SYNTHESIS OF 3, 4-DIHYDROPYRIMIDINE-2-(1H) THIONES DERIVATIVES USING TUNGSTATE SULFURIC ACID (TSA) AS HETEROGENEOUS CATALYST UNDER MILD AND SOLVENT FREE CONDITIONS

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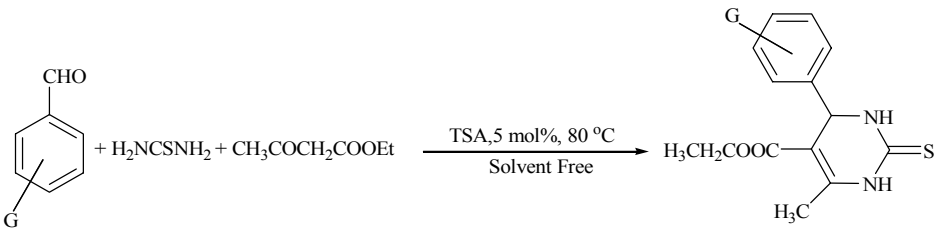
Dihydropyrimidinones (DHPMs) and their derivatives are well known heterocyclic units in the realm of natural and synthetic organic chemistry due to their therapeutic and pharmacological properties [1]. They are medicinally important as calcium channel blockers, antihypertensive agents, alpha-la-antagonists and neuropeptide Y (NPY) antagonists. Moreover, several alkaloids containing the dihydropyrimidinones as a core unit have been isolated from marine source, which also showed interesting biological properties.The classical synthesis of DHPMs was first reported by the Italian chemist Pietro Biginelli in 1893, involving a one pot condensation of an aldehydes, β-ketoester and urea under strongly acidic conditions. However, this method suffers from low yields (20–40%) of desired products. In order to improve the efficiency of Biginelli reaction, many Lewis acid catalysts have been developed such as $Cu(OTf)_2$, $BF_3{\cdot}OEt_2$, $LaCl_3{\cdot}H_2O$, $ZrCl_4$, $Sr(OTf)_2$, $In(OTf)_3$, $ZnCl_2$, $FeCl_3{\cdot}6H_2O$, $RuCl_3$ and $Ce(NO_3)_3{\cdot}6H_2O$[2].

In continuation of our work on the development of application of solid acids in the organic synthesis [3], in this article we wish to report the catalytic activities of tungstate sulfuric acid in synthesis of dihydropyrimidinthiones under solvent free conditions at 80 ^oC. These mild and heterogeneous reactions are completed after 30-150 min. with high yields that are higher the classical and reported methods (Scheme).

Scheme



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