New Synthetic Route to Chromones

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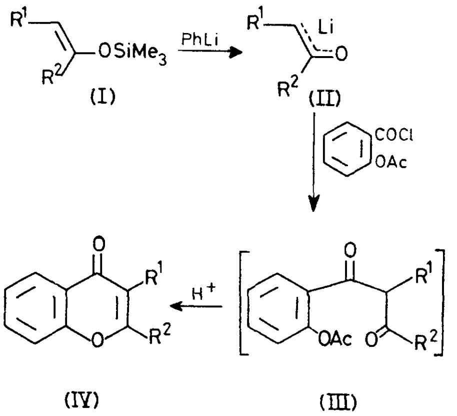
Summary Condensation of *o*-acetoxybenzoyl chloride with lithium enolates, obtained by treatment of trimethylsilyl ethers with phenyl-lithium, gives chromones.

THE physiological importance of chromone has led to many attempted syntheses,[1–4] but no single, widely applicable procedure is yet available. We now report a convenient method for the synthesis of alkyl and cycloalkano-chromones (Scheme).



SCHEME

The lithium enolate (II), prepared from the trimethylsilyl enol ether (I) and phenyl-lithium by a modification of Stork's method,[6] was treated with *o*-acetoxybenzoyl chloride in dimethoxyethane at −70 °C. Ammonium chloride solution was then added and the resulting diketone (III) was extracted with benzene. The diketone (III), without further purification, was treated with HCl–acetic acid to furnish the chromone (IV). Yields were better than with known methods[1–4] except for (IVc) (Table).

TABLE. Formation of the chromones (IV).[a]

	R^1	R^2	% Yield from (I)
a[b]	H	Me	87
b	Me	Me	80
c[c]	$-[CH_2]_3-$		30
d[d]	$-[CH_2]_4-$		90
e[c]	$-[CH_2]_5-$		80

[a] Satisfactory elemental analyses were obtained for (IVa)—(IVe). (IVa) and (IVc)—(IVe) were identical (m.p.; i.r.) with authentic samples. [b] Ref. 1. [c] Ref. 4. [d] Refs. 3 and 4.

When the trimethylsilyl enol ether (I) was used as the starting material instead of the lithium enolate (II), the yield of the chromone (IV) decreased. Alternative lithiation methods with MeLi or Bu^nLi also decreased the amount of chromone.

The modest yield of (IVc) might be due to the fact that the enol form of cyclopentanone is not favoured.[7]

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