

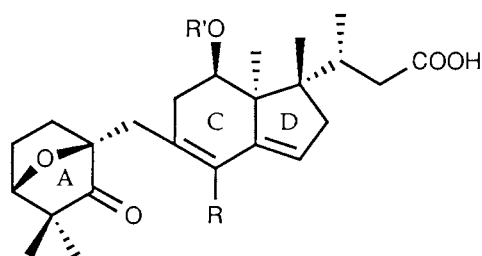
## Structure-activity Relationship of Glycinoeclepin A

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In a course of studies on glycinoeclepin A, which is a natural hatching stimulus for the soybean cyst nematode isolated from the roots of kidney bean, the relationship of the structure and activity has been investigated with use of various synthetic compounds.

The isolation<sup>1)</sup> and structure elucidation<sup>2)</sup> of glycinoeclepin A (1), which is a natural hatching stimulus for the soybean cyst nematode, have been carried out by T. Masamune et al. Recently, we have succeeded in the first total synthesis of 1.<sup>3,4)</sup> This substance stimulates the hatching of the larvae from the eggs *in vitro* at a concentration of  $10^{-11}$ - $10^{-12}$  g/ml in water at 25 °C. Since that time, we have investigated the relationship of the structure and activity for 1. The preliminary results of the hatching activities of the derivatives (2, 3, 4, and 5) are shown below. The respective values accompanied by the compound numbers denote the concentration accelerating the hatching of the larvae from a half number of the eggs (ED<sub>50</sub>). These data reveal that i) the carboxyl group at the C-ring is essential; ii) the presence of the hydroxyl group at the C-ring is also significant for the hatching activity. Keeping these results in mind, we describe herein the results of the hatching activity test of the related compounds (6-16).<sup>5)</sup>



- 1, R=COOH, R'=H,  $10^{-11}$ - $10^{-12}$  g/ml  
 2, R=COOMe, R'=H,  $10^{-10}$  g/ml  
 3, R=COOMe, R'=Ac,  $10^{-9}$  g/ml  
 4, R=H, R'=H,  $10^{-8}$  g/ml  
 5, R=H, R'=Ac,  $10^{-9}$  g/ml

These results (ED<sub>50</sub>) as summarized in Fig. 1 indicate that the diastereomeric mixture 6 with the A ring of the natural configuration showed a little higher activity comparing to those of 7 as a racemate and 8, while the aromatic compounds (9 and 10) resulted in only 15% of hatching at a concentration of  $10^{-5}$  g/ml, respectively. Although we could not synthesize the compound corresponding to the isomer of 6 with the tetra-substituted double bond, the A-ring part of 1 seems to make a little contribution to the hatching activity.<sup>6)</sup> On the other hand, the significance

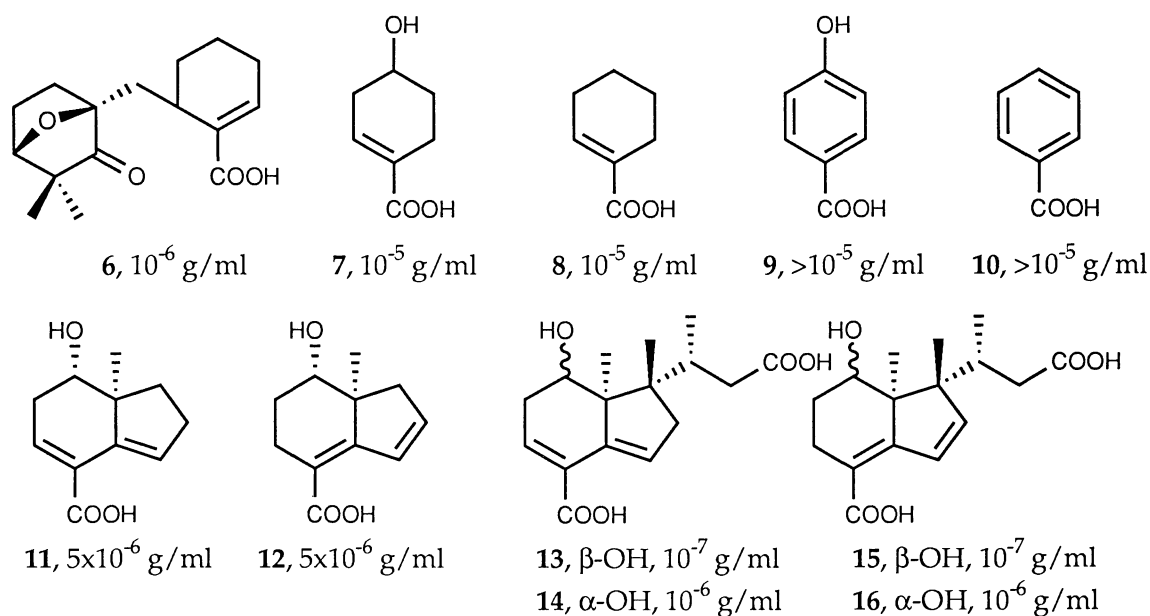


Fig. 1.

of the C-ring of **1** should be emphasized for the activity. The activities of the racemic (**11-12**) and optically active compounds (**13-16**) corresponding to the C- and D-ring system indicate that i) the presence of the hydroxyl group at the C-ring is important with a little advantage of  $12\beta$ -hydroxyl group (**13** vs. **14** as well as **15** vs. **16**); ii) the cross-conjugated system in the C-ring is not necessarily a requisite for the activity [the linear-conjugated system showed almost the same activities (**11** vs. **12**, **13** vs. **15**, and **14** vs. **16**)]; iii) the carboxyl group as the substituent at the D-ring is originally indispensable. These data would make the practical preparation of an ecological pesticide against the soybean cyst nematode possible in the near future.

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