

论文

九里香抗生育活性物质——月橘烯碱的仿生合成研究

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摘要:

月橘烯碱是从芸香科植物九里香根中分出的双吲哚生物碱。药理实验结果表明它具有抗着床和抗早孕的活性。本文报道其仿生合成: 吲哚经3位醛基化、与丙酮缩合成化合物II; 将氮原子保护后进行wittig反应, 脱保护基得到 β -异戊二烯吲哚(V); 经分子间Diels-Alder加成反应得消旋月橘烯碱(VI)。

关键词: 九里香 生物碱 吲哚 月橘烯碱 抗生育 仿生合成

STUDIES ON THE BIOMIMETIC SYNTHESIS OF YUEHCHUKENE—A NOVEL ANTI-IMPLANTATION BIS-INDOLE ALKALOID

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Abstract:

Yuehchukene (VI), isolated from the roots of *Murraya paniculata* (named in China as Jiu-Li-Xiang), is a novel bisindole alkaloid with anti-implantation and thus anti-early pregnancy activities in rats. In this paper, the title compound (VI) was synthesized from indole through the following steps: formylation to give indole-3-carboxaldehyde (I), then condensation with acetone, toluenesulfonylation of the nitrogen atom, Wittig reaction, deprotection of the protecting group to give 3-isoprenylindole (V) and intermolecular Diels-Alder cyclization successively. The overall yield was 7.5%. The spectral data (IR, $^1\text{H NMR}$, UV and MS spectrum) and physical constants of the synthetic yuehchukene were identical with the natural product. The synthetic sample was administered to rats at 2 mg and 4 mg/kg. It was found to be 100% and 50% active for preventing implantation and terminating early pregnancy respectively. Meanwhile, two by-products, trimer and tetramer of V, were obtained.

Keywords: Alkaloid Indole Yuehchukene antifertility Biomimetic synthesis *Murraya paniculata*

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