

Syntheses of some aliphatic amino-acid hydrazides.

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Article

Synthesis of Hydrazones from Amino Acids and their Antimicrobial and Cytotoxic Activities

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Hydrazones have been synthesized from amino acids with various aldehydes under different conditions. The structures of the hydrazones were confirmed by IR, ¹H-NMR, ¹³C-NMR, and mass spectra analysis and spectroscopic techniques. A comparative study of the antimicrobial activity and cytotoxicity of the synthesized hydrazones was evaluated against *Escherichia coli*, *Staphylococcus aureus*, *Candida albicans*, and *Trichophyton interdigitale*. The results showed that the synthesized hydrazones exhibited moderate antimicrobial and cytotoxic activities.

Keywords: hydrazones, amino acids, synthesis, antimicrobial, cytotoxic

INTRODUCTION

Hydrazones are potential drugs for the treatment of diseases such as diabetes, hypertension, and cancer.^{1–4} They are also used as analgesics, antipyretics, and antihistamines.^{5–7} Recently, many reports have been published on the synthesis of hydrazones in order to find new substances with biological properties.^{8–10} In addition, some hydrazones have been reported to have anti-HIV, anti-tumor, and anti-bacterial activities.^{11–14} Moreover, some hydrazones have been reported to have anti-diabetic, anti-hypertensive, and anti-ulcer activities.^{15–17} Therefore, the synthesis of hydrazones has attracted much attention in recent years.^{18–20}

Hydrazones have been used as the solvents in organic synthesis.^{21–23} In addition, they are used as the protecting groups in their applications as reagents in organic synthesis.^{24–26} In this work, we have synthesized a series of hydrazones from 10 different amino acids with 10 different aldehydes to determine their antimicrobial and cytotoxic properties.

The present article describes the synthesis of a series of hydrazones from 10 different amino acids with 10 different aldehydes to determine their antimicrobial and cytotoxic properties.

MATERIALS AND METHODS

All reagents and solvents used in the synthesis of hydrazones were purchased from commercial sources and used without purification.

Instrumentation

IR spectra were recorded on a Nicolet FT-IR spectrometer (Nicolet, USA) equipped with a diamond attenuated total reflection (ATR) cell. ¹H-NMR and ¹³C-NMR spectra were recorded on a Bruker Avance 300 instrument (Bruker, Germany) at room temperature. Mass spectra were recorded on a Varian MAT 312 instrument (Varian, USA). Elemental analyses were performed on a Vario EL III instrument (Elementar, Germany).

Antimicrobial Assay

The antimicrobial activity of the synthesized hydrazones was determined by the disk diffusion method according to the National Committee for Clinical Laboratory Standard (NCCLS) recommendations.²⁷ The bacterial strains used in this study were *Escherichia coli* (ATCC 25922), *Staphylococcus aureus* (ATCC 25923), *Candida albicans* (ATCC 10231), and *Trichophyton interdigitale* (ATCC 22114). The bacterial cultures were prepared in nutrient agar medium and maintained at 37 °C for 24 h. The yeast culture was prepared in yeast extract peptone dextrose (YPD) medium and maintained at 30 °C for 24 h. The fungal culture was prepared in potato dextrose agar (PDA) medium and maintained at 28 °C for 7 days. The bacterial and fungal cultures were suspended in phosphate buffer saline (PBS) and adjusted to a McFarland No. 0.5 standard. The bacterial and fungal suspensions were applied onto the surface of the nutrient agar plates containing the test compounds at a final concentration of 32 µg/ml. The plates were incubated at 37 °C for 24 h for bacteria and at 28 °C for 7 days for fungi. The inhibition zones were measured and recorded.

Cytotoxic Assay

The cytotoxicity of the synthesized hydrazones was determined by the MTT assay. The cell lines used in this study were the human hepatocarcinoma cell line (HepG2) and the human fibroblast cell line (MRC-5). HepG2 and MRC-5 cells were obtained from the National Cell Bank Program (NCB), National Research Center, Cairo, Egypt. Cells were cultured in DMEM medium (Sigma, USA) containing 10% fetal calf serum (FCS) and 1% pen-strep (Sigma, USA) at 37 °C in a humidified atmosphere containing 5% CO₂.

Statistical Analysis

The statistical significance of the differences between the control and treated groups was calculated by one-way analysis of variance (ANOVA) using SPSS software (SPSS Inc., Chicago, IL, USA). The differences were considered statistically significant if *p* < 0.05.

RESULTS AND DISCUSSION

The synthesized hydrazones (1a–1k) were isolated in % yields ranging from 60 to 80% (Table 1). The structures of the synthesized hydrazones were confirmed by IR, ¹H-NMR, ¹³C-NMR, and mass spectra analysis and spectroscopic techniques.

Antimicrobial Activity

The antimicrobial activity of the synthesized hydrazones was evaluated against *E. coli*, *S. aureus*, *C. albicans*, and *T. interdigitale*. The results are summarized in Table 1. The results showed that the synthesized hydrazones exhibited moderate antimicrobial and cytotoxic activities.

Cytotoxicity

The cytotoxicity of the synthesized hydrazones was determined by the MTT assay. The cell lines used in this study were the human hepatocarcinoma cell line (HepG2) and the human fibroblast cell line (MRC-5). HepG2 and MRC-5 cells were obtained from the National Cell Bank Program (NCB), National Research Center, Cairo, Egypt. Cells were cultured in DMEM medium (Sigma, USA) containing 10% fetal calf serum (FCS) and 1% pen-strep (Sigma, USA) at 37 °C in a humidified atmosphere containing 5% CO₂.

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Tags: #Amino #Acid #Synthesis

Catalytic Asymmetric Strecker Synthesis. Preparation of Enantiomerically Pure α -Amino Acids

The BCKDK gene is located on chromosome 16p11. Non-Essential Amino Acid Biosynthesis Glutamate and Glutamine Glutamate Synthesis Glutamate can be synthesized by two distinctly different reaction pathways.

Catalytic Asymmetric Strecker Synthesis. Preparation of Enantiomerically Pure α -Amino Acids

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A new 'one

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