

论文

毛茛甙全合成的研究

方政;周瑾;黄量

中国医学科学院药物研究所,北京100050

摘要:

本文报道用天然D-甘露醇为原料,合成了天然(-)毛茛甙。用 NaIO_4 或 $\text{Pb}(\text{OAc})_4$ 氧化1,2:5,6-二缩丙酮甘露醇(3),得到所需的2,3-缩丙酮-D-甘油醛(4)。经Wittig反应得到以顺式异构体(7)为主的产物。考察了不同溶剂对该反应立体化学的影响。建立了测定及分离顺、反异构体的方法。7在酸催化下水解并环合得光活的毛茛甙元(8),8与溴代乙酰葡萄糖缩合,再经阳离子交换树脂催化水解除去乙酰保护基,完成了天然毛茛甙立体选择性合成。从原料甘露醇经各中间产物均按重结晶产品计算,总收率达15%。

关键词: 毛茛甙 Wittig反应 Koenigs-Knorr反应

STUDIES OF THE TOTAL SYNTHESIS OF (-)-RANUNCULIN

Z Fang; J Zhou and L Huang

Abstract:

The synthesis of (-)-ranunculin from mannitol is described. The oxidation of 1,2,5,6-diacetone d-rmannitol (3) with NaIO_4 or lead tetraacetate gave 2,3-O-isopropylidene-D-glyceeraldehyde (4) with the desired α -carbon-(R)configuration. The Wittig reaction of isopropylidene-D-glyceraldehyde (4) with $(\text{C}_6\text{H}_5)_3\text{P}=\text{CHCOOCH}_3$ gave a mixture of Z and E methyl-3-(2,2-dimethyl-1,3-dioxo-lan-4-yl)-2-propenoate (7,6) with a Z : E ratio of 85:15. The dependence of Z : E ratio upon the solvent present was studied. On careful treatment of the cis isomer with 0.1 mol/L HCl, hydrolysis of the ketal took place along with cyclization to give the optically active aglycone (8) with the expected stereochemistry, which was followed by Koenigs-Knorr reaction to give (-)-ranunculin tetraacetate. Since the glycoside is sensitive to acid and base, hydrolysis was carried out with strongly acidic cation exchange resin which yielded (-)-ranunueulin smoothly. The overall, yield from mannitol with all the intermediates purified amounted to 15%.

Keywords: Wittig reaction Koenigs-Knorr reaction (-)-Ranunculin

收稿日期 1988-09-19 修回日期 网络版发布日期

DOI:

基金项目:

通讯作者:

作者简介:

参考文献:

本刊中的类似文章

1. 张秀琴;刘爱茹;徐礼燊.白头翁中毛茛甙及合成毛茛甙的高效液相色谱测定法[J]. 药学报, 1990,25(12): 932-935
2. 李润沼;籍秀娟.毛茛甙体外细胞毒活性及其机制[J]. 药学报, 1993,28(5): 326-331
3. 李润沼;裴惠平;籍秀娟.毛茛甙的抗诱变作用及代谢转化[J]. 药学报, 1993,28(7): 481-485

文章评论 (请注意:本站实行文责自负, 请不要发表与学术无关的内容!评论内容不代表本站观点.)

扩展功能

本文信息

- ▶ Supporting info
- ▶ PDF(548KB)
- ▶ [HTML全文]
- ▶ 参考文献

服务与反馈

- ▶ 把本文推荐给朋友
- ▶ 加入我的书架
- ▶ 加入引用管理器
- ▶ 引用本文
- ▶ Email Alert
- ▶ 文章反馈
- ▶ 浏览反馈信息

本文关键词相关文章

- ▶ 毛茛甙
- ▶ Wittig反应
- ▶ Koenigs-Knorr反应

本文作者相关文章

- ▶ 方政
- ▶ 周瑾
- ▶ 黄量

PubMed

- ▶ Article by
- ▶ Article by
- ▶ Article by

反馈人	<input type="text"/>	邮箱地址	<input type="text"/>
反馈标题	<input type="text"/>	验证码	<input type="text"/> 6831