

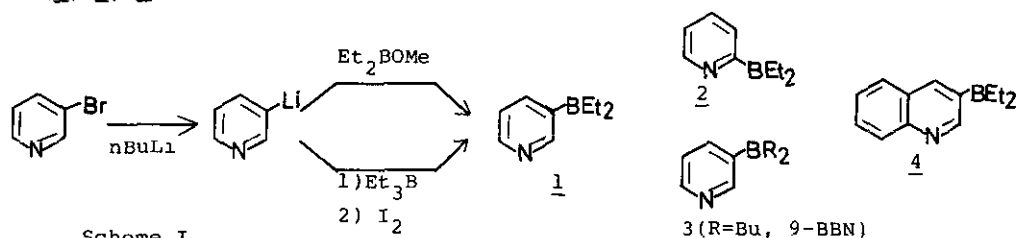
SYNTHESES AND REACTIONS OF HETEROARYLORGANOBORANES

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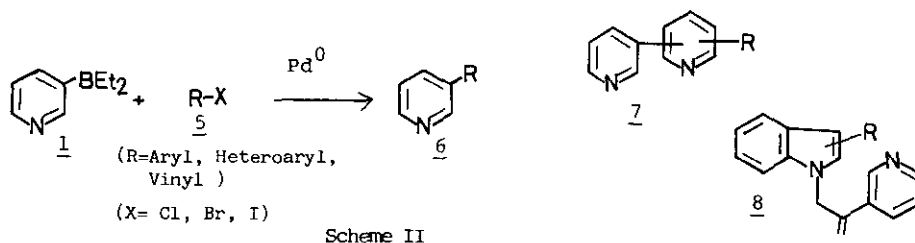
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Although the potential utility of organoboron compounds in organic synthesis has well documented, relatively few reports have appeared concerning the preparation and the chemistry of organoboranes substituted with heteroaryl groups.¹⁾ It would be of great interest to explore the synthetic applicability of heteroarylboranes. We now wish to report the preparation of these boranes and their utilization to a new synthesis of 3-substituted pyridines.

Treatment of 3-lithiopyridine with diethylmethoxyborane, or with triethylborane followed by iodine, led to diethyl(3-pyridyl)borane(1) in high yield(scheme I). The former procedure was also efficient for the preparation of other heteroarylboranes(2, 3, 4).



Recently reported palladium catalyzed cross-coupling reaction of vinylboranes with halides by Suzuki²⁾ has been successfully applied to 3-pyridylboranes. The reaction of 1 with various halides(5) in the presence of $\text{Pd}(\text{Ph}_3\text{P})_4$ (as catalyst) and base gave 3-substituted pyridine derivatives(6; e.g. 7, 8) in good yield(scheme II). This may provides us a useful method to construct a variety of substituted pyridines.



Application of this method to other heteroarylboranes will offer an efficient synthetic method for N-heterocycles.

References

- 1) A. Pelter, *Chem. Soc. Rev.*, 191(1982).
- 2) A. Suzuki, *Acc. Chem. Res.*, 15, 178(1982).