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Research Article

SYNTHESIS OF BENZO[B]THIOPHENE A-HALOKETONE HYDROCHLORIDES

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ABSTRACT

In the reaction of α-bromoacylthiain and α-bromoacylthiochromenes with secondary amines, that, piperidine and morpholine, respectively, α-amino ketones of these substances and hydrochloride compounds of these substances were obtained by passing hydrogen chloride gas in benzene.

KEYWORDS

Piperidine, morpholine, α-piperidino-5-acetyl-2-methyl-1-thiain hydrochloride, α-morpholino-5-acetyl-2-methyl-1-thiain hydrochloride, α-piperidino-6-acetyl-1-thiochroman hydrochloride, α-morpholino-6-acetyl-1-thiochroman hydrochloride.

INTRODUCTION

It is known that most amino ketones with an organic structure are first synthesized as biologically active compounds and as metal corrosion inhibitors. In particular, β-amino ketones of 1-thiandan and 1-thiochromenes have been identified as excellent local anesthetics. For example, 1-piperidino-3,6-(1-thiochroman)-yl-3-propane and its hydrochlorides are 3-5 times superior to novocaine in terms of local anesthetic properties and 2-5 times in terms of pharmacological effects. time advantage is determined. β-aminoalcohols and aminoketones of these compounds have been found to be good inhibitors of metal corrosion protection.

MATERIALS AND METODS

When the reaction of α-bromoacylthiandan and α-bromoacylthiochromenes with secondary amines, i.e., piperidine and morpholine, was carried out for 30

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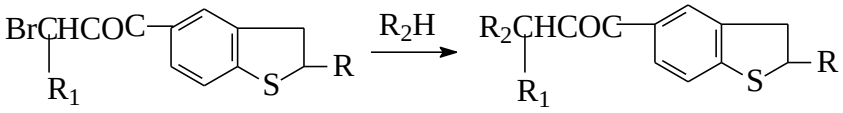
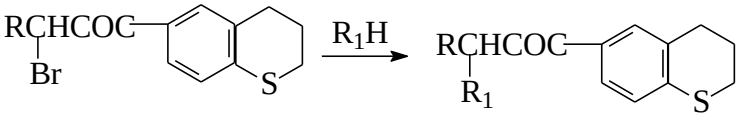
minutes in a benzene solution, α-aminoketones of these substances were formed, respectively.



R=CH_3; R_1=H; R_2= piperidine. (I)

R=CH_3; R_1=H; R_2= morpholine. (II)



R=H; R_1= piperidine (III)

R=H; R_1= piperidine (IV)

According to the above reaction scheme, the following α-amino ketones of thiaindan and thiochromans were synthesized: α-piperidino-5-acetyl-2-methyl-1-thiaindan (I).

REZULTS

When analyzing the IR-spectrum of 1-thiaindan and 1-thiochroman α-amino ketones, the absorption lines indicating the replacement of the bromine atom in the side chain of the molecule with an amine group give valence vibrations characteristic of the nitrogen atom in the region of 1230-1240 cm-1. Also, in this spectrum, the loss of valence vibrations related to carbon-bromine bonds visible in the region of 655-640 cm-1 was observed.

Thiaindan and α-amino ketones of the thiochroman series Data of IR spectr Table 1

The frequency shift.cm^{-1}	Compounds			
	I	II	III	IV
in a benzene ring C=C	1595	1600	1600	1600
in a benzene ring CN	840	830	850	840
in a benzene ring H	940	930	940	920
-C=O	1685	1680	1680	1690
-C-N	1240	1240	1230	1240
C-S-C	730	720	730	740

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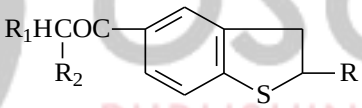
Every nucleophilic reagent exhibits basicity to a greater or lesser extent. This indicates that it not only reacts with an electron-deficient carbon atom at the expense of its unshared electron pair, but can also disconnect the mobile hydrogen, i.e., protons, in the substrate. Due to this, it can form compounds that are less dissociable compared to the original substrate. Therefore, to a greater or lesser extent, the reaction of dehydrohalogenation (elimination of hydrogen halide) takes place simultaneously with the reaction of nucleophilic substitution of the halogen atom. As a product of such reactions, alkenes are formed and it has a quantitative effect on the yield of the main product of the reaction.

As a result of the reaction, it was found that amino ketones containing a thiochroman fragment have a higher mass percentage in the reaction than amino ketones containing a thiamine fragment. It was also found that the nucleophilic ability of piperidine and morpholine obtained as an active reagent in this reaction is high in the morpholine molecule. According to the yield of the products formed as a result of the reaction, it was found that the nucleophilicity of the morpholine molecule is higher than that of piperidine on both substrates.

Table 2 below presents the physico-chemical data of α-amino ketones from 2-methyl-1-thiamine.

Physicochemical data of thiaindan α-aminoketones



R_1= H; R_2 = piperidine and morpholine.

Table 2.

R	percentage, %	Liquefaction temperature, ^{0}S	Found,%			Calculated,%		
			S	N	S	C	H	S
CH_3	64 piperidine	49-50	69,92 69,86	7,94 7,78	11,74 11,69	69,77	7,68	11,64
CH_3	72 morpholine	n^{20}_d=1,3751	69,21 69,03	7,60 7,39	12,49 12,33	68,92	7,32	12,26

Similarly, the physicochemical data of 1-thiochroman α-amino ketones are presented in Table 3 below.

Thiochromane series of α-amino ketones

physical chemical constants

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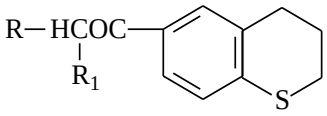
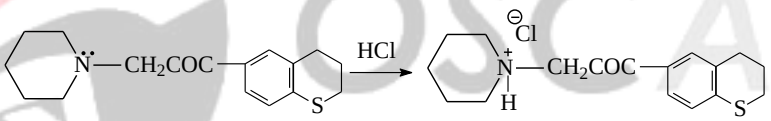


R_1= piperidine and morpholine.

Table 3

R	percentage, %	$n^{20}d$	Found,%			Calculated%		
			S	N	S	C	H	S
H	85 piperidine	1,3653	69,92 69,86	7,94 7,78	11,74 11,69	69,77	7,68	11,64
N	88 morpholine	1,3621	69,21 69,03	7,60 7,39	12,49 12,33	68,92	7,32	12,26

Hydrochloride compounds of these substances were obtained by passing dry hydrogen chloride gas from the resulting solution of α-amino ketones in benzene. The general scheme for the preparation of hydrochlorides of thiaindan and thiochroman α-aminoketones was given through the following reaction scheme:



By the same route, from α-piperidino-5-acetyl-2-methyl-1-thiain hydrochloride in 70% yield (V), from α-morpholino-5-acetyl-2-methyl-1-thiain hydrochloride in 75% yield (VI), α-piperidino-6-acetyl-1-thiochroman hydrochloride in 77% yield (VII) and α-morpholino-6-acetyl-1-thiochroman hydrochloride (VIII) were formed in 81% yield.

Tables 4 and 5 below provide descriptive information on 1-thiaindan and 1-thiochroman α-aminoketone hydrochlorides.

α-Aminoketones such as 1-thiain description of hydrochlorides

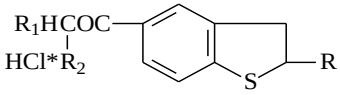


Table 4

R	R_1	R_2	percentage, %	temperature ^0C	Found,%			Calculated,%		
					C	H	S	C	H	S
CH_3	N	piperidine	70	182-183	62,04	6,91	10,68	61,59	7,05	10,28
CH_3	N	morpholine	75	184-185	57,28	6,13	10,31	57,45	6,37	10,22

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α-Aminoketones of the 1st thiochromane series

description of hydrochlorides

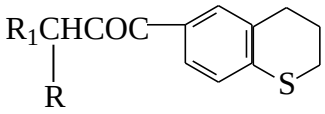

Table 5

R	R_1	Per-centage, %	temperature ^0C	Found,%			Calculated,%			Gross formula
				C	H	S	C	H	S	
N	piperidine	77	183-184	62,01	6,88	10,60	61,59	7,05	10,28	$S_{16}H_{22}OSNCl$
N	morpholine	81	180-181	57,28	6,11	10,28	57,45	6,37	10,22	$C_{15}H_{20}O_2SNCl$

CONCLUSION

In the reaction of α-bromoacylthiain and α-bromoacylthiochromenes with secondary amines, i.e., piperidine and morpholine, α-aminoketones of these substances were formed, respectively. As a result of the reaction, it was found that aminoketones containing a thiochroman fragment had a higher mass percentage in the reaction than aminoketones containing a thiamine fragment. Also, it was shown that the nucleophilic ability of piperidine and morpholine obtained as an active reagent in this reaction is high in the morpholine molecule. Hydrochloride compounds of these substances were synthesized by passing dry hydrogen chloride gas from the resulting solution of α-amino ketones in a

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