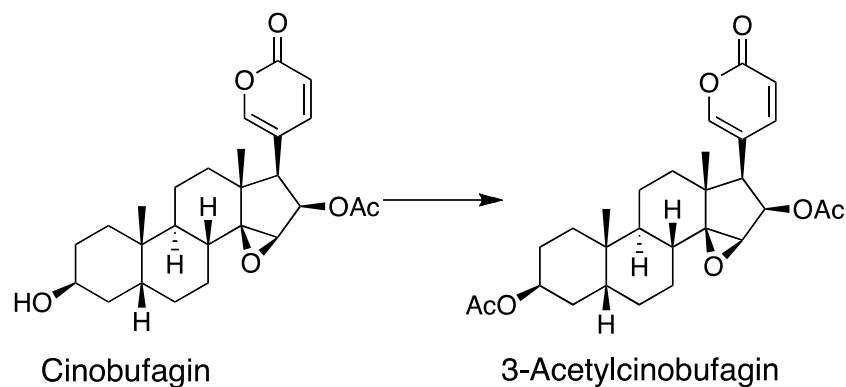


Cinobufagin



【化合物】 Cinobufagin, Chan Su に含まれる bufadienolide

【化合物の起源】 蟾酥 (*Bufo gargarizans*, *B. melanostictus* の皮膚分泌物)

【対象】微生物 (*Nocardia* sp. NRRL 5646) [Zhang et al., *Bioorg. Med. Chem. Lett.* **17**, 6062–6065 (2007)], ラット[Xu et al., *J.Chromato. B*, **859**, 157–163 (2007)]

【測定機器】 3D NMR、LC/MS

【代謝実験】① Chan Su に含まれる bufadienolide [Zhang et al., *Bioorg. Med. Chem. Lett.* **17**, 6062–6065 (2007)]. ② Chan Su 胃内投与後の血清中の bufadienolide [Xu et al., *J.Chromato. B*, **859**, 157–163 (2007)].

【代謝パラメータ】

Pharmakokinetic parameters of bufadienolides in serum after intragastric administration of Chan Su extract to rats at a dose of 100 mg/kg (n=6)

Parameter	Cinobufagin	Arenobufagin	Bufotalin
$T_{\max}$ (h)	0.33 ± 0.13	0.46 ± 0.19	0.42 ± 0.20
$C_{\max}$ (ng/mL)	41.0 ± 20.6	314.6 ± 69.2	24.9 ± 16.2
K_c (h ⁻¹)	0.20 ± 0.04	0.40 ± 0.05	0.19 ± 0.05
$t_{1/2}$ (h)	3.54 ± 0.67	1.75 ± 0.21	3.85 ± 1.10
AUC _{0-t} (ng·h/ml)	66.3 ± 7.3	597.0 ± 55.5	47.9 ± 17.7
AUC _{0-∞} (ng·h/ml)	78.2 ± 9.3	612.5 ± 59.0	57.2 ± 16.9
AUM _{0-t} (ng·h ² /mL)	361.0 ± 76.8	1438.5 ± 211.2	274.9 ± 71.4
MRT (h)	4.59 ± 0.60	2.34 ± 0.17	4.99 ± 1.42

Mean ± SD

Parameter	Bufalin	Resibufogenin
$T_{\max}$ (h)	0.28 ± 0.11	0.33 ± 0.13
$C_{\max}$ (ng/mL)	635.6 ± 151.3	73.5 ± 19.4
K_c (h ⁻¹)	0.27 ± 0.01	0.31 ± 0.03
$t_{1/2}$ (h)	2.58 ± 0.11	2.26 ± 0.25
AUC _{0-t} (ng·h/ml)	1596.0 ± 634.7	106.5 ± 28.2
AUC _{0-∞} (ng·h/ml)	1746.6 ± 727.2	113.3 ± 30.9
AUM _{0-t} (ng·h ² /mL)	6155.5 ± 3313.7	339.3 ± 131.9
MRT (h)	3.41 ± 0.52	2.94 ± 0.52

【参考文献】

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