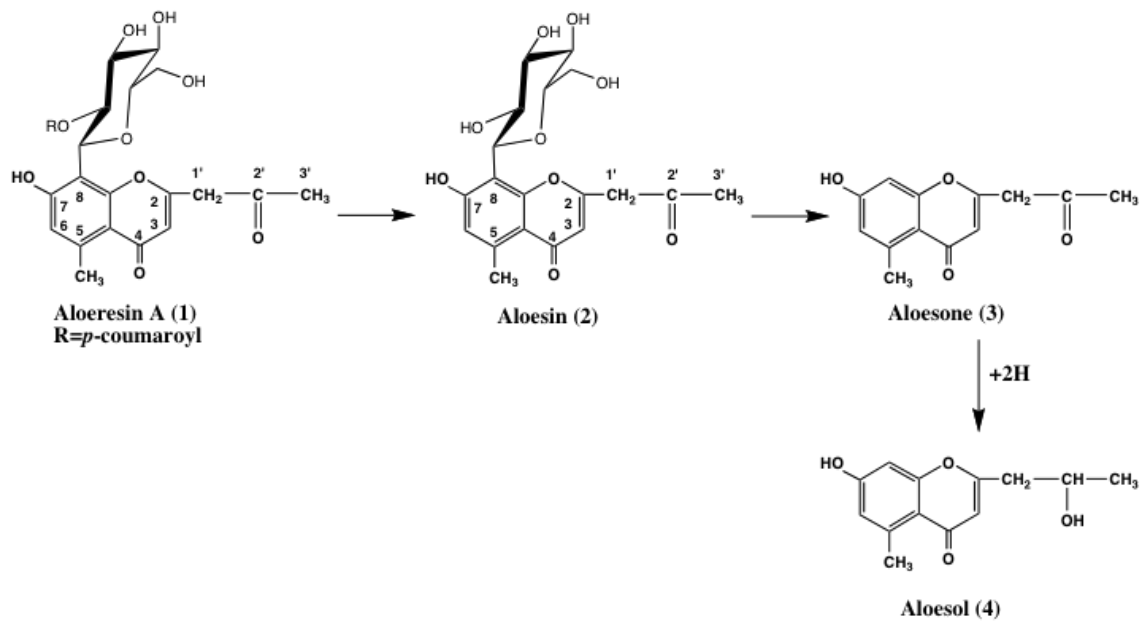


Aloeresin A



Metabolic processes of aloeresin A by human intestinal microflora

代謝実験

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Metabolism by a bacterial mixture from human feces

Fresh feces from a healthy man were thoroughly suspended in 50 volume of 0.2 M phosphate buffer (pH 7.2), filtered through layers of gauze to eliminate the sediment. The filtrate was used as an intestinal bacterial mixture.

2-Acetyl-7-hydroxy-5-methylchromone (3)

Colorless needles, mp. 218—221 °C, EI-MS m/z (rel. int.): 232 (M^+ , 62%), 190 (86%), 161 (24%), 151 (42%), 43 (CH_3CO , 100%). IR ν cm^{-1} : 3450 (OH), 1650, 1545, 1360, 1280. 1H -NMR (270MHz, $DMSO-d_6$) δ : 2.22 (3H, s, 3'-H₃), 2.66 (3H, s, 5-Me), 3.86 (2H, s, 1'-H₂), 6.04 (1H, s, 3-H), 6.59 (1H, $J=2.4$ Hz, 8-H), 6.61 (1H, br d, $J=2.4$ Hz, 6-H), 10.58 (1H, s, 7-OH).

7-Hydroxy-2-(2'-hydroxypropyl)-5-methylchromone (4)

Colorless needles, mp 175-178 °C. $[\alpha]_D^{20}$ ($c = 0.044$, MeOH). IR ν cm^{-1} : 3400, 1630, 1540, 1360, 1285. EI-MS m/z (rel. int.): 234 (M^+ , 65%), 190 (85%), 161 (20%), 151 (36%), 124 (15%), 91 (13%), 45 (42%), 18 (100%). 1H -NMR (270MHz, CD_3OD) δ : 1.27 (3H, d, $J=6.3$ Hz, 3'-H₃), 2.65 (1H, dd, $J= 14.2, 7.6$ Hz, 1'-Ha), 2.68 (1H, dd, $J= 14.2, 5.6$ Hz, 1'-Hb), 2.72 (3H, s, 5-Me), 4.19 (1H, m, 2'-H), 6.06 (1H, s, 3-H), 6.63 (1H, br d, $J=2.0$ Hz, 6-H), 6.66 (1H, d, $J= 2.0$ Hz, 8-H).

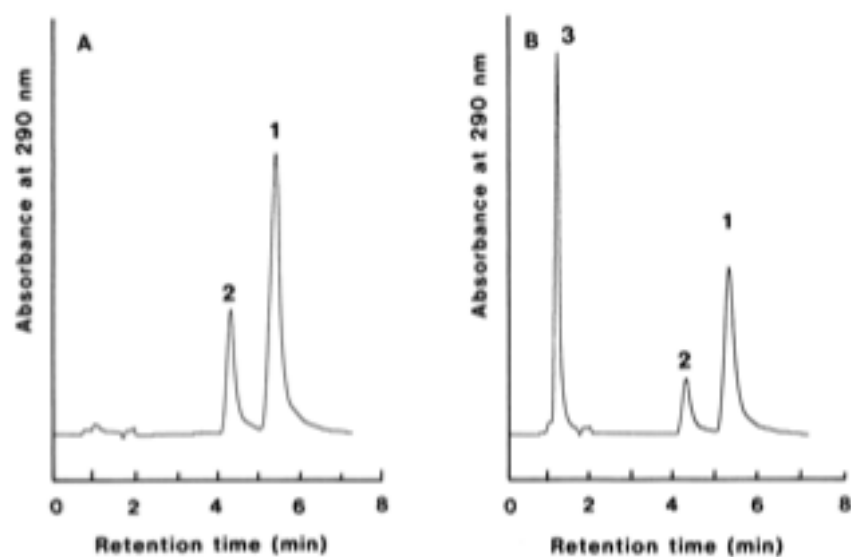


Fig. 1. Elution profiles of the metabolites of aloesin (A) and aloeresin A (B) obtained by anaerobic incubation with a bacterial mixture from human feces

The metabolites were extracted with BuOH and analyzed by HPLC. HPLC was performed with an ODS-5 column (Nomura Chem. Co.) under conditions: mobile phase, CH₃CN-H₂O (1:3); flow rate, 1.0 ml/min; detection at 290 nm. Peak 1, aloesone (**3**); peak 2, *dl*-aloesol (**4**); peak 3, *E*- and *Z*-*p*-coumaric acids.

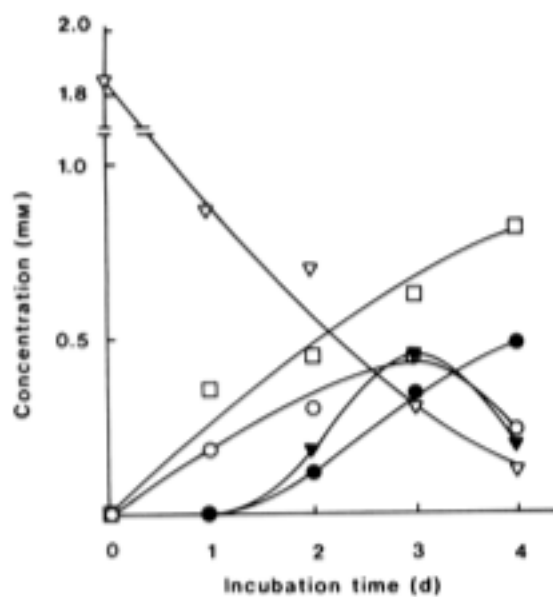


Fig. 2. Time course of the metabolism of aloeresin A (**1**)

Aloeresin A (**1**) was anaerobically incubated with an intestinal bacterial mixture at 37 °C. The metabolites were analyzed by TLC-densitometry. (▽), aloeresin A (**1**); (□), *dl*-coumaric acid; (○), aloesin (**2**); (▼), aloesone (**3**); (●) *dl*-aloesol (**4**).

参考文献

1) Che Q. M., Akao T., Hattori M., Kobashi K. and Namba T.: Metabolism of aloesin and related compounds by human intestinal bacteria: A bacterial cleavage of the C-glucosyl bond and the subsequent reduction of the acetyl side chain. *Chem. Pharm. Bull.*, **39**, 704-708 (1991).