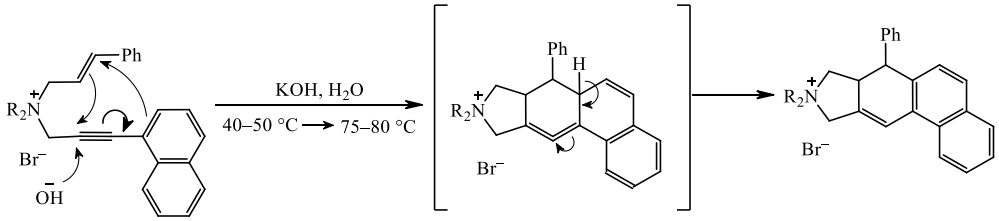
BASE CATALYZED INTRAMOLECULAR CYCLIZATION OF –(3-PHENYLPROP-2-ENYL)[3-(α-NAPHTHYL)PROP-2-YNYL]AMMONIUM BROMIDES

E.O. Chukhajian, L.V. Ayrapetyan, K.G. Shahkhatuni, El.O. Chukhajian, H.S. Mkrtchyan

The Scientific Technological Centre of Organic and Pharmaceutical Chemistry of National Academy of Sciences of Republic of Armenia, Yerevan, 0014, Azatutyan ave. 26
E-mail: shhl@mail.ru

Base catalyzed intramolecular [4+2] cycloaddition of dienic type of unsaturated ammonium salts discovered by *acad*. A. T. Babayan, *prof*. E. O. Chukhajian and coauthors is a new direction in organic chemistry and includes enormous possibilities for synthesis of bioactive di-, three- and polycyclic isoindolinium and dihydroisoindolinium salts [1]. It was known that the cyclization of ammonium bromides with bis[(3-aryl)prop-2-ynyl]group was realized even in the absence of base by heating of their water solution [2]. On the basis of experimental data and also results of IR spectral investigations [3] was established that phenyl group which is in the third position of the allylic fragment has a positive effect on the cyclization [3]. This can be explained by the fact that the phenyl group which is in the third position of dienophile, in comparison with the hydrogen atom, rises the electrophilicity of dienophile, in result is increased the ability of nucleophilic addition of the diene to the dienophile.

The above mentioned phenomenon is the unique in the field of cyclization of ammonium salts which contain allylic group alongside with [3-(4-substituted)phenylprop-2-ynyl] fragment. During continuation of investigations by us it was established that –(3-phenylprop-2-enyl)[3-(α-naphthyl)prop-2-ynyl]ammonium bromides in contrast to allylic analogs [4] also easy undergo cyclization: the reaction mixture which consists from initial salt, water and of 3N solution of KOH in molar ratio salt/base=5/1 was heating at 40-50^{0}C during 6-15 min. In these conditions the initial salt was completely dissolved and took place cyclization with self-heating. As result in high yields are obtained potentially bioactive -4-phenyl-3a,4-dihydronaphtho[f]isoindolinium bromides, compounds synthesis of which by other chemical methods are inaccessible.



Among some analogs which were previously synthesized are representatives with high pharmacological and complex important pharmacological activities. Activity is defended by the numerous copyrights of the Soviet Union.

The structure of initial and cyclic salts was established by IR, MMR ^{1}H, ^{13}C and 2D-NOESY spectral methods.

References

[1]. Чухаджян, Э. О.; Шахатуни, К. Г.; Чухаджян, Эл. О. Химия в интересах устойчивого развития, 21, (2013), 247-258. [Chukhajian E. O., Shahkhatuni K. G., Chukhajian El. O. *Chem. Sustainable Dev.* **2013**, *21*, 263].

[2]. Бабаян А.Т., Чухаджян Э.О., Чухаджян Эл.О. *ЖОрХ*. **1973**, *9*, 467.

[3]. Chukhajian, E. O.; Ayrapetyan L. V.; Chukhajian, El. O.; Panosyan, H. A. Chem. Heterocycl. Compound. 49, (2013), 1274-1280. [Химия гетероцикл. соединений (2013), 1367-1374].

[4]. Чухаджян Э.О., Чухаджян Эл.О., Шахатуни К. Г., Бабаян А.Т. *ХГС* **1989**, 615-619.