

The Release of Trifluoroacetate and Applications to Synthesis

A novel trifluoroacetate release strategy enables the mild, efficient, and simple preparation of pharmaceutically important fluorinated compounds, including building blocks for drug discovery.

The incorporation of fluorine into fine chemicals has had a significant impact in the chemical, agrochemical, and pharmaceutical industries given nearly 20 percent of pharmaceuticals contain fluorine and significantly more agrochemicals contain fluorination. Fluoroform is a gas that is used in industrial processes, but it is a potent "greenhouse" gas. Because of this, the use of gaseous fluoroform must be strictly limited to prevent its unwanted escape into the atmosphere.

Researchers at Purdue University have developed a new synthetic reagent and method for the mild and efficient preparation of fluorinated compounds. This simple and straightforward method can be accomplished by a novice chemist, at room temperature, in open air, and without the need for complicated inert atmosphere techniques. Among other intermediates, Purdue researchers validated this method through its use in the aldol and imino-aldol processes, the facile production of difluoroenolates, and the formation of alpha, alpha-difluorinated beta amino acids. Difluorinated molecules, such as beta amino acids, are important research tools and building blocks for drug discovery.

Advantages:

- Novel trifluoroacetate release strategy uses mild conditions (room temperature)
- Generation of pharmaceutically important intermediates
- Compatible with large scope of substrates

Potential Applications:

- Medical/Healthcare

Technology ID

65561

Category

Pharmaceuticals/Pharmaceutical
Manufacturing & Methods
Pharmaceuticals/Research Tools
& Assays

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-Pharmaceuticals

-Chemical Analysis

-Drug Development

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Intellectual Property:

Provisional-Patent, 2010-03-22, United States | Provisional-Patent, 2011-03-22, United States | Provisional-Patent, 2011-03-25, United States | PCT-Patent, 2012-03-22, WO | NATL-Patent, 2013-10-16, United States

Keywords: fluorinated compounds, synthetic reagent, aldol processes, imino-aldol, difluoroenolates, difluorinated molecules, beta amino acids, drug discovery, chemical synthesis, mild conditions