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Short Note

1,2,3,4-Tetrahydroisoquinoline from Acid Catalysed Cyclisation of N,N'-Dibenzylethylenediamine

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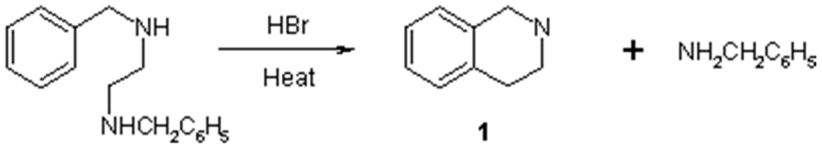

1,2,3,4-Tetrahydroisoquinolines have been traditionally prepared by the Bischler-Napieralski, Pictet-Gams, Pictet-Spengler, Pomeranz-Fritsch reactions and various Friedel-Crafts cyclization procedures of N-(haloalkyl)aryl derivatives [1]. These reactions have often been used in the total synthesis of isoquinoline alkaloids [2]. Since the starting compound was commercially available, the present reaction was carried out on a larger scale to afford clean product **1** in high yield.

The decomposition of dibenzylethylenediamine (24g, 0.1mole) was carried out in a Claisen distillation equipment at 240 deg.C and 20 mmHg. The catalyst was added as 48% hydrobromic acid (1.5mL). The heating was continued until 91% of distillate was obtained. The distillate on fractional distillation (45 deg.C at 2mmHg) gave 1,2,3,4-tetrahydroisoquinoline (**1**) (9.6g, 72%), benzylamine (2.8g, 26%) and some residue which was mainly unchanged diamine.

The tetrahydroisoquinoline (**1**) prepared was further characterized by comparisons (ir and nmr) with authentic specimen.

B.p. 45 deg.C at 2mmHg.

References and Notes

1. Kathawal, F.G.;Copolla, G. M and Schuster, H. F. *Isoquinolines*, The Chemistry of Heterocyclic Compounds. A series of Monographs, Vol. 38. Wiley & Sons: New York, 1990.

2. Shamma, M. *The Isoquinoline Alkaloids, Chemistry and Pharmacology*, Academic Press: New York, 1972.

Sample Availability: Commercially available.