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PLANT GROWTH RETARDANT ACTIVITY OF POLYCYCLOALKANOLS STRUCTURALLY RELATED TO 4-HOWOISOTWISTANOLS

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Key Word Index—*Cucumis sativus*; Cucurbitaceae; retardant activity; polycycloalkanols.

Abstract—Various polycycloalkanols structurally related to the plant growth retardants, 4-homoisotwistanols, were prepared and their effect on the growth of cucumber seedlings in complete darkness investigated in order to obtain information on structure–activity relationships. 4-Homobrendan-2-ols, bicyclo[3.3.1]-nonan-1-ol and adamantan-1-ol showed almost the same inhibitory activity as the 4-homoisotwistanols, but 4-homobrendan-3-ol and bicyclo-[3.3.1]nonan-2-ol were only moderately active or almost inactive. No simple relationship was apparent between structure and activity.

Previously [2], hydroxy-4-homoisotwistanes including **1–3** were tested for their plant growth retardant activities. It was found that the activity varied with the orientation and the configuration of the hydroxy group in the 4-homoisotwistanols. In order to obtain information on the variation of activity with skeletal structure, hydroxy derivatives of polycycloalkanes structurally related to 4-homoisotwistane were prepared and tested. The structures of these polycycloalkanes were so selected that either the bicyclo[3.3.1]nonane or the bicyclo[2.2.2]-octane partial structure was included in them.

The effects of polycycloalkanols on the growth of hypocotyls of cucumber seedlings in complete darkness are summarized in Table 1†. 4-Homobrendanols (tricyclo[5.2.1.$0^{3,8}$]decanols, **4–6**) have the same chair-boat bicyclo[3.3.1]nonane structure as 4-homoisotwistanols. However, although the exo-2- (**4**) and the endo-2- (**5**) hydroxy derivatives showed a similar level of activity as those of the corresponding 4-homoisotwistanols (**1** and **2**), the 3-hydroxy derivative (**6**) was rather inactive when compared with **3**.

The conformation of the bicyclo[3.3.1]nonane in **7** and **8** is expected to be a chair–chair [3], in contrast to the chair–boat of structures **1** to **6**. The same chair–chair conformation in adamantan-1-ol (**9**) is rigidly held back by the methylene bridge. These compounds exhibited a variety of activity, from fair to moderate (**7** and **9**) to almost inactive (**8**). Derivatives of bicyclo[2.2.2]octane (**10** and **11**) and norbornane (**12–15**) were all inactive.

Thus the present results suggest that the growth retardant activity found in compounds **1–6** can not be attributed solely to the presence of the bicyclic partial structures, bicyclo[3.3.1]nonane and bicyclo[2.2.2]octane.

EXPERIMENTAL

Preparation of compounds. 4-Homoisotwistanols (**1–3**) [4], 4-homobrendanols (**4–6**) [5, 6], bicyclo[3.3.1]nonanols (**7** and **8**) [7, 8], adamantan-1-ol (**9**) [9–13], 2,3-trimethylenebicyclo-[2.2.2]octanols (**10** and **11**) [14], 2,3-trimethenebicyclo[2.2.2]-heptanols (**12** and **13**) [15, 16] and norbornanols (**14** and **15**) [17, 18] were prepared according to the methods in the literature.

Evaluation of retardant activity. The growth retardant effect of the test compounds on cucumber seedlings was investigated using the methods described in a previous paper [2].

* Part 5 in the series 'Biologically active polycycloalkanes': previous paper [1].

† For Table 1 see p. 805.

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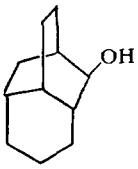
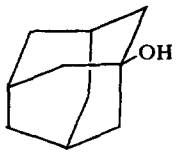
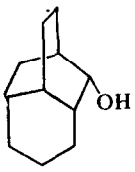
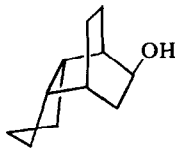
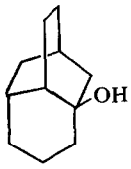
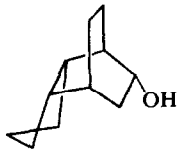
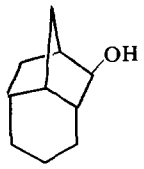
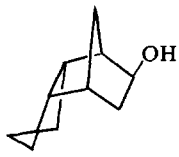
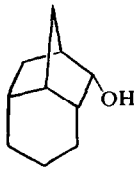
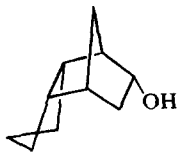
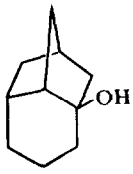
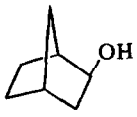
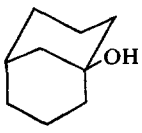
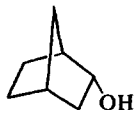
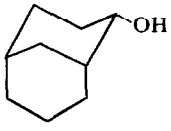
Table 1. The effect of polycycloalkanols on the growth of cucumber seedling hypocotyls

No.	Compound	Concentration (mol/l.) 5×10^{-4}	1×10^{-4}	2×10^{-5}	No.	Compound	Concentration (mol/l.) 5×10^{-4}	1×10^{-4}	2×10^{-5}
1		0	65	102	9		19	98	102
2		0	28	93	10		52	95	95
3		0	65	93	11		37	85	103
4		10	89	94	12		88	103	100
5		7	33	96	13		54	96	105
6		67	97	105	14		83	93	105
7		0	95	103	15		61	97	101
8		73	82	87					

Each value represents the mean of the hypocotyl lengths as a % of the control.