Synthesis of Immunopotent Alpha Glycolipids via Glycosyl Iodides

Tech ID: 11301 / UC Case 2007-551-0

ABSTRACT

One-pot Synthesis for alpha glycolipids and their analogs using glycosyl iodide donors.

FULL DESCRIPTION

Alpha glycolipids have shown potent anti-tumor and anti-viral activities as well as potential for the treatment of certain autoimmune disorders via Natural Killer T (NKT) cell activation. Already in Phase I clinical trials for a variety of disease treatments that include cancer and diabetes, the structure of the glycolipid dictates the type as well as the extent of immunological activity.

Beta-linked glycolipids play important roles in various biological processes via lipid rafts (highly ordered structural domains serve as anchoring platforms for extracellular proteins). While some beta-linked glycolipids are commercially available, they are typically obtained from bovine brains and are sold as mixtures with respect to the lipid component making definitive and reproducible results sometimes problematic.

Current synthetic protocols afford either alpha/beta product mixtures, lack efficiency, or are limited by the reactivity of the reaction components. A readily accessible library of these alpha glycolipids is desirable for drug discovery and development while the stereoselective synthesis of pure beta-linked glycolipids is of great importance in understanding these and other biological processes.

Researchers at the University of California, Davis have developed novel glycosyl iodide chemistry for the fast, efficient, and stereoselective syntheses of alpha and beta linked glycolipids. This technology utilizes glycosyl iodides as donors and involves subsequent reaction with fully functionalized lipid acceptors in a one-pot endeavor.

APPLICATIONS

- Synthesis of libraries of alpha or beta-linked glycolipids
  - Alpha glycolipids - study of NKT activation, the immune response pathway, and clinical application for disease treatment
  - Beta glycolipids - study of cell-cell interactions as well as disease infection and progression.

FEATURES/BENEFITS

- Highly stereoselective and efficient
- Yields over 90%
- Exclusive formation of alpha glycolipids
- Beta glycolipids can also be synthesized in a stereoselective manner
- Does not require neighboring group participation, eliminates the numerous steps required for the installation and subsequent removal of protecting groups
- Mild reaction conditions which tolerate sensitive functionalities such as amides, esters and olefins

RELATED MATERIALS


**PATENT STATUS**

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<td>8,624,006</td>
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**RELATED TECHNOLOGIES**

- High-Throughput Screening of Neuraminidase Inhibitors
- Method of Preparing Multivalent Single Chain Antibodies (scFv)

**ADDITIONAL TECHNOLOGIES BY THESE INVENTORS**

- Novel method to Efficiently Synthesize complex Carbohydrates
- Method of Preparing Multivalent Single Chain Antibodies (scFv)
- High-Throughput Screening of Neuraminidase Inhibitors
- Camellia Sinesis Rapid Growth Platform