Regioselective Aromatic C–H Borylation

![Chemical structure of the borylation reaction](image)

DG = C(O)N(n-Hex)$_2$, C(O)NMe$_2$, CO$_2$Et, P(O)(OEt)$_2$, P(O)(NEt)$_2$, P(O)Cy$_2$

R = H, Me, OMe, F, Cl, Br, CF$_3$, OCF$_3$, CO$_2$Me, CN, Ph

Selected examples:

- **DG** = C(O)N(n-Hex)$_2$, **pinB**
  - >99% yield (>30 meta/para)

- **DG** = C(O)NMe$_2$, **pinB**
  - 86% yield (6.4 4-/5-position)

- **DG** = C(OMe)$_2$, **pinB**
  - >99% yield (9.1 meta/para)

- **DG** = C(OMe)$_2$, **pinB**
  - 92% yield (20 meta/para)

- **DG** = C(OMe)$_2$, **pinB**
  - >99% yield (20 meta/para)

Significance: Kanai, Kuninobu, and co-workers report a meta-selective C(sp$^2$)–H borylation directed by a hydrogen-bonding secondary interaction between the substrate and the ligand. The reaction shows wide substrate scope and high functional group tolerance. Moreover, the employed ligand L is easily accessible.

Comment: The secondary interaction between the urea-derived ligand L and a hydrogen-bond acceptor in the substrate places the iridium catalyst in close proximity to the meta C–H bond and thus controls the regioselectivity in this protocol.