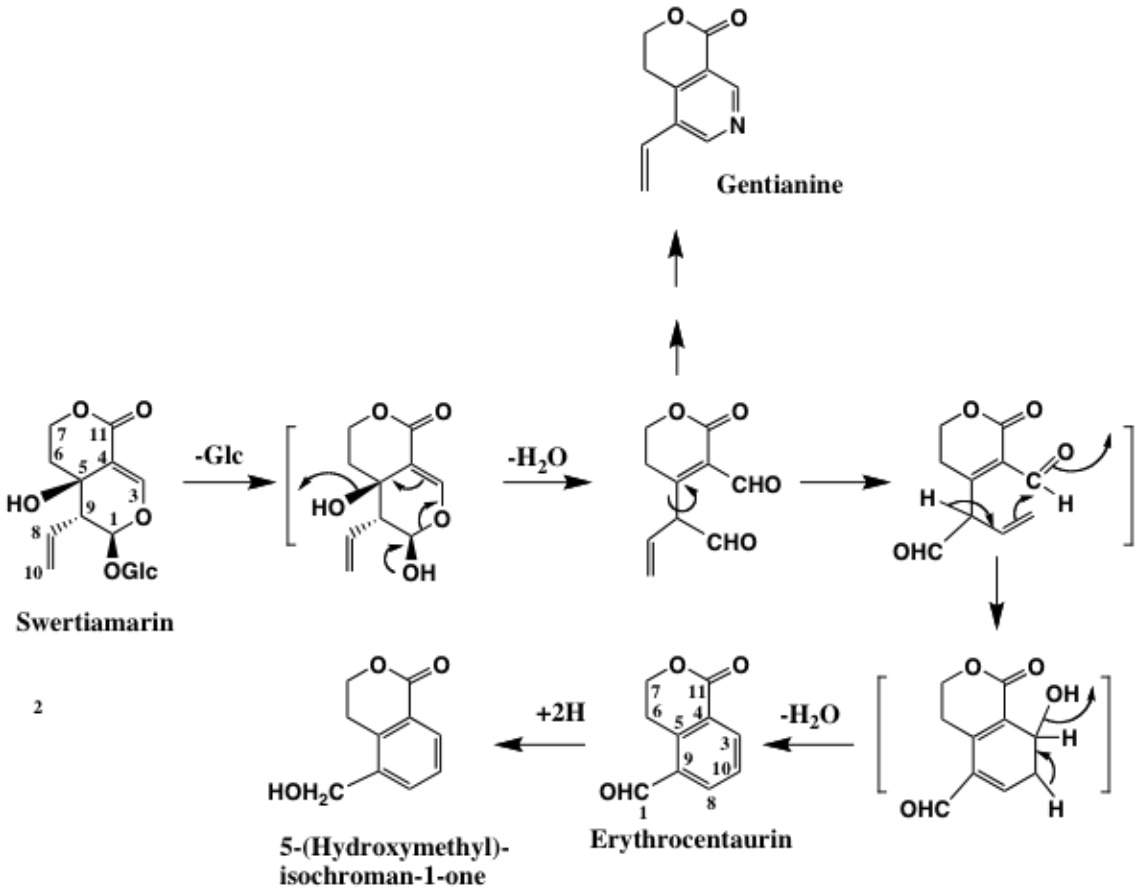
Swertiamarin



Metabolic processes of swertiamarin by human intestinal bacteria

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単一化合物 swertiamarin

Metabolism of swertiamarin by a bacterial mixture from human feces

Fresh feces obtained from a healthy subject were immediately transrerred into a vinyl bag filled with oxygen-free CO_2. The bag was then pressed by hand to uniformly mix the content. A portion (5 g) was suspended in 0.1 M phosphate buffer (100 ml, pH 7.4) and filtered through gauze The filtrate was divided into three portions. Swertiamarin (200 mg) was added to each and the samples were incubated for 14, 24, and 48 h at

37°C in an anaerobic jar, in which air had been replaced by oxygen-free CO_2 in the presence of steel wool (steel wool method). The mixture was extracted with EtOAc and the metabolites were analyzed by TLC-densitometry. [El-Sedawy et al., *Planta Med.*, **55**, 147-150 (1989)]

Erythrocentaurin

Colourless needles, MS *m/z* (rel int): 176 (100, M^+), 148 (76, M^+–CO), 147 (35, M^+–CHO), 120 (70), 90 (89). IR ν_{max} cm^{-1}: 1722 (conjugated lactone), 1695 (conjugated CHO), 1580 (Ar). UV λ_{max} nm: 228, 291, 302 (sh). ^{1}H-NMR (90 MHz, $CDCl_3$) δ: 3.41 (2H, t, *J*=6.0 Hz, 6-H_2), 4.39 (2H, t, *J*=6.0 Hz, 7-H_2), 7.45 (1H, t, *J*=7.7 Hz, 10-H), 7.89 (1H, dd, *J*=7.7, 1.3 Hz, 8-H), 8.22 (1H, dd, *J*=7.7, 1.3 Hz, 3-H), 10.03 (1H, s, 1-H). ^{13}C-NMR (22.5 MHz, $CDCl_3$) δ: 24.6 (t, C-6), 66.6 (t, C-7), 126.9 (s, C-5), 127.8 (d, C-10), 132.6 (s, C-4), 135.6 (d, C-3), 138.2 (d, C-8), 141.0 (s, C-9), 164.7 (s, C-11),191.6 (d, C-1). [El-Sedawy et al., *Planta Med.*, **55**, 147-150 (1989)]

Gentianine

MS *m/z* (rel int): 175 (100, M^+),147 (52, M^+–CO), 117 (94, M^+–CO–CH_2O), 90(65). IR ν_{max} cm^{-1}: 1720 (conjugated lactone), 1625, 1595, 1560 (Ar). ^{1}H-NMR (270 MHz, $CDCl_3$) δ: 3.09 (2H, t, *J*=6.0 Hz, 6-H_2), 4.57 (2H, t, *J*=6 0 Hz, 7-H_2), 5.59 (lH, dd, *J*=0.7, 17.6 Hz, 10-Ha), 5.81(lH, dd, *J*=0.7, 11.2 Hz,10-Hb), 6.79 (lH, dd, *J*=17.6, 11.2 Hz, 8-H), 8.85 (lH, s, 1-H), 9.18 (lH, s, 3-H). ^{13}C-NMR (22 5 MHz, $CDCl_3$) δ: 24.4 (t, C-6), 66.3 (t, C-7), 120.5 (t, C-10), 121.1 (s, C-4), 129.8 (d, C-8), 130.9 (s, C-9), 144.8 (s, C-5), 150.6 (d, C-1)*, 151.2 (d, C-3)*, 163.6 (s, C-11), *these assignments may be exchanged. UV λ_{max} nm: 218, 246 (sh), 284. [El-Sedawy et al., *Planta Med.*, **55**, 147-150 (1989)]

5-(Hydroxymethyl)isochroman-1-one

High-resolution MS: Observed, 178.06139, Calcd for $C_{10}H_{10}O_3$, 178.062890 (M^+). MS *m/z* (rel int): 178 (23, M^+),160 (100, M^+–H_2O), 132 (96, M^+–H_2O–CO), 120 (42), 104 (29), 91 (42). IR ν_{max} cm^{-1}: 3375 (OH), 1710 (conjugated lactone), 1595 (Ar), 1470 (Ar). UV λ_{max} nm: 214, 237, 284, 292 (sh). ^{1}H-NMR (270 MHz, $CDCl_3$) δ: 3.12 (2H, t, *J*=6 0 Hz, 6-H_2), 4.54 (2H, t, *J*=6.0Hz,7-H_2), 4.76 (2H, s, 1-H_2), 7.40 (lH, dd, *J*=7.6, 7.8 Hz,10-H), 7.61 (lH, d, *J*=7.6Hz, 8-H), 8.09 (lH, d, *J*=7.8Hz, 3-H). ^{13}C-NMR

(22.5 MHz, $CDCl_3$) δ: 24.3 (t, C-6), 62.6 (t, C-1), 66.7 (t, C-7), 127.3 (d, C-10), 128.3 (s, C-5), 130.0 (d, C-8), 133.0 (d, C-3), 137.3 (s, C-9)*, 138.3 (s, C-4)*, 164.7 (s, C-11), *these assignments maybe exchanged. [El-Sedawy et al., *Planta Med.*, **55**, 147-150 (1989)]

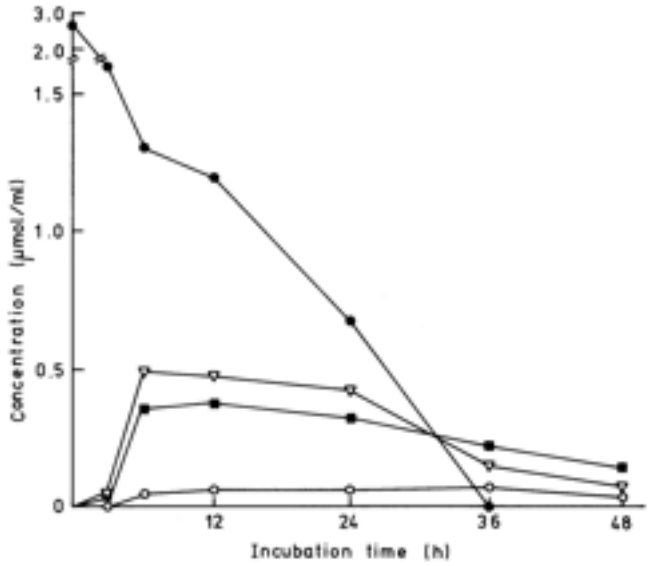

Fig. 1　Time course in the metabolism of sweniamattn by *Proteus mirabilis*
Swertiamarin (●), erythrocentaurin (▽), 5-(hydroxymethyl)isochroman-1-one (■), and gentianine（○）

A bacterial suspension (0 2 ml) of *Proteus mirabilis* was inoculated to each tube of GAM broth (10 ml) and incubated for 24 h at 37°C. Swertiamarin (10 mg) was added to each tube and incubated at intervals under anaerobic conditions The products were extracted twice first with EtOAc and then, BuOH (10 ml each) after evaporation of the respective solvents, the residues were dissolved in $CHCl_3$–MeOH (1:1) and analyzed by TLC–densitometry. [El-Sedawy et al., *Planta Med.*, **55**, 147-150 (1989)]

参考文献

1) El-Sedawy A. I., Shu Y. Z., Hattori M., Kobashi K. and Namba T.: Metabolism of swertiamarin from *Swertia japonica* by human intestinal bacteria. *Planta Med.*, **55**, 147-150 (1989).