

Synthesis and properties of azomethines based on 3-amino-4-phenylpyridine-2(1*H*)-one

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Abstract

Schiff bases or azomethines are important in organic synthesis, analytical and pharmaceutical chemistry. Among them were found anti-inflammatory, antibacterial and antifungal agents. 3-Aminopyridine-2(1*H*)-one was also of great interest as a biologically active compounds. Among them have already been found drugs used in clinical practice, such as Amrinon. Certain derivatives of 3-aminopyridin-2-(1*H*)-ones possess antiviral, including anti-HIV activity or act as thrombin inhibitors. The presence of amino acid "built-in" fragment makes them attractive building blocks for the synthesis of peptidomimetics. Whereas azomethines obtained from 4-aryl-3-aminopyridine-2(1*H*)-ones and aromatic aldehydes are unknown.

The reaction of 1-phenylbutane-1,3-dione with chloroacetamide gave the 2-chloro-*N*-[1-methyl-3-oxo-3-phenylprop-1-en-1-yl]acetamide, which was converted to respective 3-pyridinium substituted pyridin-2(1*H*)-one upon heating with excess of pyridine in *n*-butanol. The decomposition of pyridinium salt under heating with hydrazine hydrate resulted in 3-amino-6-methyl-4-phenylpyridine-2(1*H*)-one. New Schiff bases were prepared by reaction of 3-amino-6-methyl-4-phenylpyridine-2(1*H*)-one with aromatic (heteroaromatic) aldehydes (benzaldehyde, anisaldehyde, salicylaldehyde, *m*-nitrobenzaldehyde, *p*-nitrobenzaldehyde, thiophene-2-carbaldehyde, furfural, isonicotinic aldehyde) were obtained. It was shown that the reaction proceeds in 2-propanol with a catalytic amount of formic acid for 1-3 hours with 78-95% yields. The reaction in benzene (or toluene) with the azeotropic removal of water requires a longer time (6-12 hours) were carried out.

Schiff bases were reacted with acetyl chloride and chloroacetyl chloride. However, the product of the expected intramolecular amidoalkylation 5-acetyl-2-methyl-6-phenyl-5,6-dihydrobenzo[*c*][1,7]naphthyridin-4(3*H*)-one has not been obtained. The process led to formation of *N*-acylated products after processing the reaction mixture. The reaction was carried out in absolute benzene, in the presence of triethylamine at room temperature or in the presence of aluminium chloride.

The structures all obtained products were determined by ¹H and ¹³C NMR, IR spectroscopy and elemental analysis.