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比卡鲁胺相关物质B的合成和表征及理化性质

Synthesis and Characterization of Physicochemical Properties of Bicalutamide Related Compound B

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中文关键词: [比卡鲁胺有关物质B](#) [合成](#) [表征](#) [非甾体抗雄激素](#) [理化性质](#)

英文关键词: [bicalutamide related compound B](#) [synthesis](#) [characterization](#) [nonsteroidal antiandrogen](#) [physicochemical properties](#)

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中文摘要:

目的 合成比卡鲁胺有关物质B并测定其理化性质。方法 以1,2-环氧-2-甲基-N-[4-氰基-3-(三氟甲基)苯基]丙酰胺为起始原料,经缩合、氧化两步反应制得N-[4-氰基-3-(三氟甲基)苯基]-3-(3-氟苯硫酰基)-2-甲基-2-羟基丙酰胺(比卡鲁胺有关物质B),用IR、¹H-NMR、¹³C-NMR、质谱、元素分析及差热分析对其结构进行表征,使用UV和DSC对其在不同溶剂中的溶解度和熔点进行分析。结果 合成总收率达到74.6%,纯度为99.58%。通过溶解度的测定说明其在醇酮等有机溶剂中有一定的溶解度,但在不同pH值的水介质中几乎不溶。结论 本合成方法操作简单、反应条件温和,能容易地制备比卡鲁胺有关物质B,在此基础上测定的物化数据可为相关研究提供有益参考。

英文摘要:

OBJECTIVE To synthesize bicalutamide related compound B and determine its physical and chemical properties. METHODS The target compound B was synthesized from N-[4-cyano-3-(trifluoromethyl)phenyl]-1,2-epoxy-2-methylpropionamide via condensation and oxidation, and its structure was confirmed by ¹H-NMR and ¹³C-NMR, MS, IR, elemental analysis and differential thermal analysis. In addition, some physicochemical properties about compound B, such as solubility in different solvents and melt point, were determined using UV and DSC, respectively. RESULTS The total yield of synthesis route was 74.6% with 99.58% purity. Solubility determination demonstrated that the related compound B had a certain degree of solubility in organic solvent such as alcohol and ketone, but almost insoluble in aqueous media of different pH values. CONCLUSION Bicalutamide related compound B can be easily prepared by mild reaction conditions and simple synthetic method. The physicochemical data obtained in this experiment will provide a useful reference for related research.

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