C-ALKYLATION, ALKENYLATION, AND ARYLATION OF 1,2,5-THIADIAZOLES

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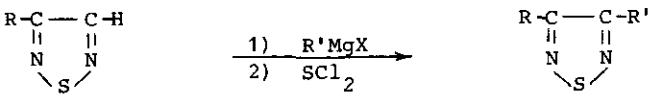
The 1,2,5-thiadiazole and its monosubstituted derivatives may be alkylated, or alkenylated, or arylated at the carbon atom through one-pot reaction with Grignard reagents and sulphur dichloride.



I II

R	R'
H	CH_3
H	C_2H_5
H	CH_2=CH
H	C_6H_5
H	p.CH_2=CH-C_6H_4

R	R'
CH_3	CH_2=CH
CH_3	p.CH_2=CH-C_6H_4
C_6H_5	CH_3
C_6H_5	CH_2=CH

Besides compound II the reaction also affords thiol R'SH, thioether R'_2S and compound I, which does not come from an incomplete conversion of the reagents, but it is regenerated after sulphur dichloride addition, in agreement with the fact that after Grignard reagent treatment I is no more present in the reaction mixture.

Yields in compound II go from 60 to 10 %, attaining higher values with 1,2,5-thiadiazole rather than with its monosubstituted derivatives as starting material. With Grignard reagents containing β-hydrogens, the more the reagent is prone to hydride transfer the more the alkene is formed, while yields of compound II drop down and that of compound I increase.

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