

研究简报

喜树碱合成中间体的光学异构体分离及分离机理研究

沈报春^{1,2}, 徐秀珠^{*1}, 张雪君¹, 陈娟娟¹, 徐欠佳¹

(¹浙江大学化学系 杭州 310027)

(²昆明医学院药学院 昆明 650031)

收稿日期 2005-1-27 修回日期 2005-9-2 网络版发布日期 接受日期

摘要 首次在CHI-DMB及(R,R)-DNB-DPEDA手性柱上对喜树碱合成中间体——2-[N-对甲苯磺酰基-(R)-脯氨酸氧基]-2-[6-氰基-(1,1-亚乙二氧基)-5-酮-1,2,3,9-四氢中氮茛-7-基]-丁酸乙酯进行了光学异构体分离。

考察了流动相中性醇类添加剂对手性分离种类及浓度对分离的影响,

并比较了溶质在这两种手性柱上的手性识别机理, 结果发现在这两种手性固定相上,

溶质与手性固定相之间的吸引作用都是产生手性识别的关键. 从溶质与固定相的空间结构看, 在CHI-DMB手性柱上, π - π 堆积作用及偶极偶极作用起了关键作用; 而在(R,R)-DNB-DPEDA手性柱上, π - π 堆积作用, 偶极偶极作用及氢键作用对分离起了重要作用. 此外,

空间位阻在喜树碱中间体的光学异构体分离中也起了一定的作用. 根据溶质和固定相的空间结构, 推导出的两个光学异构体的流出顺序, 并通过相应的光学异构体得到验证.

关键词 [CHI-DMB](#) [\(R,R\)-DNB-DPEDA](#) [光学异构体分离](#) [喜树碱合成中间体](#) [手性识别机理](#)

分类号

Study on the Separation of Camptothecin Synthetic Intermediate Isomers and Separation Mechanism

SHEN Bao-Chun^{1,2}, XU Xiu-Zhu^{*1}, ZHANG Xue-Jun¹, CHEN Juan-Juan¹, XU Qian-Jia¹

(¹ Department of Chemistry, Zhejiang University, Hangzhou 310027)

(² Faculty of Pharmacy, Kunming Medical College, Kunming 650031)

Abstract The separation of isomers of camptothecin synthetic intermediate, ethyl 2-[N-p-tosyl-(R)-prolinoyl]-2-[6-cyano-(1,1-ethylenedioxy)-5-one-1,2,3,9-tetrahydroindolizine-7-yl]butyrate, on CHI-DMB and (R,R)-DNB-DPEDA chiral columns was firstly reported. The influence of the alcoholic modifiers in mobile phase, including kinds and the concentration on the separation was studied. The separation mechanism of the analyte on the two chiral columns was also investigated and it was found that the attractive interaction between the analyte and the chiral stationary phase (CSP) played the predominant role on both CSPs. Consulted from the interactions between the CSP and the analyte, π - π stacking and dipole-dipole interactions acted on CHI-DMB chiral column while π - π stacking, dipole-dipole interaction and the hydrogen bonding worked on (R,R)-DNB-DPEDA chiral column. Besides these, the steric bulk was also important for the separation of the isomers of camptothecin synthetic intermediate. A rational correlation was found between elution order and absolute configuration of the analyte. The elution order was confirmed by corresponding isomer.

Key words [CHI-DMB](#) [\(R,R\)-DNB-DPEDA](#) [separation of optical isomers](#) [camptothecin synthetic intermediate](#) [chiral recognition mechanism](#)

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通讯作者 徐秀珠 xuxiuzhu@zju.edu.cn

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