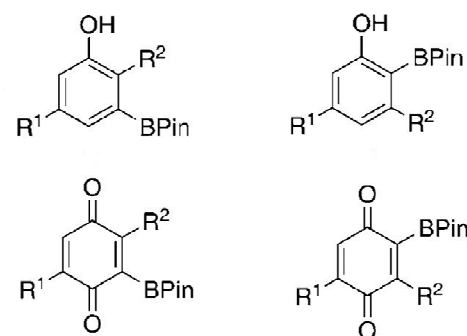


30024 – Synthesis of Novel Aromatic Boronic Esters

The Technology

Researchers in the Sheffield Synthesis Solutions (S3) group at the University of Sheffield have developed a new synthesis route for aromatic boronic esters. The resulting molecular structures (Figure 1a) represent a novel and valuable addition to a recognised class of important synthetic intermediates. Highly functionalised aromatic rings can be synthesised in an ambient temperature, one-pot process, with improved regioselectivity over alternative methodologies. The boronic ester functionality (BPin) provides a straightforward method to then incorporate the ring structure into a more complex molecule. The method has been shown to include synthesis of substituted quinones (Figure 1b)



As well as their role as synthetic intermediates, boronic acids and their esters have demonstrated bioactivity in their own right. For example, Bortezomib (Velcade®) is a therapeutic proteasome inhibitor that has received FDA approval for treating multiple myeloma. At Sheffield, the synthesis route has therefore been used to access new chemical space for drug discovery programmes; several groups of molecules are currently being investigated for bioactivity in conjunction with colleagues in Molecular Biology and the Medical School.

Research is currently continuing to expand the synthesis into further novel areas of chemical space, and scale-up the process from milligram/gram scale to 50-100 gram quantities.

Intellectual Property

A UK patent application has been filed encompassing both the synthesis route and the resulting novel molecular structures.

The Opportunity

The synthesis methodology offers a route to extend the known catalogue of boronic esters to encompass much more complex structures, potentially over 100 molecules. We are seeking partners in the specialist and fine chemical sector to licence the technology for production, marketing & distribution.

In addition we are also seeking end-users to collaborate on screening the novel molecules for bioactivity and other properties, especially in the pharmaceutical, agrochemical and organic electronics industries.

For commercial enquiries on this technology, please contact Andrew Tingey, quoting reference 26037.

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