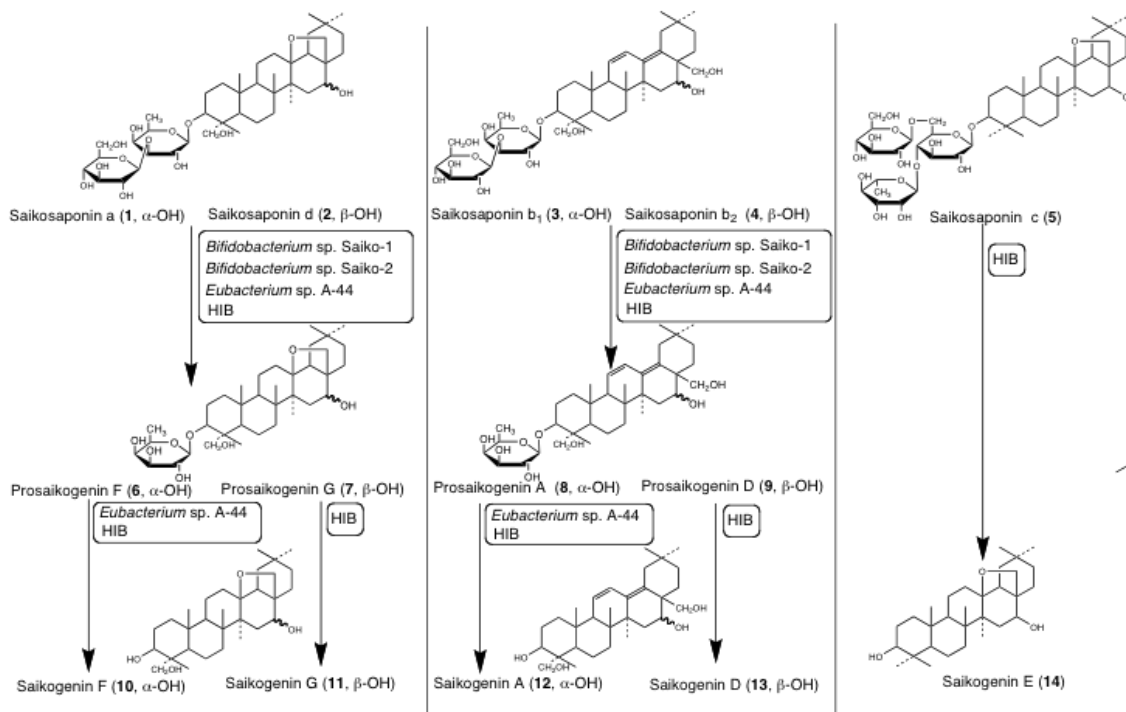


## Saikosaponin



Metabolic processes of saikosaponins a, b1, b2, c and d by a human intestinal microflora

代謝実験

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### Preparation of a bacterial suspension of human feces

Fresh feces obtained from a healthy young man (age : 25, male) were suspended in five volumes of phosphate buffer (pH 7.2). The fecal suspension thus obtained was used in the following experiments. [Kida *et al.*, *J. Trad. Med.*, **14**, 34-40 (1997)]

### Time course of the metabolism of saikosaponins by an intestinal bacterial suspension

**suspension** : GAM broth (9 ml) containing saikosaponin a (1), b1 (3), b2 (4), c (5) or d (2) (a final concentration, 1 mM) was incubated with an intestinal bacterial suspension (1 ml) in an anaerobic incubator at 37°C. A 100  $\mu$ m portion was taken out at intervals (4, 10, 24 and 48 hours) and extracted with BuOH (100  $\mu$ l). Five microliters of the BuOH

layer were applied to a TLC plate, which was developed with solvent system A. [Kida *et al.*, *J. Trad. Med.*, **14**, 34-40 (1997)]

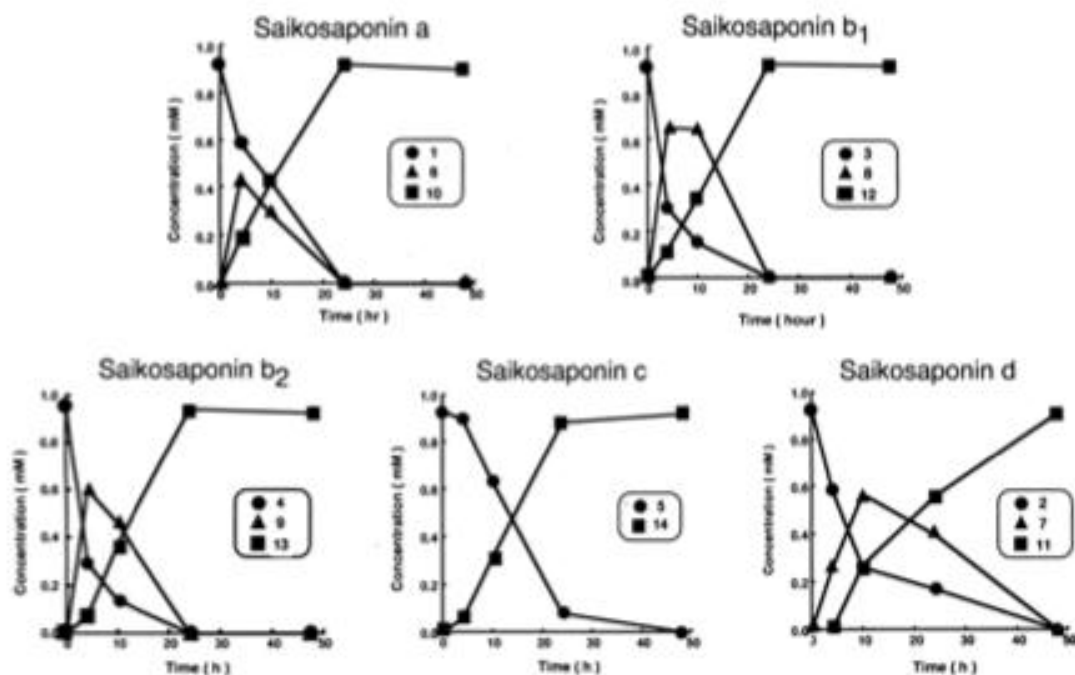


Fig. 1 Time course of metabolism of saikosaponins a (1), b<sub>1</sub> (3), b<sub>2</sub> (4), c (5) and d (2) by a human fecal suspension.

Symbols : 1, saikosaponin a ; 2, saikosaponin d ; 3, saikosaponin b<sub>1</sub> ; 4, saikosaponin b<sub>2</sub> ; 5, saikosaponin c ; 6, prosaikogenin F ; 7, prosaikogenin G ; 8, prosaikogenin A ; 9, prosaikogenin D ; 10, saikogenin F ; 11, saikogenin G ; 12, saikogenin A ; 13, saikogenin D ; 14, saikogenin E. [Kida *et al.*, *J. Trad. Med.*, 14, 34-40 (1997)]

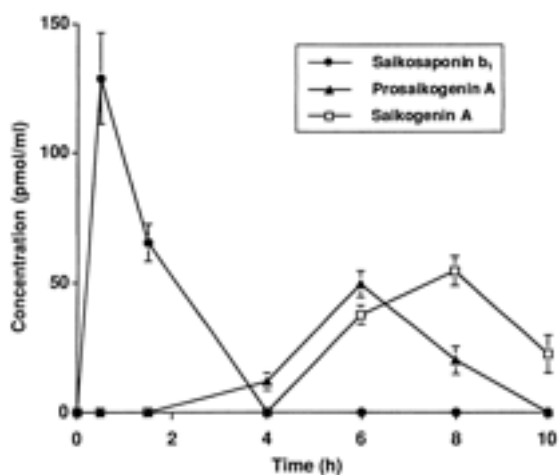


Fig. 2. Plasma concentration-time courses of saikosaponin b<sub>1</sub> (**3**) and its metabolites in conventional rats after the oral administration of **3** at a dose of 50 mg/kg. Each point represents the mean  $\pm$  S.E. of three rats. [Kida *et al.*, *Biol. Pharm. Bull.*, **21**, 588-593 (1998)]

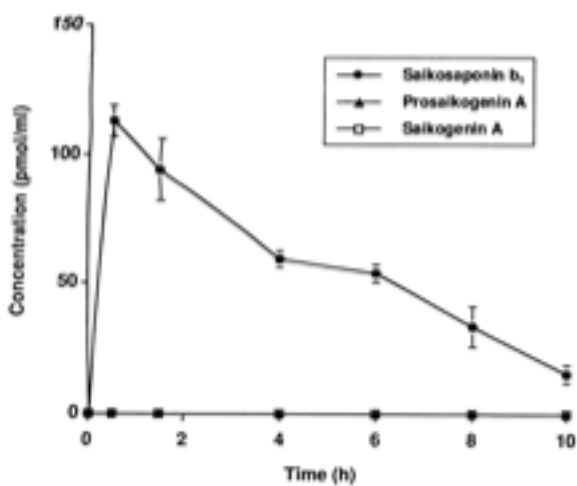


Fig. 3. Plasma concentration-time courses of saikosaponin b<sub>1</sub> (**3**) and its metabolites in germ-free rats after the oral administration of **3** at a dose of 50 mg/kg. Each point represents the mean  $\pm$  S.E. of three rats. [Kida *et al.*, *Biol. Pharm. Bull.*, **21**, 588-593 (1998)]

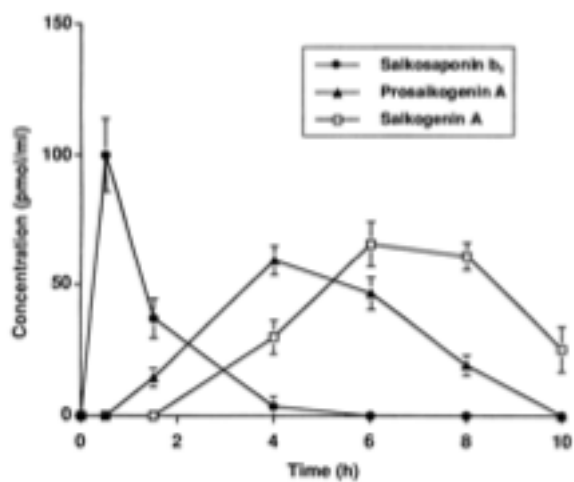


Fig. 4. Plasma concentration-time courses of saikosaponin b1 (**3**) and its metabolites in gnotobiotic rats after the oral administration of **3** at a dose of 50 mg/kg. Each point represents the mean  $\pm$  S.E. of three rats. [Kida *et al.*, *Biol. Pharm. Bull.*, **21**, 588-593 (1998)]

Table 1. Pharmacokinetic parameters after oral administration of saikosaponin b1 at a dose of 50 mg/kg to conventional, germ-free and gnotobiot rats.

Rats	$C_{max}$ (pmol/ml)	$t_{max}$ (min)	$AUC_{0-10h}$ (pmol•min/ml)
Conventional rats			
1	129±17.7	30	12654
2	49.6±5.15	360	9936
3	54.7±5.69	480	12414
Germ-free rats			
1	113±6.07	30	34308
2	N.D.	N.D.	N.D.
3	N.D.	N.D.	N.D.
Gnotobiot rats			
1	100±14.0	30	8652
2	59.4±5.72	240	17424
3	65.6±8.72	360	22260

N.D. : not detected. **1**, saikosaponin b1; **2**, prosaikogenin A; **3**, saikogenin A  
[Kida *et al.*, *Biol. Pharm. Bull.*, **21**, 588-593 (1998)]

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