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Microwave Assisted Catalytic Amidation of Organic Amines with Carboxylic Acids

Novel, biocompatible polymer excipients enhance the stability and extend the shelf-life of therapeutic protein biologics by effectively suppressing aggregation and denaturation.

Researchers at Purdue University have developed a novel catalytic amidation method that harnesses microwave heating to produce organic amines.

Amide bond formation is considered one of the most salient transformations in the pharmaceutical industry as amines constitute 25% of all drug molecules. The most popular method for producing amides is stoichiometric amidation. However, this formation method often results in poor atom economy and demands can be compounded when condensing aryl amines with aryl acids due to their inherently low reactivity. Moreover, this conventional technique generates large amounts of byproduct waste.

The amine bond formation method developed by Purdue University researchers leverages a catalyst, green additive, and microwave heating to holistically improve reaction efficiency and decrease energy costs. Instead of standard amine bond formation methods that are time-consuming, stoichiometric, and dangerous, this unprecedented strategy uses a more productive, on-demand source of heating, which helps reduce the overall environmental impact of producing amines.

Technology Validation:

The researchers evaluated ten catalysts and four solvents through a round of design of experiments using high throughput experimentation (DoE-HTE) to determine which would be the best catalyst for catalytic amidation. From this information, a method capable of producing good to excellent yields for a wide variety of aryl amine and aryl carboxylic acid substrates was identified, thus demonstrating the utility of combining catalysis and microwave heating for producing amide bond constructions.

Advantages:

Technology ID
2024-THOM-70677

Category
Chemicals & Advanced
Materials/Green & Bio-Based
Chemistry
Pharmaceuticals/Pharmaceutical
Manufacturing & Methods
Pharmaceuticals/Research Tools
& Assays

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- Reduces hazardous waste generated by traditional amine bond formation methods
- Improves product yield and quality
- Uses inexpensive precursors and decreases energy costs

Applications:

- Pharmaceutical industry
- Medicinal chemistry

TRL: 3

Intellectual Property:

Provisional-Gov. Funding, 2024-04-22, United States | Utility-Gov. Funding, 2025-04-21, United States

Keywords: catalytic amidation, microwave heating, organic amines, amide bond formation, pharmaceutical industry, green additive, reaction efficiency, decreased energy costs, high throughput experimentation, medicinal chemistry