有机化学 2006 26 (04): 528-532 ISSN: 0567-7351 CN: 31-1320/06

研究简报

缩氨基硫脲类化合物的设计合成和生物活性研究

李清寒，陈淑华*

(四川大学化学学院 成都 610064)

收稿日期 2005-3-24 修回日期 2005-10-20 网络版发布日期 接受日期

摘要 通过CS_2, H_2NNH_2•H_2O, $(CH_3O)_2SO_4$反应制得肼基二硫代甲酸甲酯, 肼基二硫代甲酸甲酯再与相应的醛或酮, 通过缩合反应制得中间体化合物**1a**～**1h**, 产率78%～96%. 以乙醇作溶剂, **1**与吗啉, 哌嗪, *N*-单取代哌嗪发生取代反应制得相应的目标化合物**2**, **3**, **4**, 产率57%～84%. 共计合成目标化合物16个, 均为新化合物, 并且有2个中间体为新化合物. 以上新化合物均经熔点、质谱、元素分析、红外光谱、核磁共振氢谱确证. 通过对目标化合物进行体外抗菌、抗癌活性测试表明, 16个目标化合物中, 化合物**3f**具有较强的抑菌活性, 化合物**4c**具有一定的抗癌作用.

关键词 缩氨基硫脲 合成 抗癌活性 抗菌活性

分类号

Studies on Synthesis and Bioactivity of Thiosemicarbozones

LI Qing-Han,CHEN Shu-Hua*

(*College of Chemistry, Sichuan University, Chengdu 610064*)

Abstract Sixteen thiosemicarbazones **2**～**4** were synthesized from following three steps: firstly hydrazine reacted with carbon disulfide and dimethyl sulfate to form methyl hydrazino-dithiocarboxylate with yield of 60%, which further reacted with ketone or aldehyde in *i*-PrOH to give **1a**～**1h** with yields of 78%～96%. Treatment of compound **1** with piperazine, *N*-substituted piperazine or morpholine in ethanol afforded **2**～**4** in 57%～84% yields. All compounds were characterized by elemental analysis, MS, IR and ^{1}H NMR spectra. The antibacterial and anticancer activities *in vitro* of all compounds have been determined. The results show that compound **3f** possess strong antibacterial activity while the compound **4c** possess anticancer activity.

Key words thiosemicarbozones synthesis anticancer antibacterial activity

DOI:

通讯作者 陈淑华 chemchensh@sina.com