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Research Paper

SYNTHESIS, CHARACTERIZATION OF SOME MANNICH BASES OF BENZIMIDAZOLES

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Synthesis of some newer mannich bases of benzimidazoles. These derivatives will be characterized by physico-chemical properties such as TLC, melting point finally the synthesized compounds will be subjected to biological evaluation. Synthesis of mannish bases of benzimidazole derivatives like Synthesis of 2-(3,5-diniotrophenyl) benzimidazole, Synthesis of 2-(4-aminophenyl) benzimidazole, Synthesis of 2-(3,5-dinitrophenyl)-1-(piperidin-1-ylmethyl)-1H-benzo[d] imidazole. Physicochemical characterization of synthesized compounds. The aim is to synthesize some newer mannich bases of benzimidazole derivatives with potent anti-inflammatory and anticonvulsant activity with lesser side effects.

Key Words: Benzimidazole, mannich bases , methanol, alcohol, Chloroform

INTRODUCTION

Nowadays, nitrogenous heterocyclic molecules attracted a great deal of interest among medicinal chemists. Among these potential heterocyclic drugs, benzimidazole scaffolds are considerably prevalent. Due to their isostructural pharmacophore of naturally occurring active biomolecules, benzimidazole derivatives have significant importance as chemotherapeutic agents in diverse clinical conditions. Researchers have synthesized plenty of benzimidazole derivatives in the last decades, amidst a large share of these compounds exerted excellent bioactivity against many ailments with outstanding bioavailability, safety, and stability profiles. In this comprehensive review, we have summarized the bioactivity of the benzimidazole derivatives reported in recent literature with their available structure-activity relationship. Compounds bearing benzimidazole nucleus possess broad-spectrum pharmacological properties ranging from common antibacterial effects to the world's most virulent diseases. Several promising therapeutic candidates are undergoing human trials, and some of these are going to be approved for clinical use. However, notable challenges, such as drug resistance, costly and tedious synthetic methods, little structural information of receptors, lack of advanced software, and so on, are still viable to be overcome for further research.

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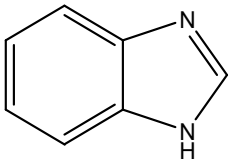
International Journal of Pharmaceutical Erudition



The benzimidazoles contain a phenyl ring fused to an imidazole ring as indicated in the structure. This important group of substances has found practical applications in a number of fields. Benzimidazole, which is a heterocyclic nucleus, plays an important role in various medicines.[2]

Synthesis of benzimidazole

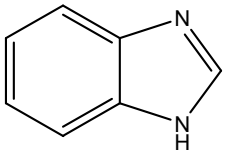
Historically, the first benzimidazole was prepared in 1872 by Hoebrecker, who obtained 2, 5 or (2, 6)-dimethyl benzimidazole by the reduction of 2-nitro-4-methylacetanilde. Since compounds of this type were formed by the loss of water, they were called “anhydrobases”. The benzimidazoles are also called as benzoglyoxalines, this tautomerism is analogues to that found in the imidazole and amidines. The benzimidazoles, in fact, may be considered as cyclic analogs of the amidines.

Materials and Method

The synthetic studies of the compound were carried out using laboratory grade and analytical grade reagent as the case may be standard procedure or reported methods were followed with or without modification appropriately as and when required.

Synthesis of benzimidazole

Step A: o-pheylenediamine (13.5 gm, 0.12 mol) was placed in a 250 ml round-bottomed flask and 85 % formic acid (9.2 gm, 8.4 ml, 0.17 mol) was added to it. The mixture was heated on a water bath for 100°C for 3 hours. The mixture was cooled, 10 percent sodium hydroxide solution was added slowly, with constant rotation of the flask, until the mixture was just alkaline to litmus. The crude product was filtered washed with ice cold water and dried. The product was dissolved in 200 ml of boiling water and about 1 gm decolorizing carbon was added and digested for 15 minutes. Then it was filtered, the filtrate was cooled to about 10°C, benzimidazole was filtered and washed with water and dry at 100°C.



The completion of reaction was monitored by running TLC.

Solvent system: Ethylacetate: chloroform - (8:2)

Melting Point: 178-180°C

Yield: (8.25 gm) 56%

R_f value: 0.19

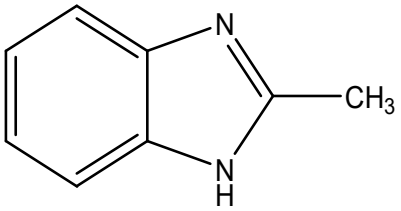
Step B: Synthesis of 2-methylbenzimidazole

The mixture of o-pheylenediamine dihydrochloride (5.43 gm, 0.03 mol), 20 ml of water, acetic acid (5.4 gm, 5.67ml, 0.09 mol) was refluxed for 4 hours. The reaction mixture

ISSN 2249-3875

International Journal of Pharmaceutical Erudition

was cooled and basified with gradual addition of concentrated ammonia solution, the precipitate was filtered, dried and recrystallised from 10 % aqueous ethanol. The completion of reaction was monitored by running TLC.



Solvent system: Ethylacetate : chloroform- (8:2)

Melting Point: 184-186ºC.

Yield: (2.3 gm) 58%

R_f value: 0.22

Results and Discussion

Table 1: Physical and Spectral Characteristics

Compound	R_f	Color (Appearance)	Melting point range (°C)
A.	0.19	White (Crystalline)	178-180
B.	0.22	White (Crystalline)	184-186

SUMMARY AND CONCLUSION

The present work, which had undertaken were bonafied, and novel for the synthesis of Mannich Bases of Benzimidazole derivatives. An attempt had been made to synthesize the substituted Benzimidazole derivatives for their medicinal significance with help of chemical abstract, journals and internet sites. All synthesized compounds were tested for the preliminary tests, physical constants and TLC.

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International Journal of Pharmaceutical Erudition

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Conflict of Interest

The authors declare that they have no conflict of interest