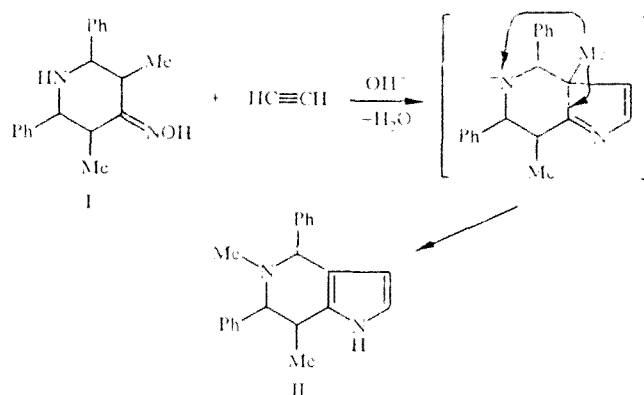


ELECTROPHILIC REARRANGEMENT OF N-H-TETRAHYDRO-3H-PYRROLO[3,2-c]PYRIDINE TO N-METHYLTETRAHYDRO-PYRROLO[3,2-c]PYRIDINE UNDER TROFIMOV REACTION CONDITIONS

A. V. Varlamov, T. N. Borisova, and L. G. Voskresenskii

Tetrahydropyrrolo[1,2-c]pyrimidines [1] are formed during heterocyclization of 3-substituted piperidin-4-one oximes with acetylene in superbasic media as a result of [1,3]-sigmatropic rearrangement of the intermediate which has a 3H-pyrrole structure [1]. However the expected rearrangement product was not isolated on heterocyclization of 3,5-dimethyl-2,6-diphenylpiperidin-4-one oxime (I). Either 1,3-diphenyl-4,5-dimethylpyrrolo[1,2-c]pyrimidine (atmospheric pressure, 90-100°C, KOH) or 2-ethynyl-7 α -hydroxyperhydropyrrolo[3,2-c]pyridine (as a mixture of four geometric isomers) (autoclave, 80°C, initial acetylene pressure 16 atm) was obtained depending on the conditions [2].

We have isolated 4,5,6,7-tetrahydro-5,7-dimethyl-4,6-diphenylpyrrolo[3,2-c]pyridine (II) in 2.5% yield from oxime I and acetylene at 70-75°C in the presence of 60% RbOH.



Compound II is apparently formed by migration of a methyl group from position 3a to the nucleophilic center in the anion of the intermediate tetrahydro-3H-pyrrolo[3,2-c]pyridine. The ¹H NMR spectrum and mass spectrum of compound II are analogous to those reported previously [3].

REFERENCES

1. N. S. Prostakov, A. V. Varlamov, T. N. Borisova, and N. D. Sergeeva, *Khim. Geterotsikl. Soedin.*, No. 9, 1286 (1987).
2. A. É. Aliev, T. N. Borisova, I. A. Stazharova, A. A. Sinitsyna, A. I. Mikaya, N. S. Prostakov, and A. V. Varlamov, *Khim. Geterotsikl. Soedin.*, No. 7, 903 (1992).
3. T. N. Borisova, A. V. Varlamov, N. D. Sergeeva, A. T. Soldatenkov, O. V. Zvolinskii, A. A. Astakhov, and N. S. Prostakov, *Khim. Geterotsikl. Soedin.*, No. 7, 973 (1987).

Russian Friendly Nations University, Moscow 117198. Translated from *Khimiya Geterotsiklicheskih Soedinenii*, No. 1, pp. 136-137, January, 1995. Original article submitted December 20, 1994.