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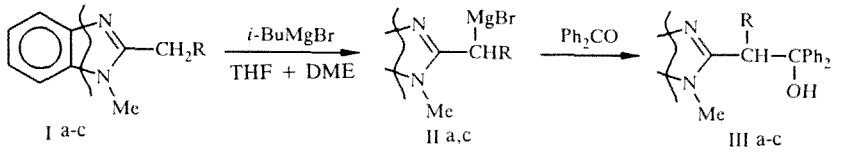
1-METHYL-2-ALKYLBENZIMIDAZOLE ORGANOMAGNESIUM COMPOUNDS

Yu. V. Koshchienko, O. V. Ryabtsova, and B. A. Tertov

Phenyllithium is known to add to the cyclic C=N bond of 1-methyl-2-*tert*-butylbenzimidazole [1]. If the alkyl group in position 2 of an N-substituted benzimidazole has a hydrogen atom attached to the carbon atom bonded to the heterocycle, then N-substituted 2-(1-lithioalkyl)benzimidazoles are formed as well as the products of addition of butyl- or phenyllithium to the azomethine bond. However the yields of the former are small in most cases [2].

We have established that metallation of the benzimidazoles (I) to give the organomagnesium compounds II is the predominant reaction when isopropylmagnesium bromide in a mixture of tetrahydrofuran and 1,2-dimethoxyethane is used as the metallating reagent. The yields and structures of compounds II were determined by converting them to the alcohols III by reaction with benzophenone. The yields of compounds II (determined as compounds III) varied from 71 to 99%.



I a-c II a,c III a-c

I–III a R = H, b R = Me, c R = Et

When metallation of the benzimidazoles I was carried out without 1,2-dimethoxyethane the yield of the organomagnesium compounds II decreased to 45-82%, and replacement of isopropylmagnesium bromide by butylmagnesium bromide gave yields of 42-71%.

1-2-Dimethoxyethane (6 ml) and 1-methyl-2-alkylbenzimidazole (I) (9 mmol) in THF (5 ml) were added under argon to isopropylmagnesium bromide, prepared from magnesium (0.61 g, 25 mmol) and 2-bromopropane (3.07 g, 25 mmol) in THF (9 ml). The reaction mixture was boiled for 1.5 h. The organomagnesium compound II which was formed was boiled for 1 h with benzophenone (4.55 g, 25 mmol) to give the alcohol III. M.p. 194-195°C (IIIa, EtOH), 158-159°C (IIIb, benzene) and 162-163°C (IIIc, EtOH), which correspond to literature values [2].

REFERENCES

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