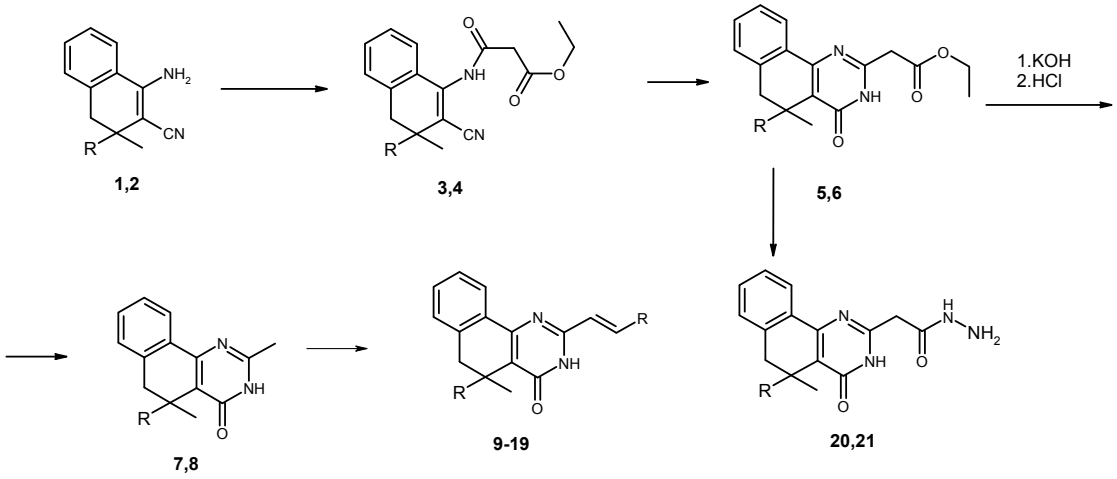
SYNTHESIS AND ANTITUMOR ACTIVITY OF ACETIC ACID DERIVATIVES OF OFBENZO[h]QUINAZOLINE SERIES

K. K. Hayrapetyan[a], A. I. Markosyan[a], V. Z. Shirinian[b], S. H. Gabrielyan[a], F. H. Arsenyan[a])

[a]Scientific and Technological Centre of Organic and Pharmaceutical Chemistry NAS RA, 26 Azatutyan ave., 0014 Yerevan, Armenia, Phone/fax: (37410)288443, E-mail: karine.1990@bk.ru
[b]N. D. Zelinsky Institute of Organic Chemistry, RAS, Moscow 119991, Russia

As a result of the condensation of β-aminonitriles **1,2** with ethyl 2-chloro-2-oxoacetate, the corresponding amidonitriles **3,4** were synthesized, which, under the reaction of hydrogen chloride, are cyclized to ethyl esters 5,5-diadkyl-4-oxo-4,6-dihydro-3H-benzo[h]quinazoline-2-yl acetic acid (**5.6**). To synthesize the corresponding acetic acids, the esters **5,6** were hydrolyzed, yet the acids proved to be unstable compounds, and, at the moment of formation (even at 0°C), spontaneously decarboxylated to form the 2-methyl derivatives **7** and **8**, on the basis of which the trans-stilbenes **9-19** were obtained. Hydrazides **20, 21**, synthesized as a result of hydrazinolysis of the corresponding esters, are stable compounds.



The antitumor properties of synthesized compounds were studied in regards to Sarcoma 180.

This work was supported by the RA MES State Committee of Science and Russian Foundation for Basic Research (RF) in the framework of the joint research projects SCS **18RF-083** and **18-53-05019** accordingly.