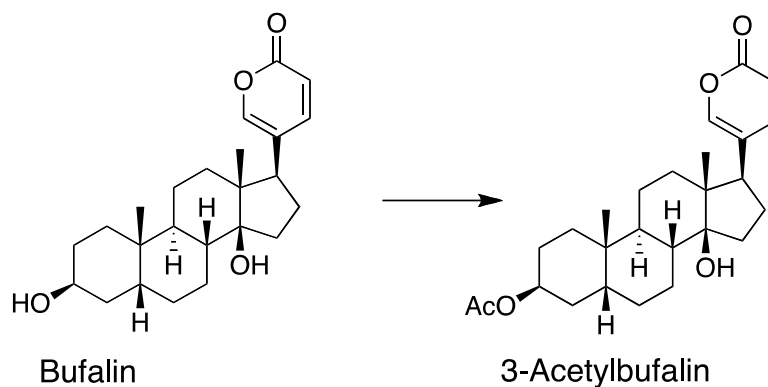


Bufalin



【化合物】 Bufalin

【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	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

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

【参考文献】

Jian Zhang, Yang Sun, Ji-Hua Liu, Bo-Yang Yu, and Qiang Xu, A Microbial transformation of three bufadienolides by *Nocardia* sp. and some insight for the cytotoxic structure–activityrelationship (SAR). *Bioorganic & Medicinal Chemistry Letters* **17**, 6062–6065 (2007).

Wen Xu, Heng Luo, Yaping Zhang, Lei Shan, Haiyun Li, Ming Yang, Runhui Liu, Weidong Zhang, Simultaneous determination of five main active bufadienolides of Chan Su in rat plasma by liquid chromatography tandem mass spectrometry. *Journal of Chromatography B*, **859**, 157–163 (2007).