USF Available Technologies

Technology Transfer Office

Synthesis of Alkyl Carbamates

Researchers at the University of South Florida have developed an efficient method for formation of carbamates from amines, carbon dioxide, and an organic electrophile, in the presence of a cesium base and, optionally, tetrabutylammonium iodide.

Carbamates are widely used in industry and research, for example as fungicides, pharmaceuticals, cosmetics, and antibacterial preparations; as intermediates and cleavable protective groups in organic synthesis; and as peptidomimetic compounds. They are prepared by a variety of methods, e.g., by reaction of amines with alkyl chloroformates; by reaction of alcohols with carbamoyl chlorides or isocyanates and in some cases by the use of the highly toxic phosgene reagent. These methods suffer from certain limitations such as harsh reaction conditions, including elevated temperatures to achieve acceptable yields of carbamate products. The use of harsh conditions complicates synthesis of compounds containing labile functional groups thus lowering yields and increasing costs. Harsh reaction conditions can also promote inversion of chiral centers causing undesirable racemization of products.

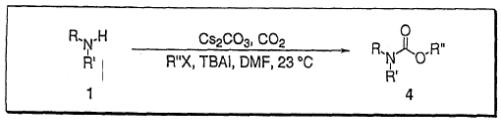
Also, the reagents required are often toxic and may be unstable. Carbon monoxide and certain metal catalysts can be used to synthesize carbamates from several starting compounds. Not only are these metals expensive, but frequently a redox-active co-catalyst such as ferrous chloride which complicates purification and is corrosive. For each of these reasons, there exists a need for an improved method that efficiently converts amines to carbamates in substantial yield with less toxicity and more stable than currently used.

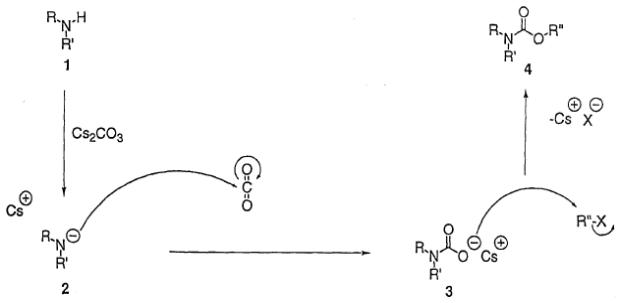
USF inventors present a process characterized by a three-component coupling of an amine, carbon dioxide, and an organic electrophile at mild temperatures in the presence of a cesium base. This invention eliminates harsh reaction conditions, such as, but not limited to, reaction at high temperatures, or the presence of corrosive transition metal compounds.

ADVANTAGES:

- **Improved and purer carbonate yields**
- **Eliminates the use of toxic, harsh and expensive reagents**
- **Improved methodology for synthesizing carbonates**

Improved Conversion of Amines to Carbamates





Proposed Mechanism for Cesium-Promoted Carbamate Synthesis in the Presence of an Amine RR'NH, an Organic Electrophile R'X and CO2

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