

论文

3-(3*S*-叔丁氧基)丁二酰亚胺基 β -内酰胺的合成及反应的立体选择性

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摘要:

以*S*-苹果酸作为手性诱导试剂, 通过Staudinger反应, 合成了8个具有光学活性的新型的 β -内酰胺衍生物, 通过¹H NMR, IR谱和元素分析对其结构进行了表征, 用¹H NMR, 2D NMR谱和单晶X射线衍射法研究了该反应的立体选择性. 结果表明, *S*-苹果酰亚胺乙酰氯(三乙胺存在下)与Schiff碱的反应具有高度的顺反异构选择性, 反式 β -内酰胺是唯一产物; 该反应的非对应异构选择性较好, *d.e.* 值在28%~70%之间.

关键词: β -内酰胺 Schiff碱 顺反异构选择性 非对映异构选择性 立体选择性

Synthesis of 3-(3*S*-*t*-Butoxyl)succinimidyl- β -lactams and the Stereoselectivity of the Reaction

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Abstract:

S-Malic acid is the chiral induction agent widely used in organic synthesis. In order to investigate the stereoselective synthesis of β -lactams, eight new 3-(3*S*-*t*-butoxyl)succinimidyl- β -lactam derivatives 2a—2h were obtained by Staudinger reaction using *S*-malic acid as the chiral induction agent and their structures were confirmed by ¹H NMR, IR and elemental analysis. The stereochemistry of the reaction was also investigated by the ¹H NMR, 2D NMR and X-ray diffraction analyses methods. The results indicate that the reaction of 3-(3*S*-*t*-butoxyl)succinimidyl acetyl chloride with imines(under Et₃N) showing very good *cis/trans* stereoselectivity, and the *trans*- β -lactam is the only product. The diastereomeric selectivity is also good, the *d.e.* is between 28%—70%.

Keywords: β -Lactam Schiff base *cis/trans* stereoselectivity Diastereomeric selectivity Stereoselectivity

收稿日期 2008-03-17 修回日期 1900-01-01 网络版发布日期

DOI:

基金项目:

通讯作者: 李媛

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