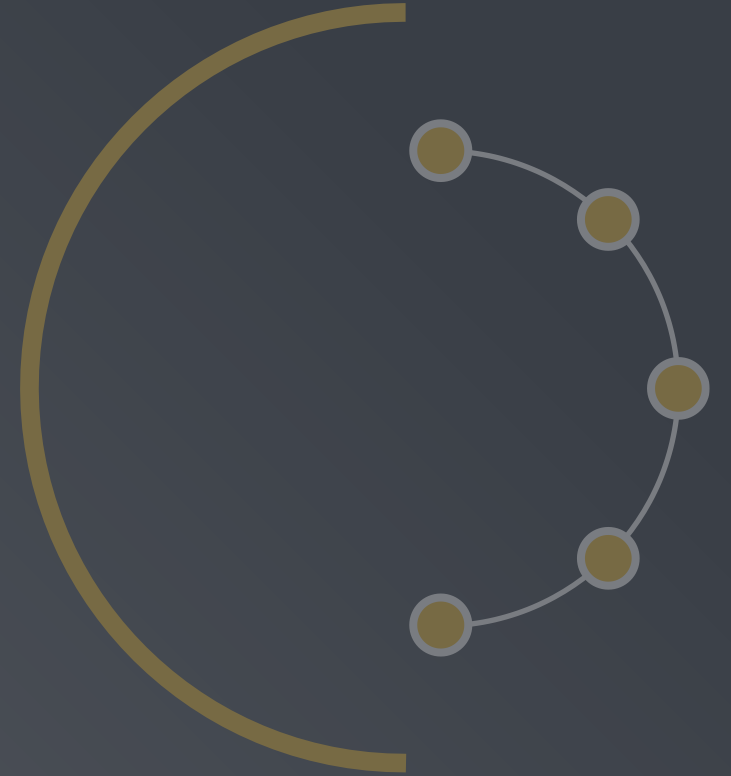


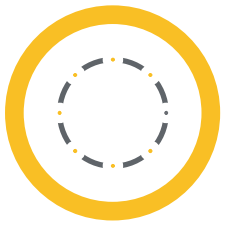


CARBOHYDE
SUGAR IS LIFE



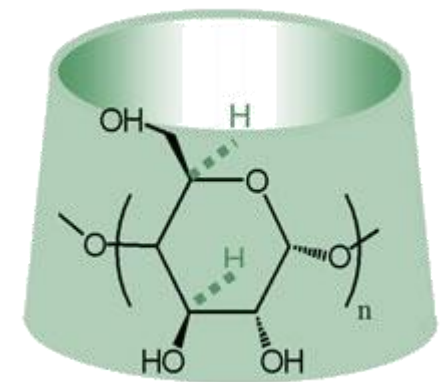
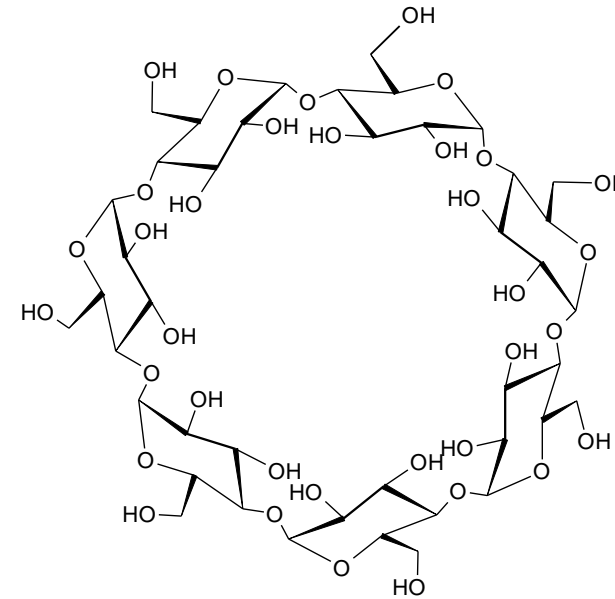
Cyclodextrins

Synthetic strategies



Why modify cyclodextrins?

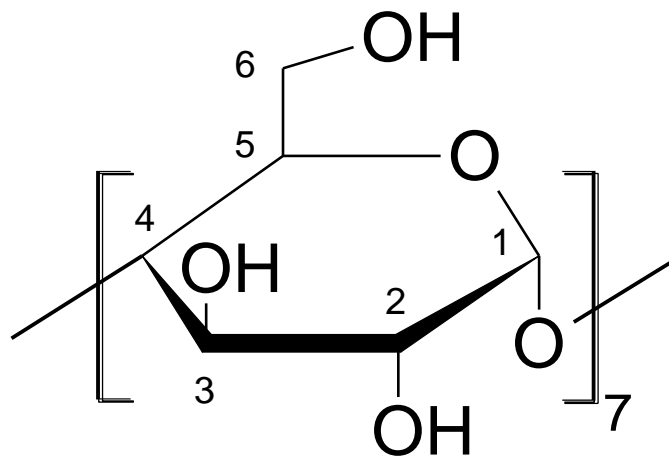
- Solubility improvement of the CD (and its complexes) in desired solvent, usually in water;
- better fit and/or association between the CD and its guest, with concomitant stabilization of the guest by changing its reactivity;
- more appropriate mimic of a binding site (e.g., in enzyme modeling) via attachment of specific groups; or
- formation of insoluble or immobilized CD-containing structures, polymers (e.g., for chromatographic purposes).





Characteristics of the Hydroxyl Groups

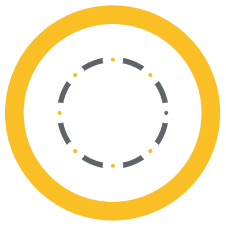
Less acidic, most nucleophilic



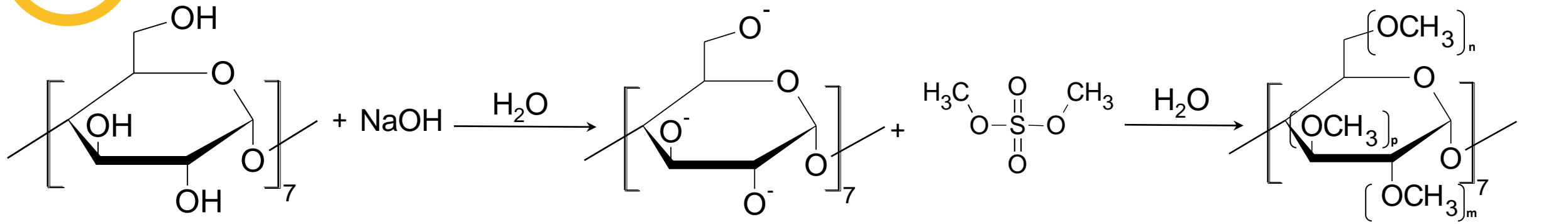
More acidic, less nucleophilic

Most acidic, more nucleophilic

C1= anomeric carbon
C6= methylene unit (-CH₂-)
C2= easy accessible
C3= most hindered, difficult to modify
C4, C5= not involved in reaction



Random Alkylation



Regioselective with appropriate base

Degree of substitution can be controlled

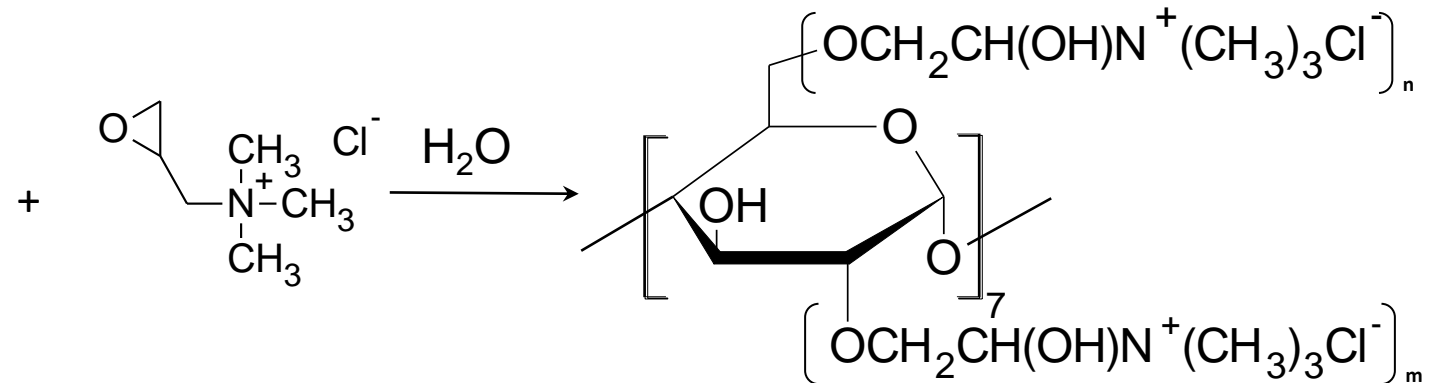
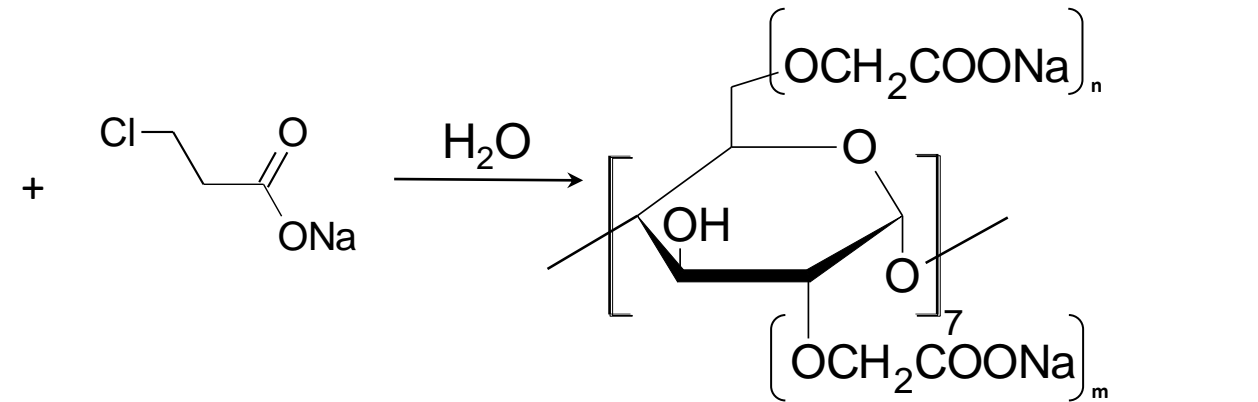
Substitution pattern: O(2) >> O(6)/O(3)

The longer alkyl chain the more 2,6-O-selective.

High solubility in water and organic solvents.

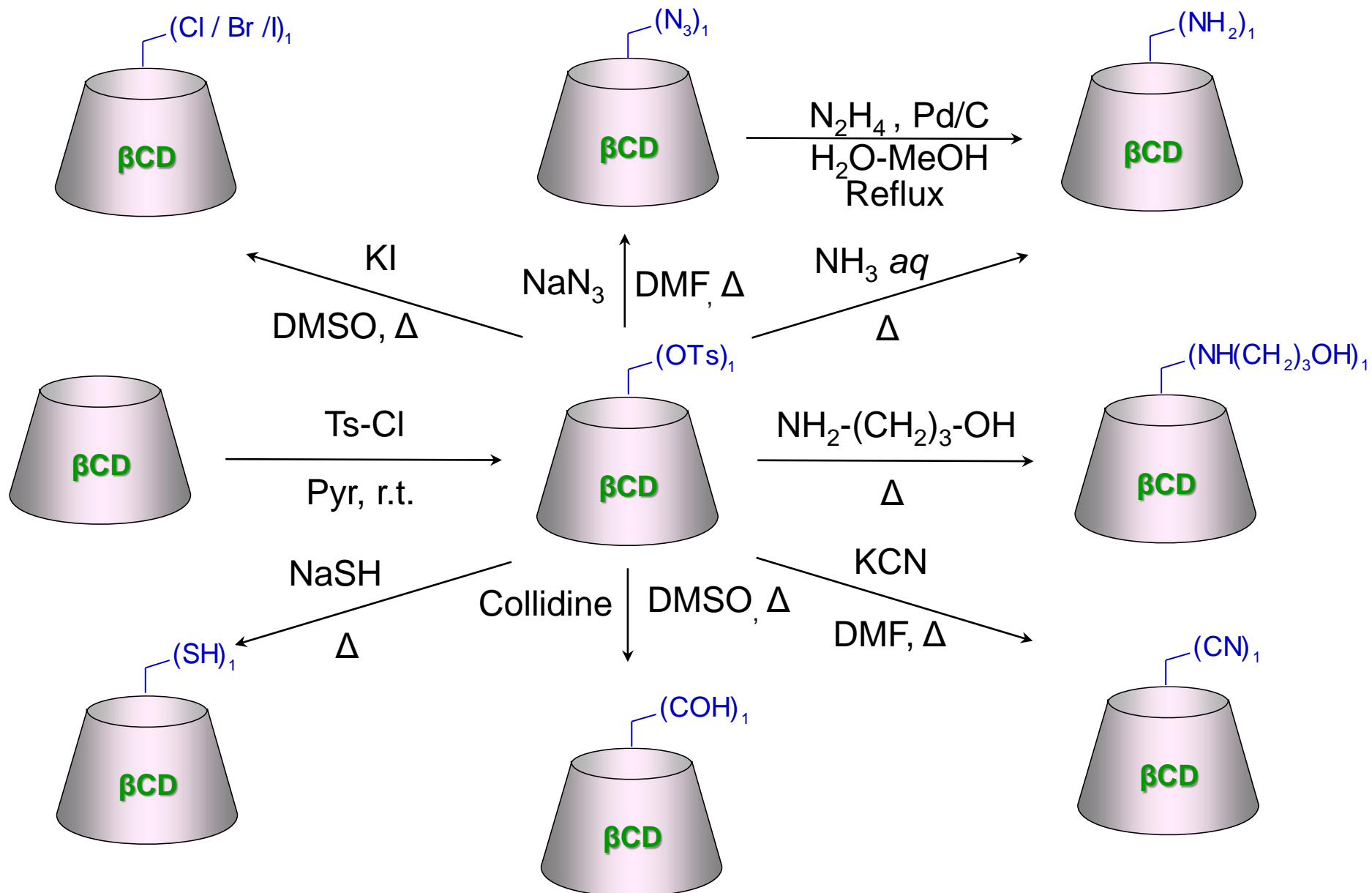
Per-substitution difficult in water

5-1000 kg scale production.



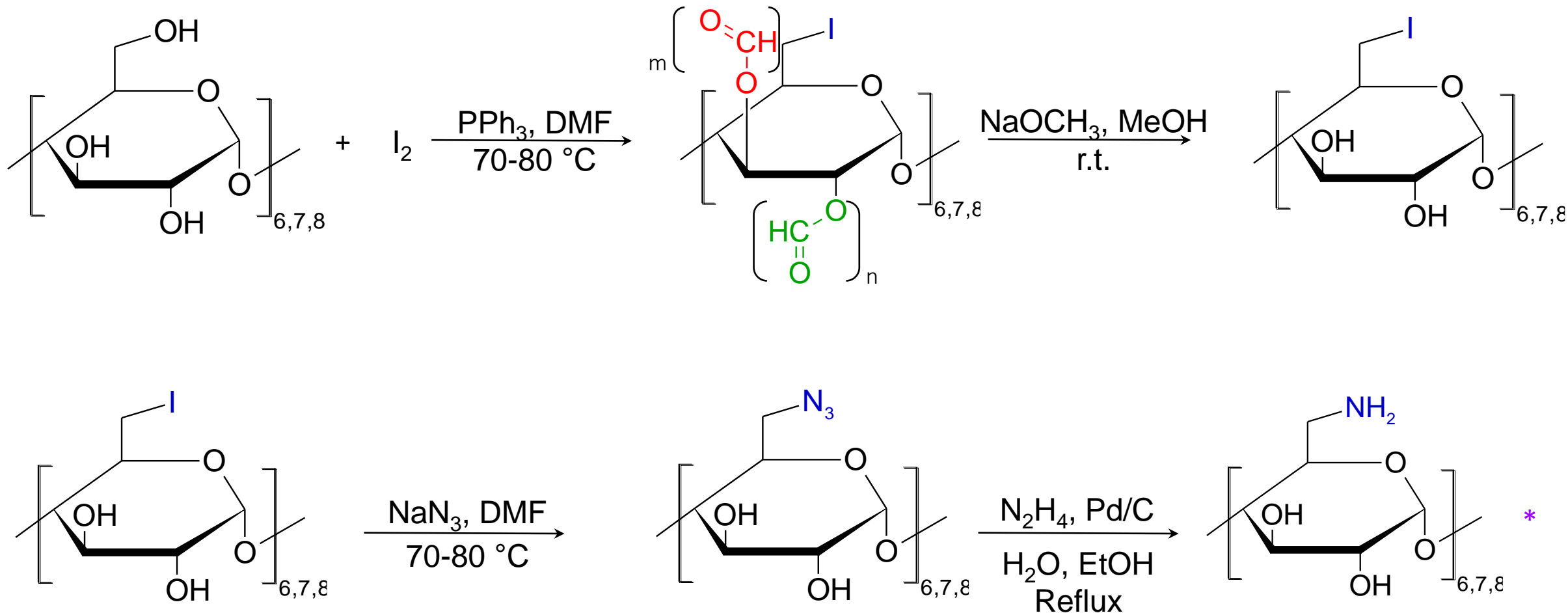


Mono-6-Tosyl- β CD, a Key Intermediate





Per-6-Halogen-CDs, Versatile Compounds

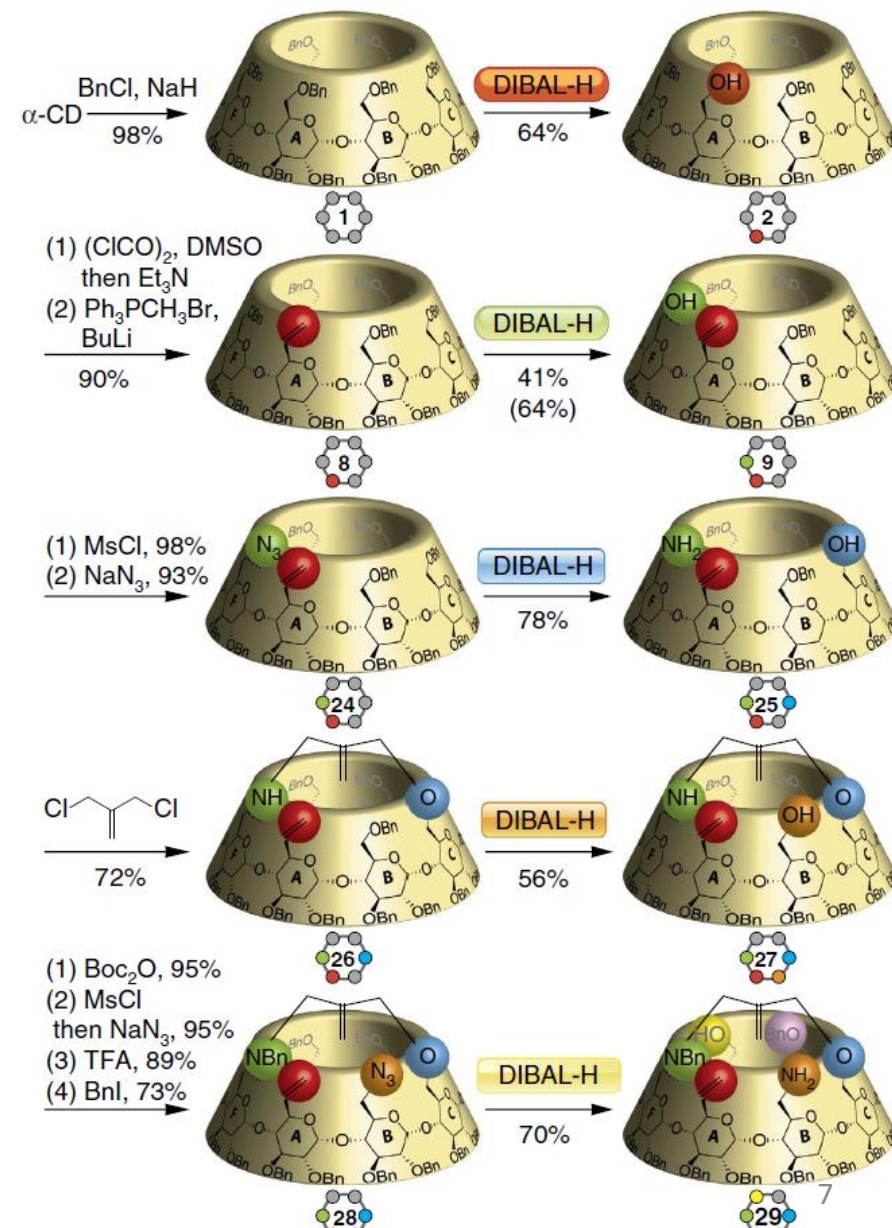
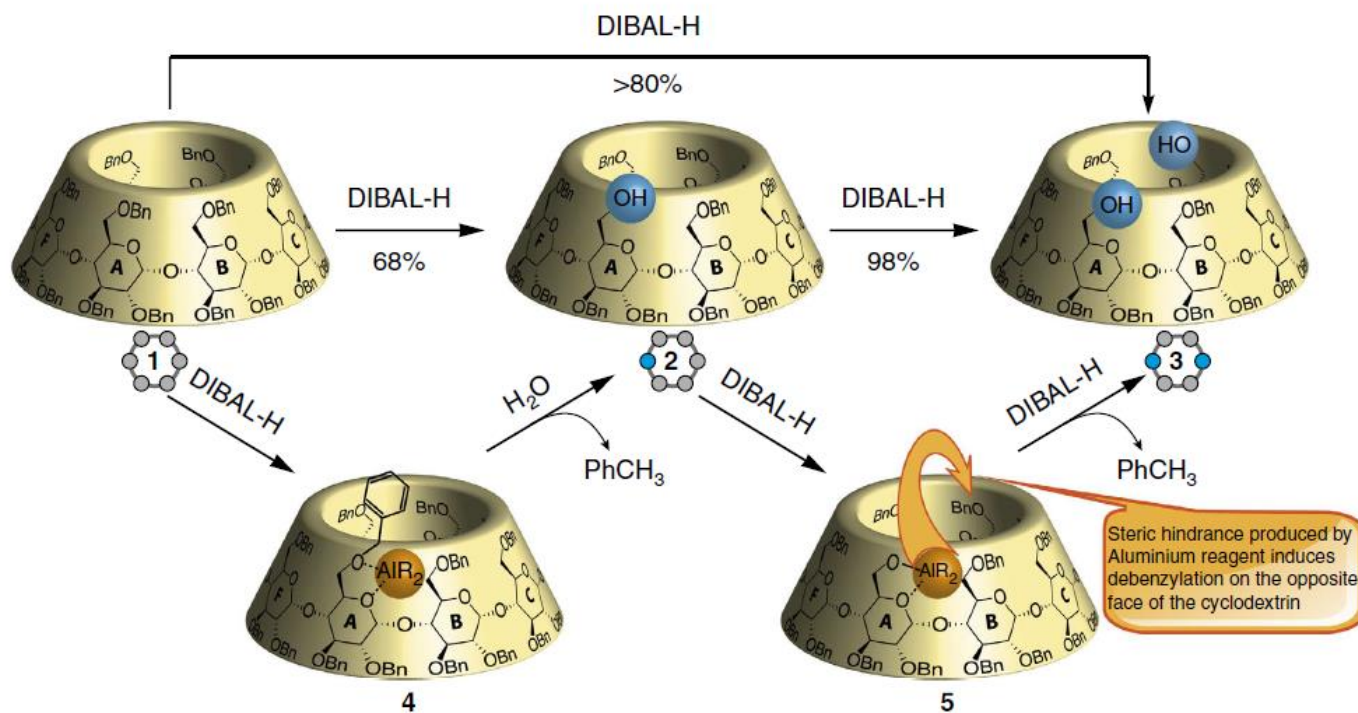
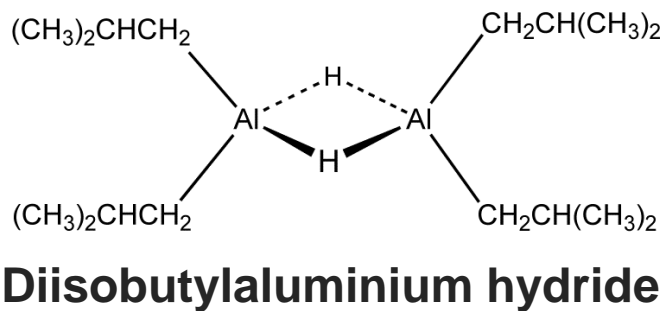


* Ashton P. R., Stoddart J. F. et al., *J. Org. Chem.*, 60, 3898, 1995

* Jicsinszky L., Iványi R., *Carbohydr. Polym.*, 45, 139-145, 2001

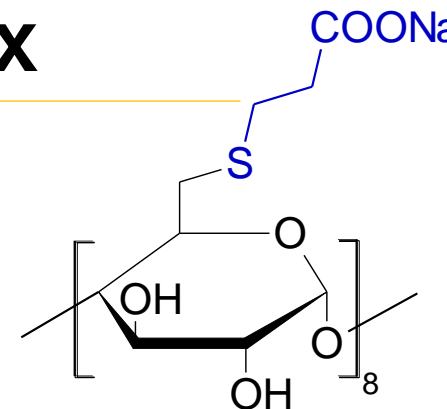
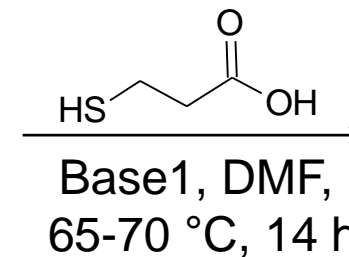
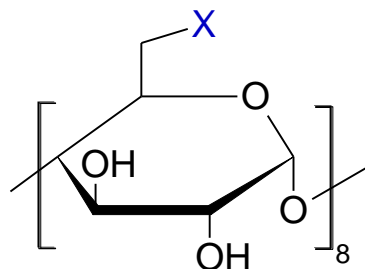
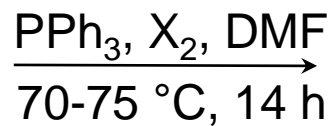
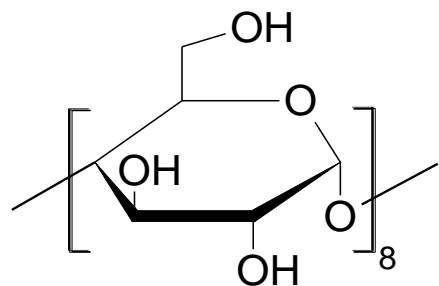


Per-6-Hetero-Substituted CDs The Magic of DIBALH





Synthetic Strategies for Sugammadex



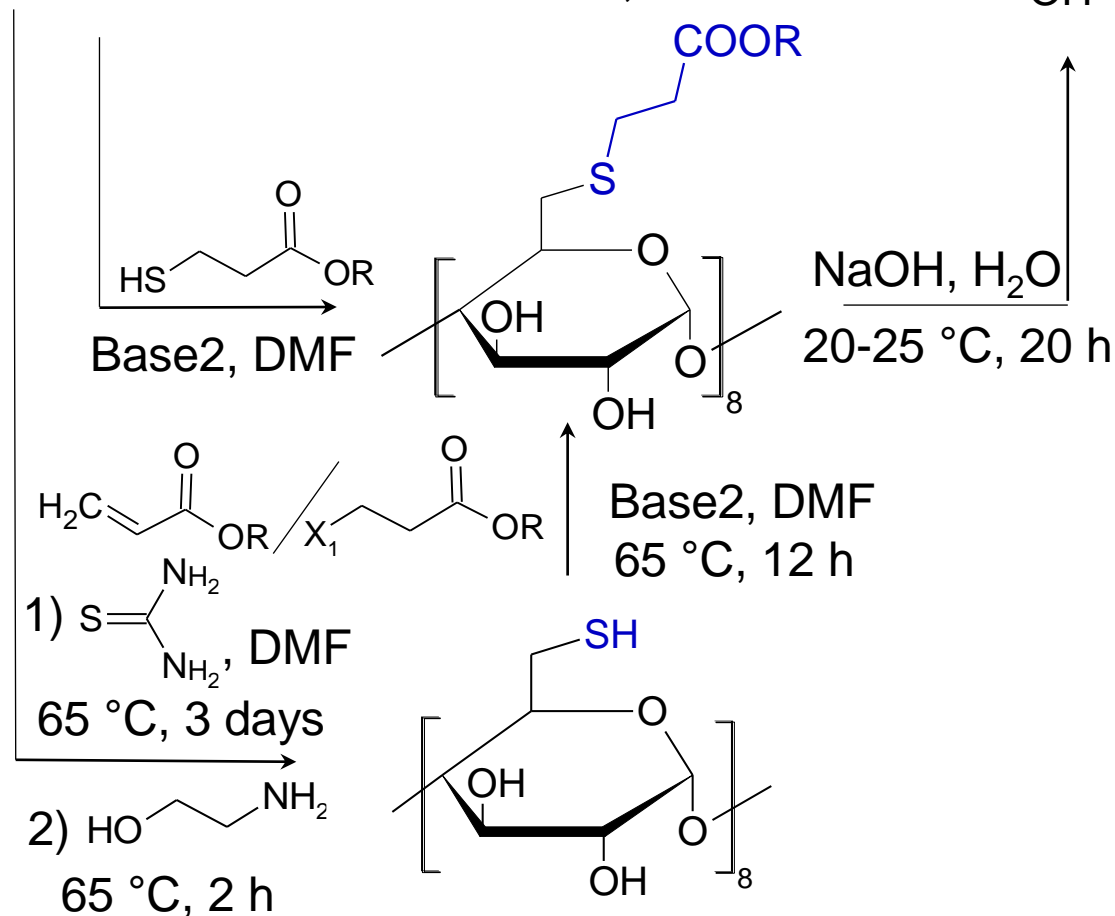
X= Br, I

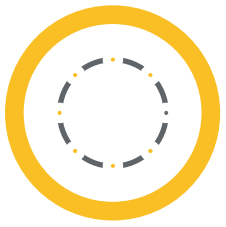
X₁=Br, I, Cl

Base1= NaH, NaOR

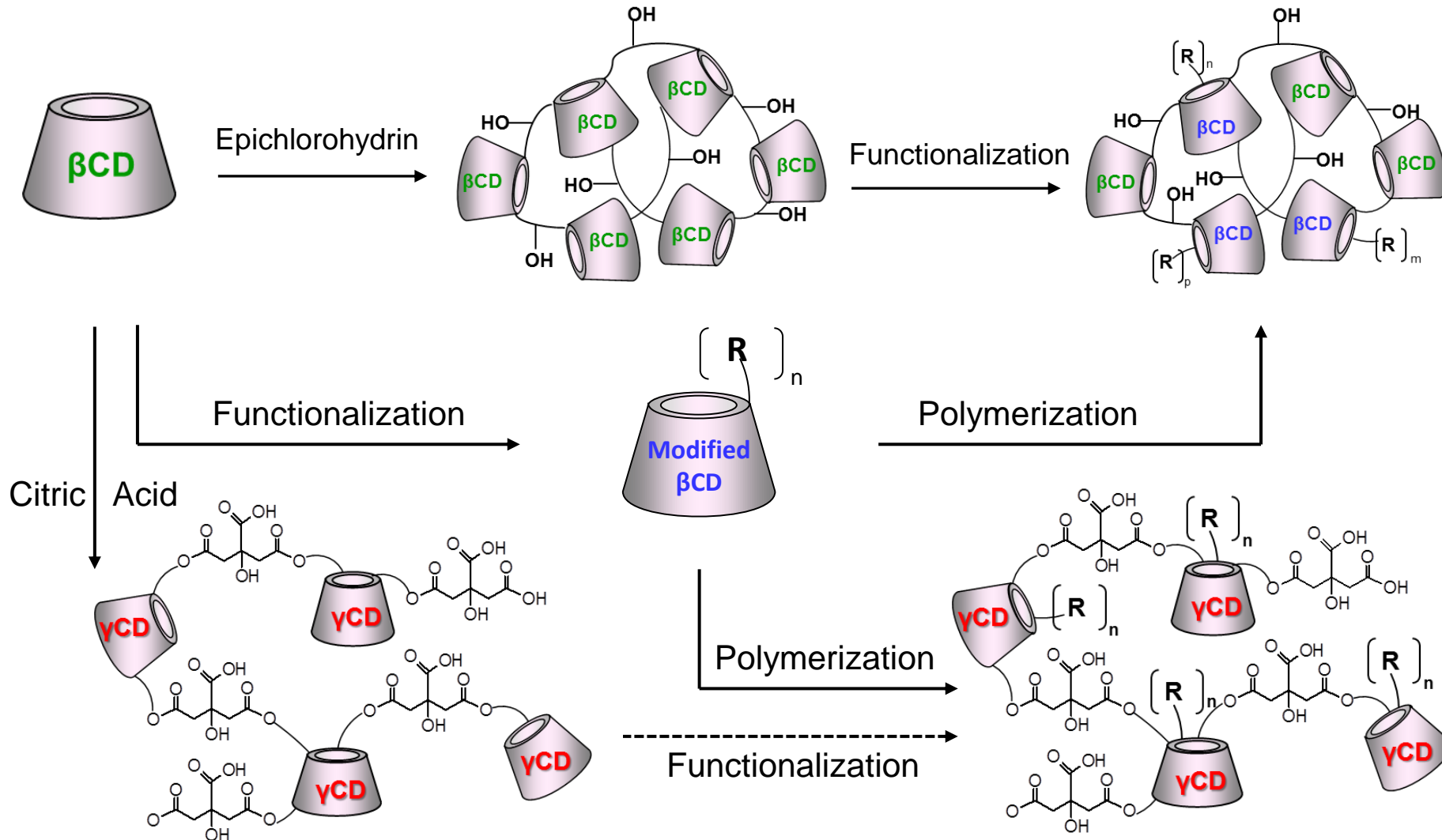
Base2= organic or inorganic base

R= alkyl group



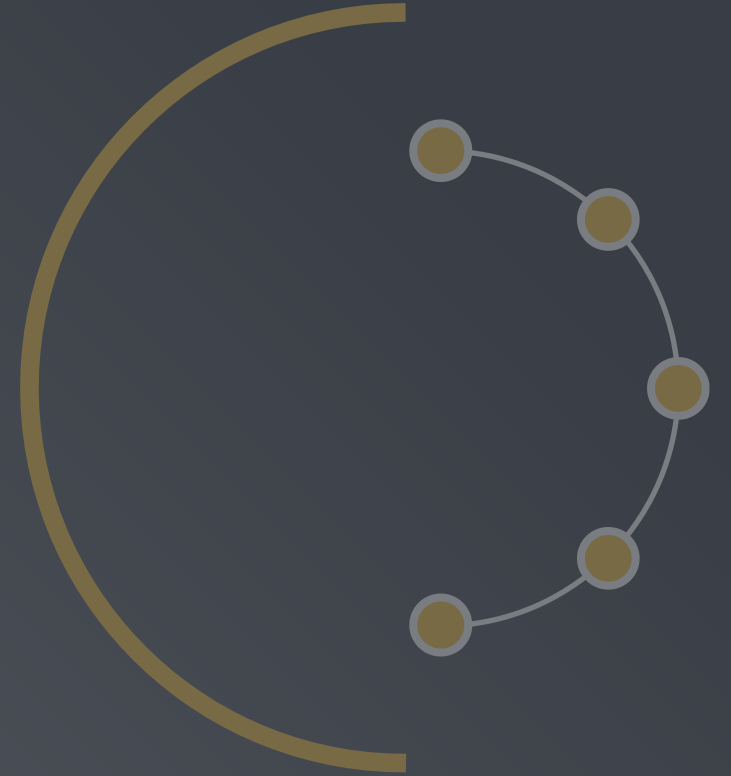


Cyclodextrin polymers





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For any questions:

info@carbohyde.com