Materials & Chemicals

Easier, More Flexible Synthesis of Therapeutic and Promising Compounds

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The Wisconsin Alumni Research Foundation (WARF) is seeking commercial partners interested in developing methods for preparing asymmetric, heteroatom-bearing stereotriads and tetrads via the oxidation of allene molecules.

Overview

Many pharmaceuticals and other biologically active molecules contain chemical sequences called triads that are challenging to prepare in the laboratory. Specifically, triads are three or more contiguous heteroatom-bearing carbons. These sequences are featured in useful compounds like the anti-influenza medicine Tamiflu, which relies on a unique Chinese evergreen and lengthy manufacturing process. The drug's reliance on natural sources has led to supply shortages in the past.

New methods clearly are needed for synthesizing these important sequences. A promising strategy is to explore allene molecules. Allenes are links of three double-bonded carbon atoms that could serve as building blocks for useful and promising products.

The Invention

UW–Madison researchers have developed efficient processes to prepare asymmetric, heteroatom-bearing stereotriads and tetrads via allene oxidation. The number and type of heteroatoms stereoselectively introduced into the hydrocarbon chain or ring is flexible, and the methods allow for the transfer of chirality to three new carbon-heteroatom bonds. The new triads and tetrads may be incorporated into biologically active molecules, including modified aminoglycoside and neuraminidase inhibitors.

Any reaction products can be further oxidized, reduced or hydrolyzed to form other compounds and intermediates, notably synthetic motifs containing three contiguous carbon-heteroatom bonds. Bicyclic methylene aziridines can be formed and altered to provide therapeutically-promising N,N-aminals in a one pot reaction.

Applications

- New compounds and synthetic methods
- Production of therapeutics

Key Benefits

- Easy preparation from readily accessible allenes
- Ability to introduce a wide variety of functionality
- Mild reaction conditions
- Subsequent reactivity can be promoted.
- Inherent ring strain in the aziridine promotes facile ring opening and high degree of possible stereocontrol.

Additional Information

For More Information About the Inventors

- Jennifer Schomaker

Related Intellectual Property

- View Continuation Patent in PDF format.

Publications

- Boralsky L.A., Marston D., Grigg R.D., Hershberger J.C. and Schomaker J.M. 2011. Allene Functionalization via Bicyclic Methylene Aziridines. Org. Lett. 13, 1924.

Tech Fields

- Drug Discovery & Development : Drug production & design
- Materials & Chemicals : Synthesis

For current licensing status, please contact Jennifer Gottwald at jennifer@warf.org or 608-960-9854