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One-Pot Multienzyme Synthesis of Sialidase Reagents, Probes and Inhibitors

Tech ID: 32431 / UC Case 2017-767-0

ABSTRACT

Researchers at the University of California, Davis, have developed an environmentally friendly one-pot multienzyme (OPME) method for synthesizing sialidase reagents, probes, and inhibitors.

FULL DESCRIPTION

Microbial sialidases (enzymes that catalyze the removal of terminal sialic acid residues) are important anti-viral and anti-bacterial drug targets. Specifically, designing and synthesizing sialidase inhibitors such as 2,3-dehydro-2-deoxy-sialic acids (Sia2ens) and their derivatives have been actively pursued. In addition, 2,7-anhydro-sialic acids and their derivatives can be novel compounds to modulate gut microbiota. However, current methods to synthesize such compounds require the use of toxic chemicals and solvents for multiple protection and deprotection steps. Thus, new methods for preparing Sia2en-based sialidase inhibitors and 2,7-anhydro-sialic acids are needed.

Researchers at the University of California, Davis have developed an enzymatic method for synthesizing Sia2ens and 2,7-anhydro-sialic acids which are sialidase inhibitors, reagents and probes. The OPME method removes the need for multiple protection and deprotection steps. This method has been used to create a library of Sia2ens and 2,7-anhydro-sialic acids from the six-carbon precursors of sialic acids. A streamlined purification process has also been developed. The synthesis and the purification use only methanol and water as solvents. This technology provides efficient enzymatic methods to access useful sialidase inhibitors, potential gut microbiota modulators, and reagents and probes in an environmentally friendly manner.

APPLICATIONS

- ▶ Selective sialidase inhibitors
- ▶ Reagents and probes
- ▶ Anti-viral and anti-bacterial drugs
- ▶ Prebiotics

FEATURES/BENEFITS

- ▶ Direct synthesis of inhibitors from sialic acid derivatives and their six-carbon precursors
- ▶ Compounds can be further derived at various positions and can be readily converted stereo-specifically
- ▶ Yields a fast and efficient library of sialidase inhibitors
- ▶ Does not require multiple protection methods
- ▶ Environmentally friendly synthesis and purification processes

PATENT STATUS

Country	Type	Number	Dated	Case
United States Of America	Issued Patent	11,325,936	05/10/2022	2017-767

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OTHER INFORMATION

KEYWORDS

one-pot multienzyme,
sialidase, sialidase
inhibitors, anti-viral drugs,
anti-bacterial drugs,
influenza virus,
environmentally friendly,
sialoside, OPME, Sia2ens,
2,7-anhydro-sialic acids,
gut microbiota modulators

CATEGORIZED AS

- ▶ **Biotechnology**
 - ▶ Other
- ▶ **Materials & Chemicals**
 - ▶ Biological
 - ▶ Chemicals
- ▶ **Medical**
 - ▶ New Chemical Entities, Drug Leads
 - ▶ Research Tools
 - ▶ Therapeutics
- ▶ **Research Tools**

- ▶ Expression
- System
- ▶ Other
- ▶ Protein Synthesis
- ▶ Reagents

RELATED CASES

2017-767-0

ADDITIONAL TECHNOLOGIES BY THESE INVENTORS

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- ▶ 4-N-Derivatized Sialic Acids and Related Sialosides
- ▶ Substrate And Process Engineering For Biocatalytic Synthesis And Facile Purification Of Human Milk Oligosaccharides (HMOs)
- ▶ O-Acetyl Glycosphingosines and Gangliosides, as well as Their N-Acetyl Analogs
- ▶ Stable N-acetylated analogs of Sialic Acids and Sialosides
- ▶ Alpha1-2-Fucosyltransferase for Enzymatic Synthesis of Alpha1-2-linked Fucosylated Glycans
- ▶ Engineering Pasteurella Multocida Heparosan Synthase 2 (Pmhs2) For Efficient Synthesis Of Heparosan Heparin And Heparan Sulfate Oligosaccharides
- ▶ Novel Methods For Chemical Synthesis Of Lactosyl Sphingosines, Glucosylsphingosines, Galactosylsphingosines, And 3-O-Sulfogalactosylsphingosines

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