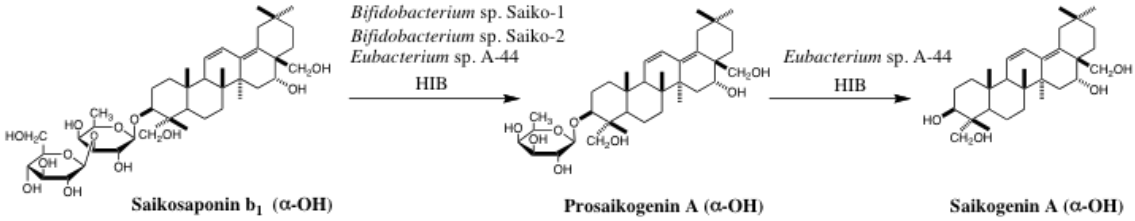
Saikosaponin b1



Transformation of saikosaponin b1 to prosaikogenin A and saikogenin A by human intestinal bacteria

代謝実験
腸内細菌代謝　ヒト腸内細菌フローラ
単一化合物　saikosaponin b1

Fig. l　Time course of metabolism of saikosaponin b1 (**3**) by a human fecal suspension.

Symbols : **3**, saikosaponin b1 ; **8**, prosaikogenin A ; **12**, saikogenin A. [Kida *et al*., *J. Trad. Med.*, **14**, 34-40 (1997)]

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 saikosaponin b1 by an intestinal bacterial suspension : GAM broth (9 ml) containing saikosaponin b1 (a final concentration, 1 mM) was incubated with an intestinal bacterial suspension (1 ml) in an anaerobic incubator at 37°C. A 100 μm portion was taken out at intervals (4, 10, 24 and 48 hours) and extracted with BuOH (100 μl). Five micro liters 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)]

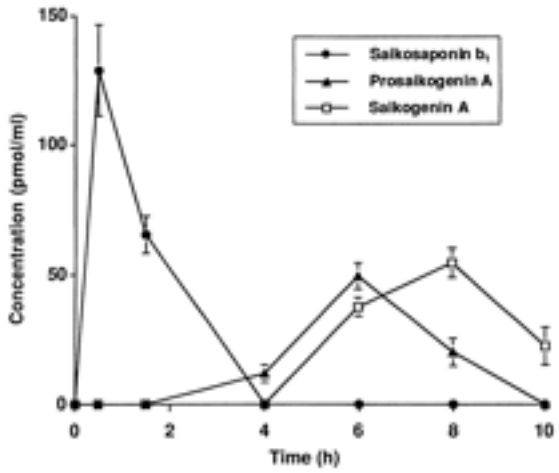


Fig. 2. Plasma concentration-time courses of saikosaponin b1 and its metabolites in conventional rats after the oral administration at a dose of 50 mg/kg.

Each point represents the mean ± S.E. of three rats. [Kida *et al*., *Biol. Pharm. Bull.,* **21**, 588-593 (1998)]

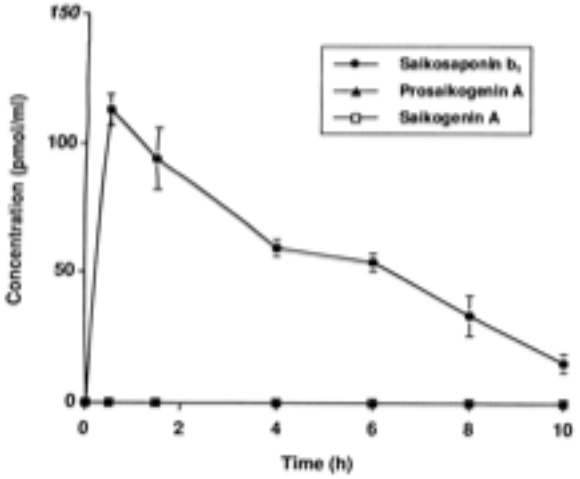


Fig. 3. Plasma concentration-time courses of saikosaponin b1 and its metabolites in germ-free rats after the oral administration at a dose of 50 mg/kg. Each point represents the mean ± S.E. of three rats. [Kida *et al*., *Biol. Pharm. Bull.,* **21**, 588-593 (1998)]

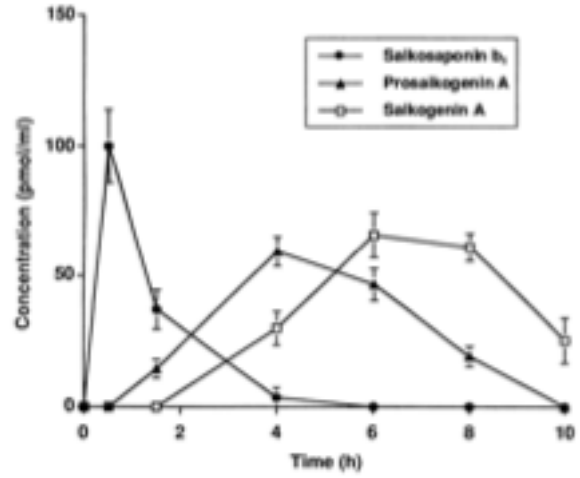


Fig. 4. Plasma concentration-time courses of saikosaponin b1 and its metabolites in gnotobiote rats after the oral administration at a dose of 50 mg/kg. Each point represents the mean ± S.E. of three rats. [Kida *et al*., *Biol. Pharm. Bull.,* **21**, 588-593 (1998)]

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

Rats	C_{max} (pmol/ml)	t_{max} (min)	$AUC_{0\text{-}10h}$ (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.
Gnotobiote 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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