Simple and convenient synthesis of 2-(Substituted-benzylsulfanyl)-1H-benzimidazoles

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ABSTRACT
A simple and convenient procedure for the preparation of 2-(substituted – benzimidazoles by the reaction of 2-mercapto benzimidazole and benzyl bromides in methanol / sodium carbonate condition has been reported. This new method consistently has the advantage of excellent yields (87-95%) and short reaction time (3 h) at reflux temperature.

INTRODUCTION
Benzimidazoles and its derivaties are potentially biologically active compounds\[1\] some of them like thiobendazole, mebendazole and albendazole are widely used as anti-helimentic drugs\[6\]. Due to wide biological significance, we wish to synthesize 2-Benzylthiobenzimidazoles. 2-Benzylthiobenzimidazoles have been synthesized by the reaction of 2-mercapto benzimidaoles with alkyl or aryl halides in the presence of bases such as NaH/DMF\[7\], K$_2$CO$_3$/EtOH\[5\], NaOH/MeOH\[8\], NaOH\[9\], KOH\[10\]. Many of these processes suffer from one or more limitations, such as long reaction times, occurrence of several side reactions, drastic reaction conditions, low yields, and tedious work-up procedure. Therefore, the search continues for a better reagent for the synthesis of 2-Benzylthiobenzimidazoles in terms of mild reaction conditions.

EXPERIMENTAL
All chemicals were A.R.grade obtained from Qualigens, India. All the solvents were purified by standard techniques. Column chromatographic separations were carried out on silica gel 100-200 mesh size. I.R Spectra were scanned on FT/IR-4200 Type A, Spec-trophotometer with Potassium bromide optics. NMR spectra were recorded on a 300 MHz and Mass spectra were recorded on a LC-MS.

KEYWORDS
2-Mercapto benzimidazole; Benzyl bromides; Sodium carbonate; 2-Benzylthiobenzimidazoles.
RESULTS AND DISCUSSION

Initially, we studied the synthesis of 2-benzylthiobenzimidazoles (3a, Ar=Ph), using 2-mercapto benz-imidazole (1) and benzyl bromide (2a) at reflux tem-perature in methnol in the presence of anhydrous so-dium carbonate as base for 3 hours to get the 2-benzylthiobenzimidazoles in 95% yield. The product was identified with spectral data and by comparision with the authentic sample[11].

Similarly 2-mercapto benzimidazoles was reacted with other substituted benzyl bromides (2b-2h) under similar conditions to give the corresponding 2-benzylthio benzimidazoles (3b-3h) in excellent yields (TABLE 1).

All the products were characterised by I.R, 'H-NMR, L.C-Mass and analytical data. 2-Benzylthio benzimidazoles are important class of heterocycles, and this methodology may find useful applications in the synthesis of drug intermediates and other bio active compounds. It is noteworthy which the survival of a variety of sensitive groups, worked well without formation of any side products under the reaction conditions.

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REFERENCES


*Yields refer to the Isolated yields*