

## EXPERIMENTELLES

Die lufttrocken zerkleinerten Pflanzenteile (Herbar Nr. LV 78/16, in Louisiana gesammelt) extrahierte man mit Ether-Petrol, 1:2 und trennte die erhaltenen Extrakte zunächst grob durch SC (Si gel, Akt.St. II) und weiter durch mehrfache DC (Si gel, GF 254). Bekannte Substanzen identifizierte man durch Vergleich der IR- und NMR-Spektren mit denen von authentischem Material. 15 g Wurzeln ergaben 0.3 mg **1**, 10 mg **2**, je 25 mg **3** und **4** (Ether-Petrol, 1:1) und 30 mg **8**, während 200 g oberirdische Teile 10 mg **7** und 50 mg Ferulasäure lieferten. Die für  $\alpha$ - und  $\beta$ -Virginolcumarat angegebenen Daten [2] entsprechen denen von **3** und **4**.

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## BIOLOGICAL ACTIVITY OF 15 $\alpha$ -HYDROXY GA<sub>14</sub> 7,15-LACTONE

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**Key Word Index**—Gibberellin; 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone; biological activity; lettuce hypocotyl; dwarf rice.

A new gibberellin, 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone (**1**) was isolated from cultures of *Gibberella fujikuroi* during an investigation of fluorinated kaurenoids as potential inhibitors of the biosynthesis of gibberellins [1]. This metabolite is of especial interest because the substitution of a lactone function for the C-7 carboxyl group occurs at a site believed to be of crucial importance for high biological activity [2]. The effect of the rearrangement was assessed using the lettuce hypocotyl and Tanginbozu dwarf rice bioassay systems, and the activities were compared with those obtained from a range of standard gibberellins. The results are summarized in Fig. 1 and Table 1.

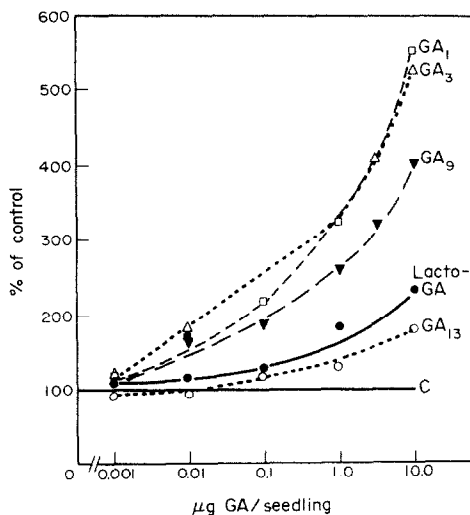
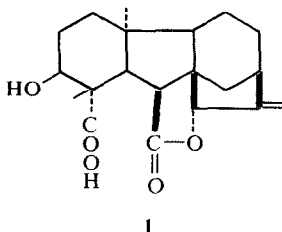


Fig. 1. Response of second leaf sheath of Tanginbozu dwarf rice to 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone (**1**) and other GAs (expressed as a percentage of control).

The 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone (**1**) was at least ten times as active as GA<sub>13</sub> in both the lettuce hypocotyl and dwarf rice systems over the range of concentrations tested. However, its activity was low compared to all the other gibberellins examined in both bioassays. Comparison between the new gibberellin and GA<sub>14</sub> is relevant since their structures are identical apart from the alterations at the C-7 and C-15 positions. However, GA<sub>14</sub> was

only slightly more active than GA<sub>13</sub> in the Tanginbozu dwarf rice bioassay and completely inactive in the lettuce hypocotyl system at the concentrations assessed [3]. Thus, it is apparent that the activity of the 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone in these two bioassays is not impaired by the substitution of the C-7 carboxyl group. This is in general agreement with Brian *et al.* [2] who found that the presence of a free C-7 carboxyl group or the corre-

Table 1. Response of lettuce hypocotyls to 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone (1) and other GAs

	$\mu$ g GA per dish (10 seedlings)			
	0.05	0.5	5.0	50.0
GA <sub>1</sub>	130	265	515	—
GA <sub>3</sub>	200	465	650	—
GA <sub>9</sub>	150	375	455	—
GA <sub>13</sub>	100	100	100	120
15 $\alpha$ -Hydroxy GA <sub>14</sub> 7,15-lactone (1)	100	100	145	190

Results are expressed as a percentage of the control level.

sponding acid anhydride was essential for high activity in several bioassays, including the lettuce hypocotyl system. Neutral derivatives were of low activity compared to the parent compound. The most active gibberellins contain a lactone ring, but this is not regarded as being essential for high activity [2]. Other gibberellins with 7,15-lactone substitution have been prepared [6, 7] but the biological activity of this type of compound has not been previously reported.

#### EXPERIMENTAL

All compounds were initially dissolved in MeOH and diluted to the required concn with H<sub>2</sub>O for the lettuce bioassay and with 50% MeOH for the dwarf rice system. Structure and purity of the 15 $\alpha$ -hydroxy GA<sub>14</sub> 7,15-lactone (1) were established by <sup>1</sup>H NMR and IR spectra as described [1].

*Lettuce hypocotyl bioassay.* This was performed using the cv 'Arctic' throughout [4]. Seeds were presprouted and 10 seedlings

placed on 3 cm squares of Whatman No. 1 in 5 cm Petri dishes together with 0.5 ml test soln. Lighting was provided by day-light-type fluorescent tubes at an intensity of 6500 lx, and hypocotyl lengths were measured 48 hr after treatment.

*Tanginbozu dwarf rice bioassay.* The procedure followed was that of ref. [5] except that seeds were germinated by imbibing in sterile H<sub>2</sub>O for 48 hr and then placed on pre-sterilized Whatman 3MM filter paper in closed, sterile Petri dishes for 24 hr. Measurements were made 72 hr after treatment.

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