P-B2

28-Deoxy-28-aminobetulin and its Synthetic Application

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Betulin is an abundant naturally occurring triterpene. Its derivatives possess wide spectrum of biological activities – antiviral, anticancer and antifungal [1]. In its structure (Figure 1), betulin has three positions – primary hydroxy group at position C(28), secondary hydroxy group at position C(3) and alkene moiety at position C(20) - to easily obtain new derivatives for biological activity studies [2].

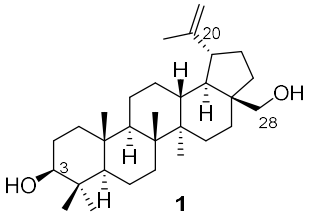

Figure 1. Structure of betulin.

In this work, 28-deoxy-28-aminobetulin (**4a**) was obtained in three-step synthesis from betulin (**1**). Aldehyde **2** was obtained by chemoselective oxidation and its reaction with hydroxylamine hydrochloride gave oxime **3**. Following catalytic reduction with H_2 provided technical product **4a**. Purification of the technical product was done through salt formation and crystallization. By threating oxime **3** under harsher conditions, amine **4b** was obtained (Scheme 1). From compounds **4a,b** in diazotransfer reactions with trifluoromethane-sulfonic azide compounds **5a,b** were obtained. The latter were employed in Cu(I) catalyzed 1,3-dipolar azide-alkyne cycloaddition reaction to obtain betulin-triazole conjugates **6a,b** (Scheme 2).

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REFERENCES

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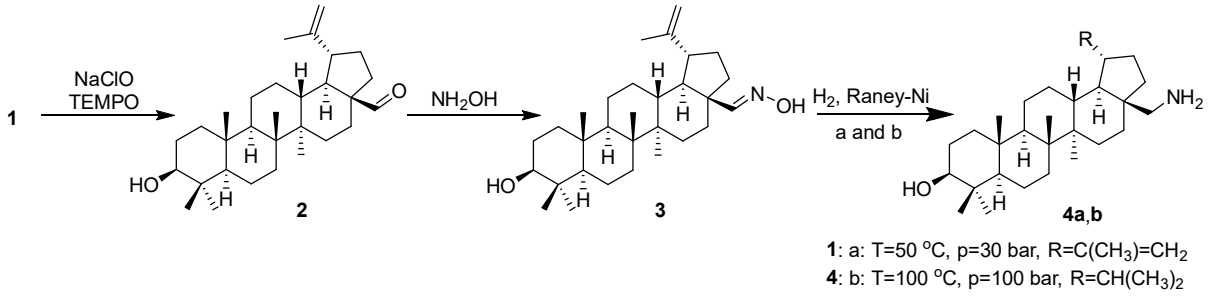
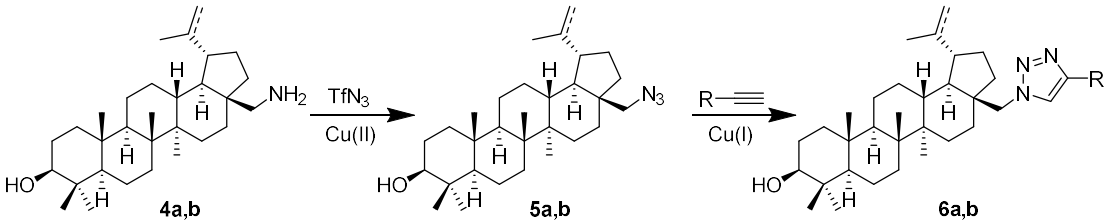
[2] Alakurtti, S.; Makela, T.; Koskimies, S.; Yli-Kauhaluoma, J. *Eur. J. Pharm. Sci.* **2006**, *29*, 1.



Scheme 1. Synthesis of 28-deoxy-28-aminobetulin.



Scheme 2. Synthesis of betulin – 1,2,3-triazole conjugates.