



Contribution ID: 59

Type: E-Poster

Investigating the solid form of Naproxen-Aminoindanol diastereomeric salts

Non-Steroidal Anti-Inflammatory Drugs (NSAIDs) are a group of widely used medicines that includes different classes of compounds, the most important of which is that of the arylpropionic acids (ibuprofen, ketoprofen, naproxen etc). Due to the presence of one stereogenic center these acids exist as a pair of enantiomers and, although only the S one is the Active Pharmaceutical Ingredient (API), they are usually given as racemic mixture. Over the last years the interest in the single-enantiomer drug has increased due to some advantages, for example: easier pharmacokinetic and pharmacodynamic profiles, lower prescribed amount, higher therapeutic index.

A cheap and easy method to obtain enantiopure APIs involves the formation of diastereomeric salts using enantiopure bases.

As a matter of fact, the selection of the best performing resolving agent as well as the best experimental conditions are usually time-consuming and largely empirical. Studies on structurally related diastereomeric salts can help to overcome this trial-and-error approach providing useful hints for the resolving agent choice. [1] As part of a work aimed to study, in a systematic way, the resolving abilities of different molecules toward NSAID arylpropionic acids, we decided to investigate the diastereomeric salt formation of S-naproxen [2] with (1S,2R)-cis-1-aminoindan-2-ol (SR_AIO), and (1R,2S)-cis-1-aminoindan-2-ol (RS_AIO). In fact, aminoindanols represent an important family of indane-containing compounds, and their use in racemic resolution arises from their high resolving efficiency for a variety of racemic acids.

We present here the result of an experimental and in-silico investigation of the solid forms of the following three diastereomeric salts: the anhydrous S_NAP-SR_AIO and S_NAP-RS_AIO and the hydrate S_NAP-RS_AIO.

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Session Classification: E-Poster Session

Track Classification: Crystallographic and Spectroscopic Advanced Tools Applied to Pharmaceuticals