·综述·

蟾蜍类药材化学成分研究进展

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[摘要] 蟾蜍类药材主要包括蟾酥、干蟾、蟾皮和蟾衣,分别是蟾蜍科动物中华大蟾蜍或黑眶蟾蜍的耳后腺或皮肤腺分泌物、干燥全体、表皮及自然褪下的角质衣膜。查阅国内外研究进展,对蟾蜍类药材中化学成分进行分析总结,主要包括蟾蜍二烯羟酸内酯、吲哚生物碱、蟾蜍环酰胺等。

[关键词] 蟾蜍;化学成分;蟾蜍二烯羟酸内酯;吲哚生物碱;蟾蜍环酰胺
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Advances on Chemical Constituents in Crude Medicines from Toads

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[**Abstract**] Bufonis venenum and bufonis corium have been widely used in the Chinese Traditional Medicine for a long history. To investigate the chemical differences among the bufonis venenum, bufonis corium, and bufonis periostracum, the progress on the chemical constituents in the different medicinal parts from toads, such as venom and skin, was summarized. The chemical constituents mainly included bufadienolides, indole alkaloids, steriods, and etc. More than one hundred bufadienolides and twelve indole alkaliods were reported and 34 references were cited in the present review.

[**Key words**] toad; chemical constituents; bufadienolides; indole alkaliods; bufogargarizanine

蟾蜍为蟾蜍科蟾蜍属动物,全身皆可入药,如蟾酥、蟾皮、干蟾、蟾蜍头、蟾蜍舌、蟾蜍肝、蟾蜍胆等[1],其中在临床上广为应用的主要有蟾酥、干蟾、蟾皮和蟾衣。蟾酥收载于《中国药典》2005 年版,为中华大蟾蜍 *Bufo bufo gargarizans* Cantor 或黑眶蟾蜍 *B. melanosticus* Schneider 耳后腺及皮肤腺干燥分泌物[2];干蟾、蟾皮收载于卫生部部颁标准,分别为上述 2 种基源动物的干燥全体或除去内脏的干燥皮[3-4],在市场流通过程中有混用现象;蟾衣为蟾蜍自然脱下的角质衣膜,民间认为可能成为蟾蜍的新药用部位[5]。随着化学成分和药理活性的研究进展,除传统的强心作用之外,蟾蜍类药材及其有效成分显著的抗肿瘤活性越来越受到广大研究者的关注。为了深入挖掘蟾蜍类药材的药效物质基础及其作用机制,比较同一基源的不同药材在化学成分和抗肿瘤活性上的差异性,作者对该类药材中的化学成分研究概况进行了归纳和总结。

根据现有法定标准,蟾蜍类药材的基源动物为中华大蟾蜍和黑眶蟾蜍[2-3],然而,在日本、朝鲜、越南等国家,亦有采用生长于当地的蟾蜍属其他种动物作为蟾酥的基源动物。因此,本文将日本蟾蜍、绿蟾蜍、美洲蟾蜍、国产花背蟾蜍、华西大蟾蜍的皮或者分泌物中分离得到的化学成分一并总结在内。迄今为止,从中分离得到的化学成分主要包括蟾蜍二烯羟酸内酯、吲哚生物碱、环酰胺和小分子环肽、甾醇及其他类化合物,其中,蟾蜍二烯羟酸内酯和吲哚生物碱被认为是具有强心和抗肿瘤活性的有效成分。

1 蟾蜍二烯羟酸内酯类

根据是游离型或是结合型,蟾蜍二烯羟酸内酯类分为蟾毒配基和蟾蜍毒素 2 类,蟾蜍毒素为蟾毒配基 3 位被精氨酸

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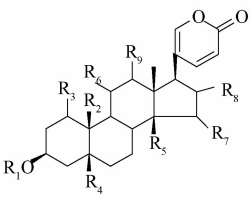
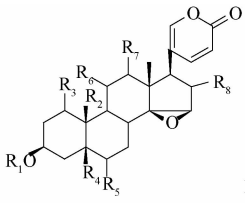
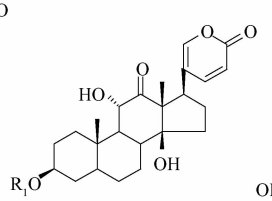
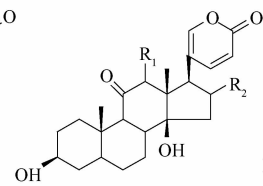
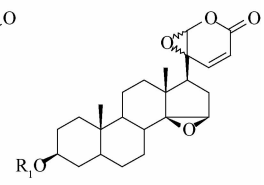
二碳酸酯、硫酸酯等取代的衍生物。蟾蜍二烯羟酸内酯类化合物根据配基母核上取代基不同分为 5 类，分别为蟾毒灵类、脂蟾毒配基类、沙蟾毒精类、假蟾毒精类、环氧酯蟾毒配基类，结构母核见图 1，分离得到的化合物见表 1。

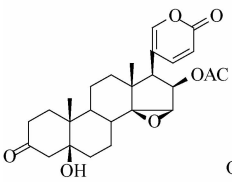


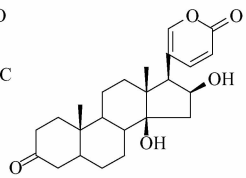


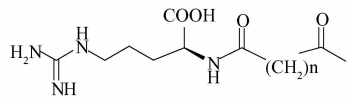








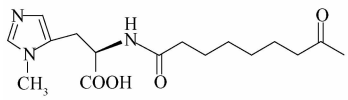




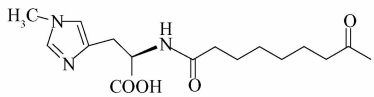
b. n=2 丁二酰精氨酸　c. n=3 戊二酰精氨酸

d. n=4 己二酰精氨酸　e. n=5 庚二酰精氨酸

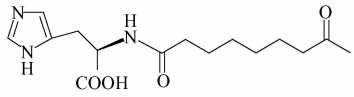
f. n=6 辛二酰精氨酸



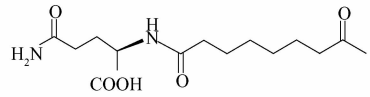
g. 辛二酰-1-甲基-L-组氨酸



h. 辛二酰-3-甲基-L-组氨酸



i. 辛二酰-L-组氨酸



j. 辛二酰-谷氨酰胺

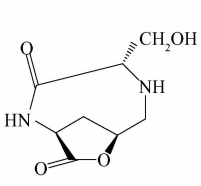
图 1　蟾蜍二烯羟酸内酯类化合物结构母核及取代基团

2　吲哚生物碱类

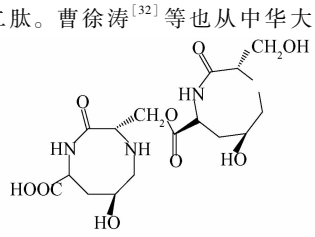
目前从蟾蜍类药材中分离得到的吲哚生物碱类成分共 12 个，其中化合物 104 ~ 112 为市售蟾酥中分离得到[25,26]，化合物 113 为绿蟾蜍毒液中分离得到[25-26]，化合物 114 和 115 从中华大蟾蜍皮水提物中分离得到[28]。赵大洲等对源于中华大蟾蜍的蟾酥与蟾皮化学成分比较表明蟾酥和蟾皮中含有 4 个相同的吲哚类生物碱，其中脱氢蟾蜍色胺在蟾皮中相对含量较高，在蟾酥中较低[29]。臧庶声等通过市售蟾酥和花背蟾蜍的耳后腺分泌物比较表明，市售蟾酥中含有 4 种吲哚类生物碱，而花背蟾酥中含有 5 种，两者均以蟾毒色胺为主[30]。

3　环酰胺和小分子环肽类

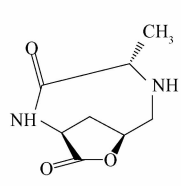
代丽萍[28,31]等从中华大蟾蜍皮水提物中分得 3 个新的蟾蜍环酰胺类化合物（B、C、D）和已知化合物吡咯-3-烯-5-酮-$\triangle^{2,2'}$-二聚体和 L-甘-L-脯环二肽。曹徐涛[32]等也从中华大蟾蜍皮水溶性成分中分离得到了蟾蜍环酰胺 D 和已知化合物环（脯氨酸-甘氨酸）二肽，环（丙氨酸-丙氨酸）二肽，尿嘧啶，胸腺嘧啶和腺苷。杨立宏等[8]从蟾皮中首次分出光色素。



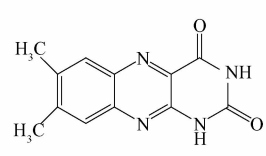
蟾蜍环酰胺B



蟾蜍环酰胺C



蟾蜍环酰胺D



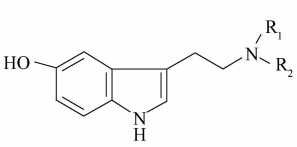
光色素

4　甾醇及其他类

代丽萍[28]等从蟾皮水提物中分离到了辛二酸，丁二酸等有机酸类。张英[19]等从中华大蟾蜍皮中分离得到胆甾醇，棕榈酸胆甾烯酯。此外，采用 GC-MS 法从黑眶蟾蜍中鉴定了 cholesterol, brassicasterol, campesterol, stigmasterol, β-sitosterol[33]。徐乃玉等[34]检测了中华大蟾蜍皮中钙、镁、钠、铁、锌、铜、锰、磷、硅、银等无机元素。

5　小结

综上蟾蜍类药材，以蟾酥、蟾皮的化学研究最充分，临床应用也最为广泛。目前含蟾酥的中成药制剂有 60 多种，如六神丸、麝香保心丸、梅花点舌丸、蟾酥注射液等；含蟾皮的中成药制剂有 10 多种，以蟾皮水溶性成分为主制成的华蟾



104. 5-羟色胺 $R_1=R_2=H$

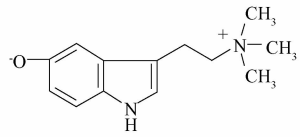
105. N-甲基-5-羟色胺 $R_1=H$ $R_2=CH_3$

106. 蟾毒色胺 $R_1=R_2=CH_3$

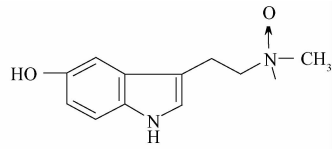
107. bufobutanoic acid $R_1=H$ $R_2=CO(CH_2)_2COOH$

108. bufoserotonin A $R_1=H$ $R_2=CONH_2$

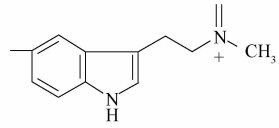
109. bufoserotonin B $R_1=H$ $R_2=CO(CH_2)_6COOH$



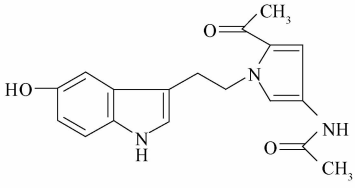
110. 蟾毒色胺内盐



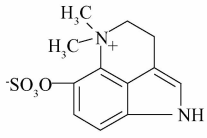
111. 蟾蜍色胺氮氧化物



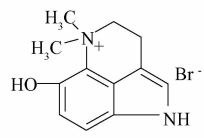
113. 蟾蜍绿啶



112. Bufoserotonin C



114. 蟾蜍噻吟



115. 去氢蟾蜍色胺氢溴酸盐

图 2 分离得到的吲哚生物碱类成分

表 1 蟾蜍二烯羟酸内酯类化合物

No.	化合物	母核	取代基	基源动物	研究部位	文献
1	蟾毒灵(bufalin)	I	$R_1=H$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	1, 2, 3, 4, 6	A, B, C, D	6, 7, 8, 9, 10, 11
2	15β-羟基蟾毒灵(15β-hydroxyl bufalin)	I	$R_1=H$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=\beta$-OH $R_8=H$ $R_9=H$		A	6, 7
3	11α, 12β-dihydroxy bufalin	I	$R_1=H$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=\alpha$-OH $R_7=H$ $R_8=H$ $R_9=\beta$-OH	2, 3	B	12
4	1β-羟基蟾毒灵(1β-hydroxyl bufalin)	I	$R_1=H$ $R_2=CH_3$ $R_3=\beta$-OH $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	2	A	8, 13
5	19-氧代蟾毒灵(19-oxo-bufalin)	I	$R_1=H$ $R_2=CHO$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	2	A	8, 13
6	19-羟基蟾毒灵(19-hydroxylbufalin)	I	$R_1=H$ $R_2=CH_2OH$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	1, 2	A	6, 7, 8
7	蟾毒灵 3-单辛二酸酯(bufalin 3-*O*-suberate)	I	$R_1=a$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	2	A	6, 7, 8
8	蟾毒灵 3-丁二酰精氨酸酯(bufalin 3-*O*-succinoyl arginine)	I	$R_1=b$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	3, 6	B, C	6, 7, 17
9	蟾毒灵 3-己二酰精氨酸酯(bufalin 3-*O*-adipoyl arginine)	I	$R_1=d$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	6	B	6, 7, 8
10	蟾毒灵 3-庚二酰精氨酸酯(bufalin 3-*O*-pimeloyl arginine)	I	$R_1=e$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	4, 6	B, D	6, 7, 8, 11
11	蟾毒灵 3-辛二酰精氨酸酯(bufalin 3-*O*-suberoyl arginine)	I	$R_1=f$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	4, 6	B, D	6, 7, 8, 11
12	蟾毒灵 3-硫酸酯(bufalin 3-*O*-sulfate)	I	$R_1=SO_3H$ $R_2=CH_3$ $R_3=H$ $R_4=H$ $R_5=OH$ $R_6=H$ $R_7=H$ $R_8=H$ $R_9=H$	6	B	6, 7, 8

续表 1

No.	化合物	母核	取代基	基源动物	研究部位	文献
13	19-羟基蟾毒灵 3-辛二酰-L-组氨酸酯(19-hydroxybufalin 3-*O*-suberoyl-L-histine)	I	R_1 = i R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	2	B	6, 7, 8
14	19-羟基蟾毒灵 3-辛二酰-L-3-甲基组氨酸酯(19-hydroxybufalin 3-*O*-suberoyl-L-3-methyl histine)	I	R_1 = h R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	2	B	6, 7, 8
15	日蟾毒它灵 (gamabufotalin)	I	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	1, 2, 3, 6, 7	A, B, C	6, 7, 8, 13, 14, 15, 16
16	日蟾毒它灵 3-单辛二酸酯(gamabufotalin 3-*O*-hemisuberate)	I	R_1 = a R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	6	A, B	6, 7, 8,
17	日蟾毒它灵 3-己二酰精氨酸酯(gamabufotalin 3-*O*-adipoyl arginine)	I	R_1 = d R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	3, 6	B	6, 7, 8,
18	日蟾毒它灵 3-辛二酰精氨酸酯(gamabufotalin 3-*O*-suberoyl arginine)	I	R_1 = f R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	3, 6	B, C	6, 7, 8,
19	日蟾毒它灵 3-庚二酰精氨酸酯(gamabufotalin 3-*O*-pimeloyl arginine)	I	R_1 = e R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	3, 6	B	6, 7, 8,
20	日蟾毒它灵 3-琥珀酰精氨酸酯(gamabufotalin 3-*O*-succinyl arginine)	I	R_1 = b R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	3, 6	B, C	6, 7, 8,
21	日蟾毒它灵 3-硫酸钠盐(gamabufotalin 3-*O*-sulfate sodium salt)	I	R_1 = SO_3Na R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = α-OH R_7 = H R_8 = H R_9 = H	6	B	6, 7, 8,
22	蟾毒它灵(bufotalin)	I	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2, 3	A, B, C	6, 7, 8, 13
23	去乙酰蟾毒它灵(desacetylbufotalin)	I	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OH R_9 = H	2	A	6, 7, 13
24	5β-羟基蟾毒它灵(5β-hydroxylbufotalin)	I	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2	A	13
25	蟾毒它灵 3-丁二酰精氨酸酯(bufotalin 3-*O*-succinoy arginine)	I	R_1 = b R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2	B	6, 7, 18
26	蟾毒它灵 3-辛二酰精氨酸酯(bufotalin 3-*O*-suberoyl arginine)	I	R_1 = f R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	3, 6	B, C	6, 7
27	蟾毒它灵 3-辛二酰-L-1-甲基组氨酸酯(bufotalin 3-*O*-suberoyl-L-1-methyl histidine)	I	R_1 = g R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2	B	6, 7
28	蟾毒它灵 3-辛二酰 L-3-甲基组氨酸酯(bufotalin 3-*O*-suberoyl-L-3-methyl histidine)	I	R_1 = h R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2	B	6, 7
29	蟾毒它灵 3-辛二酰 L-组氨酸酯(bufotalin 3-*O*-suberoyl-L-histidine)	I	R_1 = i R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	2	B	6, 7
30	蟾毒它灵 3-硫酸酯(bufotalin 3-*O*-sulfate)	I	R_1 = SO_3H R_2 = CH_3 R_3 = H R_4 = H R_5 = OH R_6 = H R_7 = H R_8 = β-OAc R_9 = H	6	B	6, 7
31	嚏根草配基(hellebrigenin or Bufotalindin)	I	R_1 = H R_2 = CHO R_3 = H R_4 = OH R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	1, 2, 4	A, B, D	6, 7, 11, 19
32	嚏根草醇(hellebrigenol)	I	R_1 = H R_2 = CH_2OH R_3 = H R_4 = OH R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	2	A	6, 7
33	嚏根草配基-3-辛二酸半酯(hellebrigenin 3-*O*-hemisuberate)	I	R_1 = a R_2 = CHO R_3 = H R_4 = OH R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	3	B	19
34	嚏根草配基 3-辛二酰精氨酸酯(hellebrigenin 3-*O*-suberoyl arginine)	I	R_1 = f R_2 = CHO R_3 = H R_4 = OH R_5 = OH R_6 = H R_7 = H R_8 = H R_9 = H	1	B	6, 7

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No.	化合物	母核	取代基	基源动物	研究部位	文献
35	远华蟾毒精(telocinobufagin)	Ⅰ	R_1=H R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	1, 2, 4, 7	A, B, D	6, 7, 8, 11, 13, 15, 16
36	11α-羟基远华蟾毒精(11α-hydroxyltelocinobufagin)	Ⅰ	R_1=H R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=α-OH R_7=H R_8=H R_9=H	4	E	6, 7, 20
37	19-羟基远华蟾毒精(19-hydroxyltelocinobufagin)	Ⅰ	R_1=H R_2=CH_2OH R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	4	E	6, 7, 20
38	11α, 19-二羟基远华蟾毒精(11α, 19-dihydroxyltelocinobufagin)	Ⅰ	R_1=H R_2=CH_2OH R_3=H R_4=OH R_5=OH R_6=α-OH R_7=H R_8=H R_9=H	4	E	6, 7, 20
39	远华蟾毒精 3-辛二酰精氨酸酯(telocinoufagin 3-*O*-suberoyl arginine)	Ⅰ	R_1=f R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	1, 4	B	6, 7,
40	远华蟾毒精 3-辛二酰谷氨酸酯(telocinoufagin 3-*O*-suberoyl glutamine)	Ⅰ	R_1=j R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	5	B	6, 7
41	远华蟾毒精 3-辛二酸半酯(telocinoufagin 3-*O*-hemisuberate)	Ⅰ	R_1=a R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	2	A	6, 7
42	远华蟾毒精 3-戊二酰 L-精氨酸酯(telocinobufagin 3-*O*-glutaryl-L-arginine)	Ⅰ	R_1=c R_2=CH_3 R_3=H R_4=OH R_5=OH R_6=H R_7=H R_8=H R_9=H	8	B	6, 7
43	脂蟾毒配基(resibufogenin)	Ⅱ	R_1=H R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	1, 2, 3, 4, 6	A, B, C, D	6, 7, 9, 11
44	脂蟾毒精(resibufagin)	Ⅱ	R_1=H R_2=CHO R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7,
45	3β-甲酸基脂蟾毒配基(3β-formyloxylresibufogenin)	Ⅱ	R_1=HCO R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7, 13
46	12β-羟基脂蟾毒精醇(12β-hydroxylresibufaginol)	Ⅱ	R_1=H R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=β-OH R_8=H	2	A	6, 7, 13
47	脂蟾毒精醇(resibufaginol)	Ⅱ	R_1=H R_2=CH_2OH R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7, 13
48	脂蟾毒配基 3-丁二酰精氨酸酯(resibufogenin 3-*O*-succinyl arginine)	Ⅱ	R_1=b R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	6	B	6, 7, 8
49	脂蟾毒配基 3-单辛二酸酯(resibufogenin 3-*O*-suberoyl suberate)	Ⅱ	R_1=a R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7, 8
50	脂蟾毒配基 3-硫酸铵盐(resibufogenin 3-*O*-sulfate ammonium salt)	Ⅱ	R_1=SO_3NH_4 R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	4	B	6, 7
51	脂蟾毒配基 3-辛二酰精氨酸酯(resibufogenin 3-*O*-suberoyl arginine)	Ⅱ	R_1=f R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7
52	脂蟾毒配基 3-庚二酰精氨酸酯(resibufogenin 3-*O*-pimeloyl arginine)	Ⅱ	R_1=e R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7
53	脂蟾毒配基 3-己二酰精氨酸酯(resibufogenin 3-*O*-adipoyl arginine)	Ⅱ	R_1=d R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	2	A	6, 7
54	脂蟾毒配基 3-硫酸钠盐(resibufogenin 3-*O*-sulfate sodium salt)	Ⅱ	R_1=SO_3Na R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=H	4	B	6, 7
55	华蟾毒精(cinobufagin)	Ⅱ	R_1=H R_2=CH_3 R_3=H R_4=H R_5=H R_6=H R_7=H R_8=β-OAc	2,3,6	A,B,C	6,7,9,15
56	19-氧代华蟾毒精(19-oxo-cinobufagin)	Ⅱ	R_1=H R_2=CHO R_3=H R_4=H R_5=H R_6=H R_7=H R_8=β-OAc	2	A	6, 7, 21

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No.	化合物	母核	取代基	基源动物	研究部位	文献
57	去乙酰华蟾毒精（desacetylcinobufagin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH	2	A	6, 7, 13, 15
58	华蟾毒精醇（cinobufaginol）	Ⅱ	R_1 = H R_2 = CH_2OH R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc		A	6, 7
59	去乙酰华蟾毒精醇（desacetylcinobufaginol）	Ⅱ	R_1 = H R_2 = CH_2OH R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH	2	A	6, 7, 13
60	19-氧代去乙酰华蟾毒精（19-oxo-desacetylcinobufagin）	Ⅱ	R_1 = H R_2 = CHO R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH	2	A	13
61	6α-羟基华蟾毒精（6α-hydroxylcinobufagin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = α-OH R_6 = H R_7 = H R_8 = β-OAc	2	A	13
62	1β-羟基华蟾毒精（1β-hydroxylcinobufagin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = β-OH R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3	A	9
63	12β-羟基华蟾毒精（12β-hydroxylcinobufagin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = β-OH R_8 = β-OAc	2, 3	A	9, 13
64	5β, 12β-二羟基华蟾毒精（5β, 12β-dihydroxylcinobufagin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = β-OH R_8 = β-OAc	2	A	22
65	华蟾毒精 3-辛二酰精氨酸酯（cinobufagin 3-*O*-suberoyl arginine）	Ⅱ	R_1 = f R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3, 6	B, C	6, 7, 8
66	华蟾毒精 3-单辛二酸酯（cinobufagin 3-*O*-suberate）	Ⅱ	R_1 = a R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	2	A	6, 7
67	华蟾毒精 3-丁二酰精氨酸酯（cinobufagin 3-*O*-succinoyl arginine）	Ⅱ	R_1 = b R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3, 6	B, C	6, 7, 17
68	华蟾毒精 3-己二酰精氨酸酯（cinobufagin 3-*O*-adipoyl arginine）	Ⅱ	R_1 = d R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3, 6	B, C	6, 7
69	华蟾毒精 3-戊二酰-L-精氨酸酯（cinobufagin 3-*O*-glutaroyl-L-arginine）	Ⅱ	R_1 = c R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3	C	6, 7
70	华蟾毒精 3-庚二酰精氨酸酯（cinobufagin 3-*O*-pimeloyl arginine）	Ⅱ	R_1 = e R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OAc	3, 6	B, C	6, 7
71	去乙酰华蟾毒精 3-单琥珀酸酯（desacetylcinobufagin 3-*O*-hemisuccinate）	Ⅱ	R_1 = k R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH	6	B	6, 7
72	去乙酰华蟾毒精 3-单辛二酸酯（desacetylcinobufagin 3-*O*-hemisuberate）	Ⅱ	R_1 = a R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH		A	6
73	去乙酰华蟾毒精 3-丁二酰精氨酸酯（desacetylcinobufagin 3-*O*-succinoyl arginine）	Ⅱ	R_1 = b R_2 = CH_3 R_3 = H R_4 = H R_5 = H R_6 = H R_7 = H R_8 = β-OH	3	B	6
74	华蟾毒它灵（cinobufotalin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = β-OAc	2	A, C	7, 13
75	去乙酰华蟾毒它灵（desacetylcinobufotalin）	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = β-OH	2	A	13, 15
76	19-氧代华蟾毒它灵（19-oxocinobufotalin）	Ⅱ	R_1 = H R_2 = CHO R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = β-OAc		A	6, 7
77	华蟾毒它灵 3-辛二酰精氨酸酯（cinobufotalin 3-*O*-suberoyl arginine）	Ⅱ	R_1 = f R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = β-OAc	6	B	6, 7
78	华蟾毒它灵 3-辛二酸半酯（cinobufotalin 3-*O*-hemisuberate）	Ⅱ	R_1 = a R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = β-OAc		A	6, 7

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No.	化合物	母核	取代基	基源动物	研究部位	文献
79	南美蟾毒精(marinobufagin)	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	1, 2, 4, 7	A, B, C, D	6, 7, 11, 16
80	11α-羟基南美蟾毒精(11α-hydroxymarinobufagin)	Ⅱ	R_1 = H R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = α-OH R_7 = H R_8 = H	4	E	20, 23
81	11α, 19-二羟基南美蟾毒精(11α, 19-dihydroxylmarinobufagin)	Ⅱ	R_1 = H R_2 = CH_2OH R_3 = H R_4 = OH R_5 = H R_6 = α-OH R_7 = H R_8 = H	4	E	20
82	南美蟾毒精 3-辛二酰精氨酸酯(marinobufagin 3-*O*-suberoyl arginine)	Ⅱ	R_1 = f R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	1, 4, 5	B, D	6, 7, 11
83	南美蟾毒精 3-庚二酰精氨酸酯(marinobufagin 3-*O*-pimeloyl arginine)	Ⅱ	R_1 = e R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	4	B, D	6, 7, 11
84	南美蟾毒精 3-戊二酰 L-精氨酸酯(marinobufagin 3-*O*-glutaryl-L-arginine)	Ⅱ	R_1 = c R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	8	B	6, 7
85	南美蟾毒精 3-琥珀酰 L-精氨酸酯(marinobufagin 3-*O*-succinyl-L-arginine)	Ⅱ	R_1 = n R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	8	B	6, 7
86	南美蟾毒精 3-辛二酰 L-谷氨酸酯(marinobufagin 3-*O*-suberoyl-L-glutamine)	Ⅱ	R_1 = j R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	5	B	6, 7
87	南美蟾毒精 3-硫酸铵盐(marinobufagin 3-*O*-sulfate ammonium salt)	Ⅱ	R_1 = SO_3NH_4 R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	4	B	6, 7
88	南美蟾毒精 3-硫酸钠盐(marinobufagin 3-*O*-sulfate sodium salt)	Ⅱ	R_1 = SO_3Na R_2 = CH_3 R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	4	B	6, 7
89	bufotalinin	Ⅱ	R_1 = H R_2 = CHO R_3 = H R_4 = OH R_5 = H R_6 = H R_7 = H R_8 = H	1, 2	A, B	6, 7, 21
90	沙蟾毒精(arenobufagin)	Ⅲ	R_1 = H	1, 2, 4, 6, 7	A, B, C, D	6, 7, 11, 16, 21
91	沙蟾毒精 3-辛二酸半酯(arenobufagin 3-*O*-hemisuberate)	Ⅲ	R_1 = a	6	A, B	6, 7
92	沙蟾毒精 3-辛二酰精氨酸酯(arenobufagin 3-*O*-suberoyl arginine)	Ⅲ	R_1 = f	1, 6	B	6, 7
93	沙蟾毒精 3-硫酸酯(arenobufagin 3-*O*-sulfate)	Ⅲ	R_1 = SO_3H	6	A, B	6, 7
94	假蟾毒精(psi-bufarenogin)	Ⅳ	R_1 = α-OH R_2 = H	1, 2	A	8
95	异沙蟾毒精(bufarenogin)	Ⅳ	R_1 = β-OH R_2 = H	1, 2	A	8, 21
96	16β-乙酰基异沙蟾毒精(16β-acetoxyl-bufarenogin)	Ⅳ	R_1 = β-OH R_2 = β-OAc	2, 3	B	12
97	20*S*, 21-环氧脂蟾毒配基(20*S*, 21-epoxy resibufogenin)	Ⅴ	R_1 = OH	2	A	8, 24
98	20*R*, 21-环氧脂蟾毒配基(20*R*, 21-epoxy resibufogenin)	Ⅴ	R_1 = OH	2	A	8, 24
99	3-甲酰氧基-20*R*, 21-环氧脂蟾毒配基(3-*O*-formyl-20R,21-epoxy resibufogenin)	Ⅴ	R_1 = HCO	2	A	8, 24
100	3-甲酰氧基-20s, 21-环氧脂蟾毒配基(3-*O*-formyl-20s,21-epoxy resibufogenin)	Ⅴ	R_1 = HCO	2	A	8, 24
101	3-氧代-20S,21-环氧脂蟾毒配基(3-*O*-20S,21-epoxy resibufogenin)	Ⅴ	3-羰基	2	A	8, 24
102	3-氧代华蟾毒它灵(3-oxocinobufotalin)			2	A	21
103	蟾毒配基烯酮(bufotalon)				A	6

注:a = $CO(CH_2)_6COOH$; b = $CO(CH_2)_2COArg \cdot OH$; c = $CO(CH_2)_3COArg \cdot OH$; d = $CO(CH_2)_4COArg \cdot OH$; e = $CO(CH_2)_5COArg \cdot OH$; f = $CO(CH_2)_6COArg \cdot OH$; g = $CO(CH_2)_6CO$-L-1-MeHis · OH; h = $CO(CH_2)_6CO$-L-3-MeHis · OH; i = $CO(CH_2)_6CO$-L-His · OH;
j = $CO(CH_2)_6CO$-L-Glu; k = $CO(CH_2)_2COOH$;

1. 绿蟾蜍(*B. viridis* Laur.);2. 黑眶蟾蜍(*B. melanosticus* Schneider);3. 中华大蟾蜍(*B. bufo gargarizans* Cantor);4. *B. marinus* Schneider;5. 北美蟾蜍(*B. americanus*);6. 台湾蟾蜍(*B. vulgaris formaosus* Boulenger);7. 花背蟾蜍(*B. raddei* Strauch);8. 盘古蟾蜍(*B. bankorensis* Borbour);

A. 蟾酥;B. 蟾皮;C. 干蟾;D. 毒素;E. 卵

素注射液临床上用于肺癌和消化道癌症,具有不同程度的临床效果。两种药材在强心作用上的物质基础和作用机制相对明确,主要是蟾蜍二烯羟酸内酯类能显著抑制心肌细胞膜上的 Na^+-K^+-ATP 酶所致;但两者在抗肿瘤作用方面,作用机制尚不清晰。此外,蟾衣作为新的民间用药,研究较少,为保证安全用药,对其进行系统的化学成分和药理活性研究至关重要。因此,深入开展蟾蜍类药材抗肿瘤活性的物质基础及作用机制研究,对于挖掘现有蟾蜍类药材的应用价值,开拓新的药用资源,实现蟾蜍资源的综合利用具有重要意义。

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