Synthesis and Up-Scaling of Finerenone, a Novel Potent and Selective Oral Non-Steroidal Mineralo-Corticoid Receptor (MR) Antagonist

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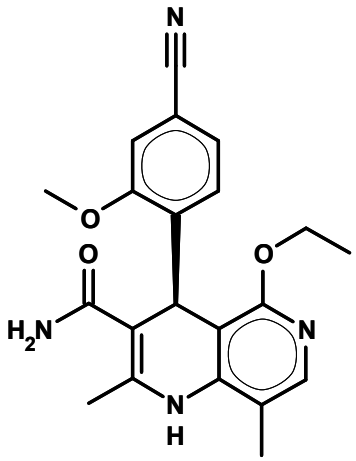
Finerenone (BAY 94-8862) is a novel potent and selective oral non-steroidal mineralo-corticoid receptor (MR) antagonist blocking deleterious effects of aldosterone. Increased activation of the MR leads to pathological changes in the heart and kidneys, which can be prevented by effective MR antagonism. Finerenone has demonstrated a promising efficacy and safety profile in preclinical studies as well as in Phase IIa. The MR antagonist is currently in clinical Phase IIb development for the treatment of worsening chronic heart failure and diabetic nephropathy and is expected to enter clinical Phase III end of 2015. Synthesis and up-scaling of the novel optical active Dihydropyridine derivative to commercial scale, as well as challenges during process development will be discussed. Application of SMB technique for separation of enantiomers on large scale will be demonstrated. Additionally, the synthesis and characterization of metabolites will be presented.



Finerenone
BAY 94-8862

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