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Accessing 2-(Hetero)arylmethyl-, -allyl-, and -propargyl-2,1-borazaronaphthalenes: Palladium-Catalyzed Cross-Couplings of 2-(Chloromethyl)-2,1-borazaronaphthalenes


**Accessing 2-(Hetero)arylmethyl-, -allyl-, and -propargyl-2,1-borazaronaphthalenes**

**Significance:** The authors expanded the electrophilic nature of 2-(chloromethyl)-2,1-borazaronaphthalene. In addition to substitution reactions, now also several metal-catalyzed reactions were performed. Potassium (hetero)aryl and alkenyl trifluoroborates as well as terminal alkynes were successfully used as nucleophiles.

**Comment:** Impressively, a wide variety of substituted azaborines were prepared starting from one common azaborinyl building block. This new methodology gives access to a whole library of pseudobenzylic-substituted azaborines.

**Selected examples:**

1. **B**<sub>H</sub>**N**<sub>Cl</sub>(Het)ArBF<sub>3</sub>K<sub>+</sub>
   - Pd<sub>2</sub>dba<sub>3</sub> (1.25 mol%)
   - RuPhos (2.5 mol%)
   - Cs<sub>2</sub>CO<sub>3</sub> (2.0 equiv)
   - PhMe–H<sub>2</sub>O
   - 80 °C, 18 h
   - 80% yield

2. **B**<sub>H</sub>**N**<sub>CO<sub>2</sub></sub>M<sub>e</sub>
   - 58% yield

3. **B**<sub>H</sub>**N**<sub>67% yield</sub>

4. **O**<sub>83% yield</sub>

5. **N**<sub>79% yield</sub>

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