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Amine-boranes as bifunctional reagents for direct amidation of carboxylic acids

A refined, dual-purpose chemical process utilizes amine-boranes to efficiently synthesize high-priority pharmaceutical and medicinal amide compounds while reducing waste and avoiding hazardous reagents.

Researchers at Purdue University have developed a new process to prepare carboxamides from carboxylic acids using amine-boranes in which the utilization of amine-boranes for amidation serves the dual purpose of activating carboxylic acids and subsequently delivering the coordinated amine as the nucleophile. Amide bonds are one of the most frequently utilized disconnections in organic synthesis. However, methods to form this vital functionality are commonly superstoichiometric, leading to considerable waste in the process. This aspect of amides has landed the direct amidation reaction among the top of the list of high priority reactions identified by the American Chemical Society Green Chemistry Institute Pharmaceutical Roundtable. Typically, carboxylic acids are activated by treating with boronic or boric acid, followed by reaction with amines. In contrast, the Purdue solution uses amine-boranes which can accomplish both of these tasks. This improved synthesis protocol can be used to prepare pharmaceuticals and intermediates and allows the synthesis of novel amides that may have medicinal value.

Advantages:

-Eliminates the use of hazardous and unstable reagents, which often lead to low functional group tolerance

-Allows the incorporation of gaseous and low-boiling amines into the amide products

Potential Applications:

-Organic Chemistry

-Materials Chemistry

-Pharmaceuticals and Medicinal Chemistry

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Category
Chemicals & Advanced Materials/Specialty & Performance Chemicals
Chemicals & Advanced Materials/Green & Bio-Based Chemistry
Pharmaceuticals/Drug Discovery & Development

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