Tetraisopropyldisiloxane-1,3-diyl as a versatile protecting group for pentopyranosides

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Antibiotic resistance is recognized as one of the greatest threats to human health and the need for new antibiotics in undisputed. The last decade has seen the inexorable increase of antibiotic-resistant bacteria and new therapies are urgently needed.

In my research towards carbohydrate-based antibiotics, I have developed a new protective group methodology for pentopyranosides. Selective protection of pentopyranosides is complicated since all three hydroxyls are secondary, and more complicated for xylose where all hydroxyls also are equatorial. Several methods have been evaluated for regioselective protection, for example stoichiometric benzylation, benzylisation and tosylation as well as different forms of acetals. However, most of these methods give low selectivity; include toxic reagents or troublesome purifications. Tetraisopropyldisiloxane-1,3-diyl (TiPDS) is a cyclic protecting group that was introduced by Markiewicz in 1979 for simultaneous protection of HO-3’ and HO-5’ of ribonucleosides. van Boom and co-workers introduced the TiPDS protection to hexopyranosides, showing that it simultaneously protected HO-4 and HO-6. I have investigated the use of TiPDS as a protecting group for pentopyranosides, and developed a new methodology for regioselective protection.