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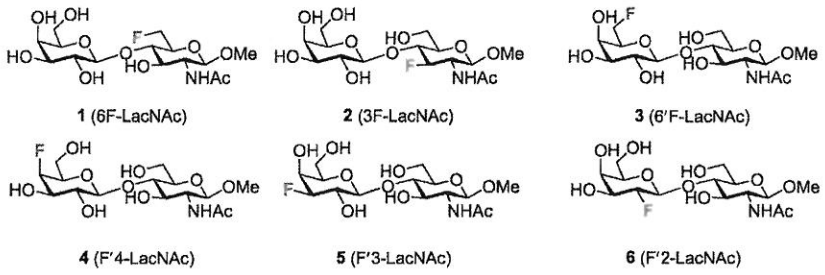
Galectins are small carbohydrate-binding proteins playing crucial roles in a plethora of physiological processes. Their ability to modulate immune response and participate in neoplastic transformations established them as valid targets in pharmaceutical research. Galectins influence physiological processes via specific molecular recognition of β-galactoside containing glycans on the surface of mammalian cells.[1] Therefore, selective galectin inhibitors, capable of blocking the function of individual galectins, offer a new possibility of therapeutic intervention in tumours or inflammation-related diseases. However, the development of novel selective inhibitors targeting individual galectins remains challenging as 12 galectins featuring similar substrate specificities have been identified in humans. A deeper understanding of subtle differences between individual human galectins could provide guidelines for such development, and deoxyfluorinated carbohydrates are suitable tools capable of providing such information.[2]

In this work, I prepared a complete series of mono-deoxyfluorinated *N*-acetyllactosamine analogues **1-6**. The synthesis of each analogue required approximately 15 synthetic steps, including deoxyfluorination of monosaccharide precursors, chemical glycosylation and deprotection. Their binding affinities to the two most explored human galectin-1 and -3 were determined by ELISA and ^{19}F NMR *T*2-filter techniques, which enabled the identification of hydroxyl groups, crucial in the non-covalent recognition by galectins. This binding study also permitted to compare both tested galectins in terms of their substrate specificity, revealing subtle differences, which could be utilized in the development of selective galectin inhibitors. Furthermore, the series is also a perfect tool to study the molecular origin of recognition events via epitope-mapping ^{19}F NMR techniques.[2]



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References

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