SYNTHESIS OF 2-METHOXYCARBONYLAMINOQUINAZALIN-4-ONE

Majidov A.
Chirchik State Pedagogical University
Ziyadullaev M.E.
Alfraganus University
ziyadullayev.91@mail.ru

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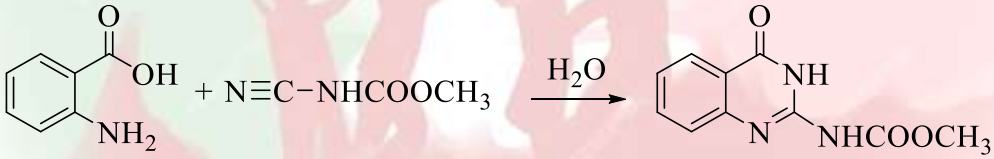
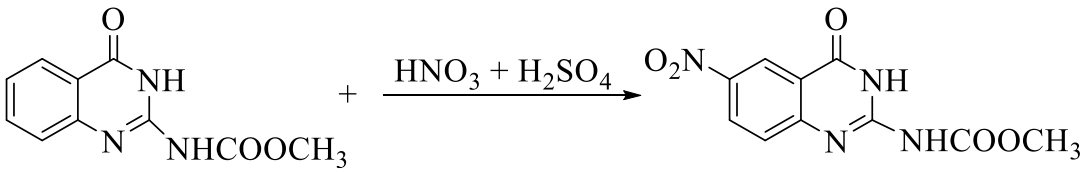
Based on the research on the synthesis of quinazolin-4-ones and their derivatives, a number of research works have been carried out on finding new nootropic and psychotropic drugs and studying their biological activity. Synthetic quinazoline derivatives used in medicine have high psychotropic (methaqualone), diuretic (kinetazone), cardiovascular (prazosin) and antiviral (quinazoline analogs of efavirenz) activity [1 1079-1084 pp.]. In addition, 2-methoxycarbonyl-aminoquinazolin-4-one, synthesized by the authors on the basis of quinazolin-4-one, is a highly effective tool in the complex fight against cotton gommosis and root rot diseases. 2-Methoxycarbonylaminoquinazalin-4-one compound was synthesized as a result of condensation of methyl ether of anthranilic acid, calcium cyanamide and chloroacetic acid. The reaction equation is proposed as follows.



Synthesized 2-methoxycarbonylaminoquinazolin-4-one was nitrated using a nitrating mixture, and corresponding 6-nitro-2-methoxycarbonylquinazolin-4-one was synthesized based on the following reaction. The nitration reaction proceeds according to the mechanism of electrophilic exchange. If we pay attention to the mechanism of this type of reaction, it goes mainly in two stages. At the first stage of the reaction, the electrophilic particle (NO2+) attacks the aromatic group in the compound 2-methoxycarbonylaminoquinazolin-4-one, and the orbitals of the carbon atom pass to the sp^3-hybridized state. Based on these theoretical data, the following general reaction was proposed for nitration of 2-methoxycarbonylaminoquinazolin-4-one.



The nitration process of 2-Methoxycarbonylaminoquinazolin-4-one is carried out as follows: purified 2-methoxycarbonylaminoquinazolin-4-one is dissolved in concentrated

sulfuric acid, and to the solution cooled to 0°C, a nitrating mixture is added dropwise with constant stirring (capsulating nitric acid and concentrated sulfuric acid). The reaction mixture was stirred for 30 minutes while the temperature was maintained below 5°C. The reaction mixture was then allowed to stand for another hour at room temperature. The mixture in the flask is poured over ice, and the precipitated crystals are separated, washed thoroughly with water, dried, and recrystallized in ethyl alcohol. The formation and purity of the synthesized compound was determined by the TLC method on Silufol UV-254 paper. Technical 2-methoxycarbonylaminoquinazolin-4-one was recrystallized in ethyl alcohol. Product yield 17,9 g. R_f=0,43. The system is acetone:benzene 3:2. Liquidation temperature 291-293°C. Gross formula $C_{10}H_8N_4O_5$. Molecular mass 264,194. ^{1}H NMR (CD_3COOD): (δ, ppm., J/Hz): 8.98 (2H, dd, J_1 H=5,7=0.41, J_2 H=5,7=2.66, H-5), 8.55 (1H, dd, J_1 H=7,5=2.66, J_2 H=7,8=9, H-7), 7.9 (1H, dd, J_1 H=8,5=0.42, H-8). IR spectrum (KBr, ν, cm^{-1}): (C=O) 1668 cm^{-1}, (NH) 3417 cm^{-1}, (C=N) 1618 cm^{-1}, (C–N) 1467 cm^{-1}, (C–NO_2) 1514 cm^{-1}.

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