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Assessment of Antifungal Properties of Benzothiazole Derivatives: An In Vivo Approach

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ABSTRACT: Benzothiazole derivatives have garnered considerable attention in medicinal chemistry due to their diverse biological activities, including promising antimicrobial effects. This study explores the antifungal efficacy of novel benzothiazole compounds through in vivo experimentation. A series of benzothiazole derivatives were assessed for their antifungal properties using a modified version of previously established protocols.

KEYWORDS: Benzothiazole, in vivo, antifungal.

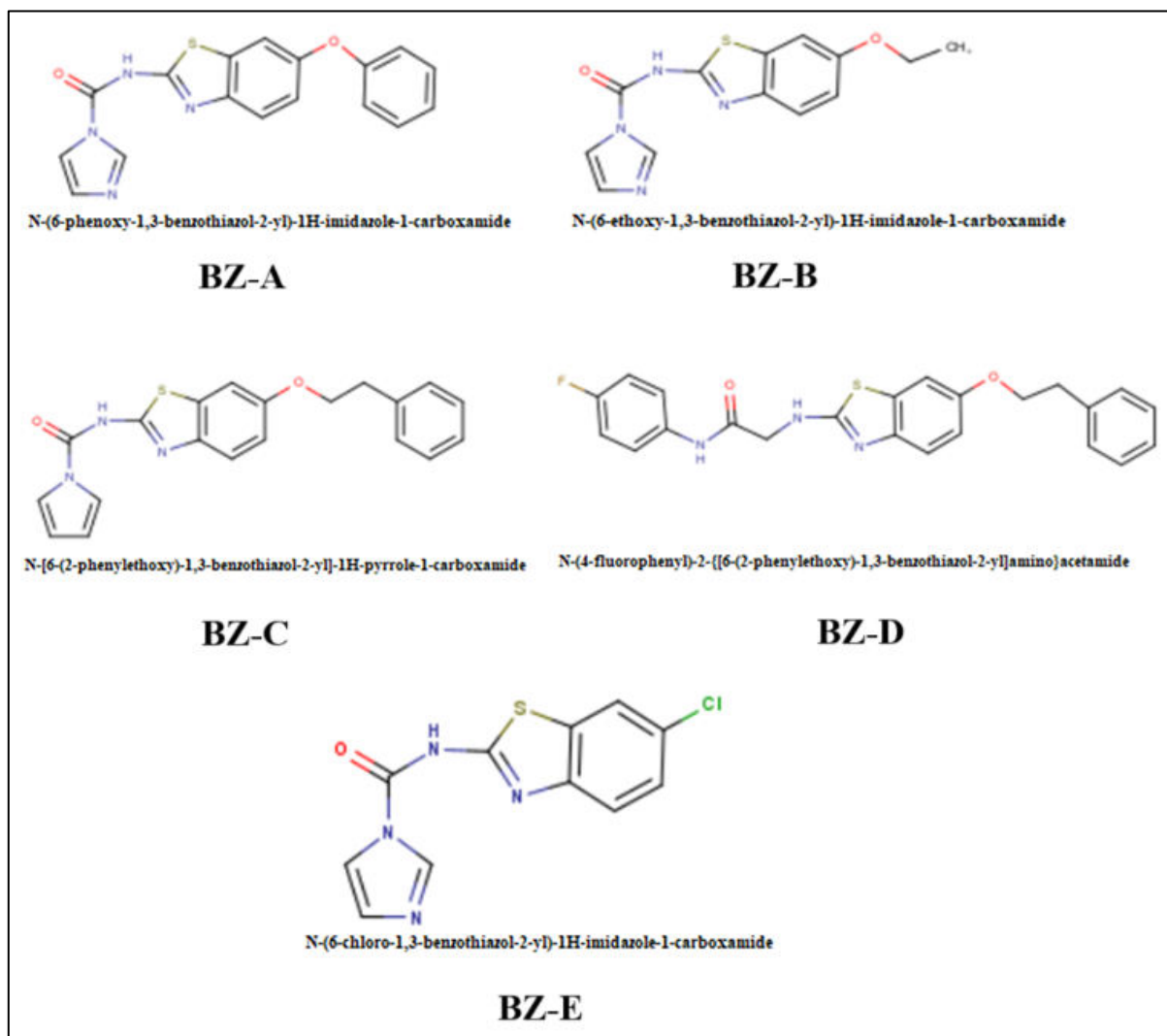
I. INTRODUCTION

Notwithstanding significant advancements in antifungal medication discovery over the past two decades, the rising prevalence of infectious illnesses caused by various pathogenic fungi poses a threat to public health and the medical sector. The invasive infection induced by the *Candida albicans* in immunocompromised people has been extensively documented, with a fatality rate ranging from 25% to 55%. The primary issues associated with current antifungal medicines are the emergence of fungal resistance, adverse effects, toxicity, limited spectrum of efficacy and restrictions in the delivery method. Among the four established classes of antifungal agents utilized in the treatment of invasive fungal infections, only one unique class received FDA approval for medical use in 2021. Furthermore, two derivatives, together with the identification of their respective unique molecular targets, are presently in the last phase of clinical trials. The urgent exploration of new chemicals with potential antifungal action is necessary to address these complex problems. Benzothiazole is a prominent heterocyclic scaffold for the development of bioactive molecules with diverse pharmacological properties. Numerous derivatives containing the benzothiazole core have been documented to exhibit significant efficacy against various non-resistant and resistant fungal pathogens, rendering them highly appealing for the formulation of new antifungal medicines.

II. RESEARCH METHODOLOGY

Benzothiazole derivatives: Following benzothiazole compounds were assessed:

Fig 1: Benzothiazole Compounds



In Vivo Antifungal Activity: The antifungal activity of novel benzothiazole derivatives was evaluated in vivo using a modified protocol based on established methods. Specific-pathogen-free, six-week-old female CD1 mice, weighing between 23 and 30 grams, were housed in a controlled environment set at 22°C with 30% relative humidity and a 12-hour light/dark cycle maintained throughout the study. To induce neutropenia, the mice were given intraperitoneal injections of cyclophosphamide at 150 mg/kg for four days, followed by 100 mg/kg on the fifth day. On the sixth day, neutropenic mice were injected with 0.1 ml of *Candida albicans* suspension via the lateral tail vein. Drug treatment began two hours post-infection, with benzothiazole derivatives administered at various doses intraperitoneally. For comparison, fluconazole, a standard antifungal drug, was administered orally at equivalent doses. After 24 hours of treatment, the mice were euthanized using ether, and the kidneys, as the primary target organs, were collected. The kidneys were then homogenized aseptically and cultured on Sabouraud Dextrose Agar (SDA) plates, which were incubated at 35°C for 24 hours. Colony-forming units (CFUs) were counted for each group, allowing for an assessment of the antifungal activity of the benzothiazole derivatives by comparing CFU counts from the treated groups with those of the fluconazole control group.

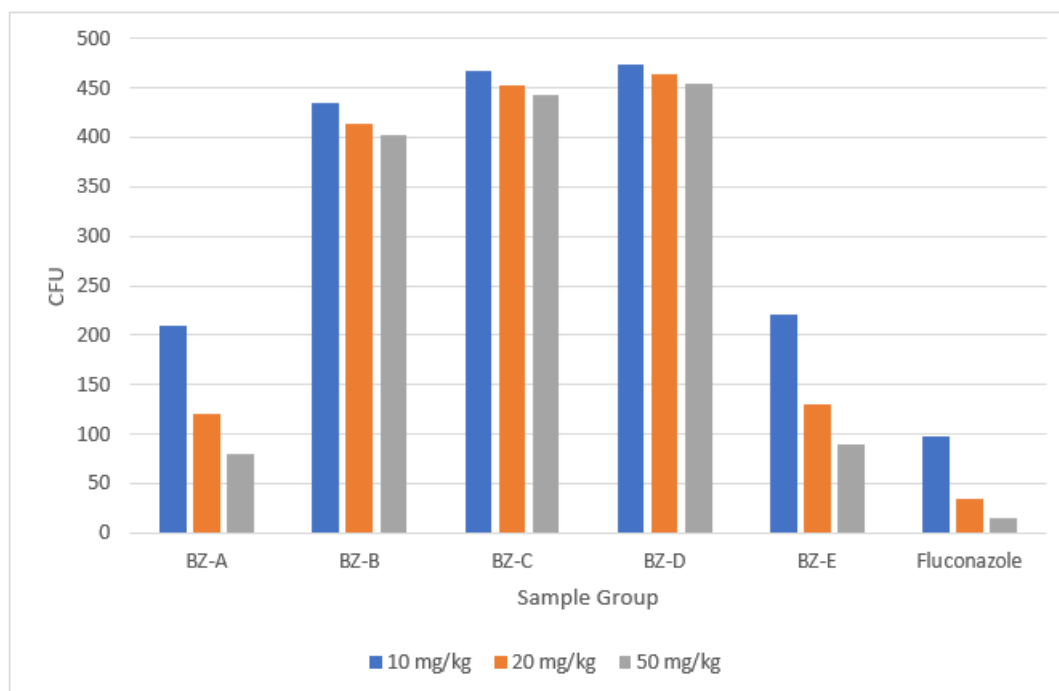
III. OBSERVATION

The analysis of the antifungal efficacy of the benzothiazole derivatives, based on colony-forming unit (CFU) counts, provides detailed insights into the relative effectiveness of the compounds across varying doses. At the lowest dose of 10 mg/kg, there is a broad range in antifungal activity among the tested derivatives. BZ-A and BZ-E shows moderate efficacy with a CFU count of 210.35 and 220.34, respectively, indicating some antifungal effect, while BZ-B, BZ-C, and BZ-D display high CFU counts at this dose—434.75, 467.86, and 473.46, respectively—suggesting limited antifungal potency at lower concentrations. At the intermediate dose of 20 mg/kg, BZ-A demonstrates an improved antifungal effect with a CFU count of 120.67, indicating increased effectiveness with the dosage increase. BZ-E also shows a significant decrease in CFU count at 130.35, reflecting stronger antifungal action compared to BZ-B, BZ-C, and BZ-D, which maintain higher CFU counts (413.65, 453.35, and 463.86, respectively), indicating minimal improvement in efficacy at this level. At the highest tested dose of 50 mg/kg, BZ-A and BZ-E emerge as the most effective derivatives, with CFU counts dropping to 80.73 and 90.34, respectively. These results highlight that BZ-A and BZ-E exhibit a dose-dependent increase in antifungal activity.

Table 1: Observation for In Vivo Antifungal Efficacy

Sample Group with Test Compound	CFU		
	10 mg/kg	20 mg/kg	50 mg/kg
BZ-A	210.35	120.67	80.73
BZ-B	434.75	413.65	401.64
BZ-C	467.86	453.35	442.34
BZ-D	473.46	463.86	454.76
BZ-E	220.34	130.35	90.34
Fluconazole	97.12	34.19	15.33

Fig 2: Observation for In Vivo Antifungal Efficacy



IV. CONCLUSION

This study demonstrated the antifungal potential of novel benzothiazole derivatives through an in vivo assessment in a neutropenic mouse model infected with *Candida albicans*. The analysis of colony-forming unit (CFU) counts revealed that some benzothiazole derivatives exhibit notable antifungal activity, though with varying degrees of efficacy depending on the compound and dosage. The results indicate that compounds BZ-A and BZ-E show potential for antifungal efficacy at higher doses. The findings suggest that further optimization of dosing and compound formulation may be required to enhance the antifungal activity of these benzothiazole derivatives and explore their potential as alternative treatments.

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