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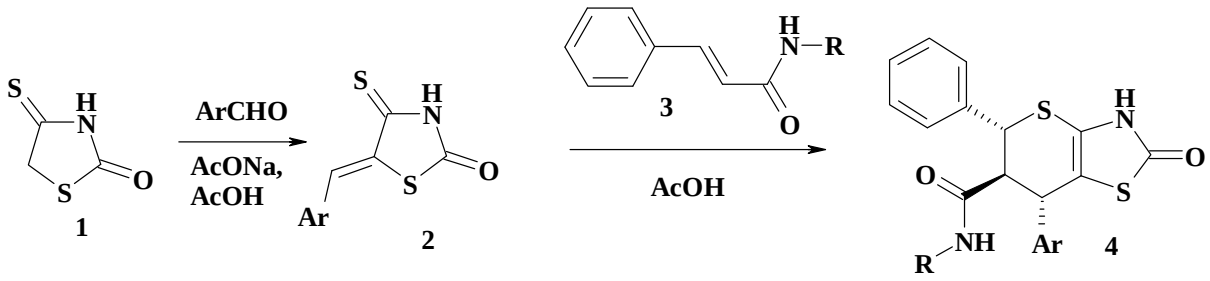
Synthesis of new thiopyrano[2,3-*d*]thiazoles based on cinnamic acid amides

Thiazolidinone derivatives are well-known class biological active compounds with antitumor, anti-inflammatory, hypoglycaemic, diuretic and other activities [1]. Therefore, the synthesis of fused systems based on 4-thioxo-2-thiazolidinone (isorodanine) could obtain promising results for futher drug discovery.

The reaction of *hetero*-Diels-Alder is important pathway in synthesis of novel thiopyrano[2,3-*d*]thiazoles, thus the development of new synthetic approaches based on this reaction would allow obtaining a series of thiopyrano[2,3-*d*]thiazoles with various substituents in structure [2,3,4].

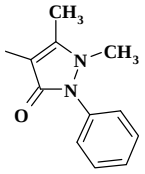
All the new thiopyrano[2,3-*d*]thiazoles derivatives were synthesized from 5-arylidene-4-thioxo-2-thiazolidinones as heterodienes and cinnamic acid amides as dienophile in the reaction of *hetero*-Diels-Alder [5].

The synthesis procedure included at first the reaction with 4-thioxo-2-thiazolidinones **1** by the appropriate aldehyde in the presence of sodium acetate and acetic acid. The obtained 5-arylidene-4-thioxo-2-thiazolidinones **2** was utilized in *hetero*-Diels-Alder reaction with a series of cinnamic acid amides **3** in glacial acid medium and presence of catalytic amounts of hydroquinone [6]. The structures of all new synthesized compounds have been confirmed by ^{1}H NMR spectroscopy.



Ar = 4-Me-C_6H_4, 4-MeO-C_6H_4, 4-Cl-C_6H_4;

R = 4-Me-C_6H_4, 4-Cl-C_6H_4, 4-SO_2NH_2-C_6H_4,



Pharmacological screening of synthesized compounds is in progress.

References

1. Lesyk R.B., Zimenkovsky B.S., Curr. Org. Chem, 8(16) (2004) 1547-1579.
2. Matiychuk V. et al., Tetrahedron Letters, 49(31) (2008) 4648-4651.
3. Kaminskyy D. et al., Synlett, 2 (2011) 1385-1388.
4. Zelisko N. et al., Bioorganic & Medicinal Chemistry Letters, 2 (2012) 7071-7074.
5. Balaji V.R., Kandikere R.P., Org. Biomol. Chem., (2013), 1-4.
6. Bernstein J. et al., Angew. Chem., Int. Ed. Engl., (1995), 1555.