cardiovascular effects [2-6].

Even though numerous synthesis of enaminones have been reported, the most common way for their preparation remains the condensation between an amine and a 1,3-dicarbonyl compound [7]. In our previous work [8] we obtained β-enaminones of primary amines by stirring the reaction mixture overnight at room temperature or at reflux temperature in dichloroethane for 3h.

Now we wish to report the synthesis of new enaminones of secondary amines, as 1,2,3,4-tetrahydroisoquinoline and 1-methyl-6,7-dimethoxy-1,2,3,4-tetrahydroisoquinoline with 5,5-dimethylcyclohexanedione (dimedone). Enaminones of this type are more difficult to obtain than enaminones of primary amines. The enaminones of 1,2,3,4-tetrahydroisoquinolines and dimedone were obtained by refluxing equimolar amounts of the reagents for 3h in toluene using Dean-Stark trap to remove the water formed during the reaction.

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\begin{align*}
3\text{A(3,4ADihydroA1HAisoquinolinA2Ayl)A5,5AdimethylAcyclohexA2Aenone} & \\
& (3a) \\
\end{align*}
\]

Melting Point: 103-105°C, 82% yield,
Synthesis of new β-enaminones of isoquinolines with 5,5-dimethyl-cyclohex-2-enone (3b)

Melting Point: 197-200°C, 80% yield,

IR (KBr, cm⁻¹): 1588; 1562

¹H-NMR (600 MHz, CDCl₃): 7.24-7.21 (m, 2H), 7.19-7.17 (m, 1H), 7.15-7.12 (m, 1H), 5.35 (s, 1H), 4.48 (s, 2H), 3.59 (t, 2H, J=5.9), 2.93 (t, 2H, J=5.9), 2.20 (s, 2H), 1.11 (s, 6H); ¹³C-NMR (150.9, CDCl₃): 196.8, 163.0, 134.7, 132.8, 128.2, 127.2, 126.7, 126.4, 98.3, 49.4, 48.6, 44.4, 41.2, 33.0, 28.8.

Anal. Calcd for C₁₇H₂₁NO: C 79.96, H 8.29, N 5.49. Found: C 80.08, H 8.32, N 5.53.

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References


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