

V. K. Rajput, H. Leffler, U. J. Nilsson, B. Mukhopadhyay. (2014). Synthesis and evaluation of iminocoumaryl and coumaryl derivatized glycosides as galectin antagonists. *Bioorg. med. Chem. Lett.*, 24, 3516-3520.

A collection of iminocoumarylmethyl glycoside derivatives have been prepared by copper-catalyzed multi-component reaction of carbohydrate propargyl derivatives, sulfonyl azides, and salicylaldehyde or o-hydroxy acetophenone. The method is simple, versatile to all three components, and exceptionally high yielding. The carbohydrate N-sulfonyl iminocoumarine hybrid molecules were evaluated for binding galectin-1, -2, -3, -4N, -4C, -7, -8N, -9N, and 9C using a competitive fluorescence polarization assay. Selective compounds were identified against galectin-3, 7, 8N, and 9N with up to 40-fold affinity enhancements relative to methyl  $\alpha$ -D-galactopyranoside due to the coumarylmethyl moieties.