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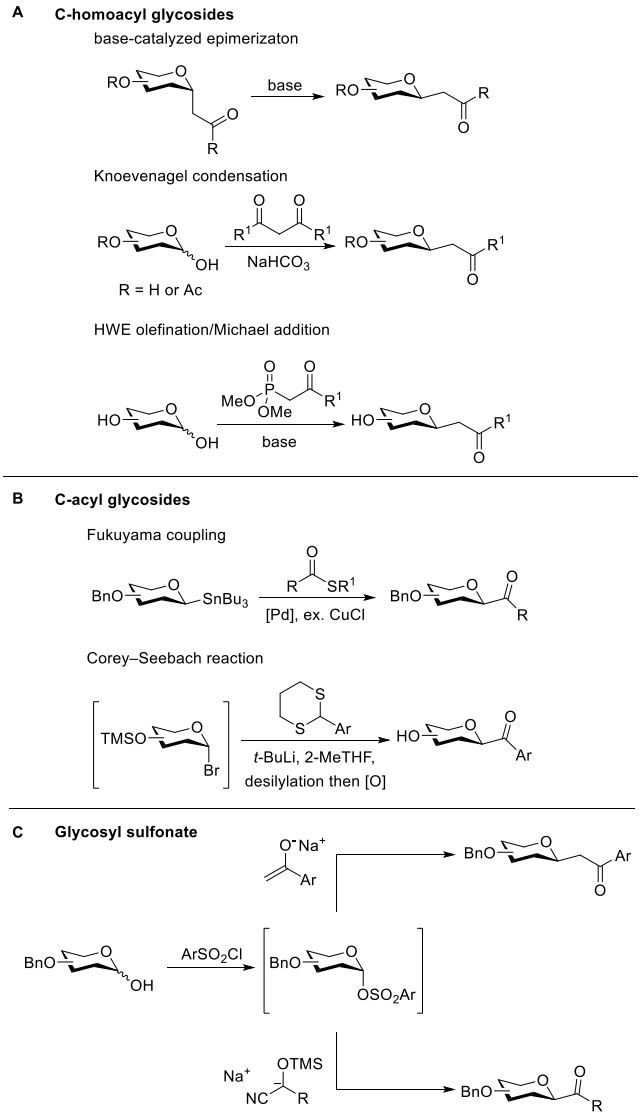
Versatile Glycosyl Sulfonates in β-Selective C-Glycosylation

Jesse Ling[a] and Clay S. Bennett*[a]

Abstract: C-Glycosides are both a common motif in many bioactive natural products and important glycoside mimetics. Here we demonstrate that activating a hemiacetal with a sulfonyl chloride, followed by treating the resultant glycosyl sulfonate with an enolate results in the stereospecific construction of β-linked C-glycosides. This reaction tolerates a range of acceptors and donors, including disaccharides. The resulting products can be readily derivatized into C-glycoside analogs of β-glycoconjugates, including C-disaccharide mimetics.

Glycoconjugates are involved in numerous vital biological functions, ranging from intercellular recognition and the immune response to modulating the bioactivity of natural products.[1] While they hold promise as new therapeutic leads and tools for diagnosis, the inherent instability of many of these species has limited their utility. Specifically, the glycosidic linkages in many of these molecules are susceptible to hydrolysis *in vivo*. One approach that has been developed to overcome this instability involves replacing the glycosidic bonds with non-hydrolyzable C–C bonds. The resulting C-glycosides can act as a robust surrogate of the native O-glycoconjugate.[2] Indeed, previous studies have shown that these C-glycosides can even produce enhanced activities compared to the parent compounds.[3]

One important class of C-glycoconjugates are β-C-alkyl glycosides, which are an important component of both natural products, and many pharmaceutical compounds.[4] We are particularly interested in C-homoacyl and C-acyl glycosides, as they can be modified into a variety of glycoconjugate surrogates.[5] C-acyl glycosides are also present in some natural products, for example in the scleropentaside family.[6] Compared to C-aryl glycosides, however, the stereoselective construction of β-C-alkyl glycosides is challenging. Lewis acid-catalyzed glycosylation reactions with carbon nucleophiles typically favour the formation of α-isomers,[4c, 7] which require additional steps to be epimerized to the β-anomers.[8] The stereochemical outcome and efficiency of C-glycoside synthesis using other methods, including Knoevenagel condensation,[3e, 4b, 9] Mitsunobu reaction,[10] and Horner–Wadsworth–Emmons olefination/Michael addition cascade[11] of unprotected/peracylated sugars, are highly dependent on substrates and reaction conditions (Scheme 1A). For instance, while the condensation of glucose with acetylacetone proceeds with excellent yield, similar reactions with aryl β-diketones are much lower yielding.[3e] Alternatively, C-acyl glycosides have been prepared by transition metal coupling,[5i, 12] and Corey–Seebach reaction[13] with excellent β-selectivity. These approaches require an excess of heavy metals, or strongly oxidizing conditions to unmask the desired products. Radical-based methods[14] or indirect synthesis through other intermediates such as glycosyl nitriles[15] and benzothiazole[16] have also been reported, but they require extra steps or suffer from low stereoselectivity. Thus, a reliable, unified approach for the direct preparation of these β-C-alkyl glycosides has yet to be achieved.



Scheme 1. Methods for the formation of β-C-homoacyl and C-acyl glycosides.

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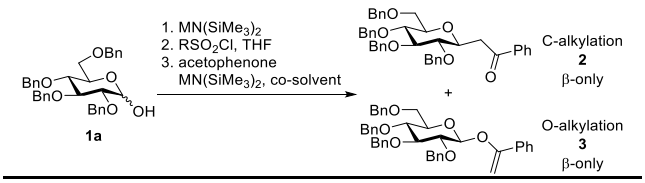
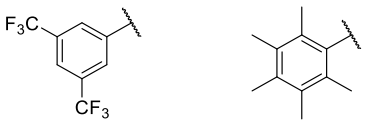
Recently, our group has demonstrated that glycosyl sulfonates, obtained in situ from hemiacetals, undergo highly β-selective glycosylation reactions, provided that the reactivity of the sulfonate and donor are matched.[17] One major advantage of this approach is that the electronics of the sulfonate are readily tunable, permitting S_N2 glycosylations with donors that span a range of reactivities.[18] We envisioned that using strong carbon nucleophiles (e.g. enolate) in this reaction would permit β-selective C-glycosylation reactions (Scheme 1C). At the outset, we were aware that the ambident nucleophilicity and substantially higher basicity of enolates could pose a challenge. Nevertheless, we started our investigation of C-glycosylation using acetophenone and perbenzylated glucose donor **1a** as the model system (Table 1). On the basis of our previous studies,[17d] we opted to use 3,5-bistrifluromethylbenzenesulfonyl chloride (R = **A**) as the promoter. We initially examined lithium and zinc enolate in order to minimize the formation of O-alkylation product, but they prove to be ineffective nucleophiles (Entries 1–3). Gratifyingly, the corresponding sodium enolate provided a moderate yield of desired product **2** along with minor O-glycoside **3** (Entry 4). Importantly, both products were obtained exclusively as β-anomers. After optimizing the temperature and solvent (Entries 5–11), we observed that reactions at -30 °C in THF/toluene afford the highest yield of **2**. We also investigated the electronic properties of the sulfonate group (Entries 12–15); however, 3,5-bistrifluromethylbenzenesulfonyl chloride proved to be the optimal promoter for **1a**. Increasing the stoichiometry of base to promote complete deprotonation for acetophenone led to a slight increase in the yield, while reducing the amount of the unwanted O-glycoside byproduct (Entry 16). These conditions were used for the remainder of our study.

Table 1. Optimization of C-glycosylation of donor **1a** and acetophenone.[a]



Entry	M[b]	Co-solvent	Temp. (°C)	R	% Yield[c] of **2** and **3**
1	Li/Li	THF	-30	**A**	0/0
2	Na/Li	THF	-30	**A**	27/15
3	Na/Zn[d]	THF	-30	**A**	0/0
4	Na/Na	THF	-30	**A**	52/11
5	Na/Na	PhMe	-30	**A**	58/13
6	Na/Na	Et_2O	-30	**A**	45/13
7	Na/Na	DME	-30	**A**	45/15
8	Na/Na	*n*-hexane	-30	**A**	58/5
9	Na/Na	PhMe	-10	**A**	48/15
10	Na/Na	PhMe	-50	**A**	47/0
11	Na/Na	PhMe	-78	**A**	9/0
12[e]	Na/Na	PhMe	-30	4-Ns	25/4
13[e]	Na/Na	PhMe	-10	4-Ns	14/4
14[f]	Na/Na	PhMe	-10	Ts	12/0
15[f]	Na/Na	THF	0	**B**	12/0
16[g]	**Na/Na**	**PhMe**	**-30**	**A**	**61/7**

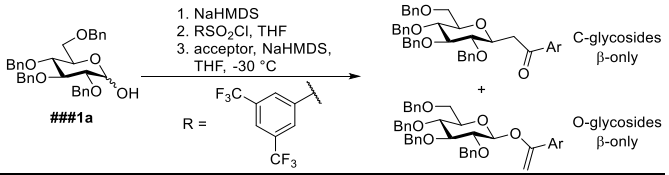
[a] Reaction conditions: **1a** (1 equiv.) and $MN(SiMe_3)_2$ (1.1 equiv.) was added to RSO_2Cl (1.1 equiv.) in THF, temp., 1 h; then acetophenone (2 equiv.) and $MN(SiMe_3)_2$ (2.1 equiv.) in co-solvent, -78 °C to temp., 1–2 h. [b] M for **1a**/M for acetophenone. [c] Isolated yields. [d] Generated from Na enolate and $ZnCl_2$. [e] mixture of α/β anomers (~1:5). [f] mixture of α/β anomers (~1:1). [g] equiv. of acetophenone/NaHMDS = 1:2.



A **B**

With the optimized conditions in hand, we proceed to study the substrate scope of acceptors with **1a (**Table 2). In general, we were able to obtain moderate to good yields of C-glycosides, all of which were formed exclusively as β-anomers. Both electron donating (Entries 2 & 3) and withdrawing substituents (Entries 4–6) on the aryl ring of the enolate are well tolerated. We were initially concerned that the steric hindrance of *ortho* substituents would negatively affect the reaction; however, this proved not to be an issue (Entry 7). Enolates of heterocyclic ketones are well tolerated in the reaction (Entries 8 & 9), with 2-acylfuran being especially interesting as it can serve as a handle for further derivatization to a C-disaccharide through an Achmatowicz reaction (vide infra).[19] O-Glycosides were observed as a minor side product in many cases, all of which were formed exclusively as β-anomers. The isolation of these latter species was not always feasible owing to spontaneous hydrolysis under ambient conditions. We also examined the possible synthesis of C-acyl glycoside using (trimethylsilyloxy)phenylacetonitrile as the nucleophile. To our delight, the C-glycosylation of **1a** proceeds smoothly to afford the desired C-acyl glycoside **18** in 56% yield (Entry 10) after desilylation under mild conditions. This success allows facile access to perbenzylated Scleropentaside A **19** in a single-step synthesis, using the corresponding furyl cyanohydrin (Entry 11).

Table 2. C-glycosylation of glucose donor **1a**[a]



Entry	Acceptor	Yield of C-glycoside[b]	Yield of O-glycoside[b]

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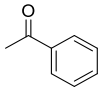
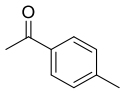
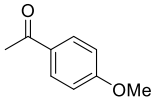
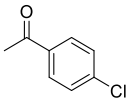
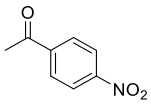
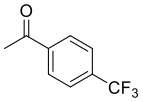
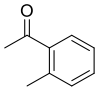
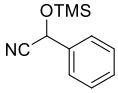
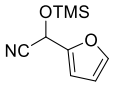
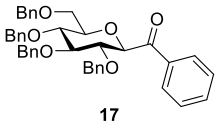
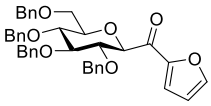
1		**2** 61%	**3** 7%
2		**4** 65%	**5** 6%
3		**6** 54%	N.D.[c]
4		**7** 62%	**8** 11%
5		**9** 52%	**10** 7%
6		**11** 62%	**12** 17%
7		**13** 53%	**14** 11%
8		**15** 60%	N.D.[c]
9		**16** 60%	0%
10[d]		**17** 56%	0%
11[d]		**18** 52%	0%

[a] Reaction conditions: **1a** (1 equiv.) and NaHMDS (1.1 equiv.) was added to RSO_2Cl (1.1 equiv.) in THF, -30 °C, 1 h; then acceptor (2 equiv.) and NaHMDS (2.1–4 equiv.) in co-solvent, -78 °C to -30 °C., 1 h. [b] Isolated yields. [c] Not determined. [d] C-acyl glycosides **17** and **18** (after desilylation):



17



18

Other glycosyl donors also proved to be competent electrophiles in the reaction (Scheme 2). C-Glycosylations using perbenzylated galactose donor **1b** proceed in moderate to good yields. Similarly, reactions with lactose donor **1c** proceeded smoothly, which demonstrates that this reaction is compatible with more complex sugar donors. As with glucose, the reaction with both donors only afforded the products exclusively as β-anomers.

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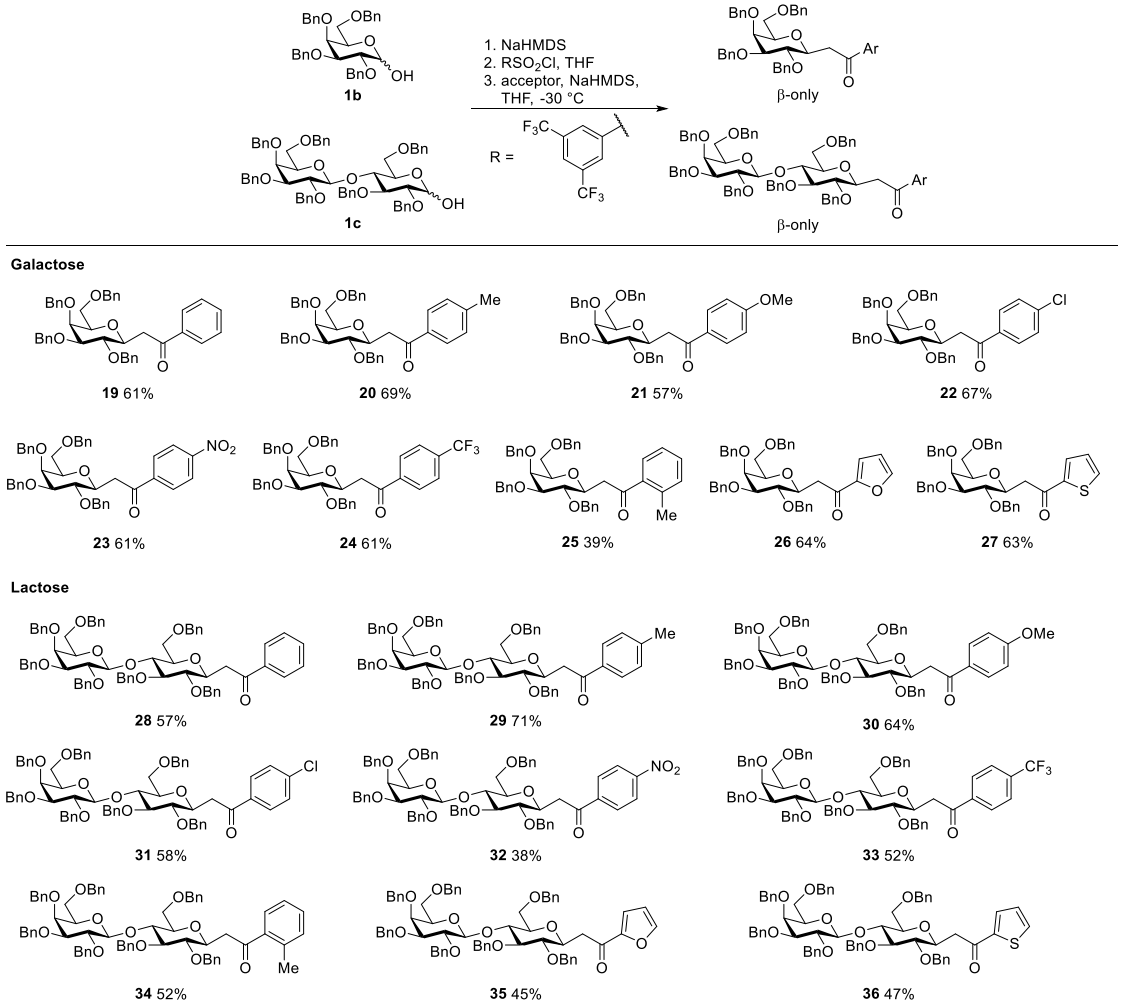
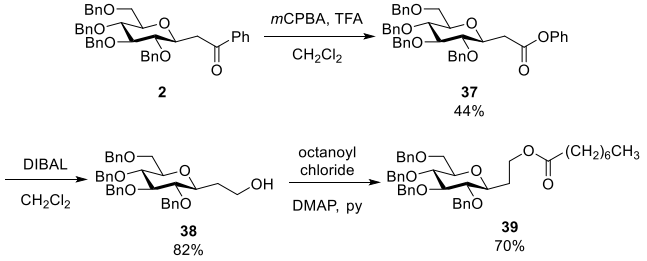


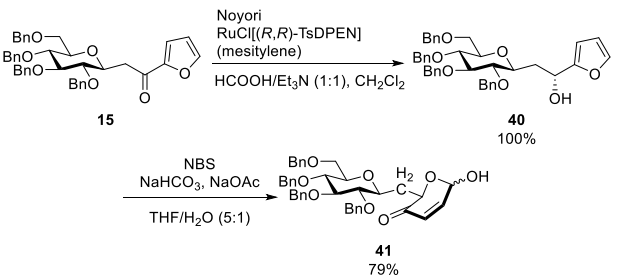
Scheme 2. C-glycosylations of galactose donor **1b** and lactose donor **1c**.

The ketone in the resultant homoacyl-β-*C*-glycosides can serve as a handle for elaboration to more complex structures. For example, C-glycoside **2** can be elaborated to the model C-glycolipid **39** (Scheme 3). To this end, Baeyer–Villiger oxidation of **2** provides ester **37** in moderate yield.[20] Reduction of **37** using DIBAL then affords alcohol **38**. Finally, treatment of **38** with octanoyl chloride affords C-glycolipid analog **39** in 70% yield.



Scheme 3. Derivatization of C-glycoside **2** into glycolipid mimics.

In addition, we noticed the possibility of transforming the acyl furan on **15** into a monosaccharide-like structure. Following O'Doherty's de novo synthetic protocol,[19b, 19c, 21] ketone **15** was first reduced using Noyori asymmetrc transfer hydrogenation,[22] affording alcohol **40** in quantitative yield. This alcohol was subjected to NBS to generate functionalized enone **41** in 79% yield. To the best of our knowledge, this is the first Achmatowicz reaction performed on a carbohydrate substrate, and it expands the utility of this method to the synthesis of C-disaccharide analogs.



Scheme 4. Derivatization of C-glycoside **15** by Achmatowicz rearrangement.

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We propose that this C-glycosylation proceeds through a S_N2-like displacement of an α-glycosyl sulfonate. To rule out the possibility of a base-catalyzed epimerization[8] of the α-C-glycoside in situ, we turned to primary ^{13}C kinetic isotope studies.[23] Using Jacobsen and Kwan's modification[24] of the Singleton procedure,[25] we measured a KIE value of 1.029 for the reaction. This value is typical of S_N2-like glycosylations,[26] and is in line with values that have previously been reported by the Crich, Chan and Bennet, and our own groups.[17d, 27]

In summary, we have developed an efficient and highly stereoselective approach to β-C-homoacyl and β-C-acyl glycosides. The protocol, which employs bench-stable hemiacetals as donors, tolerates a range of substrates, including heterocycle-containing nucleophiles. These *C*-alkyl glycosides can be transformed into a variety of different products, including C-analogs of glycolipids and disaccharides. We anticipate that this chemistry will find board utility both in natural product and medicinal C-glycoside synthesis.

Acknowledgements

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Keywords: carbohydrate• C-glycosides • diastereoselectivity • C-glycosylation • glycosyl sulfonate

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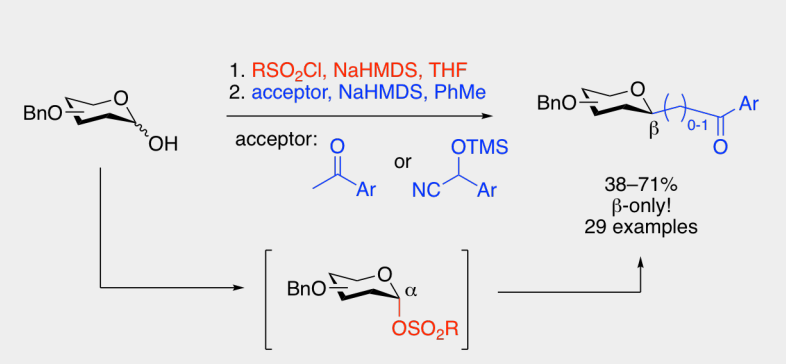

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β-Selective C-Glycosylation

Alkyl C-glycosides are readily prepared from bench-stable hemiacetals via a glycosyl sulfonate intermediate. This S_N2-like C-glycosylation generates β-anomers exclusively. The resulting products undergo facile derivatization into various C-glycoside mimetics of β-linked glycoconjugates.

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