

# HETEROCYCLIC COMPOUNDS

## II.\* AMINOMETHYLATION OF THE $\beta$ AND $\gamma$ ISOMERS OF 1-ALLYL-3-METHYL-4-ETHYNYL-4-PIPERIDOL

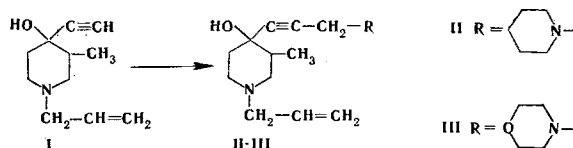
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362+547.435

The  $\beta$  and  $\gamma$  isomers of 1-allyl-3-methyl-4-(3-alkyl-1-propynyl)-4-piperidols were synthesized by the condensation of the  $\beta$  and  $\gamma$  isomers of 1-allyl-3-methyl-4-ethynyl-4-piperidol with piperidine (morpholine) and paraformaldehyde in anhydrous dioxane in the presence of cuprous chloride.

The synthesis of new amino alcohols based on 1-allyl-3-methyl-4-ethynyl-4-piperidol (I) [1] is proposed in this paper for the purpose of finding physiologically active compounds.

We have found that the condensation of the  $\beta$  and  $\gamma$  isomers of I with piperidine (morpholine) and paraformaldehyde in anhydrous dioxane in the presence of catalytic amounts of cuprous chloride leads to the formation of the corresponding amino alcohols (II and III).



## EXPERIMENTAL

**Aminoacetylenic Alcohols (II-III).** These were obtained via the method in [2-4]. A mixture of 0.03 mole of I, 0.03 mole of piperidine or morpholine, 0.045 mole of paraformaldehyde, 0.01 g of cuprous chloride, and 75 ml of anhydrous dioxane was heated on a boiling water bath with stirring for 11 h. After cooling, the mixture was treated with aqueous sodium carbonate until it was alkaline, and the resulting mixture was extracted with ether (five 100-ml portions). The combined ether extracts were dried with potassium carbonate, the ether was removed by distillation, and the residue was vacuum distilled. Amino alcohols II-III are viscous, yellow liquids, their purity was checked by thin-layer chromatography on activity II aluminum oxide in a benzene-acetone (1:1) system.

**Dihydrochlorides of II and III.** A saturated ether solution of hydrogen chloride was added to an ether solution of the base until the formation of a precipitate ceased; the precipitate was filtered and recrystallized from acetone and ethanol.

The physicochemical constants of the compounds obtained are presented in Table 1.

\*See [1] for Communication I.

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TABLE 1. 1-Allyl-3-Methyl-4-(3-alkyl-1-propynyl)-4-piperidols.

Comp- pound	Bp (3 mm)	$d_4^{20}$	$n_D^{20}$	$M R_D$		$R_f$	Empirical formula	Found, %			Calc., %			Yield, %	Dihydrochlorides		
				found	calc.			C	H	N	C	H	N		mp	found	Cl. % calc.
II $\beta$	166-167	1.035	1.5160	79.65	79.72	0.76	$C_{17}H_{28}N_2O$	74.1	10.2	9.8	74.0	10.2	10.2	74	202-203	20.3	20.4
III $\beta$	134-135	1.039	1.5175	80.23	80.27	0.48	$C_{16}H_{26}N_2O_2$	69.1	9.5	10.2	69.0	9.5	10.1	60	185-186	20.5	20.3
II $\gamma$	154-155	1.036	1.5091	79.58	79.72	0.94	$C_{17}H_{28}N_2O$	74.2	10.3	10.1	74.0	10.2	10.2	30	153-154*	20.3	20.4
III $\gamma$	122-123	1.039	1.5020	80.19	80.26	0.54	$C_{16}H_{26}N_2O_2$	69.1	9.3	9.9	69.0	9.5	10.1	20	132-133*	20.3	20.3

\*Hygroscopic dihydrochloride.

## LITERATURE CITED

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