カゴ型ホウ素錯体によるフッ化糖を用いたグリコシル化反応の開 発

(阪大院理¹・阪大院工²) ○生長 優香¹・笹谷 祥大²・真鍋 良幸¹・角永 悠一郎³・小西 彬仁²・安田 誠²・深瀬 浩一¹

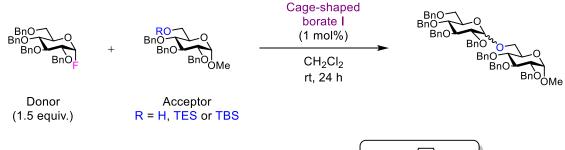
Glycosylations Using Glycosyl Fluorides by Cage-Shaped Borate Complexes (\frac{1}{Graduate} School of Science, Osaka University, \frac{2}{Graduate School of Engineering, Osaka University,} \frac{3}{Institute for Radiation Sciences, Osaka University)} \frac{1}{2} Yuka Ikinaga, \frac{1}{2} Shota Sasaya, Yoshiyuki Manabe, Yuichiro Kadonaga, Akihito Konishi, Makoto Yasuda, Koichi Fukase \frac{1}{2} Yuka Ikinaga, Yuka Ikinaga,

Cage-shaped borates with tethered ligands exhibit higher Lewis acidity due to the deviation from the triangular planer structure around the boron center. We herein report that the cage-shaped borates efficiently catalyze glycosylations using glycosyl fluorides. In this glycosylation, the alcohols with free and silyl-protected hydroxyl group can be used as nucleophiles. The wide applicability of this glycosylation was revealed by substrate scope; the desired glycosides were obtained in high yields with various substrates.

Keywords: glycosyl fluoride; glycosylation; Lewis acid

ホウ素に配位するリガンドを縛り、平面三角形構造から歪ませることで、高いルイス酸性を実現できる。このカゴ型ホウ素錯体がフッ化糖を用いたグリコシル化を極めて効率的に触媒することを見出した。求核剤として遊離のアルコール、シリル(TES、TBS)保護体のいずれを用いた場合も触媒量のカゴ型ホウ素触媒で速やかにグリコシル化反応が進行した(Table 1)。本反応は広い基質適用範囲を示し、いずれも高収率で望むグリコシル化生成物を与えた。

Table 1 カゴ型ホウ素錯体を用いたグリコシル化



entry	R	Result	
		Yield $(\alpha : \beta)$	Recovery
1	Н	77% (1.3 : 1)	26%
2	TES	99% (1.4 : 1)	0%
3	TBS	100% (1.3 : 1)	0%

