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Study on the Synthesis of Pyridyl Cantharidins and their Analogs

中文摘要

斑蝥爲翹翅目(Coleoptera)菁青科(Meloidae)的昆蟲，在抵禦外敵時所分泌的化合物既爲斑蝥素(Cantharidin; exo,exo-2,3－dimethyl－7－oxabi-cyclo [2.2.1] heptane-2,3-dicarboxlic acid anhydride)。在醫藥方面具有抗癌的作用，也具有除草劑，殺蟲劑及毛髮刺激劑等效果。基於改善斑蝥素抗癌活性的目的，因此我們選擇以斑蝥素和其相似物爲起始原料和含一級胺基取代基的化合物進行化學修飾，利用無水酒精當溶劑高溫下(140 ℃)反應 4 小時，再以薄層層析法(TLC)純化，分別得到斑蝥亞胺素(cantharidinimine)和其類似衍生物。合成出的衍生物以核磁共振儀、紅外線光譜儀、質譜儀確定結構。最後進行細胞毒性的試驗的評估。

英文摘要

Mylabris phalerata, Meloidae family of Coleoptera, has been known since antiquity to produce toxic defensive agent, now known as cantharidin (exo,exo-2,3–dimethyl–7–oxabicyclo [2.2.1] heptane-2,3-dicarboxlic acid anhydride). In the past, cantharidin has used as anticancer, herbicidal, pesticide, hair-growth stimulator and treatment of molluscum contagiosum activities. In order to study the cytotoxic activities of related compounds, we synthesized a serious of cantharidinimines and their analogues by the following method: cantharidine and their analogues with various primary amines were heated to 140 ℃ for four hours. All of the cantharidinimines and their analogues were identified by 1H-NMR, IR and mass spectrometry, respectively. Moreover, we would try to evaluate cytotoxic activities of the cantharidinimines and their analogues.