Reaction of the Active >C=N– Group with Alkenes: Synthesis of γδ-Unsaturated α-Amino-acids

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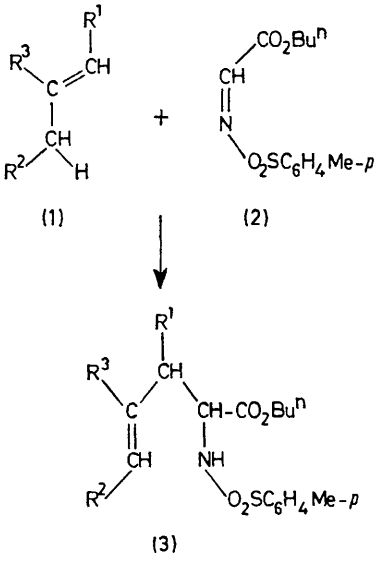
Summary n-Butyl *N*-(toluene-*p*-sulphonyl)iminoacetate reacts with alkenes to produce high yields of ene adducts which are readily convertible into γδ-unsaturated α-amino-acids.

ALTHOUGH the ene reaction of alkenes with many reactive multiple bonds (C=C, C≡C, C=O, S=O, N=N, *etc.*)



has been studied,[1] so far an enophile containing an imino-group has not been described. We now report the first example of an ene reaction involving the active >C=N– group. n-Butyl *N*-(toluene-*p*-sulphonyl)iminoacetate (**2**), prepared by the reaction of n-butyl glyoxylate with *N*-sulphinyltoluene-*p*-sulphonamide,[2] reacts with alkenes (**1**) to produce ene adducts (**3**) (Table).

TABLE

Olefin (**1**)			Time/h[a]	Yield (%) of (**3**) [b]	M.p. /°C
R^1	R^2	R^3			
H	H	H	24	70	47—48
H	Me	H	16	78	39—40
Me	H	H	16	75	Oil[c]
H	H	Me	10	79	"
H	Et	H	8	90	"
Me	Me	H	8	91	"
H	Pr	H	8	88	"
H	H	Ph	4	92	"
$-CH_2-CH_2-$		H	20	56	72
$-CH_2-CH_2-CH_2-$		H	16	81	61

[a] Reaction temperature 120 °C. The reaction can be carried out catalytically at room temperature in the presence of Lewis acids. [b] All adducts (**3**) gave satisfactory analyses and consistent i.r. and ^1H n.m.r. spectra. [c] Distilled at 170 °C and 10^{-4} Torr (air bath temperature).

The adducts (**3**) are readily convertible by standard procedures without affecting the position or configuration of the double bond into the corresponding γδ-unsaturated α-amino-acids. This reaction offers a novel approach to the synthesis of α-amino acids, and particularly those of non-protein origin, which often incorporate in their structure a double bond at the γδ-position[3] or a functional group which could be derived from the latter.[4]

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