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 ortho-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.

\[
\begin{align*}
    &\text{NH}_2 \\
    &\text{OH} \\
    &\text{BF}_3\cdot\text{Et}_2\text{O}
\end{align*}
\]

Scheme 1. Synthesis of 2-aminobenzoxazoles

The Smiles rearrangement enabled synthesis of $N$-substituted derivatives (Scheme 2). Benzoazole-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.

\[
\begin{align*}
    &\text{R}_1\text{R}_2\text{NH}_2 \\
    &\text{CH}_2\text{COCl}
\end{align*}
\]

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.