3-(Dichloroacetyl)chromone; A New Building Block for the Synthesis of Formylated Purine Isosteres.

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The first synthesis of 3-(dichloroacetyl)chromone from 3-(dimethylamino)-1-(2-hydroxyphenyl)propen-1-one and dichloroacetyl chloride is described.

\[
\begin{align*}
\text{O} & \quad \text{O} \\
\text{C} & \quad \text{H} \\
\text{C} & \quad \text{Cl} \\
\text{H} & \quad \text{2} \\
\text{NMe}_2 & \quad \text{O} \\
\text{H} & \quad \text{2} \\
\end{align*}
\]

\[
\begin{align*}
\text{Cl} & \quad \text{C} \\
\text{Cl} & \quad \text{C} \\
\text{Cl} & \quad \text{H} \\
\end{align*}
\]

The synthetic utility of this compound with dichloroacetyl group located at the 3-position primarily derives from the reactivity of the three electrondeficient centers, i.e. carbon atoms C2 and C4 of the chromone moiety and the substituent attached to carbon C3. Reactions of 3-substituted chromones with nucleophiles, which possess several reactive centers, can lead to several products and are, therefore, of interest from the point of view of their chemo- and regioselectivity. The reaction of electron-rich aminoheterocycles with 3-(dichloroacetyl)chromone, which can be considered as a masked 1,3-C,C-dielectrophile provides a set of diverse fused pyridines bearing the CHCl\textsubscript{2}-substituent at the \(\alpha\)-position of the pyridine core.

\[
\begin{align*}
\text{O} & \quad \text{O} \\
\text{C} & \quad \text{H} \\
\text{C} & \quad \text{Cl} \\
\text{H} & \quad \text{2} \\
\text{NMe}_2 & \quad \text{O} \\
\text{H} & \quad \text{2} \\
\end{align*}
\]

\[
\begin{align*}
\text{Cl} & \quad \text{C} \\
\text{Cl} & \quad \text{C} \\
\text{Cl} & \quad \text{H} \\
\end{align*}
\]

Subsequent hydrolysis (MeOH, KOH) leads to the formation of annulated \(\alpha\)-(formyl)pyridines. As a result, the one-pot cyclocondensation reaction of this new building block with electron-rich aminoheterocycles afforded a variety of fused \(\alpha\)-(formyl)pyridines.