Synthesis of Bioactive Compounds. Studies of their Attachment to Nanoparticles

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The 1-aryl tetrahydroisoquinolines have attracted great attention in medicinal chemistry due to their biological activity. These compounds present antitumor, anti-HIV and antibacterial activities. Several analogues of 1-aryl tetrahydroisoquinoline are used for the treatment of neurodegenerative diseases such as Parkinson´s and Alzheimer´s diseases since also act as dopaminergic antagonists and *N*-methyl-D-aspartate receptor antagonist. [1]

The 1-substituted tetrahydro-3-benzazepines have also been studied for their affinity to the Phencylclidine binding site of the NMDA receptor as well as for their affinity to the dopaminergic receptors. [2]

In the last years, various methods have been carried out to satisfy the demand of novel tetrahydroisoquinolines and tetrahydro-3-benzazepines. We have synthesized *nor*-1-aryl tetrahydroisoquinolines with different substituents in the aryl group of C-1 (H, NMe_2, SMe, NO_2, NH_2). In addition to this, we have performed the synthesis of *nor*-tetrahydro-3-benzazepinas by different routes, obtaining the best results via opening of epoxides by arylphenethylamines and subsequent cyclization.

The *nor*-tetrahydroisoquinolines and *nor*-tetrahydro-3-benzazepines have been derivatized to obtain appropiate adsorbates which can be attached to nanoparticles. This fact is crutial in drug delivery systems as well as in the improvement of the biocompatibility of these compounds.

Literature:

[1] Toshiaki Saitoh, Eur. J. Med. Chem. 2006, 41, 241. Mattias Ludwig, Eur. J. Med. 2006, 41, 1003. [2] Olaf Krull, Bioorg. Med. Chem. 2004, 12, 1439.