Chemistry of Natural Compounds, Vol. 42, No. 6, 2006

REACTION OF ANALOGS OF NATURAL ISOFLAVONOIDS WITH AMIDINES

M. S. Frasinyuk,[1] S. P. Bondarenko,[2] and V. P. Khilya[2]

UDC 547.814.5

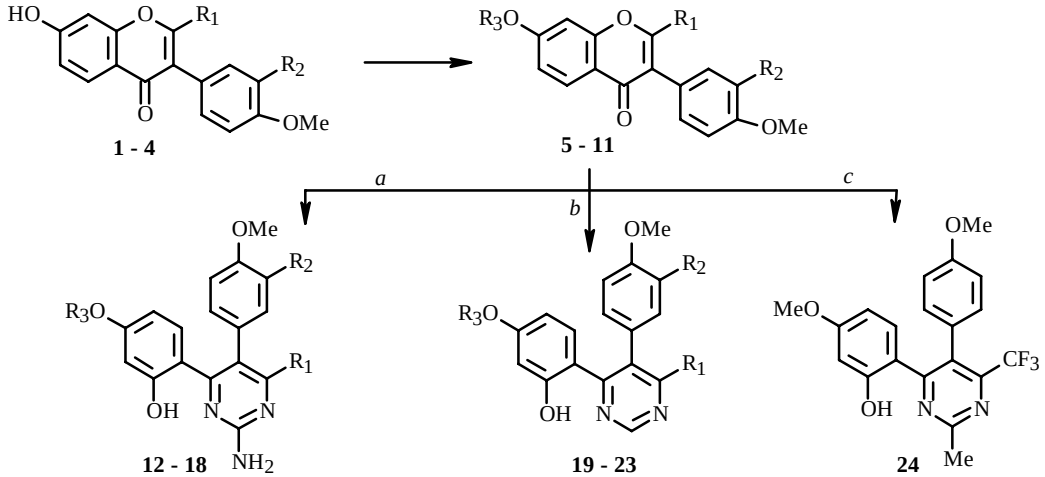
Recyclization of the chromone ring in a series of analogs of natural isoflavonoids by reaction with amidines was studied.

Key words: isoflavonoids, chromone ring, recyclization, amidines.

In continuation of research on the synthesis and reactivity of natural alkoxyisoflavones (formononetin, orobol, biochanin A, pseudobaptigenin, cladrin) and their analogs and considering the high and varied biological activity of isoflavonoids, we studied the reaction of these compounds with amidines. The pyrimidine ring appears in the B vitamins and nitrogeneous bases, which are important components of nucleic acids, nucleosides, and nucleotides, and in cofactors and enzymes. Sulfanilamide preparations, which possess bacteriostatic activity (sulfazine, sulfadimesine, sulfadimethoxine, et al.) and are synthetic derivatives of pyrimidine, are broadly used in medical practice [1].

Isoflavones are known to recyclize into the corresponding 4-(2-hydroxyphenyl)pyrimidine derivatives upon reaction with amidines in ethanol in the presence of sodium ethoxide [2-5] or in DMSO in the presence of potash under a N_2 atmosphere [6].

The susceptibility of isoflavonoids to attack by nucleophilic reagents depends on their structure. The presence of electron-accepting substituents, especially in the 3-position of the chromone ring, significantly enhances the reaction. Electron-donating methoxyls in the B ring of analogs of natural isoflavones markedly decreases the reactivity of the latter to attack by nucleophilic reagents. The presence of substituents in the 2-position of the chromone ring sometimes significantly lowers the product yields and prolongs the reaction time (especially for flavones).



a. $H_2NC(=NH)NH_2$; *b.* $HC(=NH)NH_2$; *c.* $MeC(=NH)NH_2$

1, 4 - 7, 11 - 14, 18 - 21, 23: R_1 = H; **2, 8, 9, 15, 16:** R_1 = Me; **3, 10, 17, 22:** R_1 = CF_3; **1 - 3, 5 - 10, 12 - 17, 19 - 22**: R_2 = H; **4, 11, 18, 23:** R_2 = OMe; **5, 8, 10, 12, 15, 17, 19, 22:** R_3 = Me; **6, 9, 11, 13, 16, 18, 20, 23:** R_3 = $MeC(=CH_2)CH_2$; **7, 14, 21:** R_3 = 4-$MeC_6H_4CH_2$

1) Institute of Bioorganic and Petroleum Chemistry, National Academy of Sciences of Ukraine, 02094, Ukraine, Kiev, ul. Murmanskaya, 1, e-mail: mfras@i.kiev.ua; 2) Taras Shevchenko Kiev National University, 01033, Ukraine, Kiev, ul. Vladimirskaya, 64. Translated from Khimiya Prirodnykh Soedinenii, No. 6, pp. 548-551, November-December, 2006. Original article submitted July 17, 2006.

0009-3130/06/4206-0673