

论文

新法合成乙炔型维A酸

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摘要:

合成了一种新型乙炔型维A酸类化合物, 拓展了该类碘代芳香羧酸与苯乙炔直接偶联的无铜 Sonogashira 反应. 以对溴苯甲酸为底物, 研究了无铜条件下PdCl₂(PPh₃)₂的催化性能, 在10倍量的哌啶中, 对溴苯甲酸、苯乙炔和摩尔分数为4%的PdCl₂(PPh₃)₂在85 °C下反应20 min得到99%的偶联分离产率, 总收率72%. 本方法也适用于相关乙炔型RAs 分子的合成, 具有操作简单、产率高等优点.

关键词: 乙炔型维A 酸 Sonogashira 反应 PdCl₂(PPh₃)₂ 催化剂

New Method for the Preparation of Acetylenic Retinoids

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Abstract:

Acetylenic RAs is a kind of important drug for treating cancer. In this paper, a novel acetylenic retinoids was synthesized and a directly copper-free Sonogashira coupling between iodoaryl acid and phenylacetylene was developed. In the absence of Cu(I), the catalytic coupling of haloaryl carboxylic acids or unactivated aryl bromides with terminal alkynes were shown to occur in the presence of 10 multiple piperidine at 85 °C within 20 min with PdCl₂(PPh₃)₂ as catalyst in good yields. The overall yield was 72%. Due to the fact that the reaction is simple and the yield is high, it is applicable to synthesis of other acetylenic RAs.

扩展功能

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