

Advances in the synthetic methods and biological activities of benzoxazole derivatives: A review

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ABSTRACT Benzoxazole represents one of the most extensively explored heterocyclic scaffolds in drug discovery. Both natural and synthetic benzoxazole derivatives exhibit a broad spectrum of biological activities, with several already established as therapeutic agents and others advancing through clinical trials. This review systematically summarizes the synthetic methodologies and biological potentials of benzoxazole derivatives, emphasizing developments after 2020. Before 2020, classical synthetic strategies primarily relied on the condensation of 2-aminophenols with carboxylic acid derivatives, aldehydes, or nitriles, often enhanced by catalytic and green chemistry approaches to improve yield and efficiency. In contrast, the 2020–2025 period has witnessed substantial progress in eco-friendly and metal-catalyzed techniques, including microwave-assisted, solvent-free, and nanocatalyst-mediated syntheses, offering sustainable and high-yielding alternatives. Biological evaluations demonstrate that benzoxazole derivatives possess diverse pharmacological properties, such as antimicrobial, anticancer, anti-inflammatory, anticonvulsant, and enzyme-inhibitory activities. Moreover, emerging benzoxazole-based hybrids exhibit notable multitarget potential against resistant microbial strains and various cancer cell lines.

KEYWORDS Benzoxazole, Chemistry, Synthesis, Biological activities.

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