

SYNTHESIS AND PROPERTIES OF 4-(3-AMINO-2-BENZOFURANYL)- COUMARINS

M. S. Frasinuk^{1*}, S. V. Gorelov², S. P. Bondarenko, and V. P. Khilya¹

*The alkylation of *o*-cyanophenol by 4-chloromethylcoumarins and subsequent intramolecular condensation by the cyano and methylene groups gives substituted 4-(3-amino-2-benzofuranyl)coumarins. We studied the reactions of these compounds with acylating agents as well as with aldehydes, which lead to the 6H-[1]benzofuro[3,2-*b*]chromeno[4,3-*d*]pyridin-6-one system as the result of consecutive transformations.*

Keywords: 4-(3-amino-2-benzofuranyl)coumarin, 6H-[1]benzofuro[3,2-*b*]chromeno[4,3-*d*]pyridin-6-one, 1,2-dihydropyridine, 4-chloromethylcoumarin, 5H-chromeno[3,4-*c*]pyridin-5-one, *o*-cyanophenol.

The healing properties of many plants have been long known and their present use is continuing to increase since an advantage of plant preparations is their low toxicity and the possibility of using them for long periods without the risk of side-reactions. The major groups of therapeutically active plants are alkaloids, steroid glycosides, saponins, flavonoids, coumarins, organic acids, and vitamins.

Derivatives of oxygen heterocycles are among the most common classes of natural products [1]. Compounds containing two or more oxygen heterocycles are encountered in nature much less frequently. Some of the most important such compounds are furocoumarins [2], linear and angular furochromones, coumestans, rotenoids [3], and coumarin and flavonoid derivatives containing a pyran ring fused to ring A or B [4, 5].

Coumarin derivatives containing a benzofuran ring possess anti-inflammatory, hypotensive, and analgesic action [6-9]. Thus, in a continuation of a study of the synthesis and properties of coumarin heteroanalogs, we investigated the preparation and reactivity of substituted 4-(3-amino-2-benzofuranyl)-coumarins. Special interest was found in the synthesis of condensed derivatives of pyridino[3,4-*c*]coumarins since such alkaloids have been isolated from *Schumanniphyton problematicum* [10]. Many alkaloids are known to be very valuable pharmaceutical products or serve as starting materials for the synthesis of such products.

The alkylation of salicylonitrile by 4-bromomethylcoumarin in acetone in the presence of potassium carbonate at room temperature leads to 4-(2-cyanophenoxy-methoxy)coumarins, which are converted upon heating in ethanol at reflux in the presence of potassium carbonate into 4-(3-amino-2-benzofuranyl)coumarins [9].

* To whom correspondence should be addressed, e-mail: mfras@i.kien.ua.

¹Taras Shevchenko Kiev National University, Kiev 01033, Ukraine.

²National Technical University of Ukraine (Kiev Polytechnical Institute), Kiev 03056, Ukraine.