Amination of Aryl Alcohol Derivatives

Tech ID: 22255 / UC Case 2011-248-0

SUMMARY

Recently, researchers in the laboratory of Dr. Neil Garg, of the Department of Chemistry & Biochemistry at UCLA, have completed the first amination reactions of aryl O-sulfamates. In addition, the researchers have discovered that aryl carbamates are also excellent substrates for Ni-catalyzed amination reactions. Though relatively unexplored, these electrophilic cross-coupling partners possess a number of benefits compared to more conventional phenol based electrophiles. They are easy to prepare, exhibit pronounced stability to a variety of reaction conditions, show low reactivity toward Pd(0), and are able to direct ortho metalation. In combination, these characteristics make aryl O-sulfamates and aryl O-carbamates ideal partners for the multistep synthesis of polysubstituted aromatics. Applying this novel amination methodology, UCLA researchers were able to derive a concise synthesis of the antibacterial drug linezolid.

BACKGROUND

Transition metal-catalyzed amination reactions are amongst the most powerful methods available for accessing the carbon nitrogen based motifs ubiquitously found in medicinal agents and natural products. Recently, efforts have focused on the amination of classically “inert” simple phenolic derivatives to synthesize these structures more readily. Towards this goal, a number of groups have searched for alternative phenol based amination partners, which can be used to direct the assembly of functional groups onto an aromatic ring. Resulting polysubstituted aryl amine motifs are commonly encountered in drug scaffolds, naturally occurring small molecules, pesticides, ligands for catalysis, and materials chemistry. Given the ubiquity of carbon-nitrogen bonds in medicinal agents, more efficient and cost-effective cross-coupling reactions will provide significant economic advantages to therapeutic development and manufacturing.

RELATED MATERIALS

- Nickel-catalyzed cross-couplings involving carbon-oxygen bonds. *Chem Rev.* (2011)
- Nickel-catalyzed amination of aryl sulfamates and carbamates using an air-stable precatalyst. *Org Lett.* (2012)
- Nickel-catalyzed amination of aryl sulfamates. *Angew Chem Int Ed Engl.* (2011)
- Nickel-catalyzed amination of aryl carbamates and sequential site-selective cross-couplings. *Chem. Sci.* (2011)

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	9,567,307	02/14/2017	2011-248

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

- Synthesis Of Heteroatom Containing Polycyclic Aromatic Hydrocarbons
- Cross Coupling of Phenolic Derivatives

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OTHER INFORMATION

CATEGORIZED AS

- **Medical**
  - Therapeutics

RELATED CASES

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