Regioselective reductive openings of mixed phenolic-benzylc acetal, using BH₃·NMe₃·AlCl₃, was investigated and a mechanism, where the outcome is directed by the electrostatic potential of the two oxygen atoms, is presented. The regioselective acetal opening was used in the synthesis of a fluorescently labeled analog to antiproliferative xylosides. The fluorescently labeled xyloside was tested for uptake, antiproliferative activity and glycosaminoglycan priming in different cell lines. The xyloside was taken up by all cell lines but did not initiate glycosaminoglycan biosynthesis.

The electrostatic potentials calculated using DFT at (B3LYP/6-31G*) level, displayed from ~35 kcal/mol in red to 20 kcal/mol in blue.

Despite the large number of methods published for regioselective openings of acetals, there are, to our knowledge, no regioselective openings of mixed phenolic-benzylc acetal known. There are also still uncertainties about the mechanism of regioselective openings of normal aliphatic acetals.

In order to study the GAG priming ability, 3T3 A31 cells were left untreated or were treated with 100 mM xyloside and [35S]sulfate over night and free GAG chains in the medium and the cell extracts were isolated. Xyloside treatment suppressed endogenous proteoglycan production to some extent. A very small amount of xyloside primed GAG chains were recovered from the medium of xyloside treated cells. The panels show fluorescence microscopy of (A) 3T3 A31, (B) 3T3 SV40, and (C) T24 cells treated with 100 mM of fluorescent xyloside for 16 h. Bar: 20 mm.