Abstract: Humans possess a never-ending desire to mimic nature’s elegance. This design principle has become a major aspiration in both art and science. For organic chemist this can be seen in the pursuit of the synthesis of natural products, as well as, in the design of new reaction catalysts that mimic enzyme efficiencies. Of all the aspects of enzyme catalyzed reactions to mimic the selectivity of the glycosyltransferase stands as the “Holy Grail” in terms of substrate-, regio- and stereo-selectivity. Recently we have found that the use of the Taylor catalyst (Ph₂BO(CH₂)₂NH₂) as the regioselective activator component in a Pd(0)-catalyzed glycosylation (i.e., pyranone installation) can result in a reaction with glycosyl-transferase like selectivities. A reaction where this dual B/Pd-catalyst system mimics the nucleophilic and electrophilic activation sites of the enzyme. Key to this mimicry is the recognition that pyranone rings can serve as atomlessly protected stable forms of glycosyl-donors. In this regard, we have been working to develop practical catalytic asymmetric approaches to the synthesis and study of stereochemically complex oligosaccharide structural motifs, using of asymmetric catalysis. This effort and its application for oligosaccharide synthesis and related medicinal SAR-chemistry studies will be discussed.