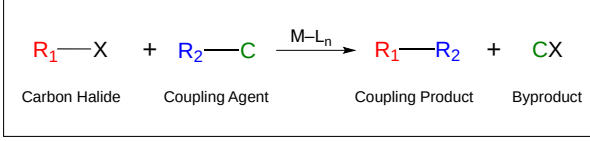
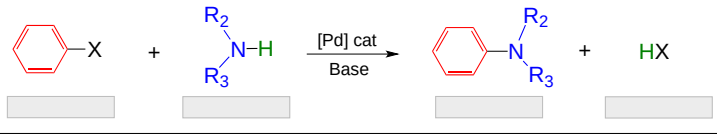
CONCEPT: BUCHWALD-HARTWIG AMINATION

- The Buchwald-Hartwig Amination reaction involves the coupling between an aryl halide and an amine with a Pd catalyst.
  - □ The reaction creates a bond between C—N in the formation of an _____.

Cross-Coupling Reaction

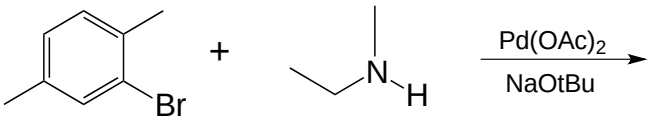


Buchwald-Hartwig Amination



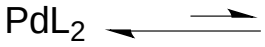
  - □ The **R**$_1$ group of the carbon halide is represented by a(n) _____ group.
  - □ The **R**$_2$ group of the amine are represented by a(n) H, _____ or _____ group.
  - □ The **R**$_3$ group of the amine are represented by a(n) H, _____ or _____ group.
  - □ The **C** group = _____.
  - □ The **X** group of the carbon halide is represented by a Cl, Br, I or OTf group.
  - □ The Base group = _____

EXAMPLE: Determine the product from the following Buchwald-Hartwig Amination Reaction.

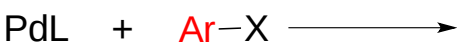


Coupling Mechanism

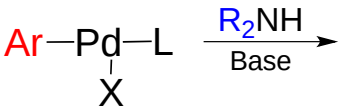
0) **Partial Dissociation:** The coupling mechanism begins with the partial dissociation of the palladium catalyst.



1) **Oxidative Addition**: Involves the addition of the aryl halide to the transition metal complex.



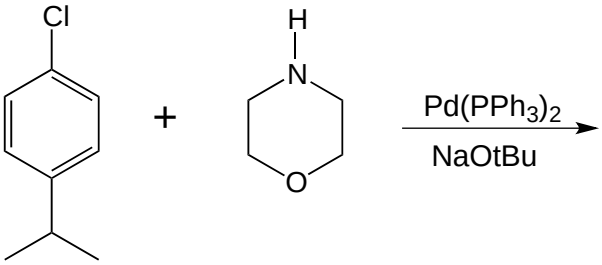
2) **Ligand Substitution:** The transmetallation step is replaced by this step with the amine compound.



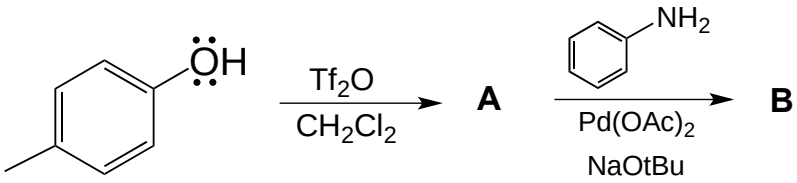
3) **Reductive Elimination**: This step forms the coupling product.

CONCEPT: BUCHWALD-HARTWIG AMINATION

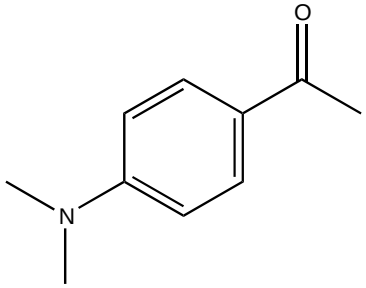
PRACTICE: Determine the product from the following Buchwald-Hartwig Amination Reaction.



PRACTICE: Determine compounds **A** and **B** from the following reaction sequence.



PRACTICE: Outline the synthetic pathway for the creation of p-dimethylaminoacetophenone from bromobenzene.



p-dipropylaminoacetophenone