a 2018 0110

The invention relates to organic chemistry and can be applied in the development of new anticonvulsants. Summary of the invention consists in the diastereo- and enantioselective synthesis of (S)-3-hydroxy-3-((R)-2-oxocyclohexyl)indolin-2-one with the formula:

The stereoisomer 1 is obtained by interacting 1 molecular part (m.p.) of isatin with an excess of cyclohexanone in dichloromethane, in the presence of 0.1 m.p. L-valinol and 0.2 m.p. water, at room temperature for 48 hours. After removal of solvent the resulted residue is subjected to chromatographic purification on a column filled with basic alumina by gradient elution with the mobile phase $CH_2Cl_2: MeOH = 100: 0 \rightarrow 95: 5$.

The reaction yield is 65%, diastereoselectivity (de) = 96.5%, enantioselectivity (ee) = 98%, and stereoisomer 1 is characterized by spectral methods, by m.p.=190°C (decomp.) and $[\alpha]D_{25}$ =-62° (MeOH).

Claims: 1 Fig.: 3