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番荔枝皮化学成分及其抗肿瘤活性的研究

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作者中文名	作者英文名	单位中文名	单位英文名	E-Mail
孙丽蕊	SUN Lirui	中国药科大学 天然药物化学教研室,江苏 南京 210009	Department of Natural Medicinal Chemistry, China Pharmaceutical University, Nanjing 210009, China	
朱虹	ZHU Hong	浙江大学 药学院,浙江 杭州 310058	College of Pharmaceutical Science, Zhejiang University, Hangzhou 310058, China	
甘礼社	GAN Lishe	浙江大学 药学院,浙江 杭州 310058	College of Pharmaceutical Science, Zhejiang University, Hangzhou 310058, China	
莫建霞	MO Jianxia	浙江大学 药学院,浙江 杭州 310058	College of Pharmaceutical Science, Zhejiang University, Hangzhou 310058, China	
冯锋	FENG Feng	中国药科大学 天然药物化学教研室,江苏 南京 210009	Department of Natural Medicinal Chemistry, China Pharmaceutical University, Nanjing 210009, China	fengsunlight@163.com
周长新	ZHOU Changxin	浙江大学 药学院,浙江 杭州 310058	College of Pharmaceutical Science, Zhejiang University, Hangzhou 310058, China	zhoucx10@163.com

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中文摘要:目的:研究番荔枝*Annona squamosa*的化学成分,并对分离化合物进行活性筛选。 方法:综合运用各种色谱方法分离纯化番荔枝中的化学成分;采用NMR等波谱方法鉴定其结构;运用SRB法测定化合物对肿瘤细胞体外增殖能力的抑制作用。 结果:从番荔枝皮乙醇提取物中分离得到11个化合物,分别是annosquamosin C(1),15,16-epoxy-17-hydroxy-*ent*-kau-ran-19-oic acid(2),16,17-dihydroxy-*ent*-kauran-19-oic acid(3),annosquamosin A(4),*ent*-kaur-16-en-19-oic acid(5),19-nor-*ent*-kauran-4-ol-17-oic acid(6),16-hydroxy-*ent*-kau-ran-19-oic acid(7),*ent*-15β-hydroxy-kaur-16-en-19-oic acid (8),annosquamosin B(9),*ent*-16β,17-dihydroxykauran-19-al(10),16,17-dihydroxy-*ent*-kauran-19-oic acid methyl ester(11)。抗肿瘤活性实验表明,化合物 1,2,3,5,9 对人肺癌95-D细胞的体外增殖能力均具有不同程度的抑制作用,化合物 5 的活性最强,IC_{50}为7.78 μmol·L^{-1};化合物 2,5,9 对人卵巢癌A2780细胞有抑制作用,其中化合物 2 和 9 的抑制作用较强,IC_{50}分别为0.89,3.10 μmol·L^{-1}。 结论:化合物 2,8,11 分别为首次从该科、该属和该种植物分离得到;化合物 5 对人肺癌95-D细胞的抑制作用较强;化合物 2 和 9 对人卵巢癌细胞A2780的抑制作用较强。

中文关键词:番荔枝 贝壳杉烷型二萜 抗肿瘤活性

Constituents from the bark of *Annona squamosa* and their anti-tumor activity

Abstract:Objective: To investigate the constituents of the *Annona squamosa* and evaluate their anti-tumor activity. **Method:** The compounds were isolated and purified by various column chromatography. Their structures were elucidated by spectral data analysis. Their anti-tumor activity was assayed by SRB method. **Result:** Eleven compounds were obtained from the 95% EtOH extract. The structures were determined as: annosquamosin C (1),15, 16-epoxy-17-hydroxy-*ent*-kau-ran-19-oic acid (2),16,17-dihydroxy-*ent*-kauran-19-oic acid (3),annosquamosin A (4),*ent*-kaur-16-en-19-oic acid (5),19-nor-*ent*-kauran-4-ol-17-oic acid (6),16-hydroxy *ent*-kau ran-19-oic acid (7),*ent*-15β-hydroxy-kaur-16-en-19-oic acid (8),annosquamosin B (9),*ent*-16β,17-dihydroxykauran-19-al (10),16, 17-dihydroxy-*ent*-kauran-19-oic acid me thyl ester (11). Compounds 1,2,3,5,9 showed different inhibitory activities against 95-D lung cancer cells,the effect of compound 5 was strongest with the IC_{50} value 7.78 μmol·L^{-1};Compounds 2,5,9 showed inhibitory activities against A2780 ovarian cancer cells,the effects of compounds 2 and 9 were strong with the IC_{50} values being 0.89,3.10 μmol·L^{-1},respectively. **Conclusion:** Compound 2 was firstly isolated from this family,while compound 8 and 10 were first found from this genus and the title species,respectively. The *in vitro* anti-tumor test showed compound 5 significantly inhibited 95-D lung cancer cells and compounds 2 and 9 exhibited remarkbale activity against A2780 ovarian cancer cells.

keywords: *Annona squamosa* kaurane-type diterpene anti-tumor activity

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