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DOUBLE CROSS-ALDOL CONDENSATION OF ISATINS WITH ACETONE

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Abstract. A synthetic approach to novel convolutamidine A and epi-convolutamidine derivatives containing two indole fragments in a single molecule has been developed. It was shown that reactions between isatin and substituted mono-adducts proceed most efficiently in methanol using diethylamine as a catalyst. In contrast, interactions of isatin mono-adducts with substituted isatins are accompanied by a retro-reaction, which negatively affects the enantiomeric purity of the target compounds. The presence of two stereogenic centers allows the formation of multiple stereoisomers: four diastereomers in the case of different indole fragments and two enantiomers together with a meso form for identical fragments. The isolation of individual stereoisomers and investigation of their physicochemical properties are addressed. A plausible mechanism of the retro-reaction is proposed to rationalize the observed stereochemical outcomes.

Keywords: convolutamidine A, 2-oxindole, aldol condensation, indole-2,3-dione, retro-reaction.