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Isothiourea Catalyzed Stereoselective Glycosylation

Isothiourea catalysis enables efficient stereoselective synthesis of alpha-1,2 cis glycosidic linkages.

Researchers at Purdue University have developed a novel catalytic stereoselective glycosylation reaction. Oligosaccharides are found in various biologically relevant therapeutics, disease markers, and constitute the key motifs of numerous antigens and vaccine targets. Isolation of these oligosaccharides in pure, stereochemically defined isoforms is tedious and impractical for detailed interrogation into their biological roles. While chemical synthesis has advanced considerably, exerting stereocontrol in glycosylation still presents challenges, and methods to direct stereoselectivity during glycosylation are often system-dependent or require specialized functionalization to exert stereocontrol.

Purdue researchers have developed a catalytic stereoselective glycosylation method for preparing alpha-1,2 cis glycosidic linkages, which are challenging to form. This method forms glycosidic linkages with 80-99% stereoselectivity, under a generalized catalyst-controlled approach. This method was utilized to form a large scope of alpha-1,2 cis glycans with yields greater than 60%, and approaching 90%. This method can be used to synthesize various metabolites, glycosylated medicinal agents, adjuvants, and antigens for early discovery or metabolomics.

Technology Validation: Synthesis of these compounds were validated via proton and carbon nuclear magnetic resonance (NMR), infrared (IR) spectrometry, and mass spectrometry (MS).

Advantages:

-Stereoselective

-Good yield

Technology ID

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Category

Biotechnology & Life Sciences/Cell & Gene Therapy Platforms

Chemicals & Advanced Materials/Specialty & Performance Chemicals

Pharmaceuticals/Drug Discovery & Development

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Applications:

-Metabolomics

-Metabolites

-Glycosylated medical agents

TRL: Chemistry and Chemical Analysis

Intellectual Property:

Provisional-Patent, 2023-09-01, United States

Utility Patent, 2024-08-02, United States

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