

STEREOSPECIFIC α -SIALYLATION BY SITE-SELECTIVE FLUORINATION

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Sialic Acids are ubiquitous on the cell surfaces in the body and are mainly found at the non-reducing end of complex, bioactive glycans. Sialic acid units are α -linked to the glycan core and, as a result, the development of methods for selective α -sialylation are urgently required for glycomics. It was envisaged that installing a fluorine substituent at the C3-position of sialic acids would help to overcome this long-standing synthetic challenge. Fluorine incorporation enhances the anomeric effect, favoring formation of β -sialyl donors whilst increasing the electrophilicity at the C2 position, enabling stereospecific sialylation via an S_N2 -like reaction to provide α -sialosides. Further to regulating stereoselectivity, C3 fluorination provides a valuable ^{19}F NMR probe and enhances hydrolytic stability.

Herein, we will report α -sialylation by site-selective fluorination and discuss the role of the C-F bond in facilitating stereochemical induction [1].

