SYNTHESIS OF POLYHYDROXY-2,3-DIARYLXANTHONES WITH POTENCIAL ANTIOXIDANT ACTIVITY

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Xanthones are a well-studied class of heterocyclic compounds \cite{1} and over the years these substances have been extensively studied due to their biological properties. Both natural and synthetic derivatives have shown important antimicrobial, anti-tumour, anti-inflammatory as well as antioxidant activities \cite{2}. Several structure/activity studies of polyphenolic compounds revealed that the presence of hydroxyl substituents in certain positions of their skeleton is of great importance for a high antioxidant activity \cite{3}.

Taking this knowledge into consideration, we report the synthesis of new polyhydroxy-2,3-diaryl xanthones, starting from the 3-bromo-2-methylchromone 1. Aldol condensation of 1 with benzaldehydes leads to the formation of 3-bromo-2-styrylchromones 2 followed of Heck reaction with styrenes to give the 2,3-aryl xanthones 3 \cite{4}. The final step consists in the cleavage of protective groups to obtain the desired polyhydroxy-2,3-diaryl xanthones 4. The experimental procedures and the characterization of the new compounds will be presented and discussed.

\begin{center}
\includegraphics[width=\textwidth]{xanthone_synth.png}
\end{center}

A: Benzaldehydes, MeOH, r.t., 48 h.
B: Et\textsubscript{3}N, Pd[PPh\textsubscript{3}]\textsubscript{4}, PPh\textsubscript{3}, NMP, styrenes
C: BBr\textsubscript{3}, CH\textsubscript{2}Cl\textsubscript{2}, -78°C

R\textsuperscript{1} = H, O\textsubscript{Bu}  \quad  R\textsuperscript{4} = H, OH
R\textsuperscript{2}, R\textsuperscript{3} = H, OCH\textsubscript{3}  \quad  R\textsuperscript{5}, R\textsuperscript{6} = H, OH

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SYNTHESIS OF POLYHYDROXY-2,3-DIARYLXANTHONES WITH POTENTIAL ANTIOXIDANT ACTIVITY
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INTRODUCTION

Xanthones are a well-studied class of heterocyclic compounds (1) and over the years these substances have been extensively studied due to their biological properties. Both natural and synthetic derivatives have shown important anti-microbial, anti-tumour, anti-inflammatory as well as antioxidant activities (2). Several structure/activity studies of polyphenolic compounds revealed that the presence of hydroxyl substituents in certain positions of their skeleton is of great importance for a high antioxidant activity (3).

Taking this knowledge into consideration, we report the synthesis of new polyhydroxy-2,3-
diarylxanthones, starting from the 3-bromo-2-methylchromone I. Aldol condensation of I with benzaldehydes leads to the formation of 3-bromo-2-styrylchromones 2 followed by Heck reaction with styrenes to give the 2,3-diarylxanthenes 3 (4). The final step consists in the cleavage of protective groups to obtain the desired polyhydroxy-2,3-diarylxanthones 4.

REACCIÓINAL SCHEME

The synthesis of polyhydroxy-2,3-diarylxanthones (4) involves also two steps:
A) Heck reaction of 3-bromo-2-styrylchromone 2 with styrenes
B) Cleavage of the protective groups

GENERAL PROCEDURE

1. PREPARATION OF 3-BROMO-2-METHYLCHROMONE (I)

The preparation of 3-bromo-2-methylchromone (I) involves 3 steps:
A) Acetylation of 2-hydroxyacetophenone
B) Transposition of the acetyl group
C) Bromination and cyclization

2. SYNTHESIS OF 3-BROMO-2-STYRYLCHROMONES (2)

The hydroxyl group of 4-hydroxybenzaldehyde must be protected; in this case, we used benzyl chloride, K2CO3, DMF.

REFERENCES


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