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论文

异三尖杉酯碱的合成及异构体的分离

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摘要:

关键词: 异三尖杉酯碱 三尖杉碱 Reformatsky反应 a-烷氧基a-溴代乙酸酯

SYNTHESIS OF ISOHARRINGTONINE AND SEPARATION OF THE ISOMERS

LI Yi-nan; WU Ke-mei and HUANG Liang

Abstract:

Isoharringtonine (1), one of the four cephalotaxine esters exhibiting antitumor activity was synthesized by first treating the cephalotaxine ester of keto acid with a-benzyloxy-a-bromoacetic acid ester instead of the classical a-bromoacetic acid in the Reformatsky reaction to give a mixture of four stereoisomers. The mixture could only be separated into two parts A and B by chromatotron. A and B were hydrogenated separately with Pd/C. The reaction products obtained were separated on chromatotron to give A_{H1} , A_{H2} and B_{H1} , B_{H2} correspondingly. The m p, [a] and various spectra of B_{H1} are indentical with those of the natural isoharringtonine. The configurations of the rest three isomers were postulated based upon their NMR spectra in combination with comformational analysis.

Keywords: Cephalotaxine Reformatsky reaction a-Alkoxy-a-bromo acetic acid ester Isoharringtonine

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