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TROPANE ALKALOIDS OF TWO SPECIES OF PLANTS OF THE *Convolvulus* GENUS

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Eighteen alkaloids have been isolated from two species of Convolvulus, *ten of which were new. The structures of nine of the latter, including two dimeric bases, have been established. Transitions between them have been effected.*

The study of alkaloids of the *Convolvulus* (glorybind) genus was begun in 1932 by A. P. Orekhov and R. A. Konovalova [1]. From *C. pseudocantabrica* they isolated two alkaloids – convolvine and convolamine – and established their structures. In this way the presence of tropane alkaloids in plants of the Convolvulaceae family was shown for the first time. The same alkaloids were isolated by S. Yu. Yunusov et al. from the related species *C. subhirsutus* in 1958 [2]. The presence of N-methylpyrrolidine derivatives – cuscohygrine and hygrine – in *C. hamadae* has been reported [3].

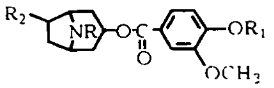
Investigations have shown that plants of the *Convolvulus* genus are typical alkaloid-bearers and are a rich source of tropane alkaloids and others. In view of the high physiological activity of the tropane alkaloids and also the inadequate degree to which plants of the *Convolvulus* genus had been studied, we made a detailed investigation of the alkaloid composition of *C. subhirsutus* in relation to the organs of the plant, its vegetation periods, and its growth sites [4].

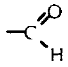
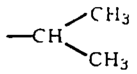
The leaves and roots of the plant are the parts richest in alkaloids, the maximum accumulation of the main alkaloid, convolvine, being observed in the early vegetation period, and that of convolamine at the end of vegetation.

From the glorybind species studied, 18 alkaloids were isolated. Of these, eight had been described in the literature, while it was the first time that one (phyllalbine) had been isolated from the Convulvulaceae family; ten alkaloids were new, and the structures of nine of them, including two new dimeric compounds, were established [5].

It must be mentioned that practically all the new alkaloids from plants of the *Convolvulus* genus are based on a tropane skeleton substituted at the nitrogen atom and esterified at C-3 by a 1,3,4-substituted benzoic acid, the substituents in the benzene ring being methoxy or hydroxy groups or combinations of them.

The structures of the new tropane alkaloids can be represented in the following way:



	R	R₁	R₂
1. Convolvine [1, 2]	H	OCH_3	H
2. Convolamine [1, 2]	CH_3	OCH_3	H
3. Phyllalbine [7]	CH_3	H	H
4. Convolidine [6]	H	H	H
5. Confoline [7]	 $-CHO$	CH_3	H
6. Convoline [8]	OH	CH_3	H
7. Convosine [8]	 $-CH(CH_3)_2$	CH_3	H

Institute of the Chemistry of Plant Substances, Academy of Sciences of the Republic of Uzbekistan, Tashkent, fax (3712) 89 14 75. Translated from Khimiya Prirodnykh Soedinenii, No. 5, pp. 687-689, September-October, 1996. Original article submitted February 19, 1996.

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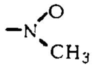
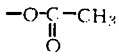
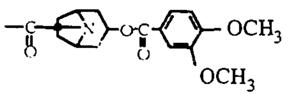
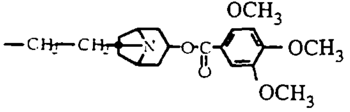
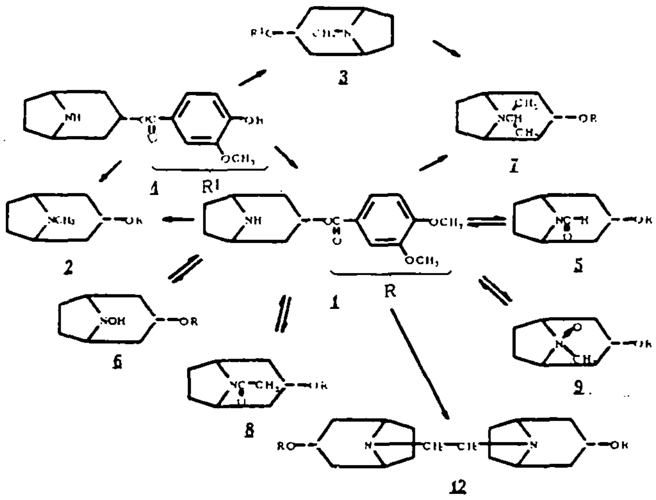
8. Convolicine [10]	$CH_3—CO—$	CH_3	H
9. Convolamine N-oxide [11]	 $-N(\to O)CH_3$	CH_3	H
10. Convolacine [12]	CH_3	CH_3	 $-O-\underset{\underset{O}{\|}}{C}-CH_3$
11. Subhirsine [13]		CH_3	H
12. Convolvidine [14]		CH_3	H

The tropane alkaloids isolated from *C. subhirsutus* and Krauze's glorybind have close structures, and by simple operations it is possible to achieve interconversions and transitions between them, which we have done (scheme 1). The structures of the majority of the alkaloids are based on the skeleton of convolvine – which is quantitatively the main component of the nor-alkaloids, from which other bases can be synthesized. Thus, on Hess methylation, convolvine is readily converted into the N-CH_3 derivative – convolamine. On methylation with diazomethane, the phenolic alkaloid phyllalbine gives convolamine. In its turn, phyllalbine itself is obtained from convolidine (norphyllalbine) by Hess methylation. Convoline, an alkaloid with a hydroxylamine grouping, is readily converted by reduction with active hydrogen into convolvine, and, conversely, the oxidation of convolvine with hydrogen peroxide leads to convoline. The acetylation of convolvine with acetic anhydride gives convolicine – N-acetylconvolvine. Hydrolysis of the latter yields convolvine.



Mutual transitions of alkaloids from plants of the *Convolvulus* genus.

Confoline – N-formylconvolvine – can also be synthesized from convolvine and methyl formate. The reverse process – the hydrolysis of confoline – leads to convolvine. The dimeric alkaloid convolvidine, the molecule of which contains two symmetrical structural units of convolvine, can be synthesized from convolvine by heating it with dichloroethane. These readily performed mutual transitions between the alkaloids permit the assumption that, in all probability, such interconversions take place in the plant organism itself at a definite stage of physiological development.

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