

Abstract Book

An Effective Method for Preparation of Ethyl 2,5-Dimethyl-4-oxo-3,4-dihydrothieno[2,3-d]pyrimidine-6-carboxylate as a Key Step to the Human Adenosine A_{2A} Receptor Antagonists

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Istradefylline is a new drug that was recently approved by the FDA for use as adjunctive treatment to L/C in adult patients with PD experiencing "off" episodes. In this research we assembled the molecules with similar shape containing thieno[2,3-d]pyrimidine core. The effective procedure for preparation of 2,5-dimethyl-4-oxo-3,4-dihydrothieno[2,3-d] pyrimidine-6-carboxylate based on application of N,N-dimethylacetamide dimethyl acetal was suggested. At the cyclization step ammonium acetate application gave high yields of the intermediate ester for further alkylation with chloroacetamides. The results of docking studies revealed that all of the obtained target amides are capable of binding to the active site of human adenosine A_{2A} receptor and in general well fit its shape.

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