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A new competitive strategy for the industrial synthesis of drugs based on chiral amines via utilizing the waste isomer by flow chemistry

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A new efficient and safe method for inversion of configuration of chiral amines has been developed.Several homochiral amine synthons were synthesized. Two of them (Cinacalcet and Rivastigimine) were converted into di-tosyl and di-mesyl derivatives. Inversion of configuration of the chiral center was achieved by substitution of the disulfonimide moiety using NaN_3 under flow conditions to give an organic azide. The reaction has been fully optimized (temperature, flow rate and solvent) in a flow reactor, which ensured the safety of the whole process. The organic azides were obtained in satisfactory yields and over 90% *ee*. The azides could be reduced to the desired amines by standard hydrogenation, which should also be achievable under flow conditions. We also intend to expand the method to other amines to make it as widely applicable as possible.

Biography

Barbara Wołek graduated from the Jagiellonian University in Modern Organic Synthesis and Physical Chemistry as well as in Geochemistry, Petrology and Mineralogy. Since 2009 she has been working at Selvita; currently a Team Leader at the Contract Chemistry Division. She has deep knowledge of organic chemistry, and significant know-how in route and process optimization, scale-up, library synthesis, heterocyclic chemistry, metal-catalyzed cross coupling reactions, carbonylation, asymmetric synthesis and many others. she has acquired considerable experience working in multidisciplinary teams in the area of drug discovery, designing and synthesizing molecules to build knowledge about SAR and to improve their properties.

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