WEB OF SCIENTIST: INTERNATIONAL SCIENTIFIC RESEARCH JOURNAL
ISSN: 2776-0979, Volume 4, Issue 4, April., 2023

IN CONDENSED HETEROCYCLIC COMPDS KABACHNIK-FELDS REACTIONS

[1] Feruz Sadullaevich Tukhsanov,
[1] Oripov Ergash Oripovich,
[2] Haydarov Gayrat Shoyimovich
[1]Sharof Rashidov Samarkand State University, Uzbekistan
[2]Uzbekistan-Finland Pedagogical Institute, Uzbekistan

Abstract:
In the article, 2,3-trimethylene-3,4-dihydrobenzo[2,3-d] pyrimidin -4 - one was synthesized from 2-aminobenzoic acid and pyrrolidone-2 in the presence of various substances, for example, PCl5, POCl3. Its reduction reaction with NaBH4 was carried out. The obtained 2,3-trimethylene-1,2,3,4-tetrahydrobenzo [2,3-d] pyrimidin – 4 - one - a three-component combination of carbonyl, amine and hydrophosphoryl compound leads to α-aminophosphonates, phosphoric acid-formaldehyde; reactions with aldehydes in the three-component system were studied.

Keywords: 2, 3- trimethylene-3,4-dihydrobenzo[2,3-d] pyrimidin-4 -one, 2,3-trimethylene- 1,2,3,4-tetrahydrobenzo[2,3d] pyrimidine - 4-one, 2-Aminobenzoic acid, pyrrolidone-2, Synthesis reactions.

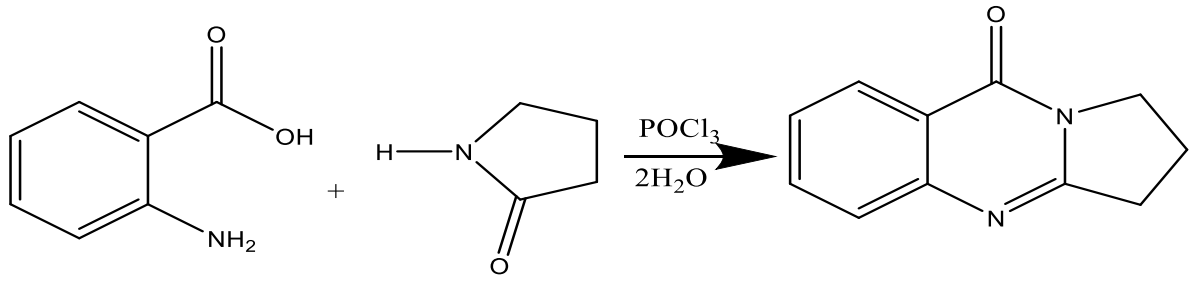
INTRODUCTION

In the world, the synthesis of new physiologically active derivatives of benzo[2,3-d]pyrimidine and the creation of modern drugs based on them are carried out with the help of high technologies. It is known that the anti-cancer drugs used up to now destroy dangerous cancer cells while simultaneously damaging healthy cells.
Representatives of benzo[2,3-d]pyrimidines, the preferred palbocyclic anticancer drugs, pyremid and pyrumid acids with antibacterial effects have been developed by world scientists. These medical institutions have a practical interest in the properties of this substance.
Organophosphorus compounds are ubiquitous in nature and are used in agriculture, medicine, and industry [1-3]. Some organophosphorus compounds are important pesticides [4], bactericides [5-7] and antibiotics [5]. Phosphorus analogs of α-pyrones act as HIV protease inhibitors [8]. α-Aminophosphate acids are important motifs among organophosphorus compounds in medicinal chemistry due to their clear structural similarities to α-amino acids [9-16].

WEB OF SCIENTIST: INTERNATIONAL SCIENTIFIC RESEARCH JOURNAL
ISSN: 2776-0979, Volume 4, Issue 4, April., 2023

MATERIALS AND METHODS

Tricyclic 2,3-tremethylenebenzo[2,3-d]pyrimidin-4-one (1) was synthesized from 2-aminobenzoic (anthranilic) acid, which was condensed with pyrrolidone-2. The presence of $POCl_3$.



Aminobenzoic (anthranilic) and 2-aminopyridine-3-carboxylic acids lactam series with 2-aminophen-3 carboxylic, 2- reduce the output of the condensation product. So, if 2,3trimethylenethieno[2,3-d]-pyridin-4-one is obtained with 81% yield, and 2,3-polymethylene-quinazolone with 56-70% yield, in 2 cases, 2,3-trimethylenebenzo-[2 ,3-d]pyrimidin-4-one (1) it was 74%. This fact is explained by the fact that the p-electron leakage is facilitated by the excess thiophenic ring, and the p-deficient benzene ring increases this condensation.

It is clear that the reconnection of N1=C2 to HN-CH leads to a significant shift of the chemical shifts of protons of methylene groups towards strong fields. A significant shift of the signals of the protons of the pyridine ring after reduction was also detected. Thus, at the initial stage they appear in ppm: 7.29 (1H, dd, J=4.5, 7.9, C6-H), 8.53 (1H, dd, J=2.0, 7.9, C5-H), 8.89 (1H, dd, J=2.0, 4.6, C7-H), respectively; and in the regeneration product, the same protons are observed in the following regions: 6.74 (1H, dd, J = 5.0; 7.6, C6- H), 8.06 (1H, dd, J=1.8; 7.4, C5 - H) and 8.10 (1H, dd, J=1.8; 5.0, C7-H), respectively; that is, here the shift of chemical changes of protons towards strong fields. The asymmetric carbon proton of C2-H was identified in 5.10.

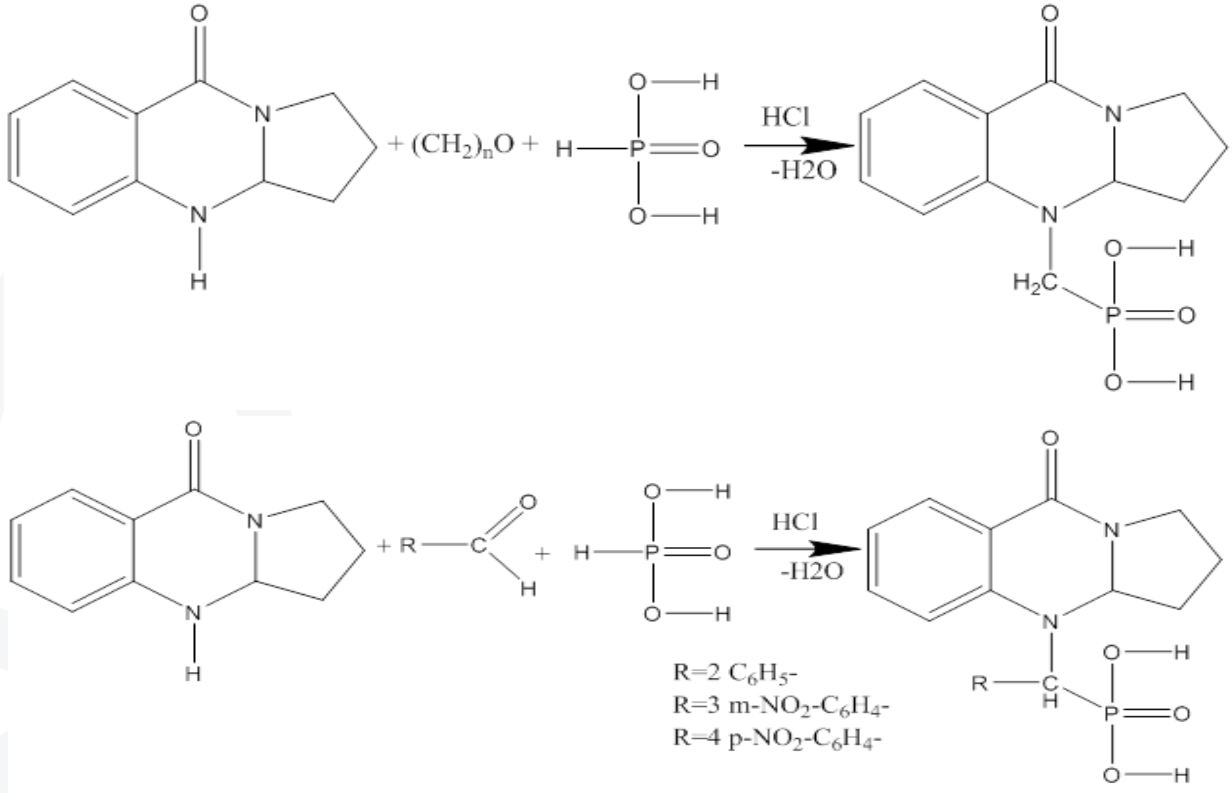
ANALYSIS OF RESULTS

One-pot, three-component reaction of 2,3-trimethylene-1,2,3,4 with dihydrobenzo[2,3-d]pyrimidin-4-one, p and m-nitro-benzaldehyde and phosphoric acid in preliminary experiments Optimization of reaction conditions was selected as the model reaction for The procedures followed for the synthesis of α-aminophosphonates in this work are shown in Table 1, entries 3–5. Product α-aminophosphonates were obtained by solvent-free microwave irradiation of aldehyde, amine, and phosphoric acid for 1 min. In toluene, without any catalyst, the resulting product was well formed.

WEB OF SCIENTIST: INTERNATIONAL SCIENTIFIC RESEARCH JOURNAL
ISSN: 2776-0979, Volume 4, Issue 4, April., 2023

Thin layer chromatography (TLC) was used to monitor the reaction and determine the purity of the products. The reaction was carried out with a catalytic amount of HCl in toluene for 30 min. All named compounds are readily soluble in polar organic solvents.

IR spectra of compounds (3-5) showed N-CH2 band at 1550 cm-1. A sharp band observed in the range 1240–1291 cm-1 is caused by P=O, and the band for P-C stretching appeared in the range 740-770 cm-1. All stretching frequencies are compiled in Table 2. H NMR spectra of compounds (3-5) in 1DMSO-d6 solvent were recorded. Aromatic protons of α-aminophosphonic acids appeared as a multiplet in the region d 6.15-8.69. The proton of the P–C–H group resonated as a multiplet in the range d 3.77–4.86.



EXPERIMENTAL PART

Synthesis of 2,3-trimethylene-3,4-dihydrobenzo[2,3-d] pyrimidin- 4- one hydrochloride (1).

$POCl_3$ (90 mL) was added to a mixture of 2-aminobenzoic acid (65.3 g, 0.47 mol) and pyrrolidone-2 (47.94 g, 0.564 mol) and stirred at room temperature. The reaction mixture was heated at 80-90°C for 2-3 hours, cooled to room temperature and 100 ml of water was added.

The aqueous solution is treated with 5% NaOH solution to pH 7.5-8. Extracted with chloroform (3x100 ml) and the organic phase was dried with Na2SO4, filtered and the solvent evaporated. The yield of compound 1 is 30.76 g (71%), mp 139-140°C. Rf=48 (10:1 chloroform-methanol): for a solution of 28.05 g (0.15 mol) 2,3-trimethylene-3,4-dihydrobenzo[2,3-d]pyrimidine-4. (1) 30 g (0.78 mol) of sodium borohydride was added to 350 ml of alcohol. The reaction mixture was boiled in a water bath for 3 hours and left for twenty-four hours. The solvent was removed, the remaining product was dissolved in water and left for 1 hour. The precipitate was filtered, washed 3 times with water, dried and recrystallized from hexane. The yield of compound 2 is 28.35 g (91%), Ts= 136-139°C. Rf =0.58 (10:1 chloroform-methanol).

Synthesis of compound 3. A mixture of paraform (0.005 mol), 2,3-trimethylene-1,2,3,4-tetrahydrobenzo[2,3d]pyrimidin-4-one (0.005 mol) and phosphidic acid in dry toluene was stirred for 1 hour. at room temperature min. Then the temperature was raised to 80-95 oC for 3 hours. The reaction was monitored by TLC. After completion of the reaction, toluene was removed by distillation and the residue was purified by column chromatography (5:4, benzene:hexane). The yield of compound 3 was 1.124 g (91%), Ts=167-169°C. Rf =0.4 (5:4, benzene:hexane)

Synthesis of compound 4. A mixture of m-nitrobenzaldehyde (0.005 mol), 2,3-trimethylene-1,2,3,4tetrahydrobenzo[2,3-d]pyrimidin-4-one (0.005 mol) and phosphidic acid was mixed in dry toluene. for 10 minutes at room temperature. The temperature was then raised to reflux for 5 h. the reaction was monitored by TLC. After completion of the reaction, toluene was removed by distillation and the residue was purified by column chromatography (5:4, benzene:hexane). The yield of compound 4 was 1.76 g (89%), Ts= 155-158°C. Rf=0.52 (5:4, benzene:hexane).

Synthesis of compound 5. A mixture of p-nitrobenzaldehyde (0.01 mol), 2,3-trimethylene-1,2,3,4-tetrahydrobenzo[2,3-d]pyrimidin-4-one (0.01 mol) and phosphidic acid was mixed in dry toluene. . for 10 minutes at room temperature. The temperature was then raised to reflux for 5 h. the reaction was monitored by TLC. After completion of the reaction, toluene was removed by distillation and the residue was purified by column chromatography (5:4, benzene:hexane). The yield of compound 5 was 1.78 g (90%), Ts= 149-152°C. Rf =0.4 (5:4, benzene:hexane)

CONCLUSIONS

A new method for the preparation of 2,3-trimethylenebenzo[2,3-d]-pyrimidin-4-one condensation with a lactam. performs selective update of 'sh gardens.

Synthesis of a novel α-aminophosphonic acid was achieved in high yield using single-ring tri-cata.

Component reaction process, Kabachnik-Fields reaction. It involves reactions between 2, 3- trimethylene-1,2,3,4-tetrahydrobenzo[2,3-d] pyrimidin-4-one, substituted aromatic aldehydes, and phosphoric acid in dry toluene at reflux temp. Their structures were determined by IR elemental analysis, 1H-NMR and mass spectrum data.

LITERATURE

1. Azamatova M. et al. HEALING PROPERTIES OF CHAMOMILE //Академические исследования в современной науке. – 2023. – Т. 2. – №. 8. – С. 37-40.
2. Ulfat o'g'li O. A. et al. Biological Activity of the Coordinating Compound of Qinazolin-4-One with Nickel-(II) Nitrate Hexahydrate //Texas Journal of Agriculture and Biological Sciences. – 2023. – Т. 13. – С. 61-63.
3. Sapaev B., Saitkulov F. CHROMATO MASS SPECTROMETRIC ANALYSIS USING ESSENTIAL OILS //Международная конференция академических наук. – 2023. – Т. 2. – №. 1. – С. 123-126.
4. Kholmirzaev M., Khaydarov G., Saitkulov F. DETERMINATION OF SIMPLE ESTERS IN MINT BY THE METHOD OF CHROMATOMASS SPECTROSCOPY //International Bulletin of Medical Sciences and Clinical Research. – 2023. – Т. 3. – №. 1. – С. 70-72.
5. Kholmirzaev M., Khaydarov G., Saitkulov F. SPECTRAL CHARACTER OF THE SIMPLE OF ETHERS //Theoretical aspects in the formation of pedagogical sciences. – 2023. – Т. 2. – №. 2. – С. 204-206.
6. Tilyabov M., Khaydarov G., Saitkulov F. CHROMATO MASS SPECTROMETRIC ANALYSIS USING MINT ESSENTIAL OILS //International Bulletin of Medical Sciences and Clinical Research. – 2023. – Т. 3. – №. 1. – С. 57-60.
7. Tilyabov M., Khaydarov G., Saitkulov F. CHROMATOGRAPHY-MASS SPECTROMETRY AND ITS ANALYTICAL CAPABILITIES //Development and innovations in science. – 2023. – Т. 2. – №. 1. – С. 118-121.
8. Tilyabov M., Khaydarov G., Saitkulov F. THE STUDY OF ESTERS CHROMATOGRAPHY-MASS SPECTROMETRY OF ABSOLUTE ETHANOL EXTRACT OF THE CENTRAL ASIAN MINT PLANT (LAMIACEAE) //International Bulletin of Medical Sciences and Clinical Research. – 2023. – Т. 3. – №. 1. – С. 61-65.
9. Kholmirzaev M., Khaydarov G., Saitkulov F. METHOD OF OBTAINING HERBAL MINT EXTRACT //International Bulletin of Medical Sciences and Clinical Research. – 2023. – Т. 3. – №. 1. – С. 66-69.

10. Saitkulov F. et al. STUDYING THE PROPERTIES OF THE UV SPECTRA OF QUINAZOLIN-4-ONES AND–TIONS //Development and innovations in science. – 2023. – Т. 2. – №. 1. – С. 24-27.
11. Saitkulov F. et al. STUDY OF THE STRUCTURE OF 2, 3-DIMETHYLQUINAZOLINE-4-ON //Академические исследования в современной науке. – 2023. – Т. 2. – №. 2. – С. 5-10.
12. Саиткулов Ф. Э., Элмурадов Б. Ж., Гиясов К. АЛКИЛИРОВАНИЯ ХИНАЗОЛИН-4-ОНА «МЯГКИМ» И «ЖЕСТКИМ» АЛКИЛИРУЮЩИМИ АГЕНТАМИ //Universum: химия и биология. – 2023. – №. 1-2 (103). – С. 53-56.
13. Murodillayevich K. M., Shoyimovich K. G., Ergashevich S. F. Studying the Aroma of Mint Essential Oil //INTERNATIONAL JOURNAL OF BIOLOGICAL ENGINEERING AND AGRICULTURE. – 2023. – Т. 2. – №. 1. – С. 54-56.
14. Baymuratova G. O., Nasimov K. M. THE COORDINATION COMPOUND OF COBALT CHLORIDE WITH 6-BENZYLAMINOPURINE AND AN EXPLANATION OF ITS BIOLOGII ACTIVITY //Kimyo va tibbiyot: nazariyadan amaliyotgacha. – 2022. – С. 60-62.
15. Baymuratova G. O., Nasimov K. M. THE COORDINATION COMPOUND OF COBALT CHLORIDE WITH 6-BENZYLAMINOPURINE AND AN EXPLANATION OF ITS BIOLOGII ACTIVITY //Kimyo va tibbiyot: nazariyadan amaliyotgacha. – 2022. – С. 60-62.
16. Murodovich N. H. et al. PREPARATION AND STRUCTURE OF 6-BENZYLAMINOPURINE //Galaxy International Interdisciplinary Research Journal. – 2021. – Т. 9. – №. 12. – С. 724-726.