

C,C Coupling

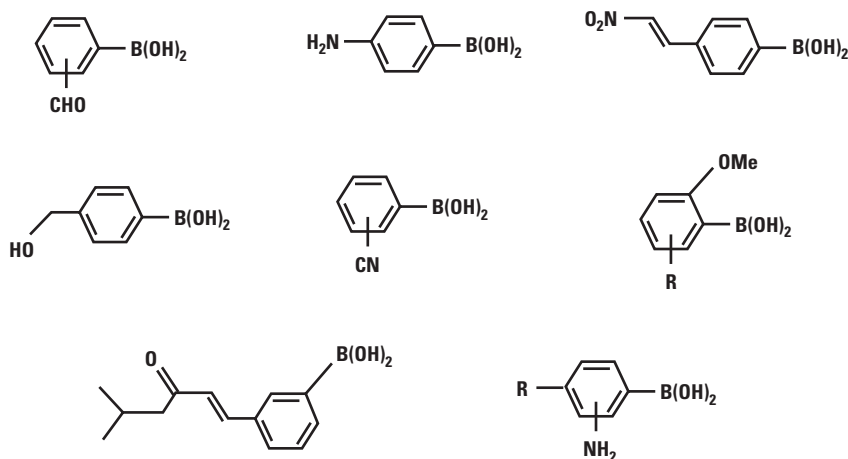
Aromatic, Aliphatic and Vinyl Boronic Acids R-B(OH)₂

Archimica's technology portfolio provides the capability to deliver virtually any type of boronic acid at volumes from kilograms to commercial scale and this also includes boronic and borinic acids that are typically very difficult to handle and produce. This capability is made possible by a unique combination of technology platforms in halogens and organometallic chemistry. As one of the latest developments in the field of Boronic Acids, Archimica now also offers – in addition to Aromatic Boronic Acids – Alkyl and Alkenyl Boronic Acids on commercial scale.

Many of these compounds are not accessible by classical Grignard reactions and are now being made commercially available via a proprietary hydroboration method.

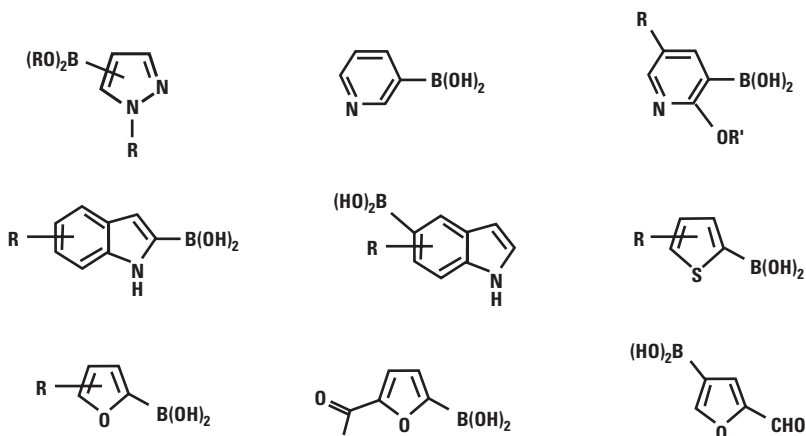
Aromatic Boronic Acids from Grignards

In using the reaction of Grignards and trimethyl borate, we have already made about 50 different boronic acids in quantities ranging from 20 kg to several tons. We are specialized in generating highly pure boronic acids.



Heterocyclic Boronic Acids

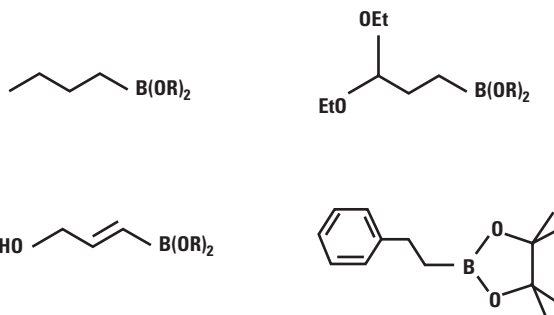
We have already produced several, in part highly complex substituted boronic acids with heterocyclic structures to meet the growing demand especially in the pharmaceutical industry. Archimica has developed a method to substitute butyllithium which is routinely used for metalation during the synthesis of these compounds, enabling us to achieve a major advantage in terms of selectivity and cost efficiency by providing tailor-made reagents. This technology is broadly applicable to electron-rich and electron-deficient heterocycles as well as carbocycles. With butyllithium or Grignard processes, often brominated precursors have to be used because of the lower reactivity of the more economic chlorinated analogues. Our method works as well with most chloroarenes which is a cost-efficient way especially on a large production scale.



Aliphatic and Vinylic Boronic Acids

An example of Archimica's latest progress in the field of boronic acids is the development of a new method for the synthesis of alkyl and vinyl boronic acids using an in situ hydroboration. This method solves a problem which so far has limited the availability of alkyl and vinyl boronic acids – the very poor yields in the “classical approach” when reacting a respective Grignard with boron compounds (typical ranges are 10-30%). The new procedure starts with readily available and inexpensive starting materials (sodium borohydride, dimethyl sulfate, tetramethylbutadiene) and in a “one-pot” process results in high yields (up to 86%) of the desired alkyl and vinyl boronic acids. Additionally, the materials produced offer heretofore unknown levels of purity, due to high regioselectivities of the method even in examples where the formation of isomers is possible.

Archimica has further developed a general coupling technology for the application of such aliphatic and vinylic boronic acid in Suzuki couplings.



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