

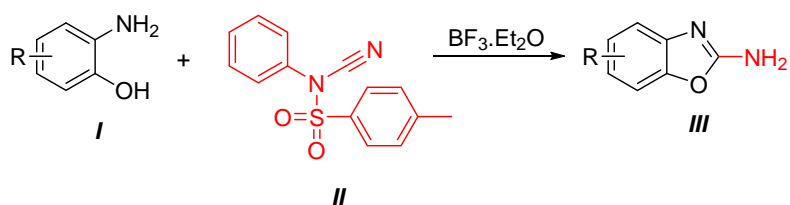
NOVEL APPROACHES TO 2-AMINO BENZOXAZOLES

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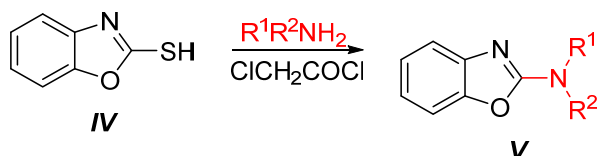
2-Aminobenzoxazoles constitute an extremely important family of compounds [1]. Many of them exhibit interesting biological activities useful for drug development, fundamental biology and material science [2].

Our synthetic strategy to 2-aminobenzoxazoles involves a reaction between various *o*-aminophenols *I* and NCTS *II* as a beneficial nonhazardous electrophilic cyanating agent (Scheme 1). The additional strong points are operational simplicity and wide substrate scope.



Scheme 1. Synthesis of 2-aminobenzoxazoles

The Smiles rearrangement enabled synthesis of *N*-substituted derivatives (Scheme 2). Benzoxazole-2-thiol *IV* as an economic starting material reacts with different amines using chloroacetyl chloride as an activating agent. Wide substrate scope and short reaction times stand for the major benefits of our methodology.



Scheme 2. Synthesis of *N*-substituted 2-aminobenzoxazoles

To summarize, two novel synthetic approaches to various 2-aminobenzoxazoles, important building blocks in chemistry, have been developed.

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[1] Grytsai O., Druzhenko T., Demange L., Ronco C., Benhida R.: *Tetrahedron Lett.* 59, 17 (2018).

[2] Rattanangkool E., Sukwattanasinitt M., Wacharasindhu S.: *J. Org. Chem.* 82, 24 (2017).