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ACAD. S.Yu. YUNUSOV INSTITUTE OF THE CHEMISTRY
OF PLANT SUBSTANCES

INTERNATIONAL SCIENTIFIC
CONFERENCE

Actual Problems of the Chemistry of Natural Compounds

ABSTRACTS

March 15–16, 2023
Tashkent

CONFERENCE TOPICS

1. Chemistry, biology, pharmacology, and technology of natural compounds and their derivatives.
2. Successes and problems of creation of new drugs.

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Acad. S.Yu.Yunusov Institute of the Chemistry of Plant Substances,
77, Mirzo-Ulugbek Str., Tashkent, 100170, Uzbekistan

TARGETED SYNTHESIS OF 3-ALKYL-6-NITROBENZOPYRIMIDIN-4-ONES

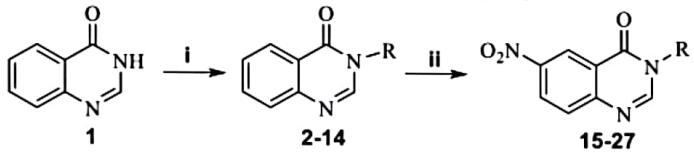
F. A. Zulpanov,[1] B. J. Elmuradov,[1] D. M. Ruziboev,[1] B. A. Sobirov[2], J. O'. Muyassarov[3]

1) S.Yu. Yunusov Institute of the Chemistry of Plant Substances Academy of sciences of the Republic of Uzbekistan st. Mirzo-Ulugbek, 77, 100170 Tashkent
e-mail: zulpanovf@gmail.com
2) Mirzo Ulugbek National University of Uzbekistan, Faculty of Chemistry
3) Samarkand State University, University blv. 15, Samarkand 140104, Uzbekistan

Compounds containing a condensed pyrimidine ring are widely used in agriculture and medicine. They are widely used in the treatment of cardiovascular, diabetes, cancer and viral diseases. In recent years, drugs such as *imatinib, erlotinib,* and *afatinib*, created on the basis of benzopyrimidine derivatives, have been used against tuberculosis and cancer. They are approved by the Food and Drug Administration (FDA) in the United States. Today, the demand for low-toxic drugs containing a new type of pharmacaphor group in the molecule is increasing year by year [1,2].

Taking into account the above points, it is very important to carry out the targeted synthesis of substances containing the potentially biologically active benzopyrimidine ring and their chemical modification, as well as to determine their physico-chemical and biological properties and create new drugs based on this.

In the course of our research, we synthesized the desired benzopyrimidin-4-one (**1**) in the presence of formamide with *o*-aminobenzoic acid, and carried out its alkylation reaction with various normal and *iso*-structured alkyl halides, and 3-alkylbenzopyrimidin-4-ones (**2-14**) were obtained in high yields:



1 **2-14** **15-27**

i) RX, KOH, EtOH; ii) $HNO_3+H_2SO_4$.

R= **2,15**, Me; **3,16**, Et; **4,17**, Pr; **5,18**, Bu, **6,19**, *iso*-Bu; **7,20**, *tret*-Bu; **8,21**, amyl; **9,22**, *iso*-amyl; **10,23**, hexyl; **11, 24**, heptyl; **12, 25**, octyl; **13,26**, nonyl, **14, 27**, Bn.

In order to expand the synthetic potential of the synthesized 3-alkylbenzopyrimidin-4-ones, we performed electrophilic substitution (nitration) reactions in their aromatic ring. The reactions were carried out at low temperature in the presence of a nitrating mixture (HNO_3/H_2SO_4). As a result, 3-alkyl-6-nitrobenzopyrimidin-4-ones (**15-27**) were synthesized in excellent yields. Their structure was confirmed by IR, NMR spectroscopy and X-ray structure analysis.

References

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