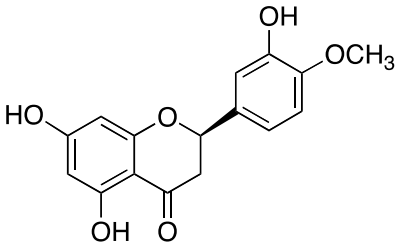
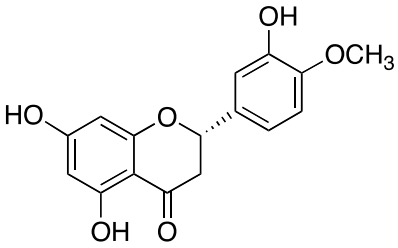
Hesperetin



(2*R*)-Hesperetin



(2*S*)-Hesperetin

【化合物】(2*R*)- and (2*S*)-Hesperetin

【測定機器】HPLC, LC-MS

【対象】動物（ラット）

【代謝実験】The majority of pharmacokinetic studies of individual flavonoids or after ingestion of foodstuffs have overlooked the chirality of some of these xenobiotics. In order to characterize for the first time the stereoselective pharmacokinetics of three flavonoids, hesperetin, naringenin and eriodictyol were intravenously administered (20 mg/kg) to male Sprague-Dawley rats, and their stereospecific content was assessed in various fruit juices. Concentrations in serum, urine and fruit juices were characterized via HPLC and verified by LC/MS. [Yàñez et al., *Biopharm. Drug Dispos.,* **29**: 63–82 (2008)]

【代謝パラメータ】

Stereospecific pharmacokinetics of hesperetin, and naringenin in serum after i.v. administration in rats (20 mg/kg) (mean±SEM, n=6)

Pharmacokinetic parameter	*R*(+)-hesperetin	*S*(-)-hesperetin	*R*(+)-naringenin	*S*(-)-naringenin
AUC_{inf} (mg ± h/ml)	24.434±1.476	7.443±0.588a	11.643±0.535	13.410±0.465[a]
$AUC_{72\text{-}inf}$ extrapolated (%)	0.747±0.012	2.680±0.029[a]	3.422±0.082	2.748±0.093[a]
V_{ss} (l/kg)	4.180±0.222	7.342±0.491[a]	4.183±0.172	3.918±0.150
CL_{renal} (l/h/kg)	0.015±0.002	0.042±0.016	0.062±0.014	0.058±0.012
$CL_{hepatic}$ (l/h/kg)	0.401±±0.023	1.310±0.091[a]	0.806±0.029	0.693±0.023[a]

CL_{tot} (l/h/kg)	0.416±0.023	1.352±0.107[a]	0.868±0.041	0.751±0.029[a]
f_e (%)	3.771±0.548	3.047±0.916	6.869±1.393	7.542±1.489
k_{el} (h±1) serum	0.100±0.003	0.189±0.024a	0.211±0.018	0.193±0.011
k_e (h±1) urine	0.028±0.001	0.027±0.001	0.040±0.008	0.038±0.007
$t_{1/2}$ (h) serum	6.989±0.258	3.727±0.470[a]	3.400±0.272	3.648±0.213
$t_{1/2}$ (h) urine	25.202±1.072	25.943±0.652	12.404±2.439	12.769±2.508
MRT (h)	12.244±0.335	5.527±1.005[a]	5.714±0.855	6.327±0.627
Extraction ratio (*ER*)	0.230±0.013	0.753±0.052[a]	0.463±0.016	0.398±0.013[a]

[a]Denotes statistical significant difference ($p<0.05$) between enantiomers.
[Yàñez et al., *Biopharm. Drug Dispos.,* **29**: 63–82 (2008)]

Stereospecific pharmacokinetics of eriodictyol in serum after i.v. administration in rats (20 mg/kg) (mean±SEM, n=6)

Pharmacokinetic parameter	*R*(+)-eriodictyol	*S*(-)-eriodictyol
AUC_{inf} (mg ± h/ml)	12.367±0.933	11.125±0.658
$AUC_{72\text{-}inf}$ extrapolated (%)	2.706±0.047	3.465±0.056[a]
V_{ss} (l/kg)	4.854±0.247	4.879±0.507
CL_{renal} (l/h/kg)	0.041±0.007	0.070±0.019
$CL_{hepatic}$ (l/h/kg)	0.791±0.063	0.845±0.043
CL_{tot} (l/h/kg)	0.832±0.063	0.915±0.055
f_e (%)	5.070±0.989	7.342±1.754
k_{el} (h±1) serum	0.174±0.017	0.193±0.014
k_e (h±1) urine	0.016±0.002	0.015±0.001
$t_{1/2}$ (h) serum	4.163±0.361	3.678±0.250
$t_{1/2}$ (h) urine	46.688±7.000	47.385±3.646
MRT (h)	7.272±0.557	6.187±0.290
Extraction ratio (*ER*)	0.454±0.036	0.486±0.025

[a]Denotes statistical significant difference ($p<0.05$) between enantiomers.
[Yàñez et al., *Biopharm. Drug Dispos.,* **29**: 63–82 (2008)]

【参考文献】

Jaime A. Yàñez, Connie M. Remsberg, Nicole D. Miranda, Karina R. Vega-Villa, Preston K. Andrews and Neal M. Davies, Pharmacokinetics of selected chiral flavonoids: hesperetin, naringenin and eriodictyol in rats and their content in fruit juices. *Biopharm. Drug Dispos.* **29**: 63–82 (2008).