SYNTHESIS OF MANNOSYL DERIVATIVES OF 1-ADAMANTAMINE

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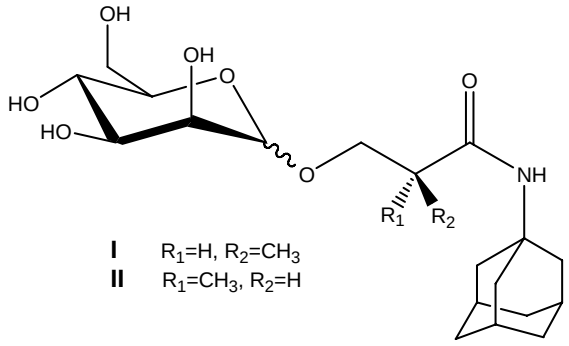
Carbohydrate recognition occurs in a large number of different biological contexts. Lectins, carbohydrate-binding proteins are very specific for monosaccharides such as mannose, galactose, *N*-acetylglucosamine, *N*-acetylgalactosamine.[1, 2, 3] Adamantane derivatives invoke a great deal of interest due to their diverse biological activities; they are used as sedatives, antitumor agents, antibiotics, hypoglycemics, antidepresives, antiparkinsonics and other various drugs. 1-Adamantamine (amantadine) is an efficient antiviral drug against the influenza virus A, as well as rubella or dengue virus which is related to hepatitis C virus.[4]

The formation of glycoconjugates of biologically active compounds with monosaccharides, for which specific lectins exhibit high affinity, may enhance or change the biological activity of compounds.[2] We report on the synthesis of mannosyl derivatives of 1-adamantamine the aim being to study the influence of the sugar moiety on 1-adamantamine's biological activity.



I R_1=H, R_2=CH_3
II R_1=CH_3, R_2=H

In glyconjugates 1-adamantamine was connected to mannose through *O*-glycosidically bonded chiral linkers. The key step in the synthesis was *in situ* activation of *O*-mannopyranosyloxy carboxylic acid and the condensation with 1-adamantamine. Both anomers of the mannose derivatives of 1-adamantamine were prepared and fully characterized.

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[4]. Kamar N., Rostaing L., Sandres-Saune, K., Ribes, D., Durand D., Izopet J. ”Amantadine therapy in renal transplant patients with hepatitis C virus infection”, *J. Clin. Virol.,* **30**, 110-114, 2004.